Supporting Information

Organocatalytic enantioselective S_N1-type dehydrative nucleophilic substitution: access to bis(indolyl)methanes bearing quaternary carbon stereocenters

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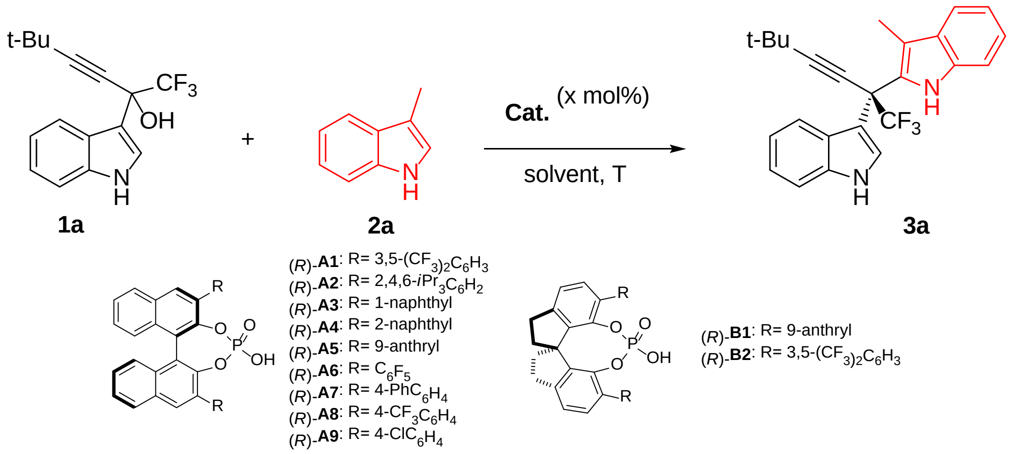
1. General Information

All reactions were carried out in oven-dried reaction vessel unless otherwise noted and solvents were dried according to established procedures. Reactions were monitored by thin layer chromatography (TLC). Purification of reaction product was carried out by flash chromatography using Qing Dao Sea Chemical Reagent silica gel (200-300 mesh). ^{1}H, ^{13}C and ^{19}F NMR spectra were recorded on Bruker 400 MHz or 500 MHz spectrometer in $CDCl_3$ unless otherwise noted. Chemical shifts in ^{1}H NMR spectra are reported in parts per million (ppm, δ) downfield from the internal standard Me_4Si (TMS, δ = 0 ppm). Chemical shifts in ^{13}C NMR spectra are reported relative to the central line of the chloroform signal (δ = 77.0 ppm). Data are presented as follows: chemical shift, integration, multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet) and coupling constant in Hertz (Hz). Infrared spectra (IR) were recorded from 4500 cm^{-1} to 650 cm^{-1} on a PerkinElmer Spectrum BX-59343. For detection, a Smiths Detection DuraSampl*IR* II Diamond ATR sensor was used and the absorption bands were reported in wavenumbers. HPLC analyses were conducted on an Agilent instrument using Daicel Chiralpak IA, IB, Chiralcel AD-H, OD-H or AS-H columns. High resolution mass spectra were obtained with a Shimadzu LCMS-IT-TOF mass spectrometer. The single crystal X-ray diffraction studies were carried out on an Xcalibur Onyx Nova diffractometer equipped with CuK/α radiation.

2. Screening of Catalysts and Condition Optimization

2.1 Condition optimization for the synthesis of **3a** from propargylic alcohol **1a** and 3-methyl-1*H*-indole.

Table S1. Screening of catalysts and optimization of reaction conditions[a]



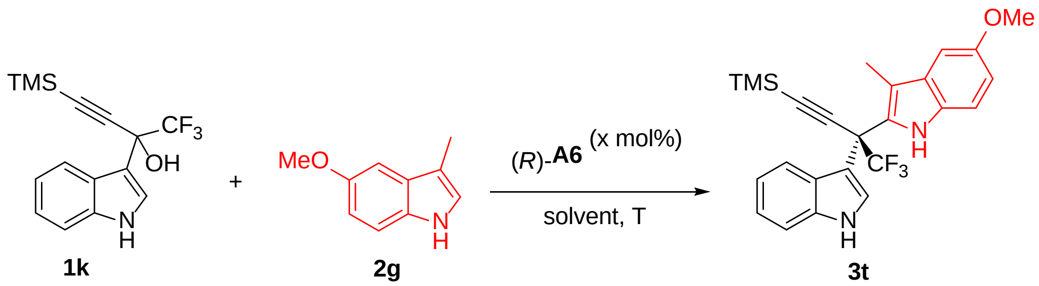
Entry	Catalyst (x mol%)	Solvent (x mL)	**1a**: **2a**	T (ºC)	Additive (x mg)	Time	Yield[b] (%)	*er*[c]
1	(*R*)-**A1** (10)	DCM (1)	1:1.2	rt	--	20h	90	64:36
2	(*R*)-**A2** (10)	DCM (1)	1:1.2	rt	--	72h	trace	--
3	(*R*)-**A3** (10)	DCM (1)	1:1.2	rt	--	20h	90	56:44
4	(*R*)-**A4** (10)	DCM (1)	1:1.2	rt	--	20h	88	61:39
5	(*R*)-**A5** (10)	DCM (1)	1:1.2	rt	--	72h	trace	--
6	(*R*)-**A6** (10)	DCM (1)	1:1.2	rt	--	20h	90	91:9
7	(*R*)-**A7** (10)	DCM (1)	1:1.2	rt	--	20h	68	53:47
8	(*R*)-**A8** (10)	DCM (1)	1:1.2	rt	--	20h	65	65:35
9	(*R*)-**A9** (10)	DCM (1)	1:1.2	rt	--	20h	53	64:36
10	(*R*)-**B1** (10)	DCM (1)	1:1.2	rt	--	72h	trace	--
11	(*R*)-**B2** (10)	DCM (1)	1:1.2	rt	--	72h	trace	--
12	(*R*)-**A6** (5)	DCM (1)	1:1.2	rt	--	20h	90	91:9

13	(*R*)-**A6** (2.5)	DCM (1)	1:1.2	rt	--	36h	89	86:14
14	(*R*)-**A6** (5)	DCE (1)	1:1.2	rt	--	20h	88	85:15
15	(*R*)-**A6** (5)	$CHCl_3$ (1)	1:1.2	rt	--	20h	90	67:33
16	(*R*)-**A6** (5)	CCl_4 (1)	1:1.2	rt	--	20h	86	76:24
17	(*R*)-**A6** (5)	toluene (1)	1:1.2	rt	--	8h	92	79:21
18	(*R*)-**A6** (5)	MeCN (1)	1:1.2	rt	--	72h	<5	--
19	(*R*)-**A6** (5)	acetone (1)	1:1.2	rt	--	72h	<5	--
20	(*R*)-**A6** (5)	THF (1)	1:1.2	rt	--	72h	NR	--
21	(*R*)-**A6** (5)	DCM (3)	1:1.2	rt	--	24h	90	93:7
22	(*R*)-**A6** (5)	DCM (5)	1:1.2	rt	--	30h	87	92:8
23	(*R*)-**A6** (5)	DCM (3)	1:1.2	rt	Na_2SO_4 (35)	20h	89	89:11
24	(*R*)-**A6** (5)	DCM (3)	1:1.2	rt	$MgSO_4$ (35)	20h	90	94:6
25	(*R*)-**A6** (5)	DCM (3)	1:1.2	rt	4Å MS (35)	72h	<5	--
26	(*R*)-**A6** (5)	DCM (3)	1:1.2	20ºC	$MgSO_4$ (35)	48h	90	95:5
27	(*R*)-**A6** (5)	DCM (3)	1:1.2	15ºC	$MgSO_4$ (35)	72h	91	95:5
28	(*R*)-**A6** (5)	DCM (3)	1:1.2	10ºC	$MgSO_4$ (35)	5d	92	95:5
29	(*R*)-**A6** (5)	DCM (3)	1.2:1	10ºC	$MgSO_4$ (35)	5d	92	94:6
30	**(*R*)-A6 (5)**	**DCM (3)**	**1:1**	**10ºC**	**$MgSO_4$ (35)**	**5d**	**92**	**96:4**

[a] Unless otherwise specified, all reactions were carried out with catalyst (x mol%), **1a** (0.05 mmol) and **2a** (0.06 mmol) in the indicated solvent (x mL) at room temperature. [b] Isolated yield of **3a**. [c] Determined by chiral-phase HPLC analysis.

2.2 Condition optimization for the synthesis of 3t from propargylic alcohol 1k and 5-methoxy-3-methyl-1*H*-indole.

Table S2. Screening of catalysts and optimization of reaction conditions[a]

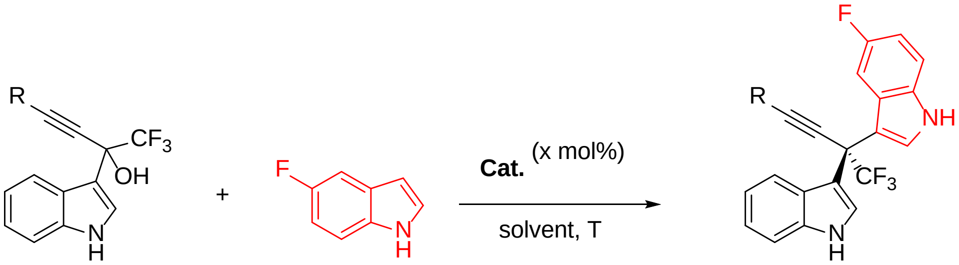


Entry	Catalyst (x mol%)	Solvent (x mL)	**1k**: **2g**	Temperature (ºC)	Additive (x mg)	Time	Yield[b] (%)	*er*[c]
1	(*R*)-**A6** (5)	DCM (3)	1:1	10ºC	$MgSO_4$ (35)	6d	76	94:6
2	(*R*)-**A6** (5)	DCM (1)	1:1.2	10ºC	$MgSO_4$ (25)	6d	78	94:6
3	(*R*)-**A6** (5)	DCM (1)	1:1.2	rt	$MgSO_4$ (25)	48h	82	93.5:6.5
4	**(*R*)-A6 (10)**	**DCM (1)**	**1:1.2**	**rt**	**$MgSO_4$ (25)**	**18h**	**86**	**95:5**
5	(*R*)-**A6** (10)	DCM (3)	1:1.2	rt	$MgSO_4$ (35)	56h	88	95:5

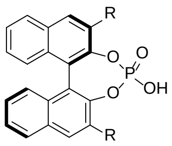
[a] Unless otherwise specified, all reactions were carried out with catalyst (x mol%), **1k** (0.05 mmol) and **2g** (0.06 mmol) in DCM (x mL) at room temperature. [b] Isolated yield of **3t**. [c] Determined by chiral-phase HPLC analysis.

2.3 Condition optimization for the synthesis of 5 from propargylic alcohol 1 and 5-fluoro-3-methyl-1*H*-indole.

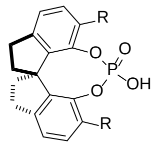
Table S3. Screening of catalysts and optimization of reaction conditions[a]



1 **4b** **5**



(*R*)-**A1**: R= 3,5-$(CF_3)_2C_6H_3$
(*R*)-**A2**: R= 2,4,6-*i*$Pr_3C_6H_2$
(*R*)-**A3**: R= 1-naphthyl
(*R*)-**A4**: R= 2-naphthyl
(*R*)-**A5**: R= 9-anthryl
(*R*)-**A6**: R= C_6F_5
(*R*)-**A7**: R= 4-PhC_6H_4
(*R*)-**A8**: R= 4-$CF_3C_6H_4$
(*R*)-**A9**: R= 4-ClC_6H_4



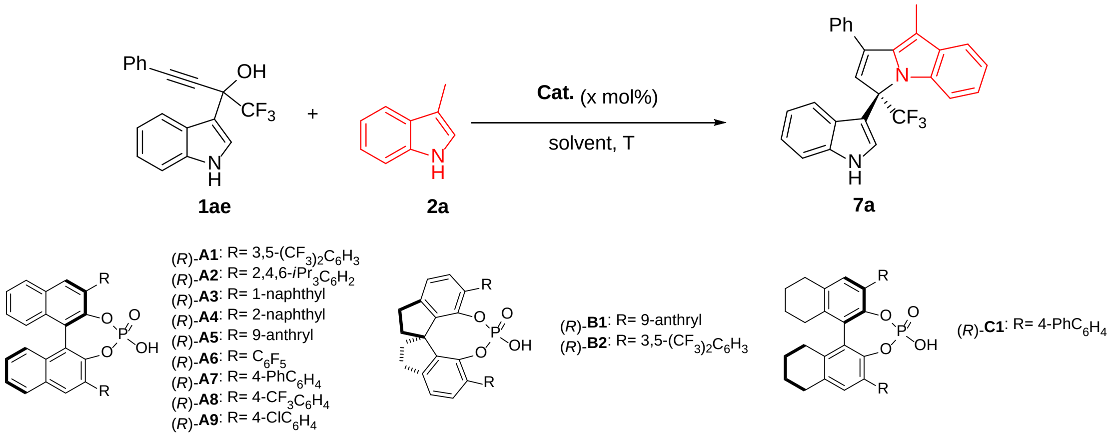
(*R*)-**B1**: R= 9-anthryl
(*R*)-**B2**: R= 3,5-$(CF_3)_2C_6H_3$
(*R*)-**B3**: R= 9-phenanthryl
(*R*)-**B4**: R= 2,4,6-*i*$Pr_3C_6H_2$

Entry	Catalyst (x mol%)	Solvent (x mL)	R=	Temperature (ºC)	Additive (x mg)	Time	Yield[b] (%)	*er*[c]
1	(*R*)-**A1** (10)	DCM (1)	Ph	rt	--	18h	96	35:65
2	(*R*)-**A2** (10)	DCM (1)	Ph	rt	--	72h	82	30:70
3	(*R*)-**A3** (10)	DCM (1)	Ph	rt	--	12h	98	30:70
4	(*R*)-**A4** (10)	DCM (1)	Ph	rt	--	12h	96	29:71
5	(*R*)-**A5** (10)	DCM (1)	Ph	rt	--	24h	96	31:69
6	(*R*)-**A6** (10)	DCM (1)	Ph	rt	--	8h	98	52:48
7	(*R*)-**A7** (10)	DCM (1)	Ph	rt	--	12h	93	29:71
8	(*R*)-**A8** (10)	DCM (1)	Ph	rt	--	12h	95	28:72
9	(*R*)-**A9** (10)	DCM (1)	Ph	rt	--	10h	92	35:65
10	(*R*)-**B1** (10)	DCM (1)	Ph	rt	--	24h	98	82:18
11	(*R*)-**B2** (10)	DCM (1)	Ph	rt	--	20h	96	76:24
12	(*R*)-**B3** (10)	DCM (1)	Ph	rt	--	32h	92	80:20
13	(*R*)-**B4** (10)	DCM (1)	Ph	rt	--	72h	68	74:26
14	**(*R*)-B1 (5)**	**DCM (1)**	**Ph**	**rt**	**--**	**72h**	**96**	**90:10**
15	(*R*)-**B1** (5)	$CHCl_3$ (1)	Ph	rt	--	8d	80	88:12
16	(*R*)-**B1** (5)	DCE (1)	Ph	rt	--	9d	86	89:11
17	(*R*)-**B1** (5)	toluene (1)	Ph	rt	--	5d	92	80:20
18	(*R*)-**B1** (5)	$PhCF_3$ (1)	Ph	rt	--	24h	98	83:17
19	(*R*)-**B1** (5)	acetone (1)	Ph	rt	--	9d	<5	--
20	(*R*)-**B1** (5)	EtOAc (1)	Ph	rt	--	9d	<5	--
21	(*R*)-**B1** (5)	DCM (1)	t-Bu	rt	--	6d	90	92:8
22	(*R*)-**B1** (5)	DCM (1)	TMS	rt	--	7d	87	93:7
23	(*R*)-**B1** (5)	DCM (1)	TMS	rt	Na_2SO_4 (25)	6d	84	93:7
24	(*R*)-**B1** (5)	DCM (1)	TMS	rt	$MgSO_4$ (25)	6d	86	92:8
25	(*R*)-**B1** (5)	DCM (1)	TMS	rt	3Å MS (25)	7d	<5	--
26	(*R*)-**B1** (5)	DCM (1)	TMS	rt	4Å MS (25)	7d	23	67:33
27	(*R*)-**B1** (5)	DCM (1)	TMS	rt	5Å MS (25)	7d	85	90:10
28	**(*R*)-B1 (10)**	**DCM (1)**	**TMS**	**rt**	**--**	**3d**	**87**	**95:5**
29	**(*R*)-B1 (10)**	**DCM (1)**	**t-Bu**	**rt**	**--**	**4d**	**92**	**97:3**
30	(*R*)-B1 (10)	DCM (1)	t-Bu	10ºC	--	10d	62	96:4

[a] Unless otherwise specified, all reactions were carried out with catalyst (x mol%), **1** (0.05 mmol) and **4b** (0.06 mmol) in the indicated solvent (x mL) at room temperature. [b] Isolated yield of **5**. [c] Determined by chiral-phase HPLC analysis.

2.4 Condition optimization for the synthesis of **7a** from propargylic alcohol **1ae** and 3-methyl-1*H*-indole.

Table S4. Screening of catalysts and optimization of reaction conditions[a]

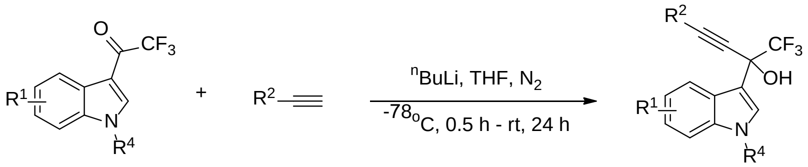


Entry	Catalyst (x mol%)	Solvent (x mL)	**1ae**: **2a**	Temperature (°C)	Additive (x mg)	Time	Yield[b] (%)	*er*[c]
1	(*R*)-**A1** (10)	DCM (1)	1:1.2	rt	--	24h	84	95:5
2	(*R*)-**A2** (10)	DCM (1)	1:1.2	rt	--	96h	trace	35:65
3	(*R*)-**A3** (10)	DCM (1)	1:1.2	rt	--	13h	61	91:9
4	(*R*)-**A4** (10)	DCM (1)	1:1.2	rt	--	13h	82	91:9
5	(*R*)-**A5** (10)	DCM (1)	1:1.2	rt	--	24h	40	80:20
6	(*R*)-**A6** (10)	DCM (1)	1:1.2	rt	--	13h	30	53:47
7	**(*R*)-A7 (10)**	**DCM (1)**	**1:1.2**	**rt**	**--**	**13h**	**86**	**97:3**
8	(*R*)-**B1** (10)	DCM (1)	1:1.2	rt	--	120h	35	58:42
9	(*R*)-**C1** (10)	DCM (1)	1:1.2	rt	--	24h	38	93:7
10	(*R*)-**A7** (5)	DCM (1)	1:1.2	rt	--	19h	84	96:4
11	(*R*)-**A7** (10)	DCE (1)	1:1.2	rt	--	13h	76	96:4
12	(*R*)-**A7** (10)	$CHCl_3$ (1)	1:1.2	rt	--	24h	34	93:7
13	(*R*)-**A7**(10)	toluene (1)	1:1.2	rt	--	13h	72	95:5
14	(*R*)-**A7** (10)	THF (1)	1:1.2	rt	--	72h	NR	--
15	(*R*)-**A7** (10)	DCM (2)	1:1.2	rt	--	20h	86	96:4
16	(*R*)-**A7** (10)	DCM (1)	1:1.2	rt	4Å MS (35)	72h	trace	90:10
17	(*R*)-**A7** (10)	DCM (1)	1:1.2	0°C	--	72h	trace	93:7
18	(*R*)-**A7** (10)	DCM (1)	1:1.2	0°C	4Å MS (35)	72h	NR	--

[a] Unless otherwise specified, all reactions were carried out with catalyst (x mol%), **1ae** (0.05 mmol) and **2a** (0.06 mmol) in the indicated solvent (x mL) at room temperature. [b] Isolated yield of **7a**. [c] Determined by chiral-phase HPLC analysis.

3. Experimental Procedure and Characterization of Substrates

a. General Procedure to Prepare Substrates 1a~1ab and 1ae~1ag

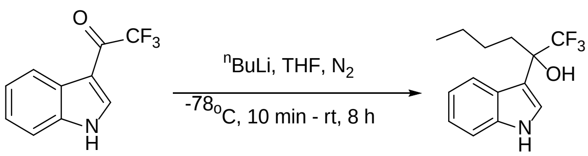


S1 **S2** **1**

At -78 °C, under N_2, a flame-dried flask charged with a solution of the terminal alkyne **S2** (7 mmol, 3.5 equiv) in dry THF (15 mL), was added ^{n}BuLi (5 mmol, 3.2 mL, 1.6 M in hexane) dropwise. The reaction was stirred for 2 h at 0 °C. Then a solution of the corresponding indolyl-ketone **S1** (2 mmol, 1.0 equiv) in THF (5 mL) was added via syringe at -78 °C. The reaction mixture was then slowly warmed up to room temperature and stirred for 24 h. Upon completion, the reaction mixture was cooled to 0 °C and cooled water (10 mL) was added dropwise. The organic layer was separated. The aqueous layer was extracted with ethyl acetate (3×10 mL). The combined organic layers were washed with brine (30 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1~5:1) or recrystallization to give the desired α-indolyl propargylic alcohols **1a~1ab**.

At -78 °C, under N_2, to a flame-dried flask charged with a solution of the terminal alkyne **S2** (6 mmol, 3 equiv) in dry THF (15 mL) was added ^{n}BuLi (6 mmol, 2.5 mL, 2.4 M in hexane) dropwise. The reaction was stirred for 0.5 h at the same temperature and then a solution of the corresponding indolyl-ketone **S1** (2 mmol, 1.0 equiv) in THF (5 mL) was added via syringe. The reaction mixture was then slowly warmed up to room temperature and stirred for 32 h. Upon completion, the reaction mixture was cooled to 0 °C and a saturated aqueous NH_4Cl solution (7 mL) was added dropwise. The organic layer was separated. The aqueous layer was extracted with ethyl acetate (3×10 mL). The combined organic layers were washed with brine (30 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1~5:1) or recrystallization to give the desired α-indolyl propargylic alcohols **1ae~1ag**.

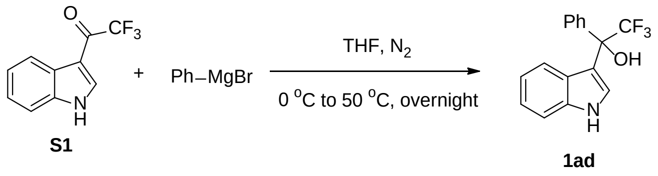
b. General Procedure to Prepare Substrates 1ac



S1 **1ac**

At -78 °C, under N_2, a flame-dried flask was charged with a solution of ^{n}BuLi (6 mmol, 3.75 mL, 1.6 M in hexane) in dry THF (15 mL). The solution was stirred for 10 min at the same temperature, then a solution of indolyl-ketone **S1** (2 mmol, 1.0 equiv) in THF (5 mL) was added via syringe. The reaction mixture was then slowly warmed up to room temperature and stirred for 8 h. Upon completion, the reaction mixture was cooled to 0 °C and a saturated aqueous NH_4Cl solution (7 mL) was added dropwise. The organic layer was separated. The aqueous layer was extracted with ethyl acetate (3×10 mL). The combined organic layers were washed with brine (30 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1~5:1) to give the desired α-indolyl propargylic alcohols **1ac**.

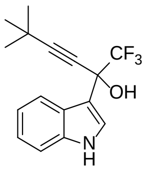
c. General Procedure to Prepare Substrates 1ad



At 0 ºC, under N_2, a flame-dried flask was charged with a solution of the corresponding magnesium bromide solution (5 mL, 1.0 mol/L) in dry THF (15 mL), and the solution was stirred for 10 min at the same temperature. Then a solution of indolyl-ketone **S1** (2 mmol, 1.0 equiv) in THF (5 mL) was added via syringe. Subsequently, the reaction mixture was moved to an oil bath and refluxed at 50 °C overnight. Upon completion, the reaction mixture was cooled to 0 ºC and a saturated aqueous NH_4Cl solution (7 mL) was added dropwise. The organic layer was separated. The aqueous layer was extracted with ethyl acetate (3×10 mL). The combined organic layers were washed with brine (30 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1~5:1) to give the desired α-indolyl propargylic alcohols **1ad**.

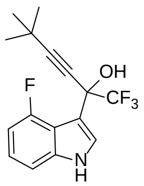
d. Analytical Data for Substrates 1a~1af

1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-ol (**1a**)



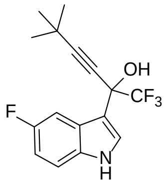
light brown solid, 82% yield. M.p. 125.3.2-126.8 ºC. **^{1}H NMR** (400 MHz, DMSO-d_6) δ: 11.24 (s, 1H), 7.80 (d, *J* = 7.9 Hz, 1H), 7.45-7.35 (m, 2H), 7.20 (s, 1H), 7.10 (t, *J* = 7.5 Hz, 1H), 7.02 (t, *J* = 7.5 Hz, 1H), 1.26 (s, 9H). **^{13}C NMR** (126 MHz, DMSO-d_6) δ: 137.1, 125.8, 125.4, 125.0 (q, *J* = 286.0 Hz), 121.7, 121.2, 119.4, 112.2, 112.2, 94.5, 76.5, 69.5 (q, *J* = 32.6 Hz), 30.8, 27.6; **^{19}F NMR** (376 MHz, DMSO-d_6) δ: -79.41. IR (ATR) ν_{max}: 3409, 2971, 1174, 1001, 745 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{15}NOF_3$ $[M-H]^-$: 294.1111; found: 294.1101.

1,1,1-trifluoro-2-(4-fluoro-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-ol (**1b**)



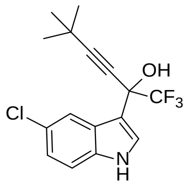
light brown solid, 83% yield. M.p. 120.2-121.5 ºC. **^{1}H NMR** (400 MHz, DMSO-d_6) δ: 11.52 (s, 1H), 7.47 (d, *J* = 2.6 Hz, 1H), 7.24 (d, *J* = 8.1 Hz, 1H), 7.11 (s, 2H), 6.77 (dd, *J* = 11.7, 7.7 Hz, 1H), 1.23 (s, 9H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ: 155.3 (d, *J* = 250.1 Hz), 139.9 (d, *J* = 11.0 Hz), 126.3, 124.1 (q, *J* = 285.5 Hz), 122.1 (d, *J* = 7.8 Hz), 113.5 (d, *J* = 19.8 Hz), 110.9 (d, *J* = 3.6 Hz), 108.1 (d, *J* = 3.6 Hz), 105.1 (d, *J* = 21.3 Hz), 93.7, 76.3, 68.7 (q, *J* = 32.6 Hz), 30.3, 27.1. **^{19}F NMR** (376 MHz, DMSO-d_6) δ: -79.15 (d, *J* = 15.2 Hz), -108.09~-115.19 (m). IR (ATR) ν_{max}: 3394, 2899, 1205, 998, 708 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{15}NOF_4$ $[M-H]^-$: 312.1017; found: 312.1013.

1,1,1-trifluoro-2-(5-fluoro-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-ol (**1c**)



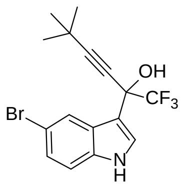
light brown solid, 91% yield. M.p. 110.4-111.6 ºC. **¹H NMR** (400 MHz, $CDCl_3$) δ: 8.29 (s, 1H), 7.74-7.55 (m, 1H), 7.43 (d, *J* = 2.7 Hz, 1H), 7.24 (dd, *J* = 8.9, 4.4 Hz, 1H), 6.97 (td, *J* = 9.0, 2.4 Hz, 1H), 3.15 (s, 1H), 1.30 (s, 9H). **¹³C NMR** (126 MHz, $CDCl_3$) δ: 158.1 (d, *J* = 234.6 Hz), 133.1, 126.5, 125.5 (d, *J* = 10.8 Hz), 124.1 (q, *J* = 285.3 Hz), 112.3 (d, *J* = 4.7 Hz), 112.1 (d, *J* = 9.7 Hz), 111.2 (d, *J* = 26.6 Hz), 106.3 (d, *J* = 25.0 Hz), 96.9, 74.6, 70.3 (q, *J* = 34.1 Hz), 30.5, 27.7. **¹⁹F NMR** (376 MHz, $CDCl_3$) δ: -80.67, -123.46. IR (ATR) ν_{max}: 3441, 2985, 1133, 1010, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{15}NOF_4$ $[M-H]^-$: 312.1017; found: 312.1011.

2-(5-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-5,5-dimethylhex-3-yn-2-ol (**1d**)



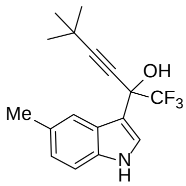
light brown solid, 80% yield. M.p. 150.2-151.7 ºC. **¹H NMR** (500 MHz, DMSO-d_6) δ: 11.47 (s, 1H), 7.79 (s, 1H), 7.49 (d, *J* = 2.7 Hz, 1H), 7.43 (d, *J* = 8.7 Hz, 1H), 7.33 (s, 1H), 7.12 (dd, *J* = 8.7, 2.1 Hz, 1H), 1.27 (s, 9H). **¹³C NMR** (126 MHz, DMSO-d_6) δ: 135.1, 127.1, 126.0, 124.4 (q, *J* = 285.6 Hz), 123.7, 121.1 (d, *J* = 29.8 Hz), 119.7, 113.4, 111.6, 94.5, 75.7, 68.8 (q, *J* = 32.7 Hz), 30.3, 27.1. **¹⁹F NMR** (471 MHz, DMSO-d_6) δ: -79.70. IR (ATR) ν_{max}: 3399, 3000, 1198, 990, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{15}NOF_3Cl$ $[M-H]^-$: 328.0722; found: 328.0731.

2-(5-bromo-1*H*-indol-3-yl)-1,1,1-trifluoro-5,5-dimethylhex-3-yn-2-ol (**1e**)



light brown solid, 83% yield. M.p. 152.1-152.9 ºC. **¹H NMR** (500 MHz, $CDCl_3$) δ: 8.27 (s, 1H), 8.14 (s, 1H), 7.41 (d, *J* = 2.6 Hz, 1H), 7.29 (d, *J* = 1.1 Hz, 1H), 7.22 (d, *J* = 8.7 Hz, 1H), 2.98 (s, 1H), 1.31 (s, 9H). **¹³C NMR** (126 MHz, $CDCl_3$) δ: 135.2, 126.8, 125.8, 125.6, 124.0 (q, *J* = 285.4 Hz), 123.9, 113.8, 112.9, 112.0, 97.1, 74.6, 70.2 (q, *J* = 34.2 Hz), 30.6, 27.7. **¹⁹F NMR** (471 MHz, $CDCl_3$) δ: -80.81. IR (ATR) ν_{max}: 3400, 2937, 1168, 1005, 756 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{15}NOF_3Br$ $[M-H]^-$: 372.0216; found: 372.0207.

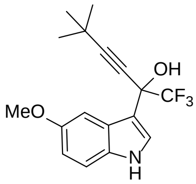
1,1,1-trifluoro-5,5-dimethyl-2-(5-methyl-1*H*-indol-3-yl)hex-3-yn-2-ol (**1f**)



light brown solid, 84% yield. M.p. 158.3-159.6 ºC. **¹H NMR** (400 MHz, $CDCl_3$) δ: 8.14 (s, 1H), 7.79 (s, 1H), 7.37 (d, *J* = 2.6 Hz, 1H), 7.25 (d, *J* = 8.6 Hz, 1H), 7.06 (d, *J* = 8.3 Hz, 1H), 3.02 (s, 1H), 2.47 (s, 3H), 1.32 (s, 9H). **¹³C**

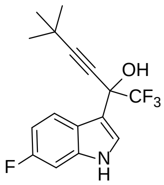
NMR (126 MHz, $CDCl_3$) δ: 135.0, 129.7, 125.3, 125.0, 124.3, 124.2 (q, *J* = 285.5 Hz), 120.9, 111.8, 111.1, 96.4, 75.1, 70.6 (q, *J* = 34.1 Hz), 30.6, 27.7, 21.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.49. IR (ATR) ν_{max}: 3411, 2999, 1170, 995, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{17}H_{18}NOF_3$ $[M-H]^-$: 308.1268; found: 308.1264.

1,1,1-trifluoro-2-(5-methoxy-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-ol (**1g**)



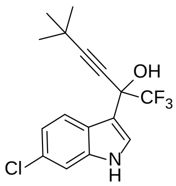
light brown solid, 76% yield. M.p. 141.0-142.3 °C. **^{1}H NMR** (400 MHz, DMSO-d_6) δ: 11.10 (s, 1H), 7.37 (d, *J* = 2.7 Hz, 1H), 7.30 (d, *J* = 8.8 Hz, 1H), 7.27 (d, *J* = 1.7 Hz, 1H), 7.17 (s, 1H), 6.78 (dd, *J* = 8.8, 2.5 Hz, 1H), 3.74 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, DMSO-d_6) δ: 153.1, 131.8, 125.8, 125.3, 124.6 (q, *J* = 285.8 Hz), 112.3, 111.5, 111.4, 102.5, 94.1, 75.9, 69.0 (q, *J* = 32.5 Hz), 55.3, 30.4, 27.1. **^{19}F NMR** (376 MHz, DMSO-d_6) δ: -79.39. IR (ATR) ν_{max}: 3419, 2981, 1133, 1007, 747 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{17}H_{18}NO_2F_3$ $[M-H]^-$: 324.1217; found: 324.1209.

1,1,1-trifluoro-2-(6-fluoro-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-ol (**1h**)



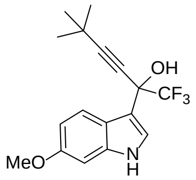
light brown solid, 76% yield. M.p. 113.5-114.9 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 7.89 (dd, *J* = 8.8, 5.4 Hz, 1H), 7.37 (d, *J* = 2.6 Hz, 1H), 7.02 (dd, *J* = 9.4, 2.3 Hz, 1H), 6.94 (td, *J* = 9.3, 2.3 Hz, 1H), 3.10 (s, 1H), 1.30 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 160.2 (d, *J* = 238.9 Hz), 136.7 (d, *J* = 12.3 Hz), 125.2, 124.1 (q, *J* = 285.2 Hz), 122.3 (d, *J* = 9.5 Hz), 121.7, 112.5, 109.4 (d, *J* = 24.4 Hz), 97.6 (d, *J* = 26.1 Hz), 96.7, 74.8, 70.5 (q, *J* = 34.4 Hz), 30.6, 27.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.56, -120.42. IR (ATR) ν_{max}: 3400, 2988, 1169, 1008, 736 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{15}NOF_4$ $[M-H]^-$: 312.1017; found: 312.1009.

2-(6-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-5,5-dimethylhex-3-yn-2-ol (**1i**)



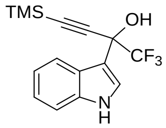
light brown solid, 75% yield. M.p. 140.4-141.2 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.27 (s, 1H), 7.87 (d, *J* = 8.6 Hz, 1H), 7.39 (d, *J* = 2.6 Hz, 1H), 7.33 (d, *J* = 1.4 Hz, 1H), 7.14 (dd, *J* = 8.7, 1.6 Hz, 1H), 3.12 (s, 1H), 1.29 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 137.0, 128.7, 125.5, 124.0 (q, *J* = 285.4 Hz), 123.7, 122.3, 121.3, 112.6, 111.3, 96.9, 74.7, 70.4 (q, *J* = 34.2 Hz), 30.6, 27.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.67. IR (ATR) ν_{max}: 3411, 2982, 1179, 1003, 747 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{15}NOF_3Cl$ $[M-H]^-$: 328.0722; found: 328.0717.

1,1,1-trifluoro-2-(6-methoxy-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-ol (**1j**)



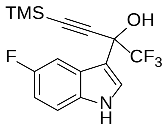
light brown solid, 83% yield. M.p. 137.9-139.0 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.10 (s, 1H), 7.83 (d, J = 8.8 Hz, 1H), 7.28 (s, 1H), 6.84 (d, J = 8.8 Hz, 1H), 6.76 (s, 1H), 3.81 (s, 3H), 3.14 (s, 1H), 1.29 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 156.6, 137.5, 124.2 (q, J = 285.3 Hz), 123.8, 121.9, 119.4, 112.2, 110.5, 96.4, 94.7, 75.0, 70.5 (q, J = 34.2 Hz), 55.7, 30.6, 27.7. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -80.49. IR (ATR) ν_{max}: 3398, 2969, 1179, 995, 738 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{17}H_{18}NO_2F_3$ [M-H]$^-$: 324.1217; found: 324.1211.

1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-ol (**1k**)



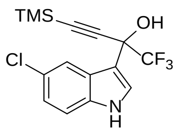
light brown solid, 67% yield. M.p. 114.1-114.9 °C. **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.25 (s, 1H), 7.97 (d, J = 8.0 Hz, 1H), 7.45 (d, J = 2.7 Hz, 1H), 7.37 (d, J = 8.1 Hz, 1H), 7.28-7.21 (m, 1H), 7.19 (dd, J = 11.2, 4.0 Hz, 1H), 3.09 (s, 1H), 0.26 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.7, 125.1, 124.9, 123.9 (q, J = 288.9 Hz), 122.8, 121.3, 120.5, 111.5, 100.3, 93.3, 70.8 (q, J = 34.1 Hz), -0.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.05. IR (ATR) ν_{max}: 3411, 2961, 1252, 1178, 844, 745 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{15}NOF_3Si$ [M-H]$^-$: 310.0880; found: 310.0871.

1,1,1-trifluoro-2-(5-fluoro-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-ol (**1l**)



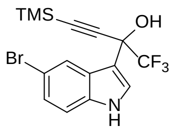
light brown solid, 78% yield. M.p. 83.9-84.6 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.30 (s, 1H), 7.65 (d, J = 10.1 Hz, 1H), 7.48 (d, J = 2.8 Hz, 1H), 7.28 (dd, J = 9.0, 4.2 Hz, 1H), 6.99 (td, J = 9.0, 2.5 Hz, 1H), 3.17 (s, 1H), 0.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 158.2 (d, J = 235.0 Hz), 133.1, 126.6, 125.4 (d, J = 10.8 Hz), 123.9 (q, J = 285.5 Hz), 112.2 (d, J = 9.8 Hz), 111.5, 111.3, 106.3 (d, J = 25.4 Hz), 99.9, 93.7, 70.6 (q, J = 34.3 Hz), -0.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.26, -123.26. IR (ATR) ν_{max}: 3408, 2969, 1254, 1166, 849, 735 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{15}NOF_4Si$ [M-H]$^-$: 328.0786; found: 328.0777.

2-(5-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-yn-2-ol (**1m**)



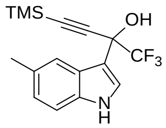
light brown solid, 77% yield. M.p. 134.2-135.7 °C. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.34 (s, 1H), 7.98 (s, 1H), 7.45 (d, J = 2.7 Hz, 1H), 7.30-7.23 (m, 1H), 7.19 (dd, J = 8.7, 1.9 Hz, 1H), 3.22 (s, 1H), 0.28 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 135.0, 126.4, 126.2, 126.1, 123.8 (q, J = 285.5 Hz), 123.2, 120.8, 112.7, 111.3, 99.9, 93.9, 70.5 (q, J = 34.3 Hz), -0.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.28. IR (ATR) ν_{max}: 3400, 2997, 1244, 1169, 857, 737 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{15}NOF_3SiCl$ [M-H]$^-$: 344.0491; found: 344.0487.

2-(5-bromo-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-yn-2-ol (**1n**)



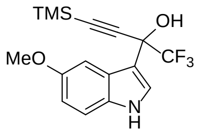
light brown solid, 90% yield. M.p. 147.4-148.3 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.33 (s, 1H), 8.13 (s, 1H), 7.43 (d, *J* = 2.7 Hz, 1H), 7.31 (dd, *J* = 8.7, 1.8 Hz, 1H), 7.22 (d, *J* = 8.7 Hz, 1H), 3.17 (s, 1H), 0.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 135.3, 126.7, 126.0, 125.8, 123.8, 123.7 (q, *J* = 285.5 Hz), 114.0, 112.9, 111.2, 99.8, 94.0, 70.4 (q, *J* = 34.4 Hz), -0.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.33. IR (ATR) ν_{max}: 3424, 2955, 1245, 1169, 854, 749 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{15}NOF_3SiBr$ $[M-H]^-$: 387.9986; found: 387.9986.

1,1,1-trifluoro-2-(5-methyl-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-ol (**1o**)



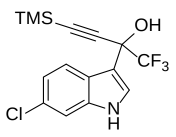
light brown solid, 81% yield. M.p. 153.0-153.8 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.15 (s, 1H), 7.77 (s, 1H), 7.39 (d, *J* = 2.6 Hz, 1H), 7.25 (d, *J* = 8.2 Hz, 1H), 7.07 (d, *J* = 8.2 Hz, 1H), 3.13 (s, 1H), 2.47 (s, 3H), 0.26 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 135.0, 129.8, 125.1, 124.4, 124.1, 123.9 (q, *J* = 285.5 Hz), 120.8, 111.1, 110.8, 100.4, 93.2, 70.8 (q, *J* = 34.2 Hz), 21.7, -0.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.00. IR (ATR) ν_{max}: 3430, 2951, 1244, 1171, 839, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{18}NOF_3Si$ $[M-H]^-$: 324.1037; found: 324.1031.

1,1,1-trifluoro-2-(5-methoxy-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-ol (**1p**)



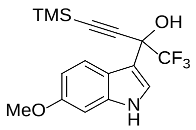
light brown solid, 84% yield. M.p. 145.5-146.7 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.21 (s, 1H), 7.44 (d, *J* = 2.9 Hz, 2H), 7.27 (d, *J* = 8.5 Hz, 1H), 6.92 (dd, *J* = 8.9, 2.4 Hz, 1H), 3.88 (s, 3H), 3.13 (s, 1H), 0.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.5, 131.8, 125.7, 125.4, 124.0 (q, *J* = 285.6 Hz), 113.4, 112.2, 111.2, 102.8, 100.3, 93.1, 70.8 (q, *J* = 34.3 Hz), 56.0, -0.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.00. IR (ATR) ν_{max}: 3421, 2965, 1260, 1181, 849, 752 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{18}NO_2F_3Si$ $[M-H]^-$: 340.0986; found: 340.0980.

2-(6-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-yn-2-ol (**1q**)



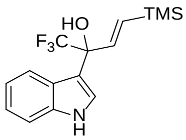
light brown solid, 87% yield. M.p. 140.2-140.8 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 7.87 (d, *J* = 8.6 Hz, 1H), 7.42 (d, *J* = 2.7 Hz, 1H), 7.33 (d, *J* = 1.6 Hz, 1H), 7.15 (dd, *J* = 8.7, 1.8 Hz, 1H), 3.11 (s, 1H), 0.25 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 137.0, 128.8, 125.7, 123.8 (q, *J* = 285.7 Hz), 123.5, 122.2, 121.4, 111.7, 111.4, 99.9, 93.7, 70.6 (q, *J* = 34.3 Hz), -0.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -80.21. IR (ATR) ν_{max}: 3408, 2969, 1247, 1170, 849, 751 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{15}NOF_3SiCl$ $[M-H]^-$: 344.0491; found: 344.0484.

1,1,1-trifluoro-2-(6-methoxy-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-ol (**1r**)



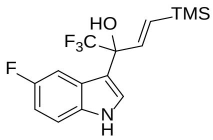
light brown solid, 85% yield. M.p. 137.4-140.2 ºC. **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.12 (s, 1H), 7.82 (d, *J* = 8.6 Hz, 1H), 7.30 (s, 1H), 6.84 (d, *J* = 8.4 Hz, 1H), 6.76 (s, 1H), 3.81 (s, 3H), 3.29 (s, 1H), 0.24 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 156.7, 137.5, 124.0, 123.9 (q, *J* = 285.5 Hz), 121.9, 119.3, 111.4, 110.6, 100.3, 94.8, 93.1, 70.8 (q, *J* = 34.0 Hz), 55.7, -0.4. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -80.01. IR (ATR) ν_{max}: 3409, 2957, 1246, 1181, 851, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{18}NO_2F_3Si$ [M-H]$^-$: 340.0986; found: 340.0978.

(*E*)-1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-ol (**1s**)



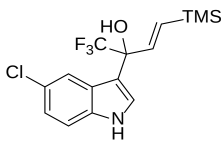
light brown solid, 93% yield. M.p. 68.8-69.4 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.13 (s, 1H), 7.69 (d, *J* = 8.1 Hz, 1H), 7.27 (d, *J* = 8.1 Hz, 1H), 7.21-7.08 (m, 2H), 7.04 (dd, *J* = 11.1, 4.0 Hz, 1H), 6.43 (d, *J* = 18.8 Hz, 1H), 6.29 (d, *J* = 18.8 Hz, 1H), 2.61 (s, 1H), 0.02 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 140.6, 136.5, 134.2, 125.4 (q, *J* = 286.0 Hz), 125.3, 123.7, 122.7, 121.5, 120.3, 112.7, 111.5, 77.1 (q, *J* = 21.0 Hz), -1.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -78.44. IR (ATR) ν_{max}: 3409, 2956, 1248, 1163, 837, 742 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{18}NOF_3Si$ [M-H]$^-$: 312.1037; found: 312.1031.

(*E*)-1,1,1-trifluoro-2-(5-fluoro-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-ol (**1t**)



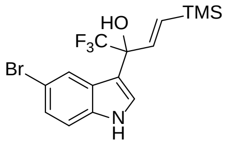
light brown solid, 83% yield. M.p. 87.1-87.9 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.29 (s, 1H), 7.46 (dd, *J* = 10.2, 2.2 Hz, 1H), 7.35-7.21 (m, 2H), 6.98 (td, *J* = 9.0, 2.5 Hz, 1H), 6.51 (d, *J* = 18.8 Hz, 1H), 6.38 (d, *J* = 18.8 Hz, 1H), 2.71 (s, 1H), 0.14 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 158.0 (d, *J* = 234.9 Hz), 140.3, 134.5, 133.0, 125.8 (d, *J* = 10.4 Hz), 125.4 (q, *J* = 286.2 Hz), 125.4, 112.8 (d, *J* = 4.7 Hz), 112.1 (d, *J* = 9.8 Hz), 111.3 (d, *J* = 26.5 Hz), 106.6 (d, *J* = 24.9 Hz), 76.7 (q, *J* = 29.7 Hz), -1.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -78.59, -123.39. IR (ATR) ν_{max}: 3411, 2958, 1251, 1160, 840, 741 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{17}NOF_4Si$ [M-H]$^-$: 330.0943; found: 330.0938.

(*E*)-2-(5-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-en-2-ol (**1u**)



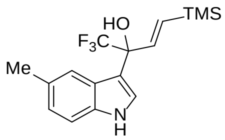
light brown solid, 61% yield. M.p. 127.2-127.8 ºC. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.29 (s, 1H), 7.79 (s, 1H), 7.27 (d, *J* = 9.7 Hz, 2H), 7.18 (d, *J* = 7.7 Hz, 1H), 6.51 (d, *J* = 18.7 Hz, 1H), 6.40 (d, *J* = 18.8 Hz, 1H), 2.70 (s, 1H), 0.16 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 140.2, 134.8, 126.5, 126.1, 125.3 (q, *J* = 286.2 Hz), 125.0, 123.1, 121.1, 112.4, 112.4, 76.7 (q *J* = 29.9 Hz), -1.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -78.54. IR (ATR) ν_{max}: 3408, 2951, 1247, 1155, 845, 744 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{17}NOF_3SiCl$ [M-H]$^-$: 346.0647; found: 346.0647.

(*E*)-2-(5-bromo-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-en-2-ol (**1v**)



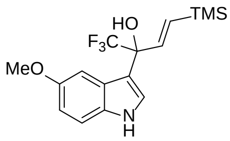
light brown solid, 65% yield. M.p. 133.4-133.5 ºC. **^{1}H NMR** (400 MHz, DMSO-d$_6$) δ: 11.46 (s, 1H), 7.85 (s, 1H), 7.52-7.33 (m, 2H), 7.22 (d, *J* = 8.2 Hz, 1H), 6.70 (s, 1H), 6.51 (d, *J* = 18.6 Hz, 1H), 6.33 (d, *J* = 18.6 Hz, 1H), 0.12 (s, 9H). **^{13}C NMR** (126 MHz, DMSO-d$_6$) δ: 141.6, 135.1, 132.2, 127.3, 126.1, 125.7 (q, *J* = 287.0 Hz), 123.7, 123.4, 113.7, 111.7, 111.6, 75.5 (q, *J* = 28.6 Hz), -1.4. **^{19}F NMR** (376 MHz, DMSO-d$_6$) δ: -77.21. IR (ATR) ν_{max}: 3420, 2948, 1254, 1154, 835, 745 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{17}NOF_3SiBr$ [M-H]$^-$: 390.0142; found: 390.0124.

(*E*)-1,1,1-trifluoro-2-(5-methyl-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-ol (**1w**)



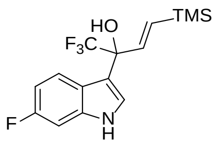
light brown solid, 85% yield. M.p. 113.2-114.1 ºC. **^{1}H NMR** (400 MHz, CDCl$_3$) δ: 8.16 (s, 1H), 7.63 (s, 1H), 7.38-7.21 (m, 2H), 7.11 (d, *J* = 8.3 Hz, 1H), 6.56 (d, *J* = 18.8 Hz, 1H), 6.45 (d, *J* = 18.8 Hz, 1H), 2.73 (s, 1H), 2.50 (s, 3H), 0.19 (s, 9H). **^{13}C NMR** (126 MHz, CDCl$_3$) δ: 140.6, 134.8, 134.1, 129.6, 127.7 (q, *J* = 286.2 Hz), 125.6, 124.3, 123.8, 121.1, 112.0, 111.1, 76.8 (q, *J* = 30.8 Hz), 21.7, -1.4. **^{19}F NMR** (376 MHz, CDCl$_3$) δ: -78.29. IR (ATR) ν_{max}: 3413, 2961, 1257, 1167, 841, 746 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{20}NOF_3Si$ [M-H]$^-$: 326.1193; found: 326.1186.

(*E*)-1,1,1-trifluoro-2-(5-methoxy-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-ol (**1x**)



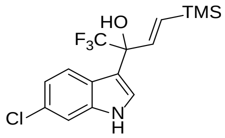
light brown solid, 87% yield. M.p. 160.9-161.8 ºC.**^{1}H NMR** (500 MHz, CDCl$_3$) δ: 8.02 (s, 1H), 7.08 (s, 3H), 6.73 (s, 1H), 6.34 (d, *J* = 17.9 Hz, 1H), 6.24 (d, *J* = 17.8 Hz, 1H), 3.67 (s, 3H), 2.54 (s, 1H), -0.03 (s, 9H). **^{13}C NMR** (126 MHz, CDCl$_3$) δ: 154.3, 140.5, 134.2, 131.6, 127.7 (q, *J* = 286.8 Hz), 125.9, 124.2, 113.3, 112.3, 112.1, 103.0, 76.8 (q, *J* = 30.8 Hz), 55.9, -1.3. **^{19}F NMR** (471 MHz, CDCl$_3$) δ: -78.35. IR (ATR) ν_{max}: 3413, 2953, 1247, 1157, 841, 746 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{20}NO_2F_3Si$ [M-H]$^-$: 342.1143 found: 342.1134.

(*E*)-1,1,1-trifluoro-2-(6-fluoro-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-ol (**1y**)



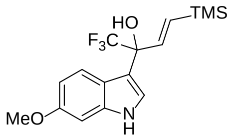
light brown solid, 80% yield. M.p. 97.8-98.4 ºC.**^{1}H NMR** (500 MHz, CDCl$_3$) δ: 8.27 (s, 1H), 7.73 (dd, *J* = 7.3, 5.7 Hz, 1H), 7.20 (s, 1H), 7.06-6.78 (m, 2H), 6.54 (d, *J* = 18.8 Hz, 1H), 6.40 (d, *J* = 18.8 Hz, 1H), 2.85 (s, 1H), 0.15 (s, 9H). **^{13}C NMR** (126 MHz, CDCl$_3$) δ: 160.1 (d, *J* = 238.8 Hz), 140.4, 136.5 (d, *J* = 12.3 Hz), 134.5, 127.6 (q, *J* = 286.1 Hz), 124.2, 122.4 (d, *J* = 9.8 Hz), 121.9, 112.6, 109.2 (d, *J* = 24.3 Hz), 97.7 (d, *J* = 25.9 Hz), 76.8 (q, *J* = 29.1 Hz), -1.5. **^{19}F NMR** (471 MHz, CDCl$_3$) δ: -78.39, -120.33. IR (ATR) ν_{max}: 3417, 2954, 1253, 1161, 844, 746 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{17}NOF_4Si$ [M-H]$^-$: 330.0943; found: 330.0936.

(*E*)-2-(6-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-en-2-ol (**1z**)



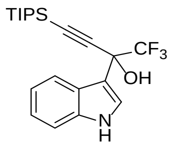
light brown solid, 83% yield. M.p. 101.4-102.1 °C.**^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.13 (s, 1H), 7.57 (d, *J* = 8.6 Hz, 1H), 7.10 (d, *J* = 28.4 Hz, 2H), 6.99 (d, *J* = 8.6 Hz, 1H), 6.40 (d, *J* = 18.8 Hz, 1H), 6.26 (d, *J* = 18.8 Hz, 1H), 2.73 (s, 1H), 0.01 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 140.2, 136.8, 134.7, 128.5, 125.3 (q, *J* = 286.1 Hz), 124.5, 123.9, 122.4, 121.0, 112.6, 111.4, 76.7 (q, *J* = 29.7 Hz), -1.5. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -78.41. IR (ATR) ν_{max}: 3431, 2962, 1257, 1154, 848, 747 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{15}H_{17}NOF_3SiCl$ [M-H]$^-$: 346.0647; found: 346.0641.

(*E*)-1,1,1-trifluoro-2-(6-methoxy-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-ol (**1aa**)



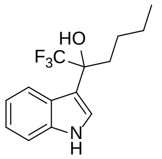
light brown solid, 83% yield. M.p. 171.5-172.4 °C. **^{1}H NMR** (500 MHz, DMSO-d_6) δ: 10.99 (s, 1H), 7.54 (d, *J* = 8.8 Hz, 1H), 7.20 (d, *J* = 2.0 Hz, 1H), 6.87 (d, *J* = 1.8 Hz, 1H), 6.65 (dd, *J* = 8.8, 1.9 Hz, 1H), 6.53 (t, *J* = 9.4 Hz, 2H), 6.29 (d, *J* = 18.7 Hz, 1H), 3.75 (s, 3H), 0.10 (s, 9H). **^{13}C NMR** (126 MHz, DMSO-d_6) δ: 155.4, 142.0, 137.2, 131.5, 125.8 (q, *J* = 287.0 Hz), 123.1, 121.8, 119.7, 112.1, 109.2, 94.3, 75.6 (q, *J* = 28.7 Hz), 55.1, -1.3. **^{19}F NMR** (471 MHz, DMSO-d_6) δ: -77.09. IR (ATR) ν_{max}: 3409, 2955, 1247, 1157, 832, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{16}H_{20}NO_2F_3Si$ [M-H]$^-$: 342.1143; found: 342.1136.

1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(triisopropylsilyl)but-3-yn-2-ol (**1ab**)



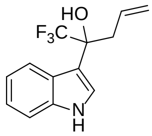
light brown solid, 87% yield. M.p. 104.3-105.0 °C. **^{1}H NMR** (500 MHz, DMSO-d_6) δ: 11.31 (s, 1H), 7.85 (s, 1H), 7.42 (d, *J* = 14.4 Hz, 3H), 7.06 (d, *J* = 53.8 Hz, 2H), 1.10 (s, 21H). **^{13}C NMR** (126 MHz, DMSO-d_6) δ: 136.6, 125.4, 124.8, 124.4 (q, *J* = 286.0 Hz), 121.4, 120.8, 119.0, 111.7, 111.0, 104.2, 86.6, 69.5 (q, *J* = 32.7 Hz), 18.4, 10.6. **^{19}F NMR** (471 MHz, DMSO-d_6) δ: -79.19. IR (ATR) ν_{max}: 3411, 2944, 2866, 1459, 1176, 744 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{21}H_{28}NOF_3SiI$ [M-H]$^-$: 394.1819; found: 394.1814.

1,1,1-trifluoro-2-(1*H*-indol-3-yl)hexan-2-ol (**1ac**)



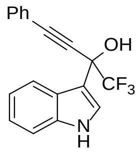
yellow oil, 93% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 7.86 (d, *J* = 8.0 Hz, 1H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.25 (dd, *J* = 6.1, 1.7 Hz, 2H), 7.20-7.12 (m, 1H), 2.55 (s, 1H), 2.46-2.26 (m, 1H), 2.17-1.93 (m, 1H), 1.45-1.11 (m, 4H), 0.86 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.7, 126.3 (q, *J* = 285.9 Hz), 125.4, 123.8, 122.4, 121.0, 120.3, 112.8, 111.6, 76.9 (q, *J* = 29.2 Hz), 34.7, 25.0, 23.0, 14.0. **^{19}F NMR** (376 MHz, CDCl$_3$) δ: -80.31. IR (ATR) ν_{max}: 3415, 2946, 2864, 1456, 1168, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{14}H_{16}NOF_3$ [M-H]$^-$: 270.1111; found: 270.1108.

1,1,1-trifluoro-2-(1*H*-indol-3-yl)pent-4-en-2-ol (**1ad**）



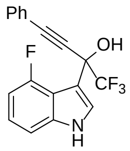
yellow oil, 80% yield. **^{1}H NMR** (400 MHz, CDCl$_3$) δ: 8.24 (s, 1H), 7.88 (d, *J* = 8.0 Hz, 1H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.31-7.21 (m, 2H), 7.18 (dd, *J* = 11.1, 4.0 Hz, 1H), 5.88-5.53 (m, 1H), 5.42-5.00 (m, 2H), 3.17 (d, *J* = 6.5 Hz, 1H), 2.92 (dd, *J* = 14.2, 8.1 Hz, 1H), 2.75 (s, 1H). **^{13}C NMR** (126 MHz, CDCl$_3$) δ: 136.7, 131.2, 127.1 (q, *J* = 285.6 Hz), 125.3, 124.1, 122.5, 121.4, 121.1, 120.4, 113.0, 111.6, 75.3 (q, *J* = 29.6 Hz), 39.8. **^{19}F NMR** (376 MHz, CDCl$_3$) δ: -79.73. IR (ATR) ν_{max}: 3409, 2937, 2862, 1455, 1171, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{13}H_{12}NOF_3$ [M-H]$^-$: 254.0798; found: 254.0792.

1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-phenylbut-3-yn-2-ol (**1ae**)



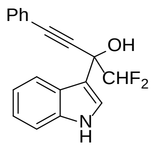
light brown solid, 88% yield. M.p. 131.7-132.3 ^{o}C.**^{1}H NMR** (400 MHz, CDCl$_3$) δ: 8.25 (s, 1H), 8.03 (d, *J* = 7.9 Hz, 1H), 7.52 (t, *J* = 5.4 Hz, 3H), 7.43-7.32 (m, 4H), 7.26-7.16 (m, 2H), 3.16 (s, 1H). **^{13}C NMR** (101 MHz, CDCl$_3$) δ: 136.7, 132.2, 129.5, 128.6, 128.6, 125.0, 124.1 (q, *J* = 285.4 Hz), 122.8, 121.3, 121.2, 120.7, 111.7, 111.5, 87.3, 84.7, 71.2 (q, *J* = 34.3 Hz). **^{19}F NMR** (376 MHz, CDCl$_3$) δ: -79.92. IR (ATR) ν_{max}: 3408, 2232, 1172, 745, 689 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{18}H_{11}NOF_3$ [M-H]$^-$: 314.0798; found: 314.0794.

1,1,1-trifluoro-2-(4-fluoro-1*H*-indol-3-yl)-4-phenylbut-3-yn-2-ol (**1af**)



light brown solid, 50% yield. M.p. 141.4-142.7 ^{o}C. **^{1}H NMR** (500 MHz, DMSO-d$_6$) δ: 11.64 (s, 1H), 7.62 (d, *J* = 2.6 Hz, 1H), 7.58 (s, 1H), 7.51 (dd, *J* = 7.4, 2.1 Hz, 2H), 7.46-7.36 (m, 3H), 7.28 (d, *J* = 8.1 Hz, 1H), 7.12 (td, *J* = 8.0, 4.7 Hz, 1H), 6.81 (dt, *J* = 16.1, 8.0 Hz, 1H). **^{13}C NMR** (126 MHz, DMSO-d$_6$) δ: 156.2, 154.2, 139.9 (d, *J* = 10.9 Hz), 131.5, 129.3, 128.8, 126.4, 124.1 (q, *J* = 285.7 Hz), 122.2 (d, *J* = 7.9 Hz), 121.3, 113.4 (d, *J* = 19.7 Hz), 110.0 (d, *J* = 3.5 Hz), 108.3 (d, *J* = 3.5 Hz), 105.3, 105.2, 86.7, 84.9, 69.2 (q, *J* = 32.9 Hz). **^{19}F NMR** (471 MHz, DMSO-d$_6$) δ: -78.75 (d, *J* = 14.5 Hz), -102.38~-131.07 (m). IR (ATR) ν_{max}: 3411, 2233, 1175, 744, 687 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{18}H_{11}NOF_4$ [M-H]$^-$: 332.0704; found: 332.0699.

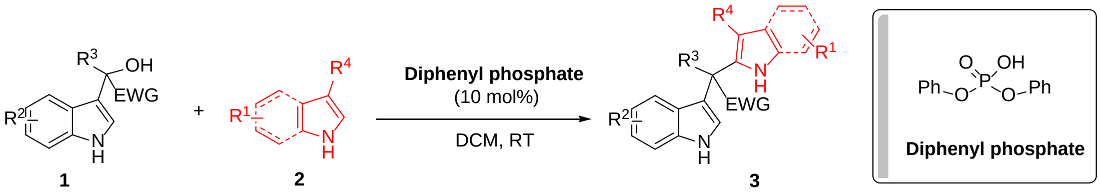
1,1-difluoro-2-(1*H*-indol-3-yl)-4-phenylbut-3-yn-2-ol (**1ag**)



light brown solid, 55% yield. M.p. 113.5-114.3 ^{o}C. **^{1}H NMR** (500 MHz, DMSO-d$_6$) δ: 11.23 (s, 1H), 7.87 (d, *J* = 8.0 Hz, 1H), 7.53 (dd, *J* = 6.5, 2.9 Hz, 2H), 7.49 (d, *J* = 2.5 Hz, 1H), 7.46-7.36 (m, 4H), 7.12 (t, *J* = 7.5 Hz, 1H), 7.03 (t, J = 7.5 Hz, 1H), 6.95 (s, 1H), 6.19 (t, J = 56.1 Hz, 1H). **^{13}C NMR** (126 MHz, DMSO-d_6) δ: 136.8, 131.5, 129.1, 128.8, 125.1, 124.7, 121.7, 121.3, 120.5, 119.0, 115.2 (t, J = 250.2 Hz), 112.7, 111.7, 87.9, 85.1, 69.4 (t, J = 24.0 Hz). **^{19}F NMR** (471 MHz, DMSO-d_6) δ: -124.95 (d, J = 55.9 Hz), -125.66 (dd, J = 130.6, 56.2 Hz), -126.36 (d, J = 56.4 Hz). IR (ATR) ν_{max}: 3409, 2234, 1070, 745, 690 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{18}H_{13}NOF_2$ [M-H]$^-$: 296.0892; found: 296.08922.

4. Experimental Procedure and Characterization of Products

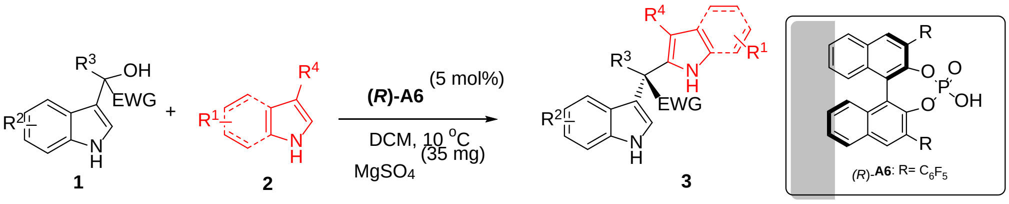
a. General Procedure to Prepare Racemic Products 3a~3an



To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.), and catalyst diphenyl phosphate (0.01 mmol, 10 mol%) in DCM (2 mL) was added indole **2** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~5:1) to give the desired racemic products **3a~3an**.

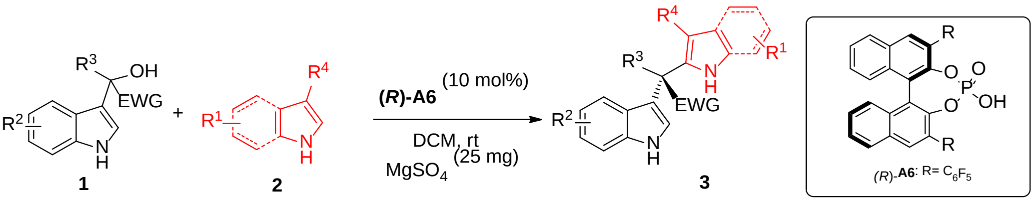
b. General Procedure to Prepare Enantioenriched Products 3a~3an

Conditions A:



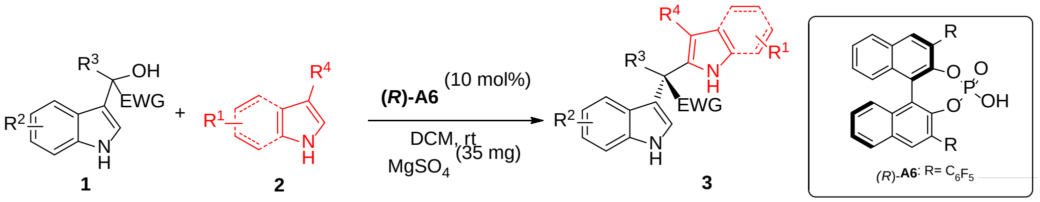
To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.), $MgSO_4$ (35 mg), and catalyst (*R*)-**A6** (0.005 mmol, 5 mol%) in DCM (3 mL) was added indole **2** (0.1 mmol, 1 equiv.). The mixture was stirred at 10 °C until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~5:1) to give the desired chiral products **3a~3s, 3al~3am**.

Conditions B:



To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.), $MgSO_4$ (25 mg), and catalyst (*R*)-**A6** (0.01 mmol, 10 mol%) in DCM (1 mL) was added indole **2** (0.12 mmol, 1.2 equiv.). The mixture was stirred at at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~5:1) to give the desired chiral products **3t~3ak, 3an**.

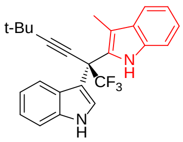
Conditions C:



To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.), $MgSO_4$ (35 mg), and catalyst (*R*)-**A6** (0.01 mmol, 10 mol%) in DCM (3 mL) was added indole **2** (0.10 mmol, 1.0 equiv.). The mixture was stirred at at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~5:1) to give the desired chiral products **3p~3q**.

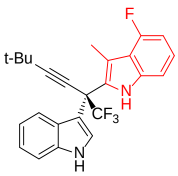
c. Analytical Data for Products 3a~3an

(*R*)-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3a**)



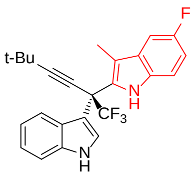
colorless oil, 5 d, 92% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +77.50 (c = 0.16, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.13 (s, 1H), 8.08 (s, 1H), 7.55 (d, *J* = 7.8 Hz, 1H), 7.36 (dd, *J* = 26.2, 5.7 Hz, 3H), 7.25 (d, *J* = 7.7 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 2H), 7.11 (t, *J* = 7.4 Hz, 1H), 6.96 (t, *J* = 7.6 Hz, 1H), 2.20 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.4, 134.4, 130.0, 127.0, 125.9 (q, *J* = 284.8 Hz), 125.8, 122.8 (d, *J* = 2.1 Hz), 122.7, 122.5 121.0, 120.1, 119.3, 119.0, 111.8, 111.3, 111.1, 110.9, 95.0, 73.9, 46.9 (q, *J* = 30.7 Hz), 30.7, 27.9, 9.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.84. IR (ATR) ν_{max}: 3409, 2967, 2856, 1477, 1443, 1159, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{23}N_2F_3$ $[M+H]^+$: 409.1886; found: 409.1887. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 6.0 min, t_{minor} = 6.8 min.

(*R*)-4-fluoro-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3b**)



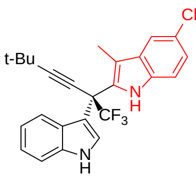
colorless oil, 12 d, 62% yield, 87:13 *er*, $[\alpha]_D^{20}$ = +35.71 (c = 0.14, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.21 (s, 1H), 8.12 (s, 1H), 7.40 (d, *J* = 8.2 Hz, 1H), 7.36 (d, *J* = 8.0 Hz, 2H), 7.20 (t, *J* = 7.6 Hz, 1H), 7.07-6.92 (m, 3H), 6.70 (dd, *J* = 11.4, 7.5 Hz, 1H), 2.34 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.8 (d, *J* = 247.7 Hz), 136.9 (d, *J* = 11.3 Hz), 136.4, 126.9, 125.9 (q, *J* = 284.7 Hz), 125.7, 122.9 (d, *J* = 3.1 Hz), 122.9, 122.8 (d, *J* = 8.1 Hz), 120.8, 120.2, 118.6 (d, *J* = 18.0 Hz), 111.3, 110.9, 110.8 (d, *J* = 3.2 Hz), 107.1 (d, *J* = 3.6 Hz), 104.7 (d, *J* = 19.7 Hz), 95.2, 73.65, 46.8 (q, *J* = 31.1 Hz), 30.7, 27.9, 11.0. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.88, -124.49. IR (ATR) ν_{max}: 3449, 2960, 2811, 1477, 1451, 1159, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{22}N_2F_4$ $[M-H]^-$: 425.1646; found: 425.1648. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 9.4 min, t_{minor} = 10.7 min.

(*R*)-5-fluoro-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3c**)



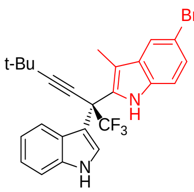
colorless oil, 7 d, 82% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +70.03 (c = 0.14, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.23 (s, 1H), 8.05 (s, 1H), 7.40 (d, *J* = 8.2 Hz, 1H), 7.37 (s, 1H), 7.34 (d, *J* = 8.1 Hz, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.17-7.12 (m, 2H), 6.97 (t, *J* = 7.6 Hz, 1H), 6.91 (td, *J* = 9.1, 2.4 Hz, 1H), 2.14 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.8 (d, *J* = 234.4 Hz), 136.4, 130.8, 130.3 (d, *J* = 9.4 Hz), 128.8, 125.9 (q, *J* = 284.8 Hz), 125.7, 122.9 (d, *J* = 2.6 Hz), 122.8 (d, *J* = 4.6 Hz), 120.8, 120.2, 112.0 (d, *J* = 4.9 Hz), 111.6 (d, *J* = 9.5 Hz), 111.3, 111.0 (d, *J* = 4.5 Hz), 110.8, 103.8 (d, *J* = 23.2 Hz), 95.2, 73.7, 46.9 (q, *J* = 30.8 Hz), 30.7, 27.9, 9.4. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.86, -124.81 (td, *J* = 9.5, 4.3 Hz). IR (ATR) ν_{max}: 3443, 2967, 2824, 1468, 1433, 1177, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{22}N_2F_4$ $[M+H]^+$: 427.1792; found: 427.1786. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 5.8 min, t_{minor} = 6.8 min.

(*R*)-5-chloro-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3d**)



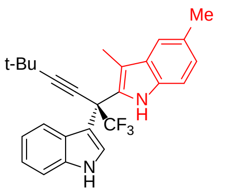
colorless oil, 7 d, 86% yield, 93:7 *er*, $[\alpha]_D^{20}$ = +75.83 (c = 0.12, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 8.08 (s, 1H), 7.49 (d, *J* = 1.3 Hz, 1H), 7.40 (d, *J* = 8.2 Hz, 1H), 7.37 (s, 1H), 7.31 (d, *J* = 8.1 Hz, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.15 (d, *J* = 8.6 Hz, 1H), 7.11 (dd, *J* = 8.6, 1.8 Hz, 1H), 6.96 (t, *J* = 7.6 Hz, 1H), 2.14 (s, 3H), 1.26 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.4, 132.6, 131.1, 128.5, 125.8 (q, *J* = 284.8 Hz), 125.7, 125.1, 122.8, 122.8, 122.8, 120.8, 120.2, 118.6, 112.0, 111.6, 111.3, 110.9, 95.3, 73.6, 46.9 (q, *J* = 30.8 Hz), 30.7, 27.9, 9.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.89. IR (ATR) ν_{max}: 3437, 2954, 2809, 1507, 1487, 1174, 751 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{22}N_2F_3Cl$ $[M+H]^+$: 443.1496; found: 443.1493. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 5.8 min, t_{minor} = 7.2 min.

(*R*)-5-bromo-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3e**)



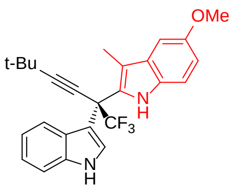
colorless oil, 7 d, 78% yield, 92:8 *er*, $[\alpha]_D^{20}$ = +61.82 (c = 0.12, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 8.09 (s, 1H), 7.65 (s, 1H), 7.40 (d, *J* = 8.2 Hz, 1H), 7.37 (s, 1H), 7.30 (d, *J* = 8.1 Hz, 1H), 7.24 (d, *J* = 8.6 Hz, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.11 (d, *J* = 8.6 Hz, 1H), 6.96 (t, *J* = 7.6 Hz, 1H), 2.13 (s, 3H), 1.26 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.4, 132.9, 131.7, 128.3, 125.8 (q, *J* = 284.7 Hz), 125.7, 125.3, 122.9, 122.8, 121.7, 120.8, 120.2, 112.6, 112.4, 111.6, 111.3, 110.9, 95.3, 73.6, 46.9 (q, *J* = 31.1 Hz), 30.7, 27.9, 9.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.89. IR (ATR) ν_{max}: 3441, 2933, 2847, 1455, 1427, 1108, 746 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{22}N_2F_3Br$ $[M+H]^+$: 487.0991; found: 487.0985. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 5.9 min, t_{minor} =7.5 min.

(*R*)-3,5-dimethyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3f**)



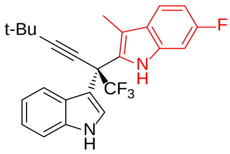
colorless oil, 5 d, 88% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +88.82 (c = 0.17, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.16 (s, 1H), 7.99 (s, 1H), 7.37 (dd, *J* = 9.1, 0.8 Hz, 2H), 7.33 (d, *J* = 4.2 Hz, 2H), 7.19 (d, *J* = 7.9 Hz, 1H), 7.17-7.12 (m, 1H), 7.01 (d, *J* = 8.3 Hz, 1H), 6.95 (t, *J* = 7.6 Hz, 1H), 2.46 (s, 3H), 2.16 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.3, 132.7, 130.2, 128.6, 127.1, 125.8, 124.1, 123.7 (q, *J* = 285.0 Hz), 122.8, 122.8, 122.7, 121.0, 120.1, 118.6, 111.3, 111.2, 110.6, 94.9, 74.0, 46.9 (q, *J* = 31.0 Hz), 30.7, 27.9, 21.6, 9.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.89. IR (ATR) ν_{max}: 3450, 2937, 2724, 1509, 1411, 1113, 734 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{25}N_2F_3$ $[M+H]^+$: 423.2043; found: 423.2051. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 5.3 min, t_{minor} = 6.5 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3g**)



colorless oil, 5 d, 96% yield, 98:2 *er*, $[\alpha]_D^{20}$ = +83.50 (c = 0.20, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.21 (s, 1H), 7.98 (s, 1H), 7.37 (dd, *J* = 8.0, 3.2 Hz, 2H), 7.34 (s, 1H), 7.19 (dd, *J* = 11.5, 4.4 Hz, 1H), 7.15 (d, *J* = 8.8 Hz, 1H), 7.00-6.93 (m, 2H), 6.85 (dd, *J* = 8.8, 2.4 Hz, 1H), 3.86 (s, 3H), 2.17 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.0, 136.4, 130.3, 129.6, 127.8, 125.9 (q, *J* = 284.6 Hz), 125.8, 122.9 (d, *J* = 2.1 Hz), 122.7, 121.0, 120.1, 112.9, 111.8, 111.5, 111.3, 111.1, 100.6, 94.9, 73.9, 56.1, 46.9 (q, *J* = 30.9 Hz), 30.7, 27.9, 9.5. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.86. IR (ATR) ν_{max}: 3408, 2968, 2866, 1484, 1457, 1167, 728 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{25}N_2OF_3$ $[M+H]^+$: 439.1992; found: 439.1997. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 6.2 min, t_{minor} = 7.4 min.

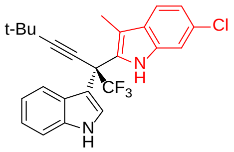
(*R*)-6-fluoro-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3h**)



colorless oil, 7 d, 84% yield, 95.5:4.5 *er*, $[\alpha]_D^{20}$ = +77.86 (c = 0.14, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.19 (s, 1H), 8.03 (s, 1H), 7.44 (dd, *J* = 8.6, 5.3 Hz, 1H), 7.40-7.34 (m, 3H), 7.20 (t, *J* = 7.6 Hz, 1H), 6.98 (t, *J* = 7.6 Hz, 1H), 6.92 (d, *J* = 9.6 Hz, 1H), 6.87 (t, *J* = 9.2 Hz, 1H), 2.18 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 160.3 (d, *J* = 237.7 Hz), 136.4, 134.1 (d, *J* = 12.6 Hz), 127.1 (d, *J* = 3.7 Hz), 126.6, 125.9 (q, *J* = 284.8 Hz), 125.7, 122.81, 122.8 (d, *J* = 2.6 Hz), 120.9, 120.2, 119.9 (d, *J* = 10.2 Hz), 111.9, 111.3, 111.0, 108.1 (d, *J* = 24.5

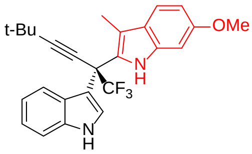
Hz), 97.2 (d, J = 26.1 Hz), 95.1, 73.8, 46.8 (q, J = 30.8 Hz), 30.7, 27.9, 9.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.99, -120.66. IR (ATR) ν_{max}: 3411, 2975, 2834, 1469, 1452, 1145, 735 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{22}N_2F_4$ $[M-H]^-$: 425.1646; found: 425.1659. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 5.9 min, t_{minor} = 6.6 min.

(*R*)-6-chloro-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3i**)



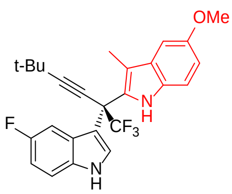
colorless oil, 7 d, 88% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +56.67 (c = 0.18, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.23 (s, 1H), 8.05 (s, 1H), 7.42 (d, J = 8.5 Hz, 1H), 7.41-7.34 (m, 2H), 7.32 (d, J = 8.1 Hz, 1H), 7.24 (d, J = 1.7 Hz, 1H), 7.19 (t, J = 7.6 Hz, 1H), 7.06 (dd, J = 8.5, 1.2 Hz, 1H), 6.96 (t, J = 7.6 Hz, 1H), 2.15 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.4, 134.6, 128.6, 128.4, 127.6, 125.8 (q, J = 284.8 Hz), 125.7, 122.8, 122.8, 122.8, 120.8, 120.2, 120.1, 111.0, 112.0, 111.3, 110.9, 95.2, 73.7, 46.9 (q, J = 30.9 Hz), 30.7, 27.9, 9.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.94. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{22}N_2F_3Cl$ $[M+H]^+$: 443.1496; found: 443.1491. IR (ATR) ν_{max}: 3437, 2919, 2858, 1449, 1416, 1178, 744 cm^{-1}. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 6.2 min, t_{minor} = 6.5 min.

(*R*)-6-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3j**)



colorless oil, 4 d, 98% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +52.50 (c = 0.40, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.16 (s, 1H), 7.95 (s, 1H), 7.41 (d, J = 8.5 Hz, 2H), 7.36 (d, J = 8.2 Hz, 1H), 7.33 (s, 1H), 7.19 (t, J = 7.5 Hz, 1H), 6.98 (t, J = 7.5 Hz, 1H), 6.78 (d, J = 8.7 Hz, 1H), 6.74 (s, 1H), 3.81 (s, 3H), 2.18 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 156.9, 136.4, 135.0, 126.0 (q, J = 284.7 Hz), 125.8, 125.4, 124.4, 122.7, 121.0, 120.1, 119.7, 111.8, 111.3, 111.2, 109.6, 94.8, 94.2, 74.0, 55.8, 46.8 (q, J = 30.8 Hz), 30.7, 27.9, 9.4. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.03. IR (ATR) ν_{max}: 3415, 2937, 2855, 1436, 1417, 1149, 738 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{25}N_2OF_3$ $[M-H]^-$: 437.1846; found: 437.1841. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 7.7 min, t_{minor} = 9.3 min.

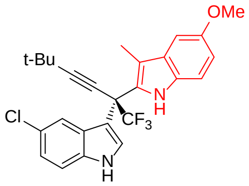
(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(5-fluoro-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3k**)



colorless oil, 4 d, 86% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +21.33 (c = 0.15, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.23 (s, 1H), 7.97 (s, 1H), 7.35 (s, 1H), 7.26 (dd, J = 9.0, 4.5 Hz, 1H), 7.17 (d, J = 8.8 Hz, 1H), 7.05 (d, J = 9.3 Hz, 1H), 6.96 (s, 1H), 6.95-6.89 (m, 1H), 6.86 (d, J = 8.8 Hz, 1H), 3.85 (s, 3H), 2.12 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126

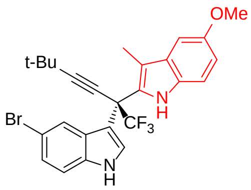
MHz, $CDCl_3$) δ: 157.7 (d, J = 235.2 Hz), 154.1, 132.9, 130.2, 129.6, 127.3, 126.3 (d, J = 10.4 Hz), 125.8 (q, J = 284.8 Hz), 124.5 (d, J = 2.4 Hz), 113.1, 112.0 (d, J = 9.8 Hz), 111.8, 111.5 (d, J = 10.4 Hz), 111.4 (d, J = 4.8 Hz), 111.3, 105.9 (d, J = 24.8 Hz), 100.7, 95.3, 73.6, 56.1, 46.8 (q, J = 30.9 Hz), 30.7, 27.9, 9.4. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.92, -123.27. IR (ATR) ν_{max}: 3407, 2952, 1472, 1441, 1165, 742 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{24}N_2OF_4$ $[M+H]^+$: 457.1898; found: 457.1896. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 97/3, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 24.2 min, t_{minor} = 31.5 min.

(*R*)-2-(2-(5-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-5,5-dimethylhex-3-yn-2-yl)-5-methoxy-3-methyl-1*H*-indole (**3l**)



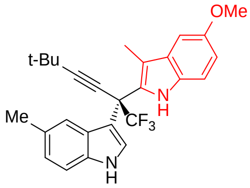
colorless oil, 3 d, 94% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +39.44 (c = 0.18, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.27 (s, 1H), 7.93 (s, 1H), 7.50 (d, J = 1.5 Hz, 1H), 7.33 (s, 1H), 7.29 (d, J = 8.7 Hz, 1H), 7.17 (d, J = 8.8 Hz, 1H), 7.14 (dd, J = 8.7, 1.9 Hz, 1H), 6.97 (d, J = 2.2 Hz, 1H), 6.86 (dd, J = 8.8, 2.4 Hz, 1H), 3.86 (s, 3H), 2.16 (s, 3H), 1.29 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 134.8, 130.3, 129.6, 127.3, 126.9, 125.9, 124.2 (d, J = 2.0 Hz), 123.5 (q, J = 284.0 Hz), 123.2, 120.5, 113.1, 112.4, 111.8, 111.6, 111.0, 100.7, 95.4, 73.7, 56.1, 46.8 (q, J = 31.1 Hz), 30.7, 27.9, 9.5. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.90. IR (ATR) ν_{max}: 3431, 2980, 1477, 1468, 1164, 782 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{24}N_2OF_3Cl$ $[M+H]^+$: 473.1602; found: 473.1591. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 6.8 min, t_{minor} = 8.7 min.

(*R*)-2-(2-(5-bromo-1*H*-indol-3-yl)-1,1,1-trifluoro-5,5-dimethylhex-3-yn-2-yl)-5-methoxy-3-methyl-1*H*-indole (**3m**)



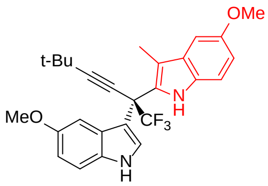
colorless oil, 4 d, 82% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +53.85 (c = 0.13, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 7.89 (s, 1H), 7.66 (s, 1H), 7.26 (s, 1H), 7.23 (d, J = 4.7 Hz, 1H), 7.21 (d, J = 8.6 Hz, 1H), 7.14 (d, J = 8.8 Hz, 1H), 6.94 (s, 1H), 6.83 (dd, J = 8.8, 1.8 Hz, 1H), 3.83 (s, 3H), 2.15 (s, 3H), 1.26 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 135.0, 130.3, 129.6, 127.5, 127.3, 125.8, 125.8 (q, J = 284.6 Hz), 124.0 (d, J = 2.5 Hz), 123.6, 113.5, 113.1, 112.8, 111.8, 111.6, 110.9, 100.7, 95.5, 73.6, 56.1, 46.8 (q, J = 31.0 Hz), 30.6, 27.9, 9.5. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.87. IR (ATR) ν_{max}: 3419, 2957, 1491, 1460, 1159, 786 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{24}N_2OF_3Br$ $[M+H]^+$: 517.1097; found: 517.1091. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 97/3, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 26.1 min, t_{minor} = 40.5 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-5,5-dimethyl-2-(5-methyl-1*H*-indol-3-yl)hex-3-yn-2-yl)-1*H*-indole (**3n**)



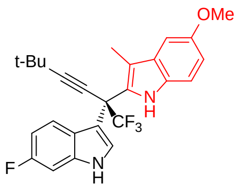
colorless oil, 4 d, 98% yield, 98:2 *er*, $[\alpha]_D^{20}$ = +78.50 (c = 0.20, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.13 (s, 1H), 7.93 (s, 1H), 7.33 (s, 1H), 7.27 (dd, J = 7.6, 5.4 Hz, 2H), 7.15 (d, J = 8.8 Hz, 1H), 7.03 (dd, J = 9.3, 5.2 Hz, 2H), 6.90-6.79 (m, 1H), 3.88 (s, 3H), 2.33 (s, 3H), 2.28 (s, 3H), 1.31 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.0, 134.8, 130.3, 129.6, 129.3, 128.0, 126.0, 126.0 (q, J = 284.8 Hz), 124.4, 123.1 (d, J = 2.4 Hz), 120.7, 112.8, 111.8, 111.4, 110.9, 110.3, 100.7, 94.8, 74.1, 56.1, 46.9 (q, J = 30.7 Hz), 30.7, 27.9, 21.6, 9.6. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.77. IR (ATR) ν_{max}: 3413, 2968, 1486, 1457, 1171, 801 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{27}N_2OF_3$ $[M+H]^+$: 453.2148; found: 453.2146. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 5.9 min, t_{minor} = 8.1 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(5-methoxy-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3o**)



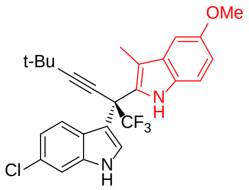
colorless oil, 3 d, 98% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +64.71 (c = 0.17, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.12 (s, 1H), 7.98 (s, 1H), 7.31 (s, 1H), 7.23 (dd, J = 9.2, 4.6 Hz, 1H), 7.15 (d, J = 8.8 Hz, 1H), 6.97 (d, J = 2.3 Hz, 1H), 6.85 (dd, J = 2.3, 1.4 Hz, 1H), 6.83 (dd, J = 2.2, 1.6 Hz, 1H), 6.75 (d, J = 2.3 Hz, 1H), 3.85 (s, 3H), 3.57 (s, 3H), 2.18 (s, 3H), 1.29 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 154.0, 131.5, 130.3, 129.6, 127.7, 126.3, 126.0 (q, J = 284.5 Hz), 123.7 (d, J = 2.5 Hz), 113.1, 112.9, 112.0, 111.7, 111.5, 110.8, 102.5, 100.7, 94.8, 73.9, 56.1, 55.7, 46.9 (q, J = 30.8 Hz), 30.8, 27.9, 9.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -70.76. IR (ATR) ν_{max}: 3401, 2957, 1464, 1434, 1169, 784 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{27}N_2O_2F_3$ $[M+H]^+$: 469.2097; found: 469.2110. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 7.6 min, t_{minor} = 10.5 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(6-fluoro-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3p**)



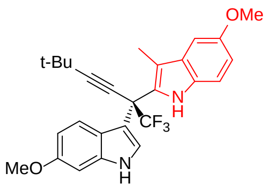
colorless oil, 26 d, 76% yield, 96.5:3.5 *er* (**Conditions A)**; 3 d, 92% yield, 95:5 *er* (**Conditions C)**, $[\alpha]_D^{20}$ = +78.98 (c = 0.09, MeOH)**.** **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.22 (s, 1H), 7.98 (s, 1H), 7.32 (s, 1H), 7.25 (dd, J = 8.3, 5.1 Hz, 1H), 7.17 (d, J = 8.7 Hz, 1H), 7.07-7.01 (m, 1H), 6.96 (s, 1H), 6.86 (d, J = 8.8 Hz, 1H), 6.72 (t, J = 9.2 Hz, 1H), 3.85 (s, 3H), 2.12 (s, 3H), 1.26 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 160.2 (d, J = 239.1 Hz), 154.0, 136.3 (d, J = 12.3 Hz), 130.2, 129.6, 127.5, 125.8 (q, J = 284.7 Hz), 123.1, 122.3, 121.8 (d, J = 10.0 Hz), 113.0, 111.8, 111.5 (d, J = 18.0 Hz), 109.0 (d, J = 24.3 Hz), 100.6, 97.5 (d, J = 26.1 Hz), 95.1, 73.8, 56.1, 46.8 (q, J = 31.0 Hz), 30.7, 27.9, 9.4. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.99, -120.23. IR (ATR) ν_{max}: 3428, 2949, 1476, 1437, 1129, 768 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{24}N_2OF_4$ $[M+H]^+$: 457.1898; found: 457.1910. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 6.2 min, t_{minor} = 6.9 min.

(*R*)-2-(2-(6-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-5,5-dimethylhex-3-yn-2-yl)-5-methoxy-3-methyl-1*H*-indole (**3q**)



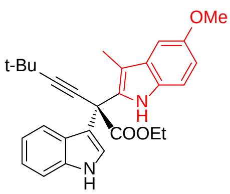
colorless oil, 30 d, 68% yield, 96:4 *er* (**Conditions A)**; 3 d, 84% yield, 95:5 *er* (**Conditions C)**, $[\alpha]_D^{20}$ = +83.57 (c = 0.14, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.22 (s, 1H), 7.99 (s, 1H), 7.36 (d, *J* = 1.2 Hz, 1H), 7.33 (s, 1H), 7.23 (d, *J* = 8.6 Hz, 1H), 7.18 (d, *J* = 8.8 Hz, 1H), 6.96 (d, *J* = 2.1 Hz, 1H), 6.92 (dd, *J* = 8.6, 1.6 Hz, 1H), 6.86 (dd, *J* = 8.8, 2.4 Hz, 1H), 3.86 (s, 3H), 2.10 (s, 3H), 1.26 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 136.7, 130.2, 129.6, 128.8, 127.4, 125.8 (q, *J* = 284.7 Hz), 124.4, 123.4 (d, *J* = 2.1 Hz), 121.7, 120.9, 113.1, 111.8, 111.6, 111.6, 111.3, 100.7, 95.2, 73.7, 56.1, 46.8 (q, *J* = 30.9 Hz), 30.7, 27.9, 9.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.98. IR (ATR) ν_{max}: 3409, 2942, 1459, 1456, 1173, 799 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{24}N_2OF_3Cl$ $[M+H]^+$: 473.1602; found: 473.1608. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 6.2 min, t_{minor} = 7.1 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(6-methoxy-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**3r**)



colorless oil, 3 d, 96% yield, 98:2 *er*, $[\alpha]_D^{20}$ = +25.00 (c = 0.24, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.06 (s, 1H), 7.97 (s, 1H), 7.24 (dd, *J* = 14.1, 5.2 Hz, 2H), 7.14 (d, *J* = 8.8 Hz, 1H), 6.97 (s, 1H), 6.84 (dd, *J* = 8.8, 2.0 Hz, 1H), 6.81 (s, 1H), 6.64 (dd, *J* = 8.8, 1.7 Hz, 1H), 3.86 (s, 3H), 3.80 (s, 3H), 2.19 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 156.8, 154.0, 137.2, 130.2, 129.6, 127.8, 125.9 (q, *J* = 284.8 Hz), 121.7 (d, *J* = 2.3 Hz), 121.7, 120.1, 112.9, 111.8, 111.5, 111.1, 110.2, 100.6, 94.9, 94.4, 74.0, 56.1, 55.6, 46.9 (q, *J* = 31.0 Hz), 30.7, 27.9, 9.5. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.91. IR (ATR) ν_{max}: 3409, 2967, 1504, 1467, 1134, 773 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{27}N_2O_2F_3$ $[M+H]^+$: 469.2097; found: 469.2106. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 7.8 min, t_{minor} = 11.4 min.

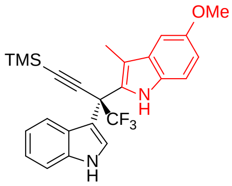
(*R*)-ethyl 2-(1*H*-indol-3-yl)-2-(5-methoxy-3-methyl-1*H*-indol-2-yl)-5,5-dimethylhex-3-ynoate (**3s**)



colorless oil, 7 d, 82% yield, 95:5 *er*, $[\alpha]_D^{20}$ = -7.00 (c = 0.10, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.28 (s, 1H), 8.15 (s, 1H), 7.65 (d, *J* = 8.1 Hz, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.28 (s, 1H), 7.25-7.18 (m, 2H), 7.14 (d, *J* = 8.7

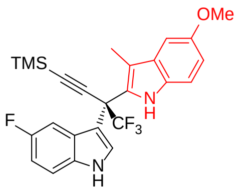
Hz, 1H), 7.07 (dd, J = 11.2, 3.9 Hz, 1H), 7.01 (d, J = 2.4 Hz, 1H), 6.82 (dd, J = 8.7, 2.4 Hz, 1H), 4.35-4.15 (m, 2H), 3.89 (s, 3H), 2.27 (s, 3H), 1.39-1.12 (m, 11H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 170.5, 154.0, 137.1, 132.3, 130.4, 129.3, 125.6, 124.3, 122.5, 121.3, 120.0, 114.5, 111.9, 111.8, 111.4, 108.6, 100.5, 93.8, 62.4, 56.1, 46.9, 31.0, 29.6, 27.9, 14.2, 9.5. IR (ATR) ν_{max}: 3364, 2925, 2854, 1700, 1217, 743 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{28}H_{30}N_2O_3$ [M-H]$^-$: 441.2184; found: 441.2169. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 44.0 min, t_{minor} = 20.8 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-yl)-1*H*-indole (**3t**)



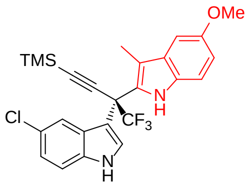
colorless oil, 18 h, 86% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +80.00 (c = 0.13, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.26 (s, 1H), 7.99 (s, 1H), 7.39 (d, J = 7.9 Hz, 2H), 7.33 (d, J = 8.1 Hz, 1H), 7.19 (t, J = 7.6 Hz, 1H), 7.15 (d, J = 8.8 Hz, 1H), 7.00-6.92 (m, 2H), 6.85 (d, J = 8.7 Hz, 1H), 3.85 (s, 3H), 2.15 (s, 3H), 0.21 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 136.4, 130.2, 129.7, 126.9, 125.7 (q, J = 285.4 Hz), 125.7, 123.2 (d, J = 2.3 Hz), 122.8, 120.9, 120.3, 113.1, 111.9, 111.4, 110.1, 100.7, 99.5, 92.0, 56.0, 47.8 (q, J = 31.0 Hz), 9.4, -0.2. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.39. IR (ATR) ν_{max}: 3410, 2958, 1485, 1458, 843, 743 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{25}N_2OF_3Si$ [M+H]$^+$: 455.1761; found: 455.1782. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 10.3 min, t_{minor} = 11.6 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(5-fluoro-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-yl)-1*H*-indole (**3u**)



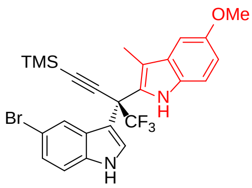
Colorless oil, 48 h, 87% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +68.33 (c = 0.18, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.27 (s, 1H), 8.00 (s, 1H), 7.39 (s, 1H), 7.29 (dd, J = 8.8, 4.3 Hz, 1H), 7.18 (d, J = 8.8 Hz, 1H), 7.03 (dd, J = 9.9, 1.8 Hz, 1H), 6.97 (d, J = 1.6 Hz, 1H), 6.94 (td, J = 9.0, 2.2 Hz, 1H), 6.87 (dd, J = 8.8, 2.1 Hz, 1H), 3.86 (s, 3H), 2.13 (s, 3H), 0.23 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.8 (d, J = 235.5 Hz), 154.1, 132.85, 130.2, 129.7, 126.3, 126.2 (d, J = 10.4 Hz), 125.5 (q, J = 285.0 Hz), 124.7 (d, J = 2.3 Hz), 113.3, 112.1 (d, J = 9.7 Hz), 111.9, 111.9, 111.5 (d, J = 26.6 Hz), 110.4 (d, J = 4.7 Hz), 105.9 (d, J = 24.8 Hz), 100.7, 99.1, 92.4, 56.1, 47.7 (q, J = 31.3 Hz), 9.4, -0.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.44, -123.03. IR (ATR) ν_{max}: 3434, 2968, 1464, 1432, 911, 740 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{24}N_2OF_4Si$ [M-H]$^-$: 471.1521; found: 471.1525. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 12.1 min, t_{minor} = 13.6 min.

(*R*)-2-(2-(5-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-yn-2-yl)-5-methoxy-3-methyl-1*H*-indole (**3v**)



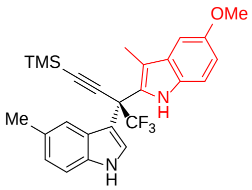
colorless oil, 48 h, 80% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +63.53 (c = 0.17, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.29 (s, 1H), 7.97 (s, 1H), 7.46 (s, 1H), 7.34 (s, 1H), 7.28 (t, *J* = 8.6 Hz, 1H), 7.18 (d, *J* = 8.8 Hz, 1H), 7.15 (d, *J* = 8.7 Hz, 1H), 6.98 (s, 1H), 6.87 (d, *J* = 8.8 Hz, 1H), 3.86 (s, 3H), 2.16 (s, 3H), 0.24 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 134.7, 130.2, 129.7, 127.8 (q, *J* = 285.0 Hz), 126.7, 126.3, 126.0, 124.4 (d, *J* = 2.1 Hz), 123.4, 120.4, 113.3, 112.4, 111.9, 110.0, 100.7, 99.1, 92.6, 56.1, 47.7 (q, *J* = 31.2 Hz), 9.5, -0.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.40. IR (ATR) ν_{max}: 3438, 2913, 1495, 1482, 863, 741 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{24}N_2OF_3SiCl$ $[M-H]^-$: 487.1226; found: 487.1225. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 13.0 min, t_{minor} = 16.5 min.

(*R*)-2-(2-(5-bromo-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-yn-2-yl)-5-methoxy-3-methyl-1*H*-indole (**3w**)



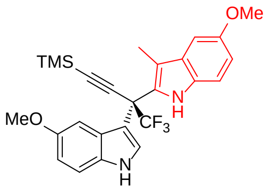
colorless oil, 48 h, 88% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +50.48 (c = 0.21, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.27 (s, 1H), 7.91 (s, 1H), 7.61 (s, 1H), 7.29 (s, 1H), 7.22 (t, *J* = 9.0 Hz, 2H), 7.14 (d, *J* = 8.8 Hz, 1H), 6.94 (s, 1H), 6.83 (d, *J* = 8.8 Hz, 1H), 3.83 (s, 3H), 2.12 (s, 3H), 0.21 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 135.0, 130.2, 129.7, 127.4, 126.3, 126.0, 125.5 (q, *J* = 285.0 Hz), 124.3 (d, *J* = 2.4 Hz), 123.5, 113.7, 113.3, 112.9, 111.9, 109.9, 100.7, 99.0, 92.7, 56.1, 47.7 (q, *J* = 31.2 Hz), 9.5, -0.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.39. IR (ATR) ν_{max}: 3424, 2937, 1466, 1448, 812, 738 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{24}N_2OF_3SiBr$ $[M-H]^-$: 531.0721; found: 531.0727. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 13.5 min, t_{minor} = 18.0 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(5-methyl-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-yl)-1*H*-indole (**3x**)



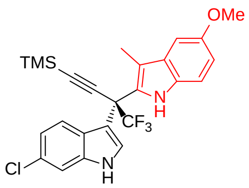
colorless oil, 12 h, 82% yield, 96.5:3.5 *er*, $[\alpha]_D^{20}$ = +82.00 (c = 0.15, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.14 (s, 1H), 7.89 (s, 1H), 7.29 (s, 1H), 7.28-7.21 (m, 2H), 7.11 (d, *J* = 8.8 Hz, 1H), 7.01 (d, *J* = 8.3 Hz, 1H), 6.97 (s, 1H), 6.82 (d, *J* = 8.8 Hz, 1H), 3.84 (s, 3H), 2.28 (s, 3H), 2.22 (s, 3H), 0.20 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.0, 134.7, 130.3, 129.6, 129.5, 127.9 (q, *J* = 284.9 Hz), 126.9, 125.9, 124.6, 123.3 (d, *J* = 2.5 Hz), 120.6, 113.0, 111.9, 111.7, 111.0, 109.3, 100.6, 99.5, 91.9, 56.0, 47.8 (q, *J* = 31.0 Hz), 21.7, 9.6, -0.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.29. IR (ATR) ν_{max}: 3408, 2958, 1484, 1468, 843, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{27}N_2OF_3Si$ $[M-H]^-$: 467.1772; found: 467.1771. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 9.8 min, t_{minor} = 13.8 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(5-methoxy-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-yl)-1*H*-indole (**3y**)



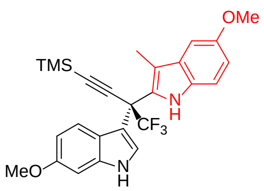
colorless oil, 12 h, 84% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +65.88 (c = 0.17, MeOH). ^{1}**H NMR** (500 MHz, $CDCl_3$) δ: 8.17 (s, 1H), 8.01 (s, 1H), 7.33 (s, 1H), 7.25 (d, *J* = 9.1 Hz, 1H), 7.16 (d, *J* = 8.8 Hz, 1H), 6.97 (s, 1H), 6.85 (d, *J* = 8.8 Hz, 2H), 6.71 (s, 1H), 3.86 (s, 3H), 3.55 (s, 3H), 2.17 (s, 3H), 0.22 (s, 9H). ^{13}**C NMR** (126 MHz, $CDCl_3$) δ: 154.2, 154.0, 131.4, 130.2, 129.7, 126.6, 126.2, 125.7 (q, *J* = 285.0 Hz), 123.9 (d, *J* = 2.2 Hz), 113.2, 113.1, 112.0, 111.9, 111.8, 109.8, 102.4, 100.6, 99.4, 91.7, 56.0, 55.7, 47.7 (q, *J* = 30.9 Hz), 9.5, -0.2. ^{19}**F NMR** (471 MHz, $CDCl_3$) δ: -70.28. IR (ATR) ν_{max}: 3409, 2937, 1475, 1434, 813, 744 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{27}N_2O_2F_3Si$ [M-H]$^-$: 483.1721; found: 483.1726. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 13.5 min, t_{minor} = 18.8 min.

(*R*)-2-(2-(6-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-yn-2-yl)-5-methoxy-3-methyl-1*H*-indole (**3z**)



colorless oil, 120 h, 74% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +162.92 (c = 0.08, MeOH). ^{1}**H NMR** (500 MHz, $CDCl_3$) δ: 8.27 (s, 1H), 8.02 (s, 1H), 7.37 (d, *J* = 1.7 Hz, 2H), 7.19 (t, *J* = 7.0 Hz, 2H), 6.96 (s, 1H), 6.95-6.91 (m, 1H), 6.87 (d, *J* = 8.8 Hz, 1H), 3.86 (s, 3H), 2.09 (s, 3H), 0.21 (s, 9H). ^{13}**C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 136.7, 130.1, 129.7, 128.9, 126.4, 125.5 (q, *J* = 284.8 Hz), 124.3, 123.7, 121.7, 121.1, 113.3, 111.9 (d, *J* = 8.3 Hz), 111.3, 110.6, 100.7, 99.2, 92.2, 56.0, 47.7 (q, *J* = 31.6 Hz), 9.3, -0.2. ^{19}**F NMR** (471 MHz, $CDCl_3$) δ: -70.50. IR (ATR) ν_{max}: 3407, 2939, 1467, 1445, 854, 737 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{24}N_2OF_3SiCl$ [M-H]$^-$: 487.1226; found: 487.1232. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 12.6 min, t_{minor} = 11.5 min.

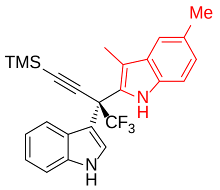
(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(6-methoxy-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-yl)-1*H*-indole (**3aa**)



colorless oil, 20 h, 76% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +86.67 (c = 0.15, MeOH). ^{1}**H NMR** (500 MHz, $CDCl_3$) δ: 8.09 (s, 1H), 7.98 (s, 1H), 7.30-7.23 (m, 1H), 7.22-7.18 (m, 1H), 7.14 (dd, *J* = 8.7, 1.6 Hz, 1H), 6.98 (s, 1H), 6.85 (d, *J* =

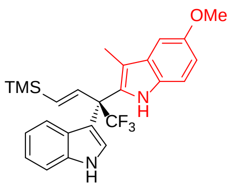
8.7 Hz, 1H), 6.80 (s, 1H), 6.64 (d, *J* = 8.8 Hz, 1H), 3.86 (s, 3H), 3.80 (s, 3H), 2.19 (s, 3H), 0.27-0.10 (m, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 156.9, 154.0, 137.2, 130.2, 129.6, 126.8, 125.6 (q, *J* = 285.1 Hz), 121.9, 121.5, 119.9, 113.1, 111.8 (d, *J* = 4.6 Hz), 110.4, 110.0, 100.6, 99.6, 94.5, 91.9, 56.0, 55.6, 47.7 (q, *J* = 31.0 Hz), 9.4, -0.2. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.43. IR (ATR) ν_{max}: 3414, 2968 1484, 1452, 829, 742 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{27}N_2O_2F_3Si$ $[M-H]^-$: 483.1721; found: 483.1713. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 14.7 min, t_{minor} = 18.9 min.

(*R*)-3,5-dimethyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-yl)-1*H*-indole (**3ab**)



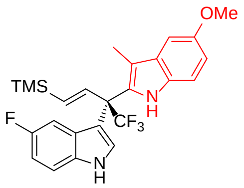
colorless oil, 36 h, 92% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +86.47 (c = 0.17, MeOH)**.** **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.17 (s, 1H), 8.01 (s, 1H), 7.42-7.29 (m, 4H), 7.22-7.12 (m, 2H), 7.03 (d, *J* = 8.3 Hz, 1H), 6.96 (t, *J* = 7.6 Hz, 1H), 2.46 (s, 3H), 2.16 (s, 3H), 0.21 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.3, 132.8, 130.1, 128.7, 126.1, 125.7, 125.7 (q, *J* = 284.8 Hz), 124.3, 123.1 (d, *J* = 2.4 Hz), 122.8, 120.9, 120.3, 118.6, 111.7, 111.3, 110.7, 110.2, 99.6, 91.9, 47.7 (q, *J* = 31.2 Hz), 21.6, 9.3, -0.2. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.41. IR (ATR) ν_{max}: 3445, 2976, 1482, 1457, 1107, 785 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{25}N_2F_3Si$ $[M-H]^-$: 437.1666; found: 437.1672. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 7.9 min, t_{minor} = 9.4 min.

(*R,E*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-yl)-1*H*-indole (**3ac**)



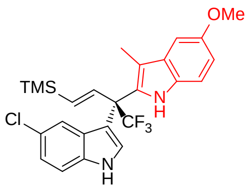
colorless oil, 56 h, 78% yield, 94:6 *er*, $[\alpha]_D^{20}$ = +38.18 (c = 0.11, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.28 (s, 1H), 7.89 (s, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.27 (d, *J* = 6.8 Hz, 1H), 7.16 (dd, *J* = 17.6, 8.2 Hz, 2H), 7.01 (dd, *J* = 9.8, 5.1 Hz, 2H), 6.91 (t, *J* = 7.6 Hz, 1H), 6.85 (dd, *J* = 8.7, 2.2 Hz, 1H), 6.68 (d, *J* = 18.8 Hz, 1H), 5.99 (d, *J* = 18.8 Hz, 1H), 3.88 (s, 3H), 2.02 (s, 3H), 0.10 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.0, 138.7, 136.5, 135.7, 130.1, 129.9, 129.1, 127.2 (q, *J* = 285.4 Hz), 126.1, 124.4 (d, *J* = 1.4 Hz), 122.5, 121.5, 120.2, 112.6, 111.8, 111.7, 111.4, 111.3, 100.5, 56.1 (q, *J* = 26.0 Hz), 56.1, 10.4, -1.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -67.78. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{27}N_2OF_3Si$ $[M-H]^-$: 455.1772; found: 455.1753. IR (ATR) ν_{max}: 3408, 2954, 1486, 1458, 839, 742 cm^{-1}**.** **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IB column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 17.7 min, t_{minor} = 11.4 min.

(*R,E*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(5-fluoro-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-yl)-1*H*-indole (**3ad**)



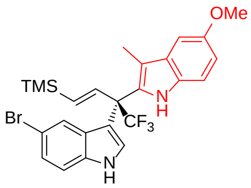
colorless oil, 96 h, 85% yield, 93:7 *er*, $[\alpha]_D^{20}$ = +22.00 (c = 0.20, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.29 (s, 1H), 7.86 (s, 1H), 7.35-7.28 (m, 2H), 7.17 (d, *J* = 8.7 Hz, 1H), 6.98 (d, *J* = 1.5 Hz, 1H), 6.92 (td, *J* = 8.9, 2.0 Hz, 1H), 6.86 (dd, *J* = 8.7, 2.0 Hz, 1H), 6.67 (d, *J* = 10.3 Hz, 1H), 6.62 (d, *J* = 18.8 Hz, 1H), 5.96 (d, *J* = 18.8 Hz, 1H), 3.87 (s, 3H), 1.97 (s, 3H), 0.10 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.8 (d, *J* = 235.2 Hz), 154.1, 138.3, 136.3, 133.0, 130.0 (d, *J* = 10.6 Hz), 128.5, 127.1 (q, *J* = 285.6 Hz), 126.6 (d, *J* = 10.5 Hz), 126.2, 112.8, 112.0 (d, *J* = 4.9 Hz), 112.0, 111.8, 111.6, 111.3, 111.1, 106.4 (d, *J* = 24.8 Hz), 100.6, 56.1, 56.0 (q, *J* = 23.2 Hz), 10.3, -1.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -67.82, -123.13. IR (ATR) ν_{max}: 3411, 2948, 1456, 1444, 843, 744 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{26}N_2OF_4Si$ $[M-H]^-$: 473.1678; found: 473.1667. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 16.5 min, t_{minor} = 15.0 min.

(*R,E*)-2-(2-(5-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-en-2-yl)-5-methoxy-3-methyl-1*H*-indole (**3ae**)



colorless oil, 72 h, 92% yield, 93:7 *er*, $[\alpha]_D^{20}$ = +23.00 (c = 0.20, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.32 (s, 1H), 7.84 (s, 1H), 7.30 (d, *J* = 8.7 Hz, 1H), 7.26 (s, 1H), 7.17 (d, *J* = 8.8 Hz, 1H), 7.13 (d, *J* = 8.7 Hz, 1H), 7.08 (s, 1H), 7.00 (s, 1H), 6.87 (d, *J* = 8.7 Hz, 1H), 6.59 (d, *J* = 18.8 Hz, 1H), 6.00 (d, *J* = 18.8 Hz, 1H), 3.88 (s, 3H), 2.00 (s, 3H), 0.11 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 138.1, 136.6, 134.9, 130.1, 129.9, 128.4, 127.1, 127.1 (q, *J* = 285.8 Hz), 126.0 (d, *J* = 11.5 Hz), 123.1, 121.0, 112.9, 112.4, 111.8, 111.5, 111.4, 100.6, 100.1, 56.1 (q, *J* = 26.1 Hz), 56.1, 10.5, -1.4. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -67.77. IR (ATR) ν_{max}: 3409, 2965, 1472, 1452, 832, 735 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{26}N_2OF_3SiCl$ $[M-H]^-$: 489.1382; found: 489.1369. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 17.6 min, t_{minor} = 16.3 min.

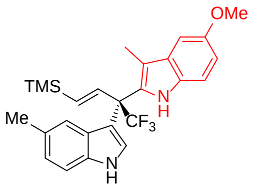
(*R,E*)-2-(2-(5-bromo-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-en-2-yl)-5-methoxy-3-methyl-1*H*-indole (**3af**)



colorless oil, 76 h, 90% yield, 92.5:7.5 *er*, $[\alpha]_D^{20}$ = +19.55 (c = 0.22, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.32 (s, 1H), 7.82 (s, 1H), 7.25 (d, *J* = 13.2 Hz, 4H), 7.16 (d, *J* = 8.7 Hz, 1H), 6.99 (s, 1H), 6.86 (dd, *J* = 8.7, 1.3 Hz, 1H), 6.58 (d, *J* = 18.8 Hz, 1H), 6.01 (d, *J* = 18.8 Hz, 1H), 3.87 (s, 3H), 2.00 (s, 3H), 0.11 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 138.0, 136.6, 135.2, 130.1, 130.0, 129.4 (q, *J* = 285.8 Hz), 128.5, 127.7, 126.0 (d, *J* = 1.5 Hz), 125.6, 124.1, 113.6, 112.9, 111.8, 111.5, 111.2, 100.6, 56.1 (q, *J* = 25.3 Hz), 56.1, 10.5, -1.4. **^{19}F NMR**

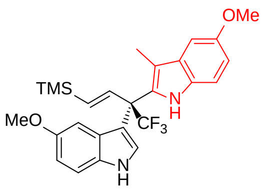
(471 MHz, $CDCl_3$) δ: -67.76. IR (ATR) ν_{max}: 3414, 2979, 1511, 1467, 859, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{26}N_2OF_3SiBr$ $[M-H]^-$: 533.0877; found: 533.0872. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 17.0 min, t_{minor} = 18.6 min.

(*R,E*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(5-methyl-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-yl)-1*H*-indole (**3ag**)



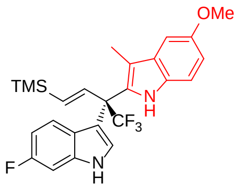
colorless oil, 90 h, 87% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +1.67 (c = 0.18, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.18 (s, 1H), 7.85 (s, 1H), 7.37-7.24 (m, 1H), 7.22 (d, *J* = 1.2 Hz, 1H), 7.14 (d, *J* = 8.7 Hz, 1H), 7.02 (dd, *J* = 8.3, 1.6 Hz, 2H), 6.92 (s, 1H), 6.86 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.63 (d, *J* = 18.9 Hz, 1H), 6.06 (d, *J* = 18.8 Hz, 1H), 3.89 (s, 3H), 2.26 (s, 3H), 2.11 (s, 3H), 0.12 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.0, 138.4, 135.8, 134.9, 130.2, 129.9, 129.4, 129.1, 127.3 (q, *J* = 285.5 Hz), 126.2, 124.9 (d, *J* = 1.6 Hz), 124.2, 121.3, 112.6, 111.8, 111.2, 111.0, 110.7, 100.5, 56.3 (q, *J* = 25.9 Hz), 56.1, 21.7, 10.7, -1.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -67.63. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{29}N_2OF_3Si$ $[M-H]^-$: 469.1928; found: 469.1919. IR (ATR) ν_{max}: 3403, 2953, 1484, 1157, 838, 739 cm^{-1}. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 10.7 min, t_{minor} = 12.0 min.

(*R,E*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(5-methoxy-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-yl)-1*H*-indole (**3ah**)



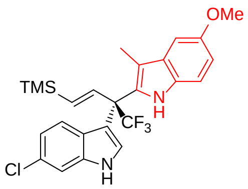
colorless oil, 86 h, 80% yield, 94:6 *er*, $[\alpha]_D^{20}$ = +30.00 (c = 0.18, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.20 (s, 1H), 7.94 (s, 1H), 7.26 (dd, *J* = 9.9, 5.6 Hz, 2H), 7.17 (dd, *J* = 8.7, 1.2 Hz, 1H), 7.00 (s, 1H), 6.84 (dd, *J* = 11.1, 9.2 Hz, 2H), 6.69 (d, *J* = 18.9 Hz, 1H), 6.30 (s, 1H), 6.00 (d, *J* = 18.8 Hz, 1H), 3.88 (s, 3H), 3.42 (s, 3H), 2.04 (s, 3H), 0.12 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 154.0, 138.8, 135.9, 131.5, 130.0, 129.9, 129.0, 127.2 (q, *J* = 285.5 Hz), 126.7, 125.1, 113.1, 112.7, 112.0, 111.7, 111.4, 111.3, 102.7, 100.5, 56.1 (q, *J* = 26.0 Hz), 56.1, 55.5, 10.5, -1.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -67.78. IR (ATR) ν_{max}: 3408, 2961, 1484, 1167, 853, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{29}N_2O_2F_3Si$ $[M-H]^-$: 485.1878; found: 485.1857. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 14.8 min, t_{minor} = 20.8 min.

(*R,E*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(6-fluoro-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-yl)-1*H*-indole (**3ai**)



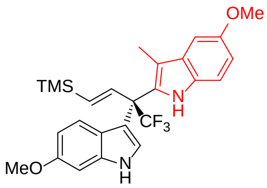
colorless oil, 120 h, 76% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +11.11 (c = 0.18, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.26 (s, 1H), 7.89 (s, 1H), 7.26 (d, J = 4.5 Hz, 1H), 7.17 (d, J = 8.7 Hz, 1H), 7.06 (d, J = 9.2 Hz, 1H), 6.99 (s, 1H), 6.93-6.80 (m, 2H), 6.73-6.52 (m, 2H), 5.95 (d, J = 18.8 Hz, 1H), 3.88 (s, 3H), 1.97 (s, 3H), 0.10 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 160.0 (d, J = 239.1 Hz), 154.1, 138.6, 136.5 (d, J = 12.2 Hz), 136.1, 130.0, 129.9, 128.8, 127.1 (q, J = 285.5 Hz), 124.7, 122.7, 122.3 (d, J = 9.8 Hz), 112.8, 112.0, 111.8, 111.6, 109.1 (d, J = 24.1 Hz), 100.6, 97.5 (d, J = 25.9 Hz), 56.1, 55.9 (q, J = 26.5 Hz), 10.3, -1.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -67.89, -120.47. IR (ATR) ν_{max}: 3407, 2959, 1454, 1138, 842, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{26}N_2OF_4Si$ $[M-H]^-$: 473.1678; found: 473.1660. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 13.8 min, t_{minor} = 13.3 min.

(*R*,*E*)-2-(2-(6-chloro-1*H*-indol-3-yl)-1,1,1-trifluoro-4-(trimethylsilyl)but-3-en-2-yl)-5-methoxy-3-methyl-1*H*-indole (**3aj**)



colorless oil, 120 h, 78% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +20.00 (c = 0.18, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.26 (s, 1H), 7.87 (s, 1H), 7.38 (s, 1H), 7.26 (s, 1H), 7.17 (d, J = 8.7 Hz, 1H), 6.98 (d, J = 2.3 Hz, 1H), 6.92-6.80 (m, 3H), 6.65 (d, J = 18.8 Hz, 1H), 5.94 (d, J = 18.8 Hz, 1H), 3.87 (s, 3H), 1.95 (s, 3H), 0.09 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 138.5, 136.8, 136.2, 123.0, 129.9, 128.7, 128.6, 127.1 (q, J = 285.3 Hz), 125.0 (d, J = 1.4 Hz), 124.8, 122.3, 121.0, 112.9, 112.2, 111.8, 111.6, 111.3, 100.6, 56.1, 55.9 (q, J = 25.8 Hz), 10.3, -1.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -67.93. IR (ATR) ν_{max}: 3410, 2949, 1457, 1149, 839, 742 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{26}N_2OF_3SiCl$ $[M-H]^-$: 489.1382; found: 489.1364. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 15.2 min, t_{minor} = 14.1 min.

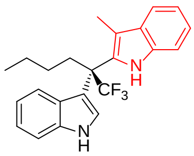
(*R*,*E*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(6-methoxy-1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-yl)-1*H*-indole (**3ak**)



colorless oil, 48 h, 95% yield, 95:5 *er*, $[\alpha]_D^{20}$ = -16.00 (c = 0.20, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.13 (s, 1H), 7.89 (s, 1H), 7.14 (d, J = 8.6 Hz, 2H), 6.99 (s, 1H), 6.85 (dd, J = 13.5, 7.0 Hz, 3H), 6.69-6.53 (m, 2H), 5.97 (d, J = 18.8 Hz, 1H), 3.87 (s, 3H), 3.81 (s, 3H), 2.02 (s, 3H), 0.09 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 156.9, 154.3, 139.1, 137.6, 135.9, 130.3, 130.1, 129.4, 127.4 (q, J = 285.9 Hz), 123.5, 122.4, 120.6, 112.9, 112.0, 111.6, 110.5, 100.8, 94.7, 56.3 (q, J = 25.5 Hz), 56.3, 55.9, 10.7, -1.0. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -67.83. IR

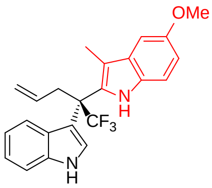
(ATR) ν_{max}: 3405, 2952, 1479, 1144, 840, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{29}N_2O_2F_3Si$ [M-H]$^-$: 485.1878; found: 485.1849. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 18.2 min, t_{minor} = 25.3 min.

(*R*)-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)hexan-2-yl)-1*H*-indole (**3al**)



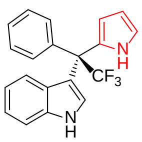
colorless oil, 5 d, 52% yield, 82:18 *er*, $[\alpha]_D^{20}$ = +19.00 (c = 0.10, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.20 (s, 1H), 8.04 (s, 1H), 7.55 (d, *J* = 7.8 Hz, 1H), 7.38 (d, *J* = 8.2 Hz, 1H), 7.34 (s, 1H), 7.25 (d, *J* = 8.0 Hz, 1H), 7.21-7.08 (m, 3H), 6.89 (d, *J* = 8.1 Hz, 1H), 6.85 (t, *J* = 7.5 Hz, 1H), 2.74-2.49 (m, 2H), 2.06 (s, 3H), 1.40-1.20 (m, 3H), 1.21-1.03 (m, 1H), 0.81 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.3, 134.6, 130.1, 129.7, 128.4 (q, *J* = 285.4 Hz), 126.4, 123.7 (d, *J* = 1.8 Hz), 122.5, 122.2, 121.1, 120.2, 119.2, 118.8, 112.7, 111.2, 111.1, 110.8, 51.2 (q, *J* = 25.4 Hz), 34.9, 27.0, 23.3, 14.0, 9.9. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -68.42. IR (ATR) ν_{max}: 3407, 2959, 1486, 1458, 1145, 1135, 741 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{23}H_{23}N_2F_3$ [M-H]$^-$: 383.1741; found: 383.1735. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 13.8 min, t_{minor} = 11.8 min.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)pent-4-en-2-yl)-1*H*-indole (**3am**)



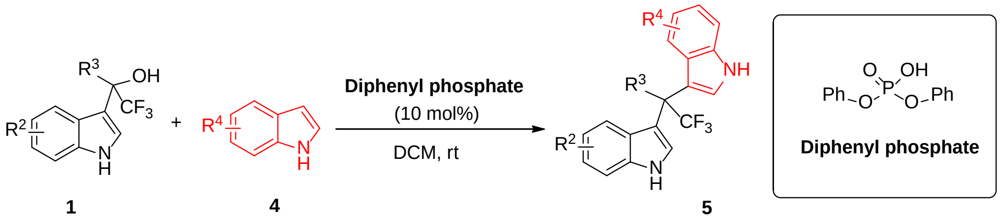
colorless oil, 10 d, 62% yield, 78:22 *er*, $[\alpha]_D^{20}$ = +2.67 (c = 0.16, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 8.02 (s, 1H), 7.42-7.31 (m, 2H), 7.20-7.13 (m, 2H), 6.97 (s, 1H), 6.94-6.76 (m, 3H), 5.61 (td, *J* = 16.6, 7.3 Hz, 1H), 5.04 (d, *J* = 17.1 Hz, 1H), 4.91 (d, *J* = 10.1 Hz, 1H), 3.87 (s, 3H), 3.43 (d, *J* = 6.8 Hz, 2H), 2.02 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.0, 136.3, 133.4, 130.4, 130.0, 129.9, 128.0 (q, *J* = 285.7 Hz), 126.2, 123.7 (d, *J* = 1.5 Hz), 122.5, 120.9, 120.2, 118.1, 112.6, 112.4, 111.7, 111.3, 110.9, 100.5, 56.0, 51.1 (q, *J* = 25.4 Hz), 39.5, 10.0. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -68.29. IR (ATR) ν_{max}: 3410, 2926, 1458, 1164, 1131, 1109, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{23}H_{21}N_2OF_3$ [M-H]$^-$: 397.1533; found: 397.1520. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 15.6 min, t_{minor} = 13.8 min.

(*R*)-3-(2,2,2-trifluoro-1-phenyl-1-(1*H*-pyrrol-2-yl)ethyl)-1*H*-indole (**3an**)



white foam, 5 d, 82% yield, 98:2 *er*, $[\alpha]_D^{20}$ = -6.67 (c = 0.12, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.21 (s, 1H), 8.05 (s, 1H), 7.44-7.36 (m, 3H), 7.36-7.28 (m, 3H), 7.17 (t, *J* = 7.6 Hz, 1H), 7.11 (s, 1H), 6.92 (t, *J* = 7.6 Hz, 1H), 6.77 (d, *J* = 8.2 Hz, 1H), 6.71 (d, *J* = 1.2 Hz, 1H), 6.29-6.18 (m, 2H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 138.6, 136.6, 129.4, 129.4, 128.6, 128.3, 128.1, 127.5 (q, *J* = 285.0 Hz), 126.3, 125.6 (d, *J* = 1.9 Hz), 122.5, 121.7, 120.3, 117.9, 114.3, 111.4, 110.3, 108.5, 55.9 (q, J = 26.5 Hz). **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -65.39. IR (ATR) ν_{max}: 3416, 1231, 1148, 1098, 741, 719 cm^{-1}. **HRMS** (ESI): m/z calcd. for $C_{20}H_{15}N_2F_3$ [M-H]$^-$: 339.1115; found: 339.1103. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/i-PrOH = 98/2, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 70.0 min, t_{minor} = 67.3 min.

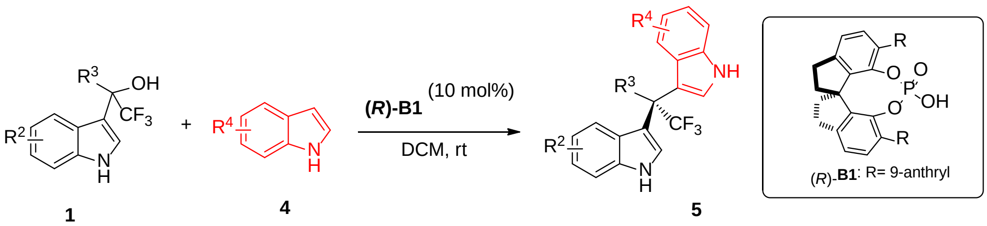
d. General Procedure to Prepare Racemic Products 5a~5r



To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.), and catalyst diphenyl phosphate (0.01 mmol, 10 mol%) in DCM (1 mL) was added indole **4** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ 1,2-dichloroethane = 3:2~1:1) to give the desired racemic products **5a-5r**.

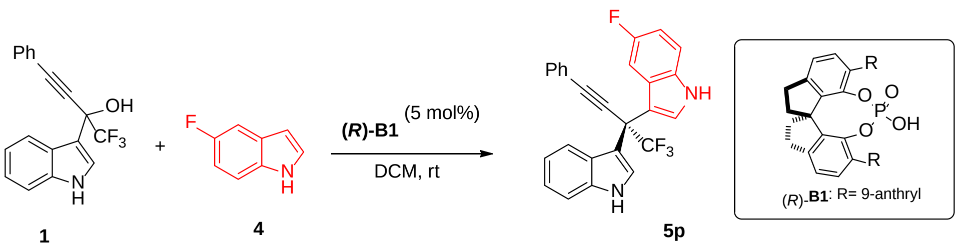
e. General Procedure to Prepare Enantioenriched Products 5a~5r

Conditions A:



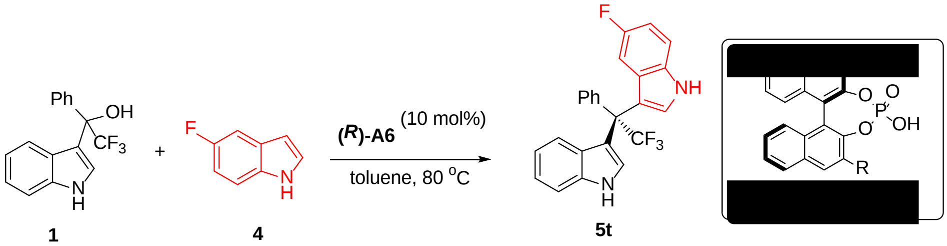
To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.) and catalyst (R)-**B1** (0.01 mmol, 10 mol%) in DCM (1 mL) was added indole **4** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ 1,2-dichloroethane = 3:2~1:1) to give the desired chiral products **5a~5m, 5o~5q**.

Conditions B:



To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.) and catalyst (R)-**B1** (0.005 mmol, 5 mol%) in DCM (1 mL) was added 5-fluoro-1H-indole **4** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) to give the desired chiral products **5n**.

Conditions C:

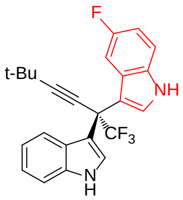


1 **4** **5t**

To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.) and catalyst (*R*)-**A6** (0.01 mmol, 10 mol%) in toluene (1 mL) was added 5-fluoro-1*H*-indole **4** (0.12 mmol, 1.2 equiv.). The mixture was stirred at 80 °C until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ethyl acetate = 10:1) to give the desired chiral products **5r**.

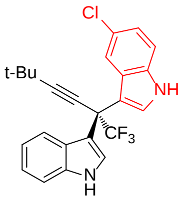
f. Analytical Data for Products 5a~5r

(*S*)-5-fluoro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5a**)



white foam, 4 d, 92% yield, 97:3 *er*, $[\alpha]_D^{20}$ = -20.71 (c = 0.14, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.06 (s, 1H), 8.02 (s, 1H), 7.63 (d, *J* = 8.1 Hz, 1H), 7.34 (t, *J* = 10.7 Hz, 2H), 7.21 (dd, *J* = 9.1, 3.6 Hz, 3H), 7.16 (t, *J* = 7.6 Hz, 1H), 6.99 (t, *J* = 7.6 Hz, 1H), 6.89 (td, *J* = 8.9, 2.0 Hz, 1H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.6 (d, *J* = 234.0 Hz), 136.7, 133.1, 126.6 (d, *J* = 10.7 Hz), 126.3 (q, *J* = 284.2 Hz), 126.0, 125.9, 124.0, 122.3, 121.6, 119.6, 113.1 (d, *J* = 4.9 Hz), 112.5, 111.8 (d, *J* = 9.8 Hz), 111.3, 110.8 (d, *J* = 26.6 Hz), 106.6 (d, *J* = 25.0 Hz), 94.0, 75.4, 45.9 (q, *J* = 30.7 Hz), 30.8, 27.8. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.54, -124.21. IR (ATR) ν_{max}: 3408, 2977, 1249, 1237, 1144, 1115, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{24}H_{20}N_2F_4$ $[M-H]^-$: 411.1490; found: 411.1479. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 69.4 min, t_{minor} = 74.3 min.

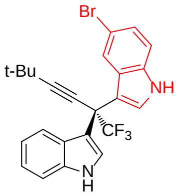
(*S*)-5-chloro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5b**)



white foam, 4 d, 86% yield, 95:5 *er*, $[\alpha]_D^{20}$ = -30.59 (c = 0.17, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.98 (s, 1H), 7.94 (s, 1H), 7.79 (s, 1H), 7.67 (d, *J* = 8.1 Hz, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.17 (t, *J* = 7.1 Hz, 2H), 7.15 – 7.09 (m, 2H), 7.07 (s, 1H), 7.03 (t, *J* = 7.6 Hz, 1H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.7, 134.9, 127.2, 126.2 (q, *J* = 284.8 Hz), 125.9, 125.5, 125.4, 124.1 (d, *J* = 1.1 Hz), 122.6, 122.3, 121.6, 121.1, 119.6, 112.6, 112.5, 112.3, 111.4, 94.2, 75.4, 45.8 (q, *J* = 31.0 Hz), 30.7, 27.9. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -71.51. IR (ATR) ν_{max}: 3404, 2968, 1257, 1246, 1142, 1110, 742 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{24}H_{20}N_2F_3Cl$ $[M-H]^-$: 427.1194;

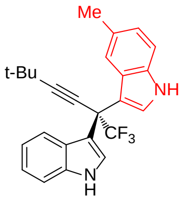
found: 427.1196. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 20.0 min, t_{minor} = 19.1 min.

(*S*)-5-bromo-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5c**)



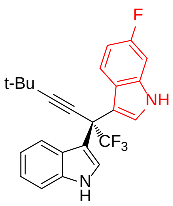
white foam, 4 d, 89% yield, 95:5 *er*, $[\alpha]_D^{20}$ = -24.50 (c = 0.20, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.99 (s, 1H), 7.95 (s, 1H), 7.90 (s, 1H), 7.68 (d, *J* = 8.1 Hz, 1H), 7.30 (d, *J* = 8.1 Hz, 1H), 7.24 (d, *J* = 8.5 Hz, 1H), 7.18 (t, *J* = 7.5 Hz, 1H), 7.12 (d, *J* = 8.8 Hz, 2H), 7.04 (t, *J* = 7.5 Hz, 1H), 7.00 (s, 1H), 1.28 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.7, 135.2, 127.8, 126.2 (q, *J* = 284.3 Hz), 125.9, 125.4, 125.1, 124.2, 124.1, 122.3, 121.6, 119.6, 113.1, 112.7, 112.5, 112.5, 111.4, 94.3, 75.3, 45.8 (q, *J* = 30.5 Hz), 30.7, 27.9. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -71.53. IR (ATR) ν_{max}: 3403, 2987, 1257, 1163, 1116, 740 cm^{-1} **HRMS** (ESI): *m/z* calcd. for $C_{24}H_{20}N_2F_3Br$ [M-H]$^-$: 471.0689; found: 471.0672. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 20.5 min, t_{minor} = 18.4 min.

(*S*)-5-methyl-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5d**)



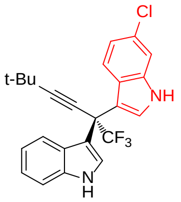
white foam, 6 d, 80% yield, 92:8 *er*, $[\alpha]_D^{20}$ = +2.73 (c = 0.11, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.82 (s, 1H), 7.76 (d, *J* = 8.2 Hz, 1H), 7.73 (s, 1H), 7.61 (s, 1H), 7.24 (t, *J* = 7.8 Hz, 1H), 7.15 (t, *J* = 7.5 Hz, 2H), 7.02 (t, *J* = 7.5 Hz, 2H), 6.97 (d, *J* = 8.3 Hz, 1H), 6.94 (s, 1H), 2.36 (s, 3H), 1.24 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.6, 134.9, 128.7, 126.4 (q, *J* = 284.3 Hz), 126.4, 126.1, 124.4, 124.2, 123.8, 122.1, 121.9, 121.4, 119.5, 112.9, 112.1, 111.2, 110.9, 93.7, 75.8, 45.9 (q, *J* = 30.8 Hz), 30.8, 27.8, 21.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -71.38. IR (ATR) ν_{max}: 3406, 2966, 1251, 1242, 1163, 1101, 796, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{23}N_2F_3$ [M-H]$^-$: 407.1741; found: 407.1733. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 17.1 min, t_{minor} = 21.5 min.

(*S*)-6-fluoro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5e**)



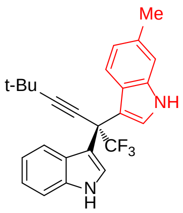
white foam, 4 d, 98% yield, 97:3 *er*, $[\alpha]_D^{20}$ = -1.58 (c = 0.19, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.99 (s, 1H), 7.90 (s, 1H), 7.67 (d, *J* = 8.1 Hz, 1H), 7.64-7.54 (m, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.17 (d, *J* = 8.9 Hz, 2H), 7.09 (s, 1H), 7.02 (t, *J* = 7.5 Hz, 1H), 6.95 (d, *J* = 9.4 Hz, 1H), 6.76 (t, *J* = 9.2 Hz, 1H), 1.25 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 159.9 (d, *J* = 238.2 Hz), 136.6, 136.5 (d, *J* = 12.3 Hz), 126.3 (q, *J* = 284.2 Hz), 126.0, 124.4, 124.2, 122.6, 122.5 (d, J = 9.8 Hz), 122.3, 121.6, 119.6, 113.0, 112.6, 111.3, 108.5 (d, J = 24.1 Hz), 97.4 (d, J = 25.9 Hz), 93.9, 75.6, 45.9 (q, J = 30.8 Hz), 30.8, 27.8. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.50, -121.11. IR (ATR) ν_{max}: 3404, 2964, 1249, 1159, 1111, 796, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{24}H_{20}N_2F_4$ [M-H]$^-$: 411.1490; found: 411.1474. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 22.7 min, t_{minor} = 24.9 min.

(*S*)-6-chloro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5f**)



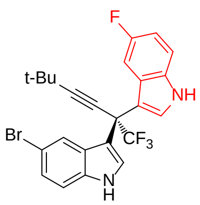
white foam, 4 d, 83% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +2.35 (c = 0.17, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.98 (s, 1H), 7.88 (s, 1H), 7.65 (d, J = 8.1 Hz, 1H), 7.59 (d, J = 8.6 Hz, 1H), 7.30 (d, J = 8.1 Hz, 1H), 7.24 (s, 1H), 7.20-7.14 (m, 2H), 7.08 (s, 1H), 7.02 (t, J = 7.5 Hz, 1H), 6.97 (d, J = 8.6 Hz, 1H), 1.25 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 136.9, 136.6, 128.2, 126.2 (q, J = 284.3 Hz), 126.0, 124.8, 124.7, 124.1, 122.5, 122.3, 121.5, 120.4, 119.7, 113.1, 112.5, 111.4, 111.2, 94.0, 75.5, 45.8 (q, J = 31.0 Hz), 30.8, 27.8. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -71.52. IR (ATR) ν_{max}: 3408, 2964, 1269, 1251, 1159, 1106, 791, 741 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{24}H_{20}N_2F_3Cl$ [M-H]$^-$: 427.1194; found: 427.1187. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 37.2 min, t_{minor} = 25.5 min.

(*S*)-6-methyl-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5g**)



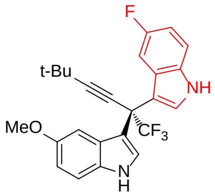
white foam, 6 d, 81% yield, 94.5:5.5 *er*, $[\alpha]_D^{20}$ = +9.00 (c = 0.10, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.84 (s, 1H), 7.75 (d, J = 8.1 Hz, 1H), 7.71 (s, 1H), 7.62 (d, J = 8.2 Hz, 1H), 7.27 (d, J = 8.1 Hz, 1H), 7.17 (t, J = 7.5 Hz, 1H), 7.08 (s, 1H), 7.06-7.01 (m, 2H), 7.00 (s, 1H), 6.88 (d, J = 8.3 Hz, 1H), 2.44 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 137.0, 136.6, 131.9, 126.4 (q, J = 284.2 Hz), 126.1, 124.2, 123.9, 123.7, 122.1, 121.8, 121.4, 121.3, 119.5, 112.8, 112.6, 111.3, 111.2, 93.7, 75.7, 45.9 (q, J = 30.7 Hz), 30.8, 27.8, 21.7. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.43. IR (ATR) ν_{max}: 3405, 2966, 1264, 1157, 1112, 801, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{23}N_2F_3$ [M-H]$^-$: 407.1741; found: 407.1730. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 80/20, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 21.6 min, t_{minor} = 12.8 min.

(*S*)-5-bromo-3-(1,1,1-trifluoro-2-(5-fluoro-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5h**)



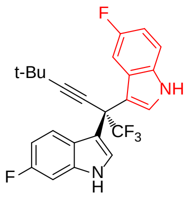
white foam, 7 d, 92% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +6.00 (c = 0.20, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.98 (d, *J* = 8.6 Hz, 2H), 7.85 (s, 1H), 7.27 (d, *J* = 10.3 Hz, 1H), 7.20 (d, *J* = 8.7 Hz, 1H), 7.18-7.08 (m, 3H), 7.07 (s, 1H), 6.88 (t, *J* = 8.8 Hz, 1H), 1.24 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.6 (d, *J* = 234.0 Hz), 135.2, 133.1, 127.7, 126.3 (d, *J* = 10.5 Hz), 126.1 (q, *J* = 284.1 Hz), 125.8, 125.3, 125.1, 124.0, 113.1, 112.8, 112.6 (d, *J* = 4.5 Hz), 112.1 (d, *J* = 7.9 Hz), 112.0, 110.9 (d, *J* = 26.5 Hz), 106.3 (d, *J* = 24.8 Hz), 94.6, 75.1, 45.7 (q, *J* = 31.2 Hz), 30.7, 27.9. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -71.56, -123.91. IR (ATR) ν_{max}: 3411, 2974, 1252, 1148, 1109, 800, 742 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{24}H_{19}N_2F_4Br$ $[M-H]^-$: 489.0595; found: 489.0595. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak OD-OD column (hexane/*i*-PrOH = 90/10, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 28.1 min, t_{minor} = 30.0 min.

(*S*)-5-fluoro-3-(1,1,1-trifluoro-2-(5-methoxy-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5i**)



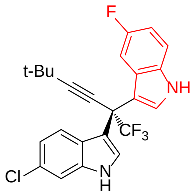
white solid, 7 d, 91% yield, 93:7 *er*, M.p. 119.8-121.1 °C. $[\alpha]_D^{20}$ = -12.22 (c = 0.18, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.00 (d, *J* = 15.1 Hz, 2H), 7.33 (d, *J* = 10.1 Hz, 1H), 7.22-7.10 (m, 4H), 7.08 (s, 1H), 6.94-6.85 (m, 1H), 6.83 (dd, *J* = 8.7, 1.5 Hz, 1H), 3.72 (s, 3H), 1.27 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.6 (d, *J* = 233.8 Hz), 153.7, 133.1, 131.9, 126.6, 126.5, 126.3 (q, *J* = 284.1 Hz), 125.8, 124.9, 112.8 (d, *J* = 4.7 Hz), 112.3, 112.0, 111.9, 111.8 (d, *J* = 9.7 Hz), 110.7 (d, *J* = 26.5 Hz), 106.5 (d, *J* = 24.9 Hz), 103.7, 94.0, 75.3, 56.0, 45.8 (q, *J* = 30.7 Hz), 30.9, 27.8. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -71.42, -124.20. IR (ATR) ν_{max}: 3407, 2971, 1246, 1239, 1154, 794, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{22}N_2OF_4$ $[M-H]^-$: 441.1595; found: 441.1578. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AS-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 27.6 min, t_{minor} = 16.9 min.

(*S*)-6-fluoro-3-(1,1,1-trifluoro-2-(5-fluoro-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5j**)



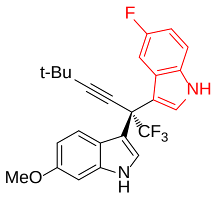
white foam, 10 d, 86% yield, 90:10 *er*, $[\alpha]_D^{20}$ = -17.65 (c = 0.17, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.03 (s, 1H), 7.98 (s, 1H), 7.52 (dd, *J* = 8.6, 5.6 Hz, 1H), 7.31 (d, *J* = 10.3 Hz, 1H), 7.20 (d, *J* = 9.1 Hz, 2H), 7.16 (s, 1H), 6.96 (d, *J* = 9.4 Hz, 1H), 6.91 (dd, *J* = 12.5, 5.3 Hz, 1H), 6.77 (dd, *J* = 13.0, 5.4 Hz, 1H), 1.26 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 159.9 (d, *J* = 238.6 Hz), 157.6 (d, *J* = 234.0 Hz), 136.6 (d, *J* = 12.4 Hz), 133.1, 126.4 (d, *J* = 10.6 Hz), 126.2 (q, *J* = 284.2 Hz), 125.9, 124.3, 122.5, 122.2 (d, *J* = 9.8 Hz), 112.8 (d, *J* = 4.7 Hz), 112.6, 111.9 (d, *J* = 9.7 Hz), 110.9 (d, *J* = 26.5 Hz), 108.6 (d, *J* = 24.2 Hz), 106.4 (d, *J* = 24.9 Hz), 97.5 (d, *J* = 25.9 Hz), 94.2, 75.26, 5.77 (q, *J* = 30.8 Hz), 30.7, 27.8. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -71.58, -120.87, -123.97. IR (ATR) ν_{max}: 3407, 2966, 1247, 1242, 1140, 1108, 797, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{24}H_{19}N_2F_5$ $[M-H]^-$: 429.1396; found: 429.1384. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 15.5 min, t_{minor} = 17.1 min.

(*S*)-6-chloro-3-(1,1,1-trifluoro-2-(5-fluoro-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5k**)



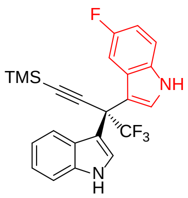
white foam, 10 d, 88% yield, 94:6 *er*, $[\alpha]_D^{20}$ = -18.33 (c = 0.18, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.01 (s, 1H), 7.97 (s, 1H), 7.47 (d, *J* = 8.7 Hz, 1H), 7.25 (d, *J* = 12.3 Hz, 2H), 7.16 (dd, *J* = 9.9, 4.9 Hz, 3H), 6.93 (d, *J* = 8.7 Hz, 1H), 6.87 (t, *J* = 8.9 Hz, 1H), 1.23 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.6 (d, *J* = 234.1 Hz), 137.0, 133.1, 128.3, 126.4 (d, *J* = 10.6 Hz), 126.1 (q, *J* = 284.2 Hz), 125.8, 124.6, 124.6, 122.3, 120.5, 112.8, 112.7, 111.9 (d, *J* = 9.8 Hz), 111.3, 110.9 (d, *J* = 26.6 Hz), 106.3 (d, *J* = 24.9 Hz), 94.3, 75.2, 45.7 (q, *J* = 31.3 Hz), 30.7, 27.8. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.60, -123.89. IR (ATR) ν_{max}: 3408, 2967, 1253, 1248, 1167, 794, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{24}H_{19}N_2F_4Cl$ $[M-H]^-$: 445.1100; found: 445.1085. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 $mL \cdot min^{-1}$, λ = 254 nm): t_{major} = 14.2 min, t_{minor} = 19.5 min.

(*S*)-5-fluoro-3-(1,1,1-trifluoro-2-(6-methoxy-1*H*-indol-3-yl)-5,5-dimethylhex-3-yn-2-yl)-1*H*-indole (**5l**)



white foam, 4 d, 73% yield, 95:5 *er*, $[\alpha]_D^{20}$ =+30.77 (c = 0.13, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.04 (s, 1H), 7.91 (s, 1H), 7.47 (d, *J* = 8.8 Hz, 1H), 7.35 (d, *J* = 10.5 Hz, 1H), 7.24-7.15 (m, 2H), 7.09 (s, 1H), 6.89 (t, *J* = 9.0 Hz, 1H), 6.77 (s, 1H), 6.66 (d, *J* = 8.9 Hz, 1H), 3.79 (s, 3H), 1.26 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.6 (d, *J* = 233.9 Hz), 156.5, 137.4, 133.1, 126.6 (d, *J* = 10.7 Hz), 126.3 (q, *J* = 284.3 Hz), 125.9, 122.8, 122.1, 120.3, 113.1 (d, *J* = 4.5 Hz), 112.5, 111.8 (d, *J* = 9.8 Hz), 110.7 (d, *J* = 26.6 Hz), 109.8, 106.5 (d, *J* = 25.0 Hz), 94.4, 94.0, 75.5, 55.6, 45.8 (q, *J* = 30.9 Hz), 30.8, 27.8. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -71.54, -124.18. IR (ATR) ν_{max}: 3406, 2960, 1254, 1245, 1172, 1103, 799, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{22}N_2OF_4$ $[M-H]^-$: 441.1595; found: 441.1580. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 80/20, flow rate 1 $mL \cdot min^{-1}$, λ = 245 nm): t_{major} = 7.2 min, t_{minor} = 10.1 min.

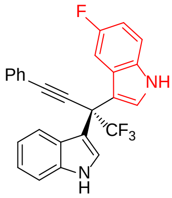
(*S*)-5-fluoro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-yn-2-yl)-1*H*-indole (**5m**)



white foam, 3 d, 87% yield, 95:5 *er*, $[\alpha]_D^{20}$ = -18.67 (c = 0.16, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.10 (s, 1H), 8.05 (s, 1H), 7.60 (d, *J* = 8.1 Hz, 1H), 7.33 (d, *J* = 8.5 Hz, 2H), 7.22 (dd, *J* = 9.9, 5.0 Hz, 3H), 7.16 (t, *J* = 7.6 Hz, 1H), 7.00 (t, *J* = 7.6 Hz, 1H), 6.90 (td, *J* = 8.9, 2.2 Hz, 1H), 0.20 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.7 (d, *J* = 234.1 Hz), 136.6, 133.1, 126.5 (d, *J* = 10.7 Hz), 126.1, 126.0 (q, *J* = 284.7 Hz), 125.8, 124.2, 122.4, 121.5, 119.8, 112.1 (d, *J* = 4.7 Hz), 111.8 (d, *J* = 9.8 Hz), 111.5, 111.4, 111.0, 110.8, 106.5 (d, *J* = 24.9 Hz), 101.3, 90.9, 46.8 (q, *J* = 30.7 Hz), -0.2. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.09, -123.97. IR (ATR) ν_{max}: 3411, 2959, 2926, 1486, 1167, 843, 745 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{23}H_{20}N_2F_4Si$ $[M-H]^-$: 427.1259; found: 427.1258. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH =

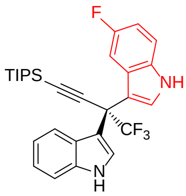
90/10, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 14.1 min, t_{minor} = 12.3 min.

(*S*)-5-fluoro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-phenylbut-3-yn-2-yl)-1*H*-indole (**5n**)



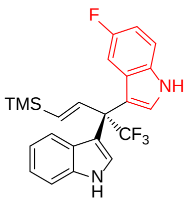
white foam, 3 d, 96% yield, 90:10 *er*, $[\alpha]_D^{20}$ = -13.33 (c = 0.16, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.15 (s, 1H), 8.10 (s, 1H), 7.63 (d, *J* = 8.1 Hz, 1H), 7.48 (d, *J* = 7.2 Hz, 2H), 7.39-7.28 (m, 7H), 7.23 (dd, *J* = 8.8, 4.3 Hz, 1H), 7.17 (t, *J* = 7.6 Hz, 1H), 7.00 (t, *J* = 7.6 Hz, 1H), 6.90 (t, *J* = 8.9 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.7 (d, *J* = 234.3 Hz), 136.7, 133.1, 132.0, 128.8, 128.4, 126.5 (d, *J* = 10.6 Hz), 126.2 (q, *J* = 284.7 Hz), 126.1, 125.9, 124.2 (d, *J* = 1.4 Hz), 122.5, 122.4, 121.2, 120.0, 112.3 (d, *J* = 4.7 Hz), 111.9 (d, *J* = 9.8 Hz), 111.7, 111.4, 111.0, 110.8, 106.3 (d, *J* = 24.8 Hz), 85.8, 85.4, 46.6 (q, *J* = 31.4 Hz). **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.98, -123.59. IR (ATR) ν_{max}: 3410, 2960, 2932, 1482, 1157, 849, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{16}N_2F_4$ [M-H]$^-$: 431.1177; found: 431.1187. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 80/20, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 9.1 min, t_{minor} = 8.0 min.

(*S*)-5-fluoro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(triisopropylsilyl)but-3-yn-2-yl)-1*H*-indole (**5o**)



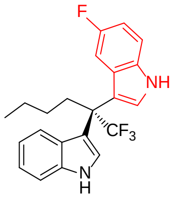
white foam, 5 d, 90% yield, 97:3 *er*, $[\alpha]_D^{20}$ = -14.50 (c = 0.20, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.07 (s, 1H), 8.02 (s, 1H), 7.58 (d, *J* = 8.1 Hz, 1H), 7.35-7.26 (m, 4H), 7.21-7.09 (m, 2H), 6.96 (t, *J* = 7.5 Hz, 1H), 6.88 (t, *J* = 8.9 Hz, 1H), 1.07 (d, *J* = 4.4 Hz, 21H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.6 (d, *J* = 234.1 Hz), 136.6, 133.1, 126.4 (d, *J* = 10.6 Hz), 126.1 (q, *J* = 284.7 Hz), 125.9, 125.8, 124.2, 122.3, 121.5, 119.7, 112.3 (d, *J* = 4.8 Hz), 111.8, 111.7, 111.3, 110.8 (d, *J* = 26.7 Hz), 106.6 (d, *J* = 24.8 Hz), 103.2, 87.3, 46.9 (q, *J* = 31.0 Hz), 18.7, 11.4. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.06, -124.16. IR (ATR) ν_{max}: 3415, 2944, 2888, 1457, 1167, 798 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{29}H_{32}N_2F_4Si$ [M-H]$^-$: 511.2198; found: 511.2181. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 9.5 min, t_{minor} = 8.4 min.

(*S,E*)-5-fluoro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-yl)-1*H*-indole (**5p**)



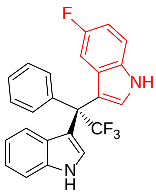
white foam, 10 d, 62% yield, 92:8 *er*, $[\alpha]_D^{20}$ = -2.73 (c = 0.11, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.13 (d, *J* = 12.9 Hz, 2H), 7.37 (d, *J* = 8.2 Hz, 1H), 7.30-7.08 (m, 5H), 6.97-6.84 (m, 3H), 6.72 (d, *J* = 18.8 Hz, 1H), 5.98 (d, *J* = 18.8 Hz, 1H), 0.10 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.5 (d, *J* = 233.6 Hz), 139.7, 136.6, 135.6, 133.1, 127.6 (q, *J* = 285.3 Hz), 127.0 (d, *J* = 10.6 Hz), 126.9, 126.4, 125.0, 122.2, 122.1, 119.5, 113.2 (d, *J* = 4.6 Hz), 112.6, 111.7 (d, J = 9.9 Hz), 111.3, 110.6 (d, J = 26.6 Hz), 107.2 (d, J = 24.7 Hz), 54.8 (q, J = 26.2 Hz), -1.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -68.92, -124.24. IR (ATR) ν_{max}: 3409, 2957, 2892, 1477, 1166, 849, 743 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{23}H_{22}N_2F_4Si$ $[M-H]^-$: 429.1416; found: 429.1406. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 15.0 min, t_{minor} = 11.6 min.

(*S*)-5-fluoro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)hexan-2-yl)-1*H*-indole (**5q**)



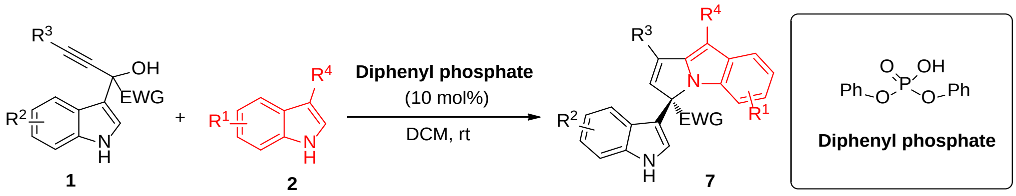
colorless oil, 9 d, 78% yield, 70:30 *er*, $[\alpha]_D^{20}$ = -6.25 (c = 0.10, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.10 (d, J = 12.4 Hz, 2H), 7.40 – 7.27 (m, 3H), 7.21 (dd, J = 8.8, 4.5 Hz, 1H), 7.15 – 7.03 (m, 2H), 6.82 (dd, J = 9.1, 6.7 Hz, 2H), 6.71 (dd, J = 10.7, 1.8 Hz, 1H), 2.63 (t, J = 7.3 Hz, 2H), 1.27 (dd, J = 8.8, 4.9 Hz, 4H), 0.81 (t, J = 6.7 Hz, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.4 (d, J = 233.2 Hz), 136.4, 132.9, 128.7 (q, J = 285.2 Hz), 127.2 (d, J = 10.3 Hz), 126.6, 125.6, 123.9, 122.0, 121.3, 119.5, 114.8 (d, J = 4.4 Hz), 113.9, 111.6 (d, J = 9.9 Hz), 111.3, 110.5 (d, J = 26.6 Hz), 106.4 (d, J = 24.6 Hz), 49.7 (q, J = 25.5 Hz), 35.3, 27.0, 23.4, 14.0. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -69.25, -124.35. IR (ATR) ν_{max}: 3419, 2959, 1484, 1456, 1151, 797, 743 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{22}H_{20}N_2F_4$ $[M-H]^-$: 387.1490; found: 387.1470. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 18.4 min, t_{minor} = 23.4 min.

(*S*)-5-fluoro-3-(2,2,2-trifluoro-1-(1*H*-indol-3-yl)-1-phenylethyl)-1*H*-indole (**5r**)



white foam, 10 h, 95% yield, 73:27 *er*, $[\alpha]_D^{20}$ = -2.33 (c = 0.30, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.08 (d, J = 16.5 Hz, 2H), 7.54 (d, J = 7.3 Hz, 2H), 7.39 (d, J = 8.4 Hz, 1H), 7.36-7.30 (m, 3H), 7.31-7.26 (m, 1H), 7.19 (t, J = 7.3 Hz, 2H), 7.04-6.90 (m, 4H), 6.86 (d, J = 10.8 Hz, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.7 (d, J = 233.7 Hz), 139.0, 136.8, 133.3, 129.6 (d, J = 1.4 Hz), 128.2, 128.2 (q, J = 286.3 Hz), 128.2, 127.8, 126.9 (d, J = 10.3 Hz), 126.4, 126.4, 122.3 (d, J = 2.8 Hz), 122.3, 119.9, 115.7 (d, J = 4.5 Hz), 115.3, 111.8 (d, J = 9.9 Hz), 111.4, 110.8 (d, J = 26.5 Hz), 107.5 (d, J = 3.3 Hz), 107.3 (d, J = 3.0 Hz), 55.9 (q, J = 26.9 Hz). **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -62.60, -123.68. IR (ATR) ν_{max}: 3416, 2926, 1712, 1483, 1145, 741 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{24}H_{16}N_2F_4$ $[M+H]^+$: 407.1177; found: 407.1178. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 29.1 min, t_{minor} = 35.0 min.

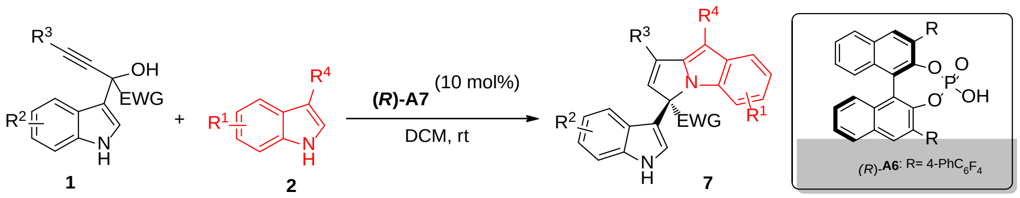
g. General Procedure to Prepare Racemic Products 7a~7x



To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.), and catalyst diphenyl phosphate (0.01 mmol, 10 mol%) in DCM (1 mL) was added indole **2** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~10:1) to give the desired racemic products **7a~7x**.

h. General Procedure to Prepare Enantioenriched Products 7a~7x

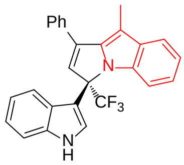
Conditions A:



To a solution of α-indolyl propargylic alcohol **1** (0.10 mmol, 1.0 equiv.) and catalyst (*R*)-**A7** (0.01 mmol, 10 mol%) in DCM (1 mL) was added indole **2** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~10:1) to give the desired chiral products **7a~7x**.

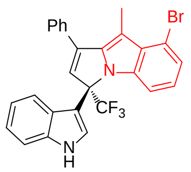
i. Analytical Data for Products 7a~7x

(*R*)-3-(1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7a**)



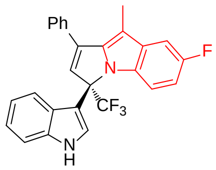
colorless oil, 13 h, 86% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +380.00 (c = 0.15, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.21 (s, 1H), 7.71-7.65 (m, 2H), 7.63 (d, J = 7.9 Hz, 1H), 7.55-7.37 (m, 4H), 7.31 (d, J = 8.1 Hz, 1H), 7.19-6.99 (m, 4H), 6.88 (t, J = 7.5 Hz, 1H), 6.80 (d, J = 8.1 Hz, 1H), 6.41 (s, 1H), 2.41 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 141.2, 140.9 136.3, 134.8, 134.0, 133.0, 129.4, 129.0, 128.7, 128.3, 125.5 (q, J = 286.5 Hz), 125.4, 123.7 (d, J = 2.6 Hz), 122.9, 122.7, 120.6, 120.1, 119.5, 119.4, 111.4, 110.6 (d, J = 2.1 Hz), 108.0, 105.2, 70.3 (q, J = 31.4 Hz), 9.6. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -72.27. IR (ATR) ν_{max}: 3410, 2923, 1454, 1171, 895, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{19}N_2F_3$ $[M+H]^+$: 429.1573; found: 429.1578. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 10.4 min, t_{minor} = 8.0 min.

(*R*)-8-bromo-3-(1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7b**)



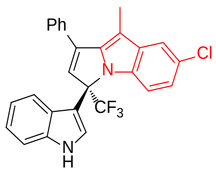
colorless oil, 19 h, 45% yield, 98:2 *er*, $[\alpha]_D^{20}$ = +198.00 (c = 0.10, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.33 (s, 1H), 7.61 (dt, *J* = 4.6, 2.6 Hz, 2H), 7.54-7.49 (m, 1H), 7.49-7.41 (m, 3H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.20-7.08 (m, 2H), 7.02 (d, *J* = 8.3 Hz, 1H), 6.92-6.84 (m, 1H), 6.78 (t, *J* = 7.9 Hz, 1H), 6.68 (d, *J* = 8.1 Hz, 1H), 6.34 (s, 1H), 2.58 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 142.1, 141.3, 136.3, 136.1, 132.8, 131.0, 130.4, 129.1, 128.7, 128.5, 125.3, 125.2 (q, *J* = 286.1 Hz), 124.3, 123.7, 123.6 (d, *J* = 2.8 Hz), 122.9, 120.9, 119.3, 115.5, 111.5, 109.8 (d, *J* = 2.3 Hz), 107.3, 106.8, 70.7 (q, *J* = 31.4 Hz), 12.1. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.12. IR (ATR) ν_{max}: 3410, 2947, 1456, 1157, 889, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Br$ $[M+H]^+$: 507.0678; found: 507.0674. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 22.0 min, t_{minor} = 29.4 min.

(*R*)-7-fluoro-3-(1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7c**)



colorless oil, 13 h, 60% yield, 96.5:3.5 *er*, $[\alpha]_D^{20}$ = +315.45 (c = 0.11, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.31 (s, 1H), 7.68-7.62 (m, 2H), 7.52-7.43 (m, 4H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.22 (dd, *J* = 9.6, 2.5 Hz, 1H), 7.15-7.09 (m, 1H), 7.00 (dd, *J* = 8.6, 4.4 Hz, 1H), 6.89-6.83 (m, 1H), 6.75 (td, *J* = 9.1, 2.5 Hz, 1H), 6.67 (d, *J* = 8.1 Hz, 1H), 6.39 (s, 1H), 2.34 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.8 (d, *J* = 234.8 Hz), 142.6, 141.2, 136.3, 134.5 (d, *J* = 9.3 Hz), 132.8, 131.3, 129.8, 129.2, 128.7, 128.3, 125.4 (q, *J* = 286.2 Hz), 125.3, 123.6 (d, *J* = 2.7 Hz), 122.9, 120.7, 119.4, 111.5, 111.2, 111.0 (d, *J* = 7.1 Hz), 111.0, 107.8, 105.3, 105.2 (d, *J* = 4.8 Hz), 105.1, 70.4 (q, *J* = 31.3 Hz), 9.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.46, -124.68 (td, *J* = 9.4, 4.5 Hz). IR (ATR) ν_{max}: 3411, 2957, 1466, 1149, 893, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_4$ $[M+H]^+$: 447.1479; found: 447.1482. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 9.7 min, t_{minor} = 11.7 min.

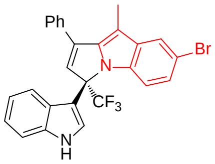
(*R*)-7-chloro-3-(1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7d**)



light brown foam, 13 h, 76% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +331.00 (c = 0.10, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.31 (s, 1H), 7.66-7.62 (m, 2H), 7.54 (d, *J* = 1.3 Hz, 1H), 7.50 (s, 1H), 7.45 (dd, *J* = 12.2, 6.3 Hz, 3H), 7.35 (d, *J* = 8.2 Hz, 1H), 7.12 (dd, *J* = 13.9, 6.2 Hz, 1H), 7.03-6.92 (m, 2H), 6.85 (t, *J* = 7.6 Hz, 1H), 6.64 (d, *J* = 8.2 Hz, 1H), 6.38 (s, 1H), 2.34 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 142.2, 141.1, 136.3, 135.1, 133.1, 132.7, 129.9, 129.2, 128.7, 128.3, 125.3 (q, *J* = 286.1 Hz), 125.3, 125.3, 123.6 (d, *J* = 2.7 Hz), 123.2, 122.9, 120.8, 119.6, 119.3, 111.5, 111.4 (d, *J* = 2.1 Hz), 107.6, 104.9, 70.4 (q, *J* = 31.6 Hz), 9.6. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -72.47. IR (ATR) ν_{max}: 3408, 2952, 1464, 1157, 896, 738 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Cl$ $[M+H]^+$: 463.1183;

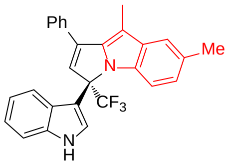
found: 463.1189. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/i-PrOH = 90/10, flow rate 0.8 mL • min-1, λ = 254 nm): t_{major} = 9.3 min, t_{minor} = 10.9 min.

(*R*)-7-bromo-3-(1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7e**)



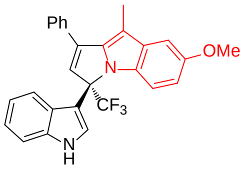
colorless oil, 19 h, 45% yield, 97.5:2.5 *er*, $[\alpha]_D^{20}$ = +307.00 (c = 0.10, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.32 (s, 1H), 7.70 (d, *J* = 1.8 Hz, 1H), 7.64 (dd, *J* = 7.6, 1.9 Hz, 2H), 7.47 (ddd, *J* = 6.5, 5.4, 2.8 Hz, 4H), 7.38-7.29 (m, 1H), 7.17-7.05 (m, 2H), 6.94 (d, *J* = 8.6 Hz, 1H), 6.86 (dd, *J* = 11.3, 3.9 Hz, 1H), 6.64 (d, *J* = 8.1 Hz, 1H), 6.39 (s, 1H), 2.34 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 142.1, 141.1, 136.3, 135.7, 133.3, 132.7, 130.0, 129.2, 128.7, 128.3, 125.7, 125.3 (q, *J* = 286.2 Hz), 125.3, 123.6 (d, *J* = 2.6 Hz), 122.9, 122.7, 120.8, 119.3, 112.8, 111.8 (d, *J* = 2.3 Hz), 111.5, 107.6, 104.8, 70.5 (q, *J* = 31.3 Hz), 9.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.46. IR (ATR) ν_{max}: 3411, 2950, 1453, 1164, 892, 740 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Br$ $[M+H]^+$: 507.0678; found: 507.0677. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 18.5 min, t_{minor} = 25.3 min.

(*R*)-3-(1*H*-indol-3-yl)-7,9-dimethyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7f**)



colorless oil, 13 h, 96% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +321.05 (c = 0.19, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.20 (s, 1H), 7.66 (dd, *J* = 7.6, 1.6 Hz, 2H), 7.50-7.43 (m, 4H), 7.39 (s, 1H), 7.32 (d, *J* = 8.2 Hz, 1H), 7.11 (t, *J* = 7.4 Hz, 1H), 7.03 (d, *J* = 8.3 Hz, 1H), 6.88 (t, *J* = 7.6 Hz, 2H), 6.82 (d, *J* = 8.1 Hz, 1H), 6.38 (s, 1H), 2.41 (s, 3H), 2.37 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 141.2, 141.0, 136.3, 134.2, 133.1, 129.1, 129.0, 128.6, 128.3, 125.5 (q, *J* = 286.2 Hz), 125.5, 124.5, 123.6 (d, *J* = 2.6 Hz), 122.7, 120.7, 119.8, 119.6, 111.4, 110.3 (d, *J* = 1.7 Hz), 108.2, 104.7, 70.3 (q, *J* = 31.4 Hz), 21.6, 9.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.34. IR (ATR) ν_{max}: 3408, 2922, 1175, 896, 741, 699 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{28}H_{21}N_2F_3$ $[M+H]^+$: 443.1730; found: 443.1731. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/i-PrOH = 90/10, flow rate 0.8 mL • min-1, λ = 254 nm): t_{major} = 8.7 min, t_{minor} = 11.9 min.

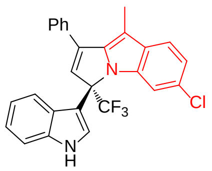
(*R*)-3-(1*H*-indol-3-yl)-7-methoxy-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7g**)



colorless oil, 3.5 d, 60% yield, 96:4 *er*, $[\alpha]_D^{20}$ =+367.50 (c = 0.12, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.28 (s, 1H), 7.65 (dd, *J* = 7.8, 1.5 Hz, 2H), 7.49-7.42 (m, 4H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.11 (t, *J* = 7.6 Hz, 1H), 7.03 (dd, *J* = 11.5, 5.6 Hz, 2H), 6.87 (t, *J* = 7.6 Hz, 1H), 6.78 (d, *J* = 8.2 Hz, 1H), 6.70 (dd, *J* = 8.9, 2.4 Hz, 1H), 6.37 (s, 1H), 3.83 (s, 3H), 2.36 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.0, 141.7, 141.3, 136.3, 134.5, 133.1, 130.1, 129.2, 129.0, 128.7, 128.3, 125.5 (q, *J* = 286.0 Hz), 125.4, 123.6 (d, *J* = 2.4 Hz), 122.8, 120.7, 119.6, 112.7, 111.4, 111.3, 108.2, 104.8, 102.3, 70.3 (q, *J* = 31.4 Hz), 56.0, 9.7. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -72.46. IR

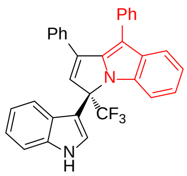
(ATR) ν_{max}: 3409, 2934, 1167, 897, 745, 700 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{28}H_{21}N_2OF_3$ $[M+H]^+$: 459.1679; found: 459.1685. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 10.0 min, t_{minor} = 16.2 min.

(*R*)-6-chloro-3-(1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7h**)



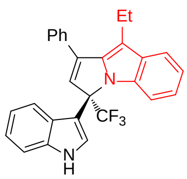
light brown foam, 15 h, 77% yield, 95.5:4.5 *er*, $[\alpha]_D^{20}$ = +455.33 (c = 0.15, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.32 (s, 1H), 7.65 (dd, *J* = 7.5, 1.9 Hz, 2H), 7.53-7.44 (m, 5H), 7.36 (d, *J* = 8.2 Hz, 1H), 7.13 (t, *J* = 7.3 Hz, 1H), 7.08 (s, 1H), 7.01 (dd, *J* = 8.5, 1.8 Hz, 1H), 6.87 (t, *J* = 7.3 Hz, 1H), 6.73 (d, *J* = 8.1 Hz, 1H), 6.37 (s, 1H), 2.36 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 141.5, 141.1, 136.4, 134.9, 132.7, 132.6, 129.6, 129.2, 128.9, 128.7, 128.3, 125.3, 125.3 (q, *J* = 286.1 Hz), 123.7 (d, *J* = 2.9 Hz), 122.9, 120.9, 120.7, 120.2, 119.3, 111.6, 110.5 (d, *J* = 2.4 Hz), 107.4, 105.3, 70.4 (q, *J* = 31.4 Hz), 9.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.29. IR (ATR) ν_{max}: 3411, 2937, 1188, 897, 742 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Cl$ $[M+H]^+$: 463.1183; found: 463.1184. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 8.0 min, t_{minor} = 8.4 min.

(*R*)-3-(1*H*-indol-3-yl)-1,9-diphenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7i**)



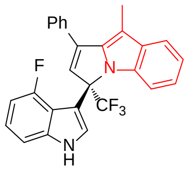
colorless oil, 13 h, 70% yield, 95:5 *er*, $[\alpha]_D^{20}$ =+194.67 (c = 0.15, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.30 (s, 1H), 7.74-7.70 (m, 1H), 7.55 (s, 1H), 7.34 (d, *J* = 8.2 Hz, 1H), 7.32 (dd, *J* = 6.5, 3.1 Hz, 2H), 7.27-7.20 (m, 7H), 7.14 (d, *J* = 2.7 Hz, 1H), 7.12 (dd, *J* = 8.2, 1.9 Hz, 2H), 7.09-7.06 (m, 2H), 6.91-6.83 (m, 2H), 6.54 (s, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 140.9, 140.4, 136.4, 134.6, 133.6, 132.3, 132.0, 130.9, 130.6, 128.7, 128.3, 128.1, 128.0, 126.6, 125.5 (q, *J* = 286.4 Hz), 125.3, 123.9 (d, *J* = 2.5 Hz), 123.3, 122.9, 121.0, 120.8, 120.3, 119.5, 112.3, 111.5, 110.8 (d, *J* = 2.2 Hz), 107.5, 70.6 (q, *J* = 31.6 Hz). **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.79. IR (ATR) ν_{max}: 3413, 2967, 1444, 1263, 1177, 894, 738 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{32}H_{21}N_2F_3$ $[M+H]^+$: 491.1730; found: 491.1726. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 6.8 min, t_{minor} = 6.1 min.

(*R*)-9-ethyl-3-(1*H*-indol-3-yl)-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7j**)



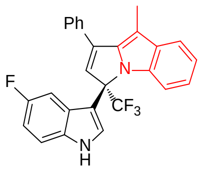
colorless oil, 36 h, 48% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +251.25 (c = 0.16, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 7.65-7.61 (m, 3H), 7.51-7.43 (m, 4H), 7.33 (d, *J* = 8.1 Hz, 1H), 7.14-7.07 (m, 2H), 7.06-6.99 (m, 2H), 6.85 (ddd, *J* = 8.1, 7.1, 0.9 Hz, 1H), 6.72 (d, *J* = 8.0 Hz, 1H), 6.36 (s, 1H), 2.82 (q, *J* = 7.5 Hz, 2H), 1.23 (t, *J* = 7.5 Hz, 3H). ^{13}**C NMR** (126 MHz, $CDCl_3$) δ: 141.3, 140.5, 136.3, 135.1, 133.3, 133.0, 132.0, 129.6, 129.0, 128.7, 128.1, 125.5 (q, J = 286.1 Hz), 125.4, 123.6 (d, J = 2.6 Hz), 122.8 (d, J = 5.3 Hz), 120.6, 120.3, 119.5, 119.4, 112.6, 111.4, 110.7 (d, J = 2.4 Hz), 108.2, 70.3 (q, J = 31.4 Hz), 17.5, 16.1. ^{19}**F NMR** (376 MHz, $CDCl_3$) δ: -72.24. IR (ATR) ν_{max}: 3410, 2926, 1455, 1171, 895, 704 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{28}H_{21}N_2F_3$ $[M+H]^+$: 443.1730; found: 443.1725. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 6.5 min, t_{minor} = 7.4 min.

(*R*)-3-(4-fluoro-1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7k**)



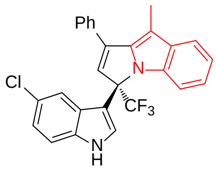
light brown foam, 3 d, 68% yield, 92.5:7.5 *er*, $[a]_D^{20}$ = +355.29 (c = 0.17, MeOH). ^{1}**H NMR** (500 MHz, $CDCl_3$) δ: 8.32 (s, 1H), 7.64 (dd, J = 12.2, 7.5 Hz, 3H), 7.53-7.39 (m, 4H), 7.30 (d, J = 7.7 Hz, 1H), 7.19-7.05 (m, 4H), 6.71 (s, 1H), 6.67 (s, 1H), 2.32 (s, 3H). ^{13}**C NMR** (126 MHz, $CDCl_3$) δ: 155.9 (d, J = 249.6 Hz), 141.6 (d, J = 17.5 Hz), 139.5 (d, J = 10.8 Hz), 134.8, 134.4, 133.3, 129.8 (d, J = 8.4 Hz), 128.9, 128.6, 128.4, 125.3 (q, J = 286.9 Hz), 125.0, 123.6 (d, J = 8.2 Hz), 122.7, 120.1, 119.4, 114.5 (d, J = 20.3 Hz), 111.0 (d, J = 2.8 Hz), 107.6 (d, J = 3.7 Hz), 107.5 (d, J = 3.1 Hz), 106.6, 106.4, 104.5, 70.8 (q, J = 31.6 Hz), 9.4. ^{19}**F NMR** δ: -72.07 (d, J = 14.4 Hz), -113.86~-114.10 (m). IR (ATR) ν_{max}: 3412, 2952, 1448, 1247, 1153, 894, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_4$ $[M+H]^+$: 447.1479; found: 447.1480. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 7.3 min, t_{minor} = 10.4 min.

(*R*)-3-(5-fluoro-1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7l**)



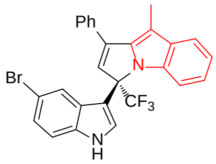
colorless oil, 13 h, 86% yield, >99:1 *er*, $[a]_D^{20}$ = +376.25 (c = 0.16, MeOH). ^{1}**H NMR** (400 MHz, $CDCl_3$) δ: 8.26 (s, 1H), 7.75-7.65 (m, 2H), 7.62 (d, J = 6.9 Hz, 1H), 7.56-7.40 (m, 4H), 7.21 (dt, J = 22.1, 11.1 Hz, 1H), 7.14-7.00 (m, 3H), 6.85 (td, J = 9.0, 2.3 Hz, 1H), 6.39 (dd, J = 10.1, 2.2 Hz, 1H), 6.35 (s, 1H), 2.39 (s, 3H). ^{13}**C NMR** (126 MHz, $CDCl_3$) δ: 158.0 (d, J = 235.5 Hz), 141.4, 140.8, 134.7, 134.0, 132.9, 132.8, 129.2, 128.8, 128.7, 128.3, 125.8 (d, J = 10.6 Hz), 125.4 (q, J = 286.1 Hz), 125.2 (d, J = 2.6 Hz), 123.0, 120.2, 119.6, 112.1 (d, J = 9.8 Hz), 111.4 (d, J = 26.7 Hz), 110.4 (d, J = 2.1 Hz), 108.4 (d, J = 4.6 Hz), 105.6, 104.7 (d, J = 24.9 Hz), 70.1 (q, J = 31.6 Hz), 9.6. ^{19}**F NMR** (376 MHz, $CDCl_3$) δ: -72.30, -122.52 (td, J = 9.6, 4.5 Hz). IR (ATR) ν_{max}: 3410, 2963, 1507, 1288, 1154, 896, 741 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_4$ $[M+H]^+$: 447.1479; found: 447.1489. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 25.1 min, t_{minor} = 44.9 min.

(*R*)-3-(5-chloro-1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7m**)



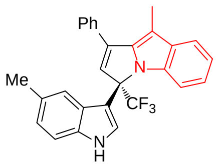
colorless oil, 13 h, 82% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +562.22 (c = 0.18, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.27 (s, 1H), 7.70-7.64 (m, 2H), 7.64-7.58 (m, 1H), 7.54-7.40 (m, 4H), 7.25-7.19 (m, 1H), 7.12 (s, 1H), 7.10-7.02 (m, 3H), 6.81 (d, *J* = 1.9 Hz, 1H), 6.37 (s, 1H), 2.38 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 141.4, 140.8, 134.7, 134.1, 132.9, 129.2, 128.8, 128.7, 128.3, 126.4, 126.4, 125.3 (q, *J* = 286.1 Hz), 125.0 (d, *J* = 2.4 Hz), 123.3, 123.1, 120.3, 119.6, 119.3, 112.4, 110.5 (d, *J* = 2.3 Hz), 108.2, 105.7, 70.1 (q, *J* = 31.5 Hz), 9.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.22. IR (ATR) ν_{max}: 3413, 2967, 1444, 1263, 1177, 894, 738 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Cl$ $[M+H]^+$: 463.1183; found: 463.1184. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} =15.8 min, t_{minor} = 14.9 min.

(*R*)-3-(5-bromo-1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7n**)



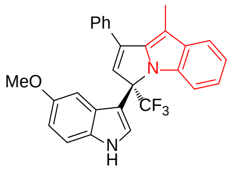
light brown foam, 13 h, 85% yield, 95.5:4.5 *er*, $[\alpha]_D^{20}$ = +382.50 (c = 0.20, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.26 (s, 1H), 7.72-7.58 (m, 3H), 7.54-7.38 (m, 4H), 7.22-7.04 (m, 5H), 6.98 (s, 1H), 6.37 (s, 1H), 2.38 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 141.4, 140.8, 135.0, 134.7, 134.1, 132.8, 129.2, 128.8, 128.7, 128.3, 127.0, 125.8, 125.3 (q, *J* = 286.0 Hz), 124.9 (d, *J* = 2.3 Hz), 123.1, 122.5, 120.3, 119.6, 114.0, 112.8, 110.5 (d, *J* = 1.9 Hz), 108.2 105.7, 70.1 (q, *J* = 31.8 Hz), 9.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.20. IR (ATR) ν_{max}: 3415, 2988, 1473, 1256, 1121, 739 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Br$ $[M+H]^+$: 507.0678; found: 507.0676. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} =15.5 min, t_{minor} = 14.6 min.

(*R*)-9-methyl-3-(5-methyl-1*H*-indol-3-yl)-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7o**)



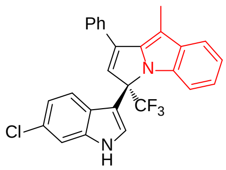
light brown foam, 13 h, 81% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +420.00 (c = 0.15, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.12 (s, 1H), 7.73-7.64 (m, 2H), 7.61 (dd, *J* = 6.2, 2.8 Hz, 1H), 7.53-7.43 (m, 3H), 7.40 (s, 1H), 7.19 (dd, *J* = 10.5, 5.7 Hz, 2H), 7.11-7.04 (m, 2H), 6.95 (d, *J* = 8.2 Hz, 1H), 6.69 (s, 1H), 6.43 (s, 1H), 2.38 (s, 3H), 2.22 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 141.0, 141.0, 134.8, 134.7, 134.1, 133.2, 129.7, 129.4, 129.0, 128.7, 128.3, 125.7, 125.5 (q, *J* = 285.8 Hz), 124.4, 123.9 (d, *J* = 2.1 Hz), 122.9, 120.0, 119.5, 119.4, 111.0, 110.7 (d, *J* = 2.3 Hz), 107.6, 105.1, 70.4 (q, *J* = 31.4 Hz), 21.8, 9.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.15. IR (ATR) ν_{max}: 3413, 2966, 1457, 1260, 1162, 890, 741 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{28}H_{21}N_2F_3$ $[M+H]^+$: 443.1730; found: 443.1742. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} =7.4 min, t_{minor} = 6.4 min.

(*R*)-3-(5-methoxy-1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7p**)



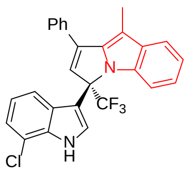
light brown foam, 13 h, 97% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +427.14 (c = 0.14, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.18 (s, 1H), 7.72-7.62 (m, 2H), 7.58 (d, *J* = 7.2 Hz, 1H), 7.46 (tdd, *J* = 8.3, 5.9, 2.6 Hz, 4H), 7.17 (d, *J* = 8.8 Hz, 1H), 7.10-6.96 (m, 3H), 6.70 (dd, *J* = 8.8, 2.4 Hz, 1H), 6.33 (s, 1H), 5.80 (d, *J* = 2.3 Hz, 1H), 3.36 (s, 3H), 2.37 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.3, 141.3, 141.0, 135.1, 133.9, 133.0, 131.1, 129.5, 129.1, 128.7, 128.3, 125.7, 125.5 (q, *J* = 285.8 Hz), 123.8 (d, *J* = 2.8 Hz), 123.1, 119.9, 119.6, 113.5, 112.0, 110.6 (d, *J* = 1.9 Hz), 107.6, 105.3, 100.3, 70.3 (q, *J* = 31.3 Hz), 55.2, 9.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.42. IR (ATR) ν_{max}: 3412, 2977, 1469, 1239, 1185, 899, 737 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{28}H_{21}N_2OF_3$ $[M+H]^+$: 459.1679; found: 459.1669. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 9.4 min, t_{minor} = 8.0 min.

(*R*)-3-(6-chloro-1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7q**)



light brown foam, 13 h, 93% yield, 97.5:2.5 *er*, $[\alpha]_D^{20}$ = +386.50 (c = 0.20, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 7.68-7.63 (m, 2H), 7.61 (dd, *J* = 8.3, 1.5 Hz, 1H), 7.52-7.42 (m, 4H), 7.29 (d, *J* = 1.6 Hz, 1H), 7.13-7.00 (m, 3H), 6.80 (dd, *J* = 8.7, 1.9 Hz, 1H), 6.53 (d, *J* = 8.7 Hz, 1H), 6.33 (s, 1H), 2.39 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 141.4, 140.8, 136.7, 134.7, 134.0, 132.8, 129.2, 129.0, 128.8, 128.7, 128.3, 125.3 (q, *J* = 291.3 Hz), 124.2 (d, *J* = 2.7 Hz), 124.0, 123.1, 121.5, 120.3, 120.2, 119.6, 111.3, 110.4 (d, *J* = 2.1 Hz), 108.4, 105.5, 70.1 (q, *J* = 31.5 Hz), 9.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.38. IR (ATR) ν_{max}: 3409, 2965, 1456, 1252, 1149, 898, 738 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Cl$ $[M+H]^+$: 463.1183; found: 463.1182. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 39.8 min, t_{minor} = 23.5 min.

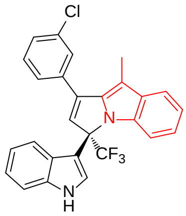
(*R*)-3-(7-chloro-1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7r**)



light brown foam, 4 d, 70% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +343.46 (c = 0.26, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.48 (s, 1H), 7.69-7.65 (m, 2H), 7.63-7.60 (m, 1H), 7.54 (s, 1H), 7.51-7.44 (m, 3H), 7.13-7.03 (m, 4H), 6.79 (td, *J* = 8.0, 3.7 Hz, 1H), 6.59 (d, *J* = 8.2 Hz, 1H), 6.36 (s, 1H), 2.40 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 141.4, 140.8, 134.7, 134.0, 133.7, 132.9, 129.2, 129.0, 128.7, 128.3, 126.8, 125.3 (q, *J* = 289.0 Hz), 124.2 (d, *J* = 2.7 Hz), 123.1, 122.2, 121.5, 120.1, 119.6, 118.2, 116.9, 110.5 (d, *J* = 1.8 Hz), 109.4, 105.5, 70.1 (q, *J* = 31.5 Hz), 9.7. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -72.32. IR (ATR) ν_{max}: 3417, 2955, 1434, 1229, 1147, 889, 742 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Cl$ $[M+H]^+$: 463.1183; found: 463.1182.. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm):

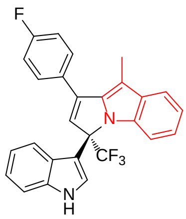
t_{major} =8.4 min, t_{minor} = 7.1 min.

(*R*)-1-(3-chlorophenyl)-3-(1*H*-indol-3-yl)-9-methyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7s**)



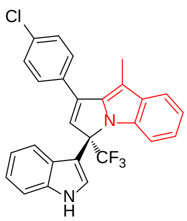
colorless oil, 20 h, 79% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +358.76 (c = 0.16, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.26 (s, 1H), 7.65 (d, *J* = 1.9 Hz, 1H), 7.61 (dt, *J* = 5.4, 3.2 Hz, 1H), 7.58-7.51 (m, 1H), 7.47 (d, *J* = 1.0 Hz, 1H), 7.44-7.37 (m, 2H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.16-7.09 (m, 2H), 7.09-7.01 (m, 2H), 6.92-6.84 (m, 1H), 6.73 (d, *J* = 8.1 Hz, 1H), 6.41 (s, 1H), 2.39 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 140.3, 139.9, 136.3, 134.8, 134.8, 134.7, 133.9, 130.0, 130.0, 129.1, 128.4, 126.5, 125.4 (q, *J* = 288.7 Hz), 125.3, 123.7 (d, *J* = 2.5 Hz), 123.2, 122.8, 120.8, 120.1, 119.6, 119.4, 111.5, 110.6 (d, *J* = 1.8 Hz), 107.8, 105.4, 70.4 (q, *J* = 31.4 Hz), 9.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.23. IR (ATR) ν_{max}: 3410, 2966, 1451, 1243, 1119, 895, 739 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Cl$ $[M+H]^+$: 463.1183; found: 463.1180. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 19.0 min, t_{minor} = 25.1 min.

(*R*)-1-(4-fluorophenyl)-3-(1*H*-indol-3-yl)-9-methyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7t**)



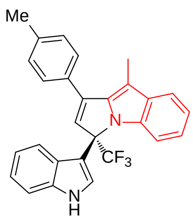
light brown foam, 10 h, 78% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +335.26 (c = 0.19, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.23 (s, 1H), 7.63 (ddd, *J* = 9.0, 5.9, 2.8 Hz, 3H), 7.46 (s, 1H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.13 (dt, *J* = 11.0, 5.7 Hz, 4H), 7.06 (ddd, *J* = 9.7, 5.6, 3.6 Hz, 2H), 6.88 (t, *J* = 7.6 Hz, 1H), 6.75 (d, *J* = 8.1 Hz, 1H), 6.36 (s, 1H), 2.38 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 163.3 (d, *J* = 248.5 Hz), 140.7, 140.1, 136.3, 134.8, 133.9, 130.2, 130.1, 129.3, 129.1 (d, *J* = 3.2 Hz), 125.4 (q, *J* = 286.3 Hz), 125.4, 123.7 (d, *J* = 2.5 Hz), 123.1, 122.8, 120.7, 120.1, 119.5, 119.5, 115.8, 115.6, 111.5, 110.6 (d, *J* = 1.8 Hz), 107.9, 105.2, 70.4 (q, *J* = 31.5 Hz), 9.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.26, -112.30 (dq, *J* = 8.6, 5.4 Hz). IR (ATR) ν_{max}: 3411, 2959, 1437, 1258, 1146, 890, 736 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_4$ $[M+H]^+$: 447.1479; found: 447.1486. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 28.0 min, t_{minor} = 40.0 min.

(*R*)-1-(4-chlorophenyl)-3-(1*H*-indol-3-yl)-9-methyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7u**)



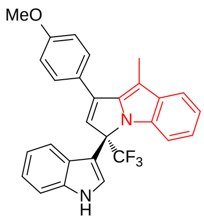
light brown foam, 10 h, 75% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +328.33 (c = 0.18, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.25 (s, 1H), 7.68-7.55 (m, 3H), 7.51-7.41 (m, 3H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.16-7.09 (m, 2H), 7.08-6.99 (m, 2H), 6.86 (tt, *J* = 11.1, 5.6 Hz, 1H), 6.73 (d, *J* = 8.1 Hz, 1H), 6.38 (s, 1H), 2.38 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 140.5, 140.1, 136.3, 135.0, 134.8, 133.9, 131.5, 129.7, 129.6, 128.9, 125.4 (q, *J* = 286.3 Hz), 125.4, 123.7 (d, *J* = 2.5 Hz), 123.1, 122.8, 120.7, 120.1, 119.6, 119.4, 111.5, 110.6 (d, *J* = 2.2 Hz), 107.9, 105.3, 70.4 (q, *J* = 31.5 Hz), 9.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.24. IR (ATR) ν_{max}: 3407, 2955, 1449, 1262, 1180, 892, 740 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Cl$ $[M+H]^+$: 463.1183; found: 463.1178. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} =28.1 min, t_{minor} = 30.2 min.

(*R*)-3-(1*H*-indol-3-yl)-9-methyl-1-(p-tolyl)-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7v**)



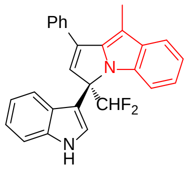
colorless oil, 10 h, 90% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +370.00 (c = 0.18, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.24 (s, 1H), 7.64-7.58 (m, 1H), 7.56 (d, *J* = 8.1 Hz, 2H), 7.47 (d, *J* = 0.9 Hz, 1H), 7.30 (dd, *J* = 14.2, 8.0 Hz, 3H), 7.18-7.07 (m, 2H), 7.07-6.99 (m, 2H), 6.91-6.83 (m, 1H), 6.78 (d, *J* = 8.1 Hz, 1H), 6.36 (s, 1H), 2.43 (s, 3H), 2.40 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 141.1, 141.0, 139.0, 136.3, 134.8, 134.0, 130.1, 129.3, 128.8, 128.2, 125.5 (q, *J* = 286.1 Hz), 125.4, 123.6 (d, *J* = 2.6 Hz), 122.8, 122.7, 120.6, 120.0, 119.6, 119.4, 111.4, 110.6 (d, *J* = 2.0 Hz), 108.2, 105.1, 70.3 (q, *J* = 31.5 Hz), 21.5, 9.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.28. IR (ATR) ν_{max}: 3405, 2954, 1443, 1270, 1181, 889, 737 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{28}H_{21}N_2F_3$ $[M+H]^+$: 443.1730; found: 447.1734. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 11.5 min, t_{minor} = 13.0 min.

(*R*)-3-(1*H*-indol-3-yl)-1-(4-methoxyphenyl)-9-methyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**7w**)



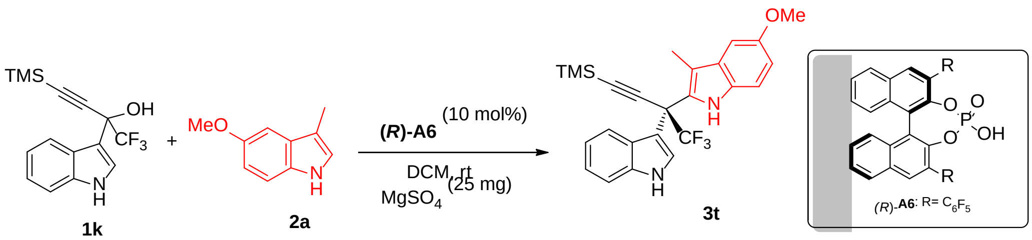
light brown foam, 10 h, 98% yield, 96.5:3.5 *er*, $[\alpha]_D^{20}$ = +326.00 (c = 0.20, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.20 (s, 1H), 7.67-7.56 (m, 3H), 7.45 (s, 1H), 7.31 (d, *J* = 8.2 Hz, 1H), 7.20-7.03 (m, 4H), 7.02-6.98 (m, 2H), 6.92-6.83 (m, 1H), 6.79 (d, *J* = 8.1 Hz, 1H), 6.33 (s, 1H), 3.88 (s, 3H), 2.42 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ: 160.3, 141.1, 140.7, 136.3, 134.8, 134.0, 129.6, 128.3, 125.5 (q, *J* = 285.9 Hz), 125.4 (d, *J* = 3.0 Hz), 123.6, 122.9, 122.7, 120.6, 120.0, 119.6, 119.4, 114.1, 111.4, 110.6, 108.3, 105.0, 100.1, 70.3 (q, *J* = 31.4 Hz), 55.5, 9.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.27. IR (ATR) ν_{max}: 3405, 2962, 1447, 1259, 1174, 884, 737 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{28}H_{21}N_2OF_3$ $[M+H]^+$: 459.1679; found: 459.1681. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 18.0 min, t_{minor} = 16.0 min.

(*R*)-3-(difluoromethyl)-3-(1*H*-indol-3-yl)-9-methyl-1-phenyl-3*H*-pyrrolo[1,2-a]indole (**7x**)



colorless oil,14 h, 76% yield, 96:4 *er*, $[\alpha]_D^{20}$ = +403.23 (c = 0.12, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.22 (s, 1H), 7.66 (dd, *J* = 7.9, 1.6 Hz, 2H), 7.60 (dd, *J* = 6.4, 1.5 Hz, 1H), 7.52 (d, *J* = 2.4 Hz, 1H), 7.50-7.40 (m, 3H), 7.33 (d, *J* = 8.2 Hz, 1H), 7.18-7.13 (m, 1H), 7.12-7.07 (m, 1H), 7.02 (ddd, *J* = 14.2, 7.0, 1.4 Hz, 2H), 6.86 (t, *J* = 7.0 Hz, 1H), 6.81 (d, *J* = 8.1 Hz, 1H), 6.41 (s, 1H), 6.23-5.88 (m, 1H), 2.39 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 140.8, 140.6, 136.4, 134.8, 133.9, 133.3, 130.7 (d, *J* = 3.2 Hz), 128.9, 128.6, 128.3, 125.8, 123.5 (d, *J* = 3.1 Hz), 122.6, 122.5, 120.5, 119.9, 119.7, 119.2, 119.0, 117.0 (d, *J* = 3.1 Hz), 114.9, 111.3, 110.6 (d, *J* = 4.2 Hz), 108.5, 104.3, 70.0 (t, *J* = 23.7 Hz), 9.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -120.12 (dd, *J* = 1622.0, 276.9 Hz). IR (ATR) ν_{max}: 3410, 2923, 1455, 1334, 1056, 740, 700 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{20}N_2F_2$ $[M+H]^+$: 411.1667; found: 411.1671. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 11.5 min, t_{minor} = 20.7 min.

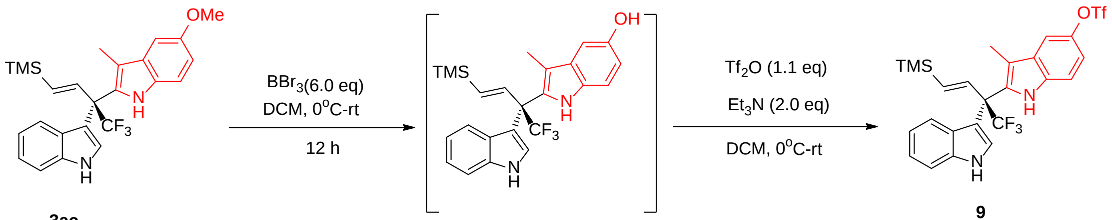
j. The scale-up experiment



To a solution of α-indolyl propargylic alcohol **1k** (1.00 mmol, 1.0 equiv.), $MgSO_4$ (500 mg), and catalyst (*R*)-**A6** (0.10 mmol, 10 mol%) in DCM (10 mL) was added indole **2a** (1.10 mmol, 1.1 equiv.). The mixture was stirred at at room temperature until the reaction was completed (monitored by TLC analysis). The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~5:1) to give the desired chiral product **3k** with 377.28 mg, 83% yield and 95:5 *er*.

5. Experimental Procedure and Characterization Data of Compounds 9~18.

a. The transformation of (*R*)-3ac to compound 9



3ac
94:6 **er**

9
73% yield, 94:6 **er**

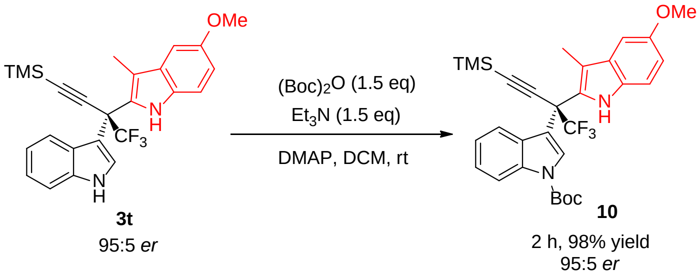
A solution of **3ac** (0.10 mmol, 1.0 equiv) in dry CH_2Cl_2 (2 mL) was cooled to 0 °C, BBr_3 (0.6 mmol, 6.0 equiv) was added dropwise over 15 min. Then the resulting mixture was allowed to slowly warm to room temperature and stirred for another 12 h. Water (1 mL) and saturated $NaHCO_3$ (5 mL) were added to quench the reaction after completion (indicated by TLC). The reaction mixture was adjusted to a neutral pH by saturated ammonia solution followed by extracting with CH_2Cl_2 (2×10 mL). The combined organic phases were washed with brine and dried over Na_2SO_4. Removal of the solvent afforded a pale-yellow oil which was used for next step without further purification.

At 0 ºC, to a solution of the crude product (0.09 mmol, 1.0 equiv) and Et_3N (0.54 mmol, 6.0 equiv) in DCM (2 mL) was slowly added Tf_2O (0.099 mmol, 1.1 equiv) over 1 min. The reaction mixture was stirred at 0 ºC for 30 min, and then at room temperature for 1 h. Next, water (10 mL) was added, and the reaction mixture was extracted with DCM (3x10 mL). The combined organic layers were dried over anhydrous Na_2SO_4 and concentrated. The residue was purified by silica gel flash chromatography to afford the desired product (eluent: hexanes/EtOAc = 30:1~20:1) to give the desired compound **9**.

(*R,E*)-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(trimethylsilyl)but-3-en-2-yl)-1*H*-indol-5-yl trifluoromethanesulfonate (**9**)

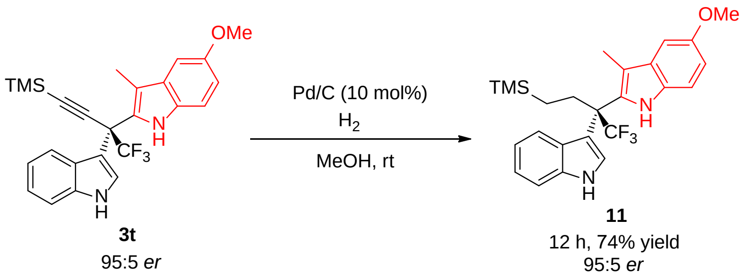
colorless oil, 73% yield, 94:6 *er*, $[\alpha]_D^{20}$ = -114.00 (c = 0.18, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 7.47 (d, *J* = 2.3 Hz, 1H), 7.41 (d, *J* = 8.8 Hz, 1H), 7.18-7.00 (m, 3H), 6.79 (t, *J* = 7.5 Hz, 1H), 6.60 (d, *J* = 7.8 Hz, 1H), 6.37 (dd, *J* = 7.7, 3.8 Hz, 1H), 6.01 (d, *J* = 19.0 Hz, 1H), 5.64 (d, *J* = 19.0 Hz, 1H), 4.95 (d, *J* = 7.6 Hz, 1H), 4.78 (d, *J* = 3.2 Hz, 1H), 2.13 (s, 3H), -0.10 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 149.1, 143.8, 137.6, 136.5, 135.7, 134.4, 130.5, 129.4, 127.6, 127.3 (q, *J* = 282.8 Hz), 124.6, 119.8, 119.0 (q, *J* = 320.9 Hz), 115.2, 112.4, 110.9, 110.6, 107.3, 73.8, 59.6 (q, *J* = 26.0 Hz), 58.6, 8.7, -1.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -72.10, -72.79. IR (ATR) ν_{max}: 3408, 2974, 1439, 1447, 1138, 857, 742 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{25}H_{24}N_2O_3F_6SiS$ $[M+H]^+$: 575.1254; found: 575.1267. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 6.7 min, t_{minor} = 7.8 min.

b. The transformations of 3t to compounds 10~12



To a solution of **3t** (0.10 mmol, 1.0 equiv), Et_3N (0.15 mmol, 1.5 equiv) and DMAP (0.01 mmol, 10 mol%) in DCM (2 mL) was added dropwise the solution of $(Boc)_2O$ (0.15 mmol, 1.5 equiv) in DCM (1 mL). The mixture was further stirred at room temperature for 2 h. Next, water (10 mL) was added. The layers were separated, and the aqueous layer was extracted with ethyl acetate (3×10 mL). The combined organic layers were washed with brine (10 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1) to give the desired compound **10**.

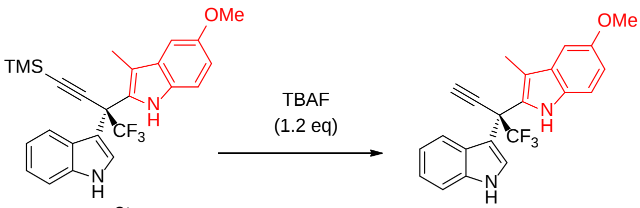
(*S*)-*tert*-butyl 3-(1,1,1-trifluoro-2-(5-methoxy-3-methyl-1*H*-indol-2-yl)-4-(trimethylsilyl)but-3-yn-2-yl)-1*H*-indole-1-carboxylate (**10**)
colorless oil, 2 h, 98% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +43.33 (c = 0.60, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.17 (d, *J* = 7.7 Hz, 1H), 8.06 (s, 1H), 7.85 (s, 1H), 7.29 (t, *J* = 7.8 Hz, 1H), 7.20 (t, *J* = 9.4 Hz, 2H), 7.04 (t, *J* = 7.6 Hz, 1H), 6.97 (s, 1H), 6.87 (d, *J* = 8.8 Hz, 1H), 3.85 (s, 3H), 2.17 (s, 3H), 1.73 (s, 9H), 0.21 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 149.7, 135.5, 130.1, 129.8, 127.3 (q, *J* = 235.3 Hz), 125.3, 125.0, 124.1, 122.9, 121.0, 115.2, 114.6, 113.4, 112.2, 111.9, 100.6, 98.6, 92.9, 84.6, 81.2, 56.0, 47.6 (q, *J* = 31.1 Hz), 28.3, 9.4, -0.3. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.46. IR (ATR) ν_{max}: 2983, 1806, 1741, 1371, 1114, 1062, 843 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{30}H_{37}N_2O_3F_3Si$ $[M+H]^+$: 559.2598; found: 559.2853. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 99/1, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 5.9 min, t_{minor} = 6.2 min.



To a solution of **3t** (0.10 mmol, 1.0 equiv) in MeOH (5.0 mL) was added Pd/C (10 mol%). The mixture was stirred with a hydrogen balloon at room temperature for 12 h. It was then filtered and washed with MeOH. After the solvent was removed under vacuum, the crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1) to give the desired compound **11**.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)-4-(trimethylsilyl)butan-2-yl)-1*H*-indole (**11**)
colorless oil, 12 h, 74% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +19.38 (c = 0.16, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.26 (s, 1H), 7.94 (s, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.33 (s, 1H), 7.18-7.10 (m, 2H), 6.97 (s, 1H), 6.92-6.77 (m, 3H), 3.87 (s, 3H), 2.90-2.51 (m, 2H), 2.03 (s, 3H), 0.68-0.44 (m, 1H), 0.42-0.24 (m, 1H), -0.06 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 153.9, 136.3, 131.0, 130.1, 129.8, 128.4 (q, *J* = 285.8 Hz), 126.4, 124.0, 122.5, 121.1, 120.2, 112.6, 112.4, 111.6, 111.2, 110.6, 100.5, 56.0, 52.6 (q, *J* = 24.5 Hz), 29.6, 11.5, 10.1, -1.8. **^{19}F NMR** (471 MHz, $CDCl_3$)

δ: -67.91. IR (ATR) ν_{max}: 3409, 2952, 1485, 1130, 849, 738 cm^{-1}. (ESI): *m/z* calcd. for $C_{25}H_{29}N_2OF_3Si$ [M-H]$^-$: 457.1928; found: 457.1911. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 7.1 min, t_{minor} = 6.1 min.



3t
95:5 *er*

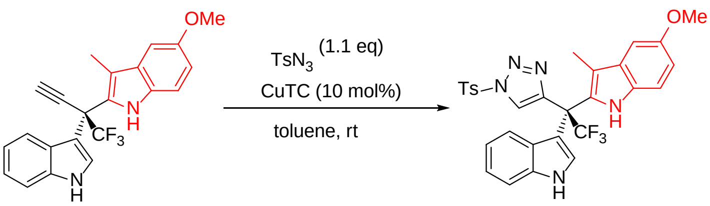
12
1 h, 96% yield
95:5 *er*

To a solution of **3t** (0.10 mmol, 1.0 equiv) in anhydrous THF (2 mL) at 0ºC was added 0.12 mL 1 M TBAF in THF (0.12 mmol) under N_2. The mixture was further stirred at 0ºC for other 1 h. Saturated NH_4Cl was added to quench the reaction after completion (indicated by TLC). The reaction mixture was extracted with CH_2Cl_2 (3×10 mL). The combined organic phases were washed with brine and dried over Na_2SO_4. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1) to give the desired compound **12**.

(*R*)-5-methoxy-3-methyl-2-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)but-3-yn-2-yl)-1*H*-indole (**12**)

white foam, 1 h, 96% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +43.24 (c = 0.34, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.29 (s, 1H), 8.20 (s, 1H), 7.49-7.34 (m, 2H), 7.20 (t, *J* = 8.7 Hz, 3H), 6.96 (d, *J* = 9.6 Hz, 2H), 6.89 (d, *J* = 8.8 Hz, 1H), 3.86 (s, 3H), 2.74 (s, 1H), 2.05 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.1, 136.3, 130.2, 129.8, 126.0, 125.6 (q, *J* = 285.0 Hz), 125.5, 122.9, 120.4, 120.4, 113.3, 112.0, 111.9, 111.4, 109.8, 100.7, 78.7, 75.1, 56.0, 47.0 (q, *J* = 31.2 Hz), 9.2. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -70.52. IR (ATR) ν_{max}: 3411, 2926, 1485, 1168, 728 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{22}H_{17}N_2OF_3$ [M-H]$^-$: 381.1220; found: 381.1209. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 15.6 min, t_{minor} = 16.7 min.

c. The transformations of 12 to compounds 13~14



12
1 h, 96% yield
95:5 *er*

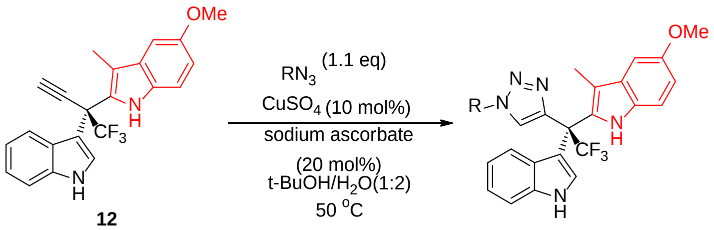
13a
12 h, 90% yield
95:5 *er*

Under N_2, to a vial charged with **12** (0.1 mmol, 1.0 equiv), CuTC (0.01 mmol, 0.1 equiv), and toluene (2 mL) was added 4-methylbenzenesulfonyl azide (0.11 mmol, 1.1 equiv). The solution was stirred at room temperature for 12 h. Upon completion, water (2 mL) was added. The layers were separated, and the aqueous layer was extracted with ethyl acetate (3×10 mL). The combined organic layers were washed with brine (10 mL), dried over anhydrous Na_2SO_4, filtered, and concentrated. The residue was purified by silica gel chromatography (petroleum ether/ ethyl acetate = 5:1) to afford the desired product **13a**.

(*R*)-5-methoxy-3-methyl-2-(2,2,2-trifluoro-1-(1*H*-indol-3-yl)-1-(1-tosyl-1*H*-1,2,3-triazol-4-yl)ethyl)-1*H*-indole

(**13a**)

white foam, 12 h, 90% yield, 95:5 *er*, $[\alpha]_D^{20}$ = -13.04 (c = 0.23, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 10.14 (s, 1H), 8.48 (s, 1H), 7.85 (d, *J* = 8.2 Hz, 2H), 7.51 (d, *J* = 11.4 Hz, 2H), 7.43 (d, *J* = 8.2 Hz, 1H), 7.36 (d, *J* = 8.1 Hz, 2H), 7.29 (d, *J* = 8.8 Hz, 1H), 7.15 (t, *J* = 7.6 Hz, 1H), 6.88 (dd, *J* = 8.8, 1.9 Hz, 1H), 6.84 (s, 1H), 6.72 (t, *J* = 7.5 Hz, 1H), 6.43 (d, *J* = 8.1 Hz, 1H), 3.81 (s, 3H), 2.45 (s, 3H), 1.45 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 153.8, 147.9, 147.1, 136.3, 132.5, 130.7, 130.2, 129.9, 129.5, 128.8, 126.8, 126.6, 126.1 (q, *J* = 101.8 Hz), 123.5, 123.5, 122.9, 120.3, 120.2, 113.2, 112.5, 112.1, 111.6, 110.9, 100.5, 56.0, 49.9 (q, *J* = 29.1 Hz), 22.0, 8.7. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -69.40. IR (ATR) ν_{max}: 3351, 2925, 1215, 1159, 1009 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{29}H_{24}N_5O_3F_3S$ [M-H]$^-$: 578.1479; found: 578.1468. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 80/20, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 10.1 min, t_{minor} = 11.1 min.

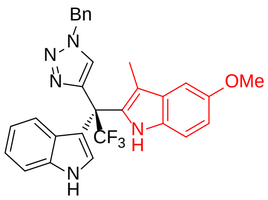


12
1 h, 96% yield
95:5 *er*

13b or 13c

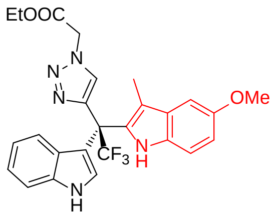
To a solution of **12** (0.10 mmol, 1.0 equiv) and the corresponding azide (0.11 mmol, 1.1 equiv) in *t*-BuOH (2 mL) was added dropwise the solution of $CuSO_4$ (0.01 mmol, 0.1 equiv) and sodium ascorbate (0.02 mmol, 0.2 equiv) in 4.0 mL H_2O. The mixture was further stirred at 50 °C for 12 h, and then allowed to cool to room temperature after completion (indicated by TLC). The reaction was diluted with ethyl acetate (3×10 mL), washed with saturated NH_4OH solution, and the organic phase was dried over Na_2SO_4. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 5:1) to give the desired compound **13b** and **13c**.

(*R*)-2-(1-(1-benzyl-1*H*-1,2,3-triazol-4-yl)-2,2,2-trifluoro-1-(1*H*-indol-3-yl)ethyl)-5-methoxy-3-methyl-1*H*-indole (**13b**)

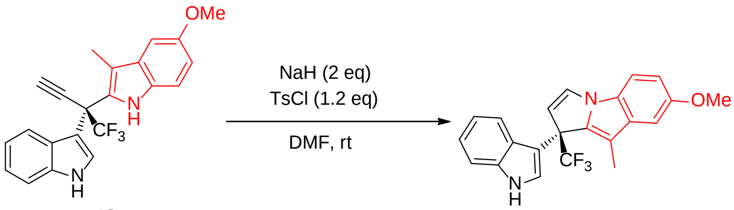


white foam, 12 h, 86% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +13.00 (c = 0.10, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 10.67 (s, 1H), 8.37 (s, 1H), 7.40 (s, 1H), 7.29 (dd, *J* = 18.5, 8.5 Hz, 2H), 7.21 (dd, *J* = 8.3, 4.4 Hz, 3H), 7.05 (t, *J* = 7.6 Hz, 1H), 7.00-6.94 (m, 2H), 6.87-6.76 (m, 3H), 6.66 (t, *J* = 7.6 Hz, 1H), 6.48 (d, *J* = 8.1 Hz, 1H), 5.39 (d, *J* = 15.2 Hz, 1H), 5.29 (d, *J* = 15.2 Hz, 1H), 3.75 (s, 3H), 1.41 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 153.7, 147.7, 136.2, 134.4, 130.1, 129.6, 129.1, 128.7, 127.8, 127.5, 126.4 (q, *J* = 103.4 Hz), 123.2, 123.2, 122.6, 120.5, 120.1, 112.8, 112.2, 112.0, 111.8, 111.3, 100.5, 56.0, 54.2, 49.7 (q, *J* = 28.9 Hz), 8.7. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -69.66. IR (ATR) ν_{max}: 3354, 2925, 1486, 1457, 1239, 1218, 1136 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{29}H_{24}N_5OF_3$ [M-H]$^-$: 514.1860; found: 514.1842. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 80/20, flow rate 1 mL·min^{-1}, λ = 280 nm): t_{major} = 16.4 min, t_{minor} = 12.5 min.

(*R*)-ethyl 2-(4-(2,2,2-trifluoro-1-(1*H*-indol-3-yl)-1-(5-methoxy-3-methyl-1*H*-indol-2-yl)ethyl)-1*H*-1,2,3-triazol-1-yl) acetate (**13c**)



white foam, 12 h, 99% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +5.33 (c = 0.15, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 10.55 (s, 1H), 8.42 (s, 1H), 7.50 (s, 1H), 7.39 (d, *J* = 8.2 Hz, 1H), 7.33 (d, *J* = 8.7 Hz, 1H), 7.12 (t, *J* = 7.6 Hz, 1H), 7.04 (s, 1H), 6.92-6.83 (m, 2H), 6.74 (t, *J* = 7.5 Hz, 1H), 6.58 (d, *J* = 8.1 Hz, 1H), 5.06 (d, *J* = 17.6 Hz, 1H), 4.97 (d, *J* = 17.6 Hz, 1H), 4.15 (q, *J* = 7.3 Hz, 2H), 3.81 (s, 3H), 1.48 (s, 3H), 1.18 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 165.8, 153.7, 147.7, 136.2, 130.2, 129.6, 128.0, 127.7, 126.3 (q, *J* = 285.7 Hz), 126.0, 123.3 (d, *J* = 2.4 Hz), 122.7, 120.6, 120.2, 112.9, 112.2, 112.0, 111.7, 111.3, 100.5, 62.6, 56.0, 51.1, 49.7 (q, *J* = 28.7 Hz), 14.0, 8.7. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -69.56. IR (ATR) ν_{max}: 3355, 2925, 1446, 1209, 1175, 1014 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{26}H_{24}N_5O_3F_3$ [M-H]$^-$: 510.1758; found: 510.1739. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 80/20, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 14.4 min, t_{minor} = 13.2 min.



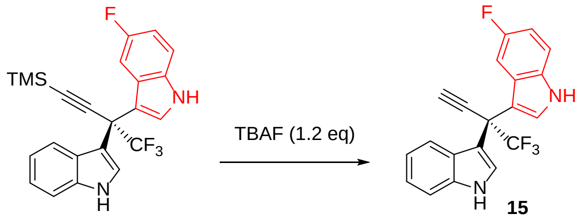
12
1 h, 96% yield
95:5 *er*

14

To a solution of **12** (0.10 mmol, 1.0 equiv) in DMF (2 mL) was added NaH (0.20 mmol, 2.0 equiv) at 0ºC for other 30 min, then the solution of TsCl (0.12 mmol, 1.2 equiv) in DMF (1 mL) was added dropwise. The mixture was further stirred at room temperature for 12 h. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1~5:1) to give the desired compound **14**.

(*R*)-1-(1*H*-indol-3-yl)-7-methoxy-9-methyl-1-(trifluoromethyl)-1*H*-pyrrolo[1,2-a]indole (**14**)
white solid, 12 h, 97% yield, 95:5 *er*, M.p. 123.5-124.2 ºC. $[\alpha]_D^{20}$ = -473.43 (c = 0.35, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.15 (s, 1H), 7.40-7.32 (m, 2H), 7.31 (s, 1H), 7.28 (d, *J* = 3.9 Hz, 1H), 7.19 (t, *J* = 7.6 Hz, 1H), 7.14 (d, *J* = 8.1 Hz, 1H), 7.06 (s, 1H), 7.00 (dd, *J* = 15.3, 8.0 Hz, 2H), 5.91 (d, *J* = 3.8 Hz, 1H), 3.91 (s, 3H), 2.26 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 154.4, 137.4, 136.7, 133.2, 127.9, 126.8 (q, *J* = 284.0 Hz), 125.7, 123.7, 123.7, 122.5, 120.2, 120.0, 114.0, 112.8, 111.5, 110.3, 110.2, 108.5, 102.2, 56.1, 55.6 (q, *J* = 30.6 Hz), 9.0. **^{19}F NMR** (471 MHz, CDCl3) δ: -69.25. IR (ATR) ν_{max}: 3321, 2954, 1413, 1213, 1166, 1008 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{22}H_{17}N_2OF_3$ [M-H]$^-$: 383.1366; found: 383.1361. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralcel OD-H column (hexane/*i*-PrOH = 95/5, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 25.2 min, t_{minor} = 23.6 min.

d. The transformation of 5m to compound 15



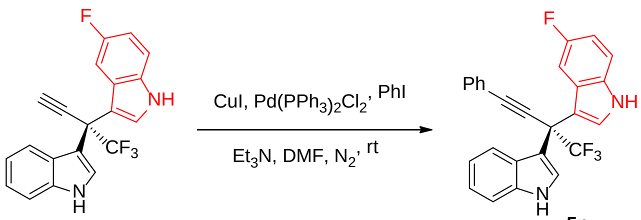
5m
95:5 *er*

15
1 h, 97% yield
95:5 *er*

A solution of **5m** (0.10 mmol, 1.0 equiv) in anhydrous THF (2 mL) at 0 ºC was added 0.12 mL 1 M TBAF in THF (0.12 mmol) under N_2. The mixture was further stirred at 0ºC for other 1 h. Saturated NH_4Cl was added to quench the reaction after completion (indicated by TLC). The reaction mixture was extracted with CH_2Cl_2 (3×10 mL). The combined organic phases were washed with brine and dried over Na_2SO_4. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1) to give the desired compound **15**.

(*S*)-5-fluoro-3-(1,1,1-trifluoro-2-(1*H*-indol-3-yl)but-3-yn-2-yl)-1*H*-indole (**15**)
white foam, 1 h, 97% yield, 95:5 *er*, $[\alpha]_D^{20}$ = +12.21(c = 0.22, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.12 (d, *J* = 16.0 Hz, 2H), 7.48 (d, *J* = 8.1 Hz, 1H), 7.38-7.31 (m, 3H), 7.22 (dd, *J* = 8.6, 4.2 Hz, 1H), 7.17 (dd, *J* = 13.8, 5.2 Hz, 2H), 6.98 (t, *J* = 7.6 Hz, 1H), 6.90 (t, *J* = 9.0 Hz, 1H), 2.68 (s, 1H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 157.7 (d, *J* = 234.2 Hz), 136.6, 133.1, 126.2 (d, *J* = 11.3 Hz), 126.2, 126.0 (q, *J* = 284.6 Hz), 125.7, 124.3 (d, *J* = 1.2 Hz), 122.5, 121.0, 120.0, 112.0 (d, *J* = 9.8 Hz), 111.5 (d, *J* = 5.4 Hz), 111.5, 111.1, 110.9 (d, *J* = 5.0 Hz), 106.1 (d, *J* = 24.8 Hz), 80.2, 74.0, 46.0 (q, *J* = 31.1 Hz). **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.11, -123.51. IR (ATR) ν_{max}: 3415, 2944, 1475, 1152, 734 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{20}H_{12}N_2F_4$ $[M-H]^-$: 355.0864; found: 355.0863. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 28.2 min, t_{minor} = 33.2 min.

e. The transformation of 15 to compound 5n

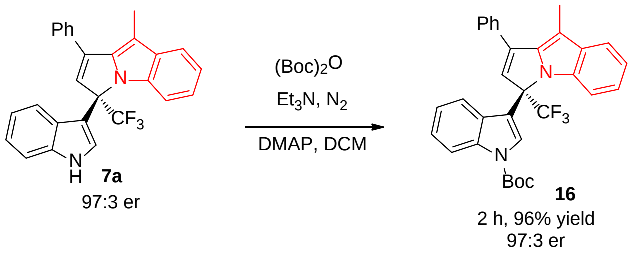


15

5n
82% yield, 95:5 *er*

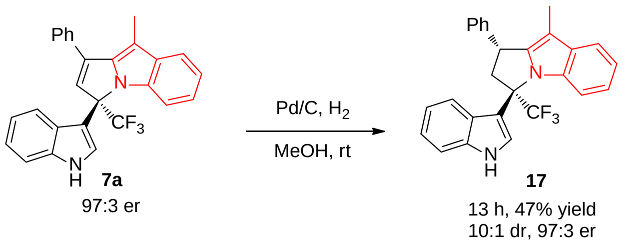
To a solution of **15** (0.1 mmol, 1.0 equiv), $Pd(PPh_3)_2Cl_2$ (0.01 mmol, 0.1 equiv), CuI (0.05 mmol, 0.5 equiv), and Et_3N (0.5 mmol, 5 equiv) in anhydrous DMF (2.0 mL), was added iodobenzene (0.15 mmo, 1.5 equiv). The mixture was further stirred at room temperature for 24 h. After the solvent was removed under vacuum, the crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1~5:1) to give the desired compound **5n** with 82% yield and 95:5 *er*.

f. The transformations of (*R*)-7a to compounds 16-18



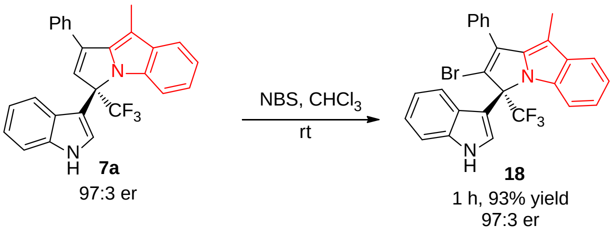
To a solution of **7a** (0.10 mmol, 1.0 equiv), Et_3N (0.30 mmol, 3.0 equiv) and DMAP (0.02 mmol, 20 mol%) in DCM (2 mL) was added dropwise the solution of $(Boc)_2O$ (0.15 mmol, 1.5 equiv) in DCM (1 mL). The mixture was further stirred at room temperature for 2 h. After the solvent was removed under vacuum, the crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1~5:1) to give the desired compound **16**.

(*R*)-*tert*-butyl 3-(9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indol-3-yl)-1*H*-indole-1-carboxylate (**16**) colorless oil, 2 h, 96% yield, 97:3 *er*, $[\alpha]_D^{20}$ = +226.67 (c = 0.33, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.13 (d, *J* = 8.0 Hz, 1H), 8.01 (s, 1H), 7.67 (d, *J* = 6.8 Hz, 2H), 7.63-7.58 (m, 1H), 7.54-7.38 (m, 3H), 7.21 (t, *J* = 7.8 Hz, 1H), 7.15 (d, *J* = 8.0 Hz, 1H), 7.07 (dd, *J* = 8.9, 5.0 Hz, 2H), 6.93 (t, *J* = 7.6 Hz, 1H), 6.53 (d, *J* = 8.1 Hz, 1H), 6.31 (s, 1H), 2.42 (s, 3H), 1.73 (s, 9H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 152.3, 149.5, 142.3, 140.7, 135.4, 134.8, 133.9, 132.8, 129.2, 128.7, 128.3, 128.2, 128.0, 125.1 (q, *J* = 286.2 Hz), 125.0, 124.9, 123.3 (d, *J* = 4.5 Hz), 120.2, 119.7, 119.5, 115.3, 112.4, 110.4, 105.9, 84.7, 81.2, 69.8 (q, *J* = 31.5 Hz), 28.3, 28.0, 9.7. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -72.30. IR (ATR) ν_{max}: 1736, 1452, 1368, 1457, 1151, 1096, 738 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{32}H_{27}N_2O_2F_3$ $[M+H]^+$: 529.2097; found: 529.2097. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak AD-H column (hexane/*i*-PrOH = 99/1, flow rate 0.8 mL·min^{-1}, λ = 280 nm): t_{major} = 5.3 min, t_{minor} = 5.0 min.



To a solution of **7a** (0.10 mmol, 1.0 equiv) in MeOH (5.0 mL) was added Pd/C (10 mol%). The mixture was stirred with a hydrogen balloon at room temperature for 13 h. It was then filtered and washed with MeOH. After the solvent was removed under vacuum, the crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 10:1) to give the desired compound **17**.

(*1R,3R*)-3-(1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-2,3-dihydro-1*H*-pyrrolo[1,2-a]indole (**17**) light brown foam, 13 h, 47% yield, 97:3 *er*, *d.r.*= 10:1, $[\alpha]_D^{20}$ = +126.40 (c = 0.25, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.04 (s, 1H), 7.56 (d, *J* = 7.9 Hz, 1H), 7.40-7.34 (m, 3H), 7.33-7.27 (m, 4H), 7.20 (t, *J* = 7.6 Hz, 1H), 7.14 (dd, *J* = 12.6, 7.8 Hz, 2H), 7.06 (dd, *J* = 15.6, 8.0 Hz, 2H), 6.92 (d, *J* = 1.9 Hz, 1H), 4.59 (t, *J* = 8.4 Hz, 1H), 3.67 (dd, *J* = 13.4, 9.4 Hz, 1H), 3.18 (dd, *J* = 13.5, 7.6 Hz, 1H), 2.02 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 142.5, 141.6, 136.8, 134.2, 132.5, 128.9, 128.0, 127.1, 126.3 (q, *J* = 285.2 Hz), 124.7, 123.7, 122.8, 121.5, 120.7, 119.7 (d, *J* = 1.5 Hz), 119.7, 118.8, 111.8, 111.7, 111.6 (d, *J* = 3.7 Hz), 104.0, 67.7 (q, *J* = 31.2 Hz), 49.5, 41.0, 8.5. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -71.73. IR (ATR) ν_{max}: 3410, 2920, 1451, 1165, 738, 699 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{21}N_2F_3$ $[M-H]^-$: 429.1584; found: 429.1582. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 254 nm): t_{major} = 6.9 min, t_{minor} = 8.7 min.



To a solution of **7a** (0.10 mmol, 1.0 equiv) in $CHCl_3$ (1 mL) was added dropwise the solution of NBS (0.12 mmol, 1.2 equiv) in $CHCl_3$ (0.5 mL). The mixture was further stirred at room temperature for 1 h. After the solvent was removed under vacuum, the crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate =10:1) to give the desired compound **18.**

(*R*)-2-bromo-3-(1*H*-indol-3-yl)-9-methyl-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[1,2-a]indole (**18**)
light brown solid, 1 h, 93% yield, 97:3 *er*, M.p. 120.4-120.9 °C. $[\alpha]_D^{20}$ = +313.08 (c = 0.13, MeOH). **^{1}H NMR** (400 MHz, $CDCl_3$) δ: 8.38 (s, 1H), 7.76-7.69 (m, 1H), 7.69-7.62 (m, 2H), 7.58-7.43 (m, 4H), 7.34 (t, *J* = 6.9 Hz, 1H), 7.14-7.05 (m, 1H), 7.04-6.97 (m, 1H), 6.96 (dd, *J* = 3.7, 1.9 Hz, 2H), 6.86-6.76 (m, 1H), 6.52 (d, *J* = 8.1 Hz, 1H), 2.18 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 139.8, 139.6, 136.1, 135.5, 133.0, 131.7, 129.3 (d, *J* = 2.7 Hz), 128.7, 128.3, 125.2, 125.0 (q, *J* = 288.7 Hz), 124.9 (d, *J* = 3.2 Hz), 123.2, 122.9, 120.9, 120.1, 119.7, 119.2, 119.0, 111.5, 110.4 (d, *J* = 1.7 Hz), 106.8, 105.8, 72.8 (q, *J* = 30.7 Hz), 9.1. **^{19}F NMR** (376 MHz, $CDCl_3$) δ: -71.77. IR (ATR) ν_{max}: 3412, 2923, 1262, 1237, 1174, 740 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{18}N_2F_3Br$ $[M+H]^+$: 507.0678; found: 507.0671. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 95/5, flow rate 0.8 mL·min^{-1}, λ = 254 nm): t_{major} = 15.6 min, t_{minor} = 23.3 min.

6. Data for X-Ray Crystal Structures of 5i, 14 and 18

Table S5 Crystal data and structure refinement for 5i.

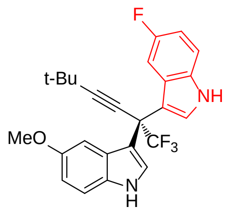
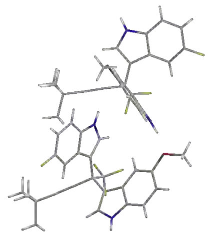
Compound	 5i ≡ 
Empirical formula	C25H22F4N2O
Formula weight	442.44
Temperature/K	100.00(10)
Crystal system	orthorhombic
Space group	P212121
a/Å	10.3110(2)
b/Å	12.3464(2)
c/Å	34.9989(7)
α/°	90
β/°	90
γ/°	90
Volume/Å3	4455.49(14)
Z	8
ρcalcg/cm3	1.319
μ/mm 1	0.881
F(000)	1840.0
Crystal size/mm3	0.15 × 0.15 × 0.05
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	5.05 to 154.51
Index ranges	-13 ≤ h ≤ 12, -13 ≤ k ≤ 15, -44 ≤ l ≤ 36
Reflections collected	55083
Independent reflections	9142 [Rint = 0.1344, Rsigma = 0.0712]
Data/restraints/parameters	9142/0/590
Goodness-of-fit on F2	1.051
Final R indexes [I>=2σ (I)]	R1 = 0.0517, wR2 = 0.1200
Final R indexes [all data]	R1 = 0.0718, wR2 = 0.1343
Largest diff. peak/hole / e Å-3	0.29/-0.28
Flack parameter	0.11(8)

Table S6 Crystal data and structure refinement for 14.

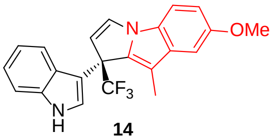
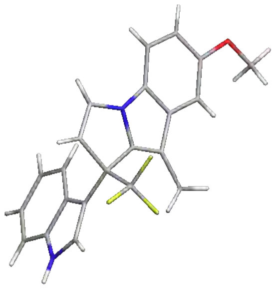
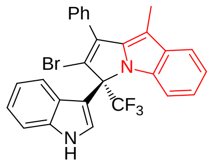
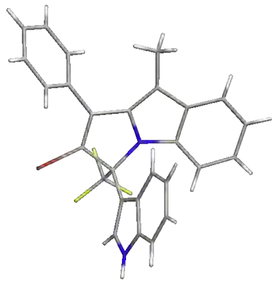
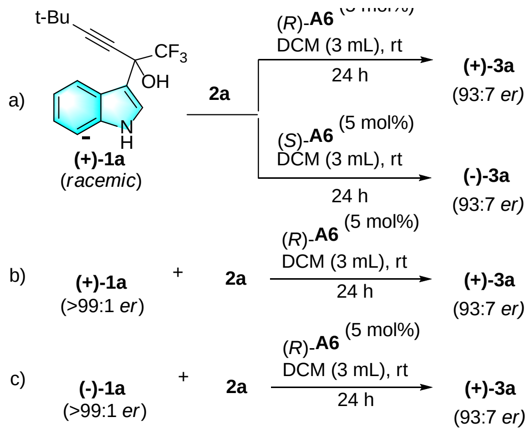
Compound	 14 ≡ 
Empirical formula	C22H17F3N2O
Formula weight	382.37
Temperature/K	100.00(10)
Crystal system	monoclinic
Space group	P21
a/Å	9.40350(10)
b/Å	10.62490(10)
c/Å	9.51730(10)
α/°	90
β/°	95.3790(10)
γ/°	90
Volume/Å3	946.698(17)
Z	2
ρcalcg/cm3	1.341
μ/mm 1	0.873
F(000)	396.0
Crystal size/mm3	0.05 × 0.05 × 0.1
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	9.334 to 153.526
Index ranges	-10 ≤ h ≤ 11, -13 ≤ k ≤ 13, -12 ≤ l ≤ 12
Reflections collected	19789
Independent reflections	3896 [Rint = 0.0419, Rsigma = 0.0295]
Data/restraints/parameters	3896/1/256
Goodness-of-fit on F2	1.072
Final R indexes [I>=2σ (I)]	R1 = 0.0302, wR2 = 0.0767
Final R indexes [all data]	R1 = 0.0307, wR2 = 0.0771
Largest diff. peak/hole / e Å-3	0.21/-0.19

Table S7 Crystal data and structure refinement for 18.

Compound	 **18** ≡ 
Empirical formula	$C_{27}H_{18}BrF_3N_2$
Formula weight	507.34
Temperature/K	300.28(15)
Crystal system	orthorhombic
Space group	$P2_12_12_1$
a/Å	10.1505(2)
b/Å	19.0261(9)
c/Å	11.5778(4)
α/°	90
β/°	90
γ/°	90
Volume/Å^3	2235.96(14)
Z	4
ρ_{calc}g/cm^3	1.507
μ/mm^{-1}	2.876
F(000)	1024.0
Crystal size/mm^3	0.075 × 0.05 × 0.05
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	8.94 to 153.626
Index ranges	$-12 \le h \le 12$, $-22 \le k \le 23$, $-14 \le l \le 14$
Reflections collected	22787
Independent reflections	4631 [R_{int} = 0.0950, R_{sigma} = 0.0497]
Data/restraints/parameters	4631/0/299
Goodness-of-fit on F^2	1.111
Final R indexes [I>=2σ (I)]	R_1 = 0.0748, wR_2 = 0.2167
Final R indexes [all data]	R_1 = 0.0832, wR_2 = 0.2233
Largest diff. peak/hole / e Å^{-3}	0.57/-0.65

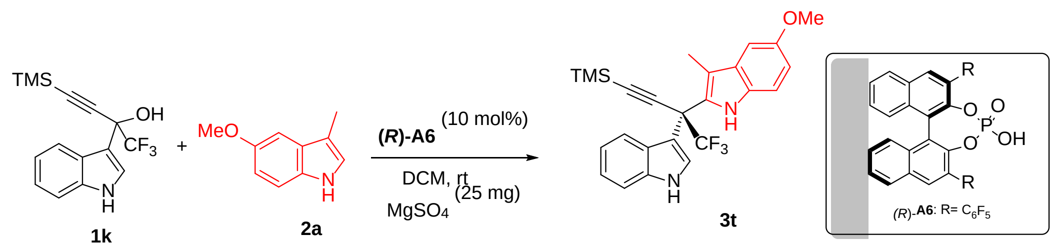
7. Mechanistic Experiments

a. Observation of kinetic resolution



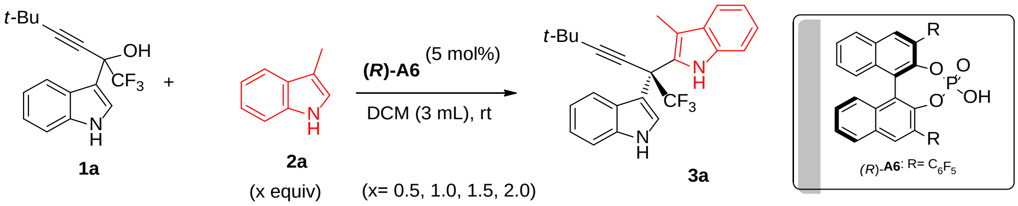
To a solution of α-indolyl propargylic alcohols **1a** (0.10 mmol, 1.0 equiv.) and (*R*)- or (*S*)-**A6** (0.005 mmol, 5 mol%) in DCM (3 mL) was added indole **2a** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature for 24 h. At this time the reaction was quenched by Et_3N (1 drop). The remaining starting material **1a** and product **3a** were isolated by preparative thin layer chromatography, the corresponding ee values were determined by HPLC.

The products with opposite chirality can be isolated in similar yield after subjecting racemic **1a** to chiral (*R*)- or (*S*) phosphoric acid catalyst **A6**. Using same (*R*)-**A6** catalyst, both (+)-**1a** and (−)-**1a** reacted with **2a** to deliver product (+)-**3a** with same configuration.



3 h	56:44 er	32%, 95:5 er
6 h	60:40 er	61%, 95:5 er
9 h	65:35 er	78%, 95:5 er

To a solution of α-indolyl propargylic alcohol **1k** (0.10 mmol, 1.0 equiv.), $MgSO_4$ (25 mg), and catalyst (*R*)-**A6** (0.01 mmol, 10 mol%) in DCM (1 mL) was added indole **2a** (0.12 mmol, 1.2 equiv.). Then 12.3 µL $PhCF_3$ (0.10 mmol) was added as NMR internal standard. The mixture was stirred at room temperature and monitored every 3 h by taking an aliquot (0.2 mL) of the reaction mixture by syringe. The aliquot was quenched by Et_3N (1 drop). Analysis of the crude reaction by quantitative ^{19}F NMR indicated the formation and the yield of **3t**. The remaining starting material **1k** and product **3t** were then isolated by preparative thin layer chromatography, the corresponding e.e. values were determined by HPLC.



To a solution of α-indolyl propargylic alcohols **1a** (0.10 mmol, 1.0 equiv.), and catalyst (*R*)-**A6** (0.005 mmol, 5 mol%) in DCM (3 mL) was added indole **2a** (A: 0.05 mmol, 0.5 equiv.; B: 0.10 mmol, 1.0 equiv.; C: 0.15 mmol, 1.5 equiv.; D: 0.20 mmol, 2.0 equiv.). Then 12.3 μL $PhCF_3$ (0.10 mmol) was added as NMR internal standard. The mixture was stirred at room temperature and the initial rate was monitored every 30 min by taking an aliquot (0.2 mL) of the reaction mixture by syringe. The aliquot was quenched by Et_3N (1 drop). Analysis of the crude reaction by quantitative ^{19}F NMR indicated the formation and the yield of **3a**. The remaining reaction product **3a** was isolated by preparative thin layer chromatography, the ee values of **3a** were determined by HPLC.

As shown below, the reactions carried out with different initial concentration of **2a** produce good overlay in the enantiomeric excess data of product **3a**, indicating that no catalyst decomposition or product inhibition in this process. The variations on the initial concentrations of **2a** (with same initial concentration of **1a**) produce good consistency in the reaction rate, which showes that the reaction is zeroth-order for **2a**, it has no rate dependence on the initial concentration of the nucleophile **2a**.

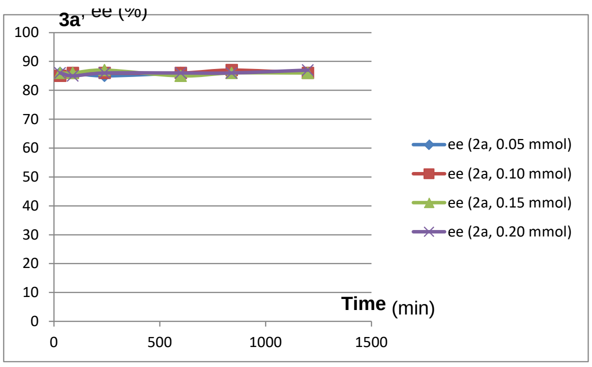


Figure S1. The enantioselectivity data of product **3a**

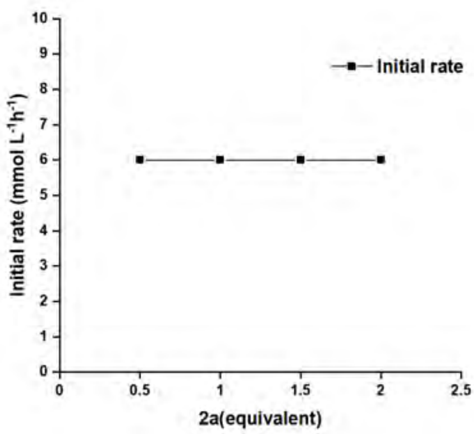
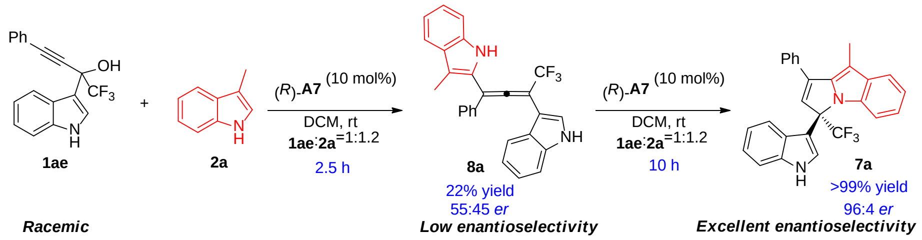


Figure S2. Determination of the reaction order of nucleophile

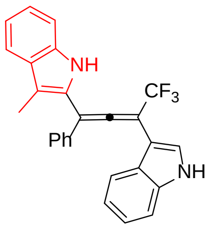
b. The mechanistic studies of 7a



To a solution of α-indolyl propargylic alcohols **1ae** (0.10 mmol, 1.0 equiv.) and catalyst (*R*)-**A7** (0.01 mmol, 10 mol%) in DCM (1 mL) was added indole **2a** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature for 2.5 h. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~10:1) to give the tetrasubstituted allene product **8a**.

To a solution of tetrasubstituted allene product **8a** (0.05 mmol, 1.0 equiv.) in DCM (1 mL) was added catalyst (*R*)**-A7** (0.005 mmol, 10 mol%). The mixture was stirred at room temperature for 10 h. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~10:1) to give the product **7a** with >99% yield and 96:4 *er*.

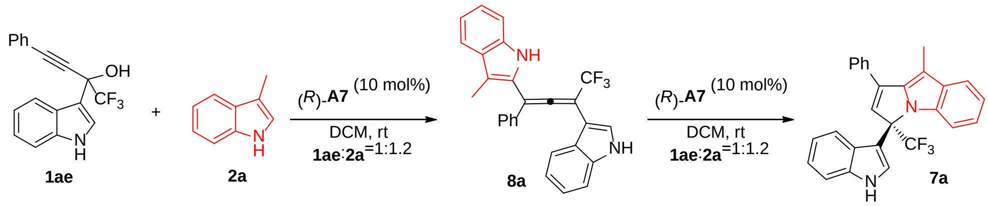
3-methyl-2-(4,4,4-trifluoro-3-(1*H*-indol-3-yl)-1-phenylbuta-1,2-dien-1-yl)-1*H*-indole (**8a**)



light brown oil, 2.5 h, 22% yield, 55:45 *er*. **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 8.38 (s, 1H), 7.90 (s, 1H), 7.73 (d, *J* = 8.1 Hz, 1H), 7.59 (d, *J* = 7.9 Hz, 1H), 7.55-7.51 (m, 2H), 7.48-7.38 (m, 5H), 7.31 (d, *J* = 8.1 Hz, 1H), 7.22 (dd, *J* = 15.1, 7.5 Hz, 2H), 7.14 (t, *J* = 7.5 Hz, 1H), 7.05 (t, *J* = 7.6 Hz, 1H), 2.21 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 206.5, 136.3, 136.0, 134.6, 129.7, 129.2, 128.9, 128.6, 126.0, 125.7, 123.7 (d, *J* = 2.5 Hz), 123.5 (q, *J* = 275.5 Hz), 123.2, 123.0, 121.1, 119.9, 119.7, 119.1, 112.9, 111.6, 110.9, 110.7, 104.4, 99.7 (q, *J* = 35.5 Hz), 9.7. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -61.44. IR (ATR) ν_{max}: 3407, 2954, 1299, 1246, 1187, 735 cm^{-1}**.** **HRMS** (ESI): *m/z* calcd. for $C_{27}H_{19}N_2F_3$ [M-H]$^-$: 427.1428; found: 427.1433. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralpak IA column (hexane/*i*-PrOH = 90/10, flow rate 1 mL·min^{-1}, λ = 245 nm): t_{major} = 13.1 min, t_{minor} = 16.4 min.

To a solution of α-indolyl propargylic alcohols **1ae** (0.10 mmol, 1.0 equiv.) and catalyst (*R*)-**A7** (0.01 mmol, 10 mol%) in DCM (1 mL) was added indole **2a** (0.12 mmol, 1.2 equiv.). Then 12.3 μL $PhCF_3$ (0.10 mmol) was added as NMR internal standard. The mixture was stirred at room temperature, and the transformation from **1ae** to **7a** was monitored every 2 h by taking an aliquot (0.08 mL) of the reaction mixture by syringe. The aliquot was quenched by Et_3N (1 drop). Analysis of the crude reaction by quantitative ^{19}F NMR indicated the formation and the yield of **8a** and **7a**. The product **8a** and **7a** were isolated by preparative thin layer chromatography, the *ee* values of **8a** and **7a** were determined by HPLC.

To explore the origin of the excellent stereocontrol in the formation of chiral cyclic 3,1'-BIMs **7a**, we monitored the changes in both the *ee* values and the yields of **7a** and **8a** during the reaction. Under standard conditions, it was observed that *ee* values of **8a** and **7a** remained at 10% and 93%, respectively (**Fig. S3**), which excluded the chiral induction from intermediate **8a**.



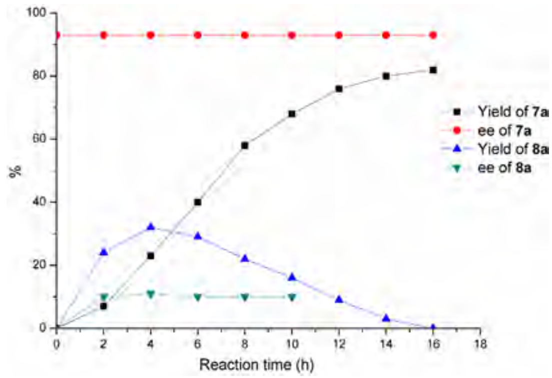
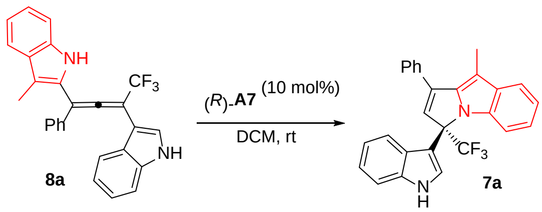


Figure S3. The *in-situ* monitoring of the transformation from **1ae** to **7a**.

To a solution of racemic tetrasubstituted allenes **8a** (0.10 mmol, 1.0 equiv.), and catalyst (*R*)-**A7** (0.01 mmol, 10 mol%) in DCM (1 mL). Then 12.3 μL $PhCF_3$ (0.10 mmol) was added as NMR internal standard. The mixture was stirred at room temperature and the process of transforming **8a** into **7a** was monitored every 1.5 h by taking an aliquot (0.08 mL) of the reaction mixture by syringe. The aliquot was quenched by Et_3N (1 drop). Analysis of the crude reaction by quantitative ^{19}F NMR indicated the formation and the yield of **8a** and **7a**. Products **8a** and **7a** were isolated by preparative thin layer chromatography, the *ee* values of **8a** and **7a** were determined by HPLC.

As shown below, under standard conditions, the intramolecular cyclization of **8a** to **7a** proceeded smoothly with high efficiency and excellent stereoselectivity, implying that **8a** might be an intermediate. The *ee* value of **8a** remains at 0% during the process, which excluded a dynamic kinetic resolution mechanism (**Fig. S4**). The high *ee* of **7a** comes from the influence of chiral phosphoric acid (*R*)-**A7**.



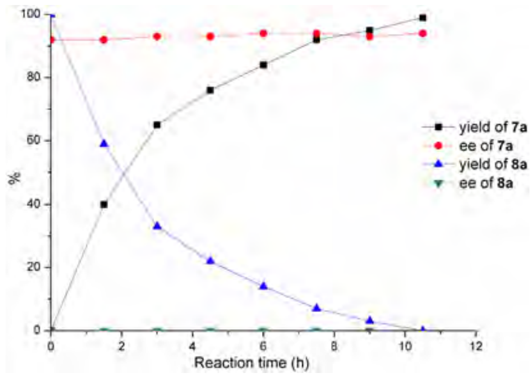


Figure S4. The *in-situ* monitoring of the transformation from racemic **8a** to **7a**

To a solution of α-indolyl propargylic alcohols **1ae'** (0.10 mmol, 1.0 equiv.) and catalyst (*R*)-**A7** (0.01 mmol, 10 mol%) in DCM (1 mL) was added indole **2a** (0.12 mmol, 1.2 equiv.). The mixture was stirred at room temperature for 14 h. The crude product was purified directly by flash column chromatography on silica gel (petroleum ether/ ethyl acetate = 20:1~10:1) to give product **7a'** with 70% yield and 92:8 *er* (**Fig. S5**).

When *N*-methyl protected α-indolyl propargylic alcohol **1ae'** was subjected to the standard conditions, the desired product **7a'** could be obtained with slightly lower yield and *er*. This result implied that the free N-H group of α-indolyl propargylic alcohol might also participate in the chiral control, *via* hydrogen bond or ion-pair interaction with chiral phosphoric acid.

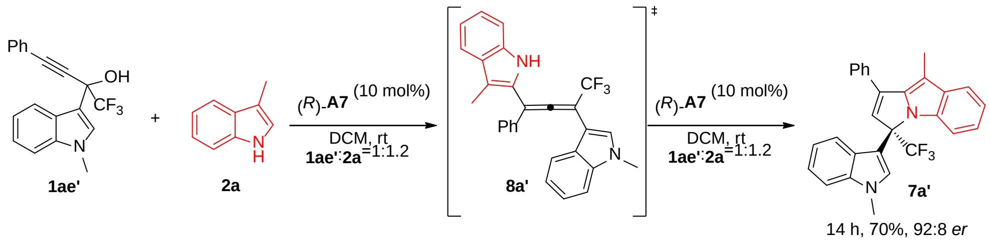


Figure S5. Control experiment of *N*-methylated α-indolyl propargylic alcohol

(*R*)-9-methyl-3-(1-methyl-1*H*-indol-3-yl)-1-phenyl-3-(trifluoromethyl)-3*H*-pyrrolo[*1,2-a*]indole (**7a'**)
colorless oil, 14 h, 70% yield, 92:8 *er*, $[\alpha]_D^{20}$ = +234.21 (c = 0.28, MeOH). **^{1}H NMR** (500 MHz, $CDCl_3$) δ: 7.66 (d, *J* = 7.2 Hz, 2H), 7.60 (d, *J* = 6.9 Hz, 1H), 7.50-7.41 (m, 3H), 7.34 (s, 1H), 7.30-7.22 (m, 1H), 7.17-7.09 (m, 2H), 7.09-7.00 (m, 2H), 6.87 (t, *J* = 7.6 Hz, 1H), 6.77 (d, *J* = 8.1 Hz, 1H), 6.39 (s, 1H), 3.80 (s, 3H), 2.39 (s, 3H). **^{13}C NMR** (126 MHz, $CDCl_3$) δ: 140.9, 140.9, 137.2, 134.8, 134.0, 133.1, 129.6, 129.0, 128.6, 128.3, 128.1, 128.1, 126.0, 125.5 (d, *J* = 286.2 Hz), 122.9, 122.3, 120.2, 120.0, 119.7, 119.4, 110.9, 110.7, 109.6, 106.2, 105.1, 70.4 (q, *J* = 31.4 Hz), 33.2, 9.6. **^{19}F NMR** (471 MHz, $CDCl_3$) δ: -72.27 (s). IR (ATR) ν_{max}: 1727, 1433, 1354, 1437, 1131, 1098, 740 cm^{-1}. **HRMS** (ESI): *m/z* calcd. for $C_{28}H_{21}N_2F_3$ $[M+H]^+$: 443.1730; found: 443.1733. **HPLC analysis:** The enantiomeric excess was determined by HPLC with Chiralcel OD-H column (hexane/*i*-PrOH = 99/1, flow rate 0.8 mL·min^{-1}, λ = 280 nm): t_{major} = 8.5 min, t_{minor} = 9.0 min.

Based on the above experimental results, we proposed a possible reaction mechanism for this catalytic asymmetric cyclization as shown in **Fig. S6**. Firstly, the *in-situ* dehydration of α-indolyl propargylic alcohol generates resonance structures **IM-1**~**IM-3** with the help of chiral phosphoric acid (*R*)-**A7**. (*R*)-**A7** serves as a bifunctional catalyst, both stables these resonance structures and activates substrate **2a** via hydrogen bond or ion-pair interaction (**IM-4**), and helps to generate chiral tetrasubstituted allene **8a** with low enantioselectivity. Subsequently, allene **8a** is protonated to generate the indolyl benzylic cation, and (*R*)-**A7** exerts efficient chiral induction through ion-pair and hydrogen-bonding with the corresponding carbocation and the N−H moiety on indole rings (**IM-5**), and delivers the cyclization product **7a** with excellent enantioselectivity.

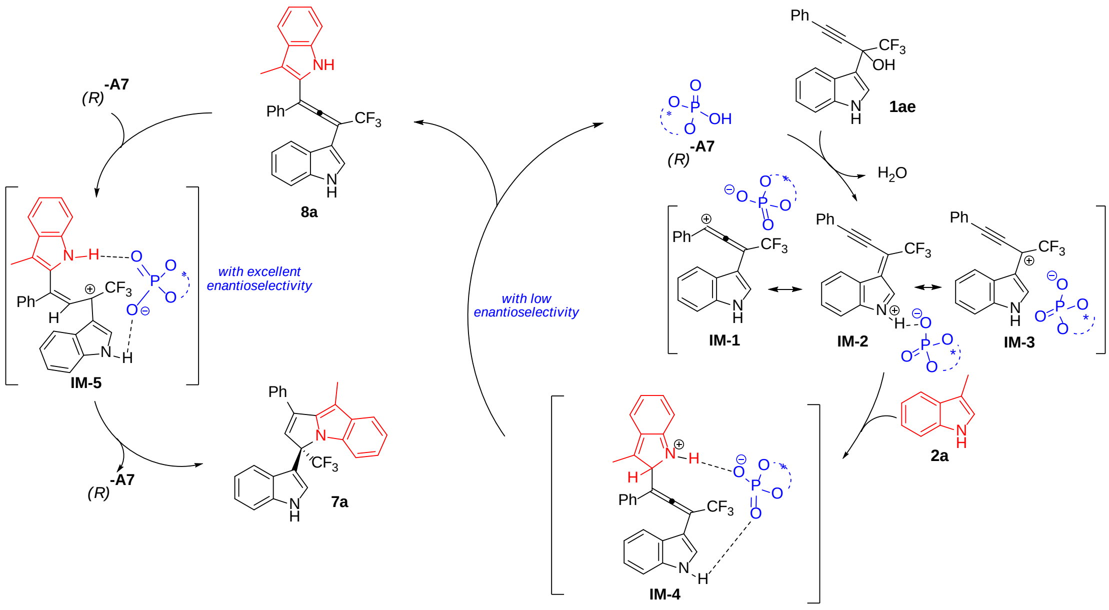
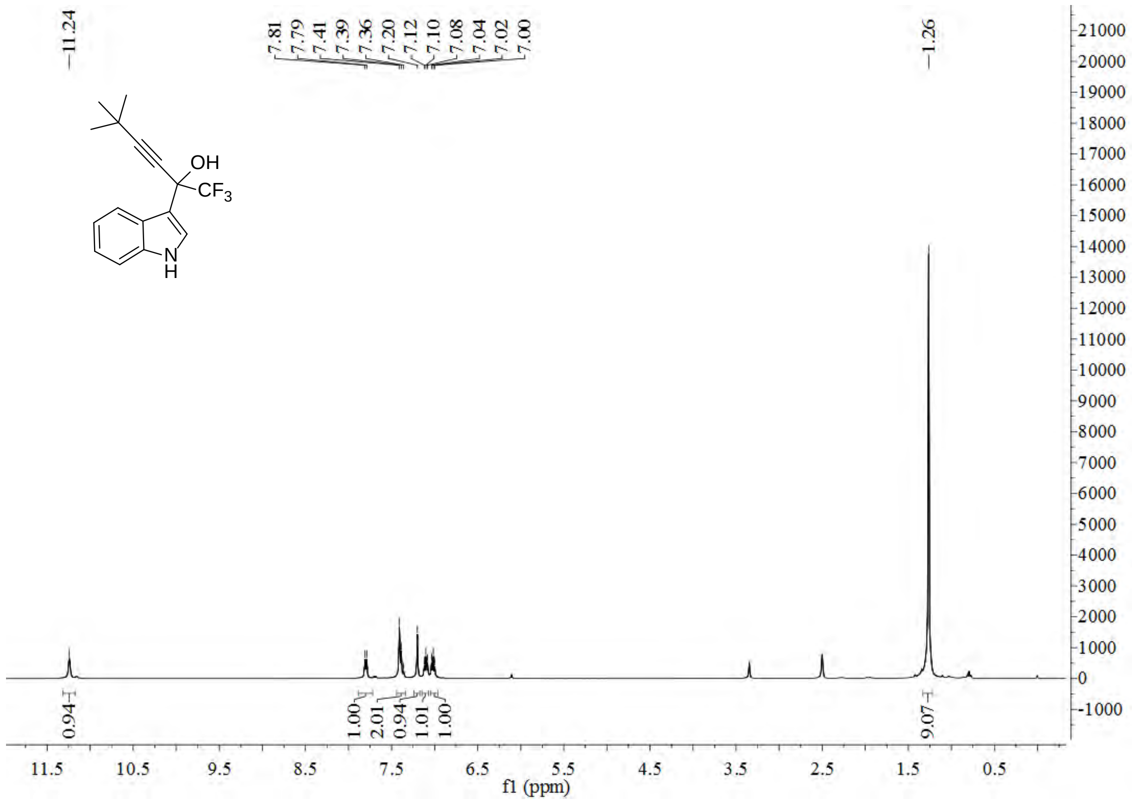


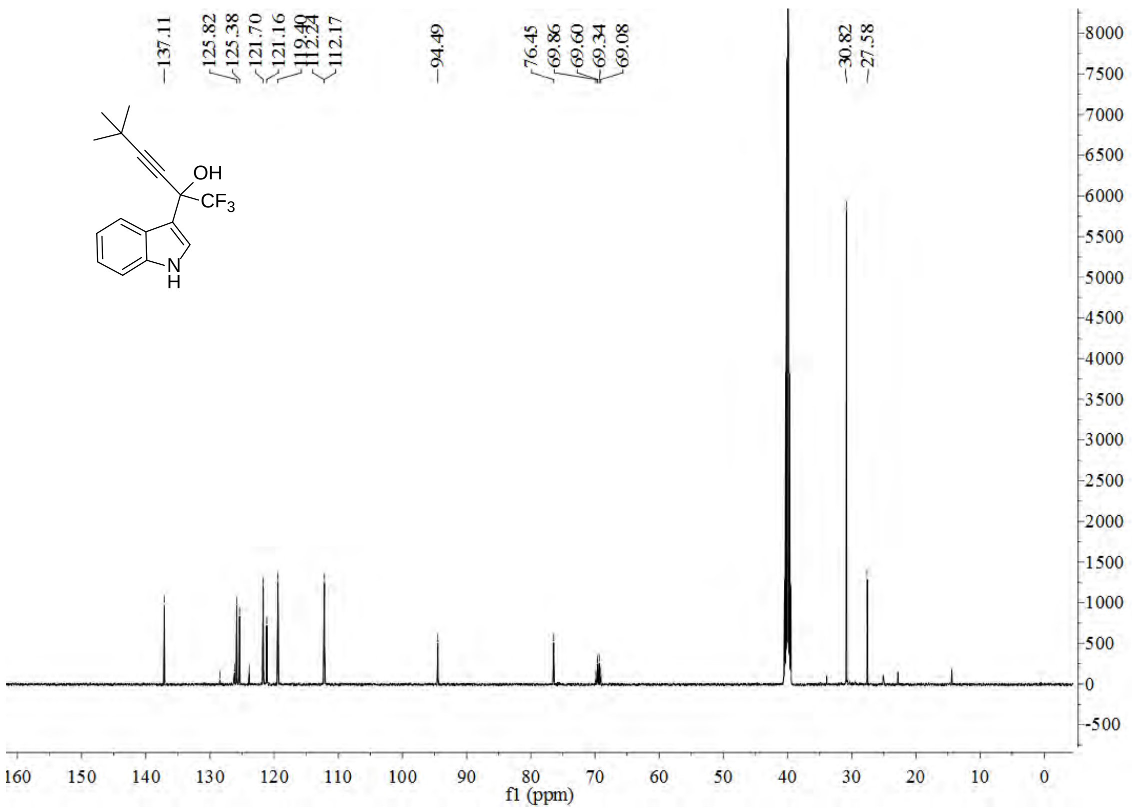
Figure S6. Plausible reaction mechanism

8. Copies of NMR Spectra

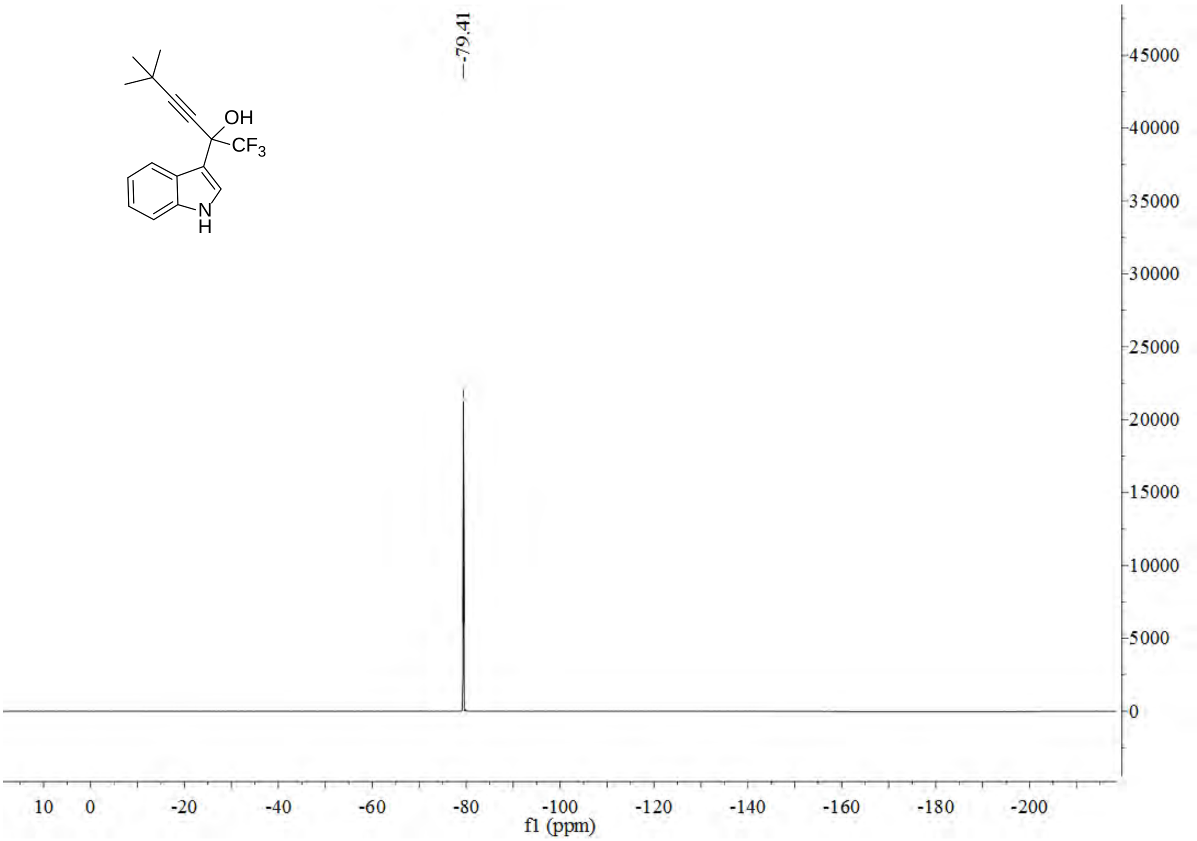
^1H NMR of compound 1a (in DMSO-d$_6$)



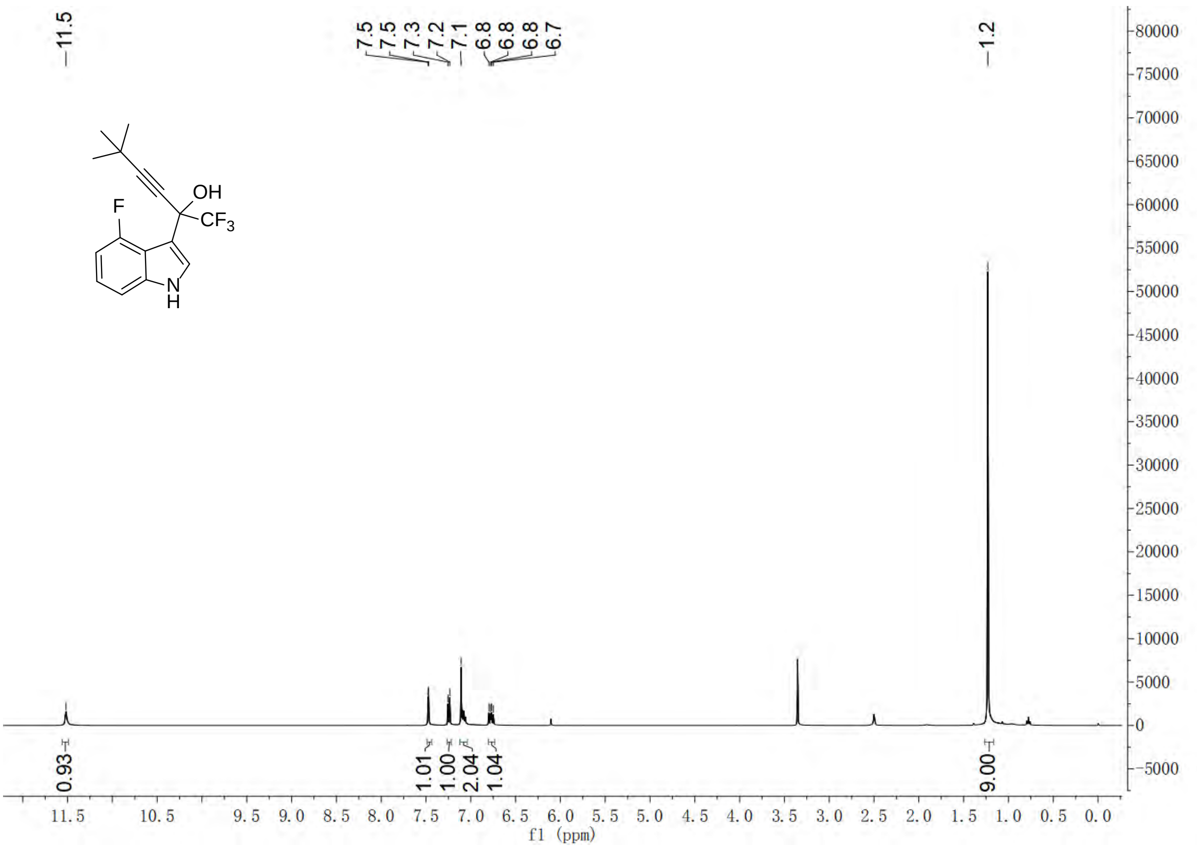
^{13}C NMR of compound 1a (in DMSO-d$_6$)



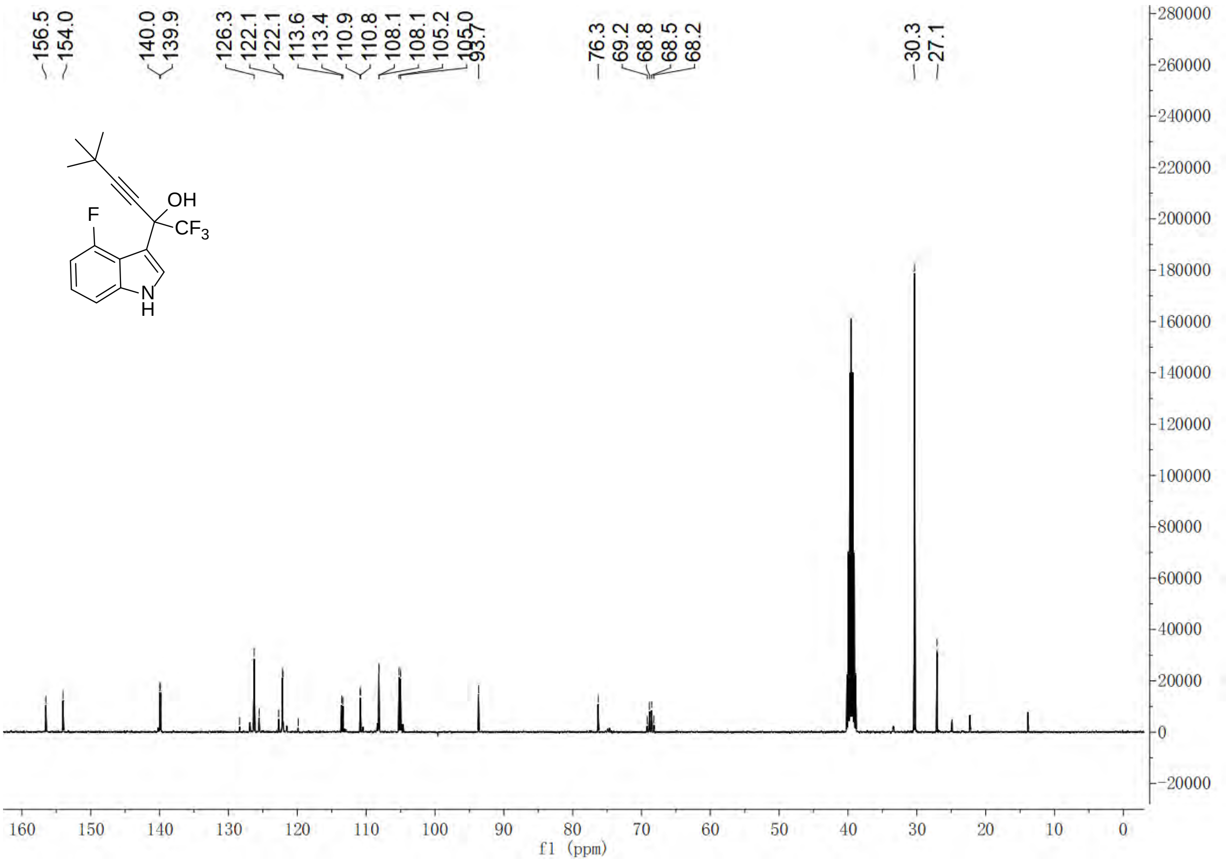
^{19}F NMR of compound 1a (in DMSO-d$_6$)



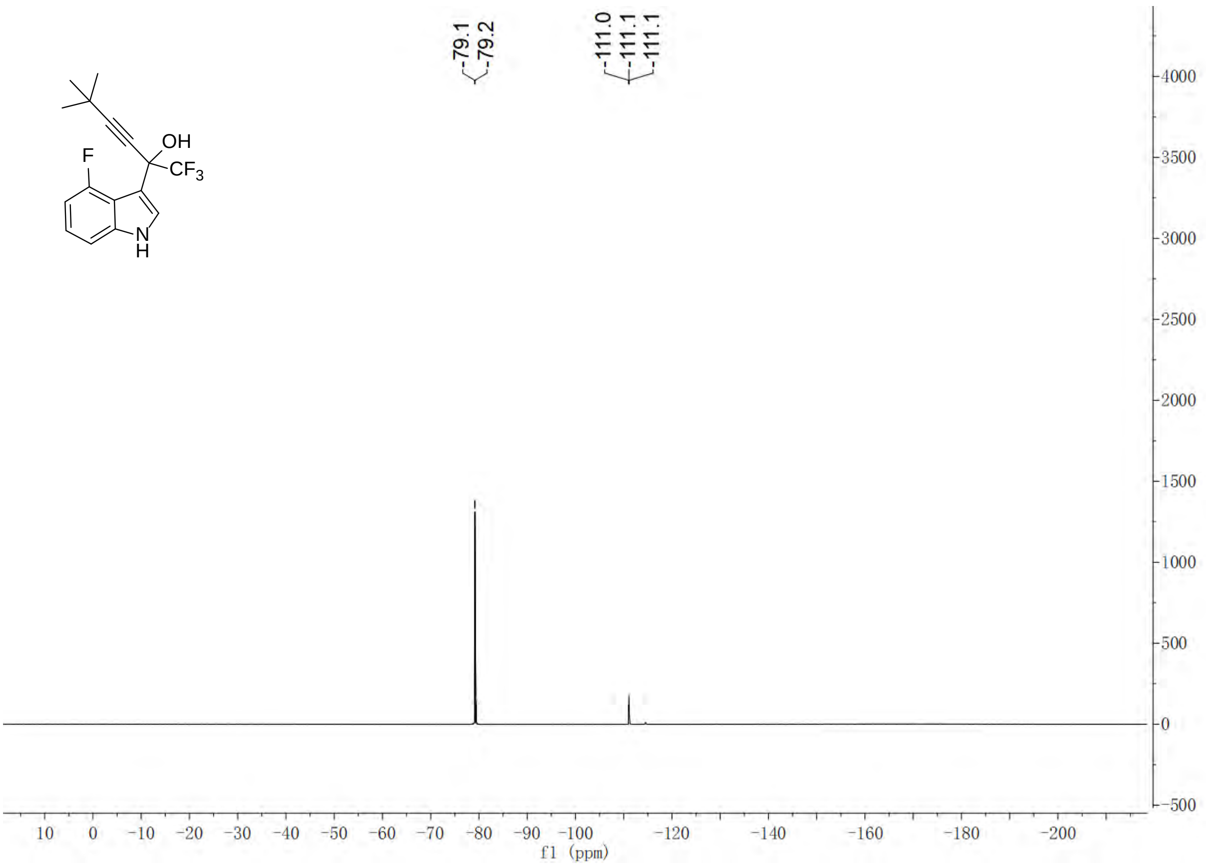
^{1}H NMR of compound 1b (in DMSO-d$_6$)



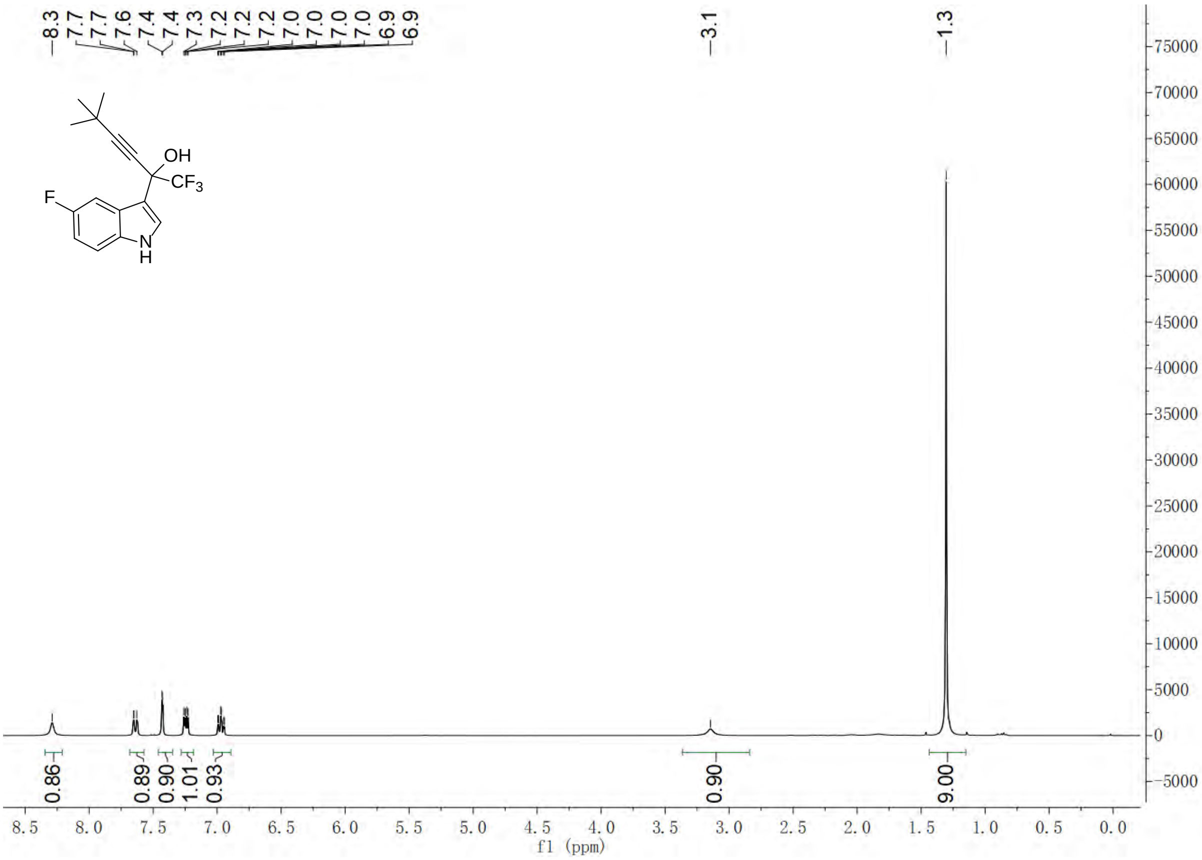
^{13}C NMR of compound 1b (in DMSO-d$_6$)



^{19}F NMR of compound 1b (in DMSO-d$_6$)



^{1}H NMR of compound 1c (in $CDCl_3$)



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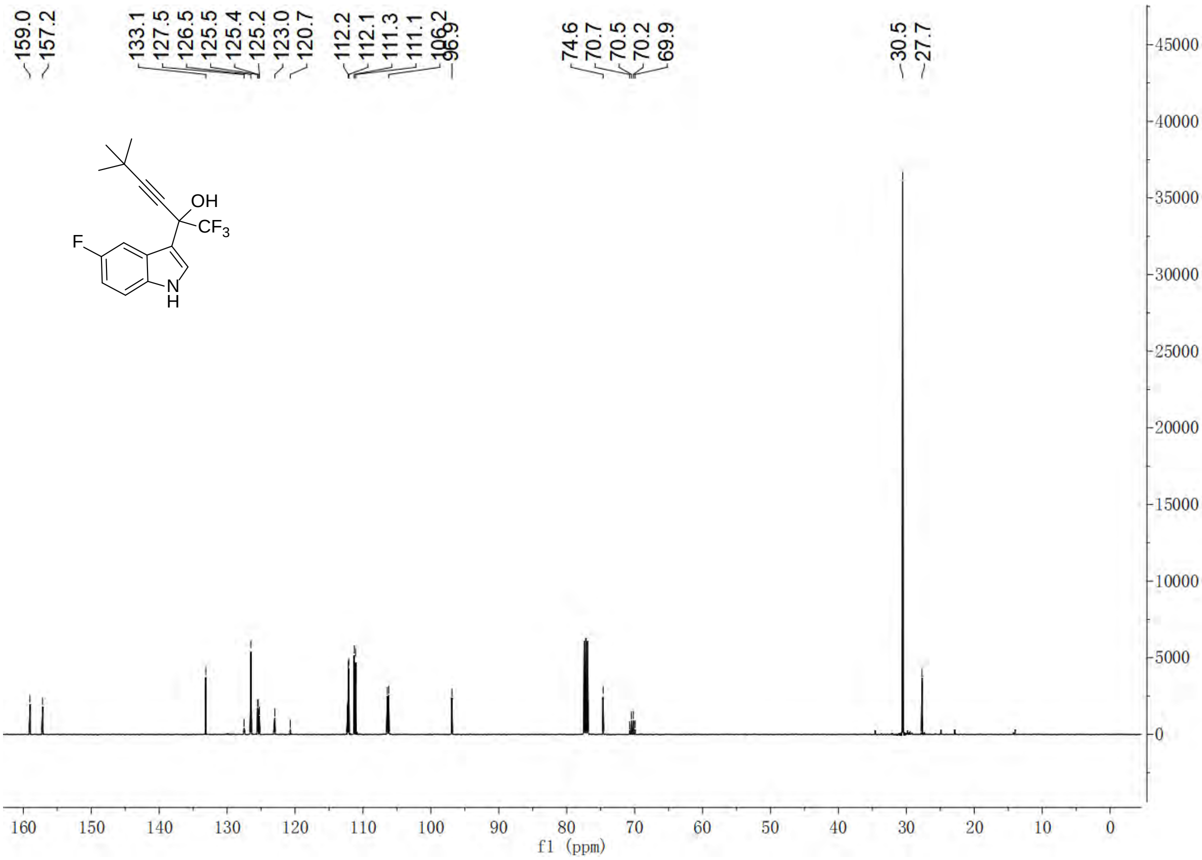

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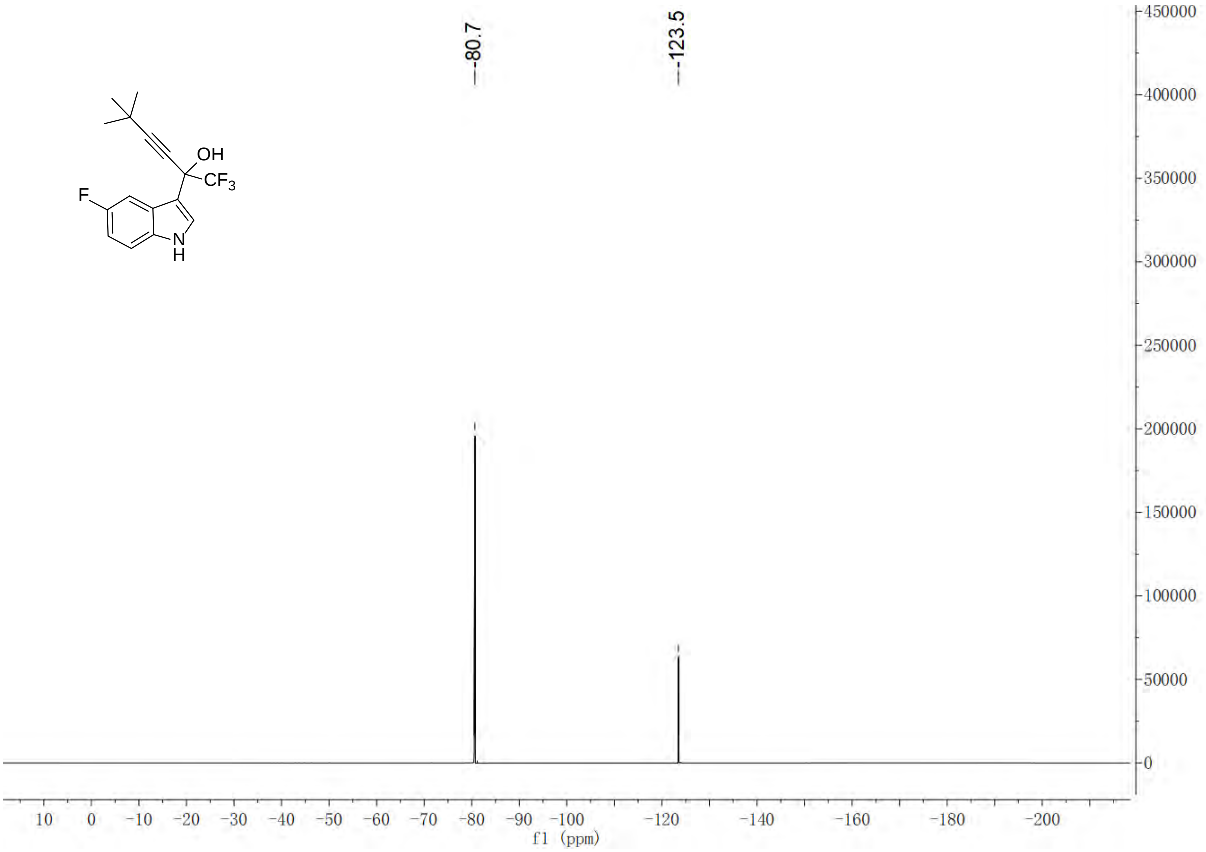
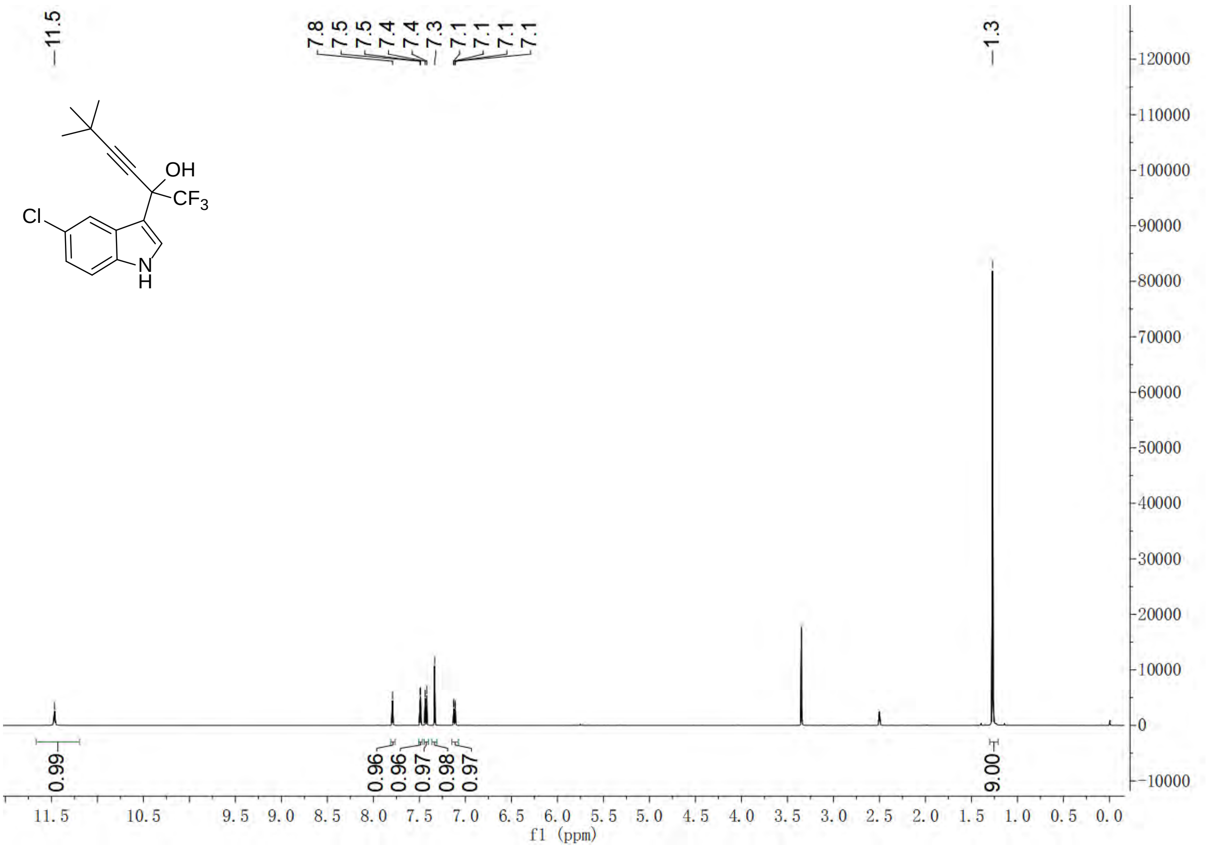


^{1}H NMR of compound 1d (in DMSO-d$_6$)



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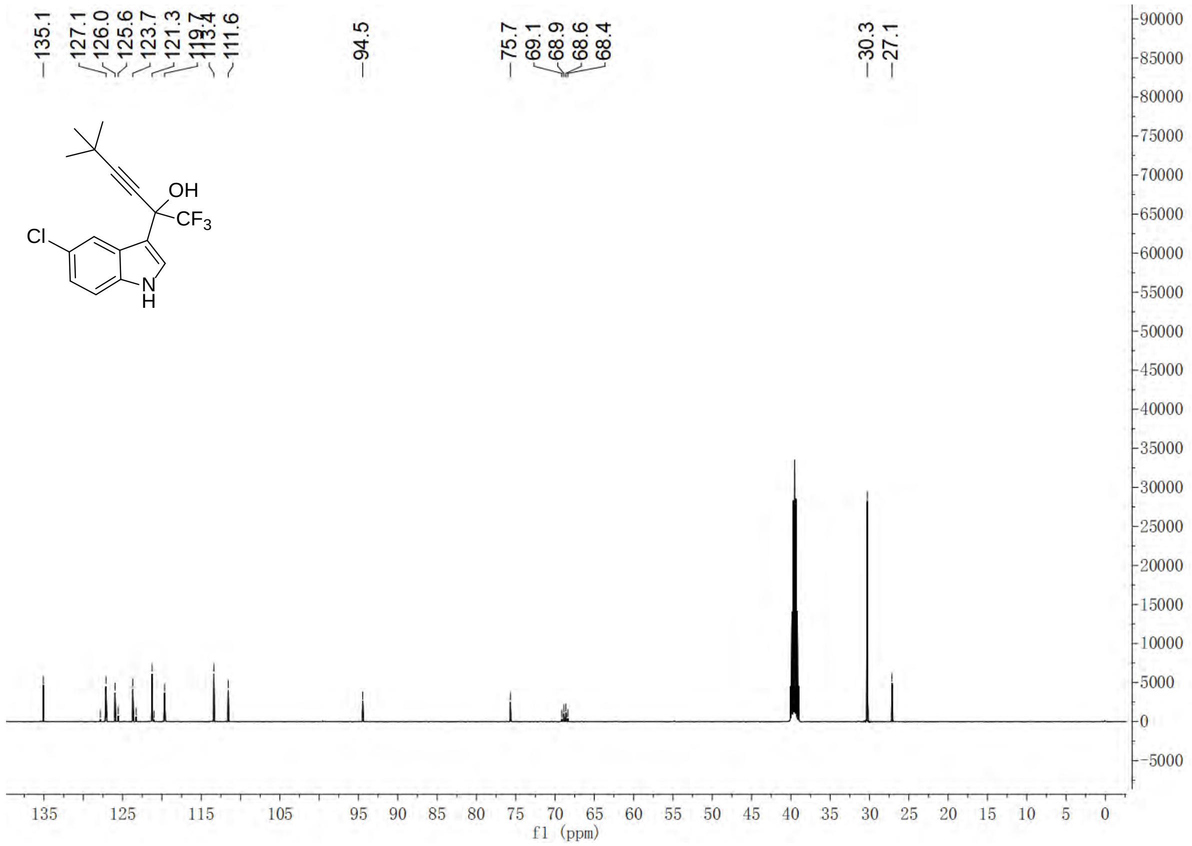

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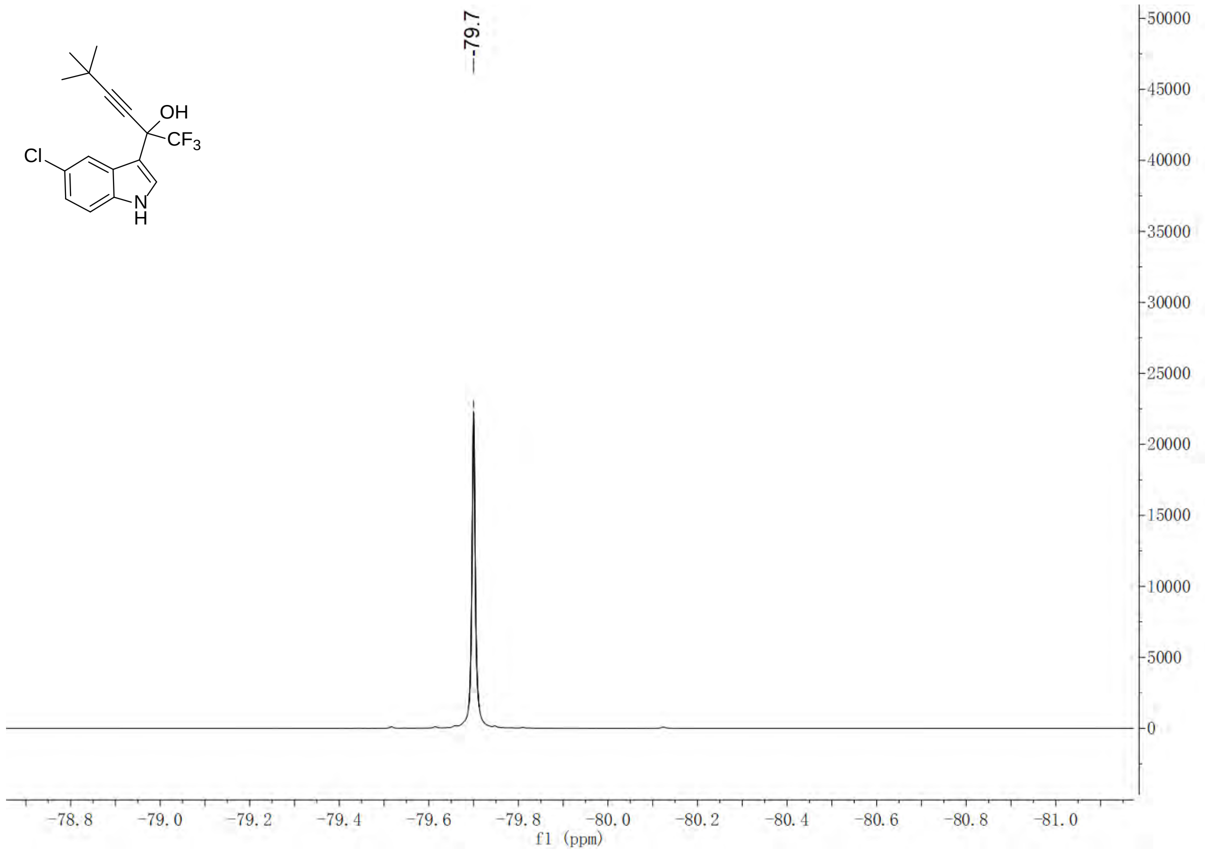
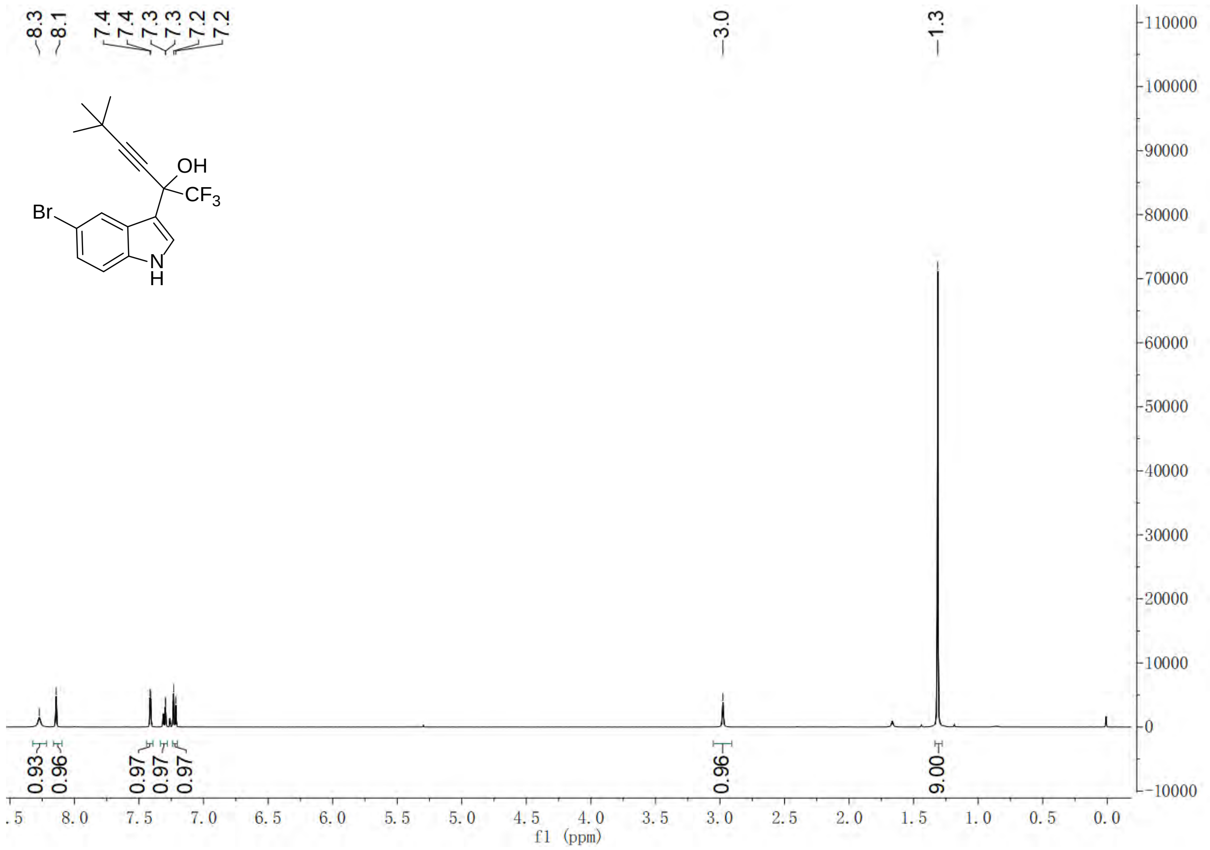
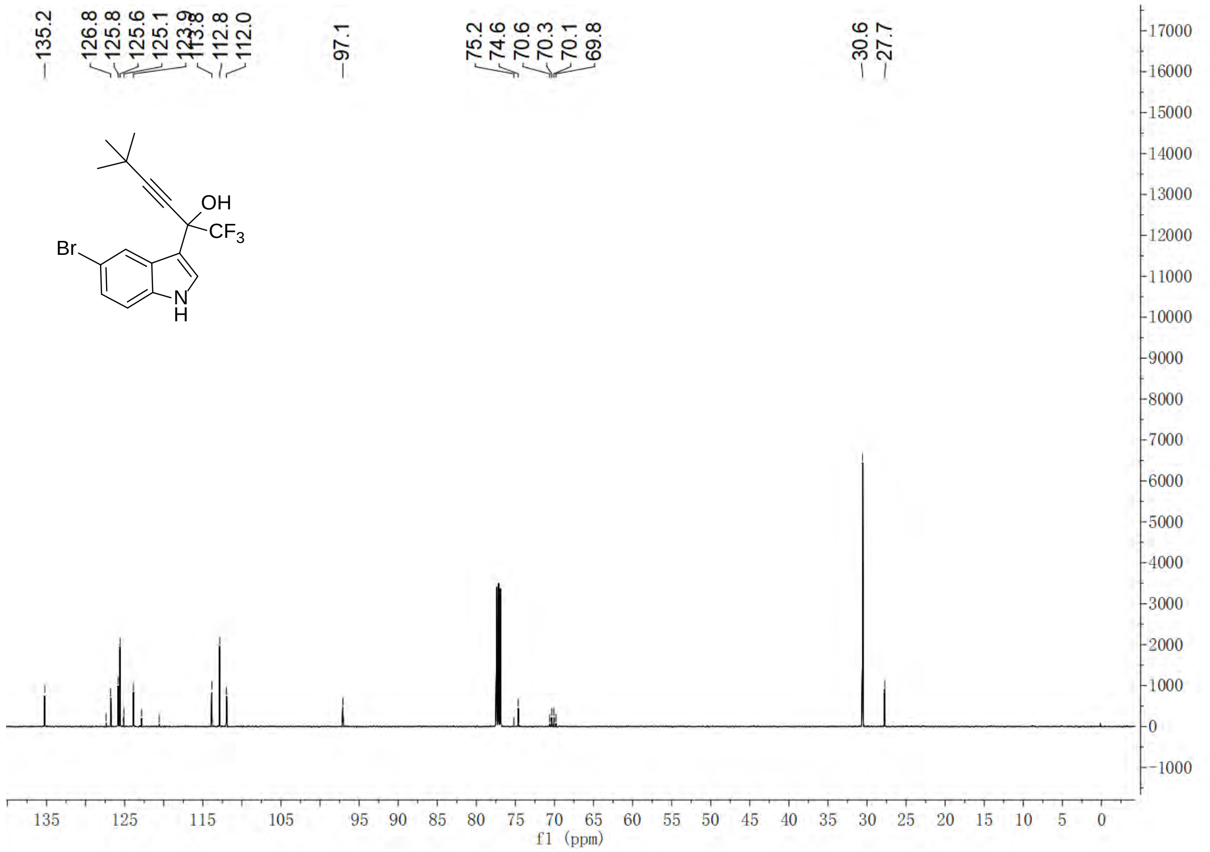


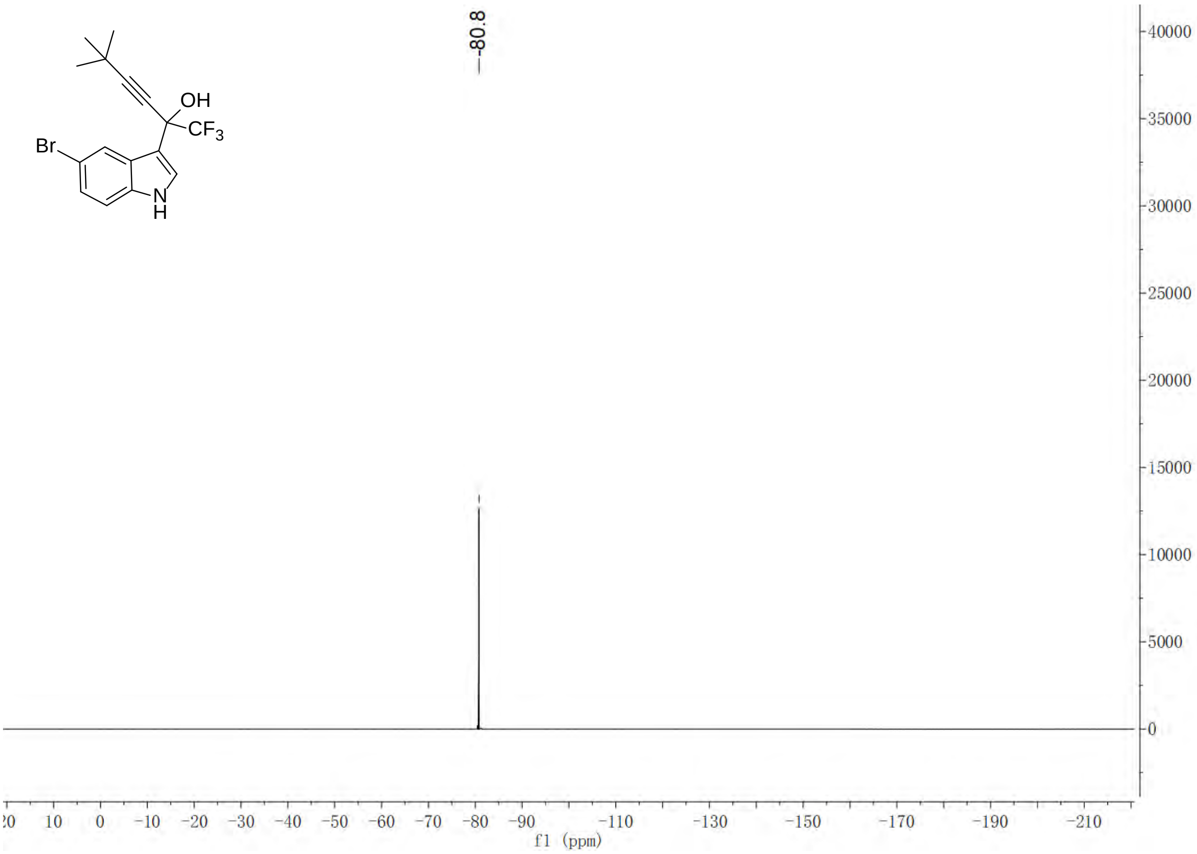
^{1}H NMR of compound 1e (in $CDCl_3$)



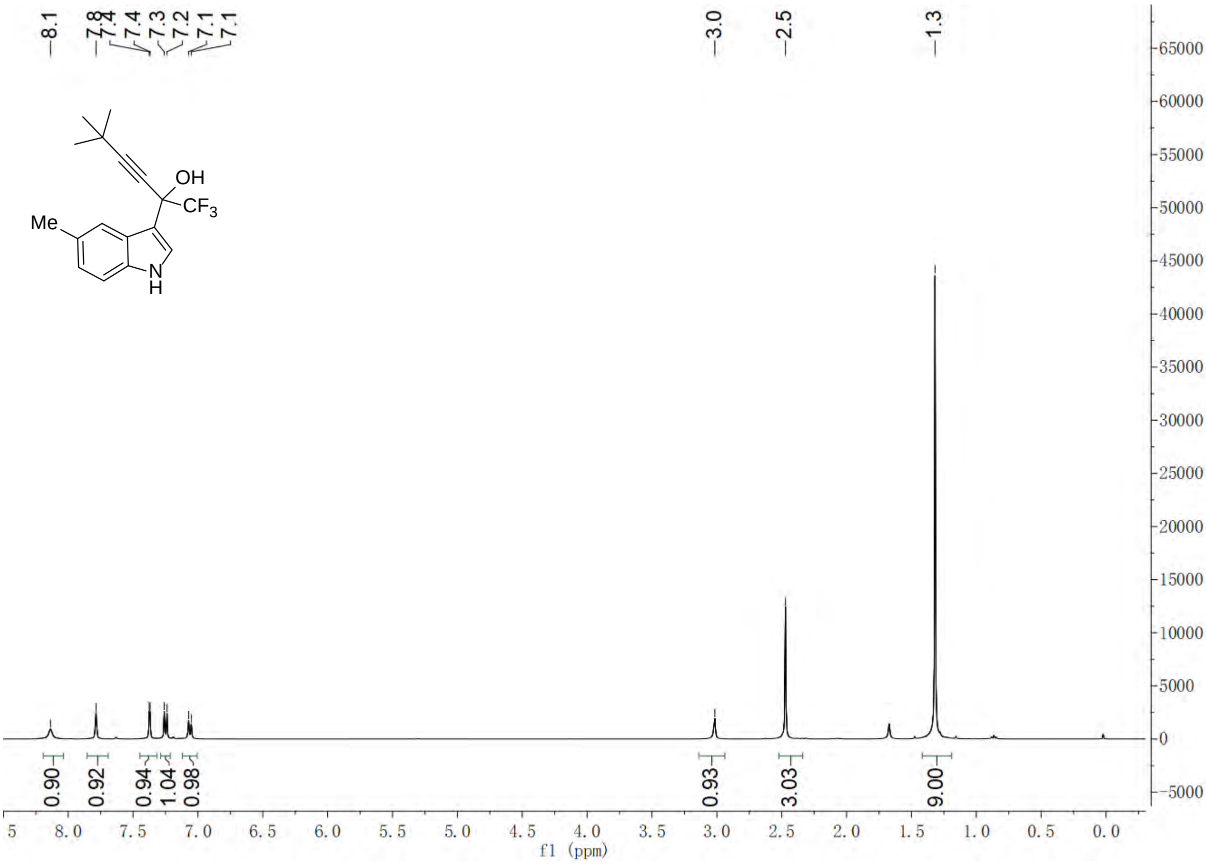
^{13}C NMR of compound 1e (in $CDCl_3$)



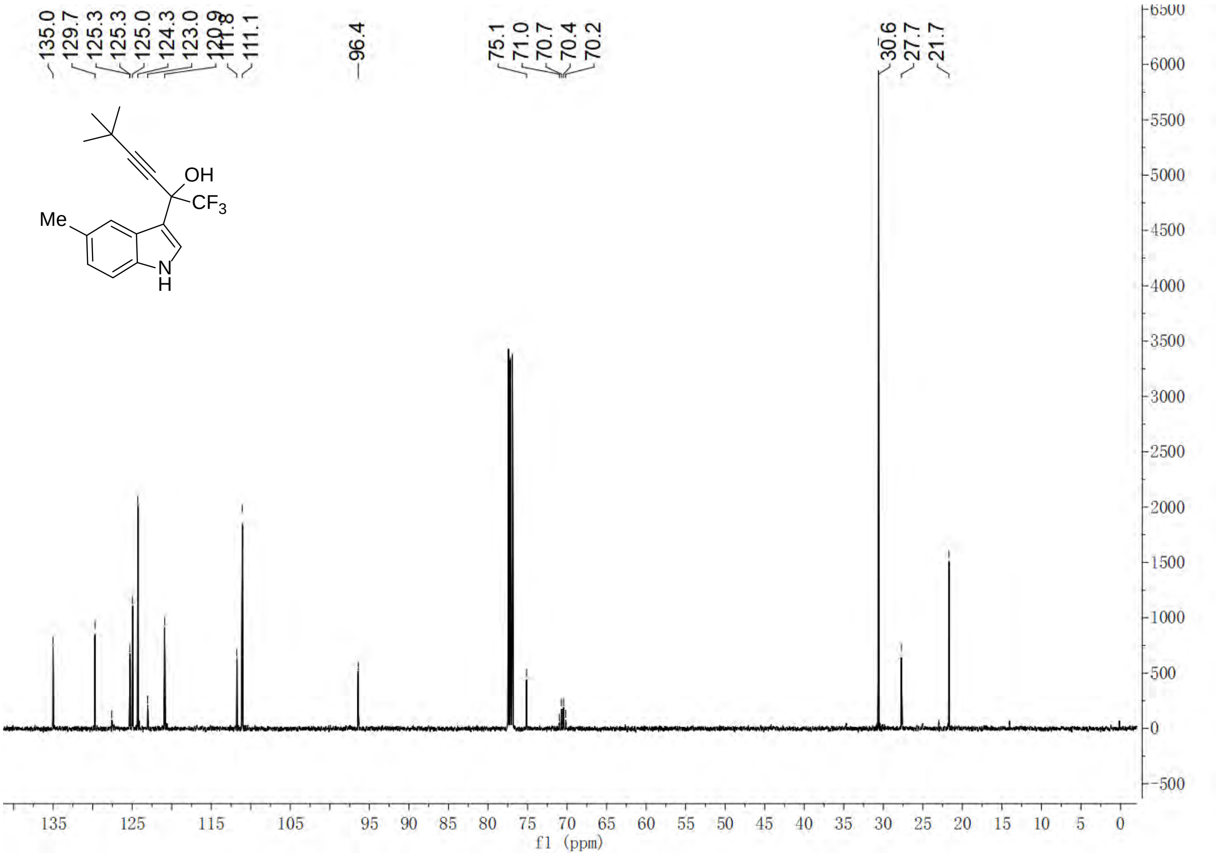
^{19}F NMR of compound 1e (in $CDCl_3$)



^{1}H NMR of compound 1f (in $CDCl_3$)



^{13}C NMR of compound 1f (in CDCl$_3$)



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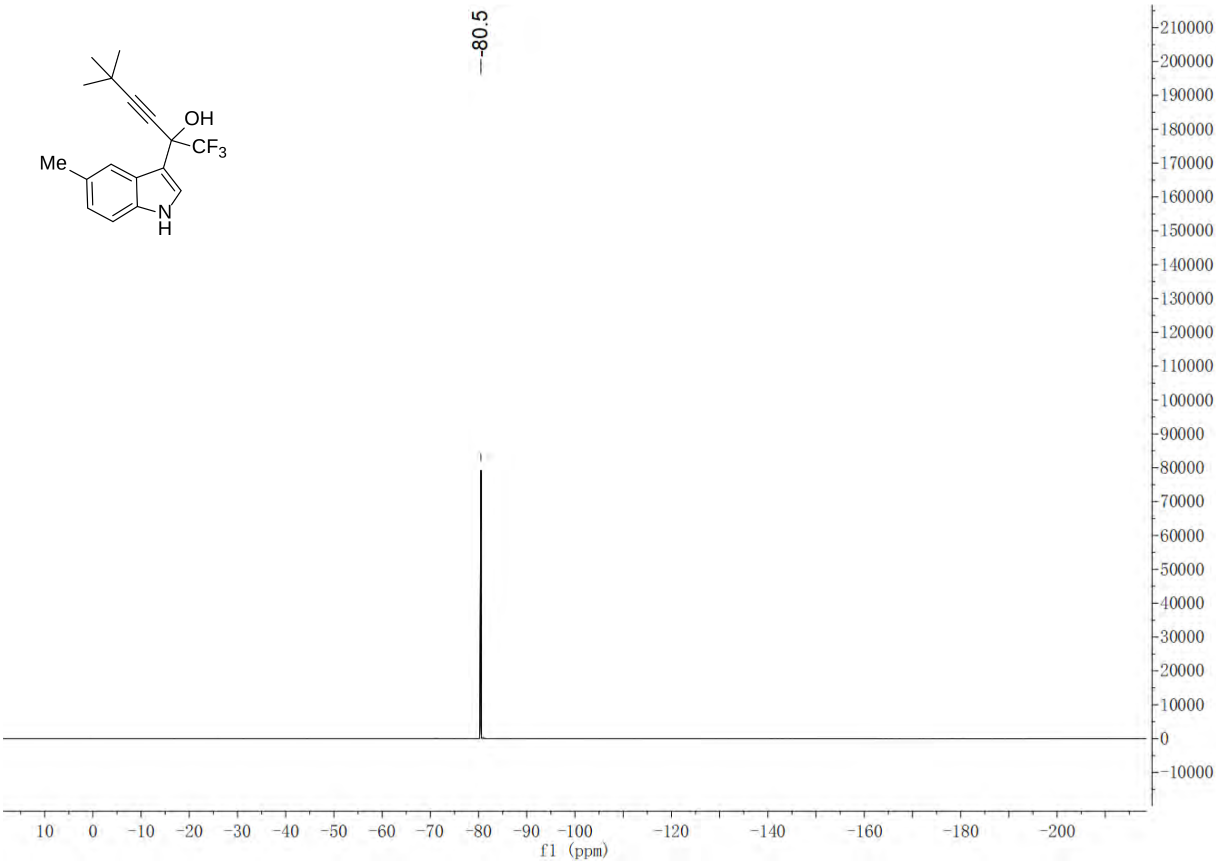

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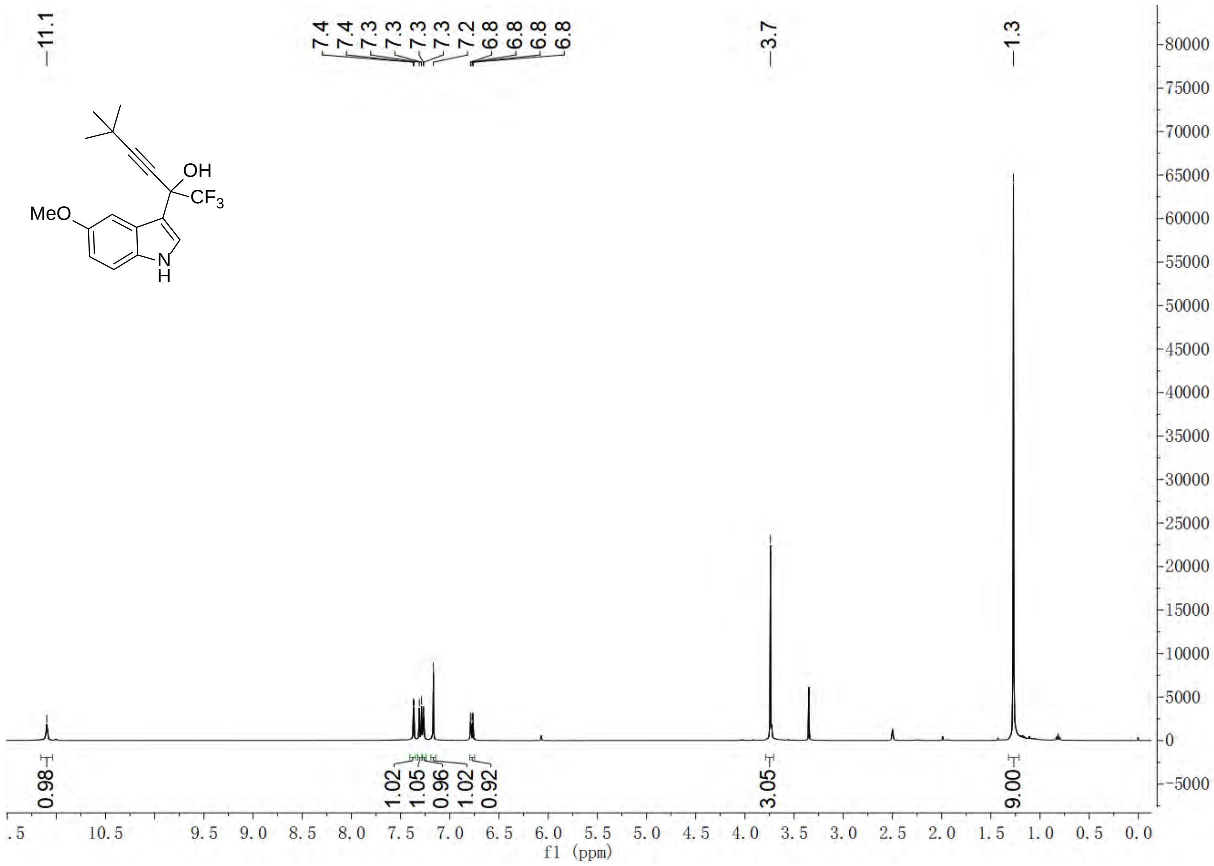
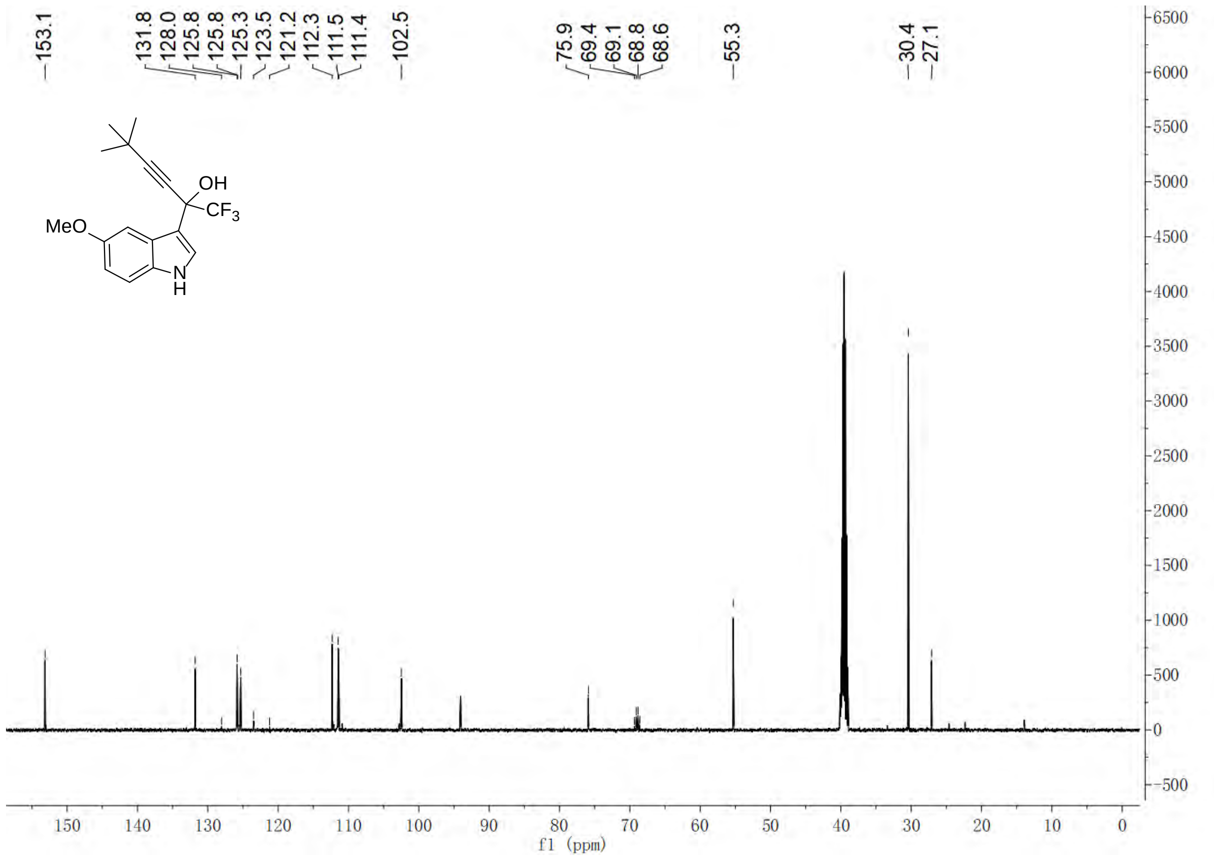


^{13}C NMR of compound 1g (in DMSO-d$_6$)



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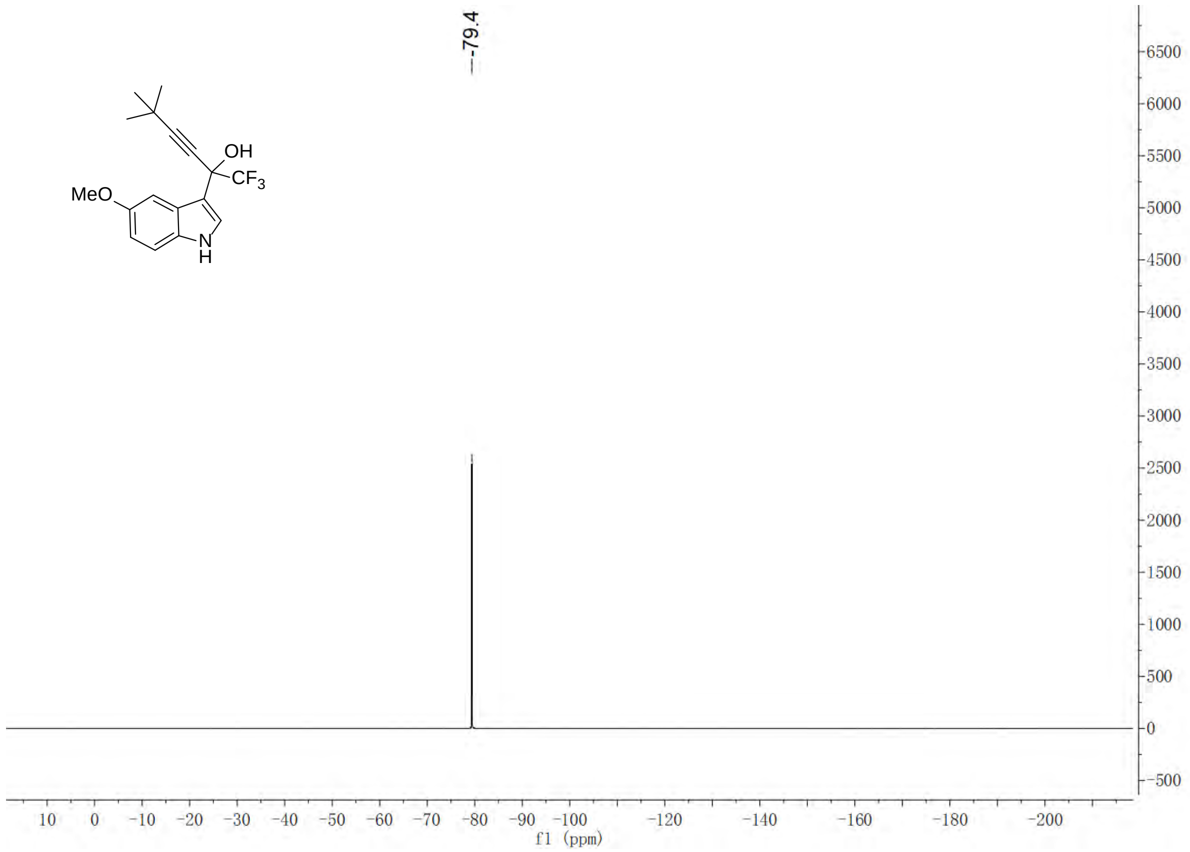
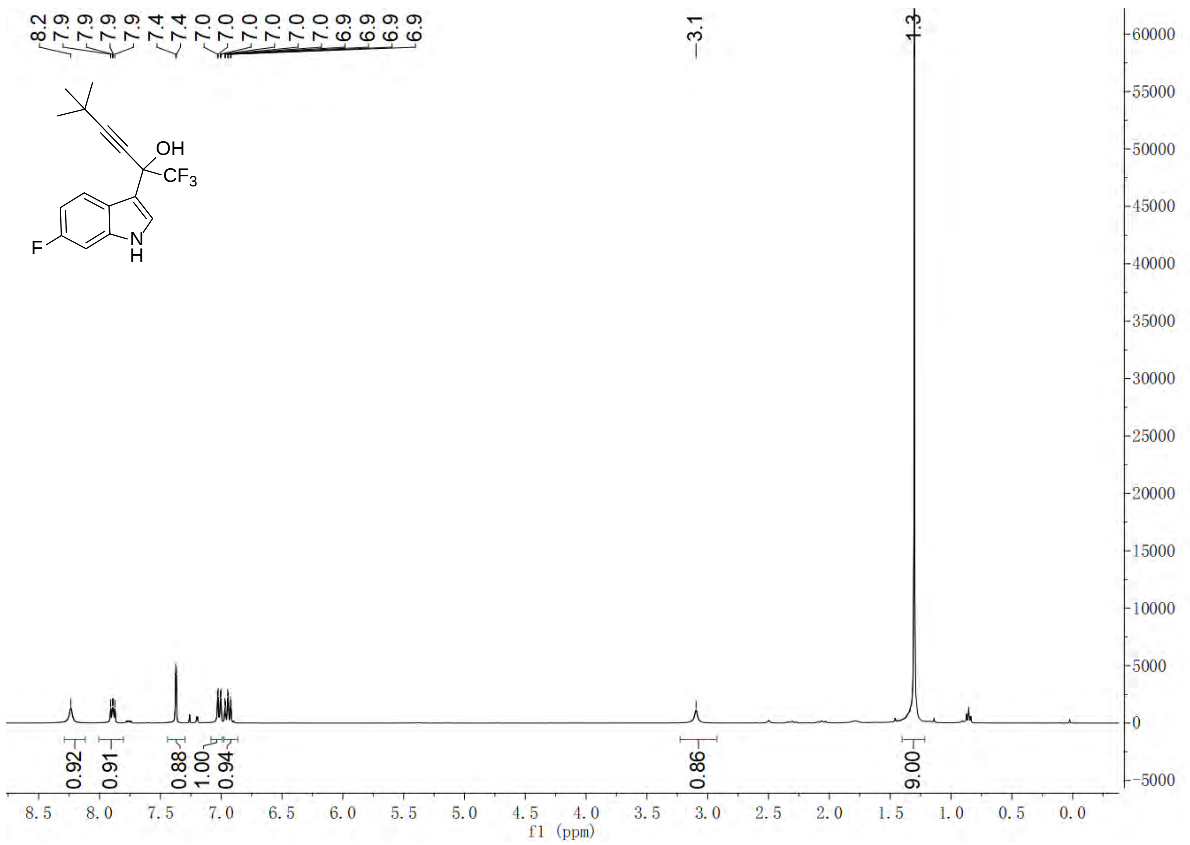
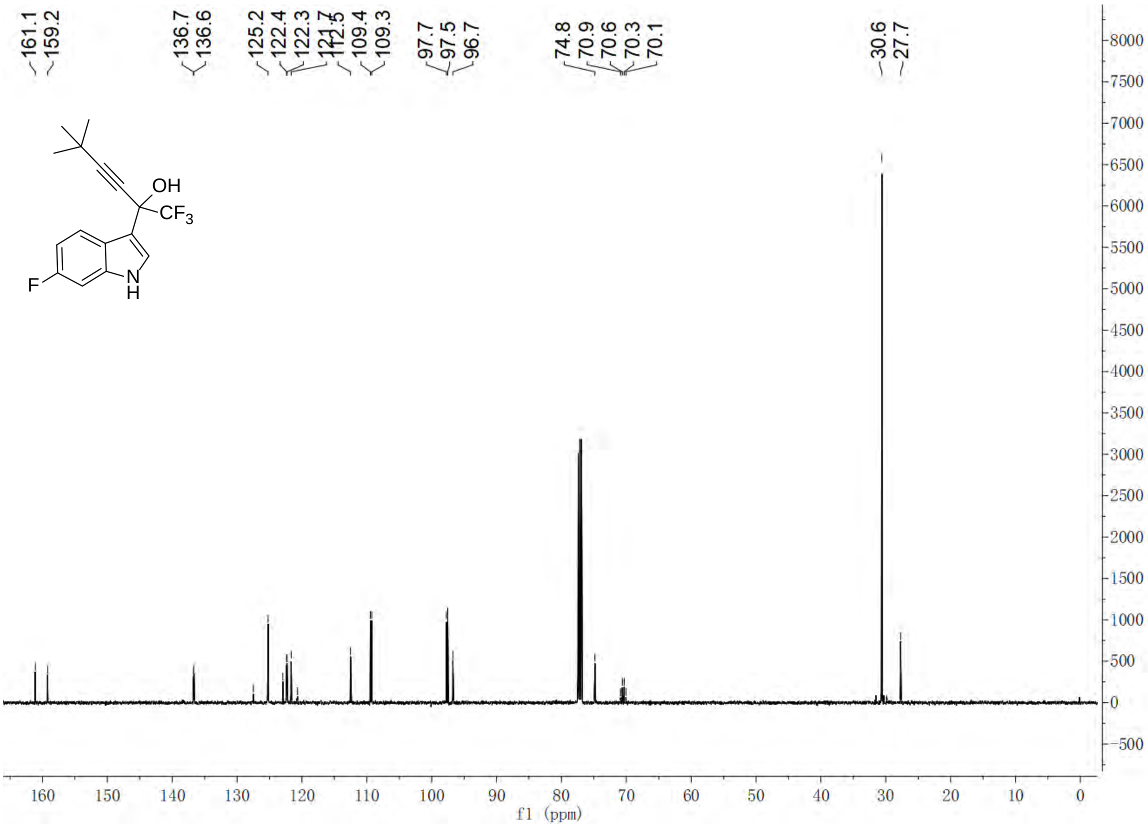


^{1}H NMR of compound 1h (in $CDCl_3$)



^{13}C NMR of compound 1h (in $CDCl_3$)



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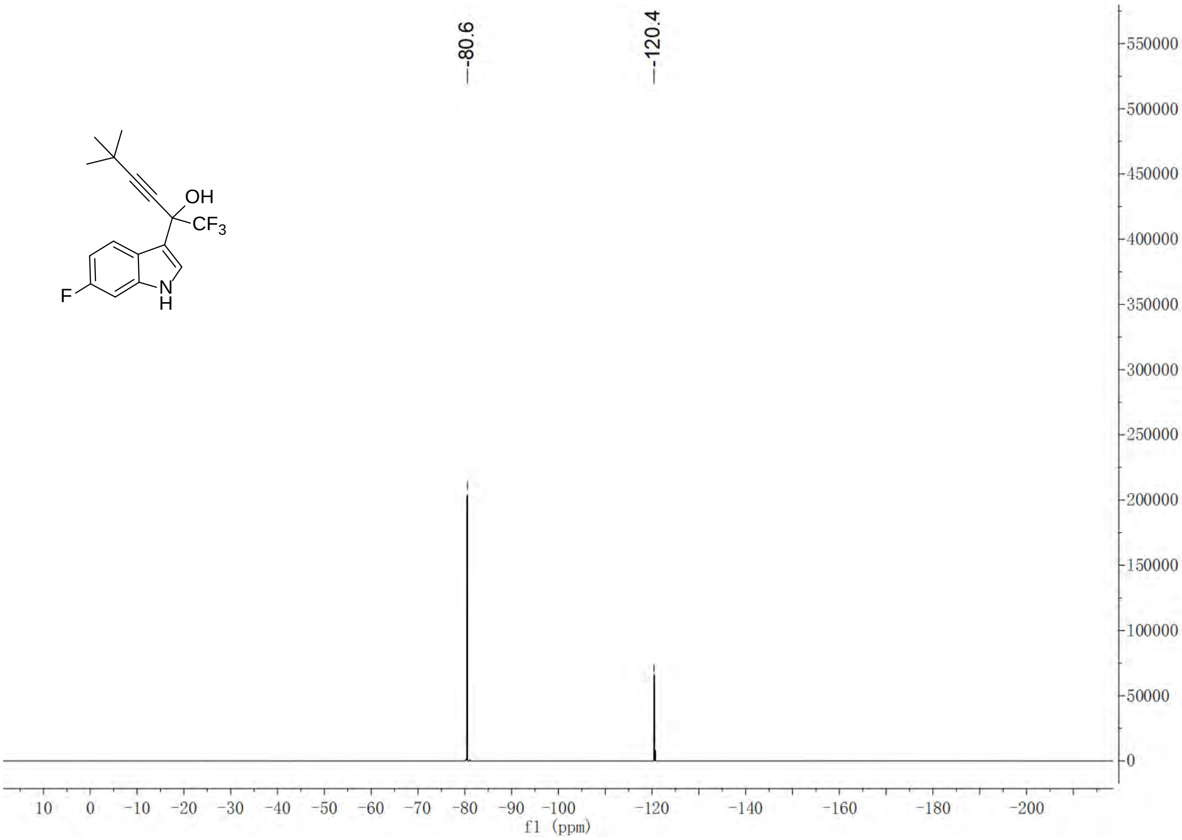
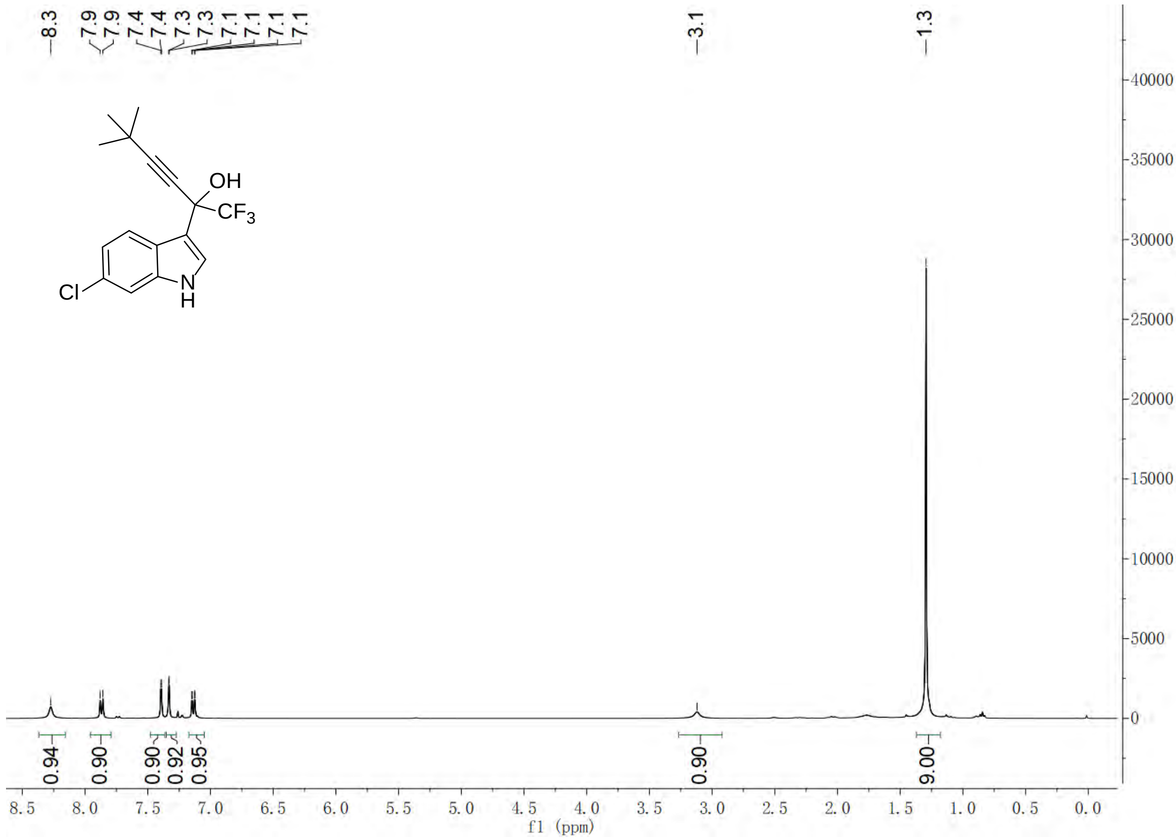
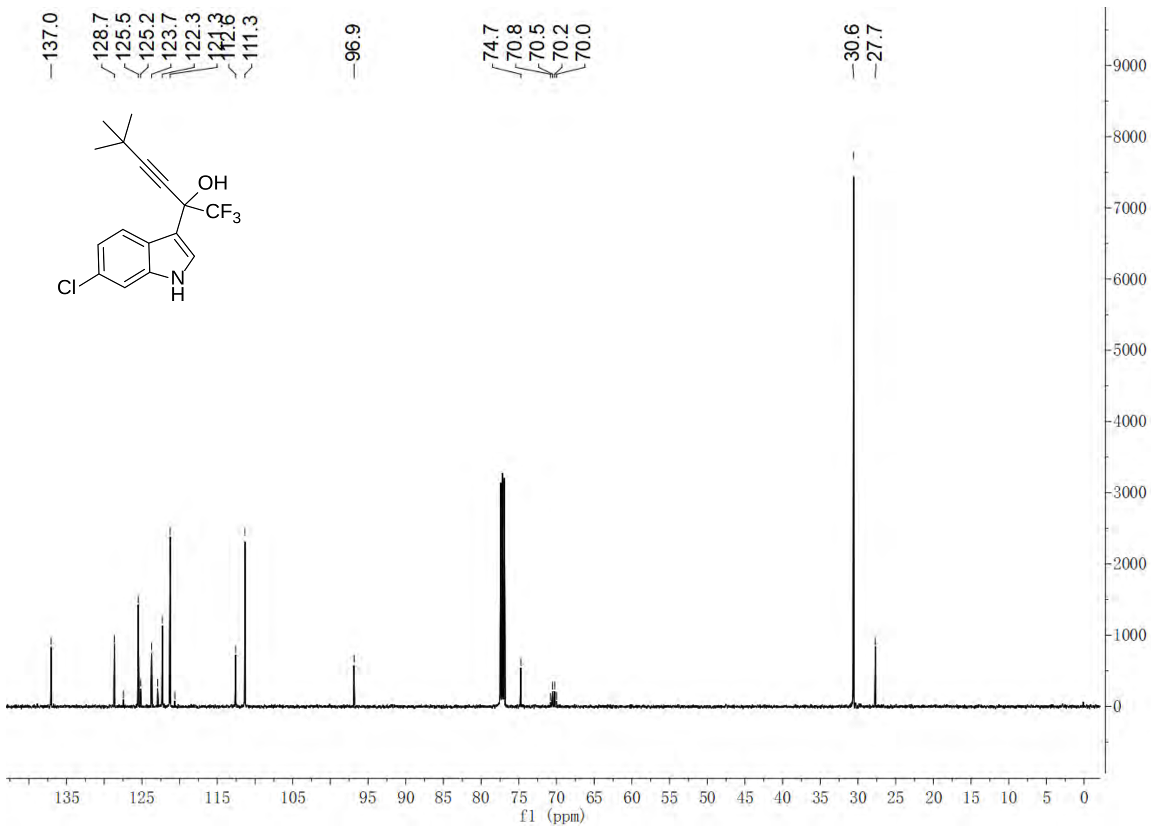


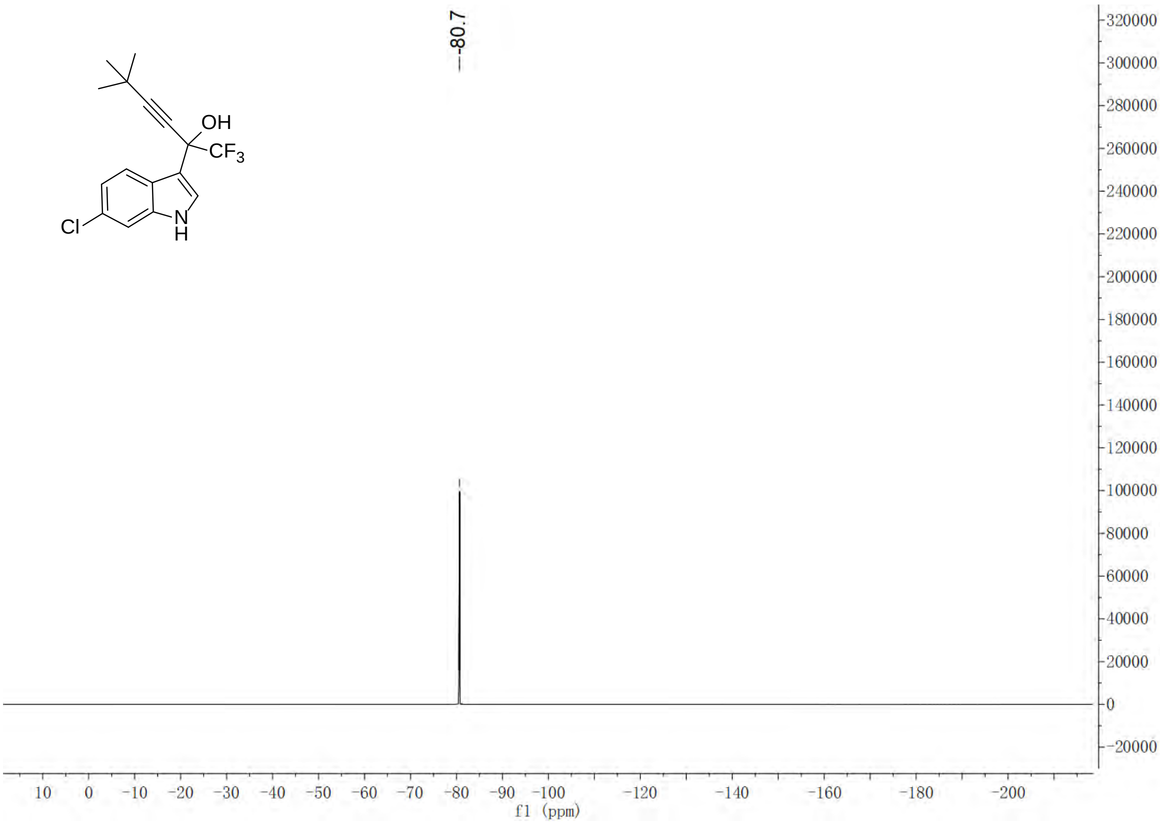
[1]H NMR of compound 1i (in $CDCl_3$)



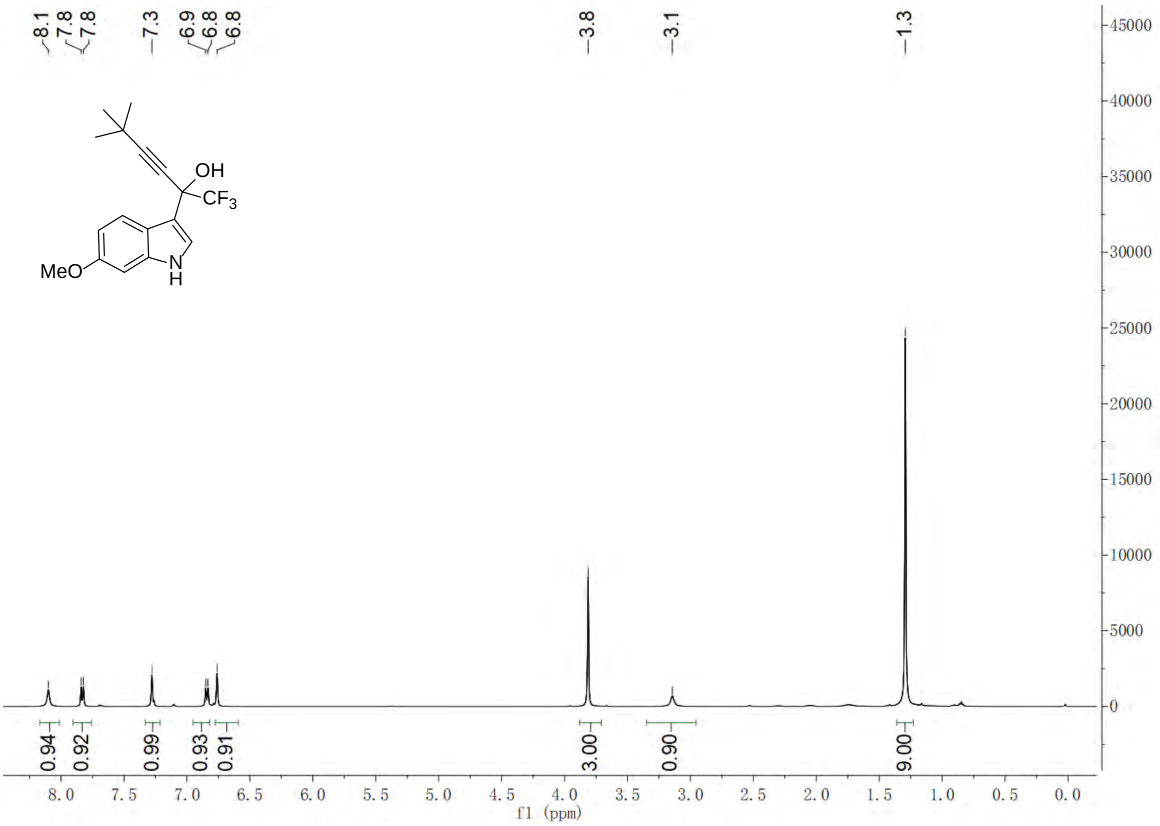
[13]C NMR of compound 1i (in $CDCl_3$)



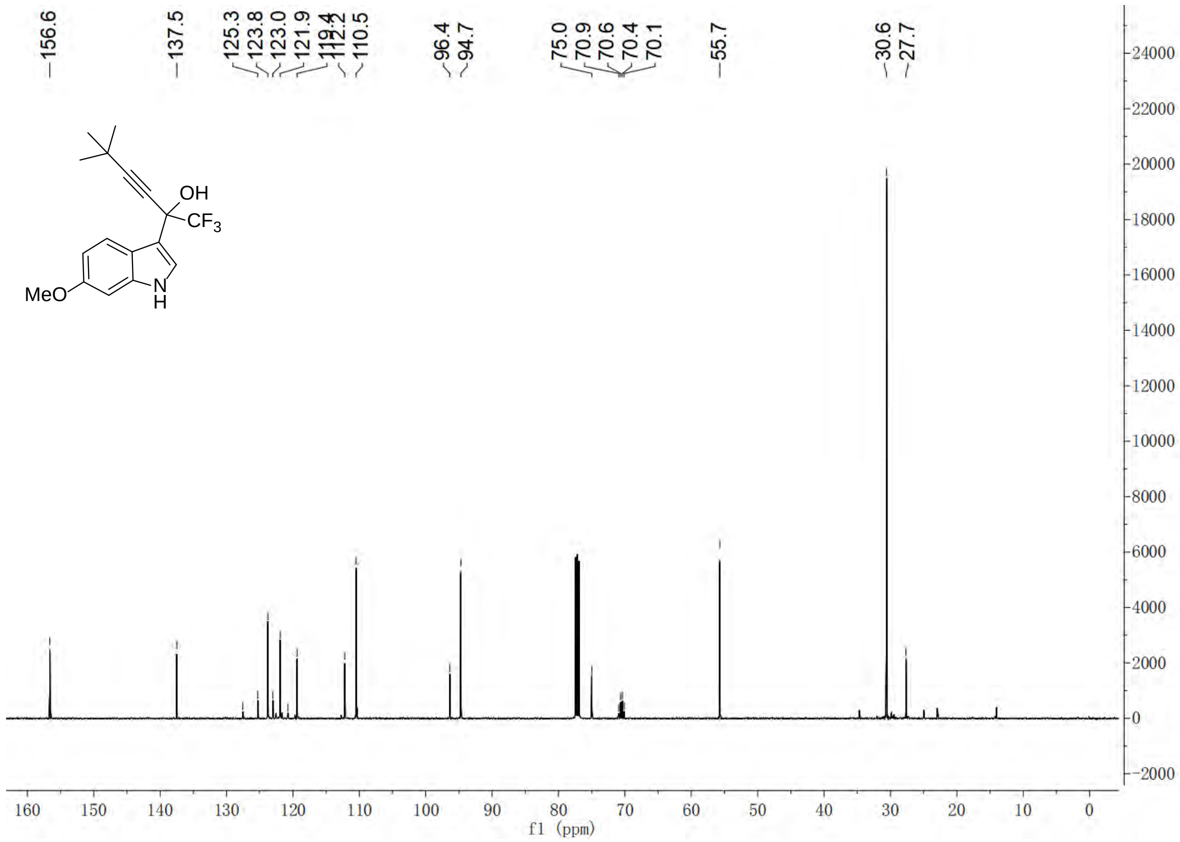
¹⁹F NMR of compound 1i (in $CDCl_3$)



¹H NMR of compound 1j (in $CDCl_3$)



^{13}C NMR of compound 1j (in $CDCl_3$)



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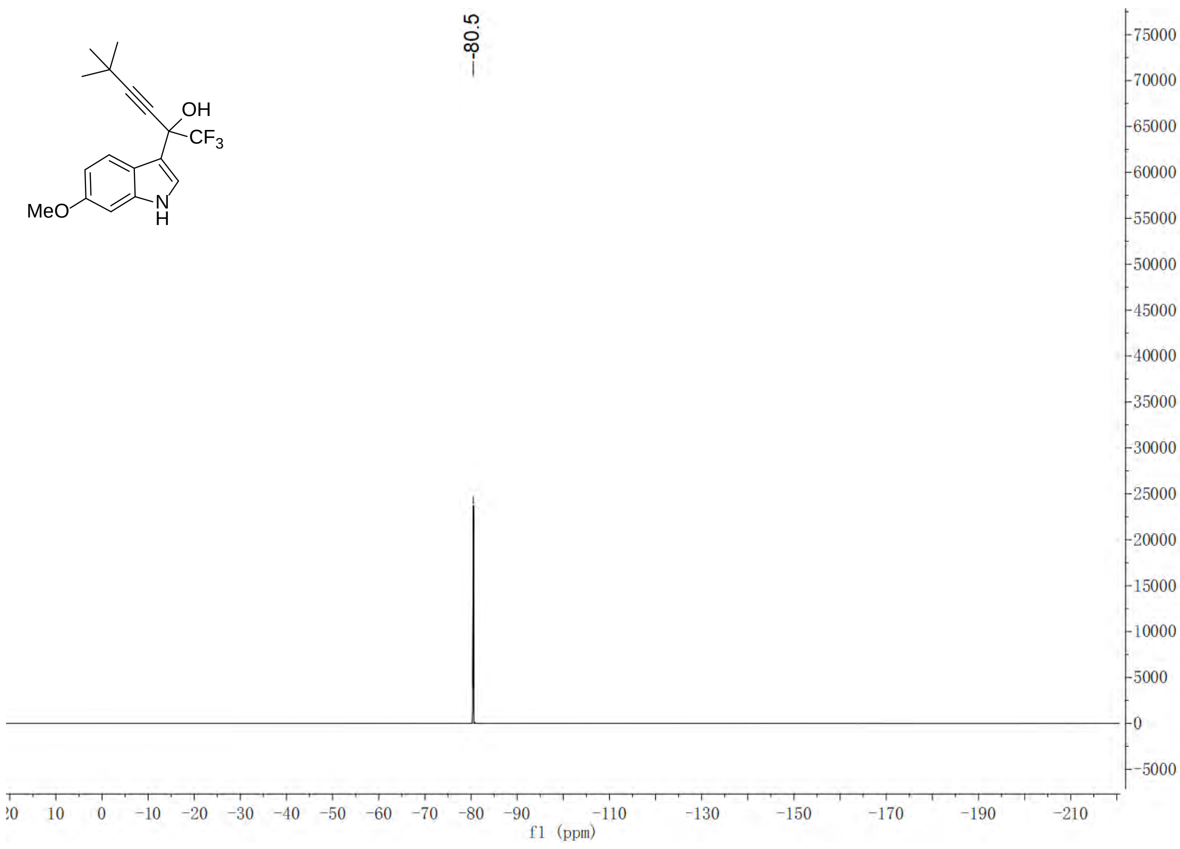
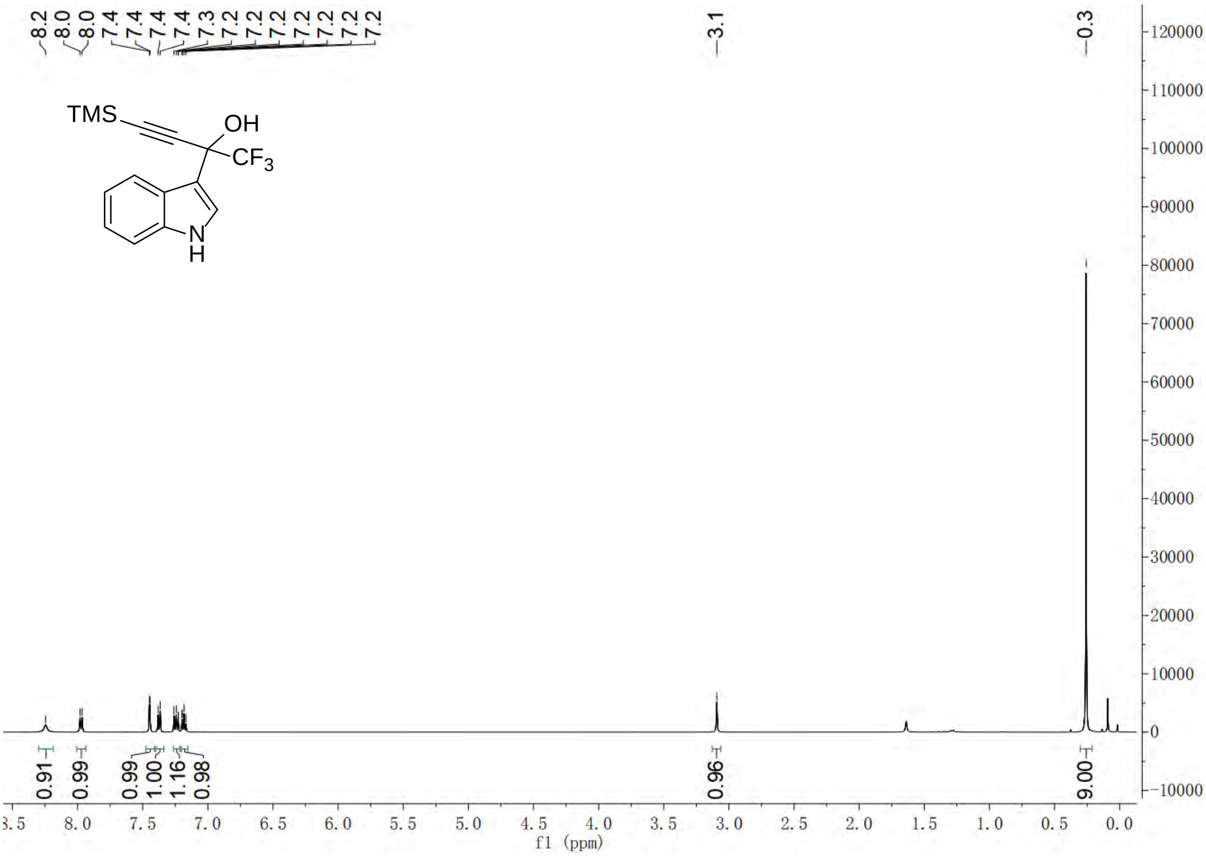
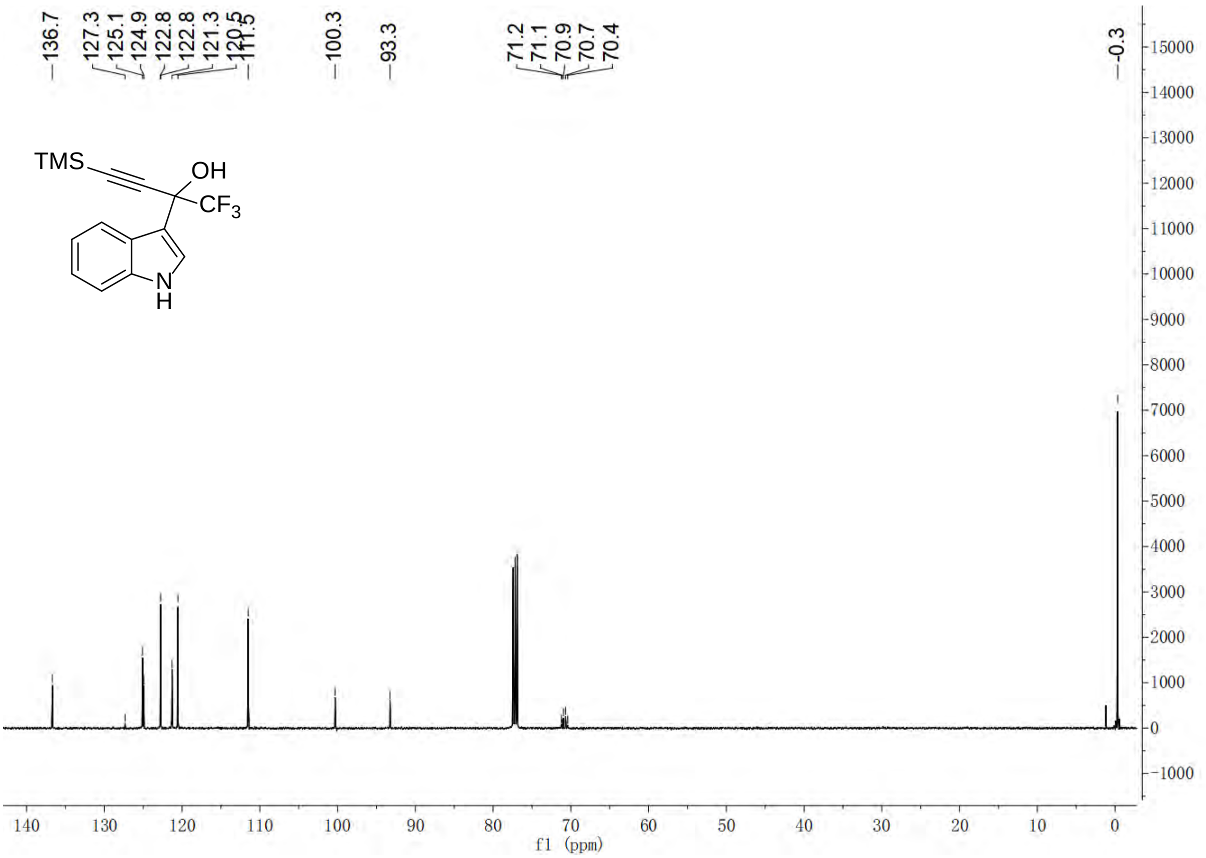


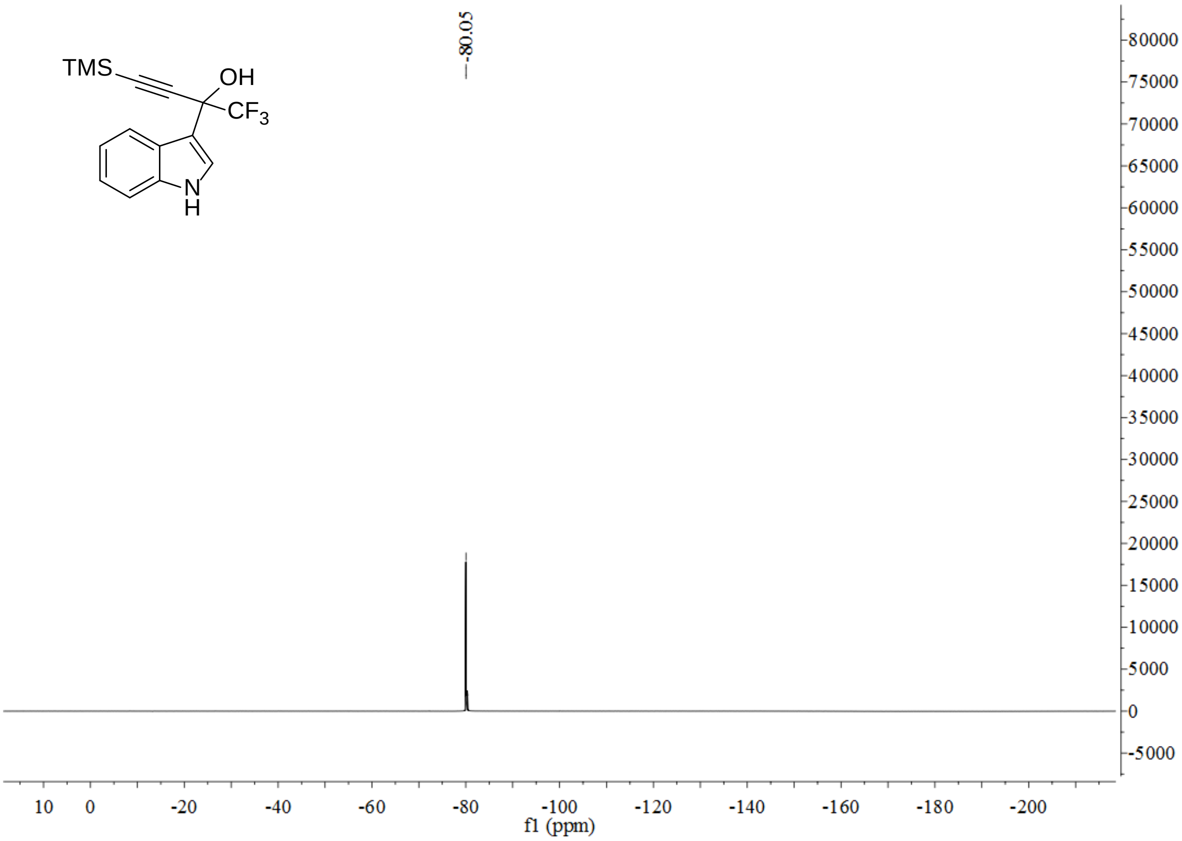
^{1}H NMR of compound 1k (in $CDCl_3$)



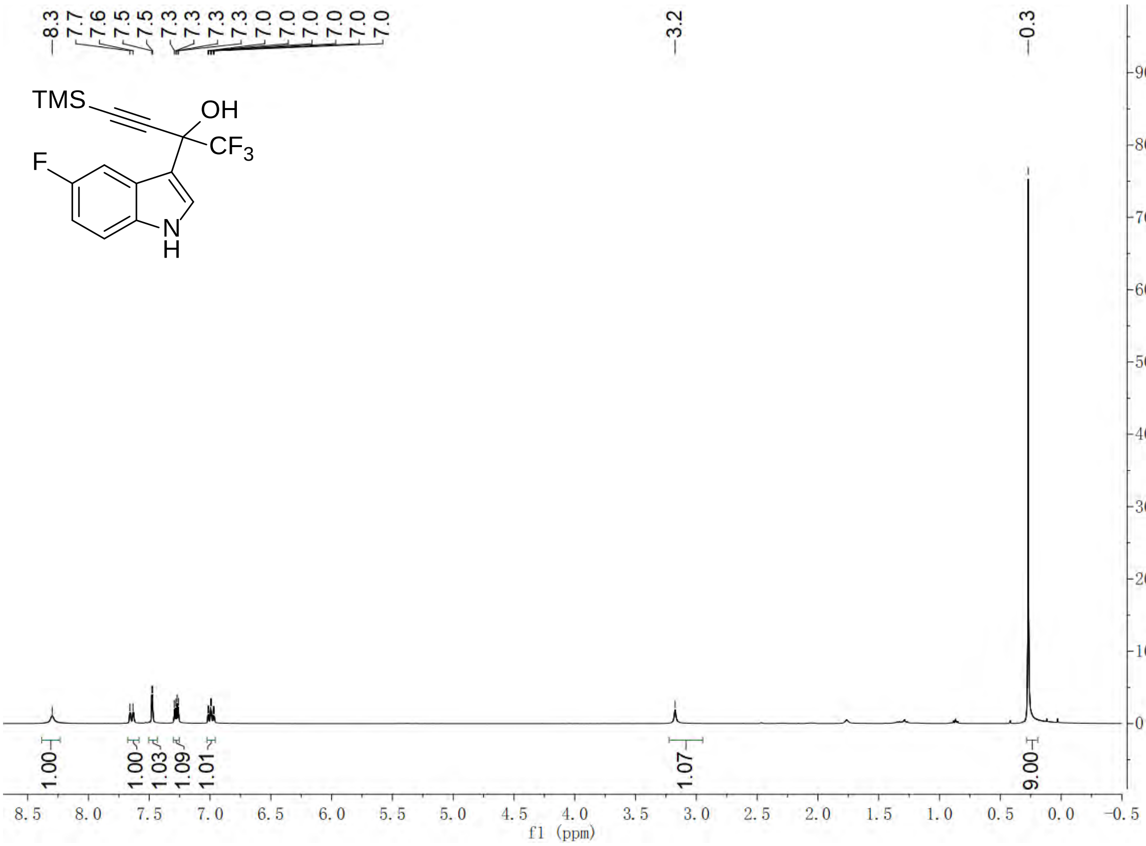
^{13}C NMR of compound 1k (in $CDCl_3$)



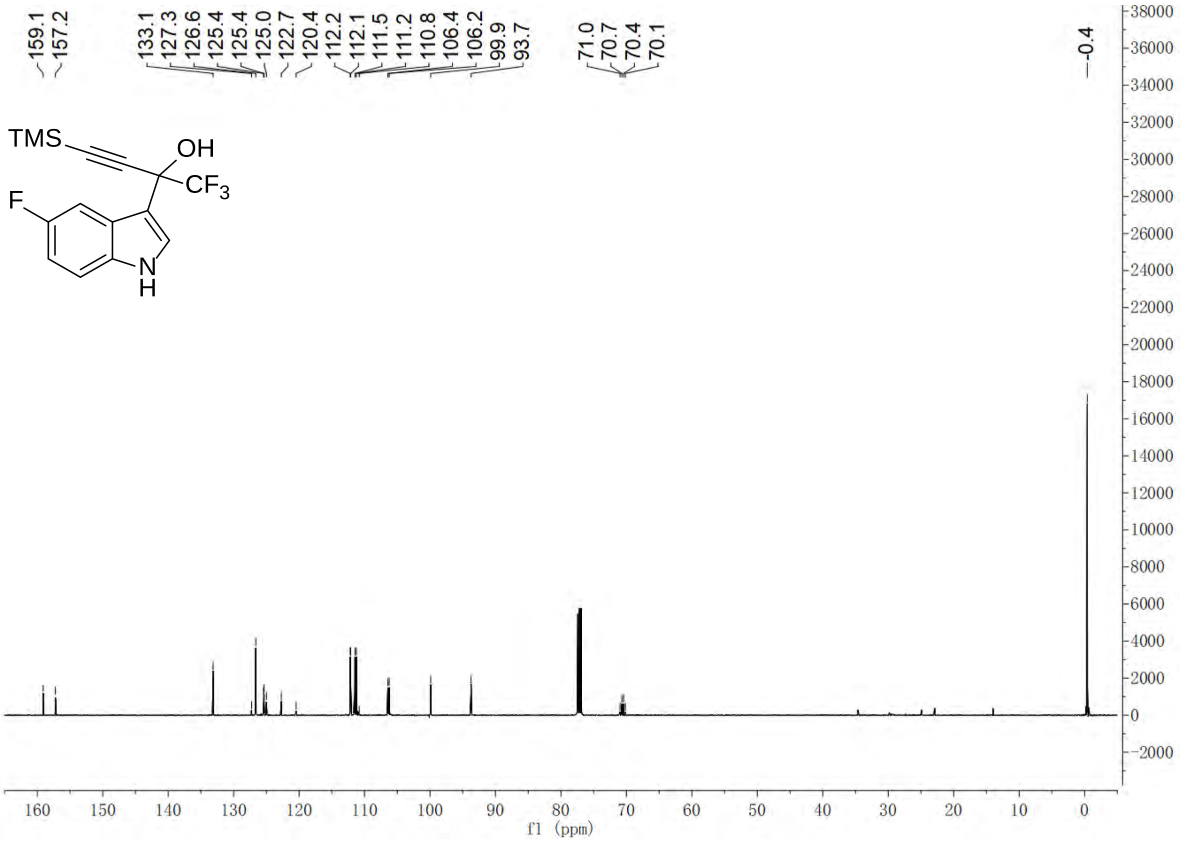
^{19}F NMR of compound 1k (in $CDCl_3$)



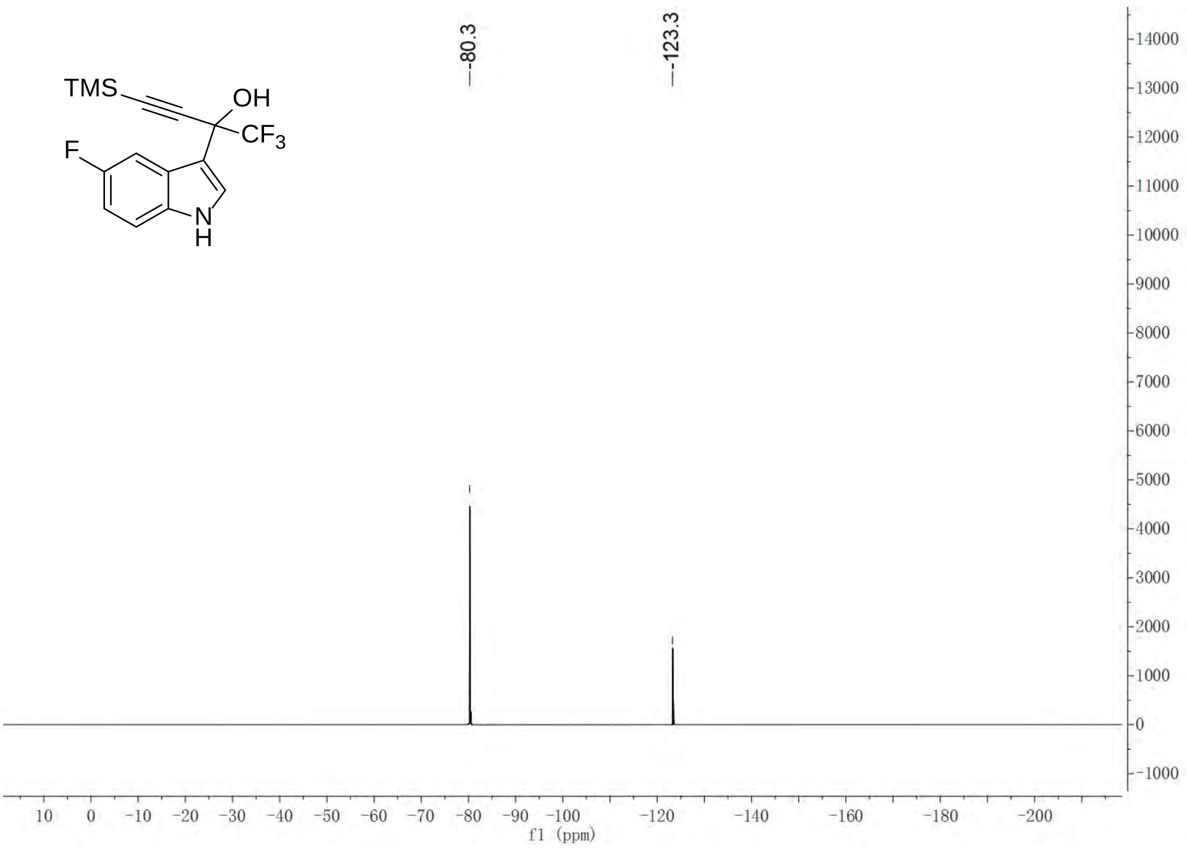
^{1}H NMR of compound 1l (in $CDCl_3$)



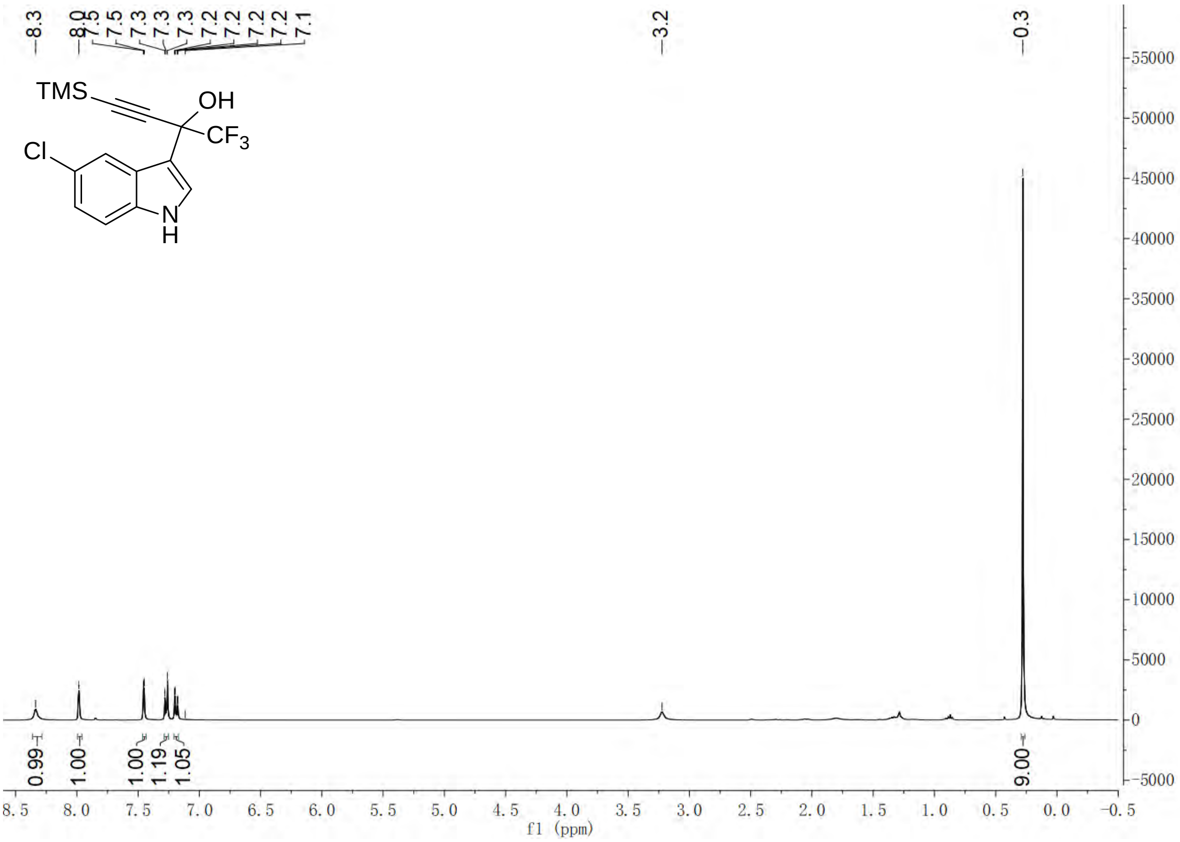
^{13}C NMR of compound 1l (in $CDCl_3$)



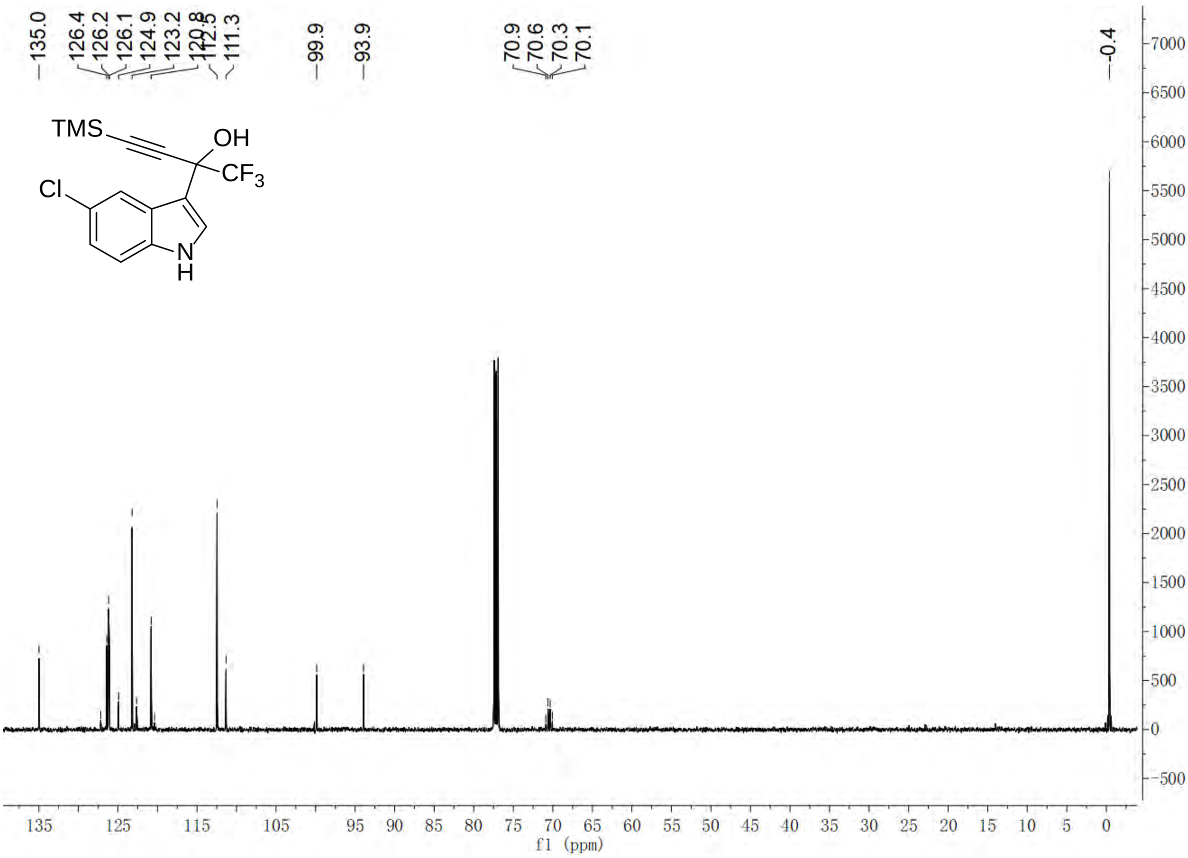
^{19}F NMR of compound 1l (in $CDCl_3$)



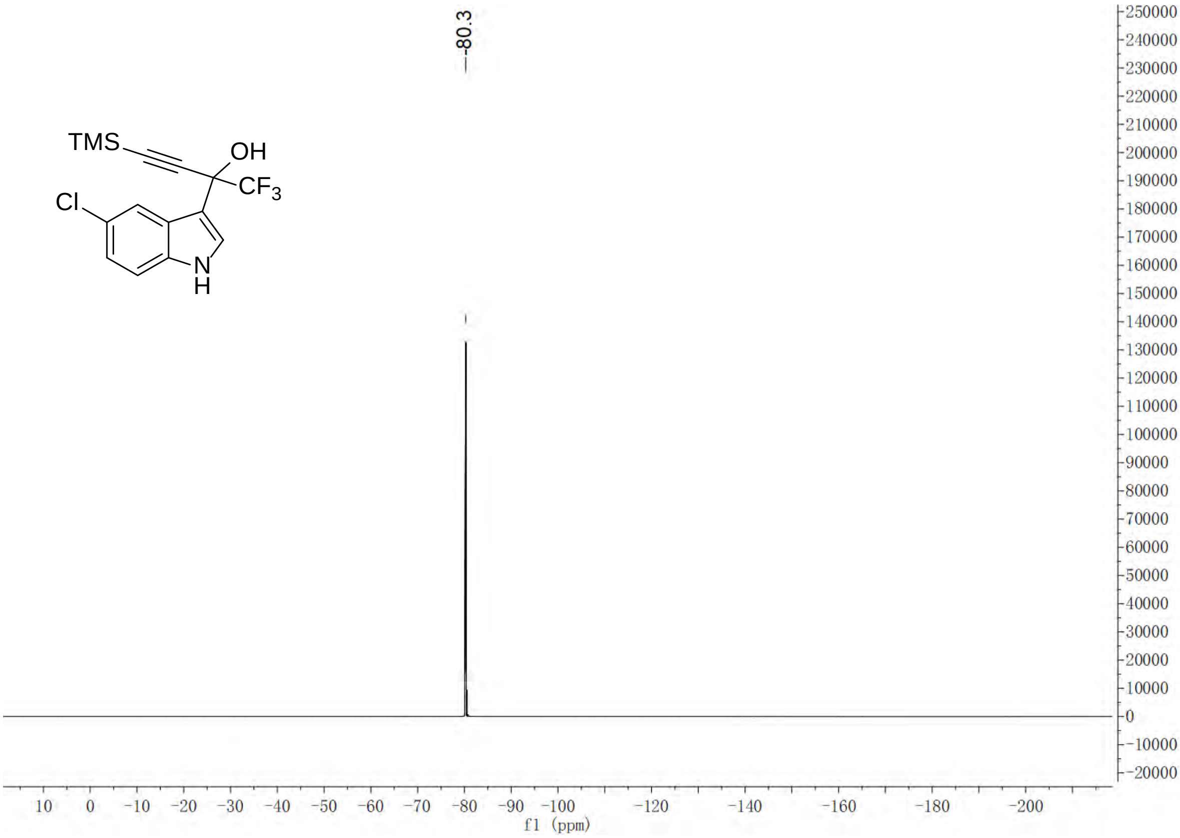
¹H NMR of compound 1m (in $CDCl_3$)



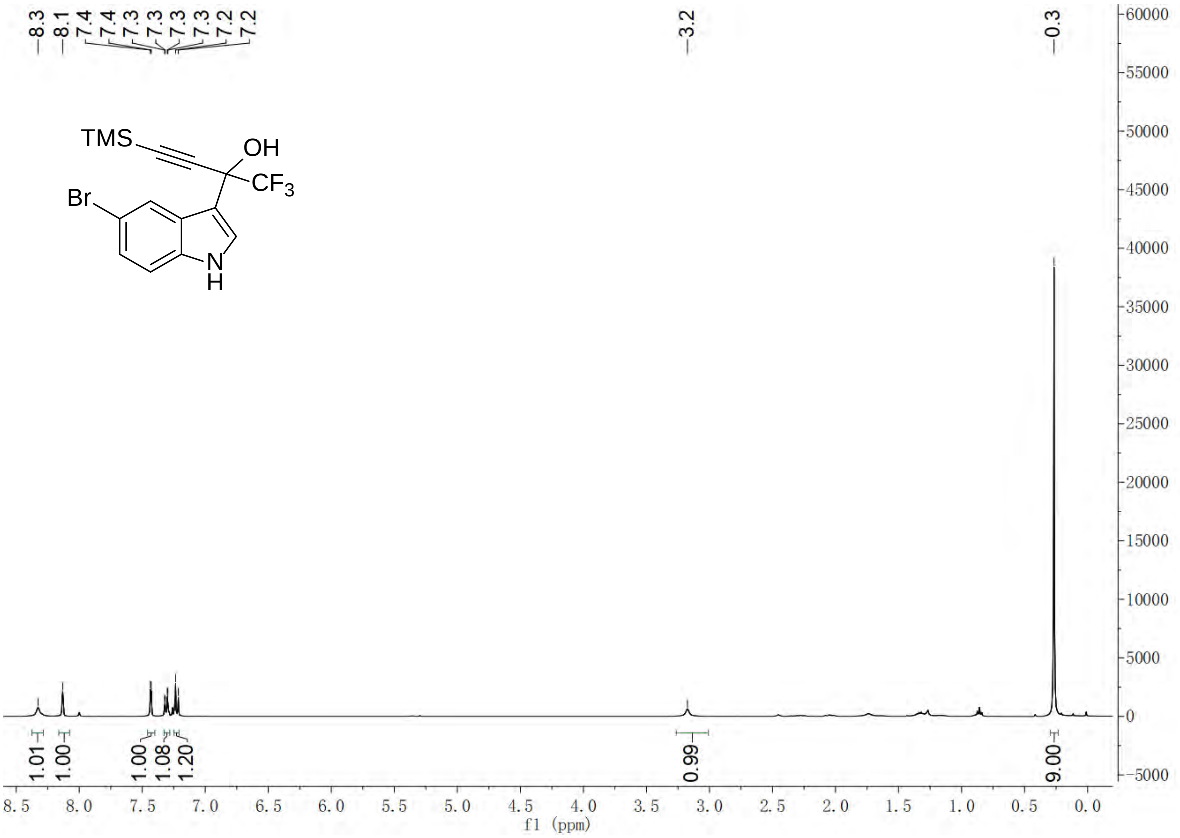
¹³C NMR of compound 1m (in $CDCl_3$)



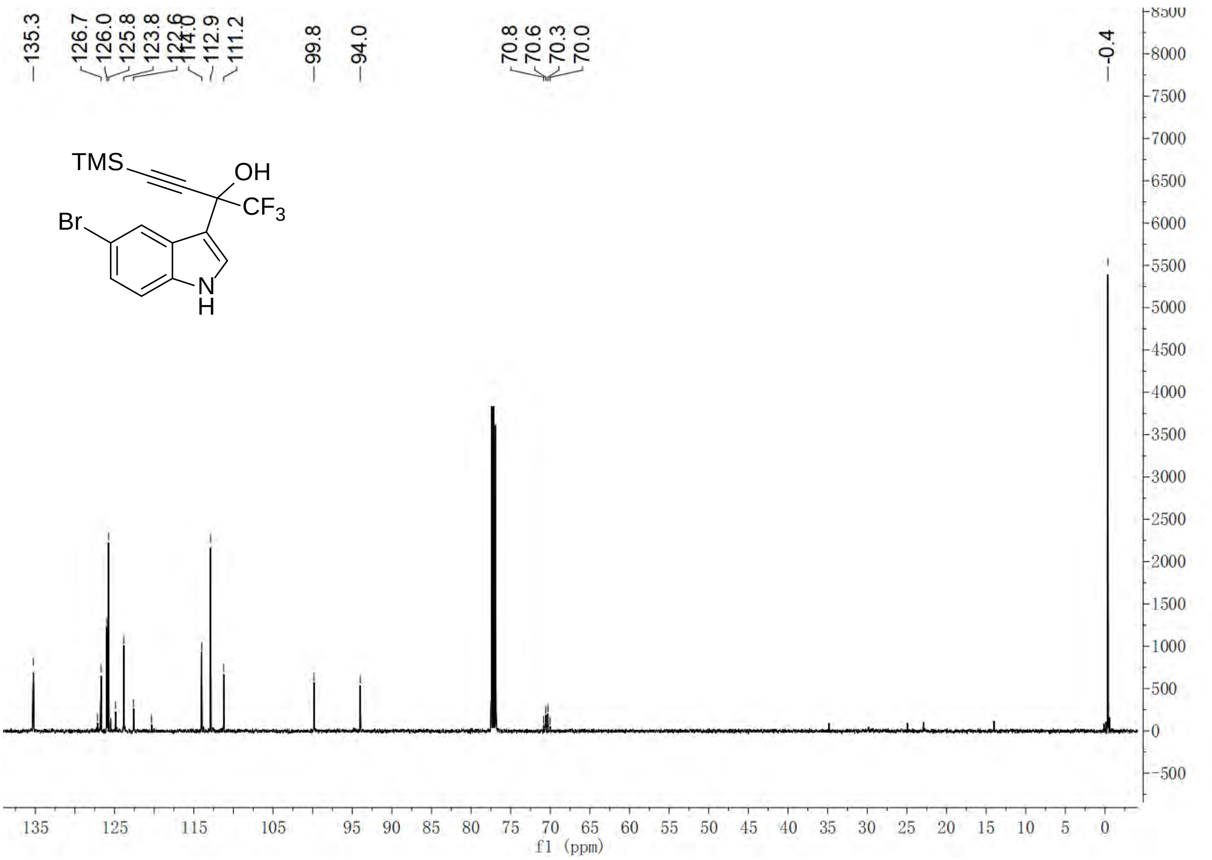
^{19}F NMR of compound 1m (in $CDCl_3$)



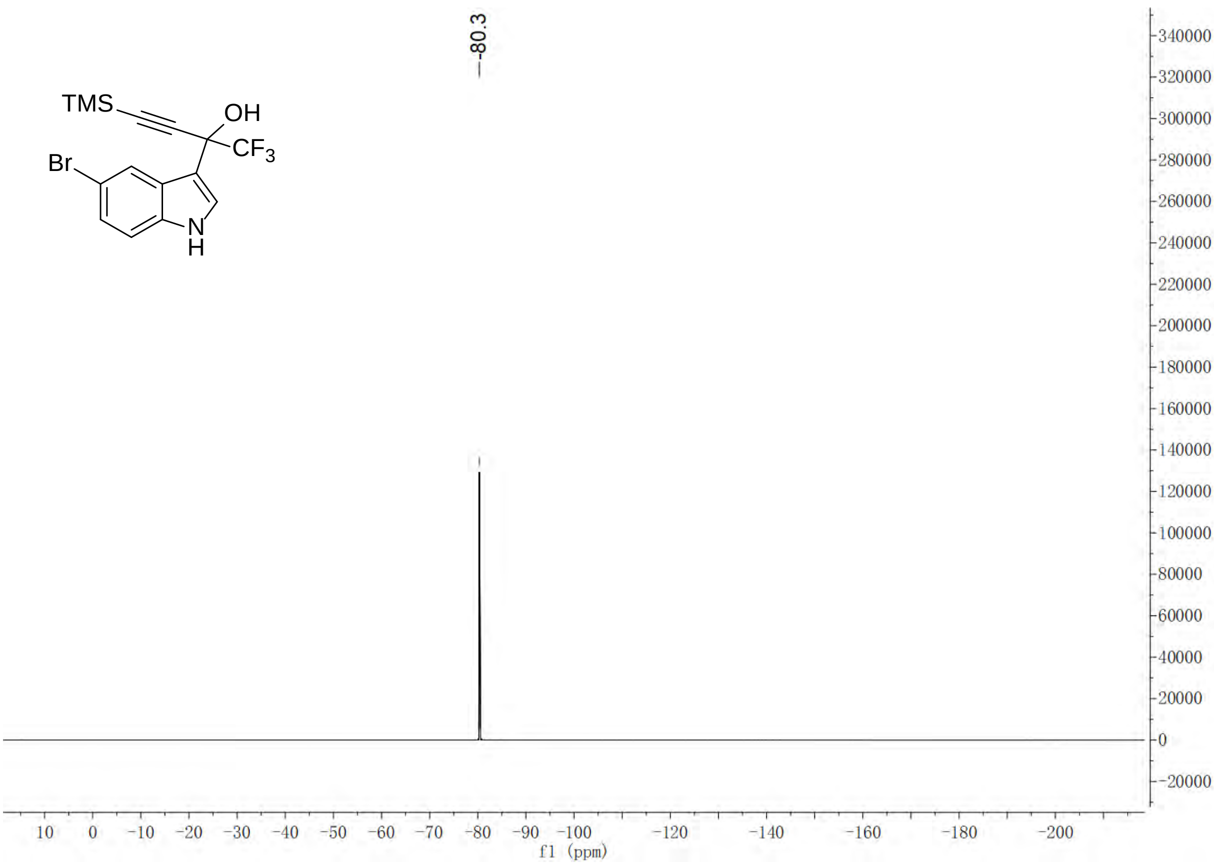
^{1}H NMR of compound 1n (in $CDCl_3$)



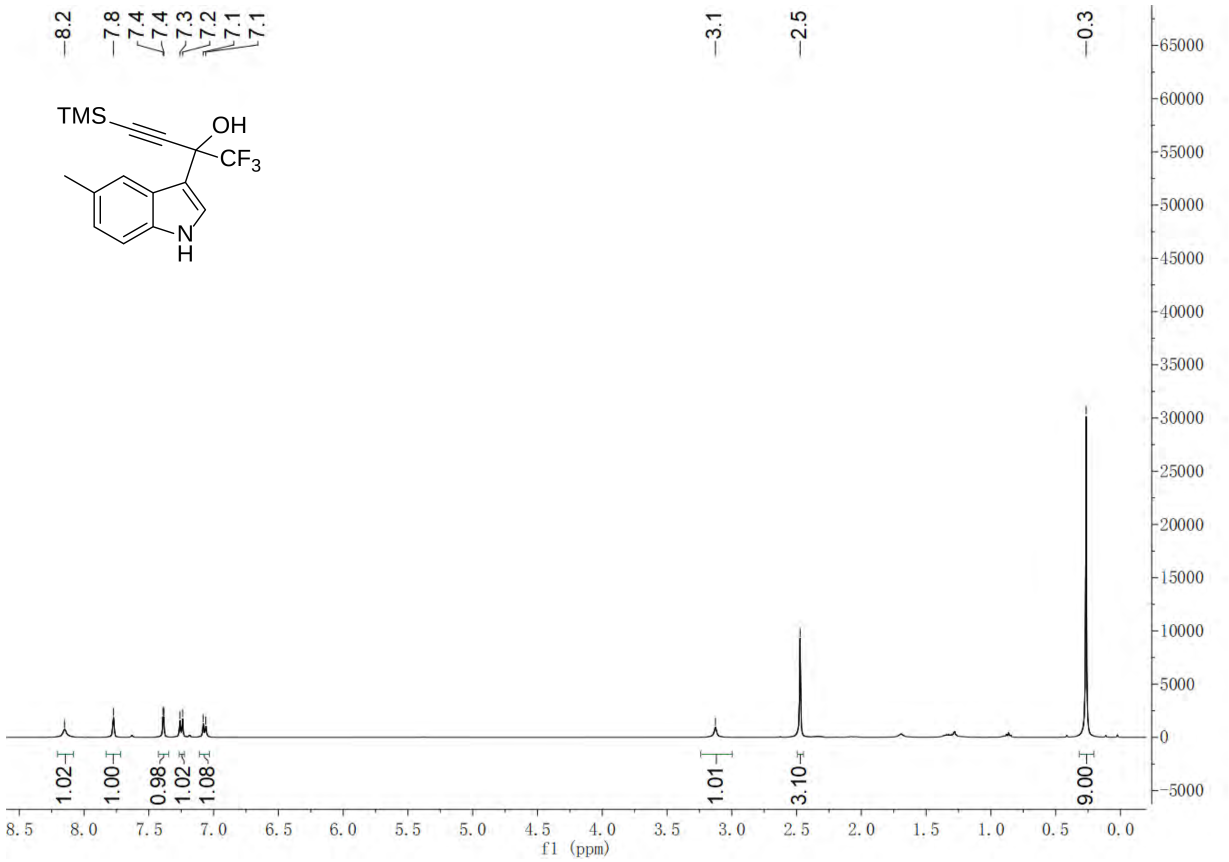
^{13}C NMR of compound 1n (in $CDCl_3$)



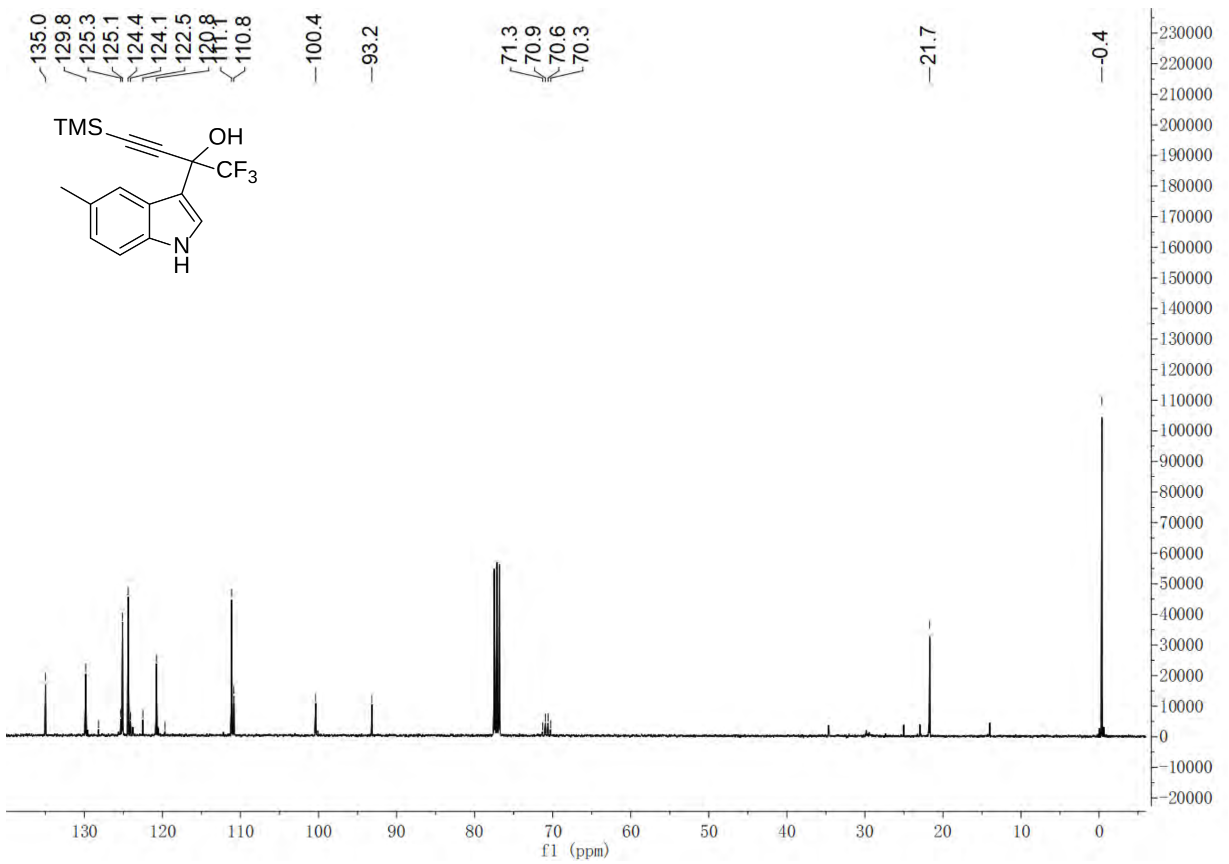
^{19}F NMR of compound 1n (in $CDCl_3$)



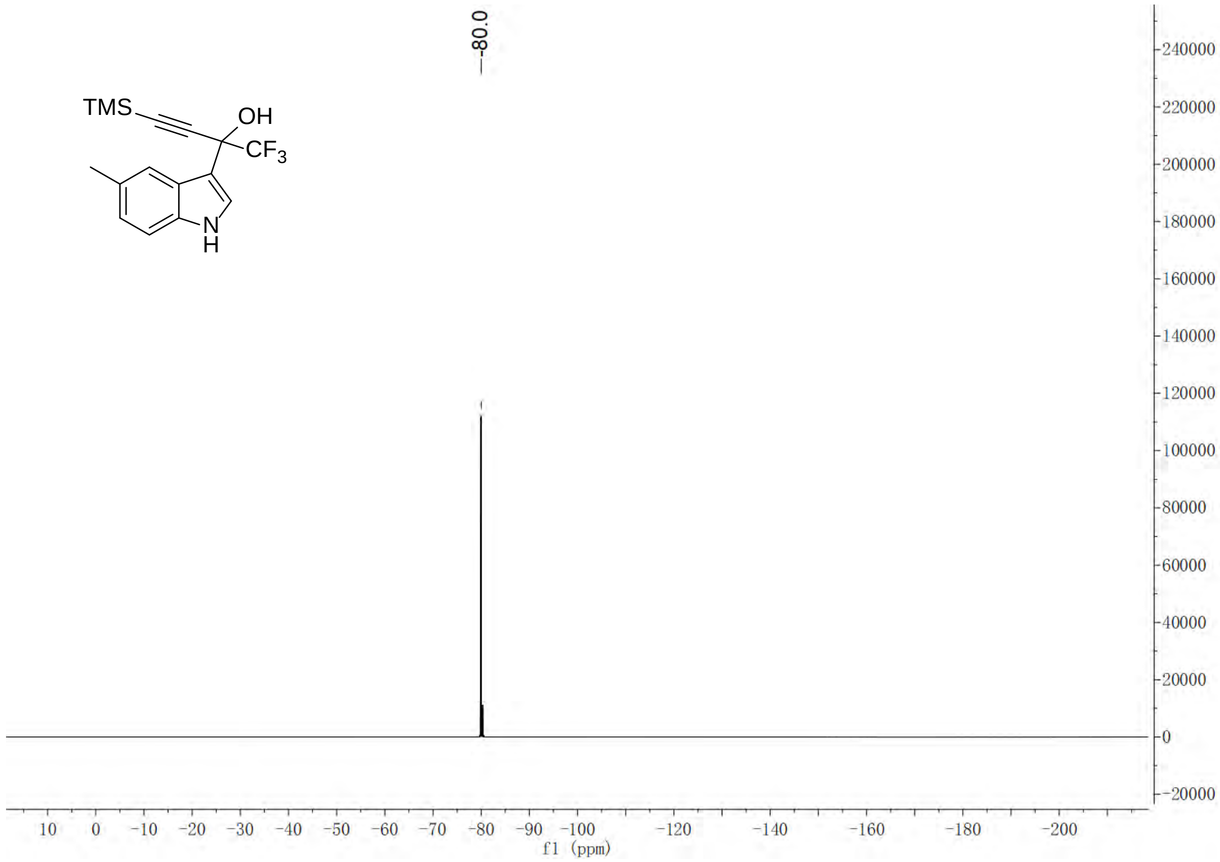
[1]H NMR of compound 1o (in $CDCl_3$)



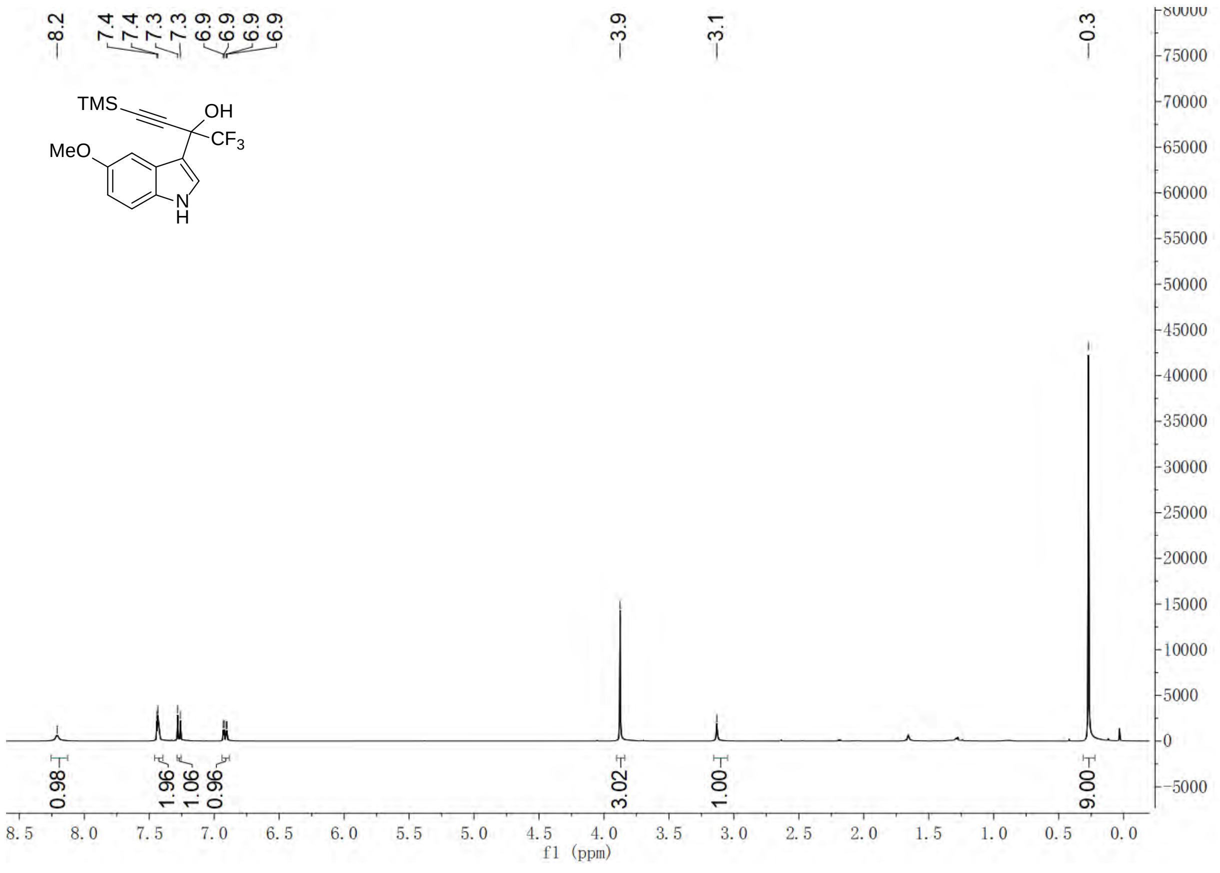
[13]C NMR of compound 1o (in $CDCl_3$)



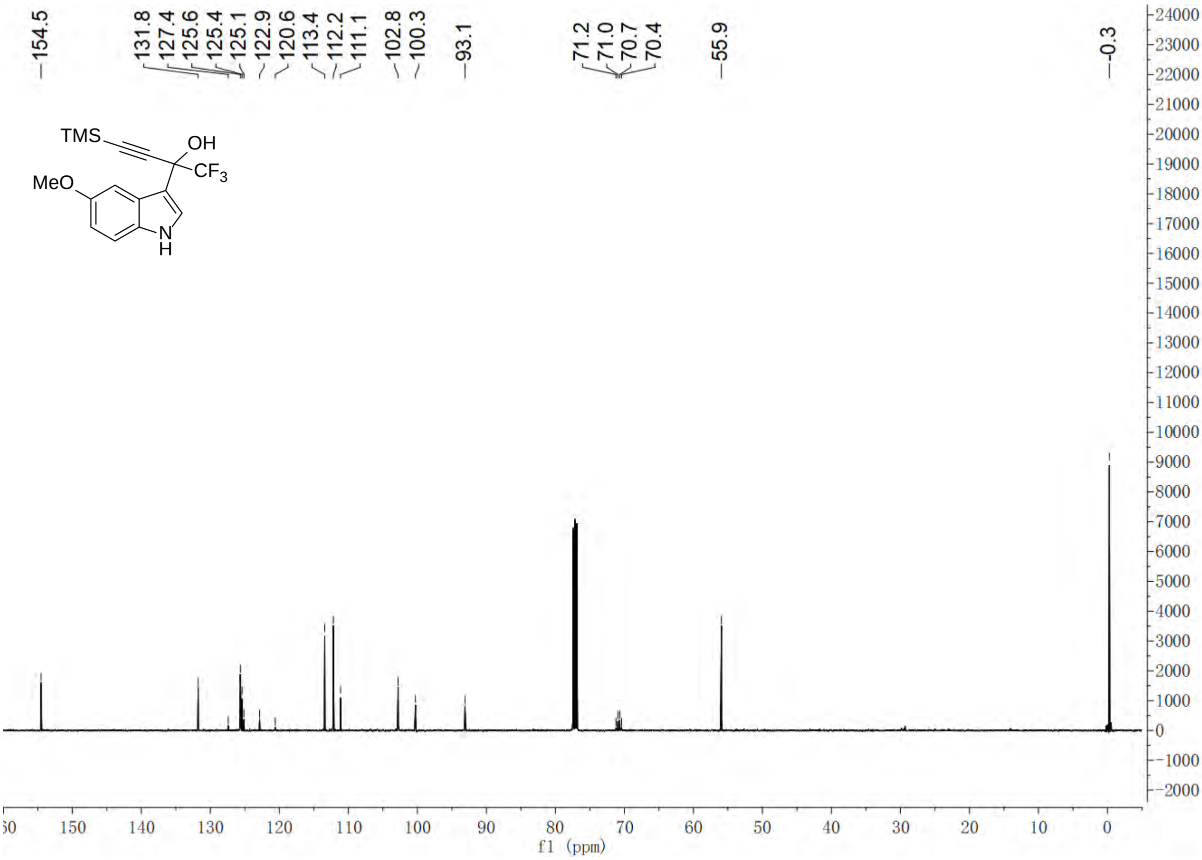
^{19}F NMR of compound 1o (in $CDCl_3$)



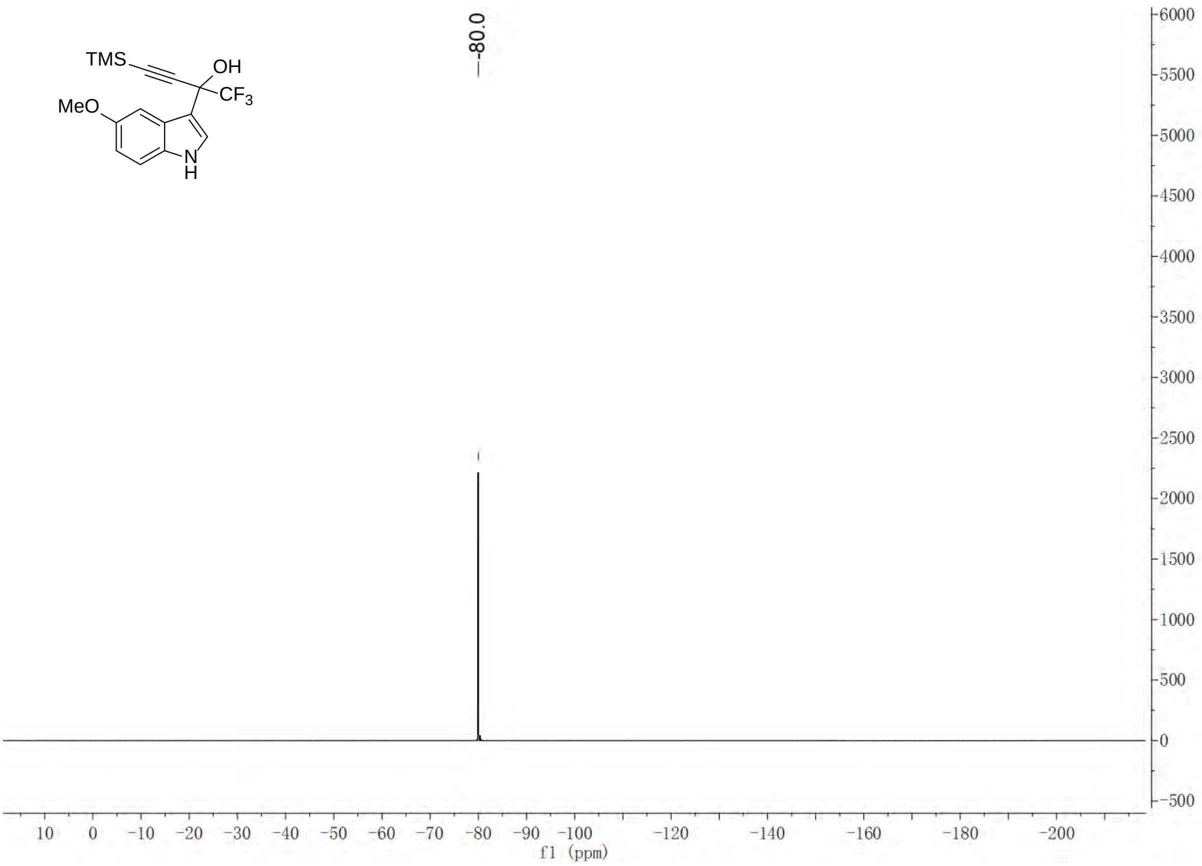
^{1}H NMR of compound 1p (in $CDCl_3$)



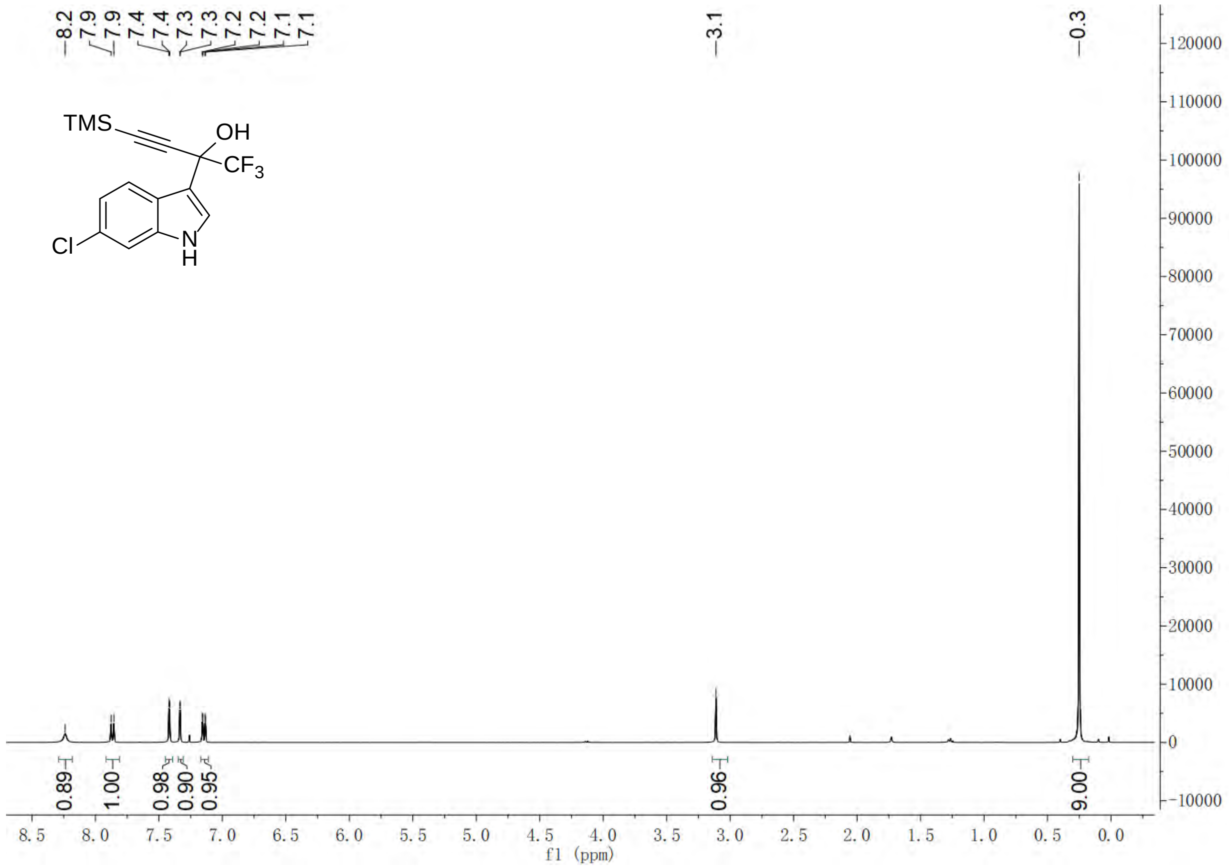
^{13}C NMR of compound 1p (in CDCl$_3$)



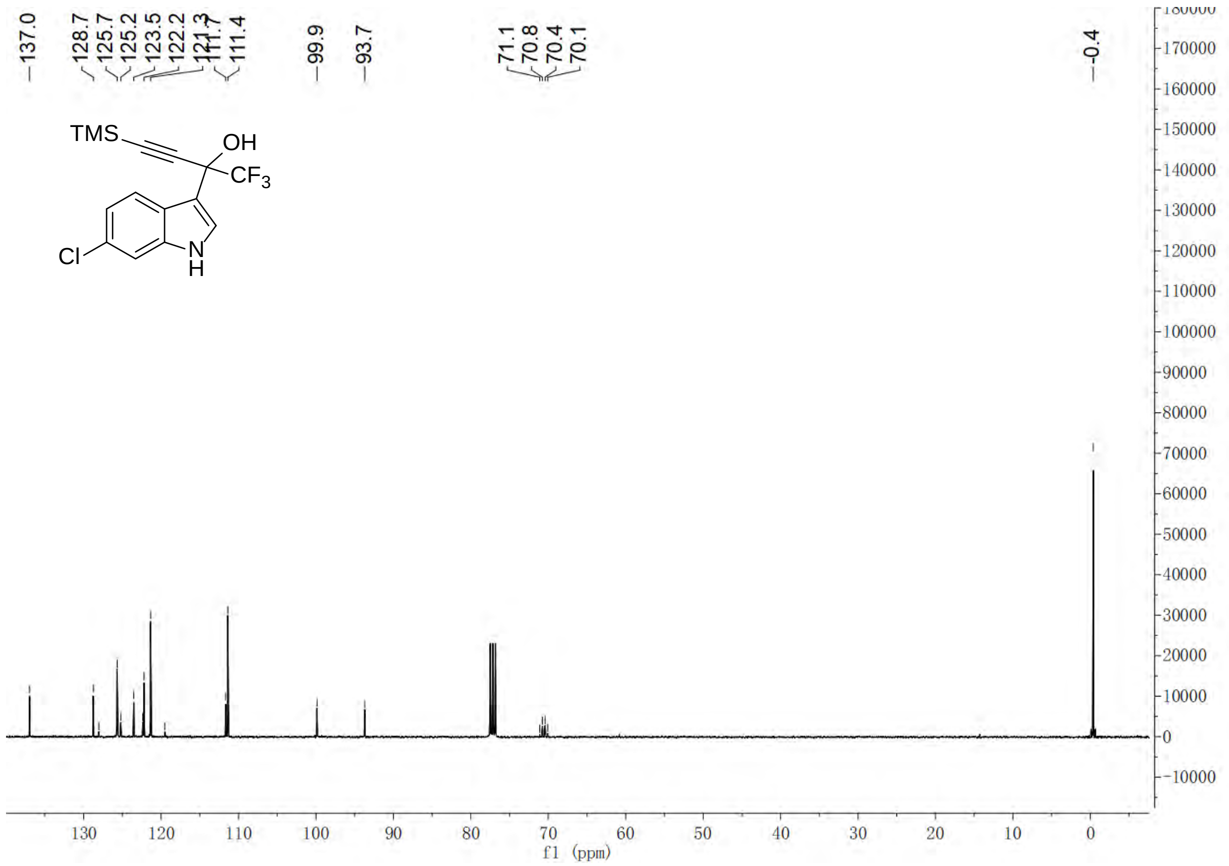
^{19}F NMR of compound 1p (in CDCl$_3$)



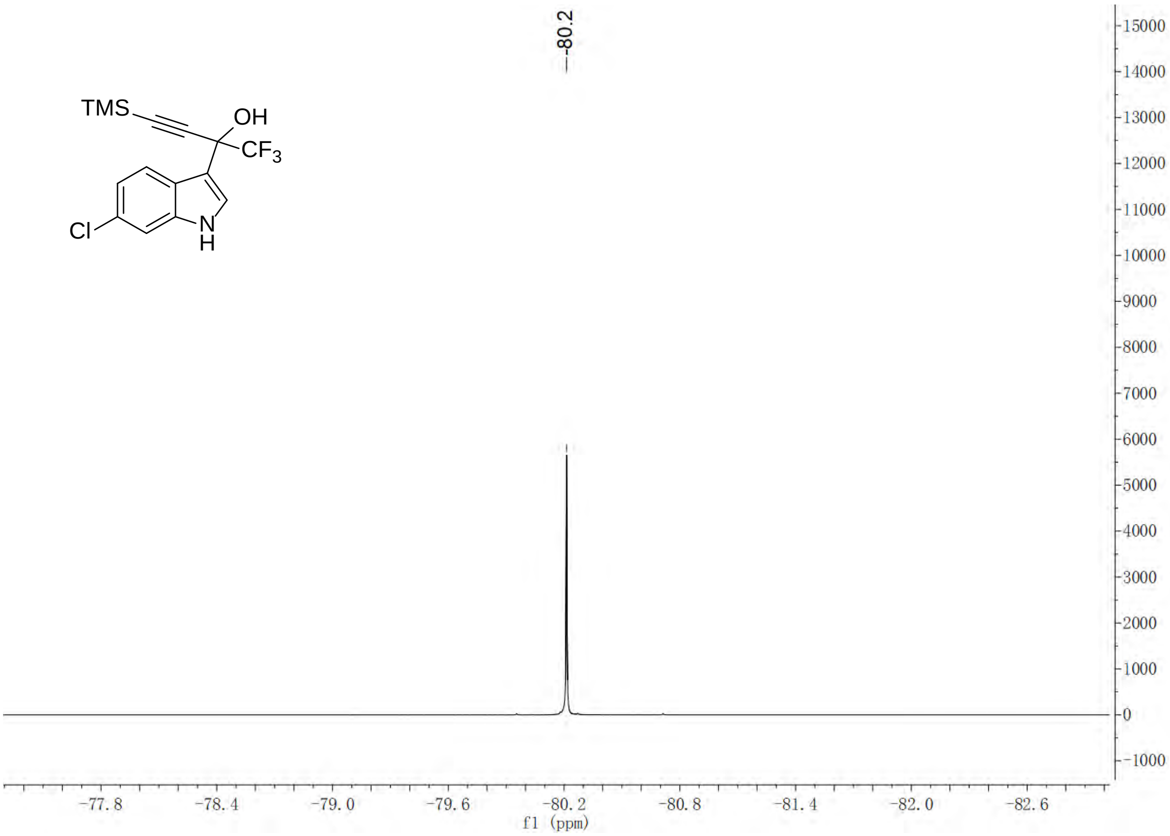
[1]H NMR of compound 1q (in $CDCl_3$)



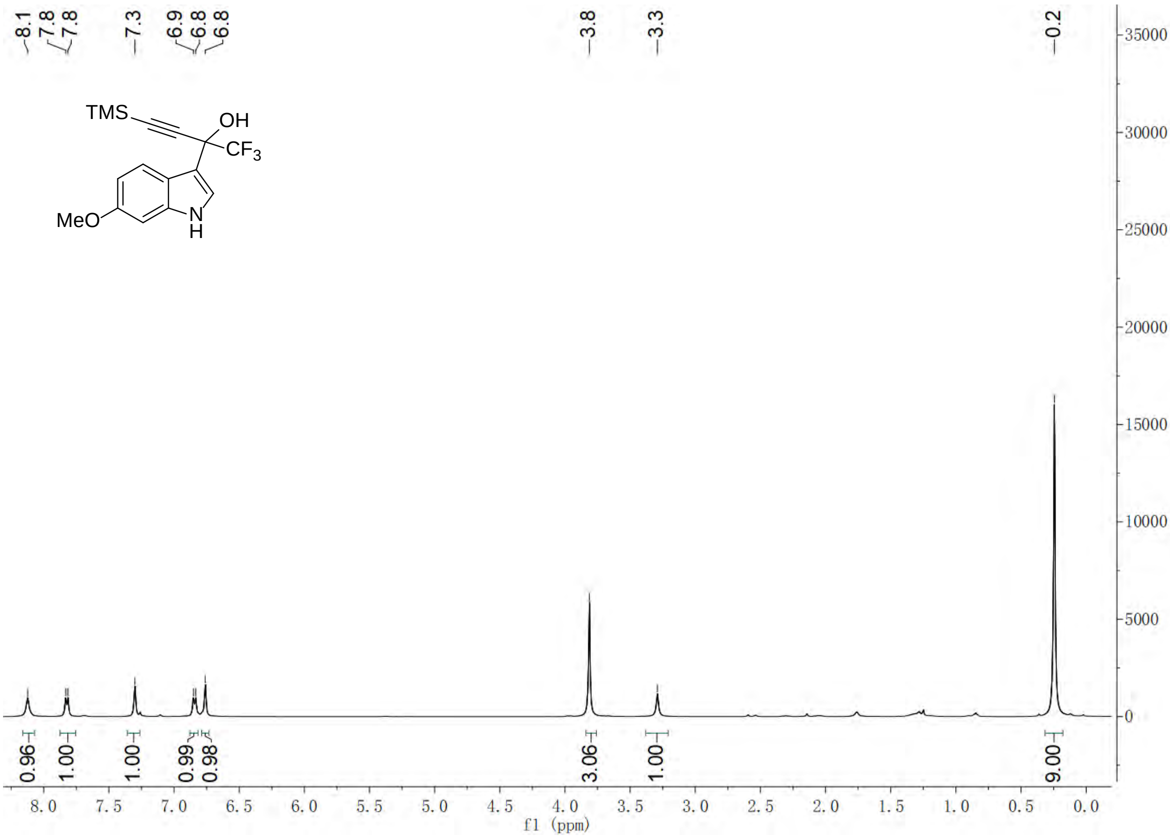
[13]C NMR of compound 1q (in $CDCl_3$)



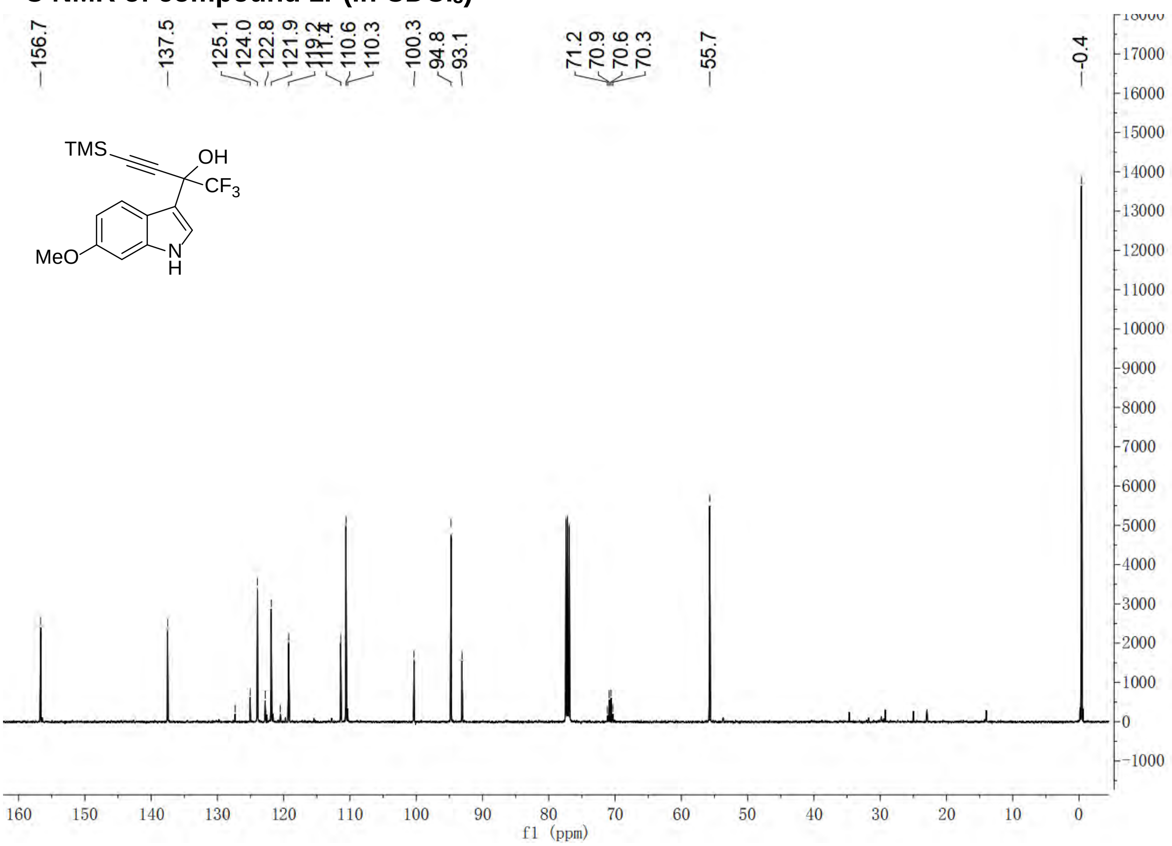
^{19}F NMR of compound 1q (in CDCl$_3$)



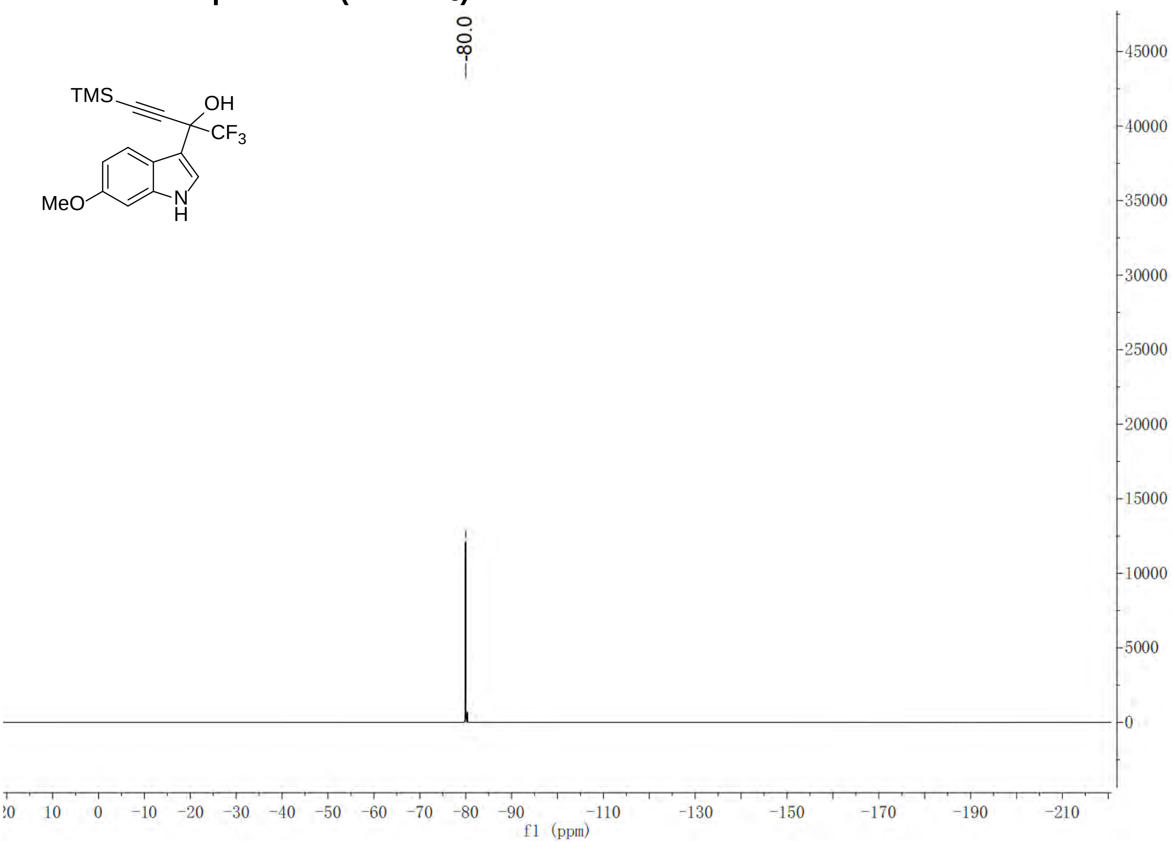
^{1}H NMR of compound 1r (in CDCl$_3$)



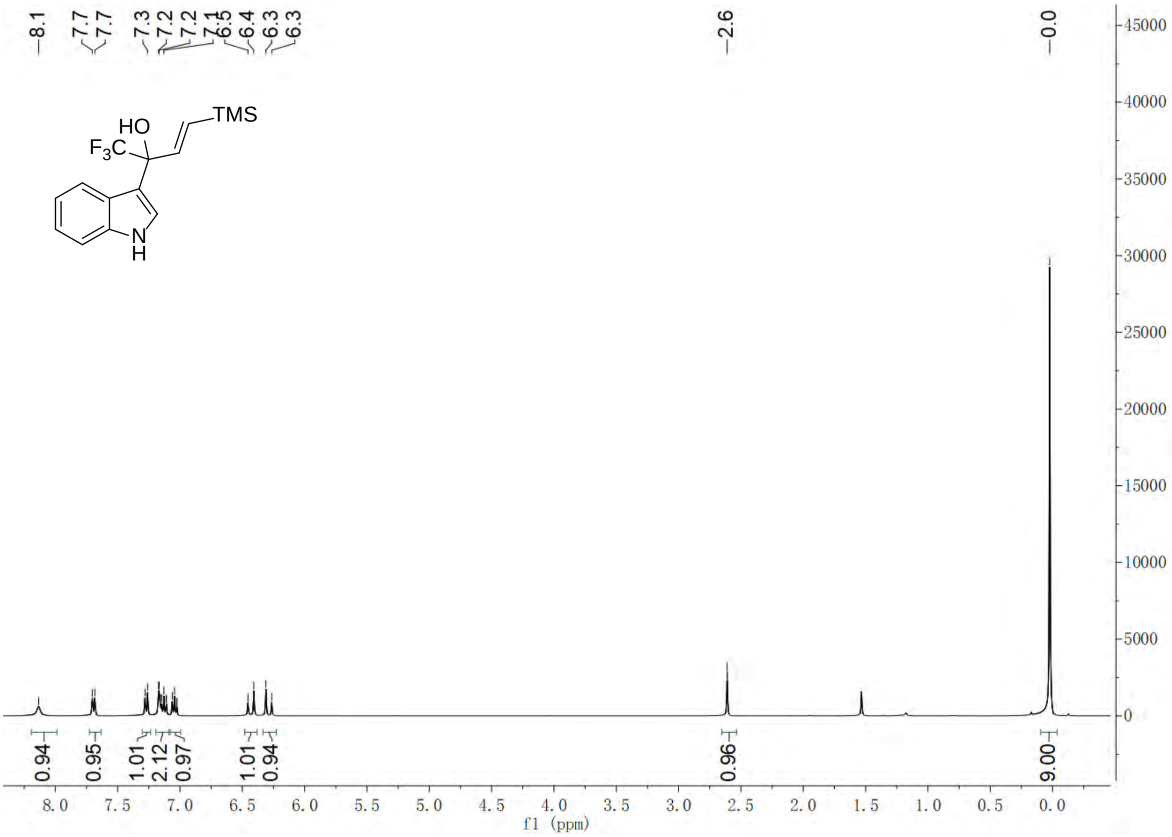
^{13}C NMR of compound 1r (in $CDCl_3$)



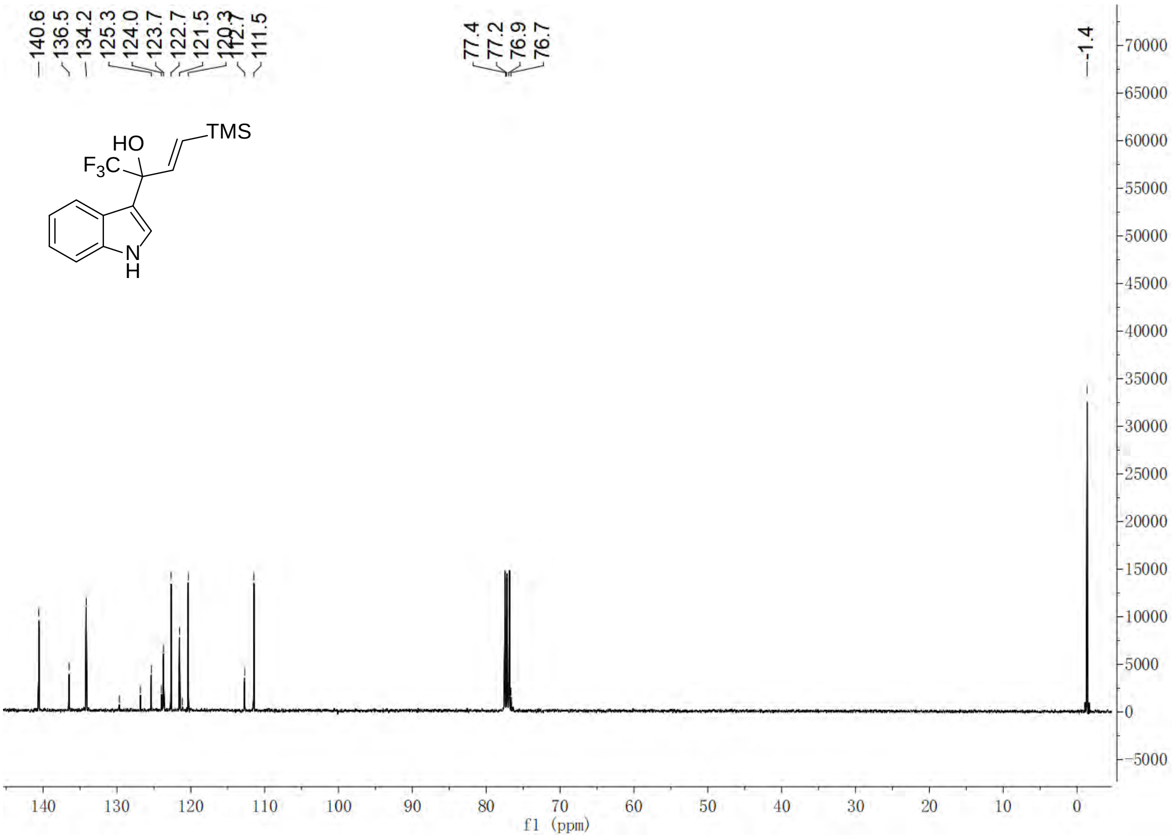
^{19}F NMR of compound 1r (in $CDCl_3$)



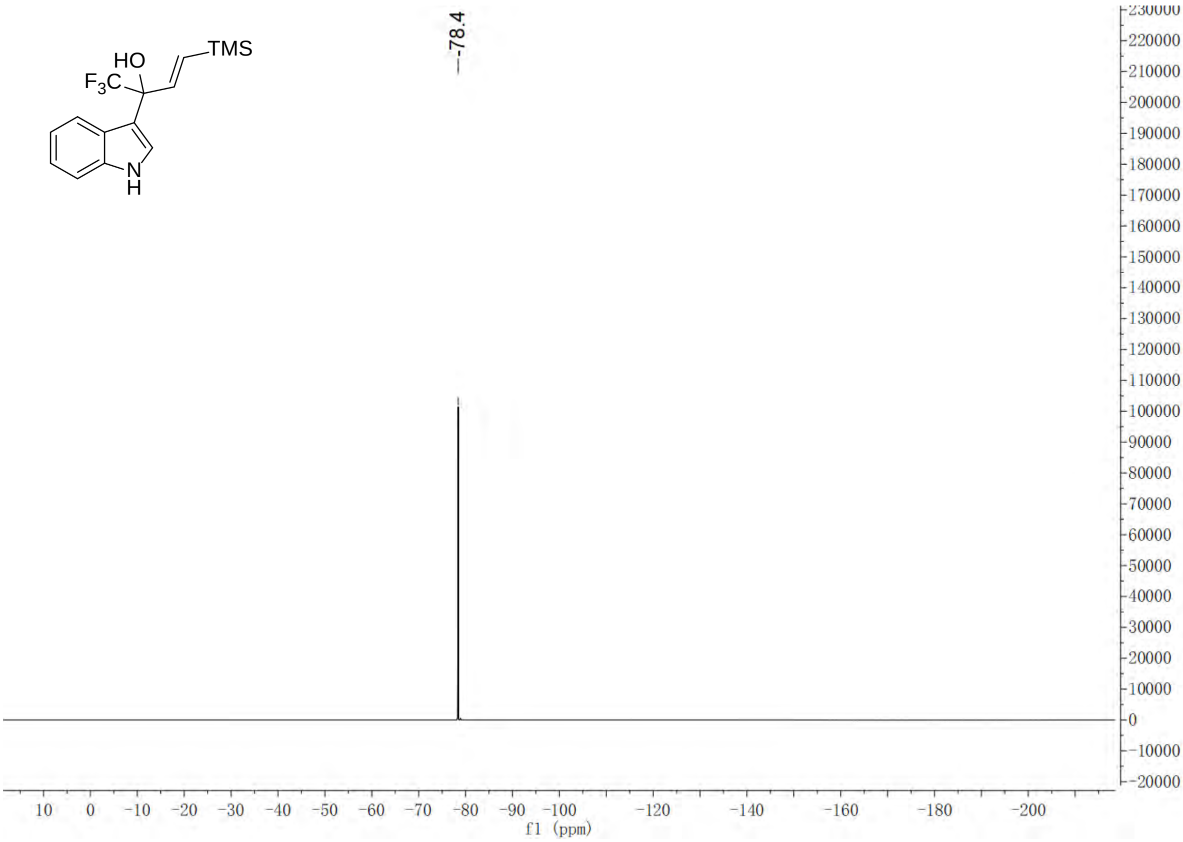
^{1}H NMR of compound 1s (in $CDCl_3$)



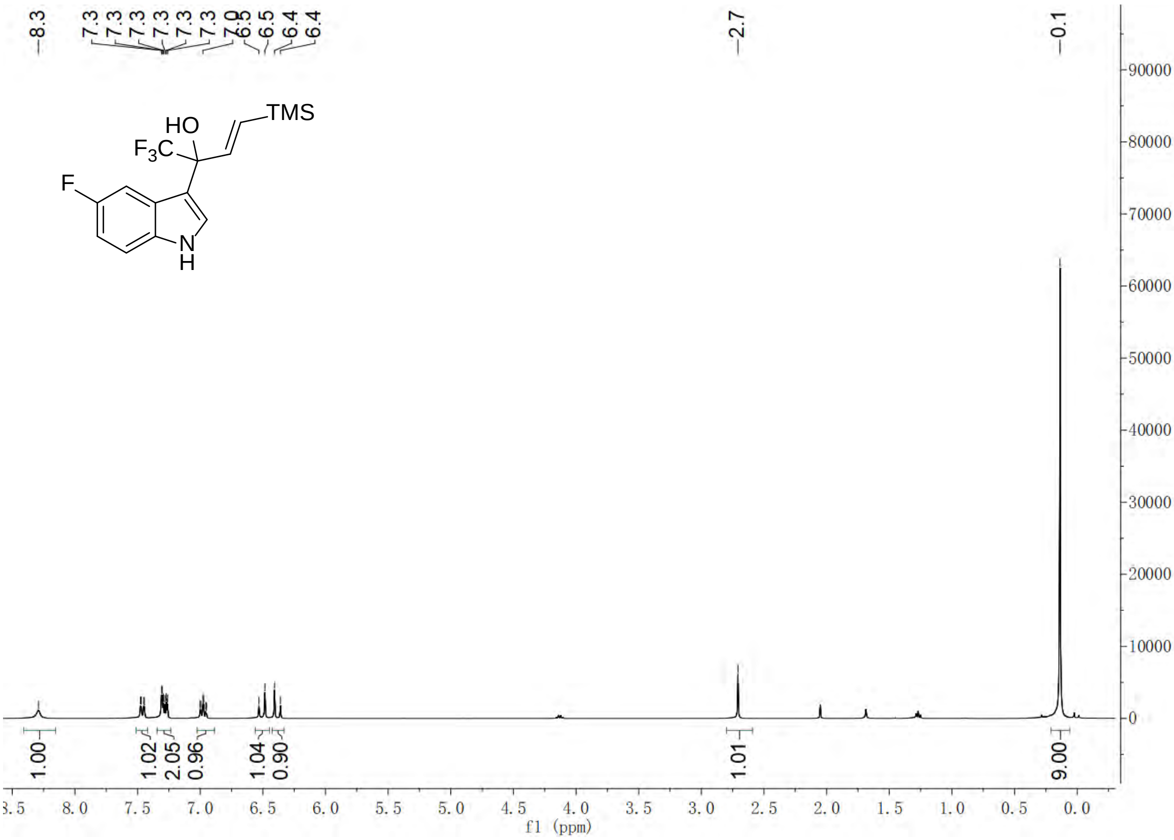
^{13}C NMR of compound 1s (in $CDCl_3$)



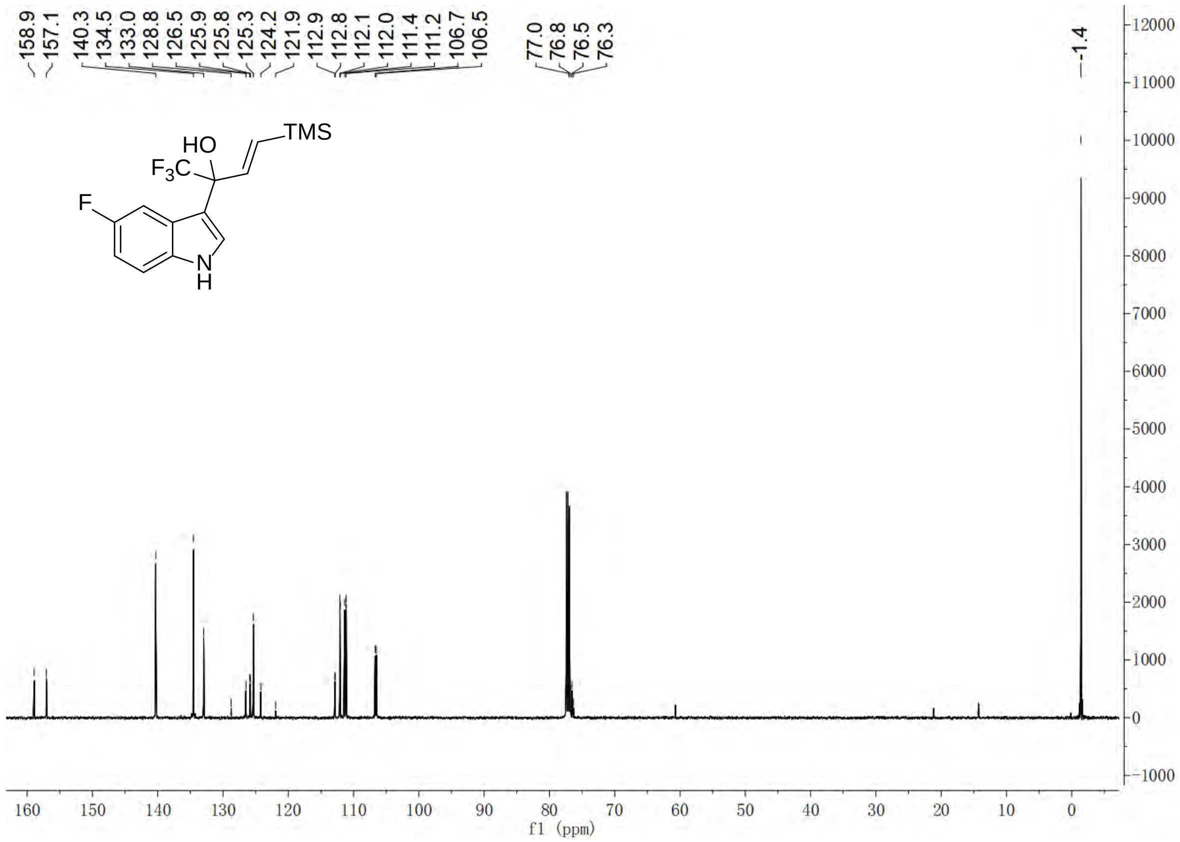
^{19}F NMR of compound 1s (in $CDCl_3$)



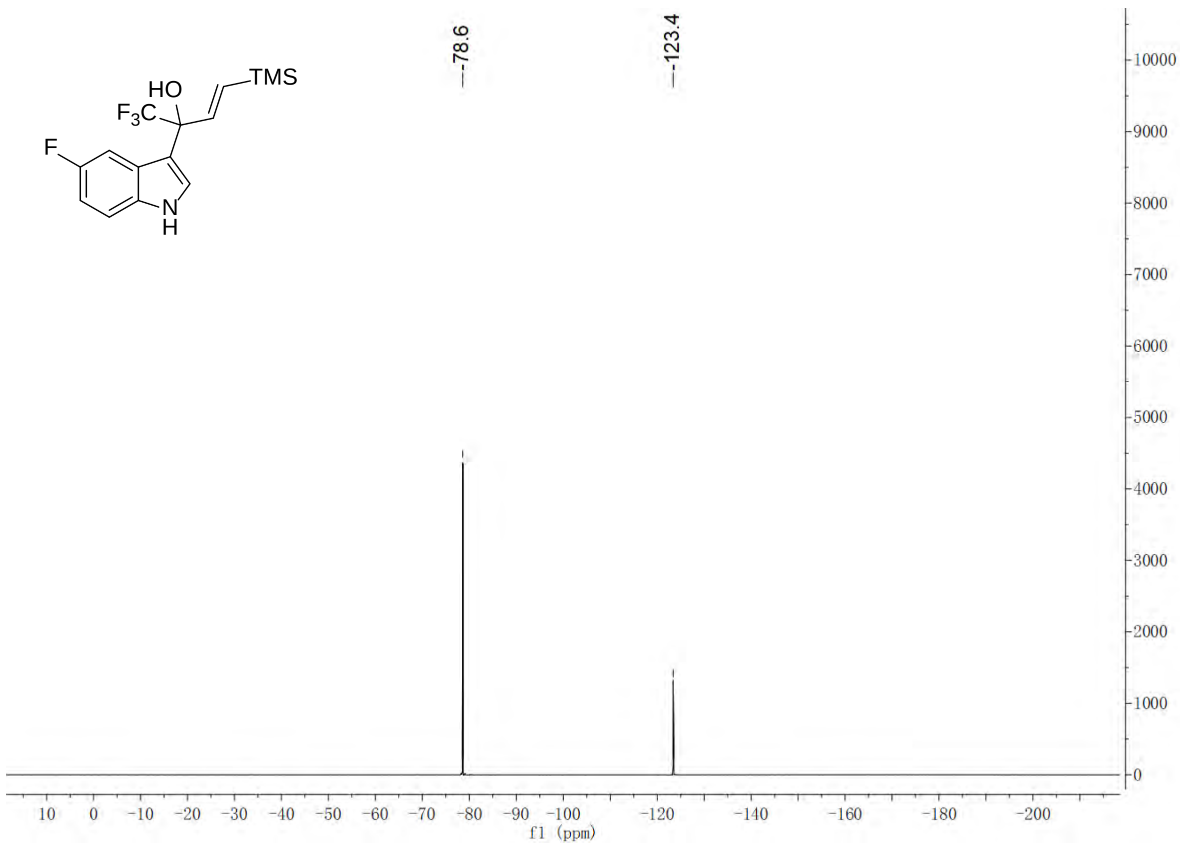
^{1}H NMR of compound 1t (in $CDCl_3$)



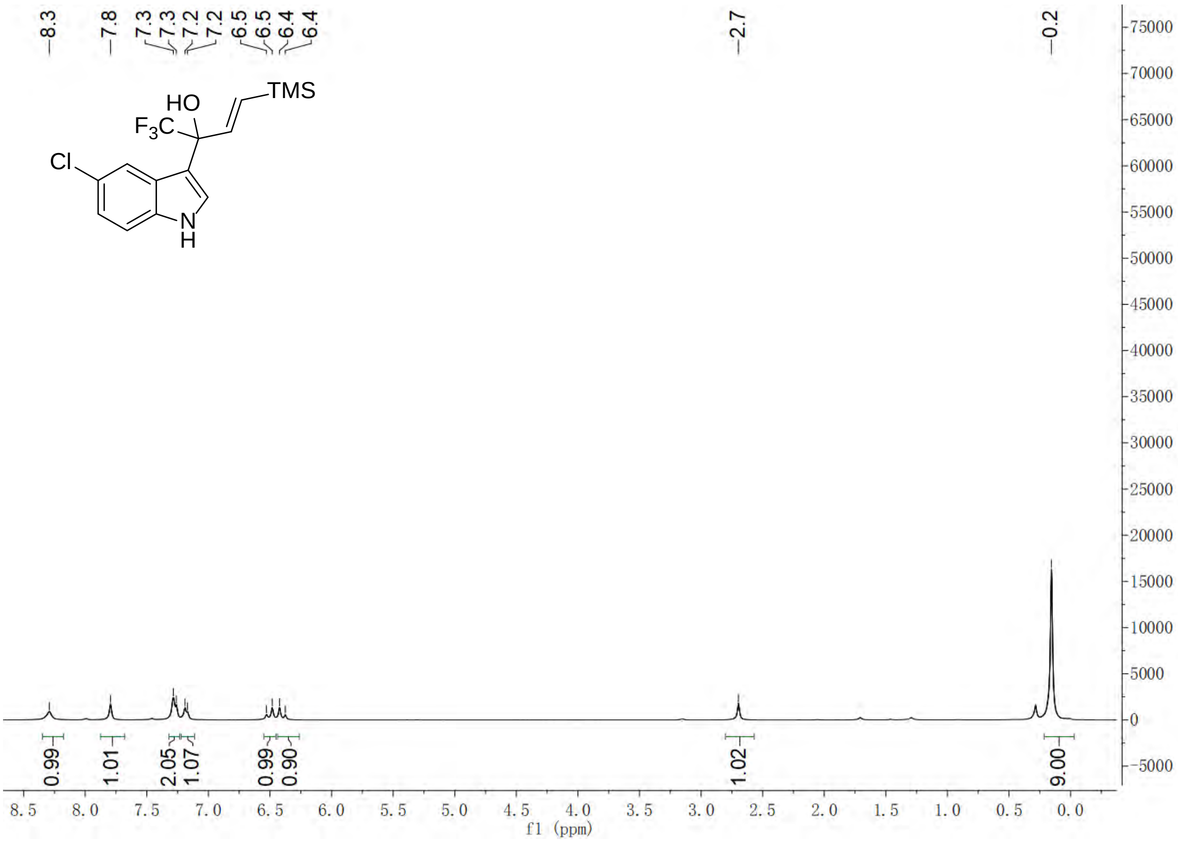
^{13}C NMR of compound 1t (in $CDCl_3$)



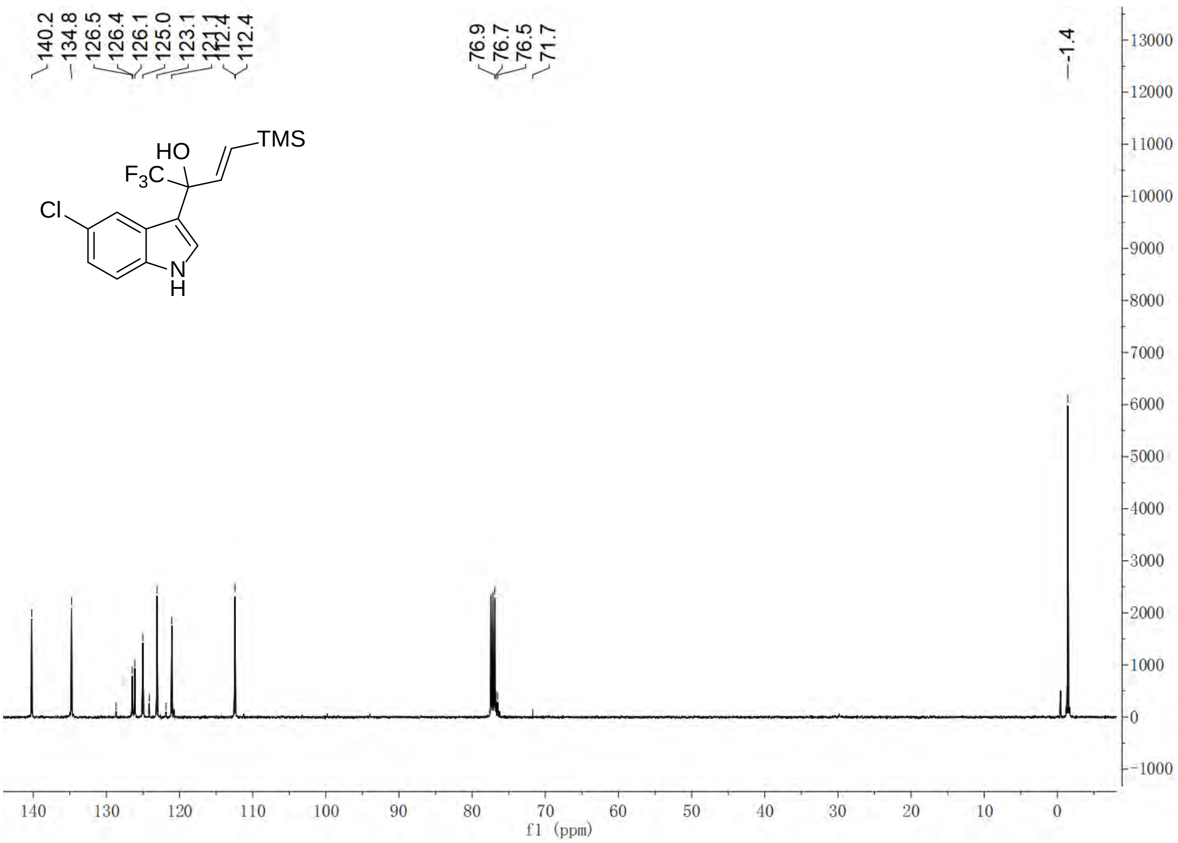
^{19}F NMR of compound 1t (in $CDCl_3$)



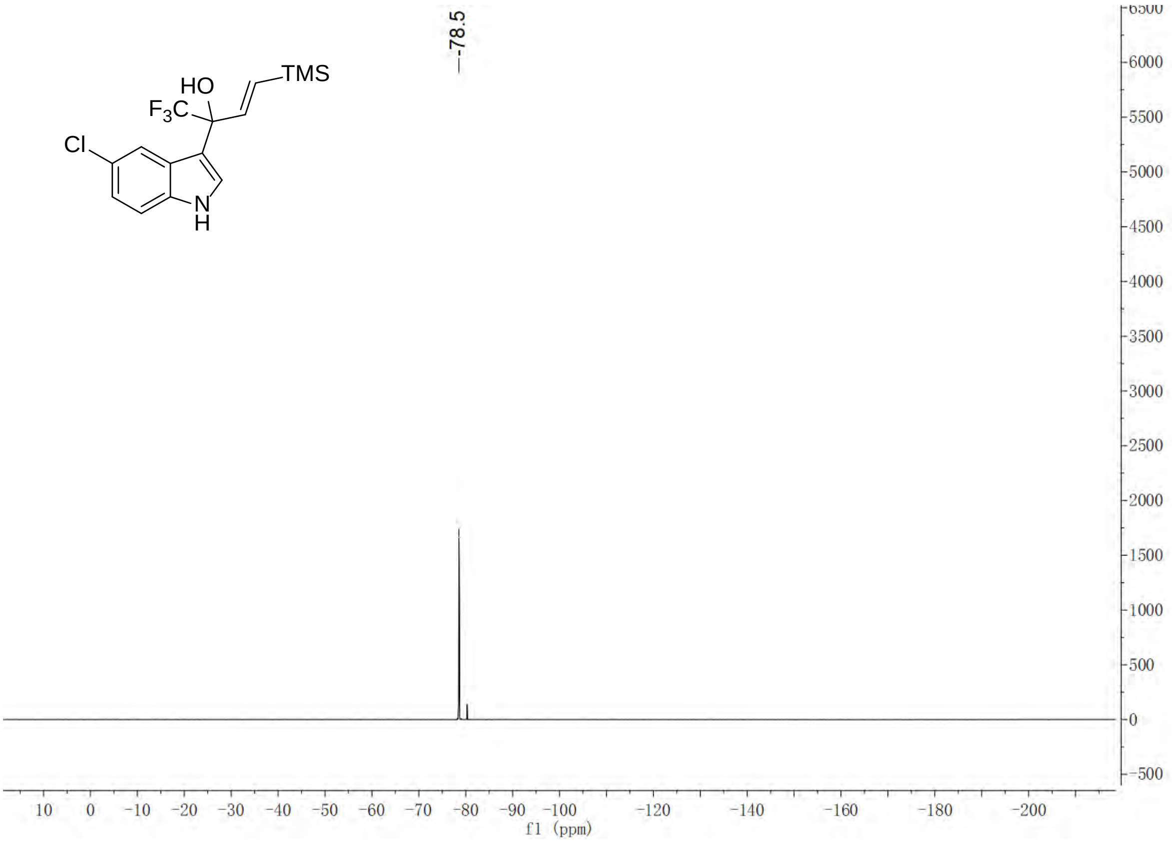
^{1}H NMR of compound 1u (in CDCl$_3$)



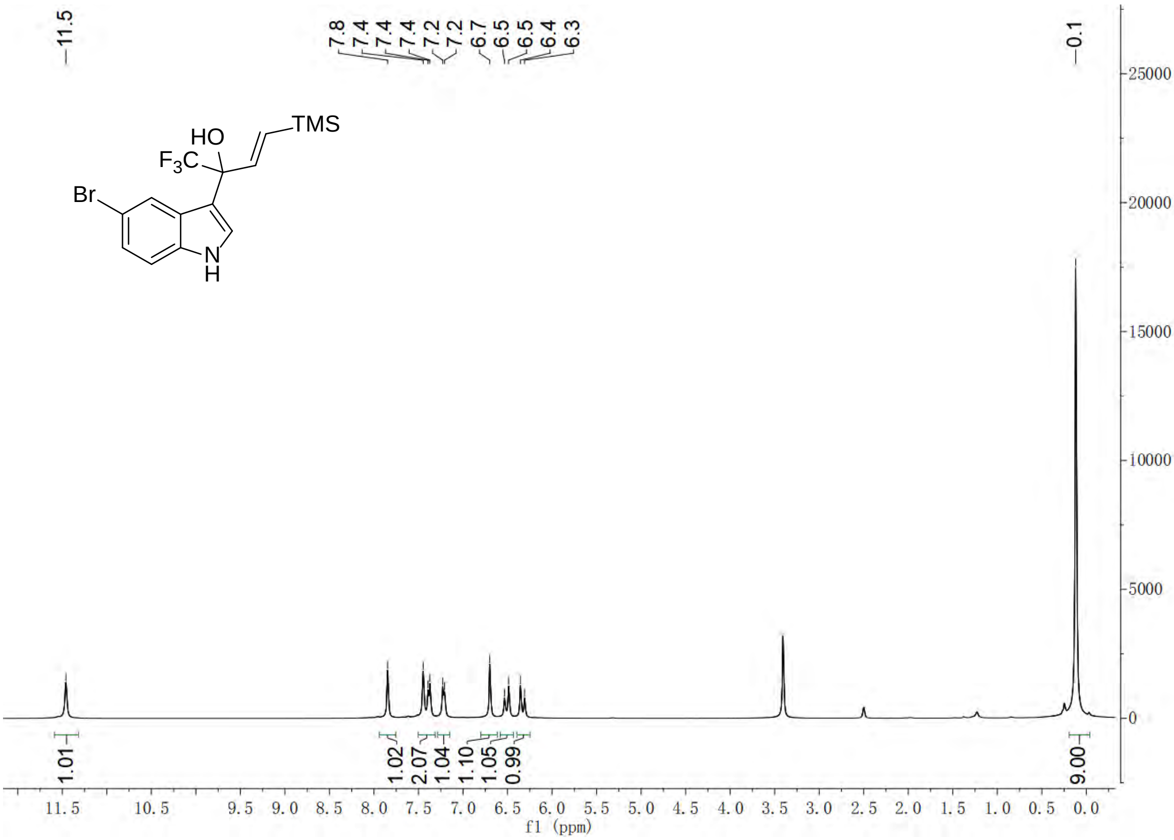
^{13}C NMR of compound 1u (in CDCl$_3$)



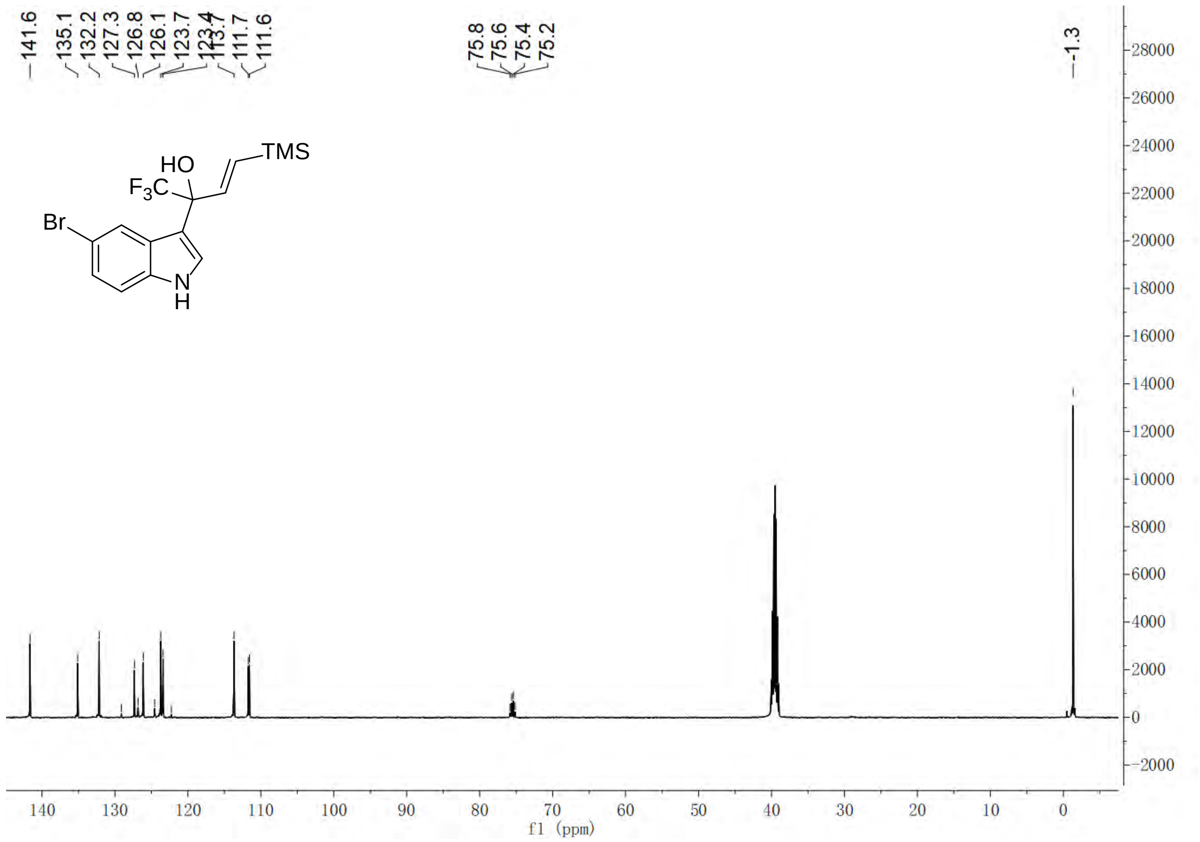
^{19}F NMR of compound 1u (in $CDCl_3$)



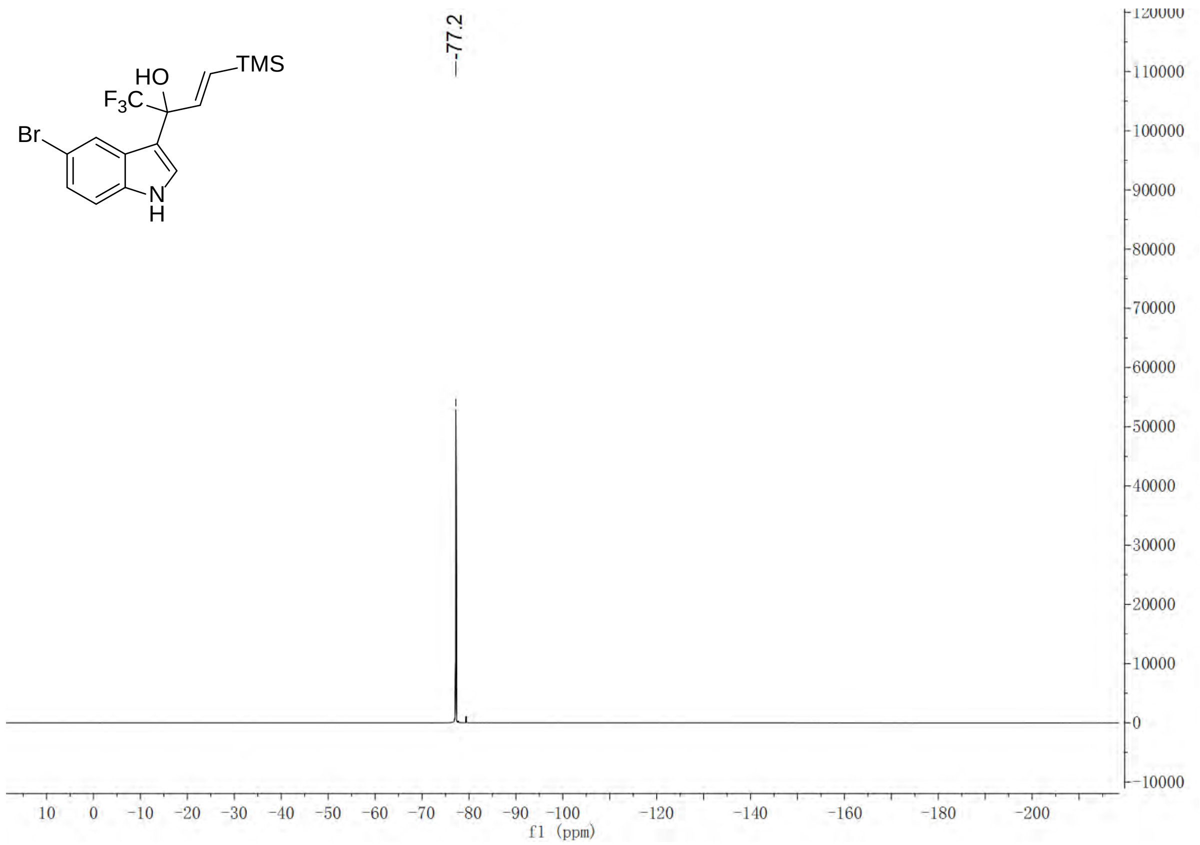
^{1}H NMR of compound 1v (in DMSO-d_6)



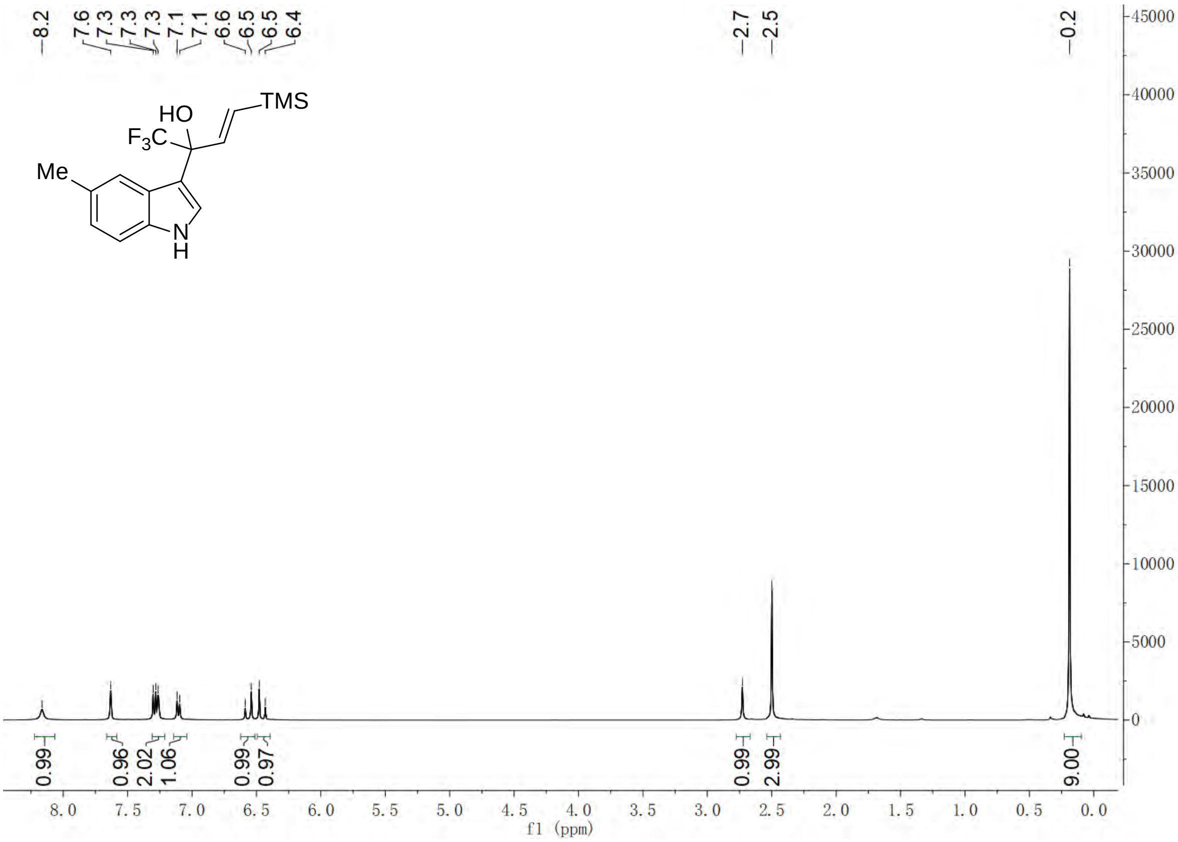
^{13}C NMR of compound 1v (in DMSO-d$_6$)



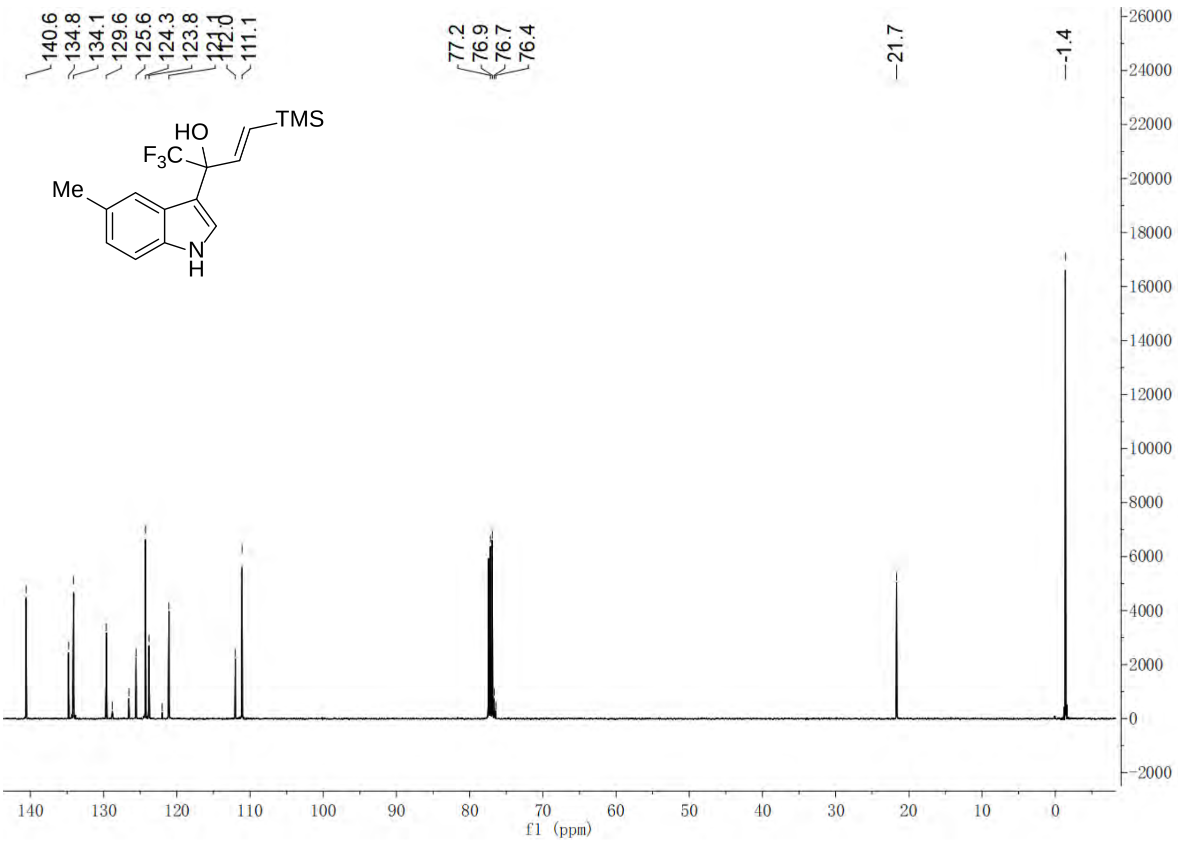
^{19}F NMR of compound 1v (in DMSO-d$_6$)



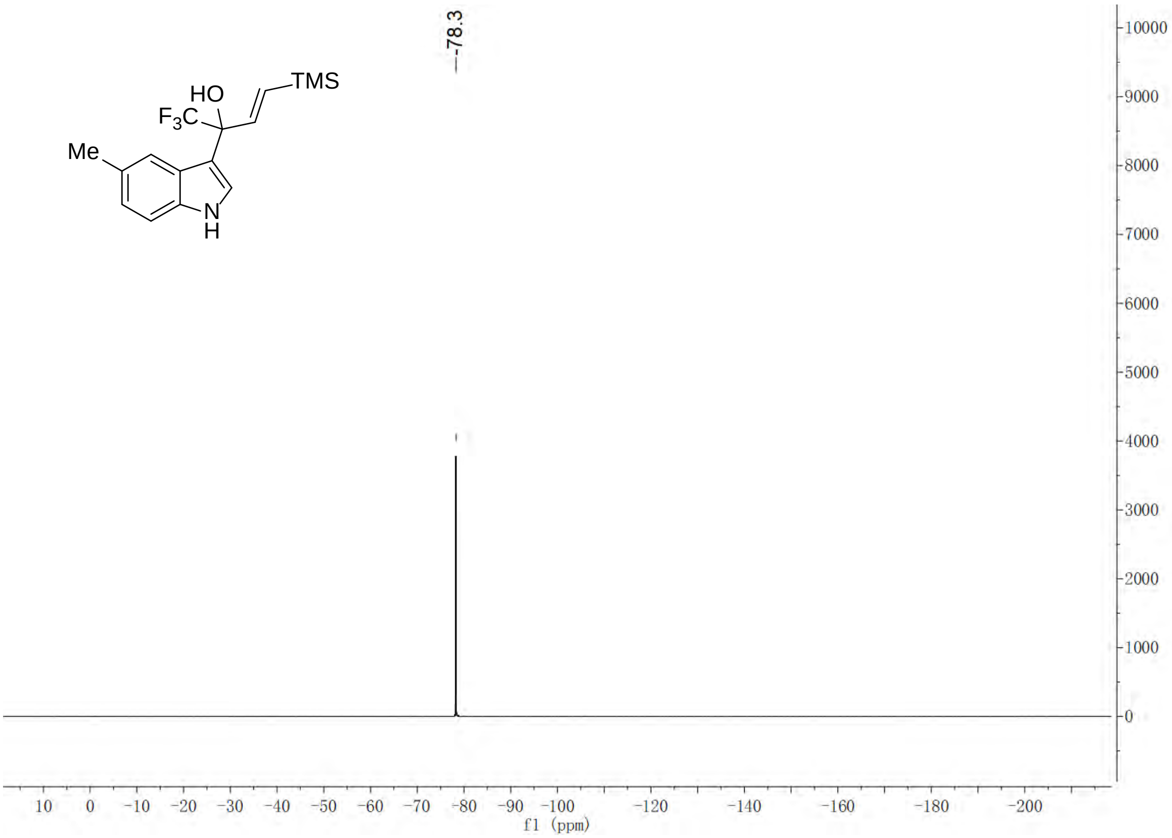
[1]H NMR of compound 1w (in $CDCl_3$)



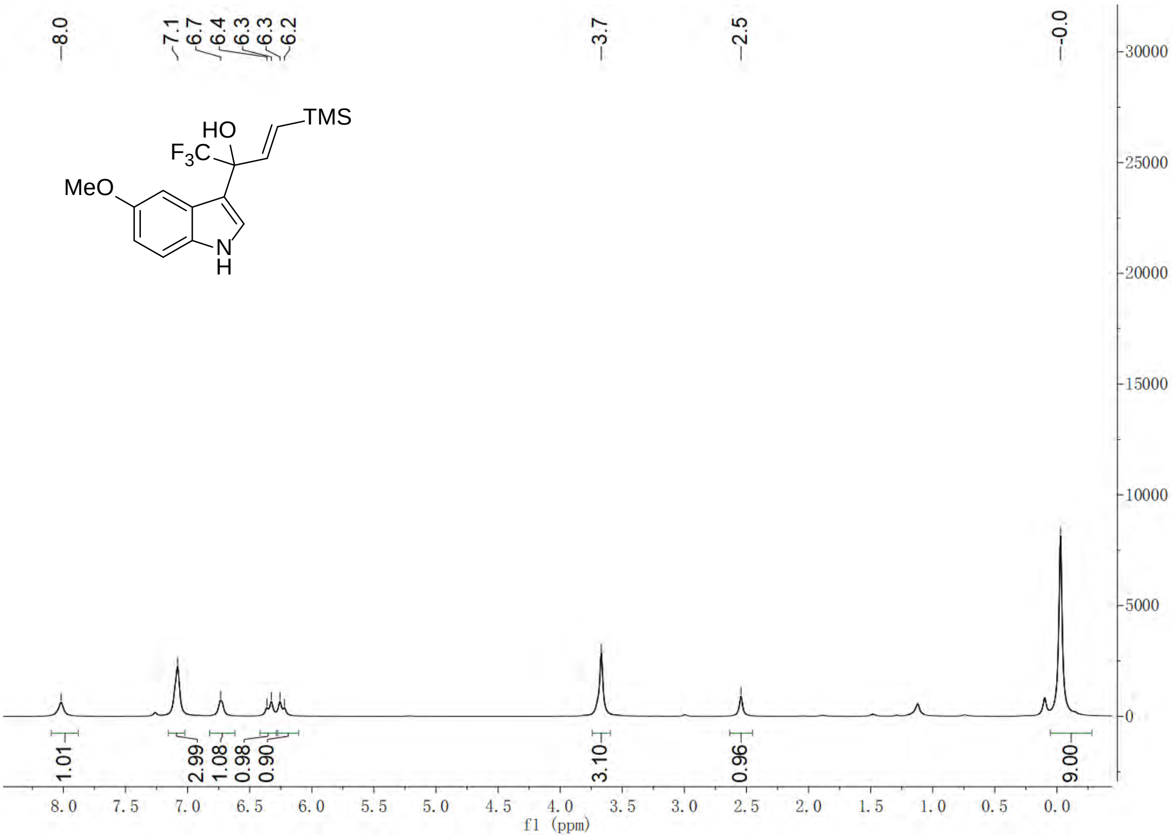
[13]C NMR of compound 1w (in $CDCl_3$)



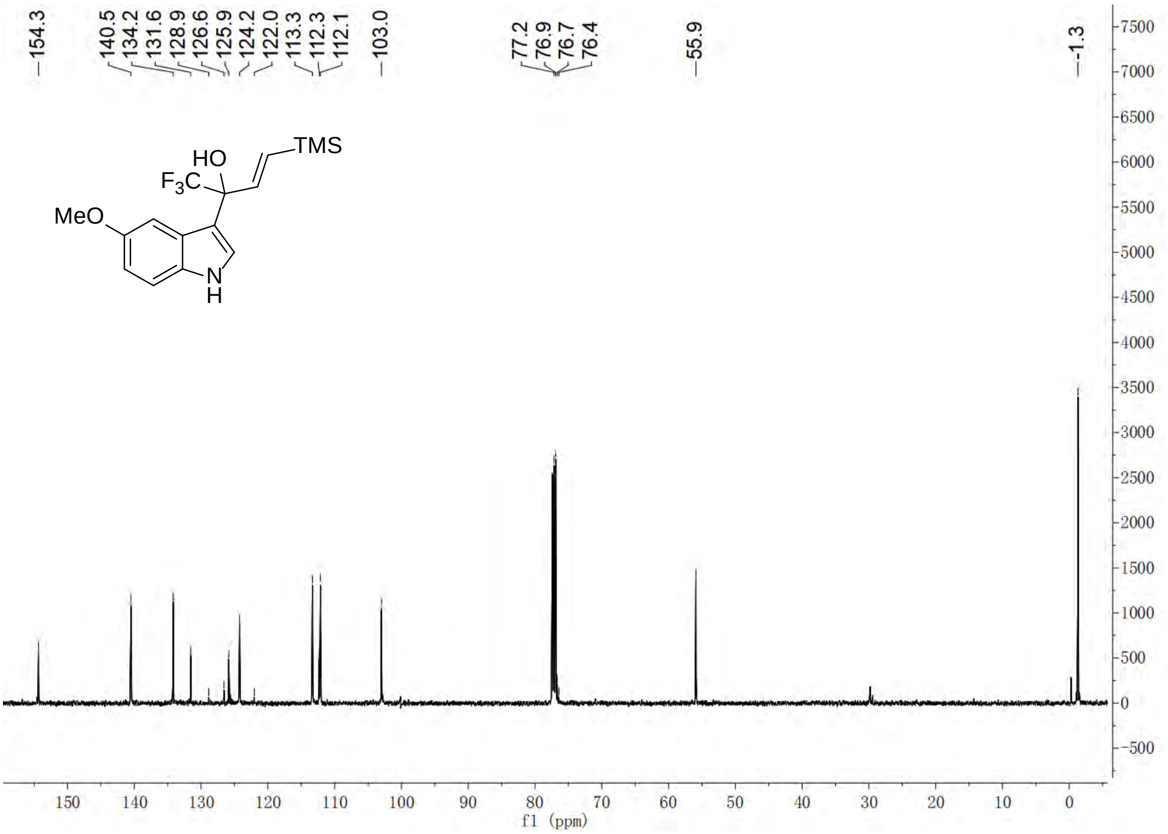
^{19}F NMR of compound 1w (in $CDCl_3$)



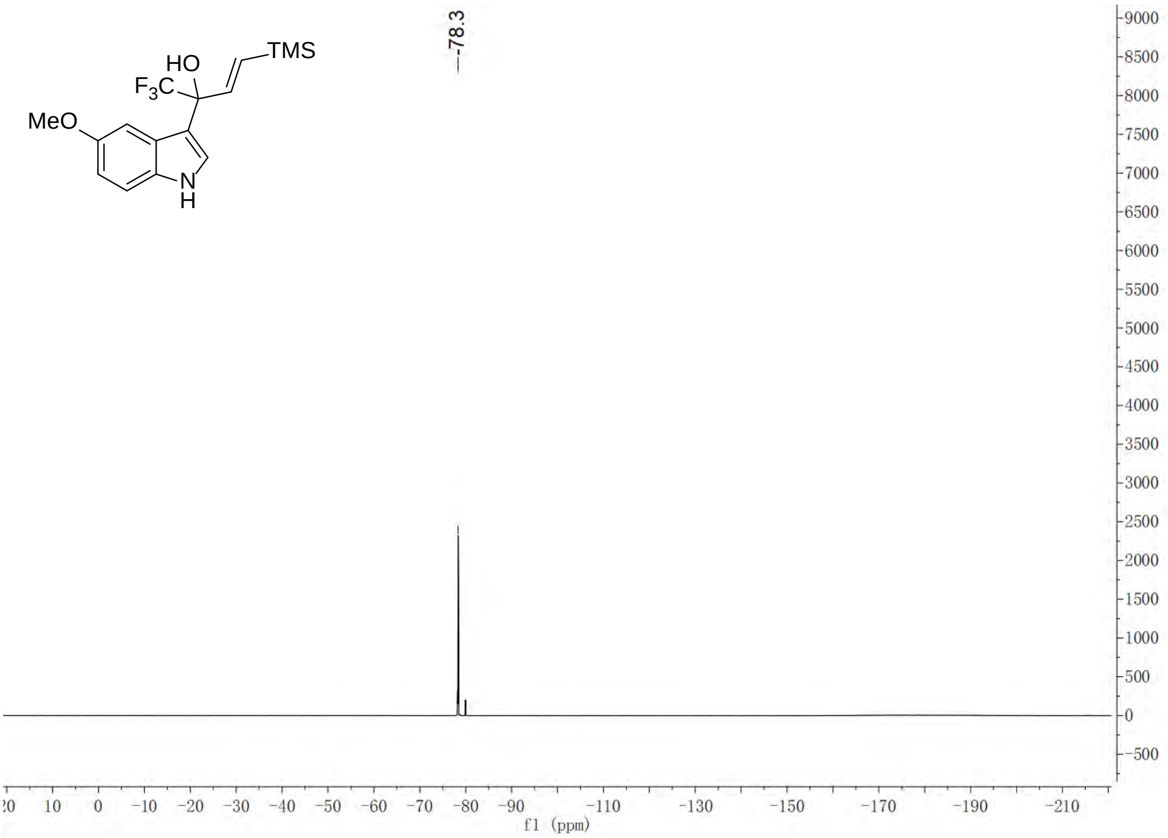
^{1}H NMR of compound 1x (in $CDCl_3$)



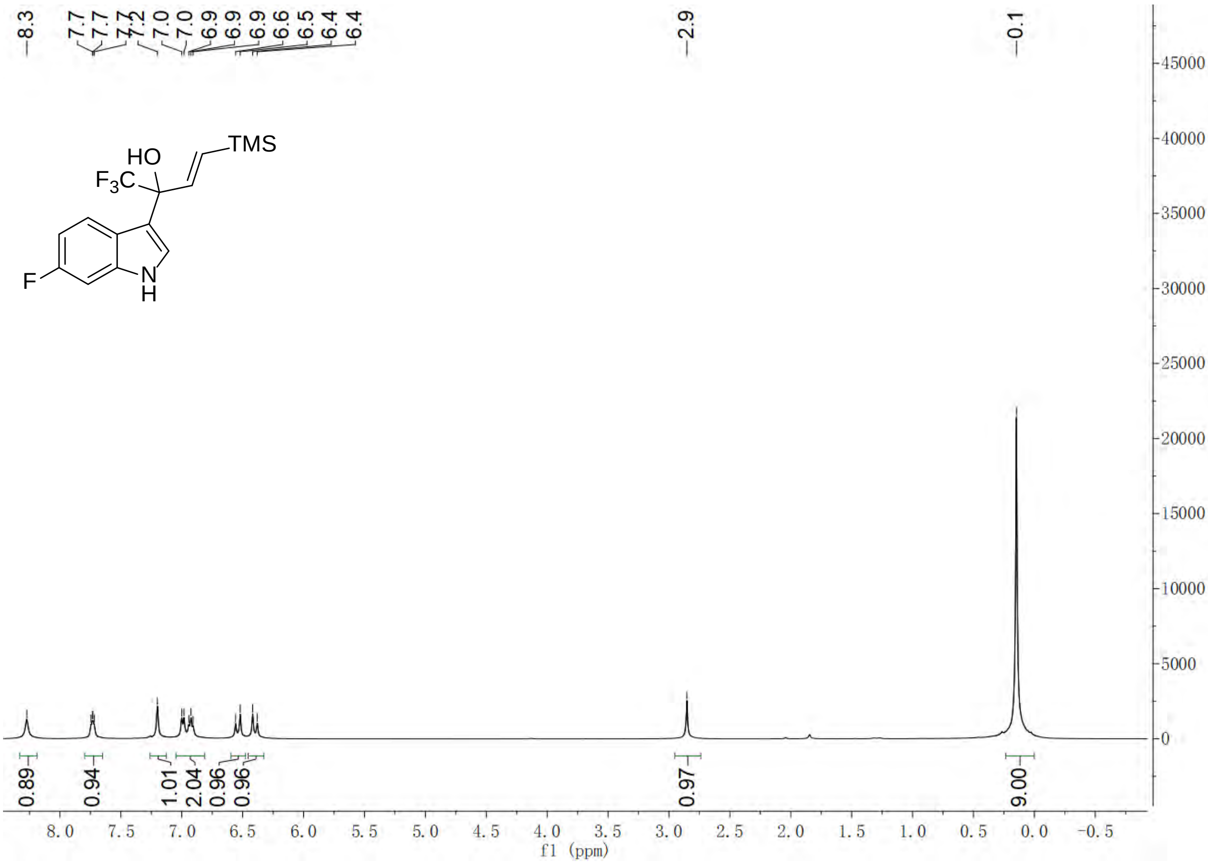
^{13}C NMR of compound 1x (in CDCl$_3$)



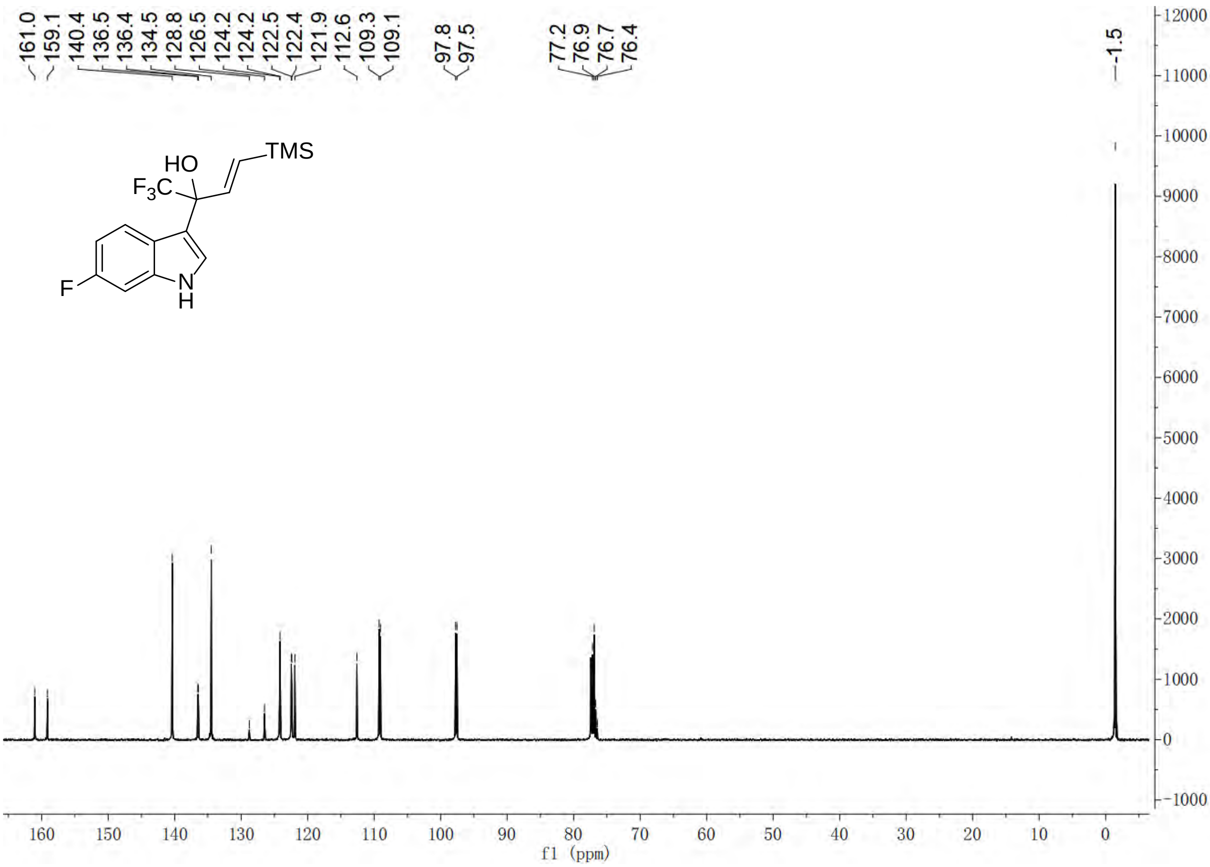
^{19}F NMR of compound 1x (in CDCl$_3$)



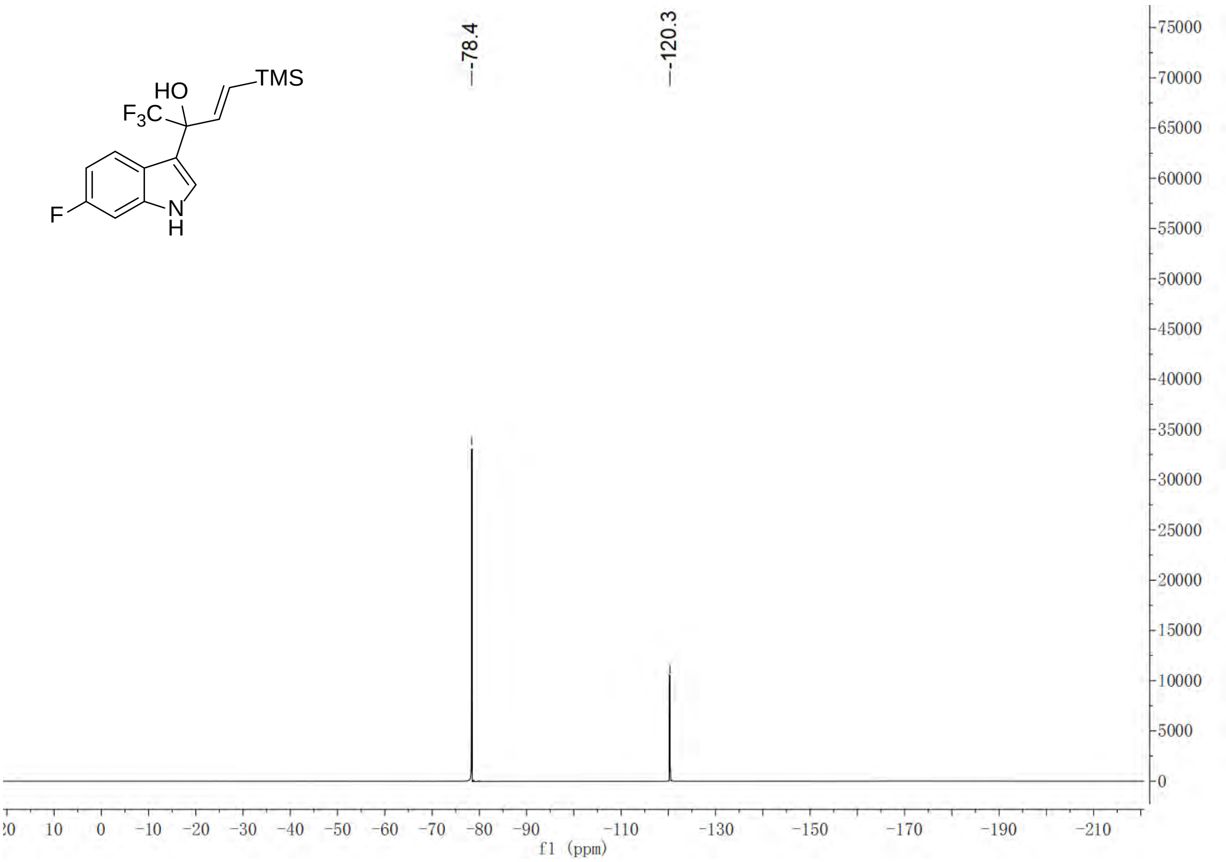
^{1}H NMR of compound 1y (in $CDCl_3$)



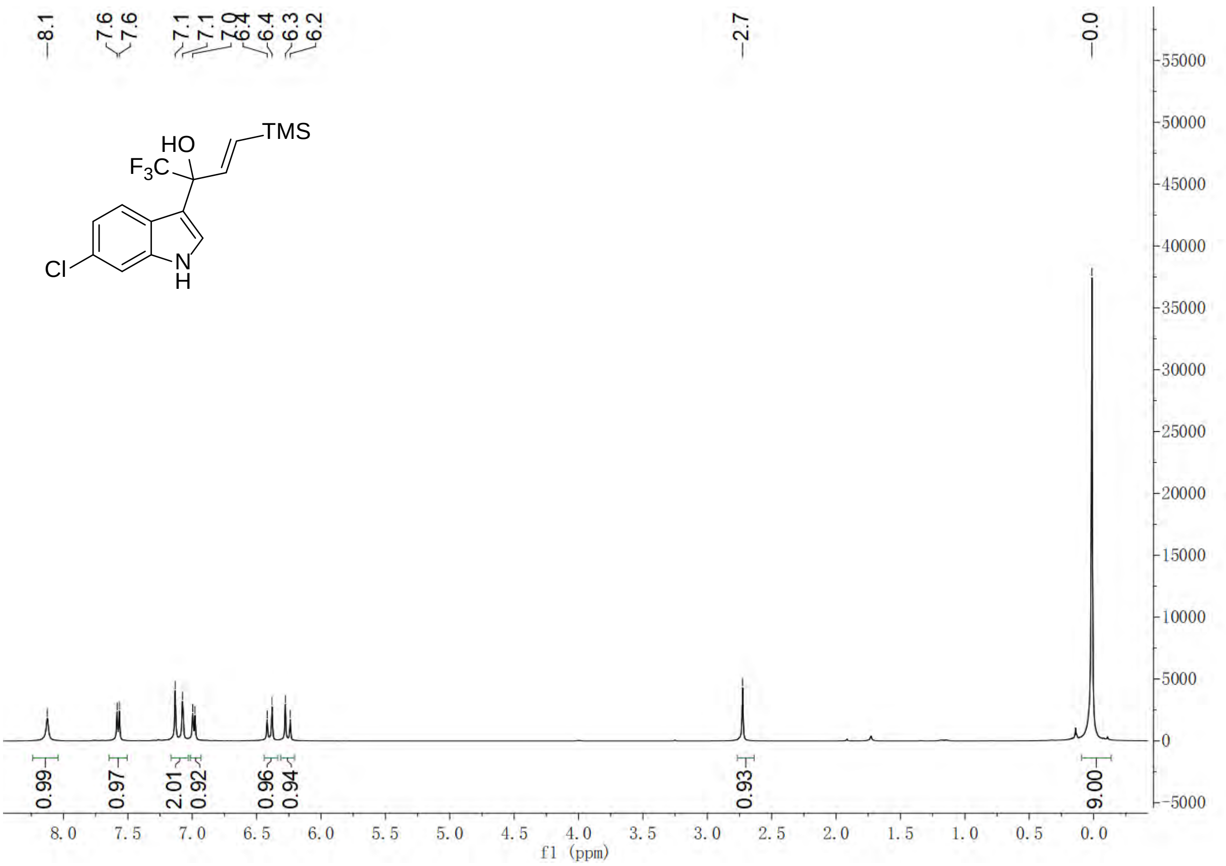
^{13}C NMR of compound 1y (in $CDCl_3$)



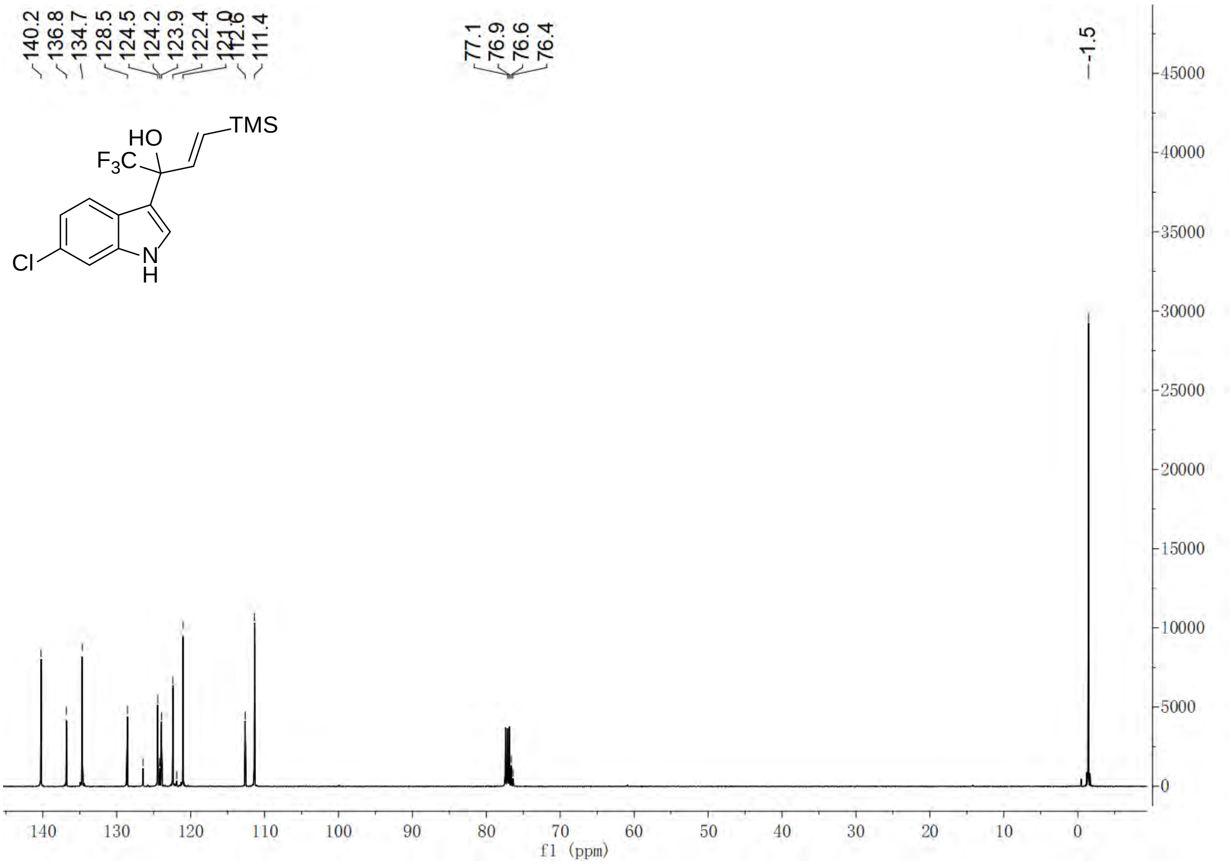
[19]F NMR of compound 1y (in CDCl₃)



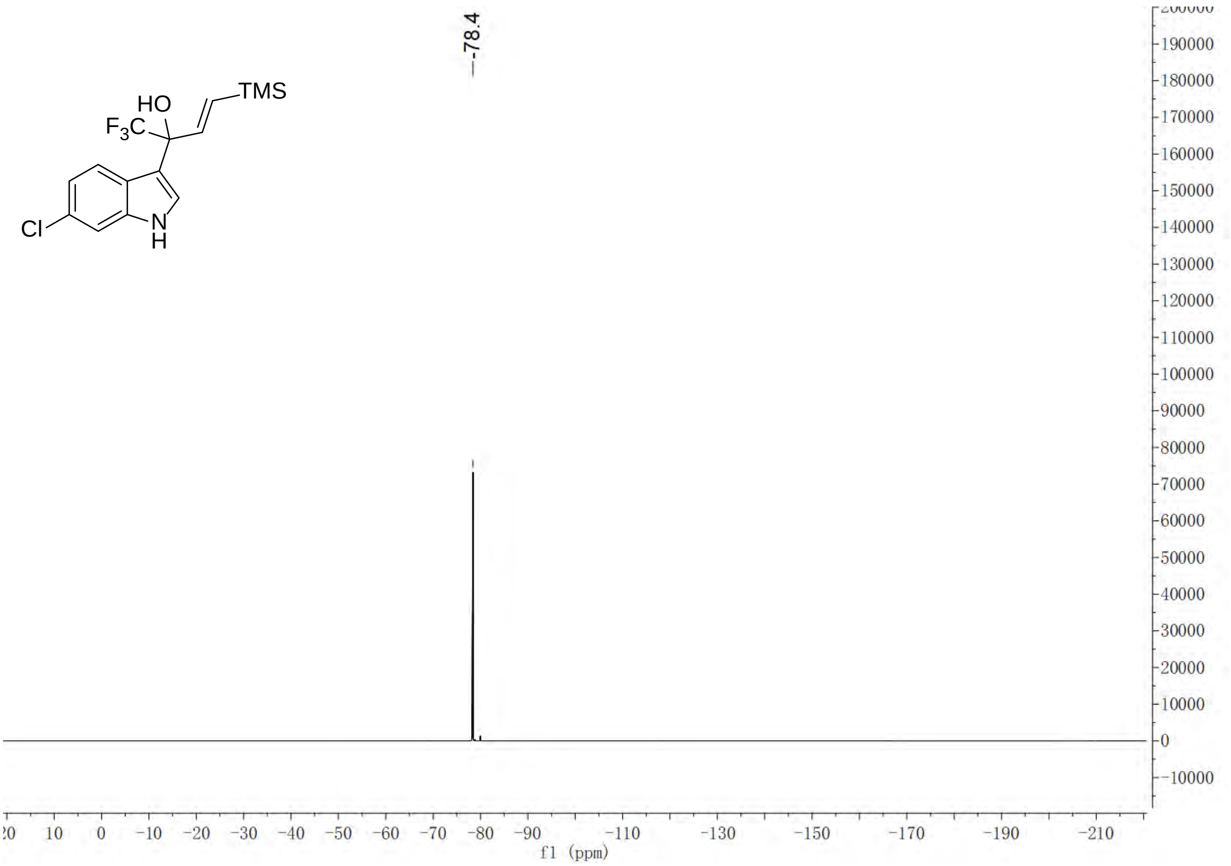
[1]H NMR of compound 1z (in CDCl₃)



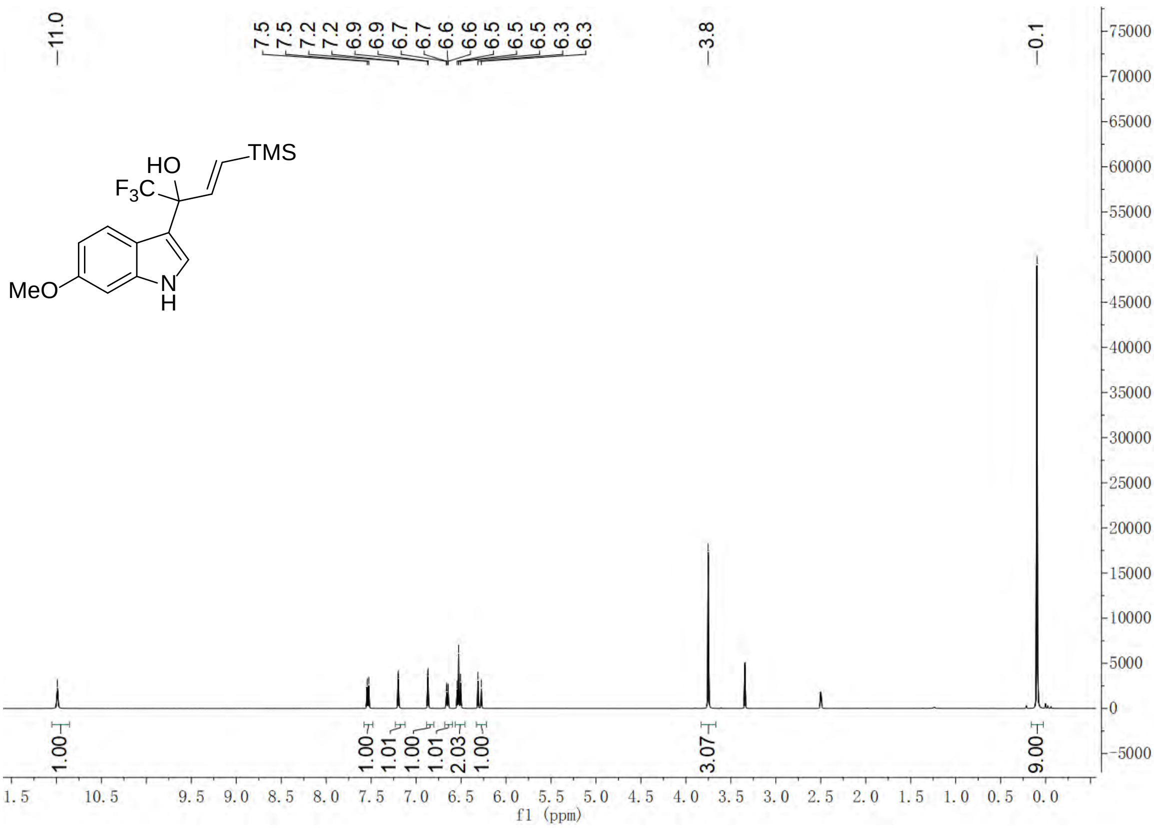
^{13}C NMR of compound 1z (in $CDCl_3$)



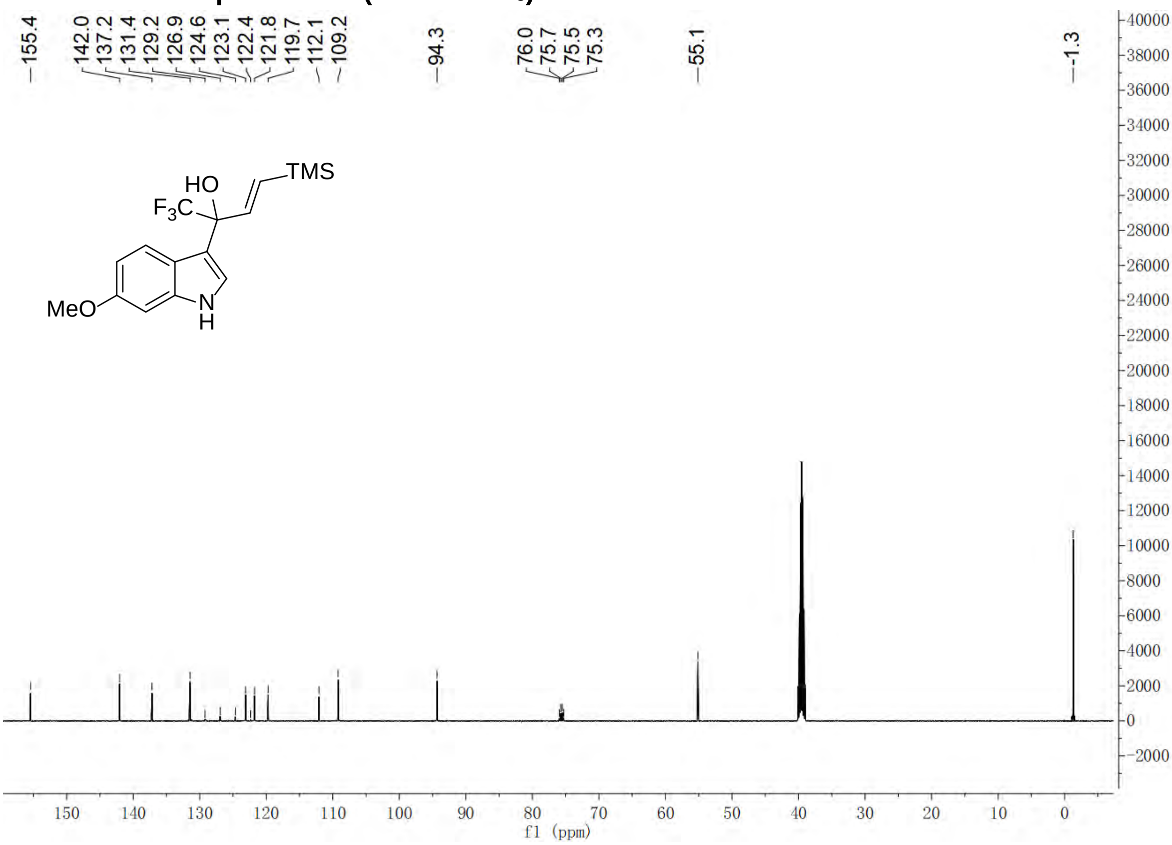
^{19}F NMR of compound 1z (in $CDCl_3$)



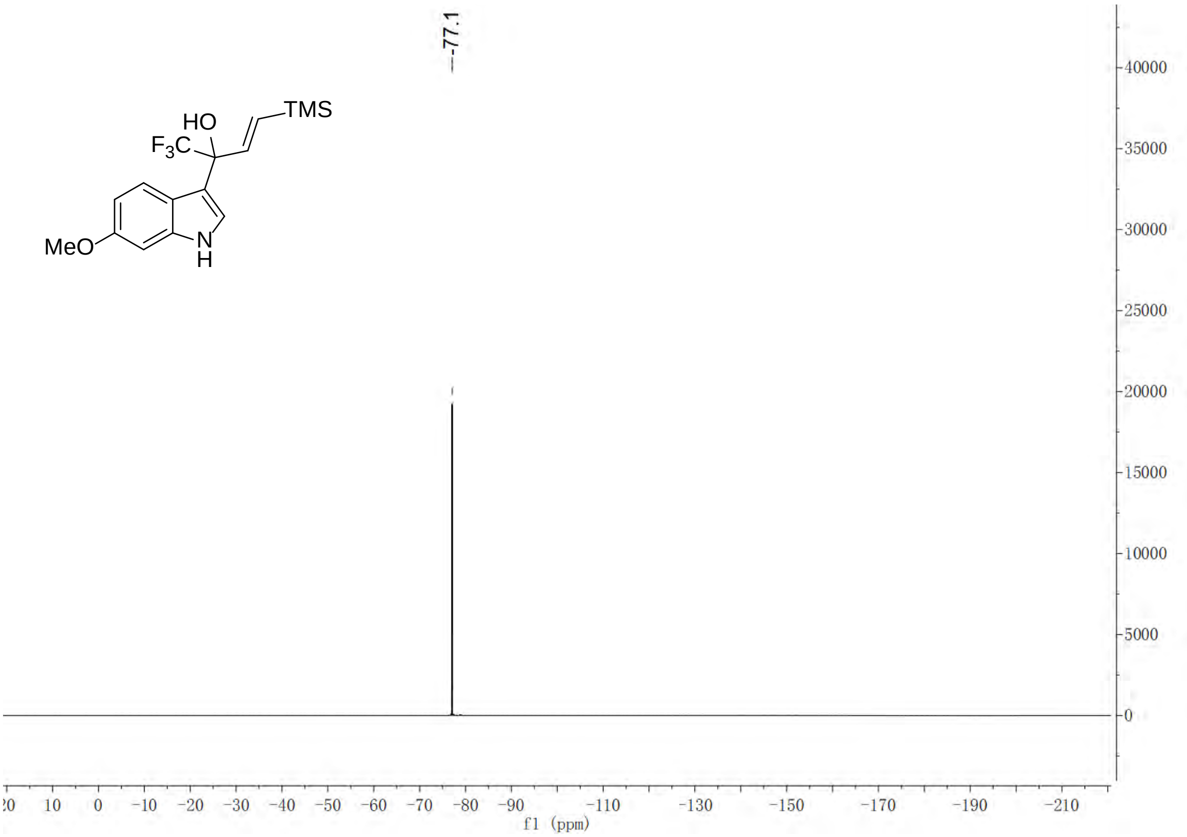
^{1}H NMR of compound 1aa (in DMSO-d$_6$)



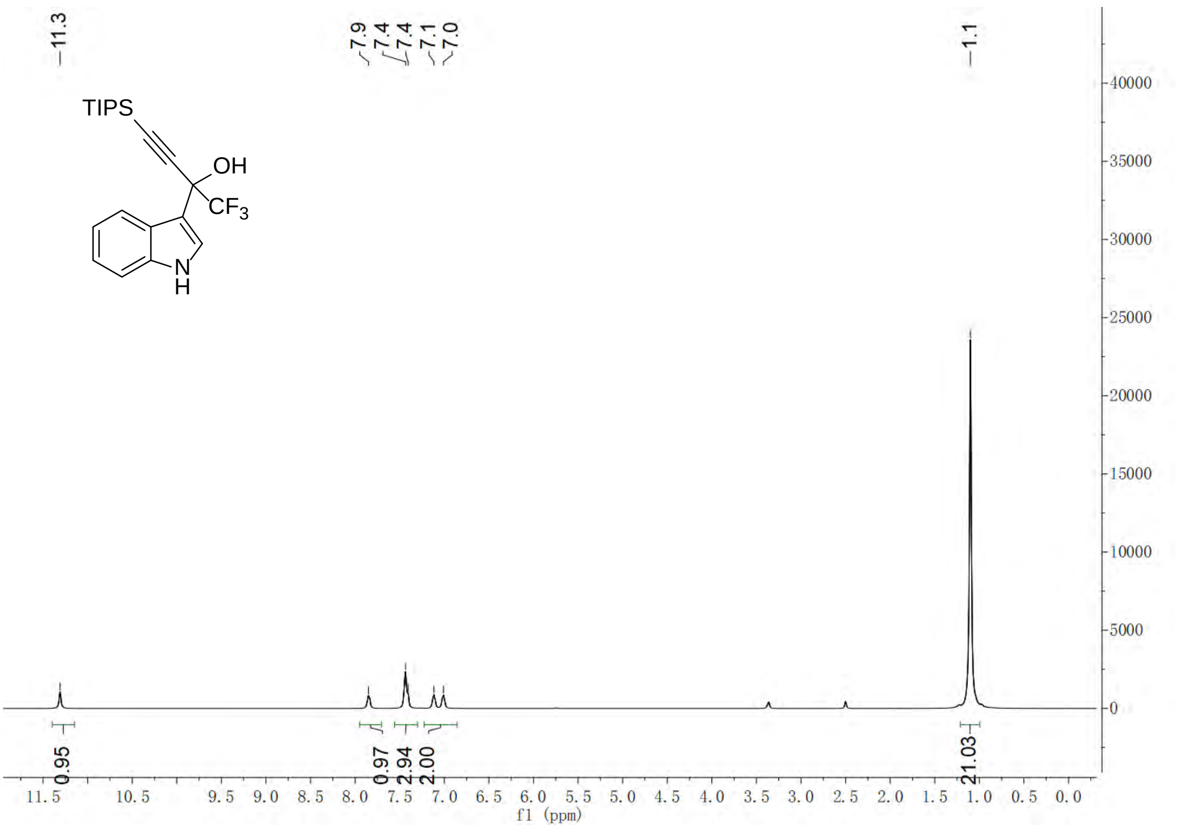
^{13}C NMR of compound 1aa (in DMSO-d$_6$)



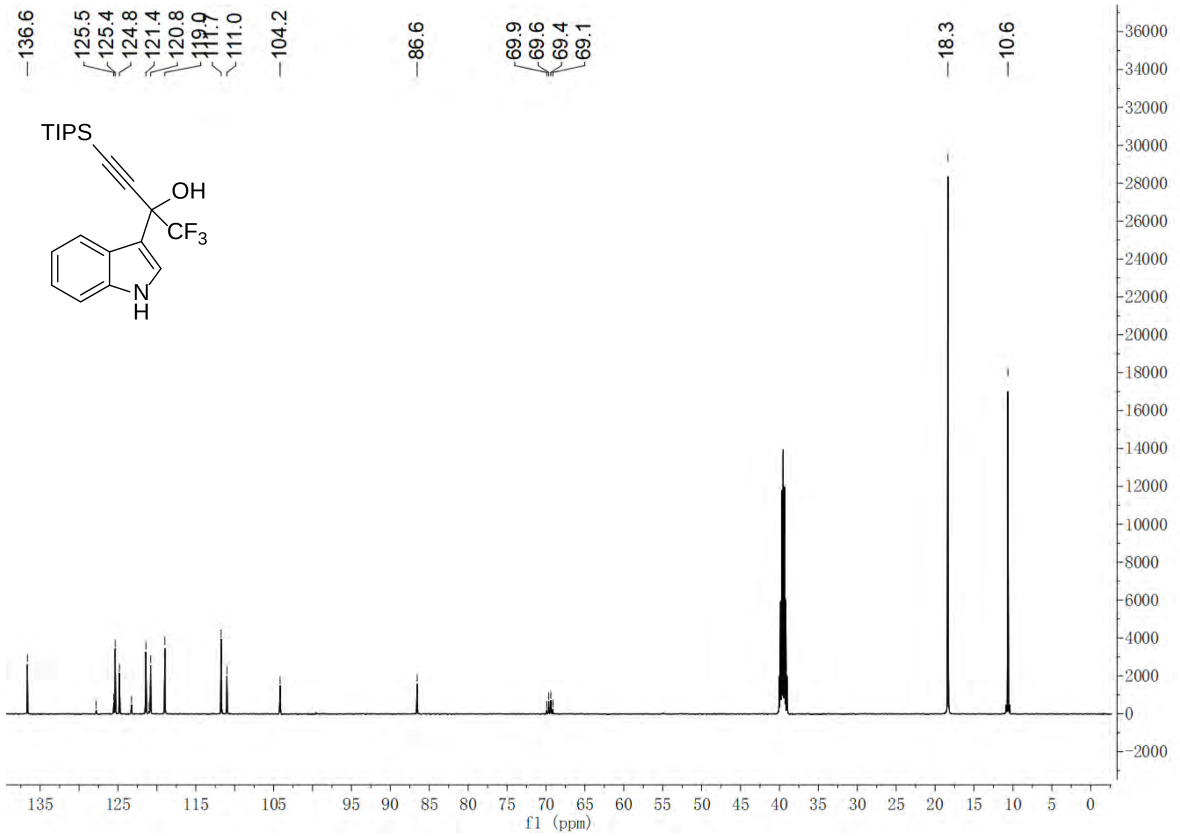
[19]F NMR of compound 1aa (in DMSO-d6)



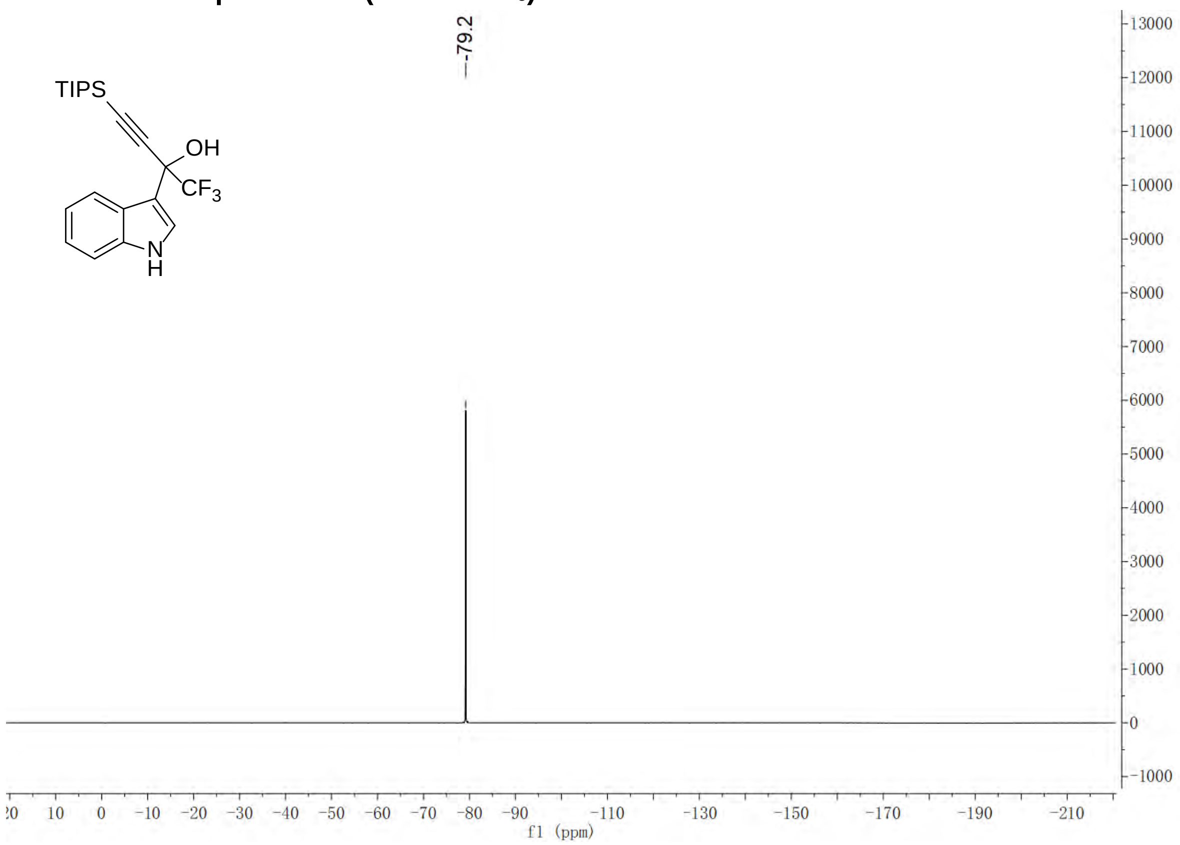
[1]H NMR of compound 1ab (in DMSO-d6)



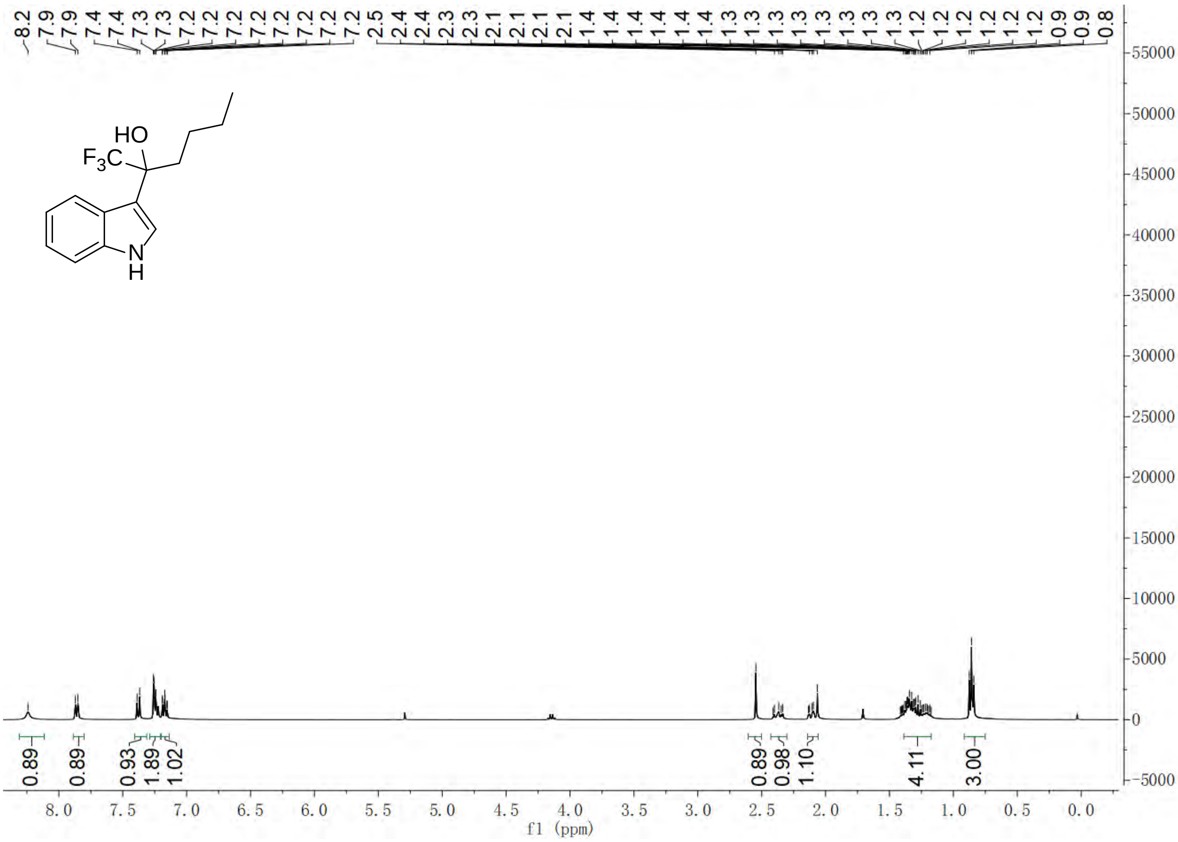
^{13}C NMR of compound 1ab (in DMSO-d_6)



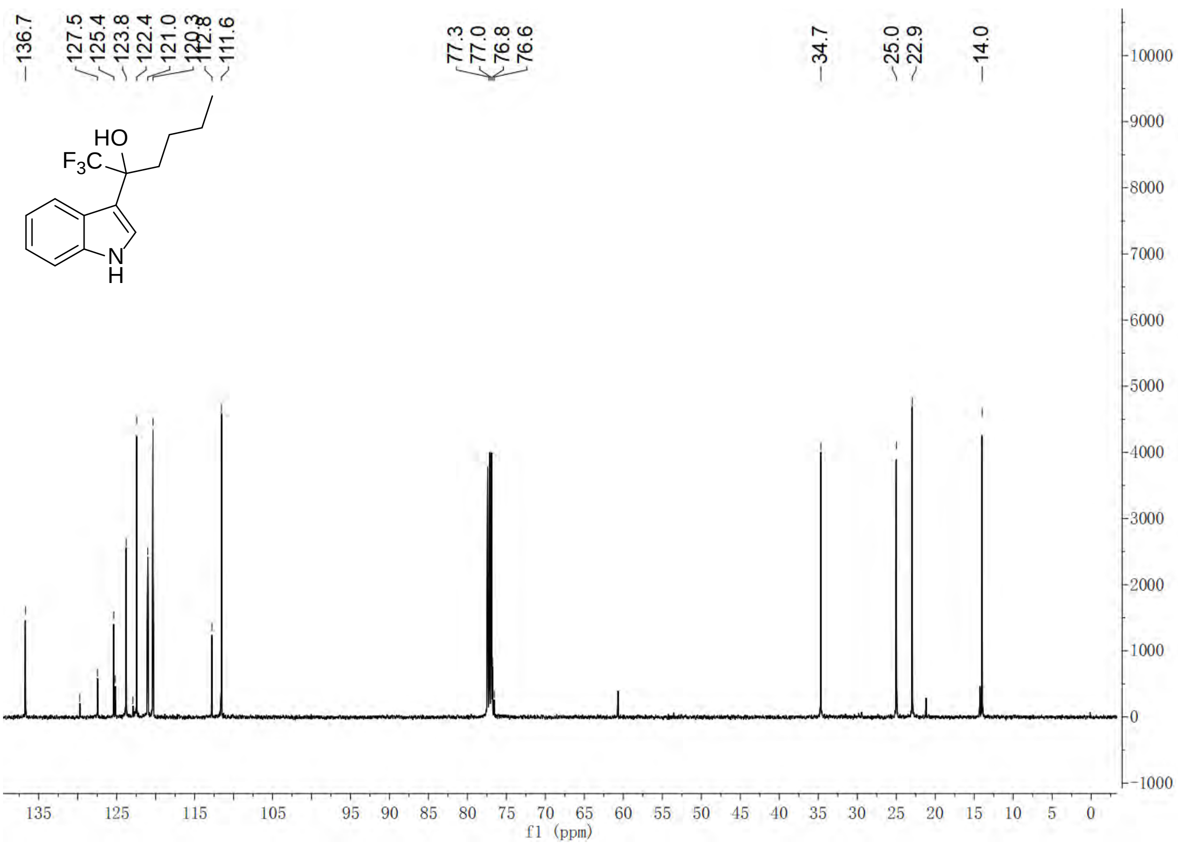
^{19}F NMR of compound 1ab (in DMSO-d_6)



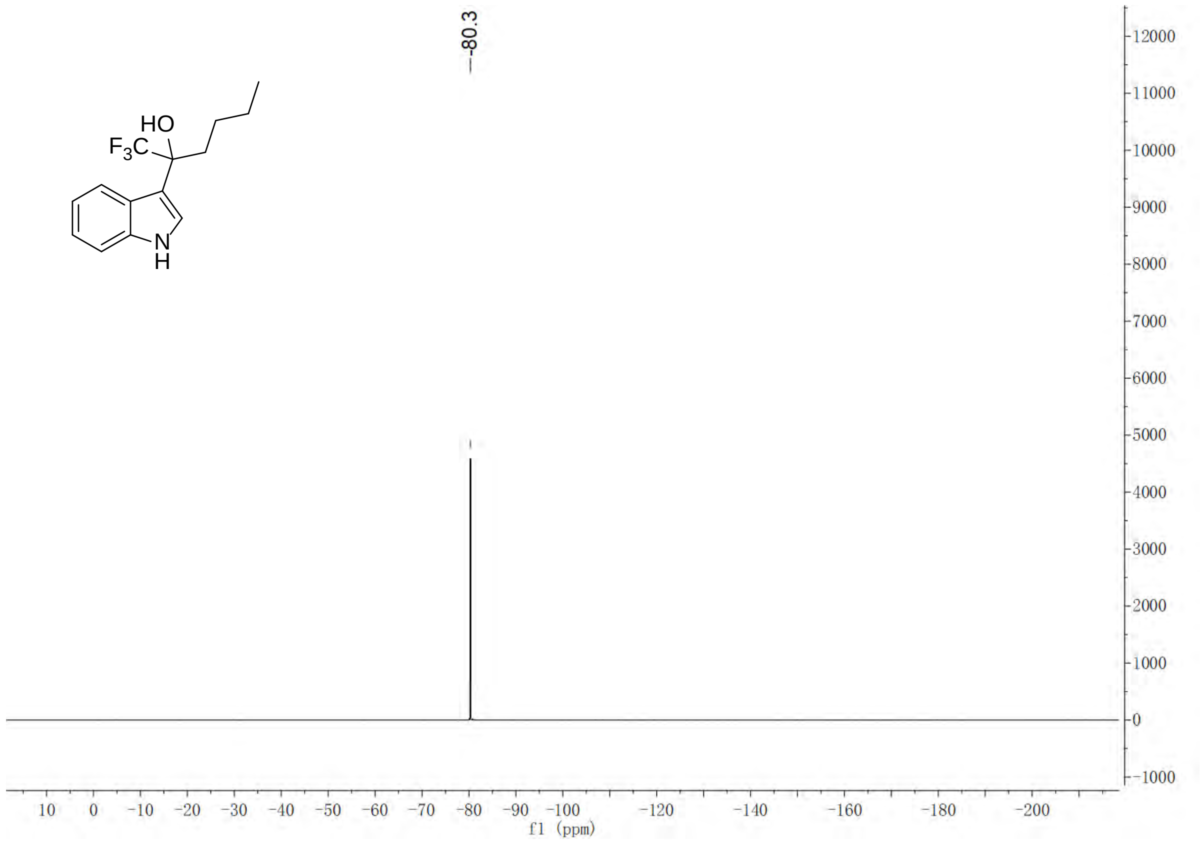
[1]H NMR of compound 1ac (in CDCl₃)



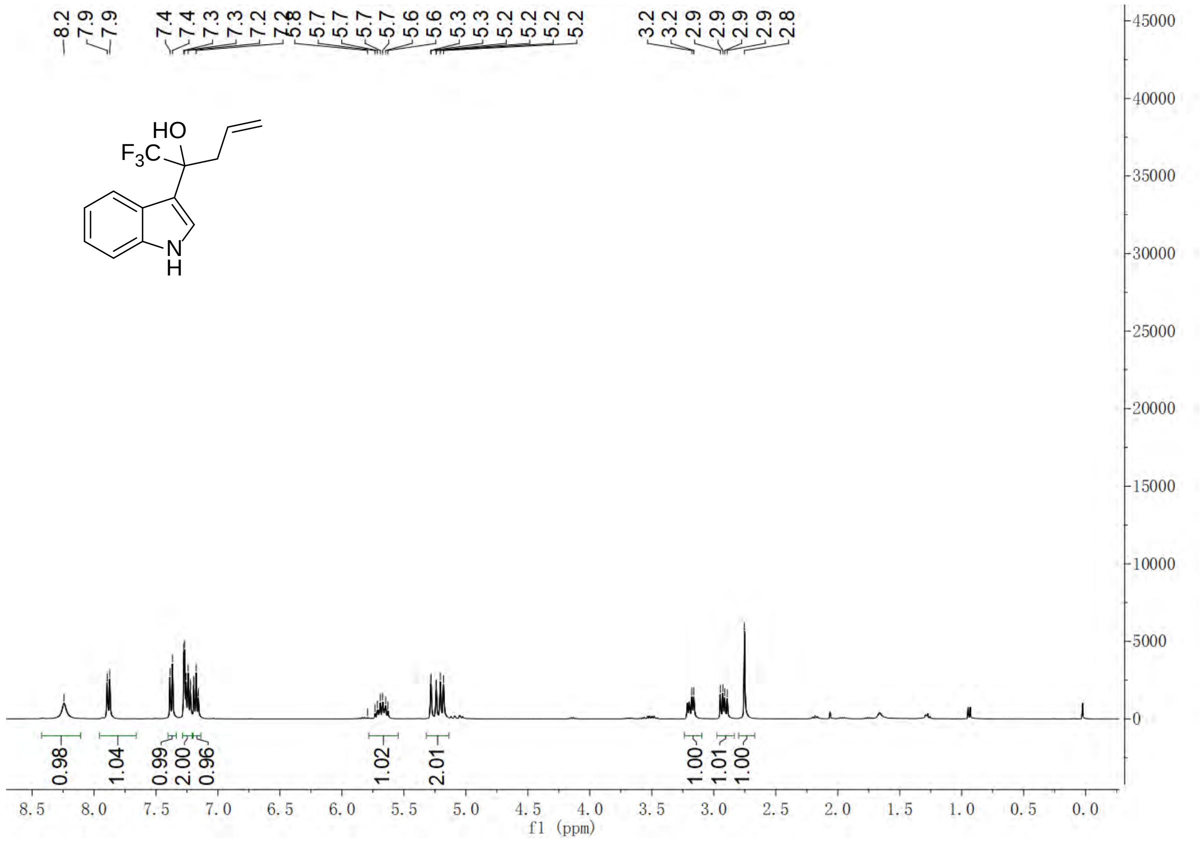
[13]C NMR of compound 1ac (in CDCl₃)



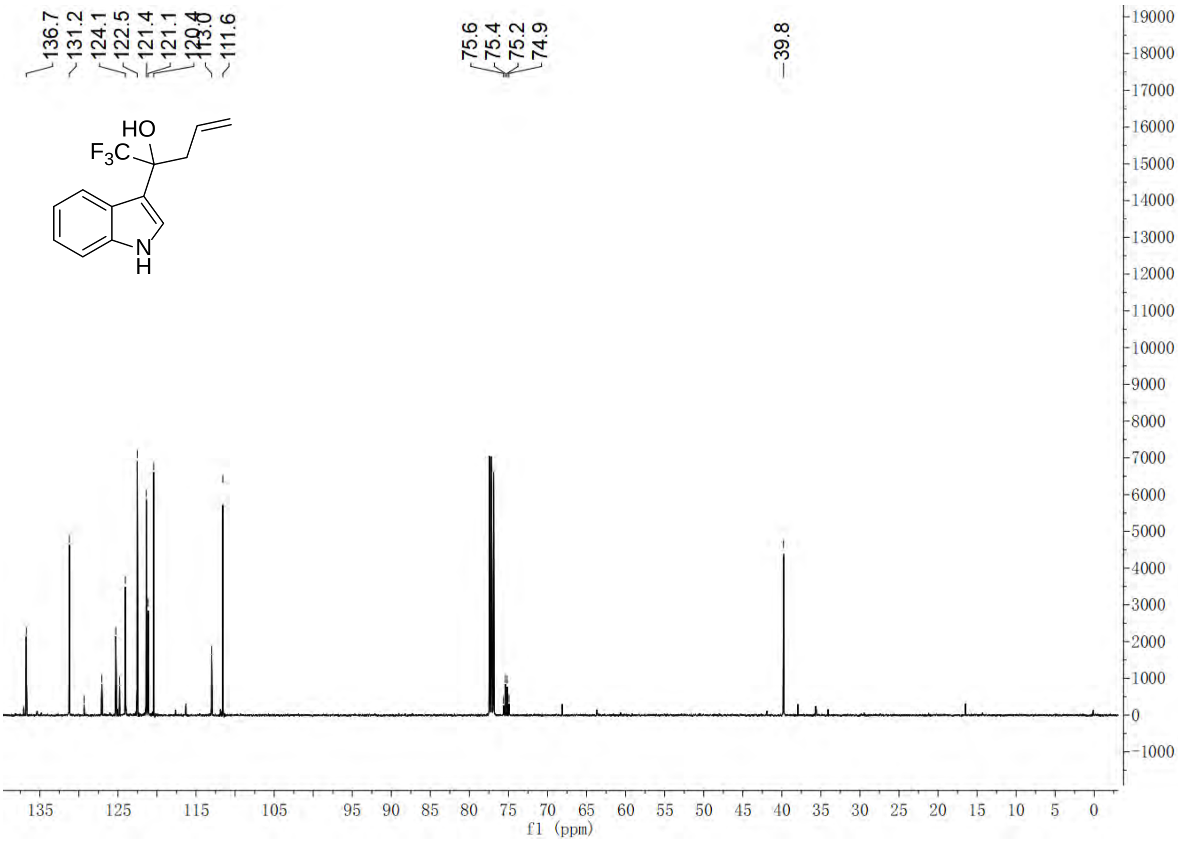
^{19}F NMR of compound 1ac (in $CDCl_3$)



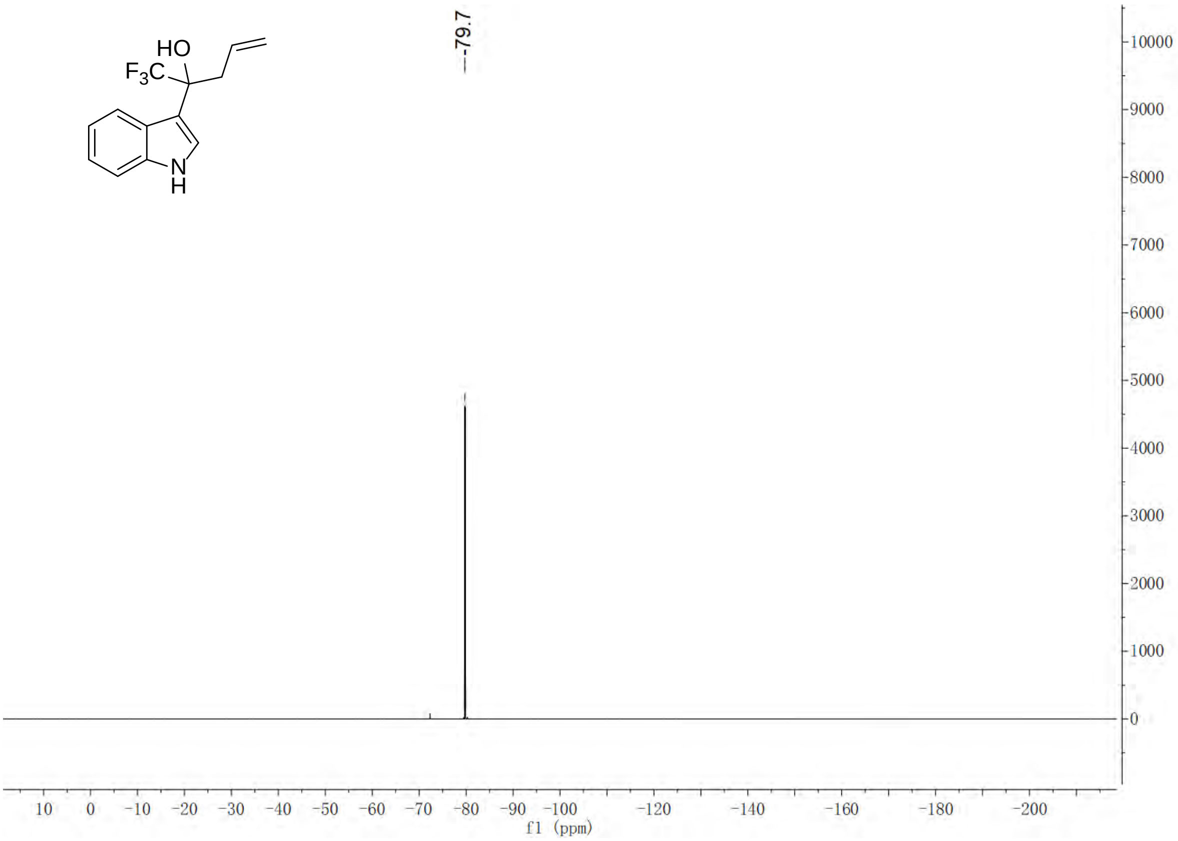
^{1}H NMR of compound 1ad (in $CDCl_3$)



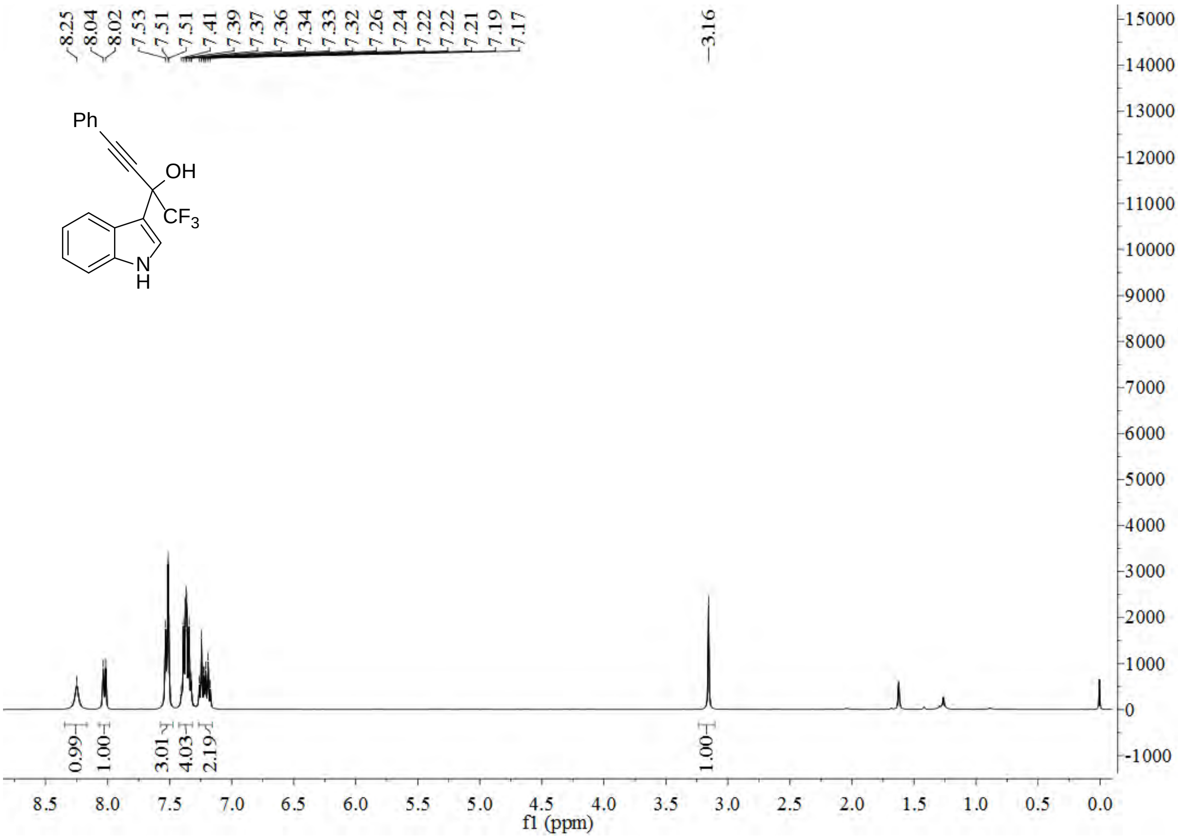
^{13}C NMR of compound 1ad (in $CDCl_3$)



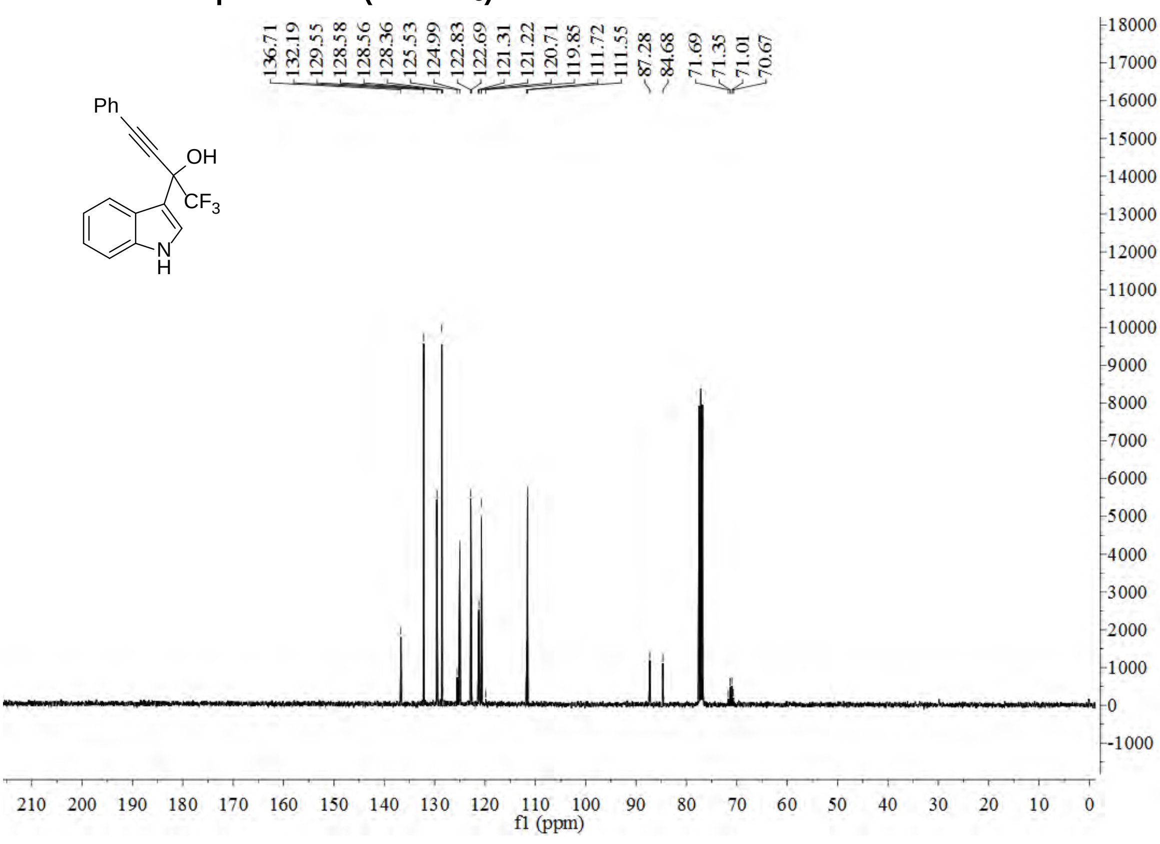
^{19}F NMR of compound 1ad (in $CDCl_3$)



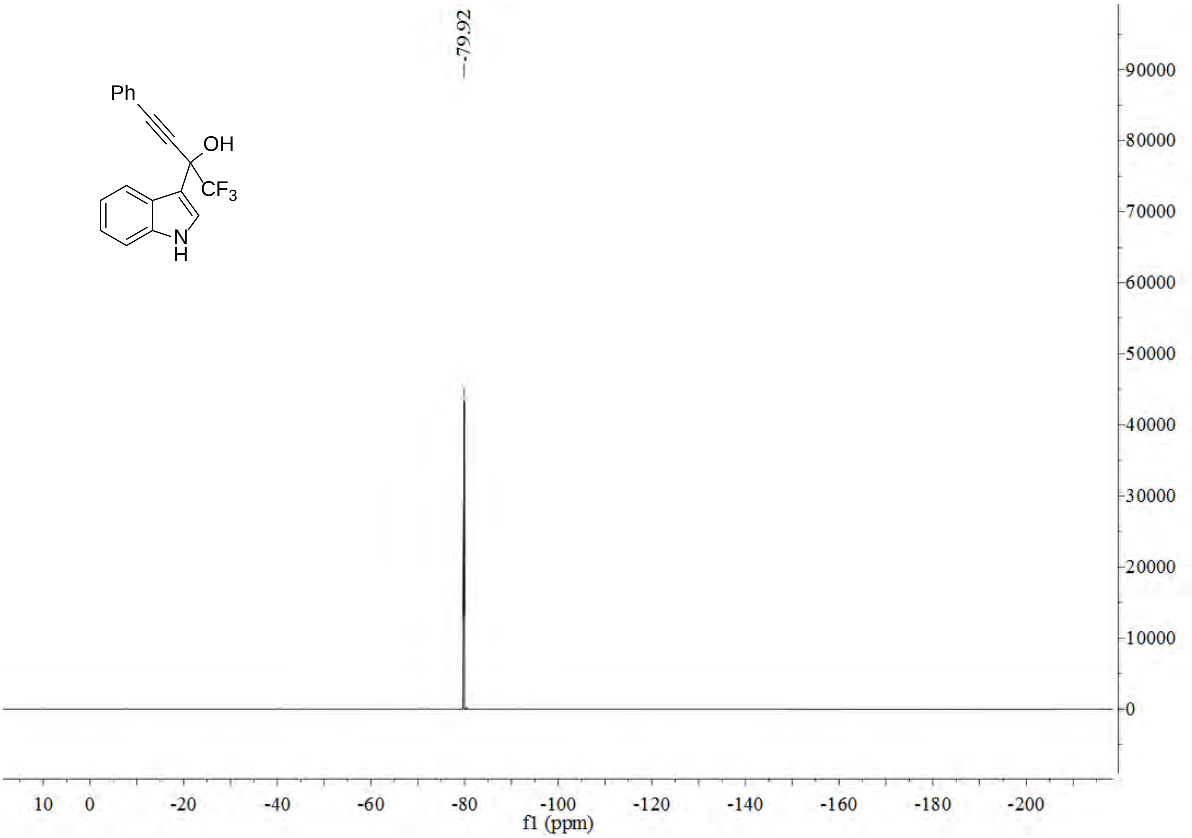
[1]H NMR of compound 1ae (in CDCl$_3$)



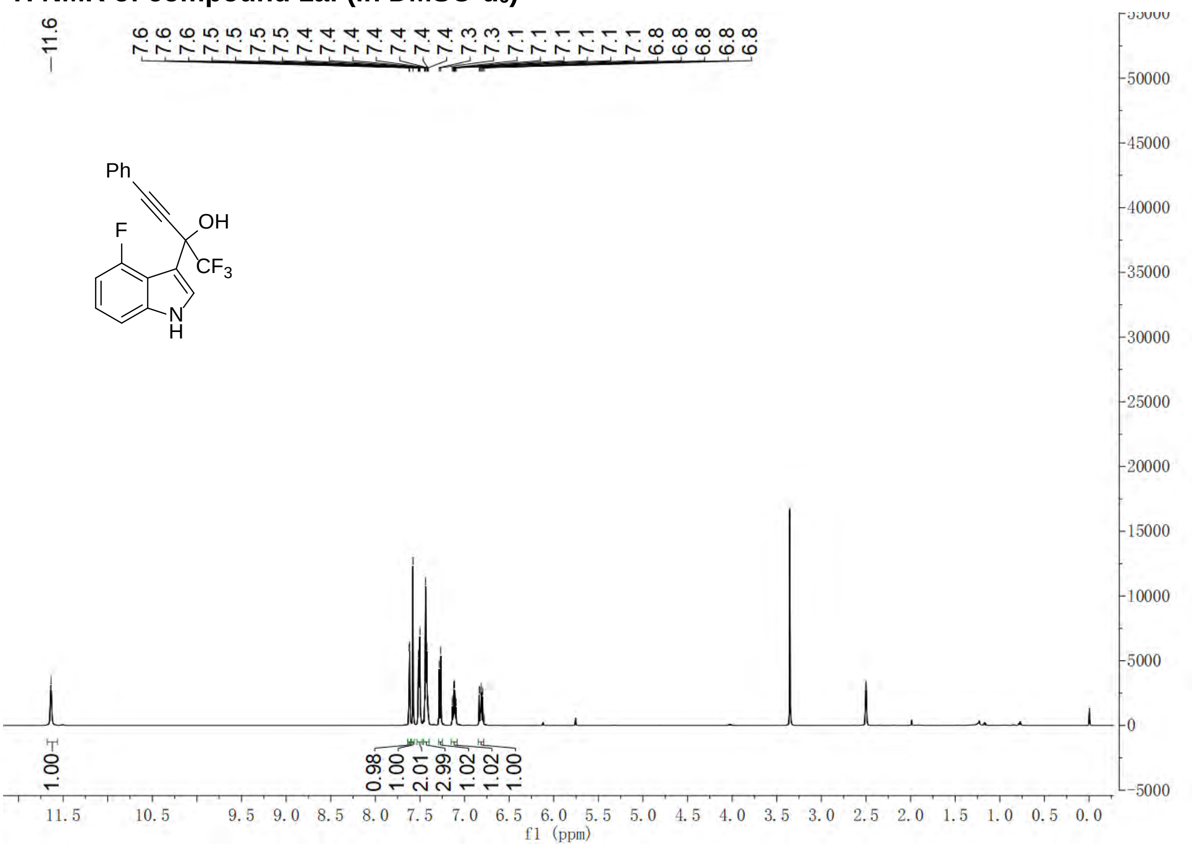
[13]C NMR of compound 1ae (in CDCl$_3$)



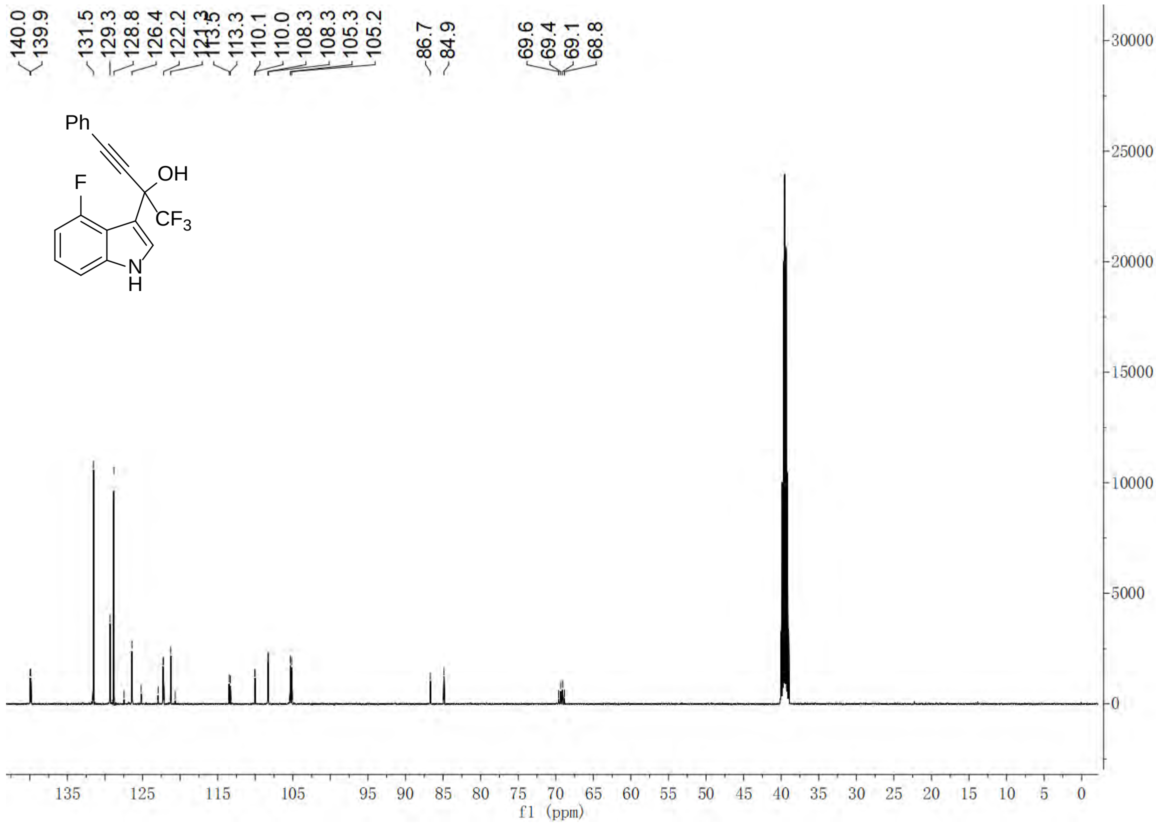
^{19}F NMR of compound 1ae (in CDCl$_3$)



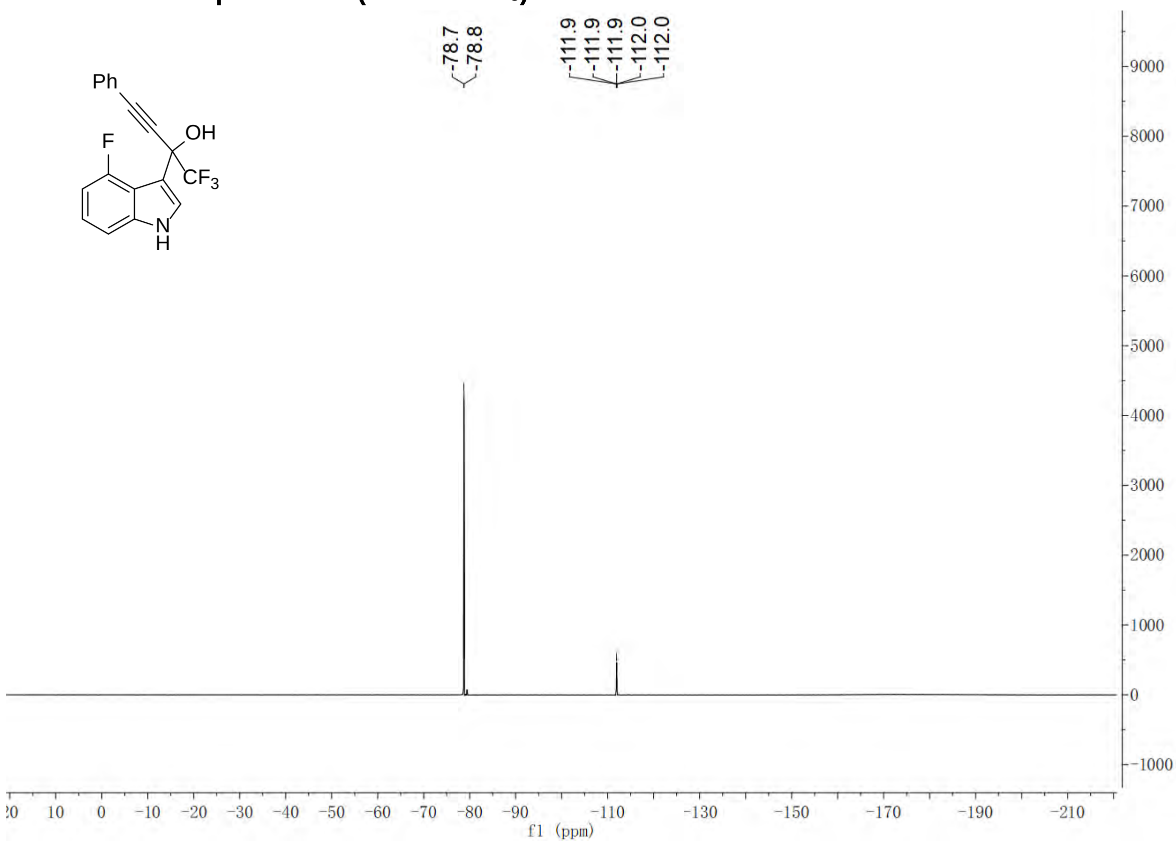
^{1}H NMR of compound 1af (in DMSO-d$_6$)



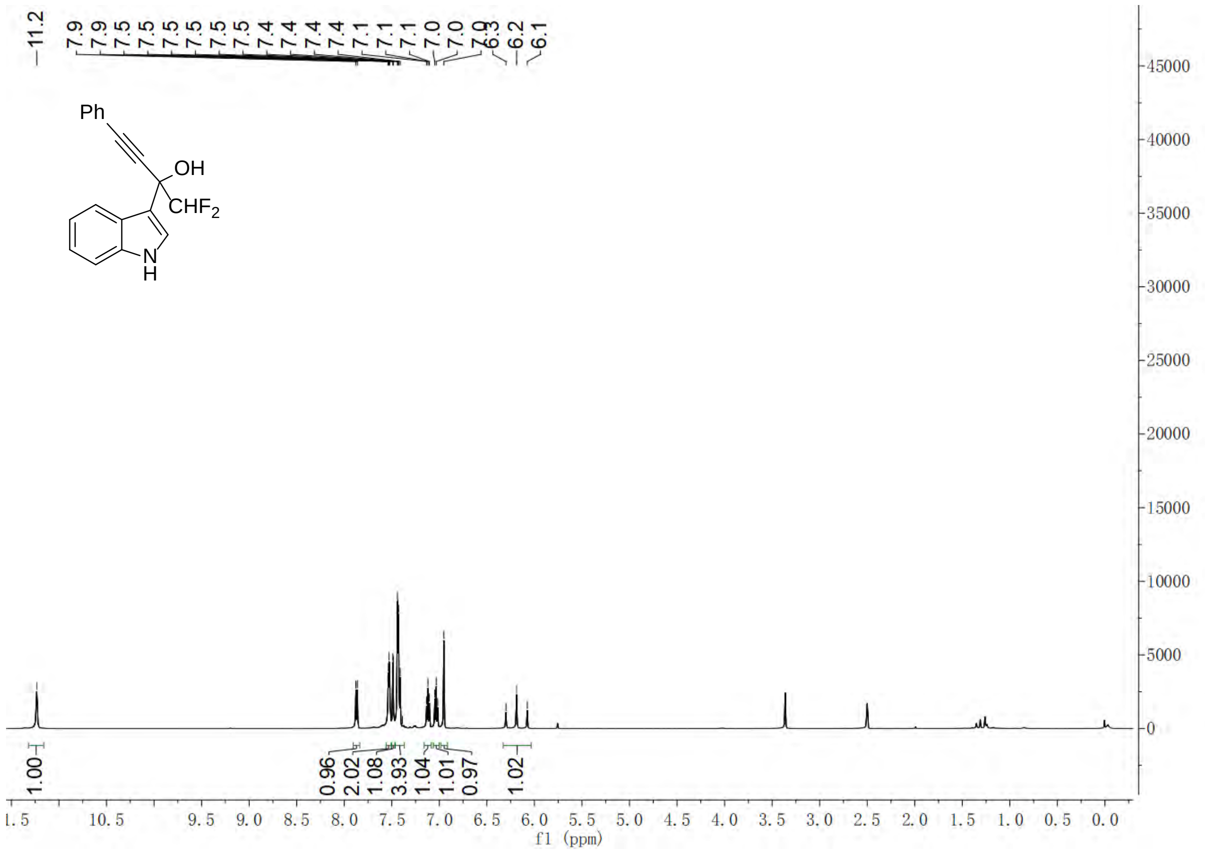
^{13}C NMR of compound 1af (in DMSO-d$_6$)



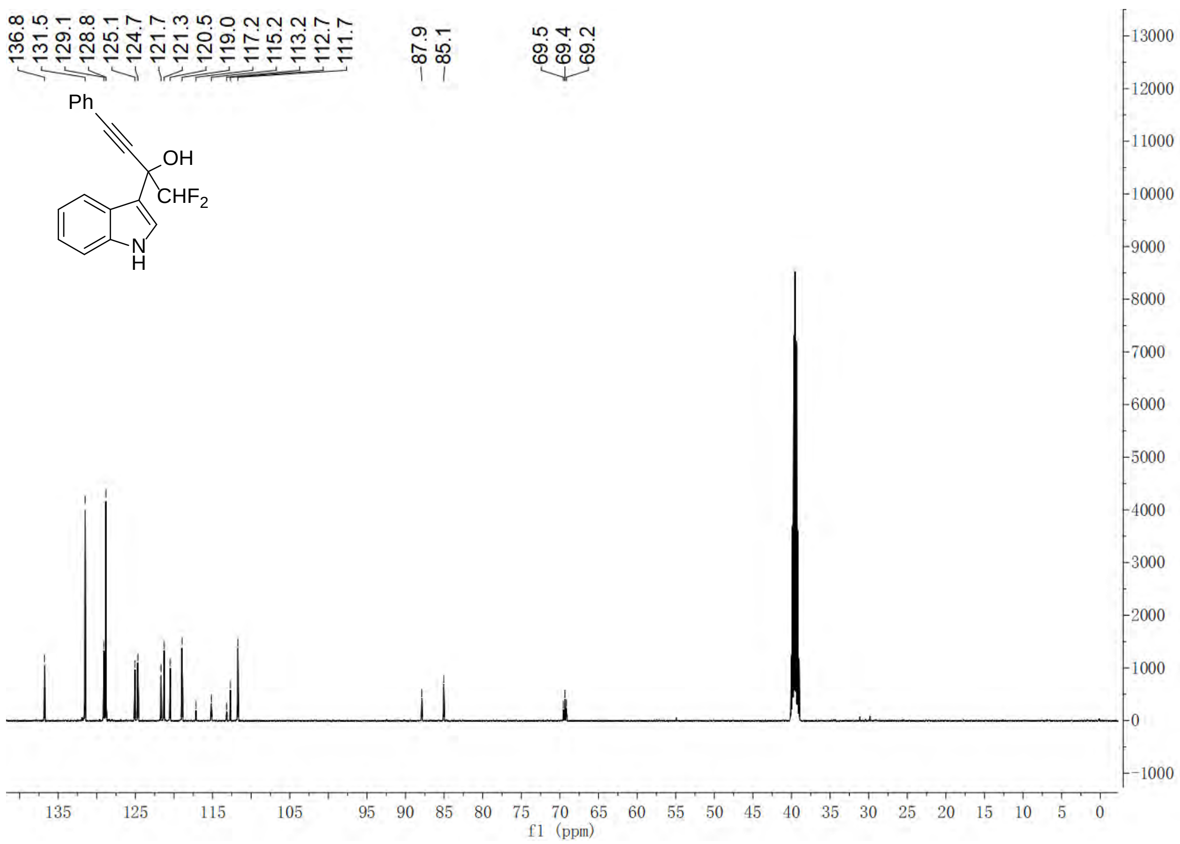
^{19}F NMR of compound 1af (in DMSO-d$_6$)



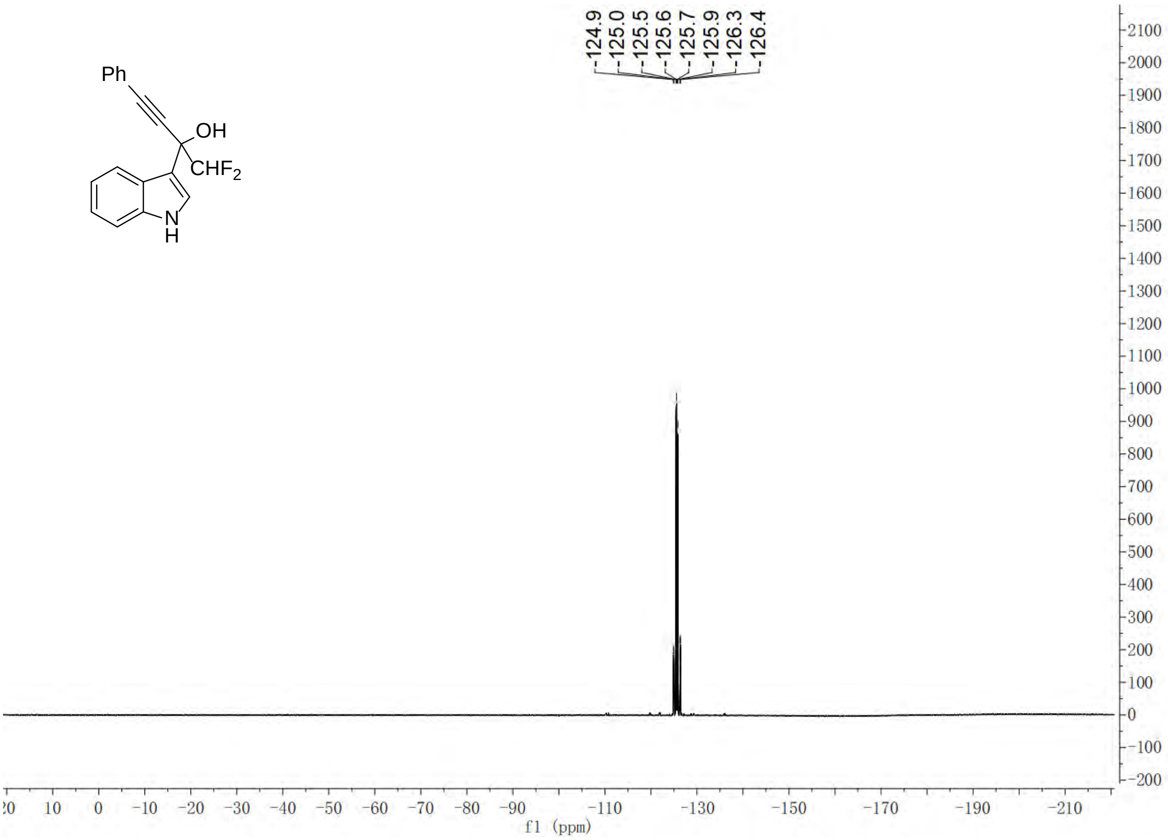
^{1}H NMR of compound 1ag (in DMSO-d_6)



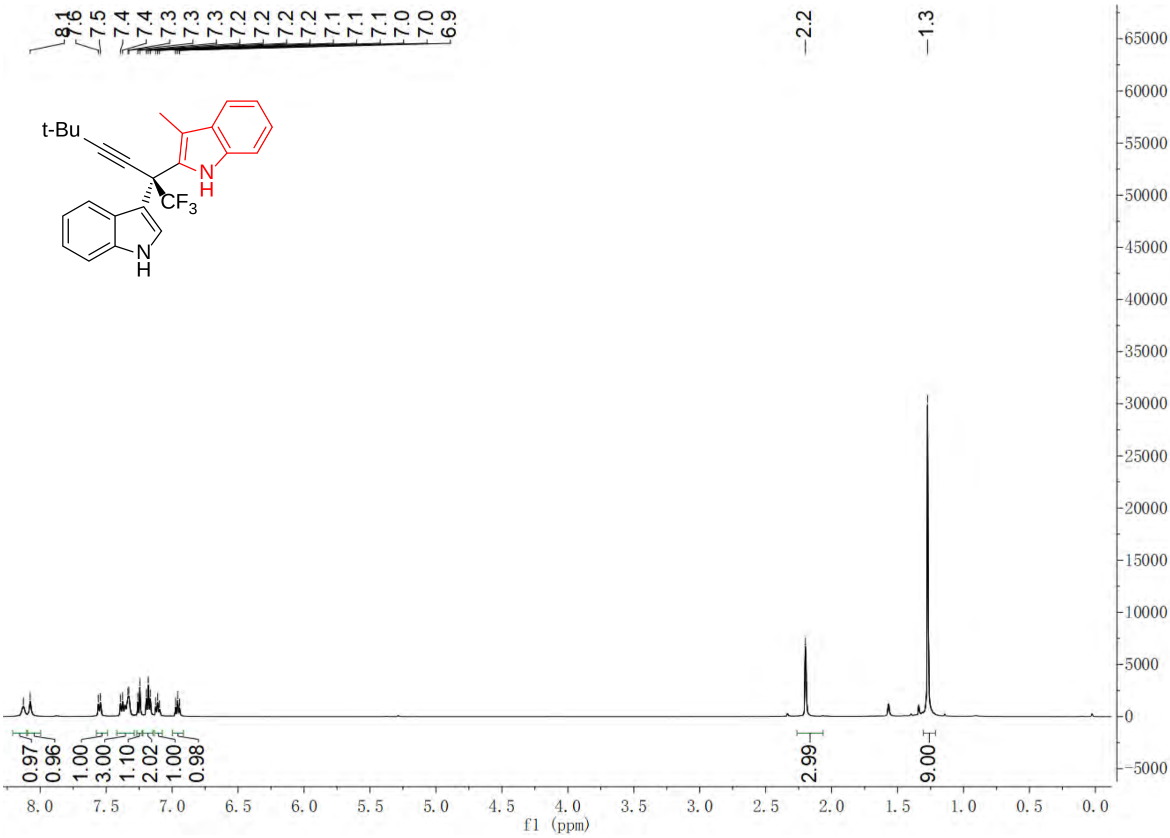
^{13}C NMR of compound 1ag (in DMSO-d_6)



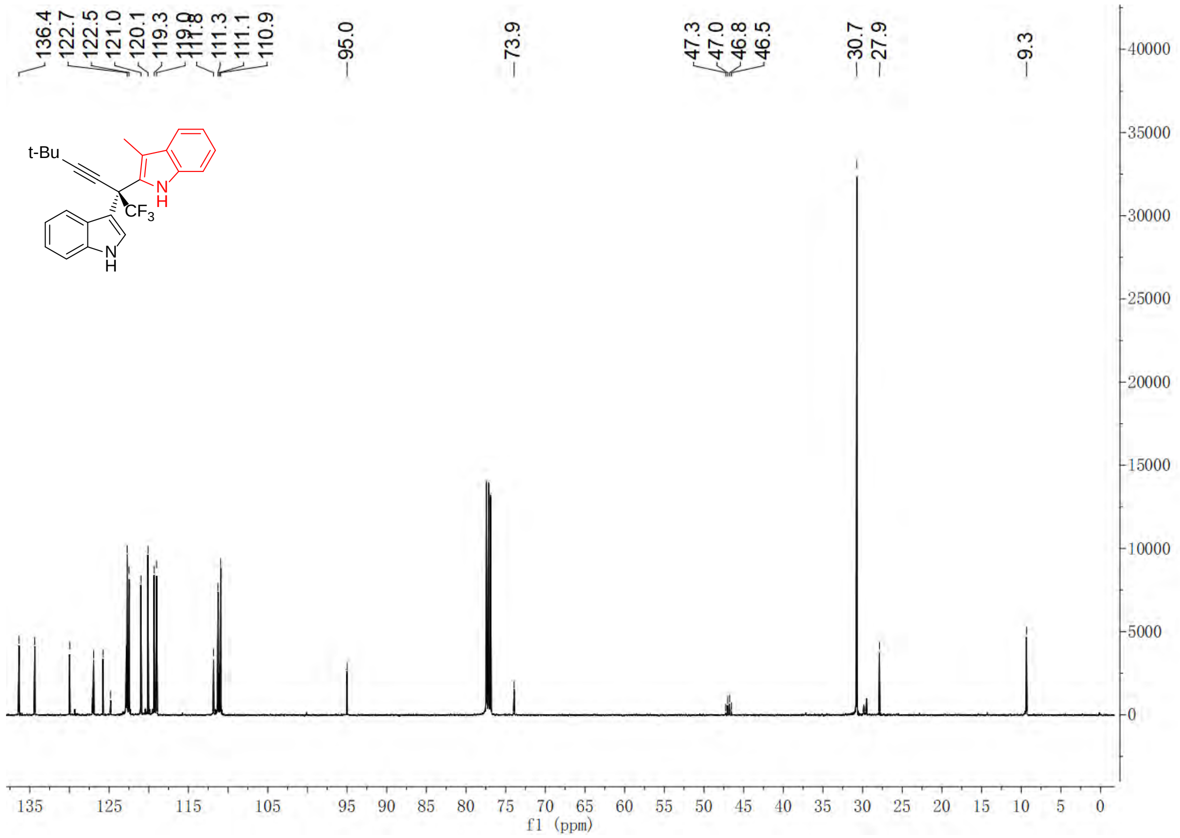
^{19}F NMR of compound 1ag (in DMSO-d$_6$)



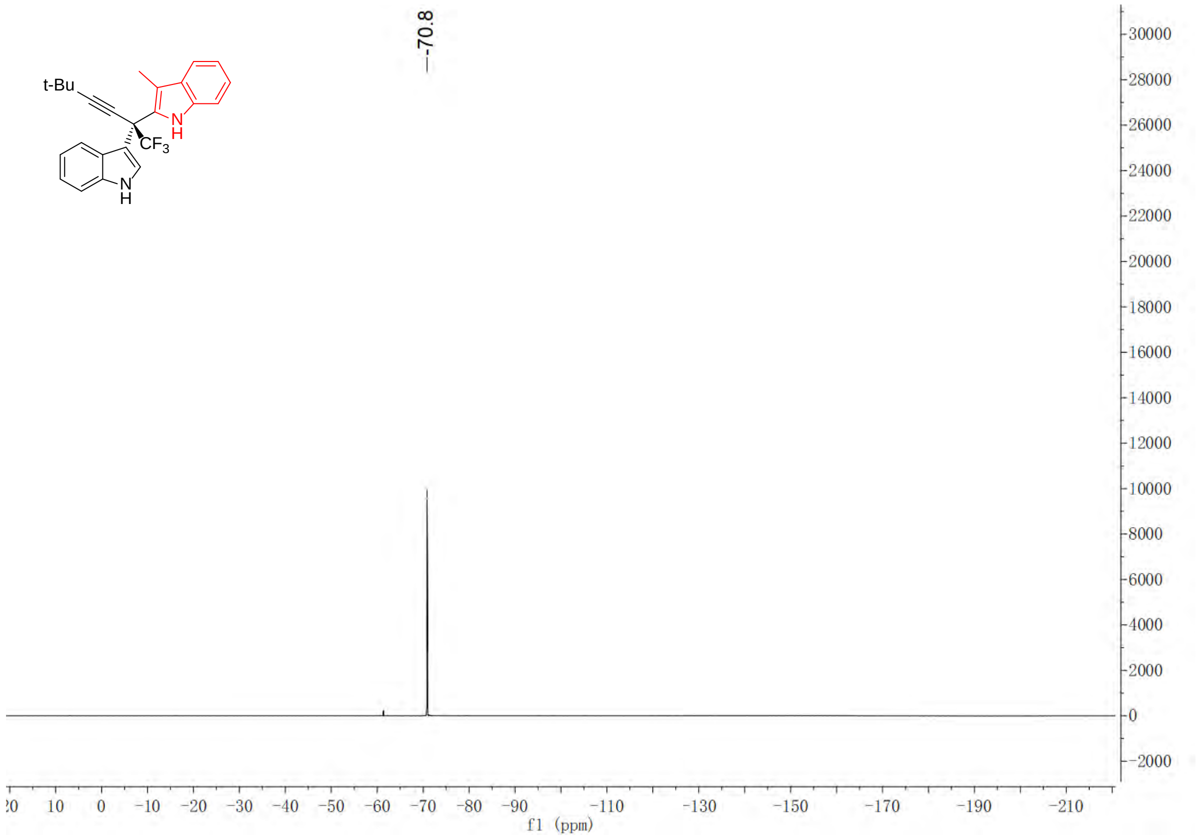
^{1}H NMR of compound 3a (in CDCl$_3$)



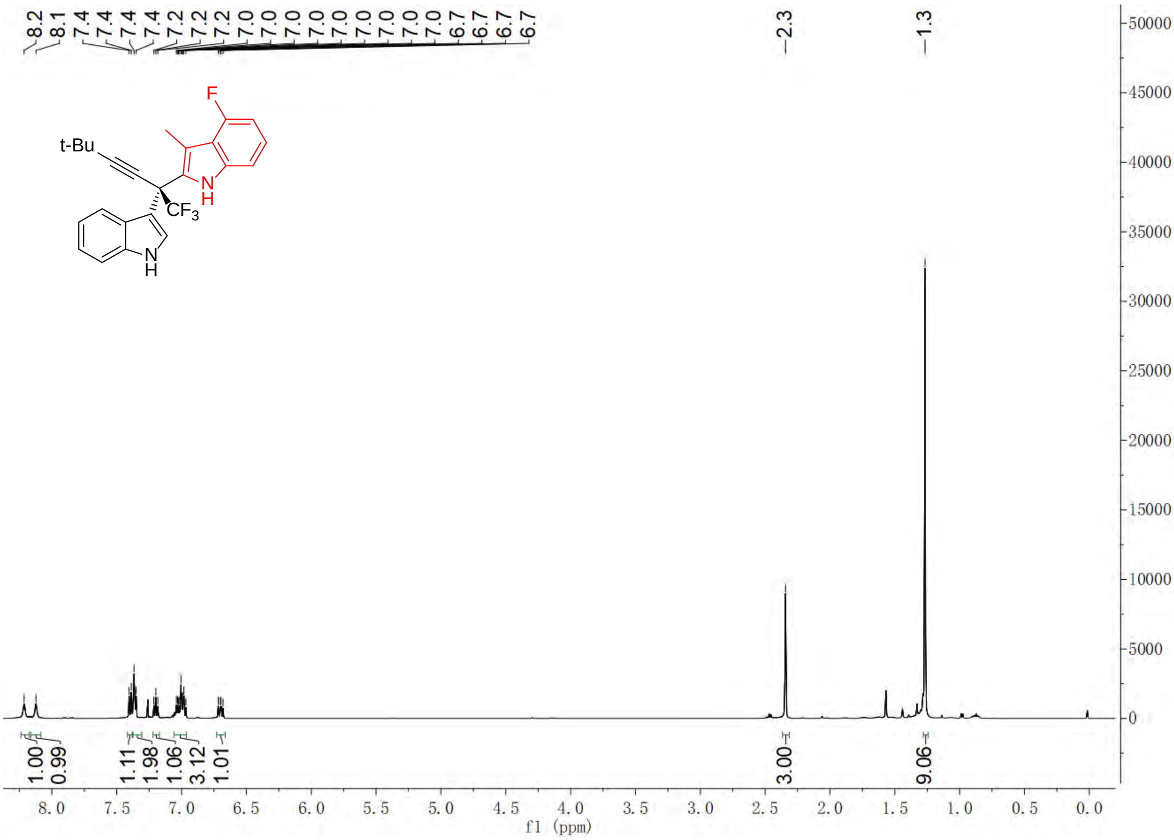
^{13}C NMR of compound 3a (in $CDCl_3$)



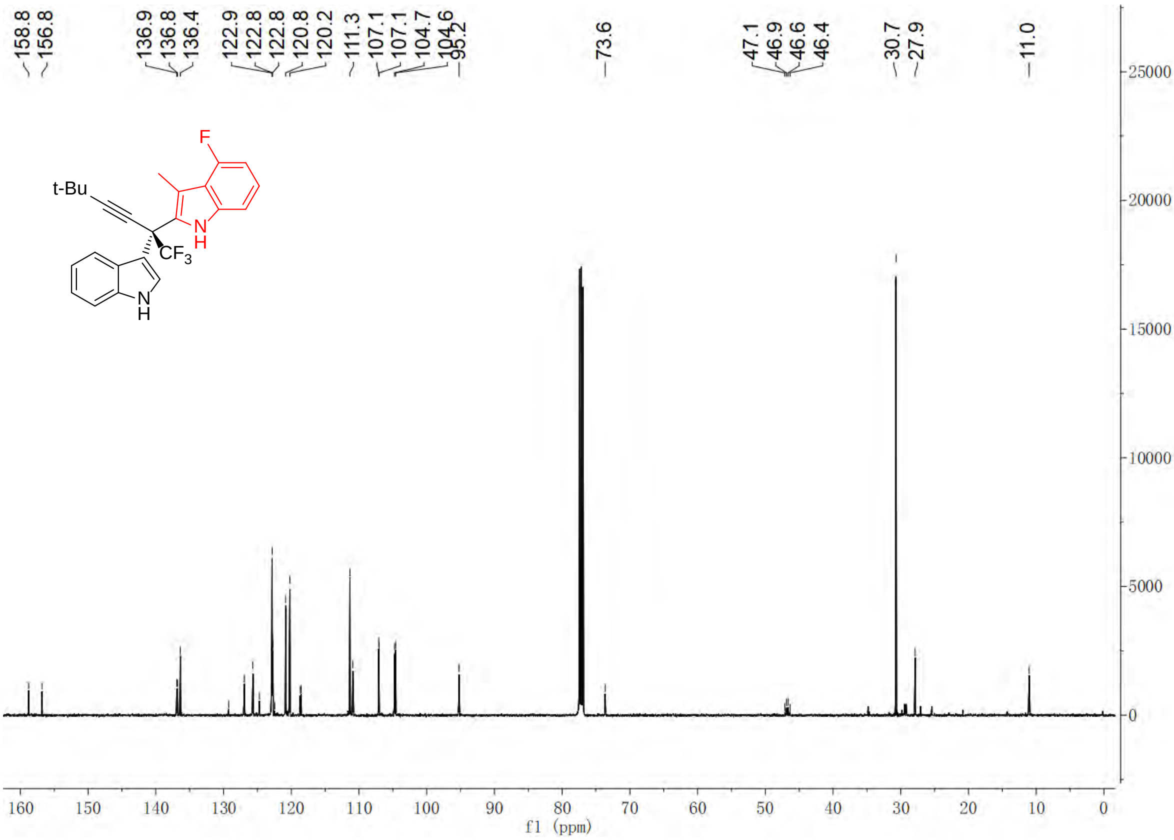
^{19}F NMR of compound 3a (in $CDCl_3$)



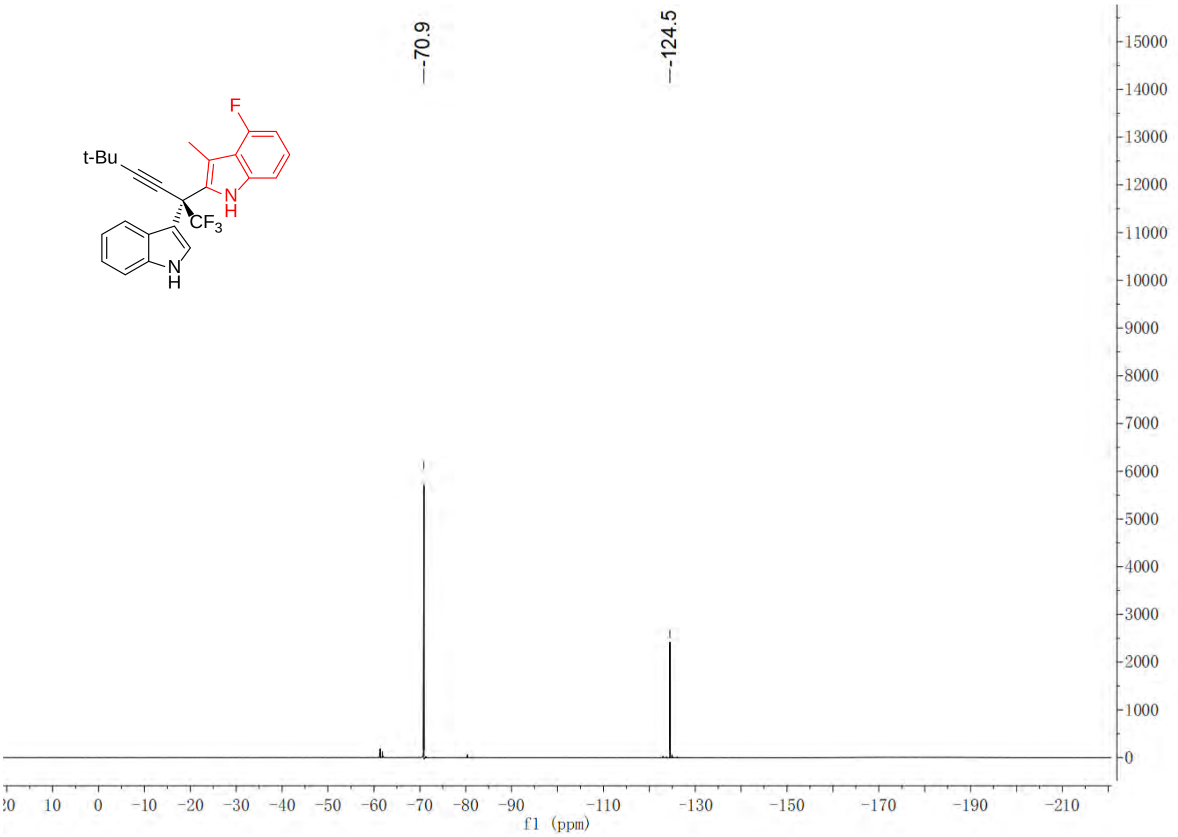
^{1}H NMR of compound 3b (in $CDCl_3$)



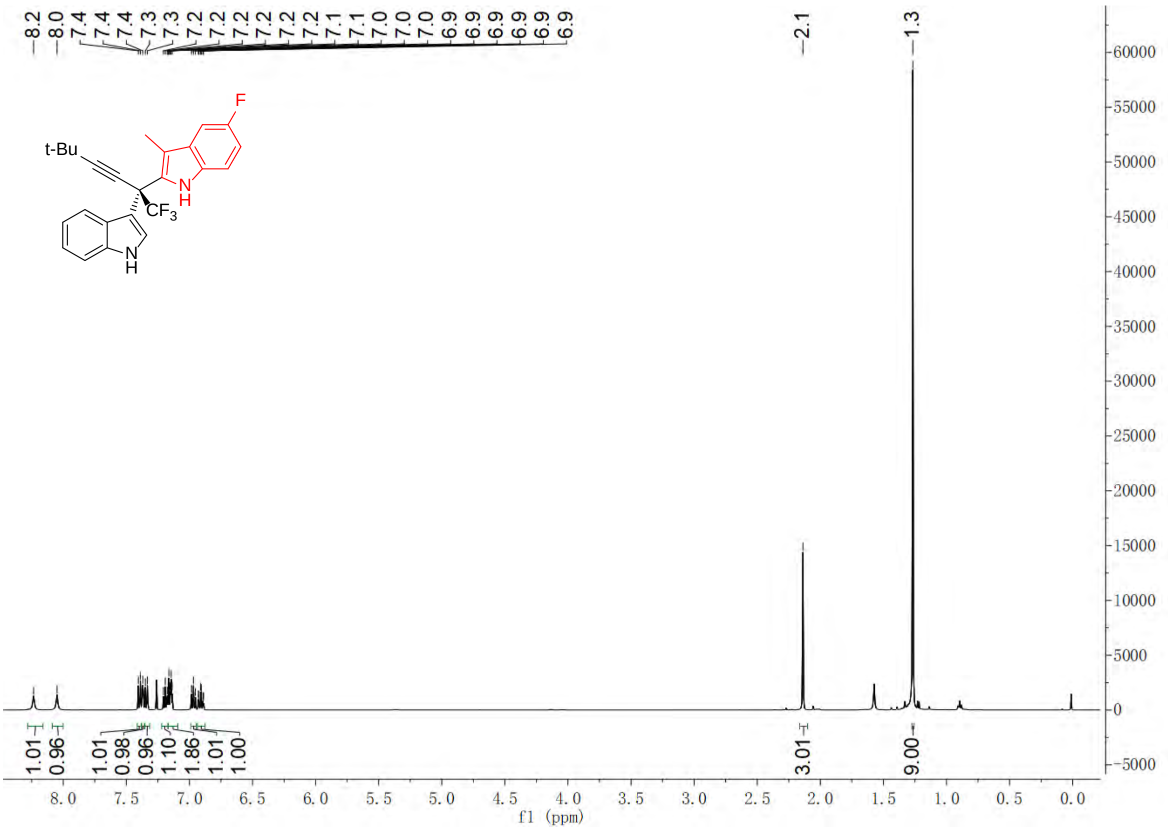
^{13}C NMR of compound 3b (in $CDCl_3$)



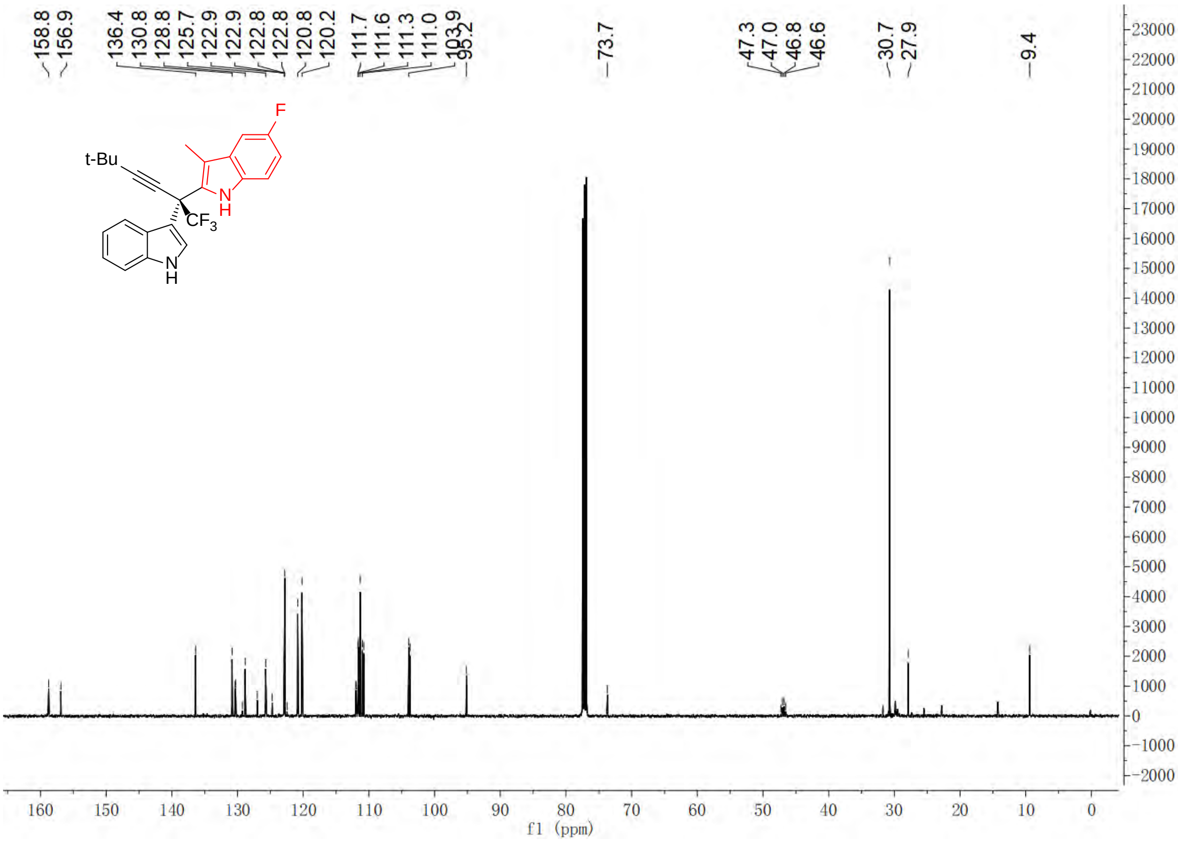
^{19}F NMR of compound 3b (in $CDCl_3$)



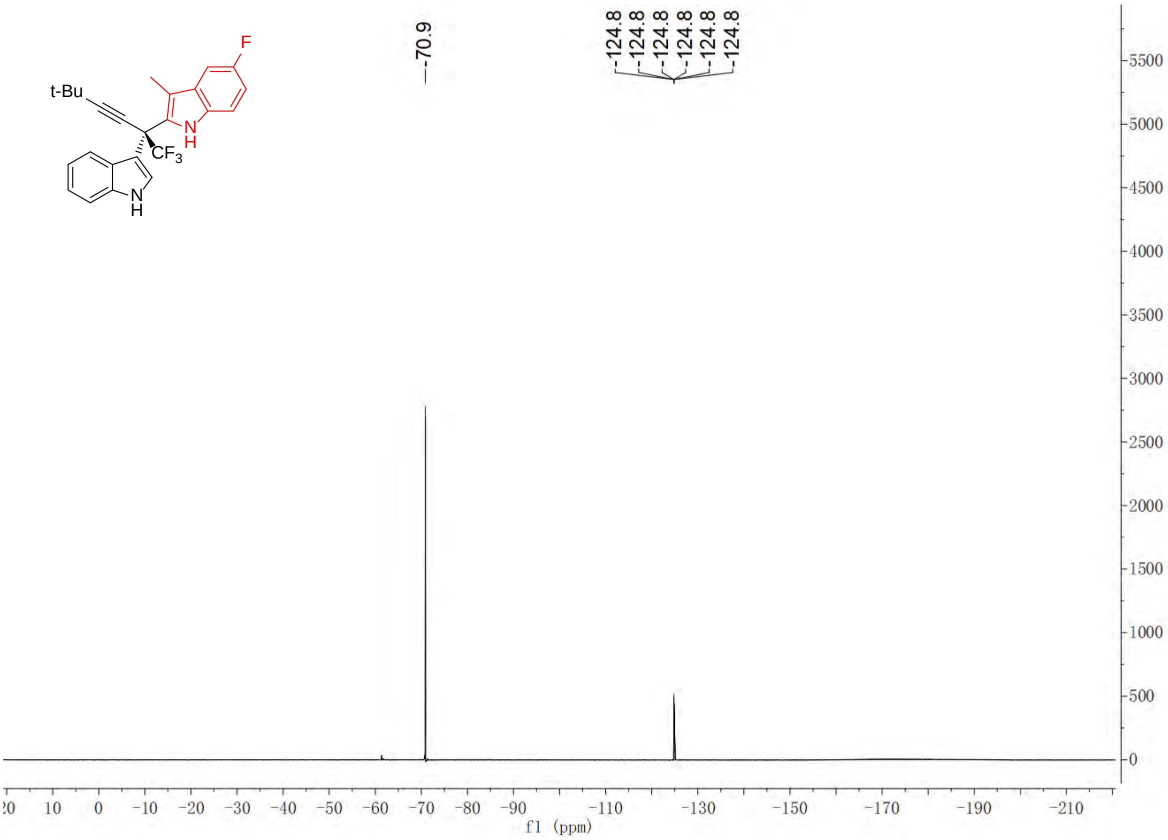
^{1}H NMR of compound 3c (in $CDCl_3$)



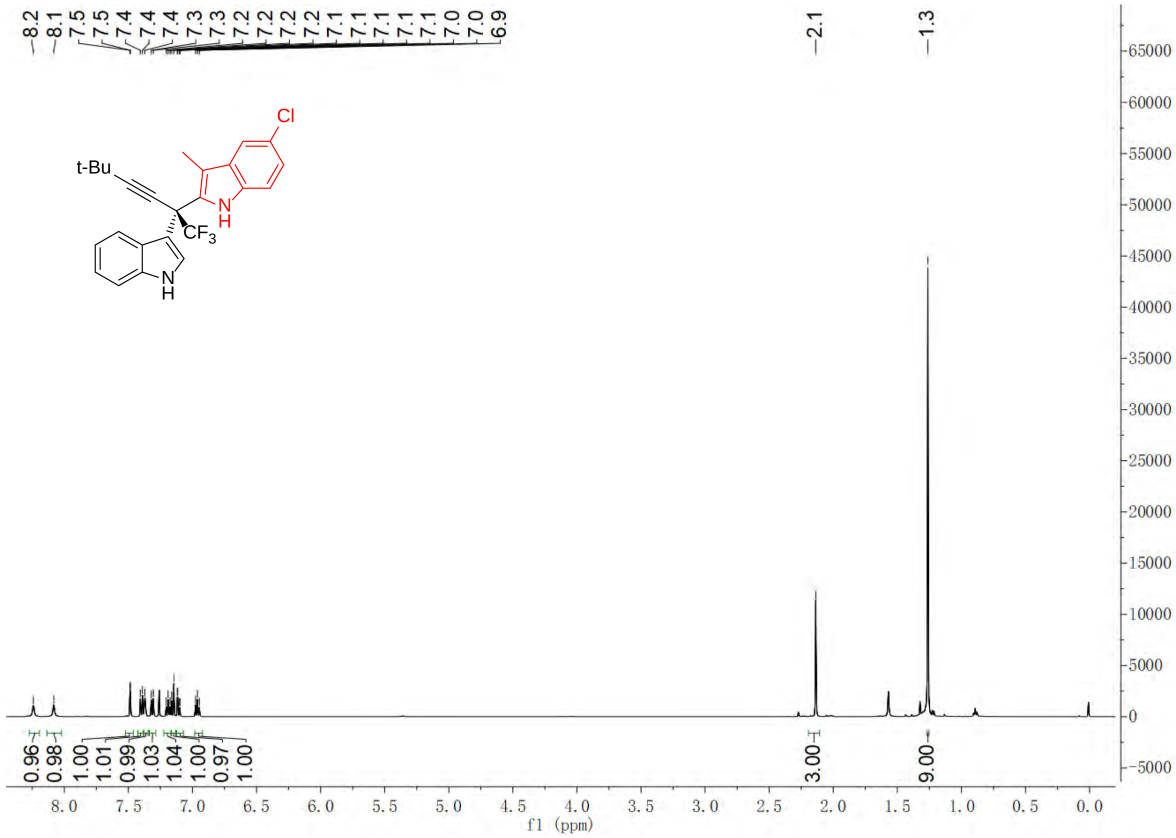
^{13}C NMR of compound 3c (in $CDCl_3$)



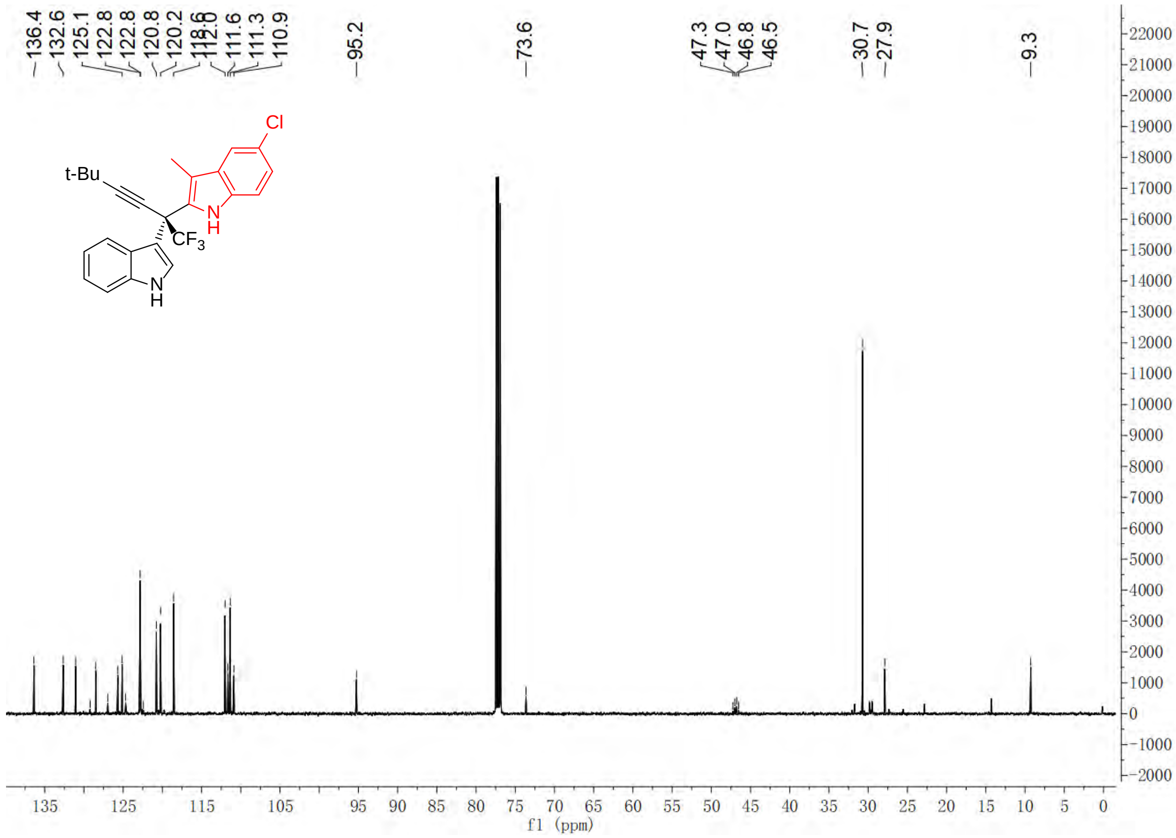
^{19}F NMR of compound 3c (in $CDCl_3$)



^{1}H NMR of compound 3d (in $CDCl_3$)



^{13}C NMR of compound 3d (in $CDCl_3$)



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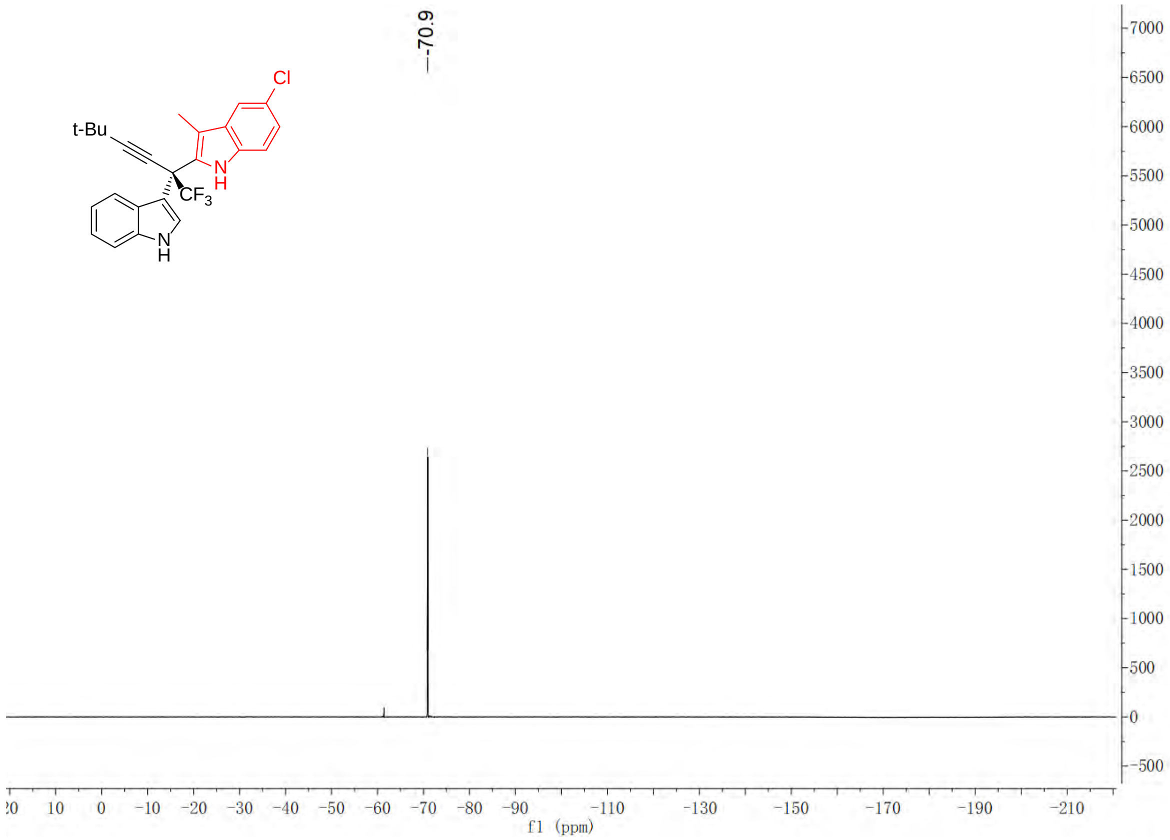
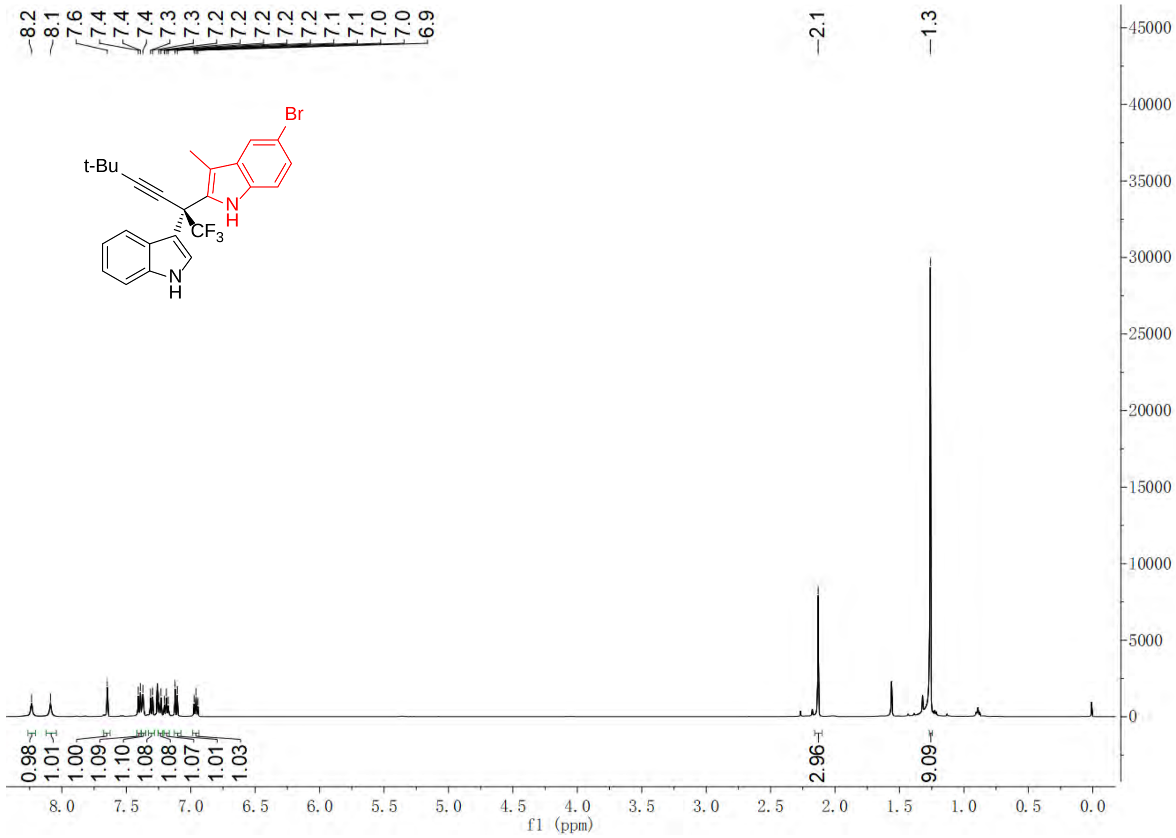
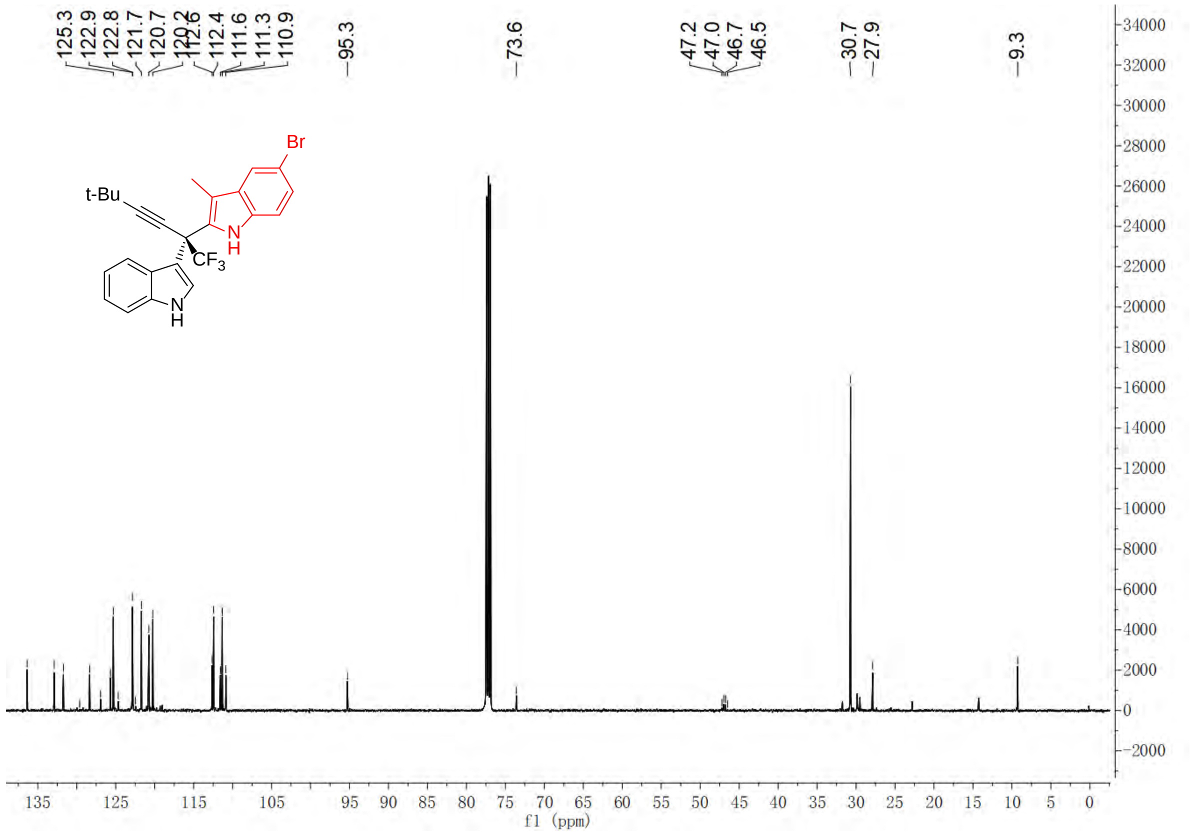


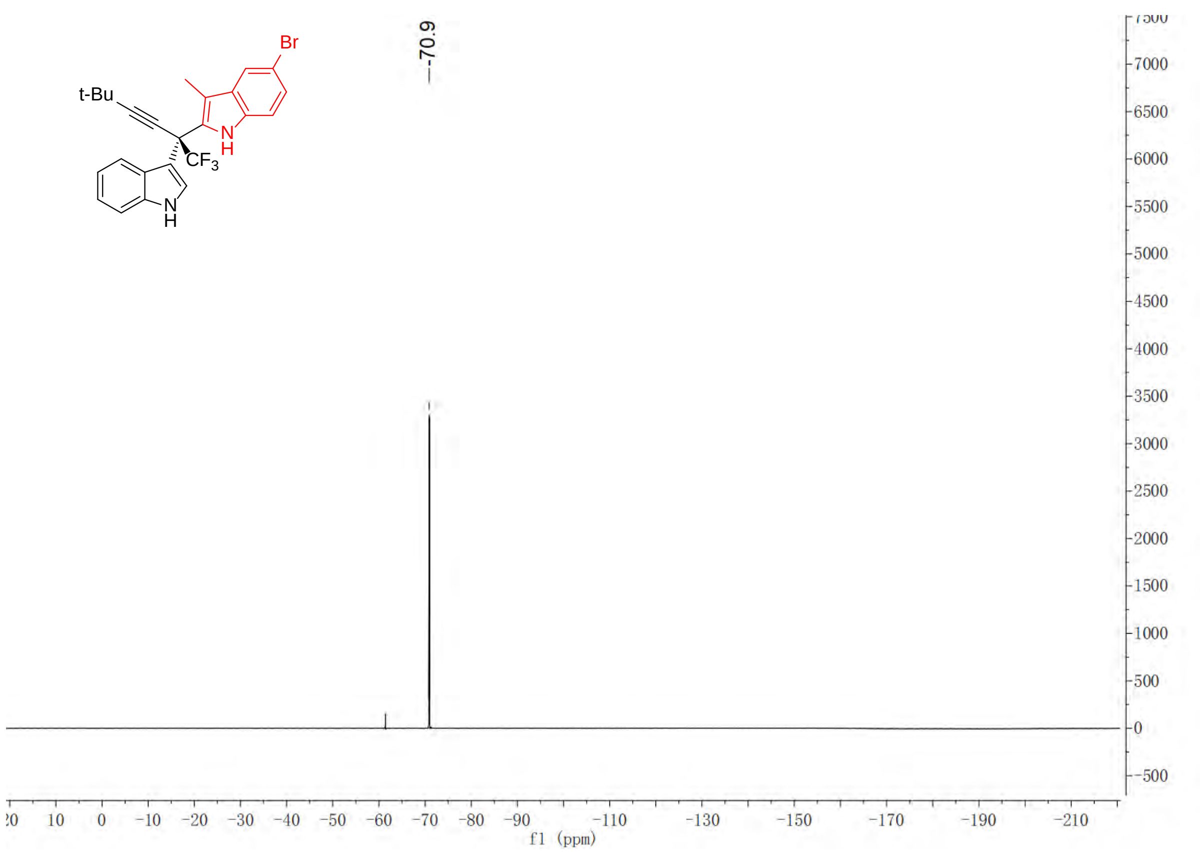
^{1}H NMR of compound 3e (in $CDCl_3$)



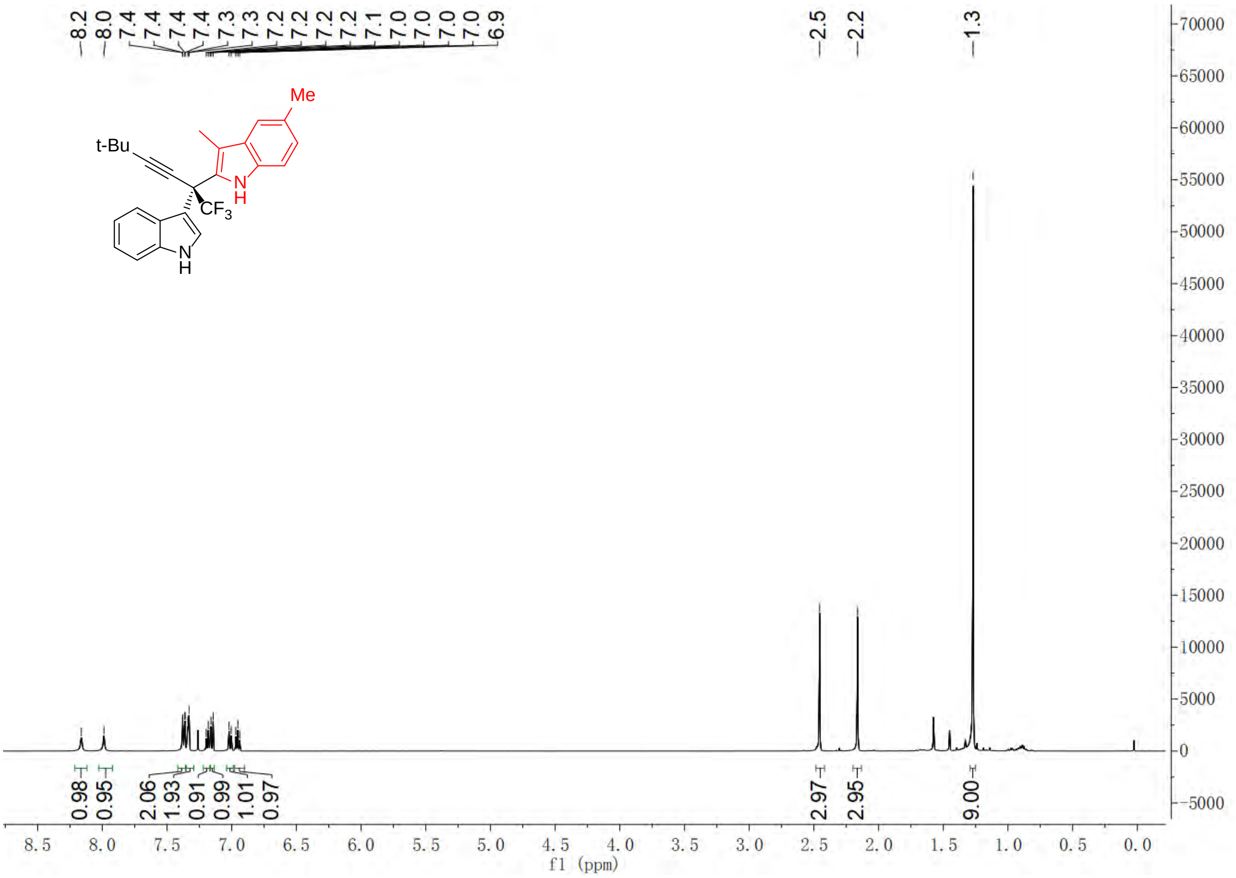
^{13}C NMR of compound 3e (in CDCl$_3$)



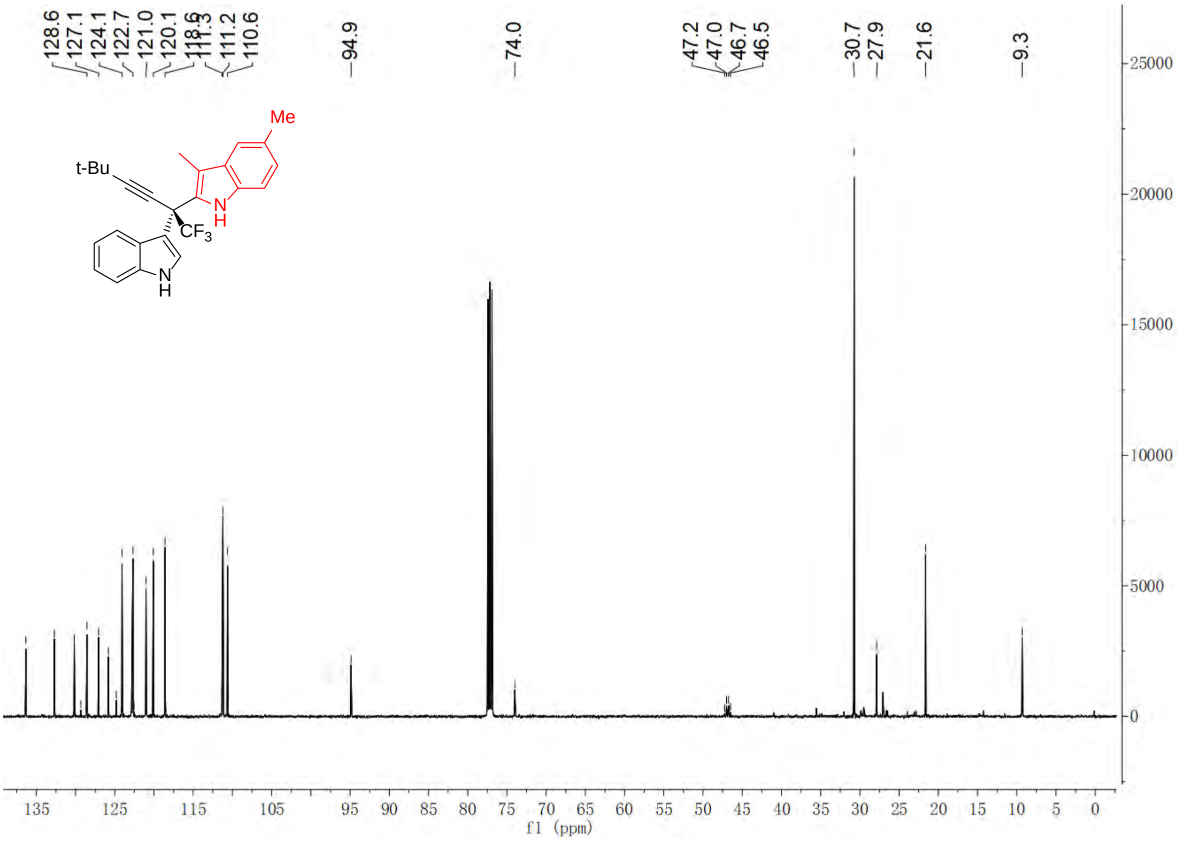
^{19}F NMR of compound 3e (in CDCl$_3$)



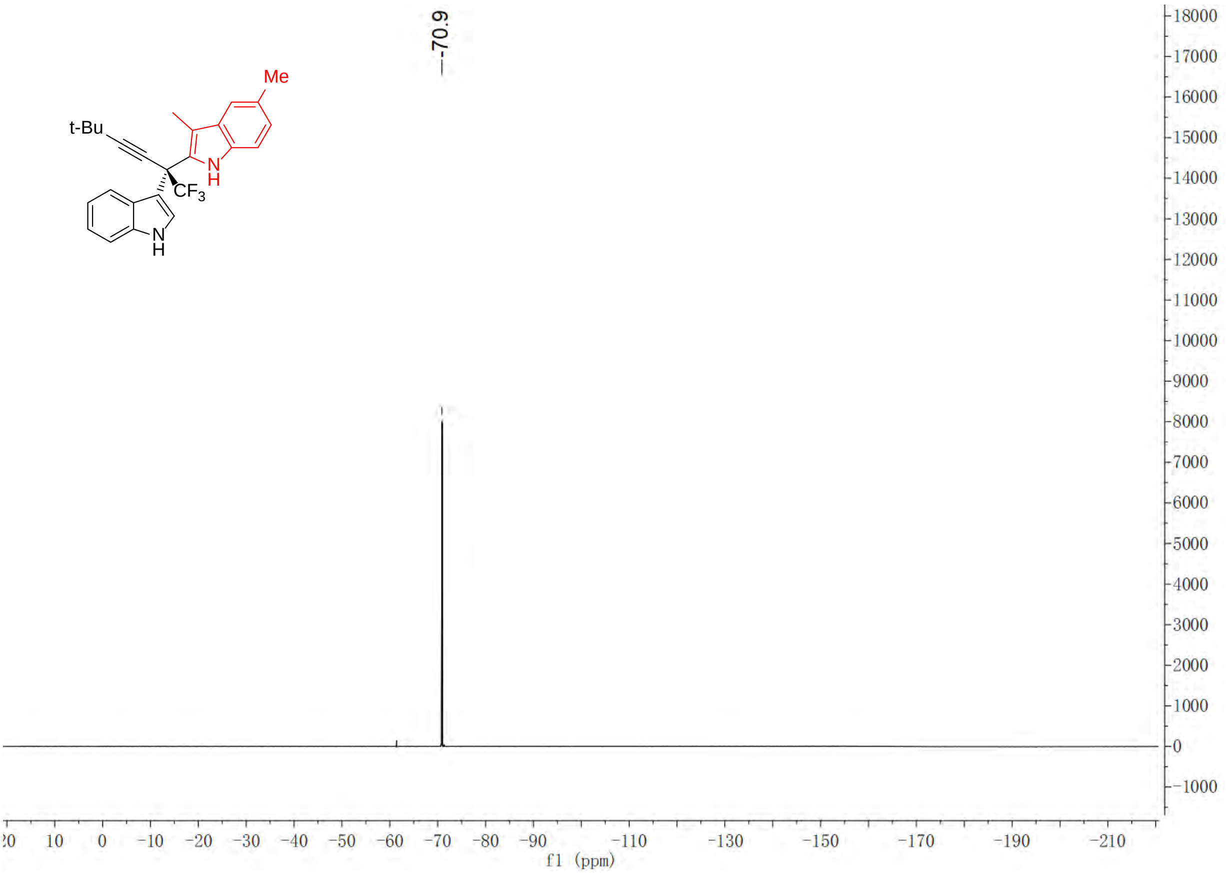
^1H NMR of compound 3f (in $CDCl_3$)



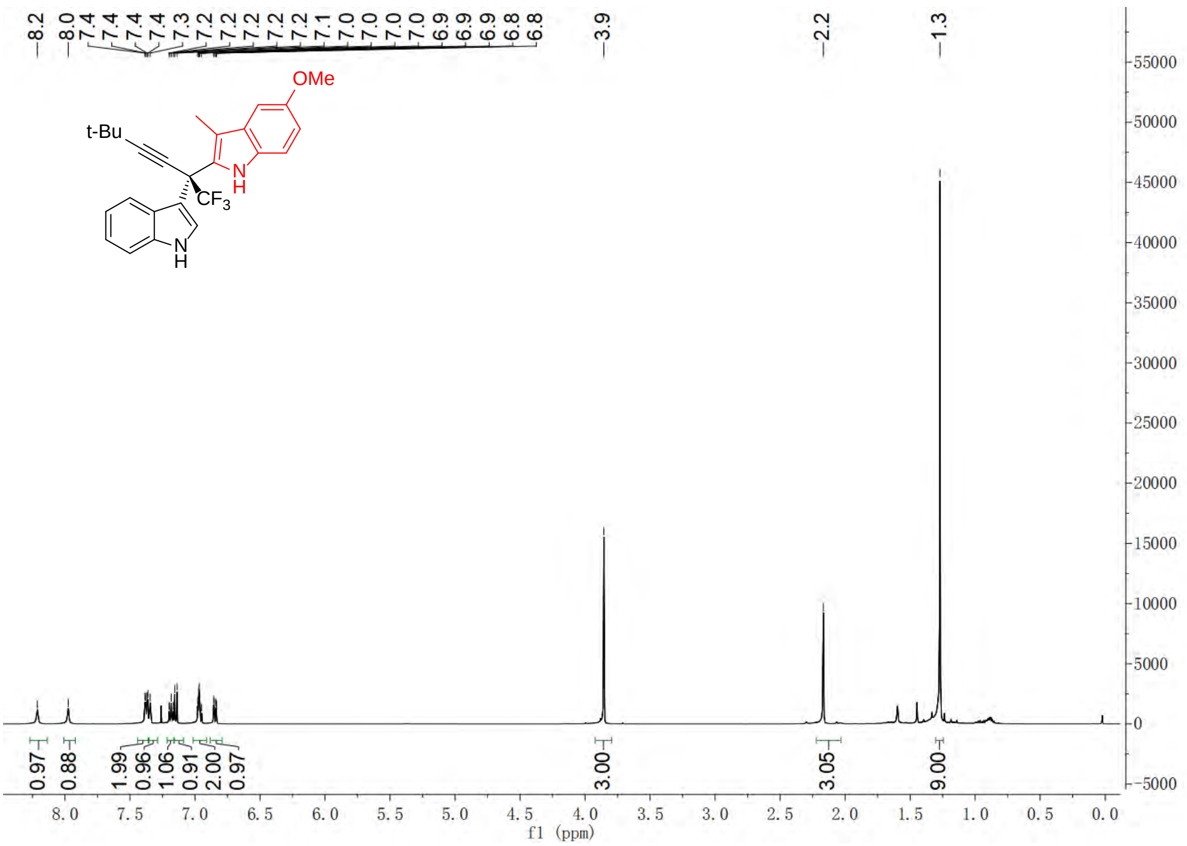
^{13}C NMR of compound 3f (in $CDCl_3$)



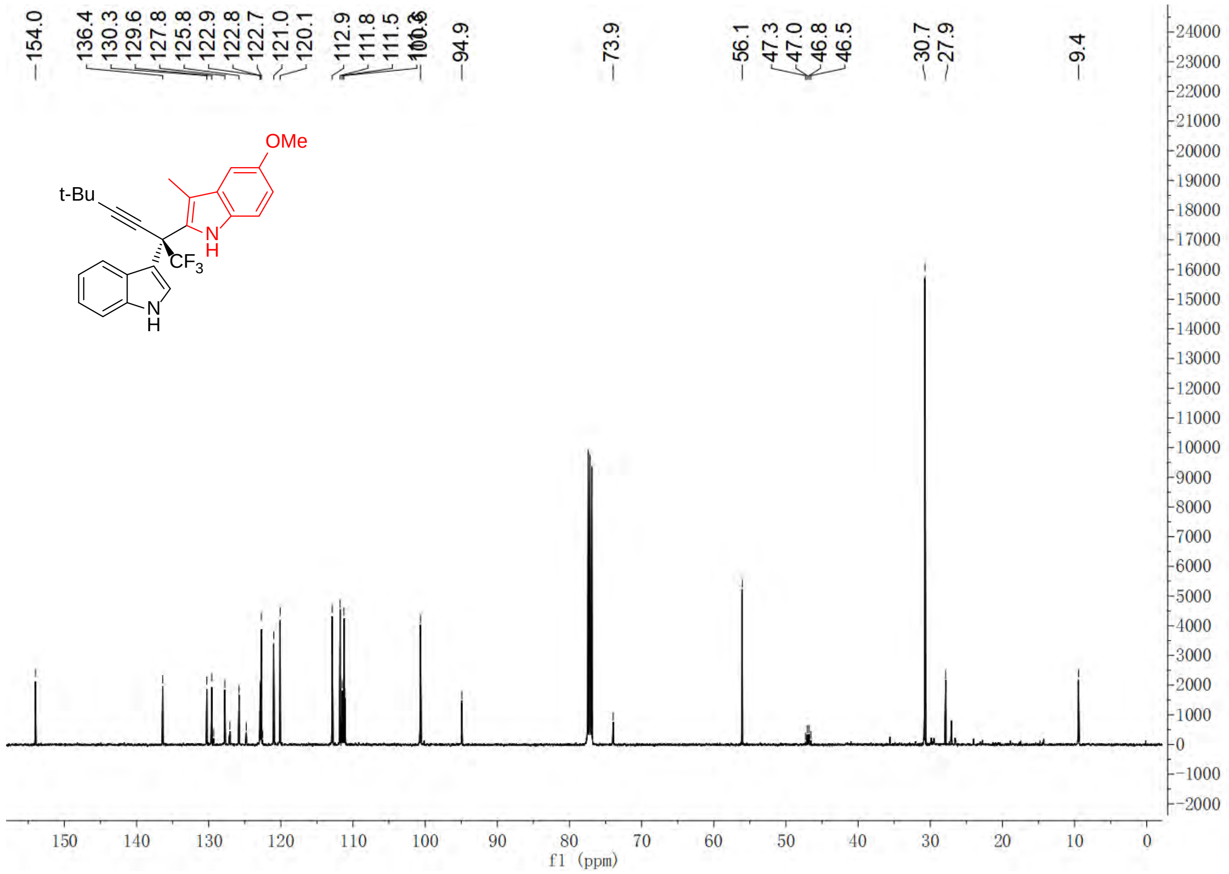
^{19}F NMR of compound 3f (in $CDCl_3$)



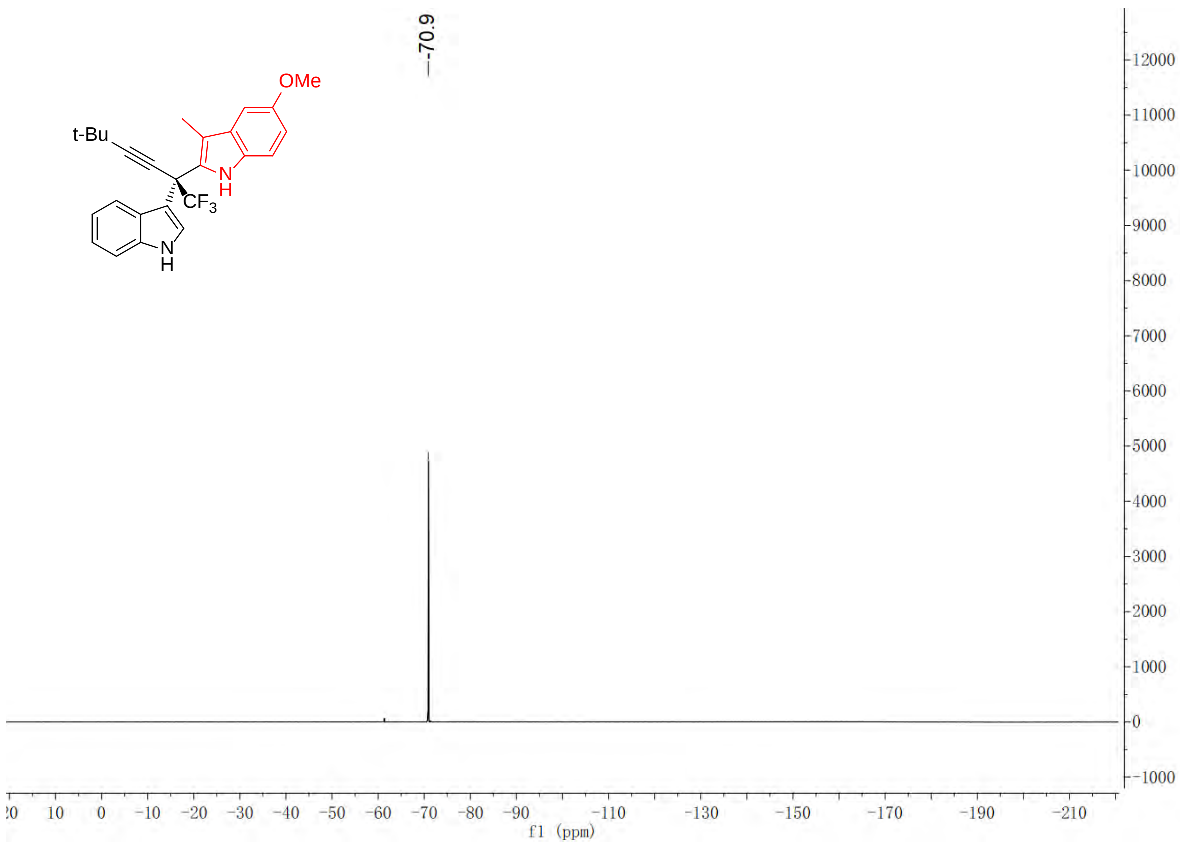
^{1}H NMR of compound 3g (in $CDCl_3$)



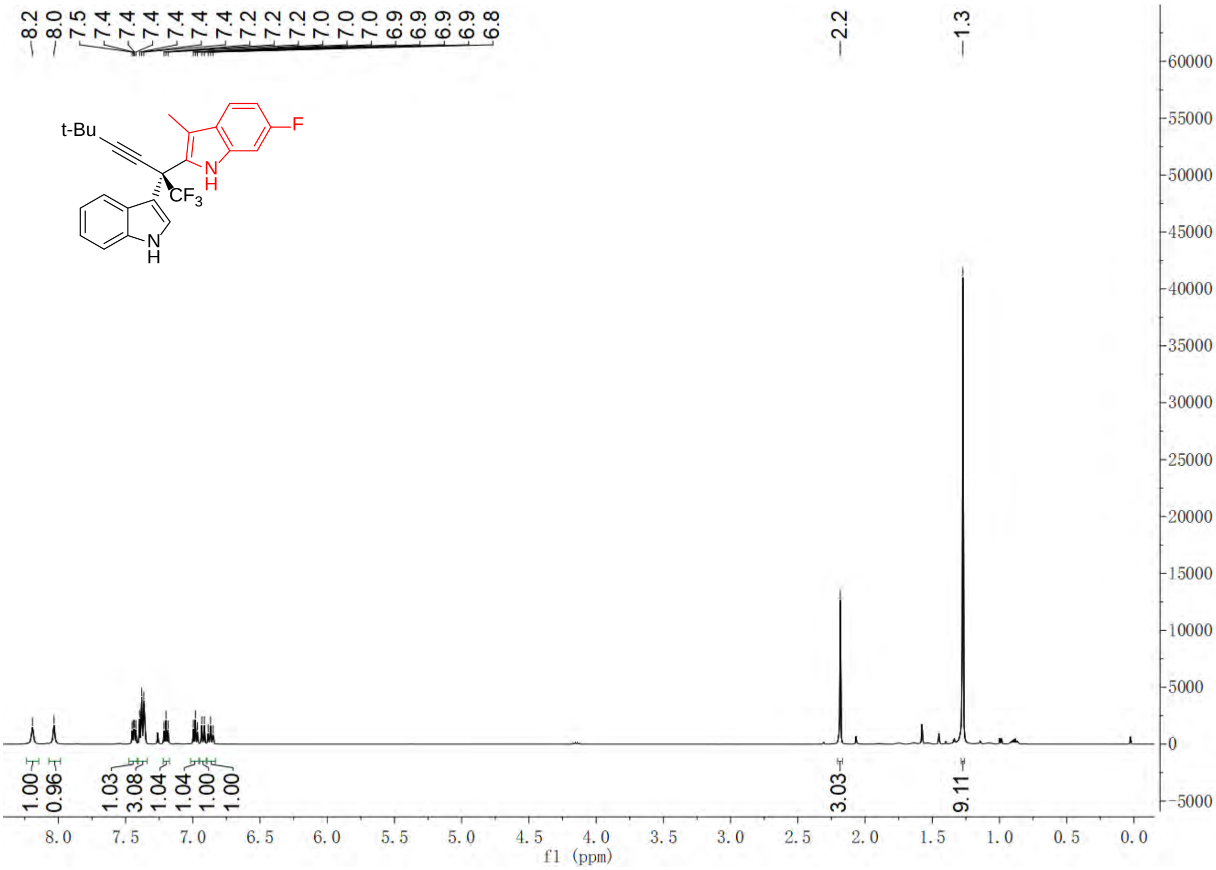
^{13}C NMR of compound 3g (in $CDCl_3$)



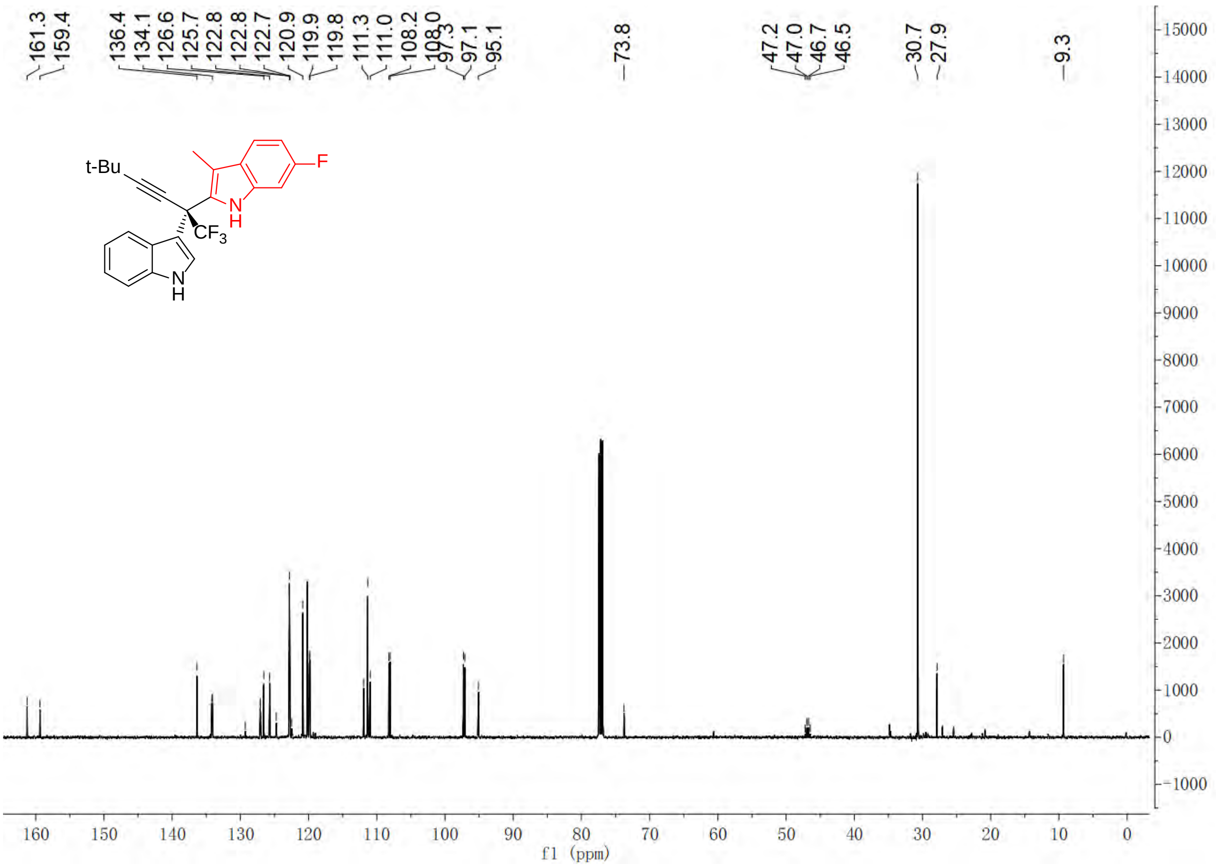
^{19}F NMR of compound 3g (in $CDCl_3$)



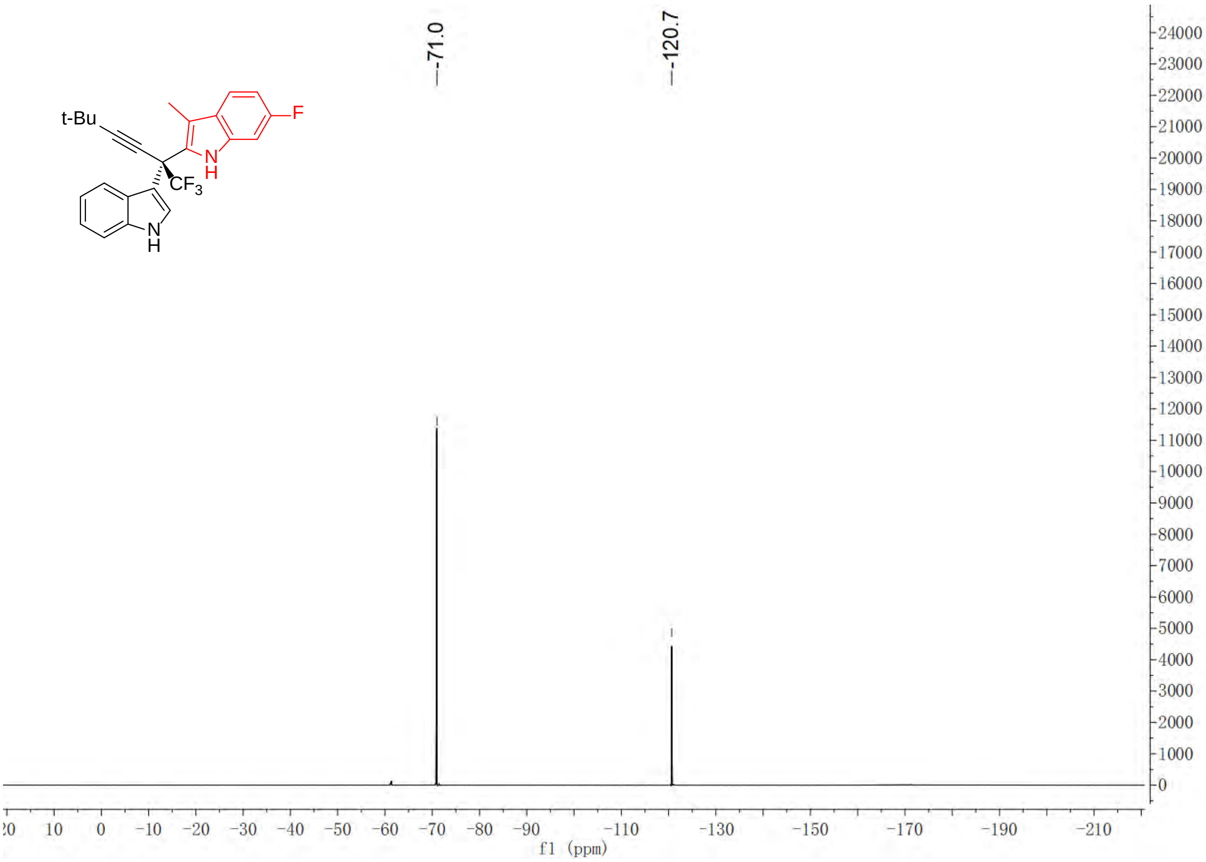
[1]H NMR of compound 3h (in $CDCl_3$)



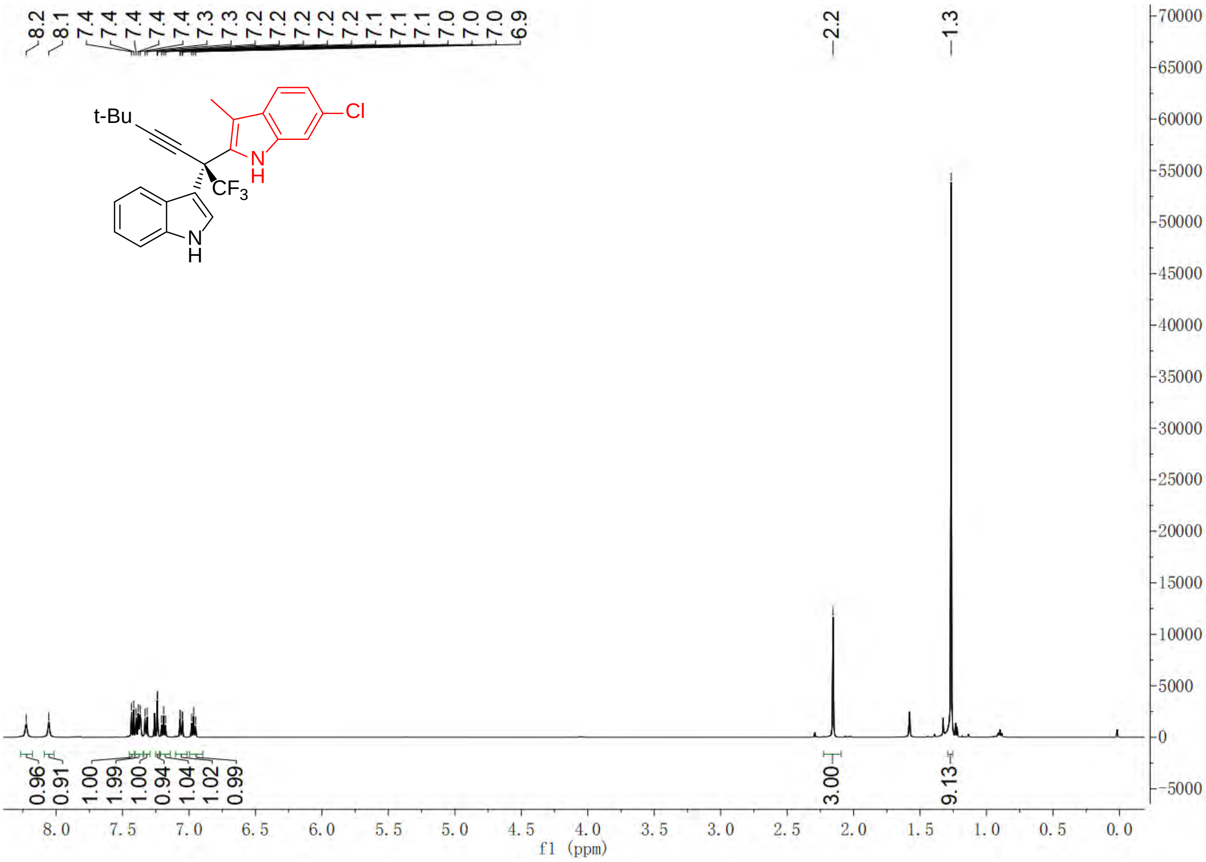
[13]C NMR of compound 3h (in $CDCl_3$)



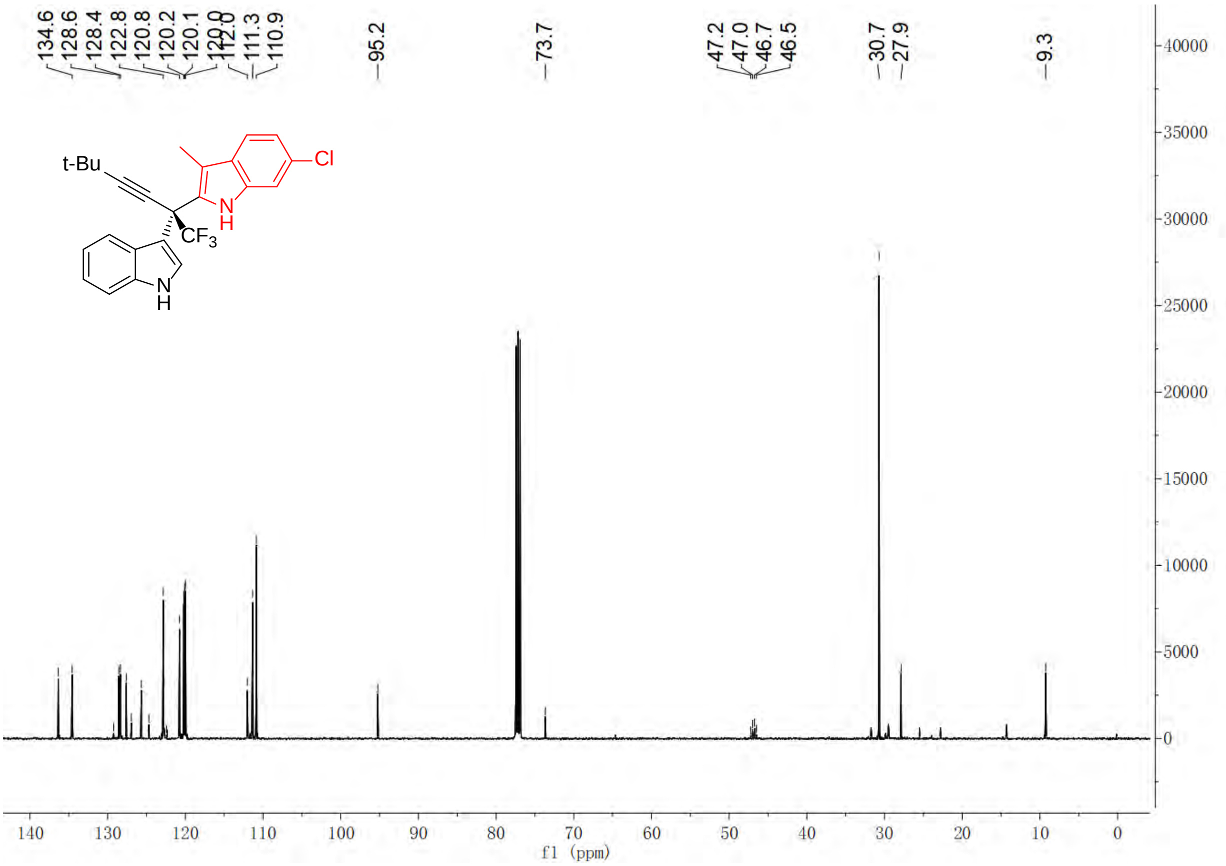
^{19}F NMR of compound 3h (in CDCl$_3$)



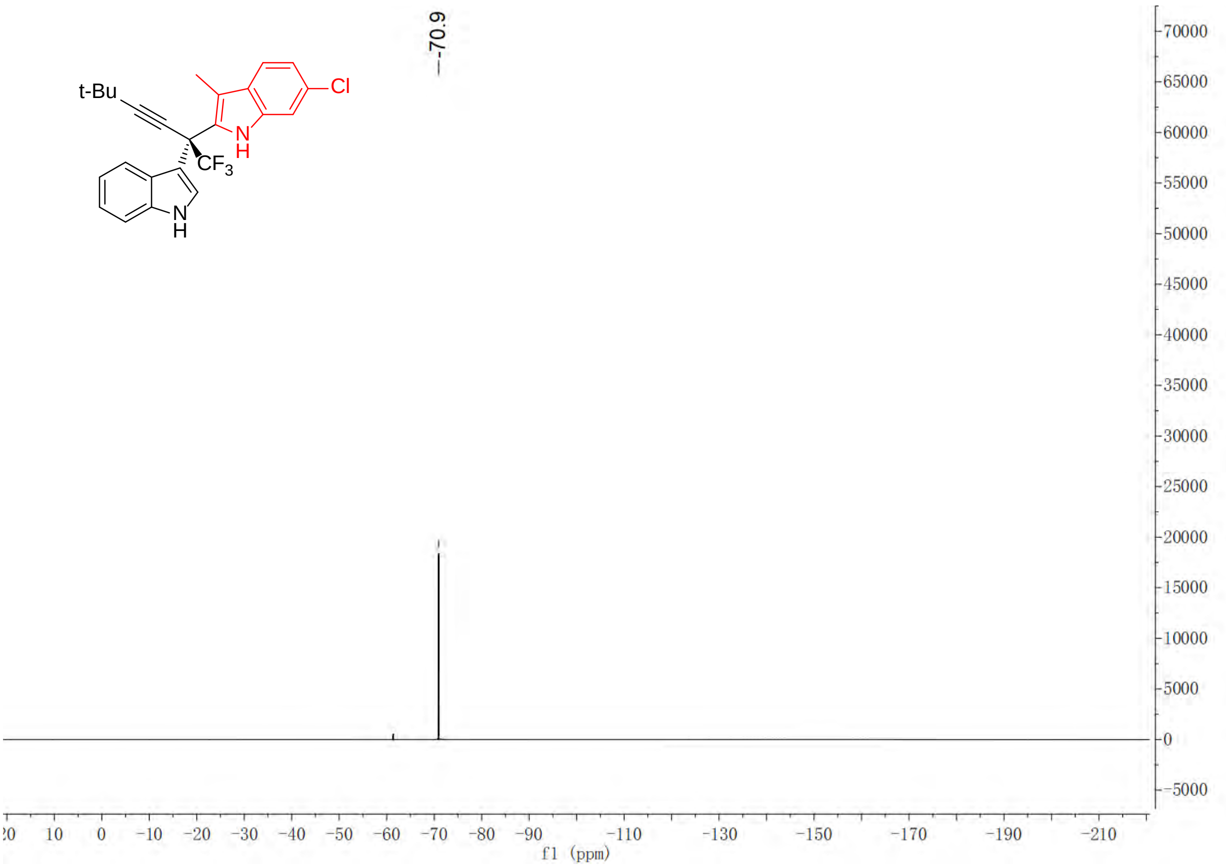
^{1}H NMR of compound 3i (in CDCl$_3$)



^{13}C NMR of compound 3i (in $CDCl_3$)



^{19}F NMR of compound 3i (in $CDCl_3$)



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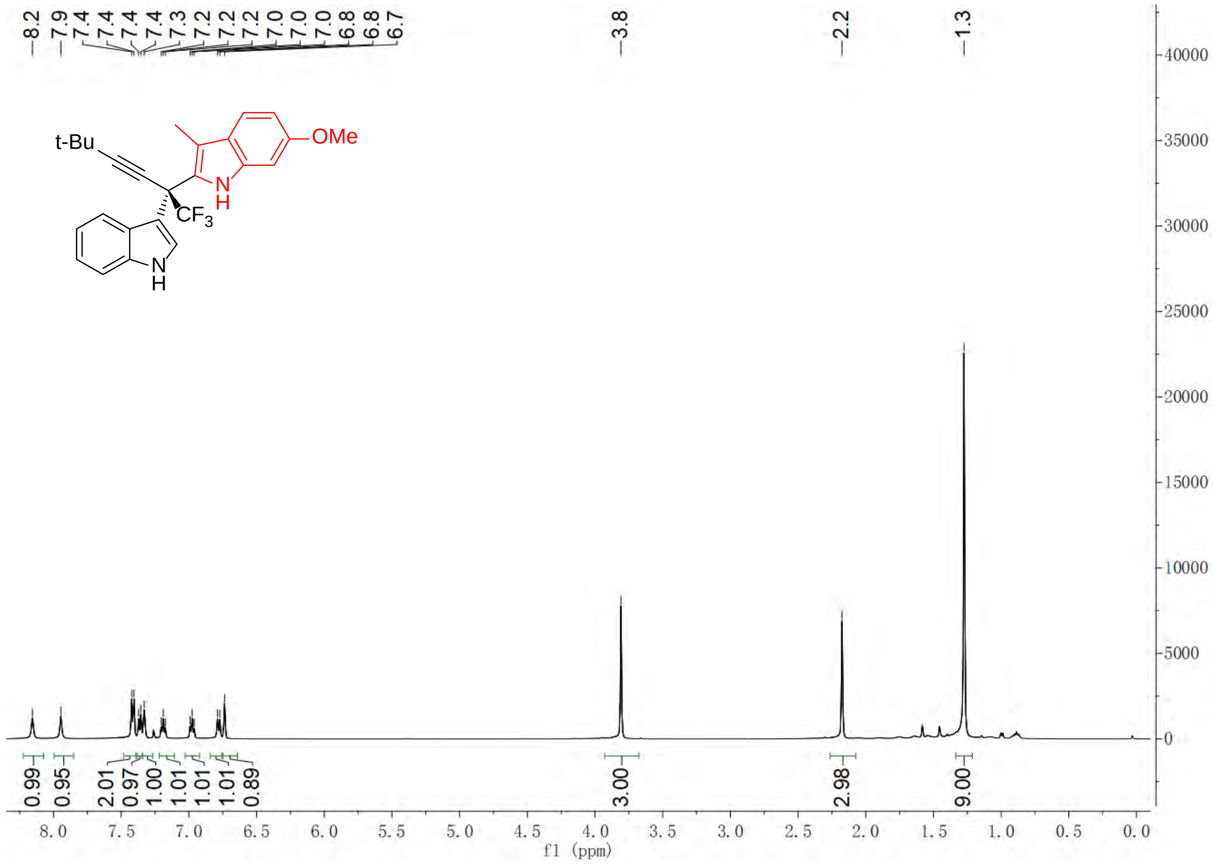
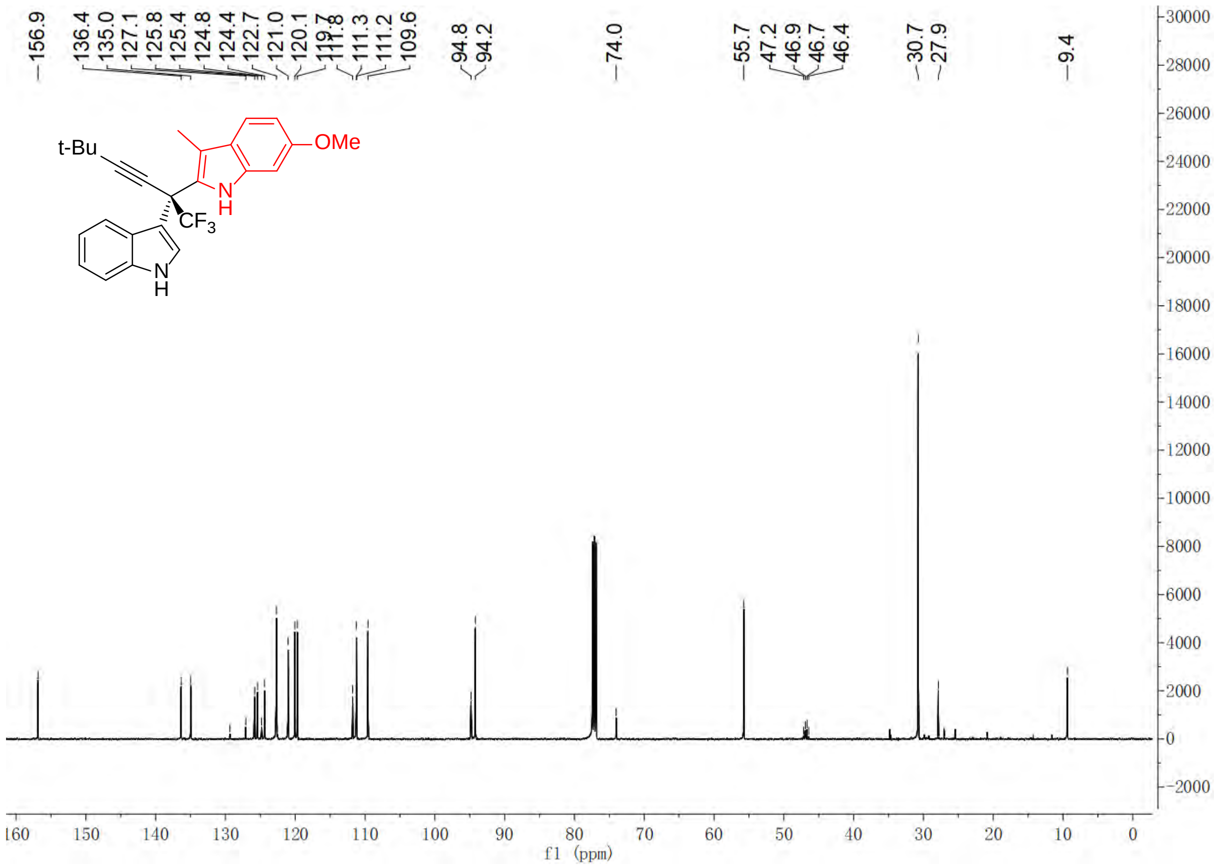


^{13}C NMR of compound 3j (in $CDCl_3$)



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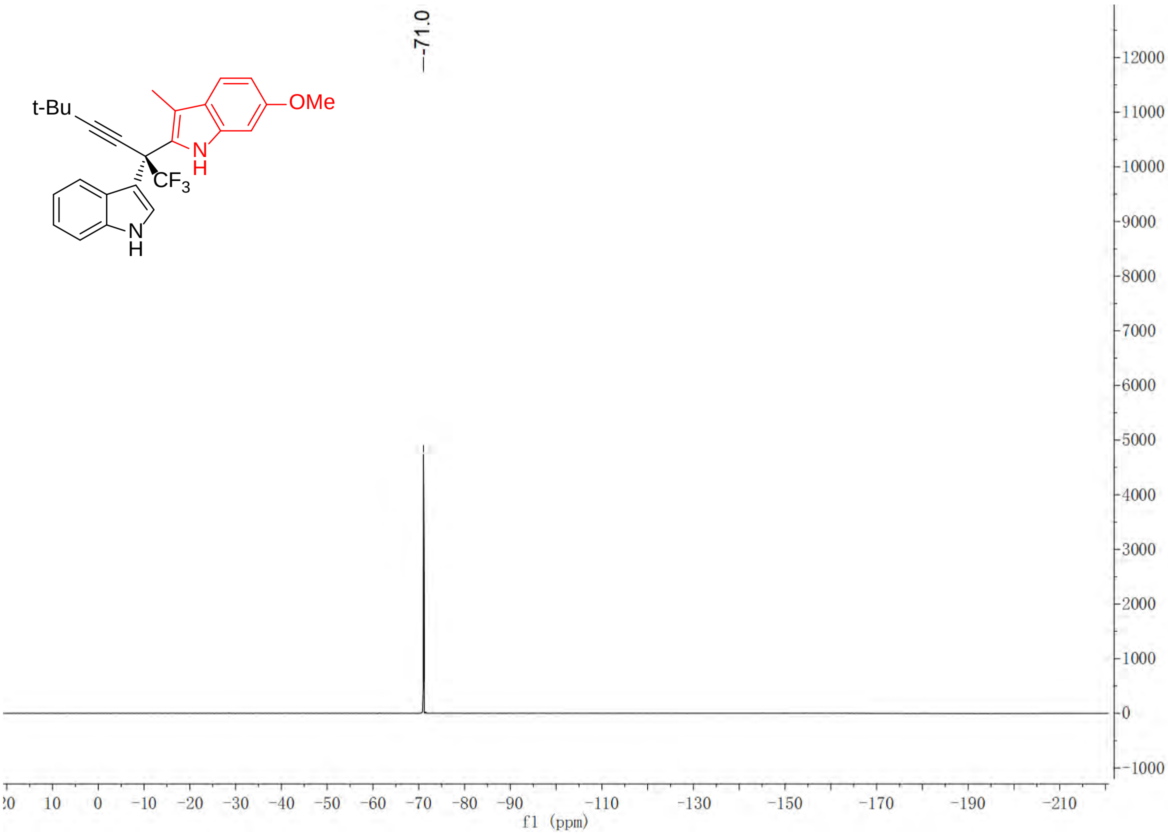
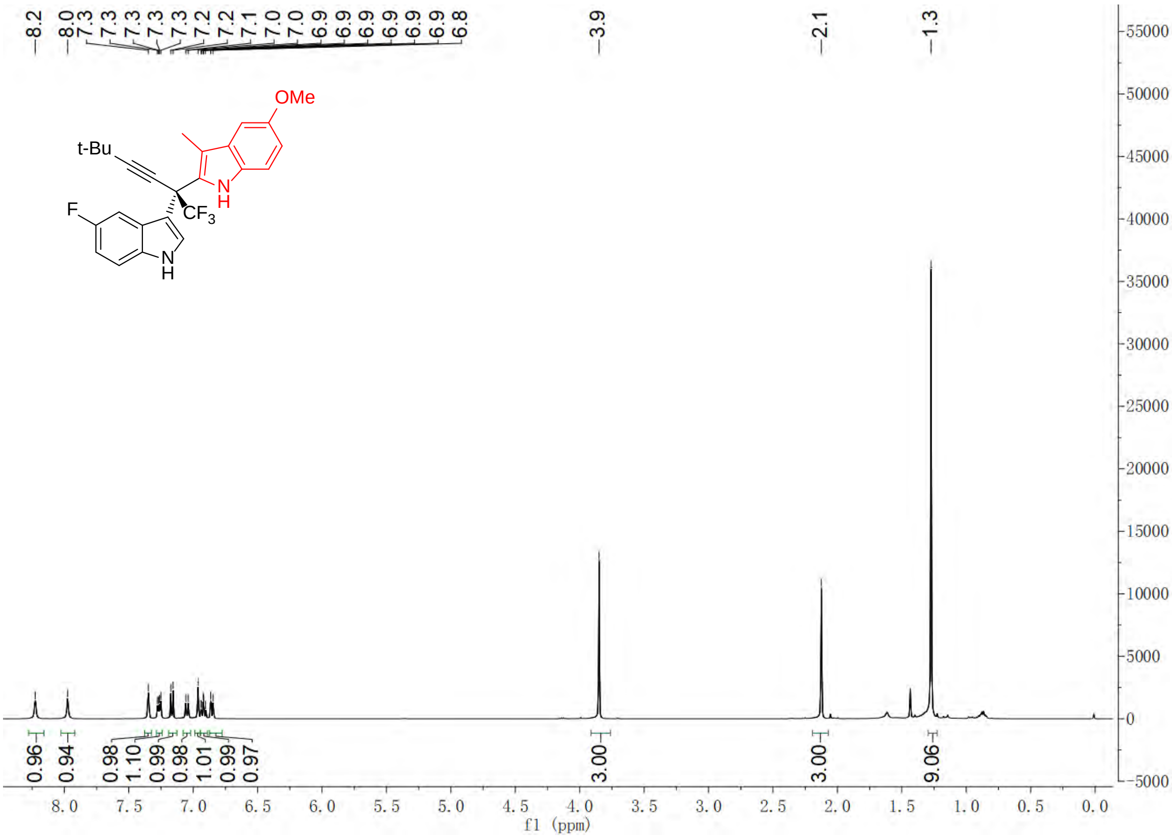
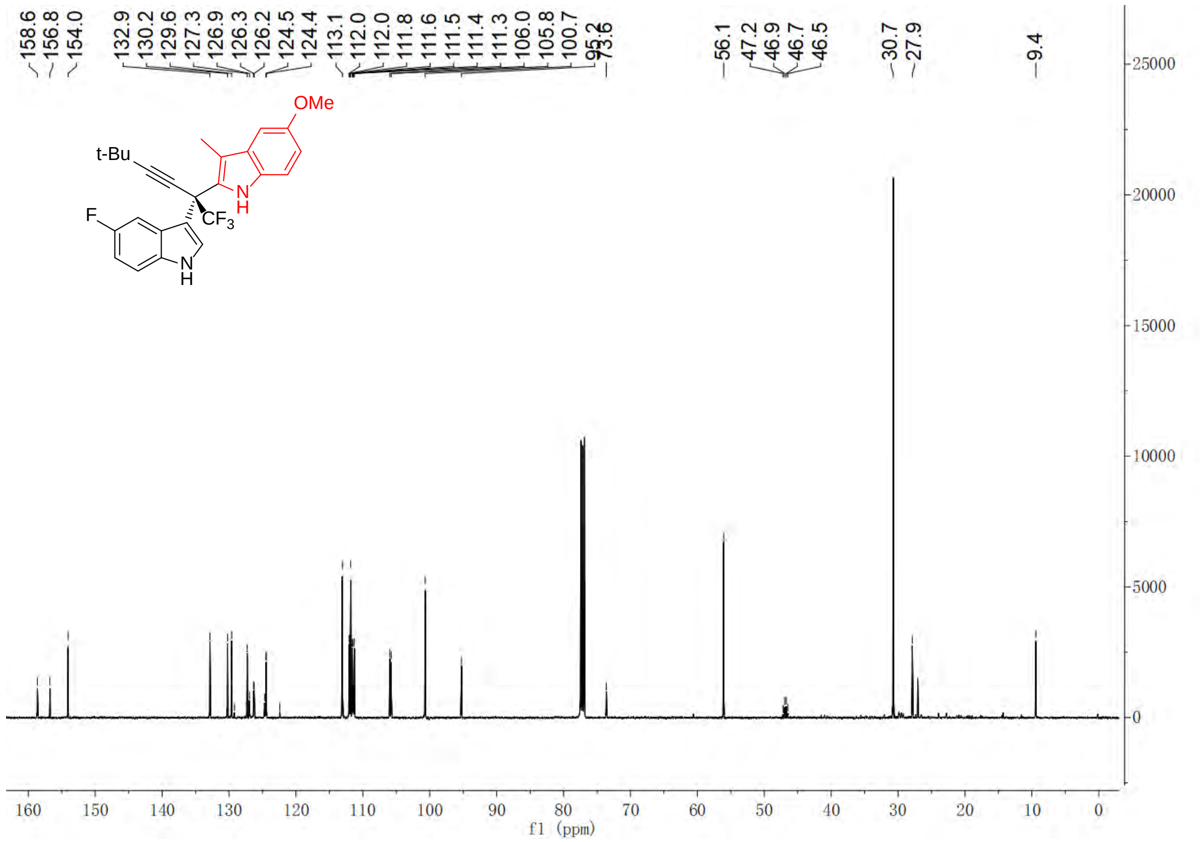


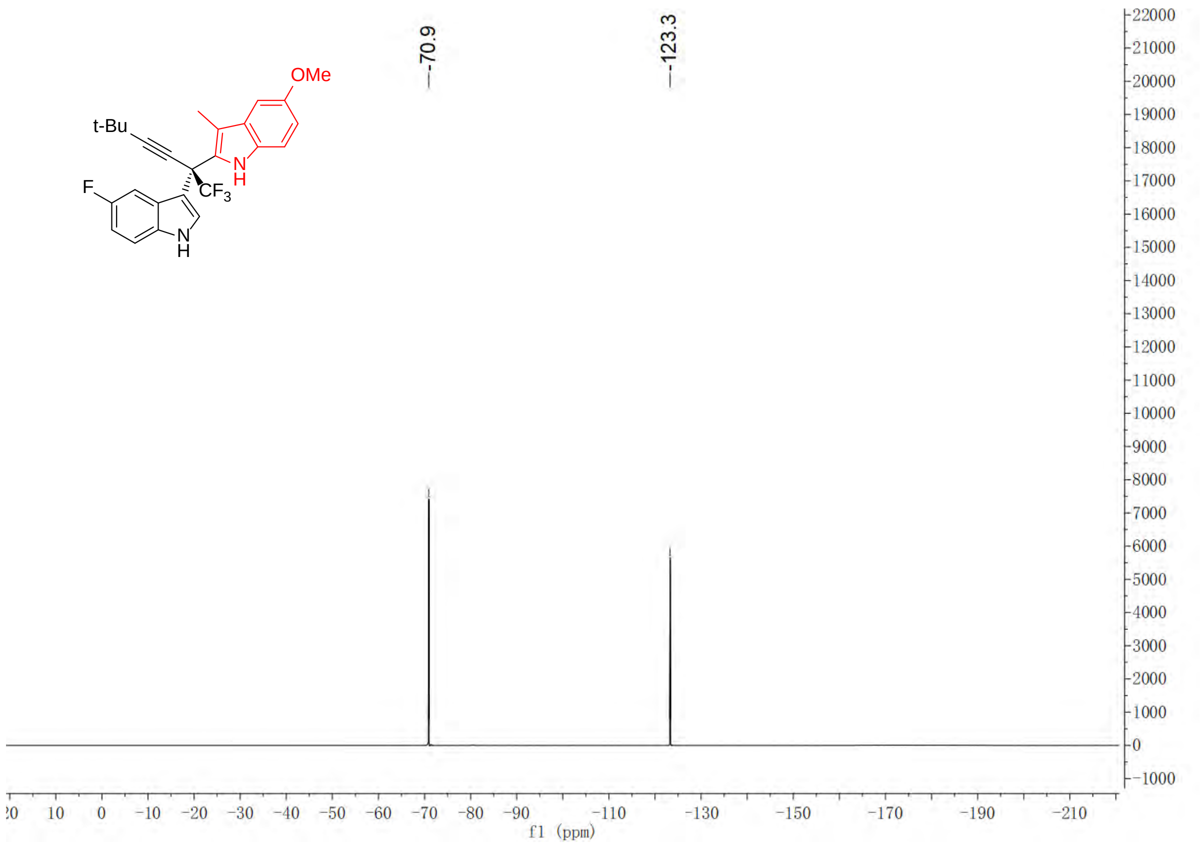
^{1}H NMR of compound 3k (in $CDCl_3$)



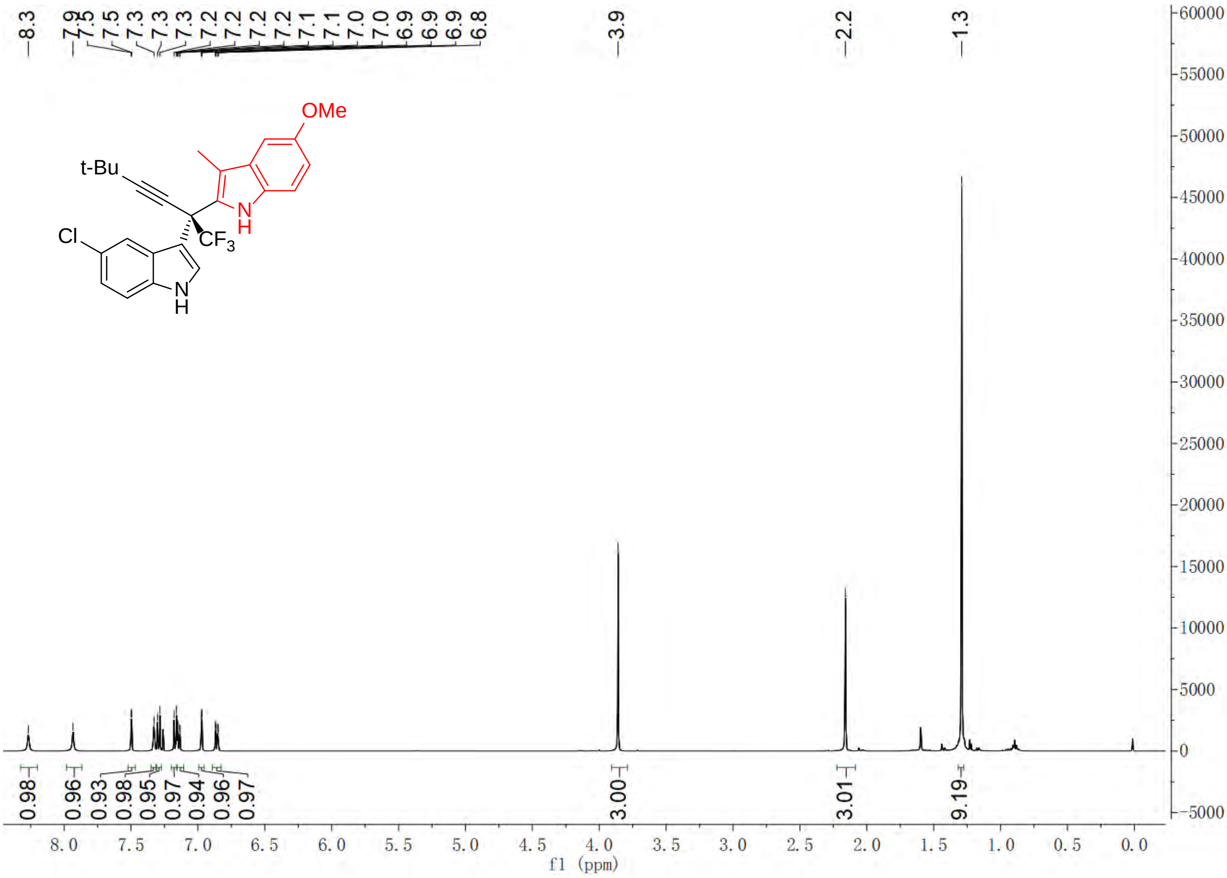
^{13}C NMR of compound 3k (in $CDCl_3$)



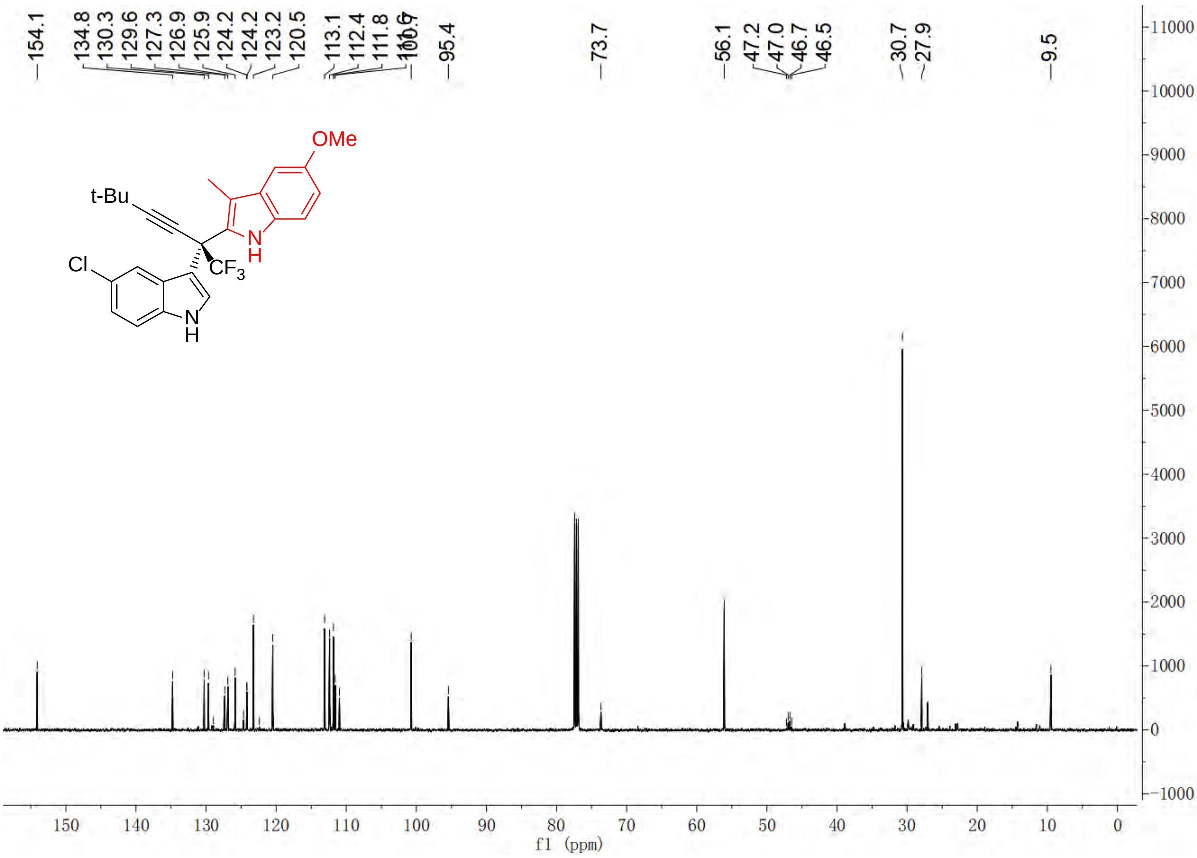
^{19}F NMR of compound 3k (in $CDCl_3$)



^{1}H NMR of compound 3l (in $CDCl_3$)



^{13}C NMR of compound 3l (in $CDCl_3$)



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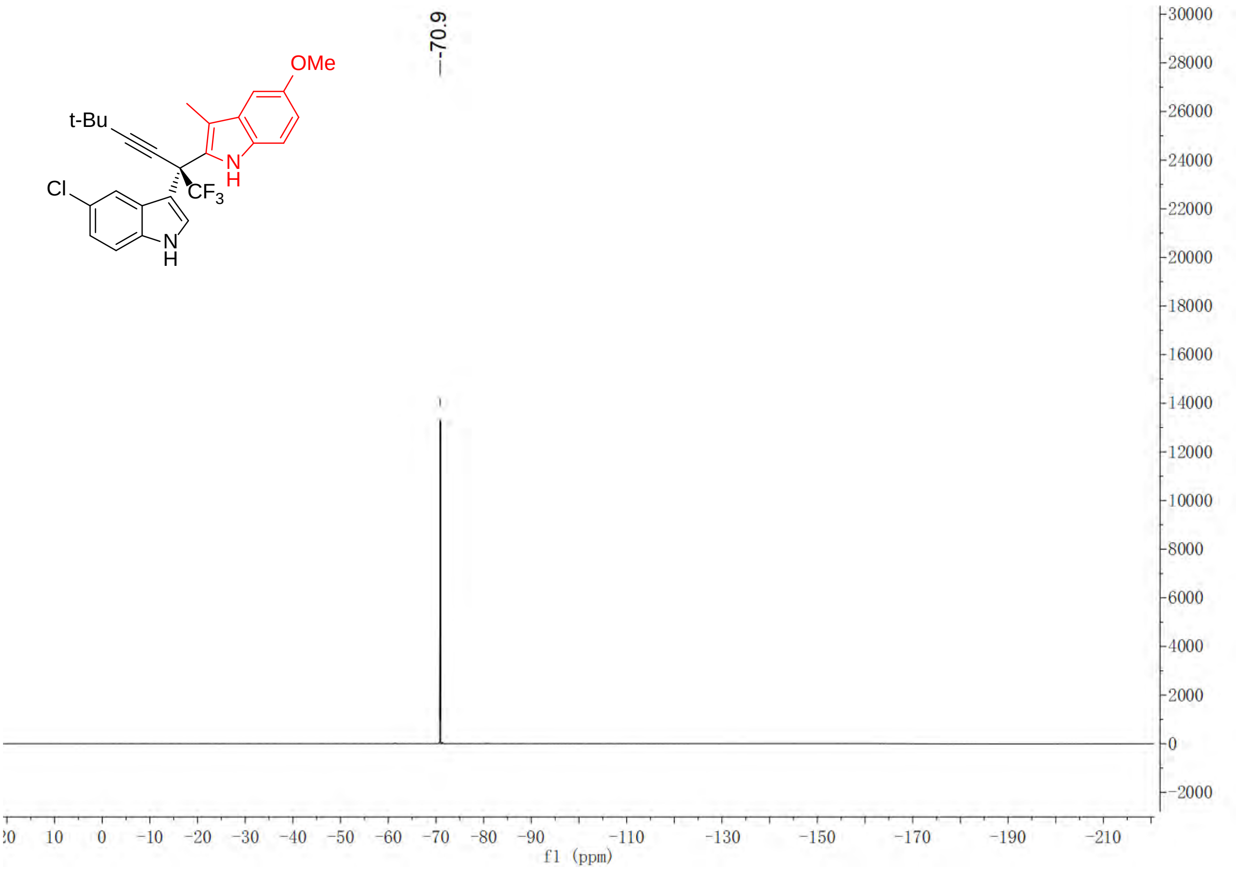
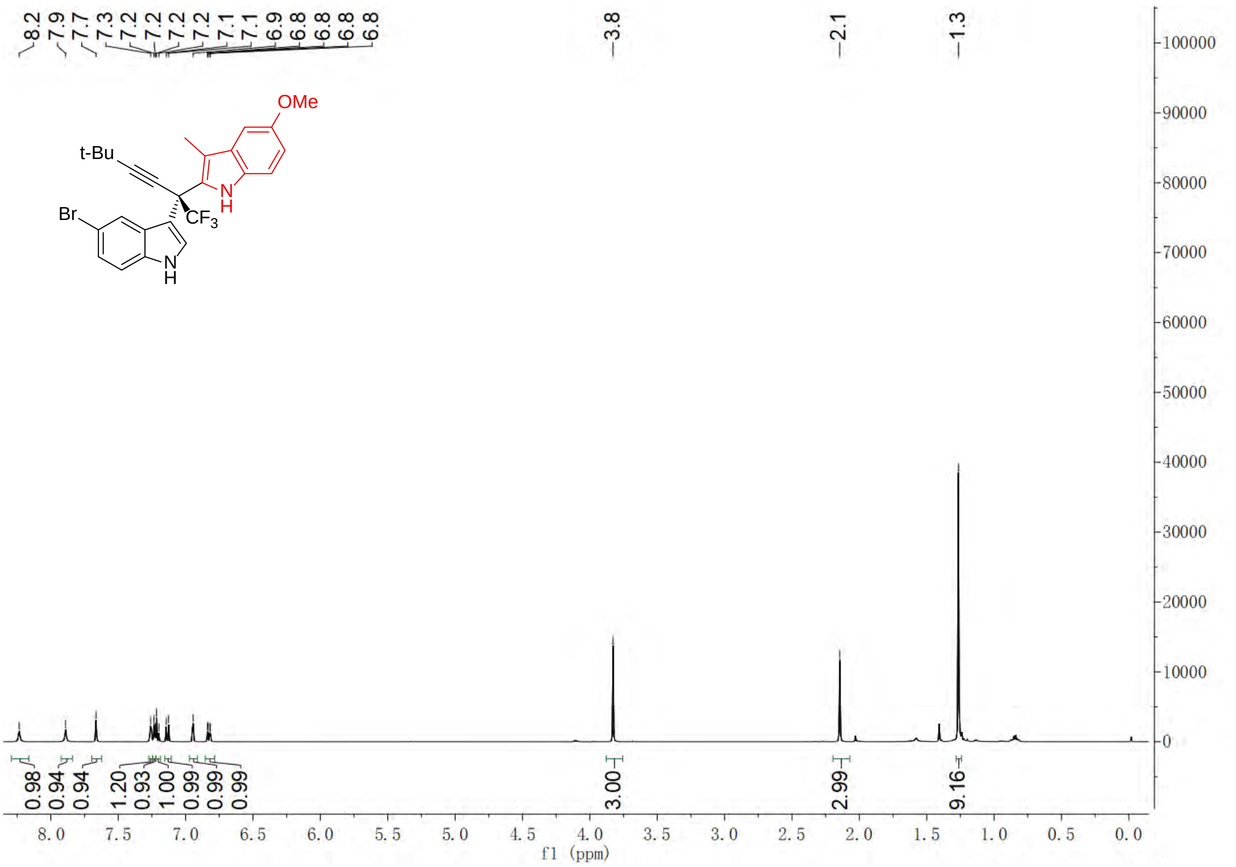
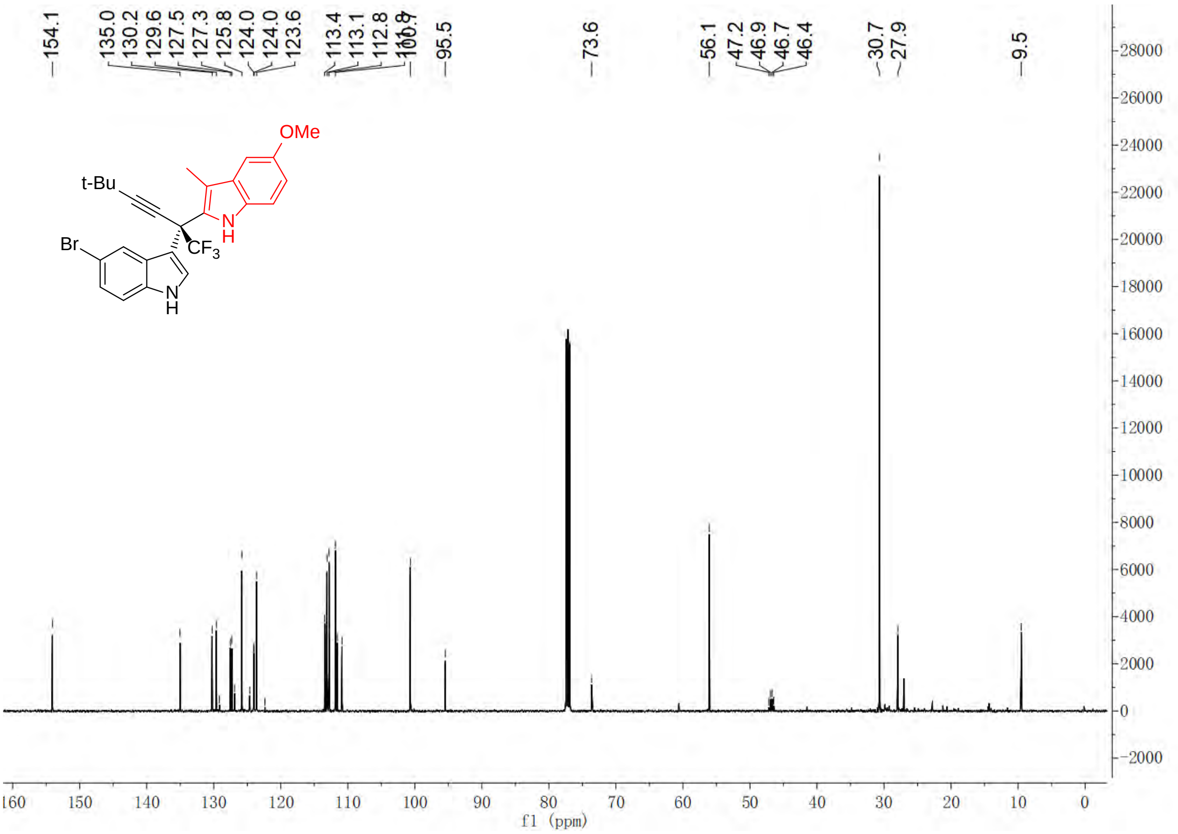


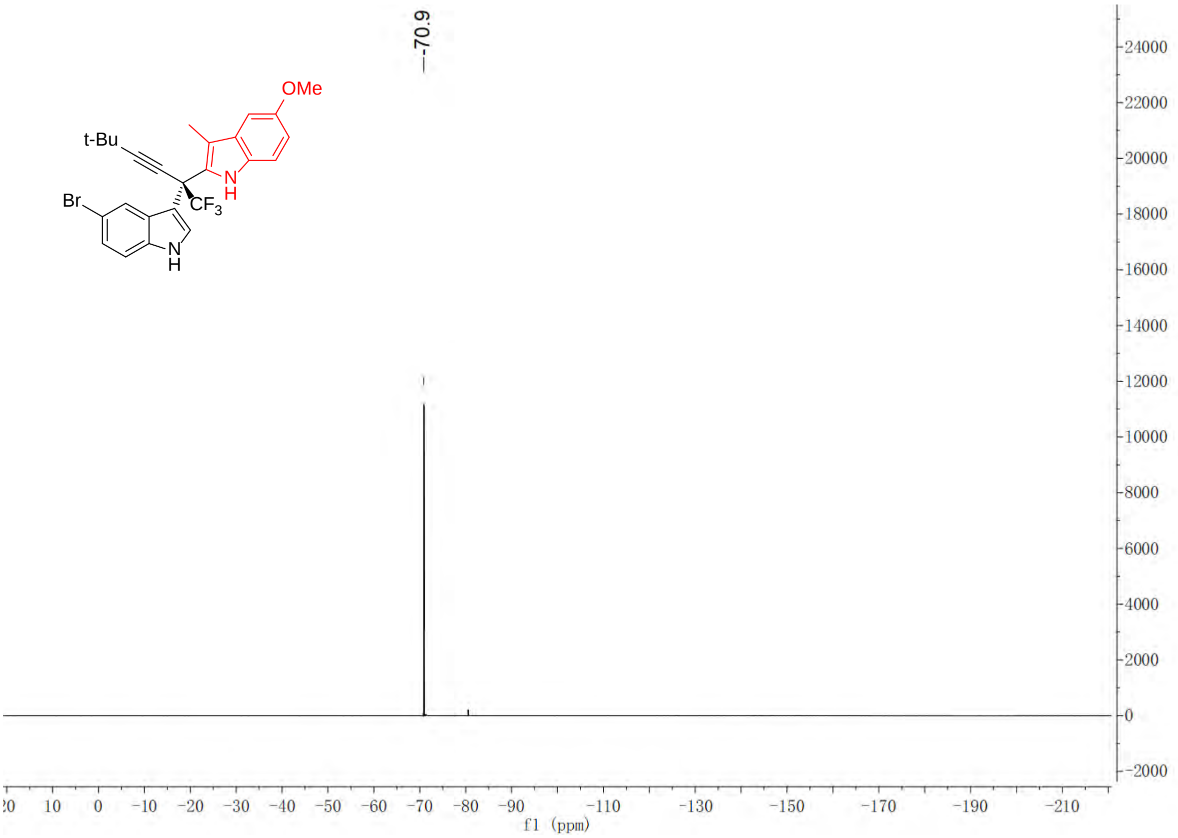
^{1}H NMR of compound 3m (in $CDCl_3$)



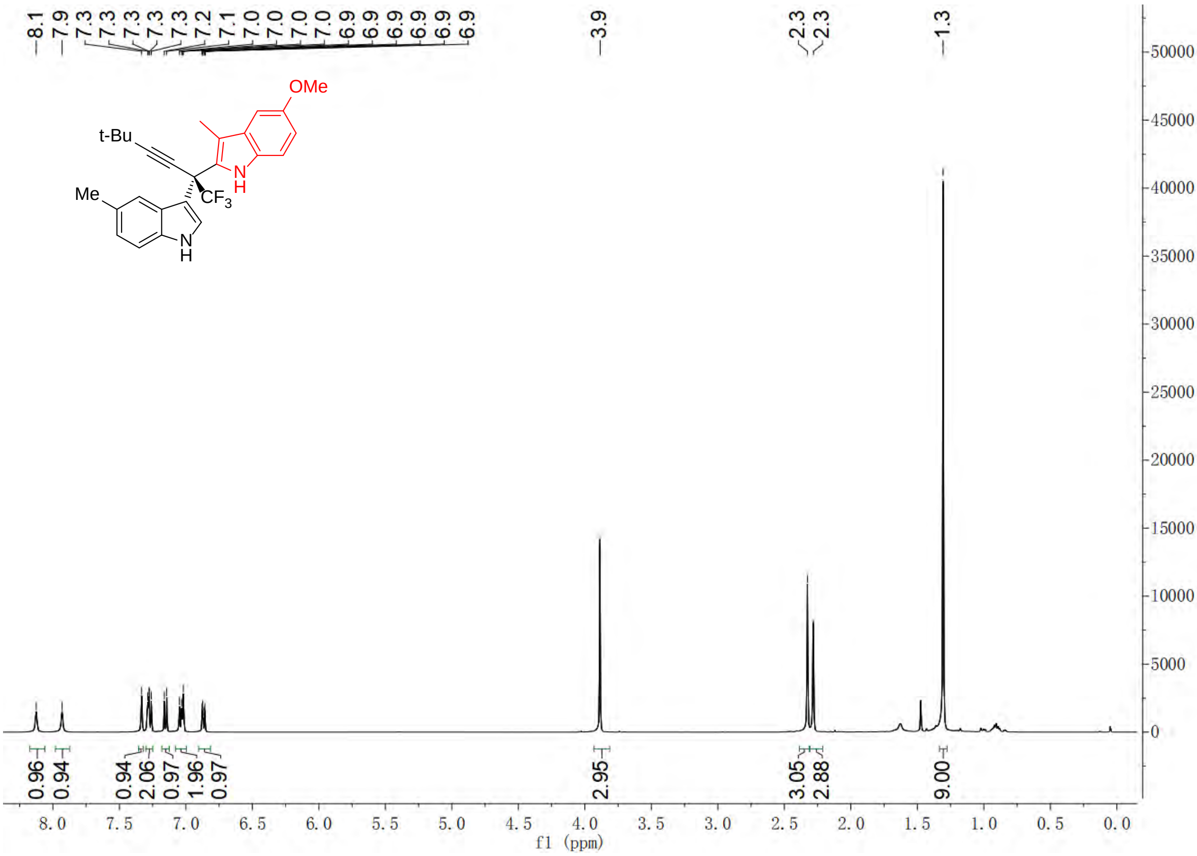
^{13}C NMR of compound 3m (in $CDCl_3$)



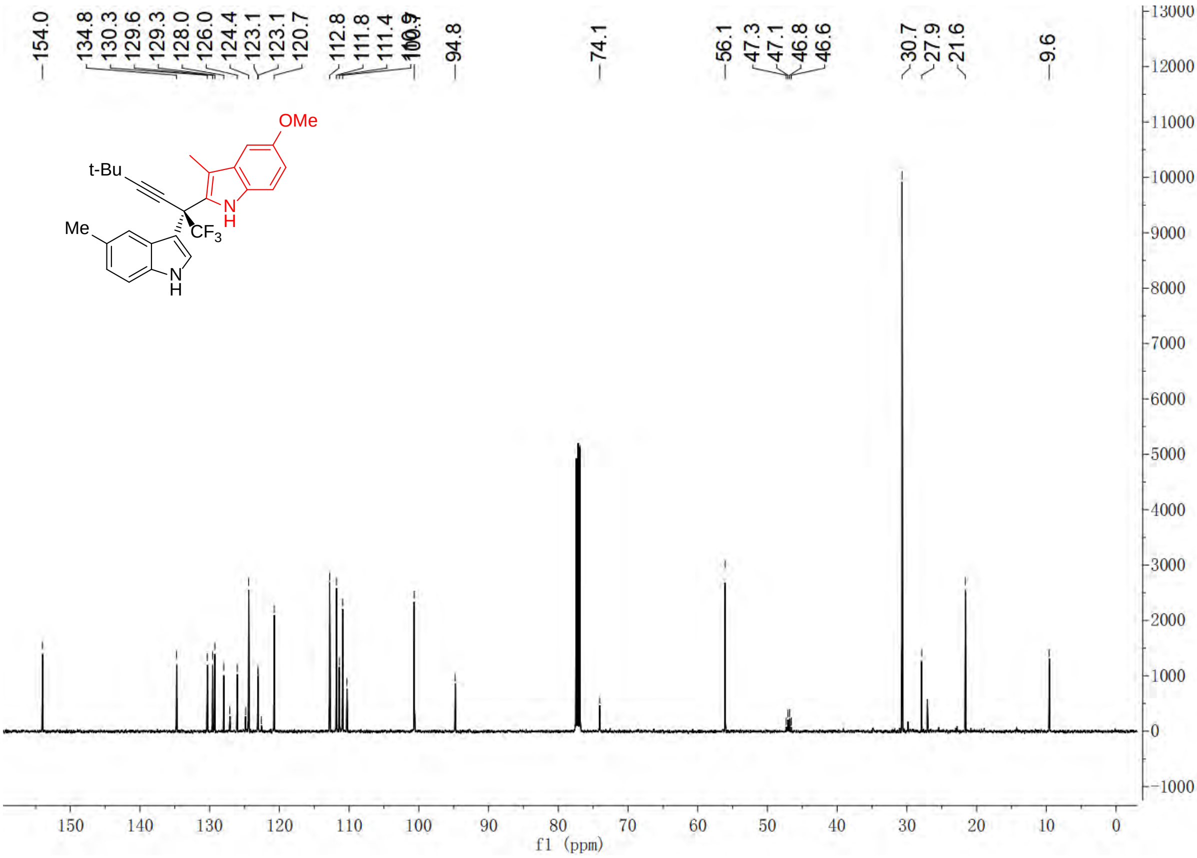
^{19}F NMR of compound 3m (in $CDCl_3$)



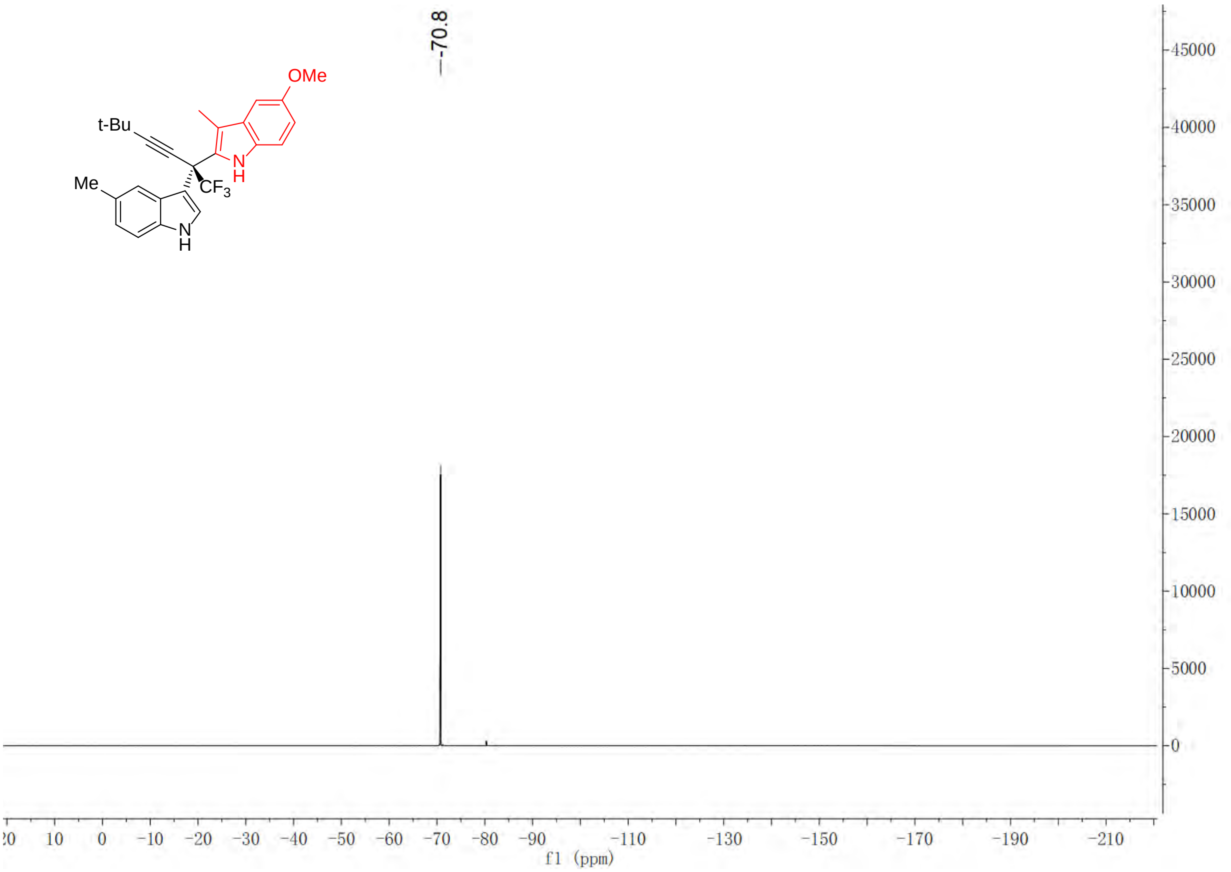
^{1}H NMR of compound 3n (in $CDCl_3$)



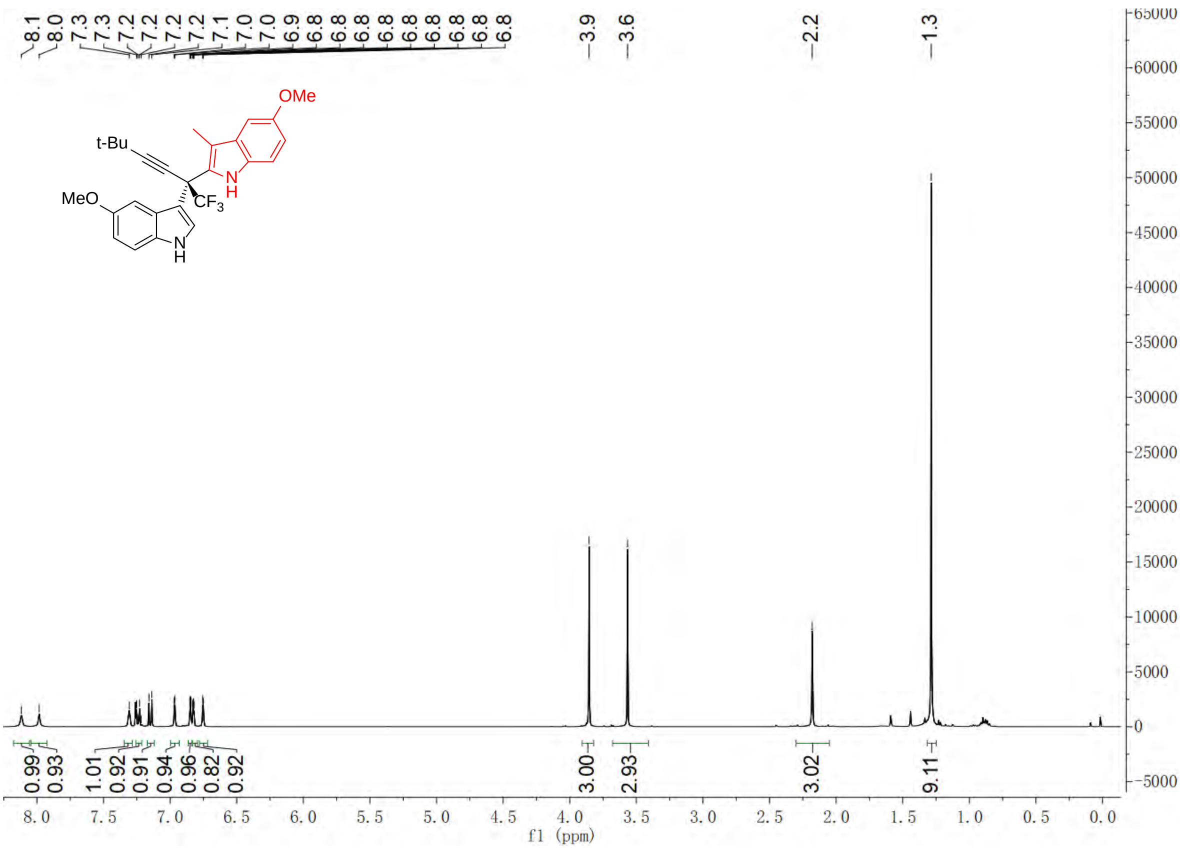
^{13}C NMR of compound 3n (in $CDCl_3$)



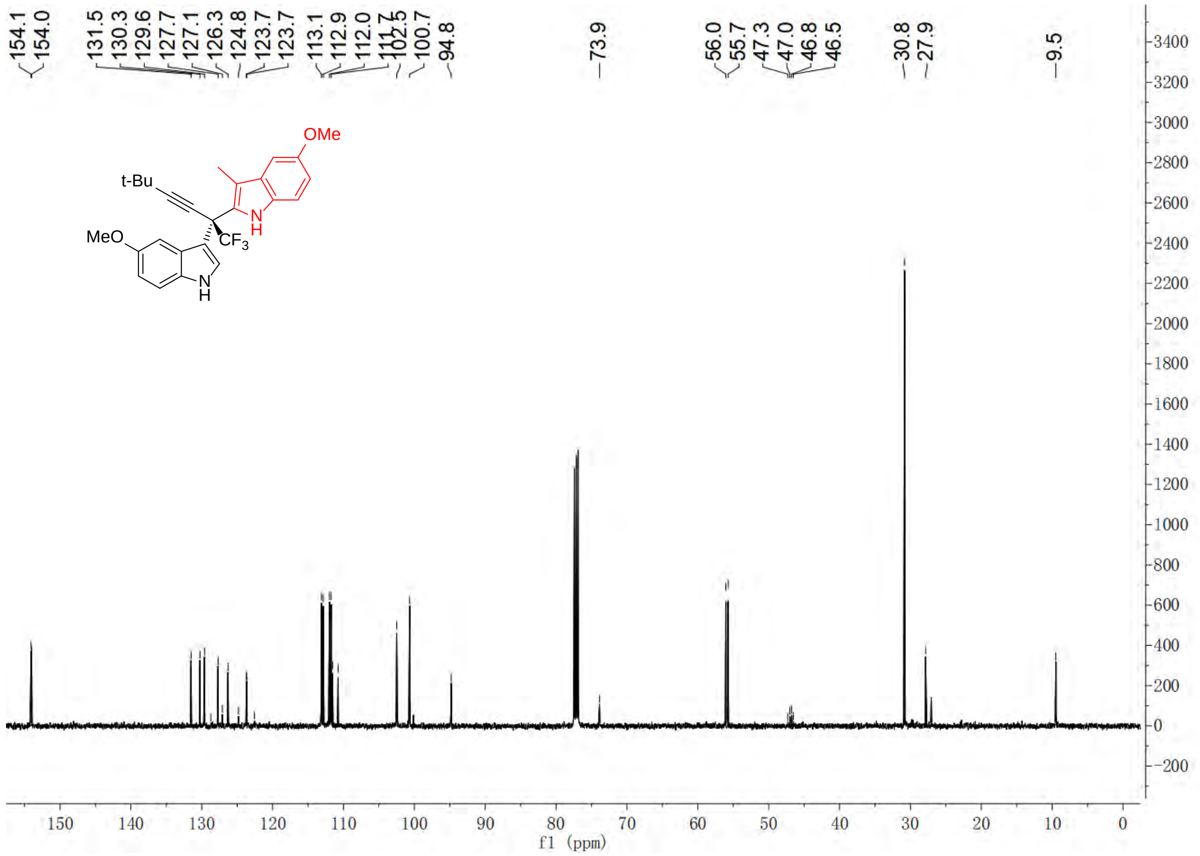
^{19}F NMR of compound 3n (in $CDCl_3$)



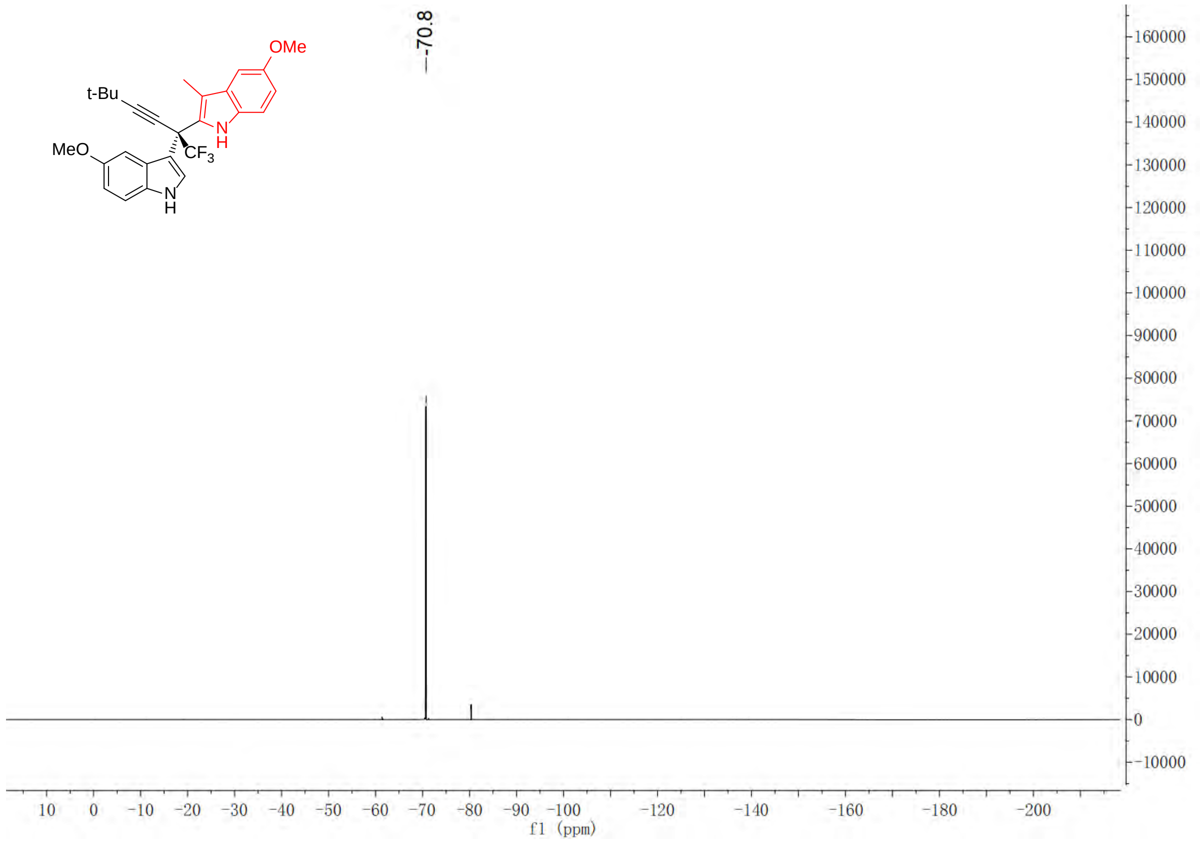
^{1}H NMR of compound 3o (in $CDCl_3$)



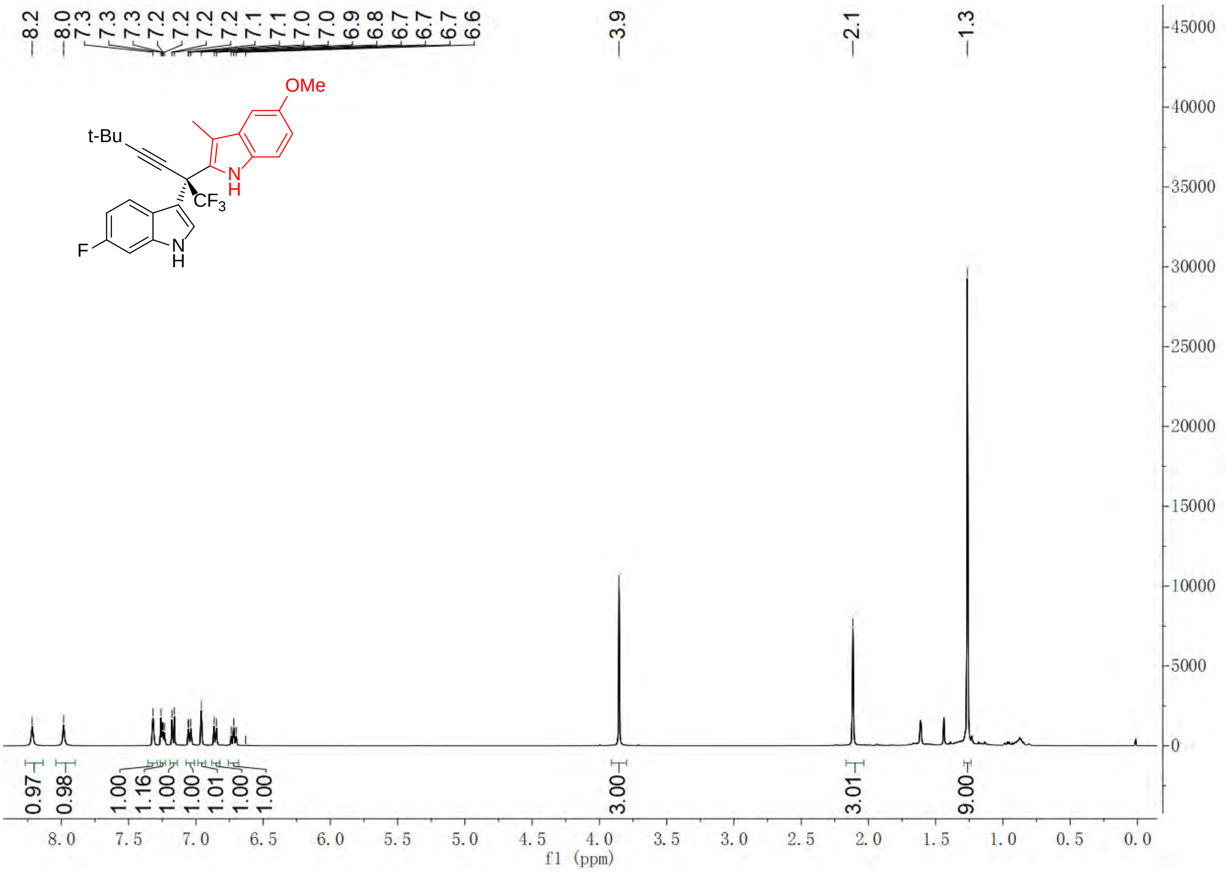
^{13}C NMR of compound 3o (in $CDCl_3$)



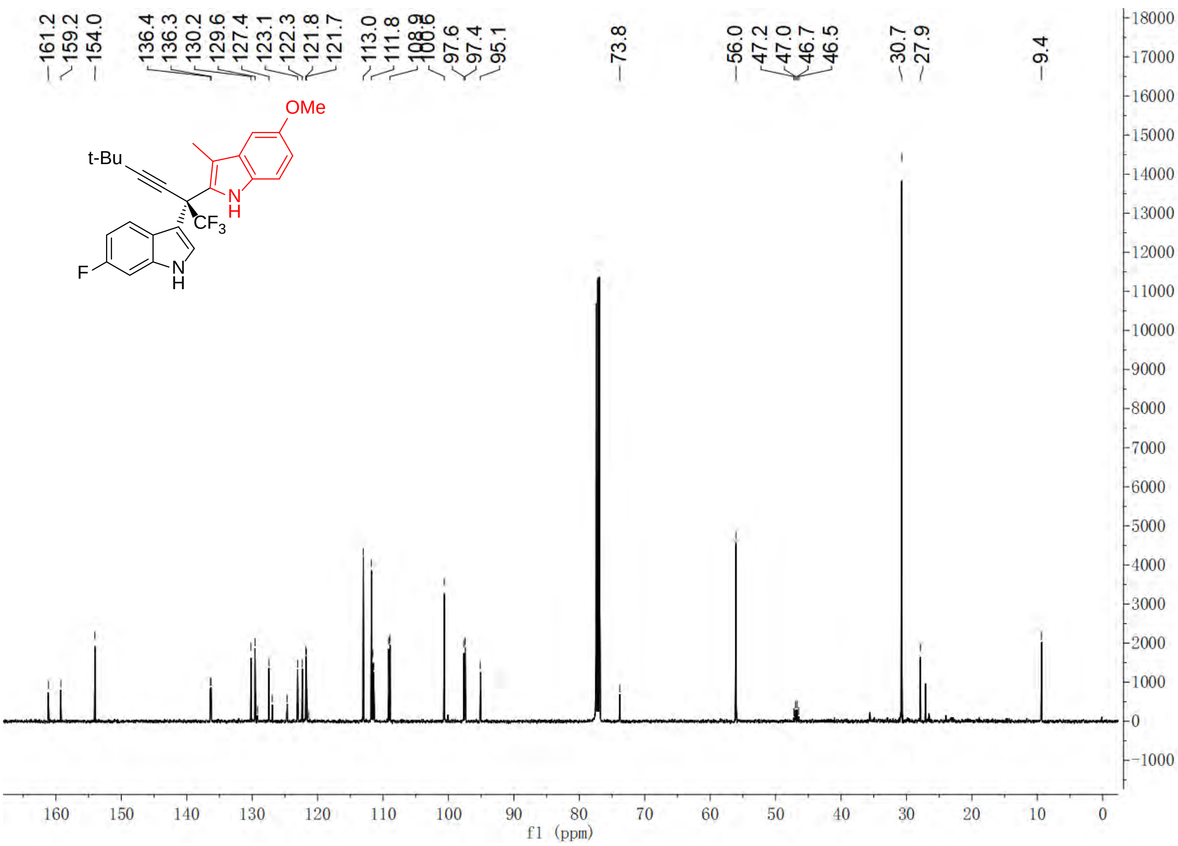
^{19}F NMR of compound 3o (in $CDCl_3$)



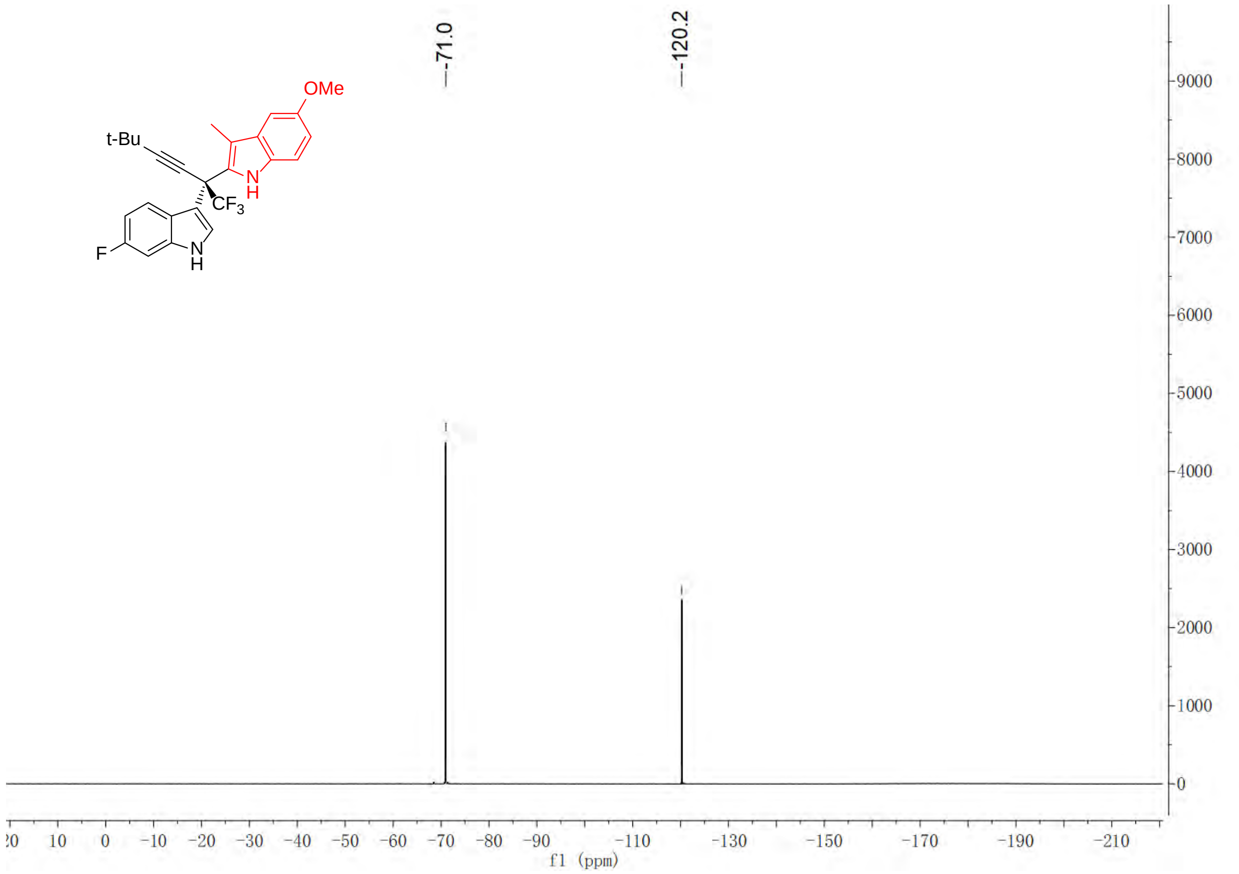
^1H NMR of compound 3p (in $CDCl_3$)



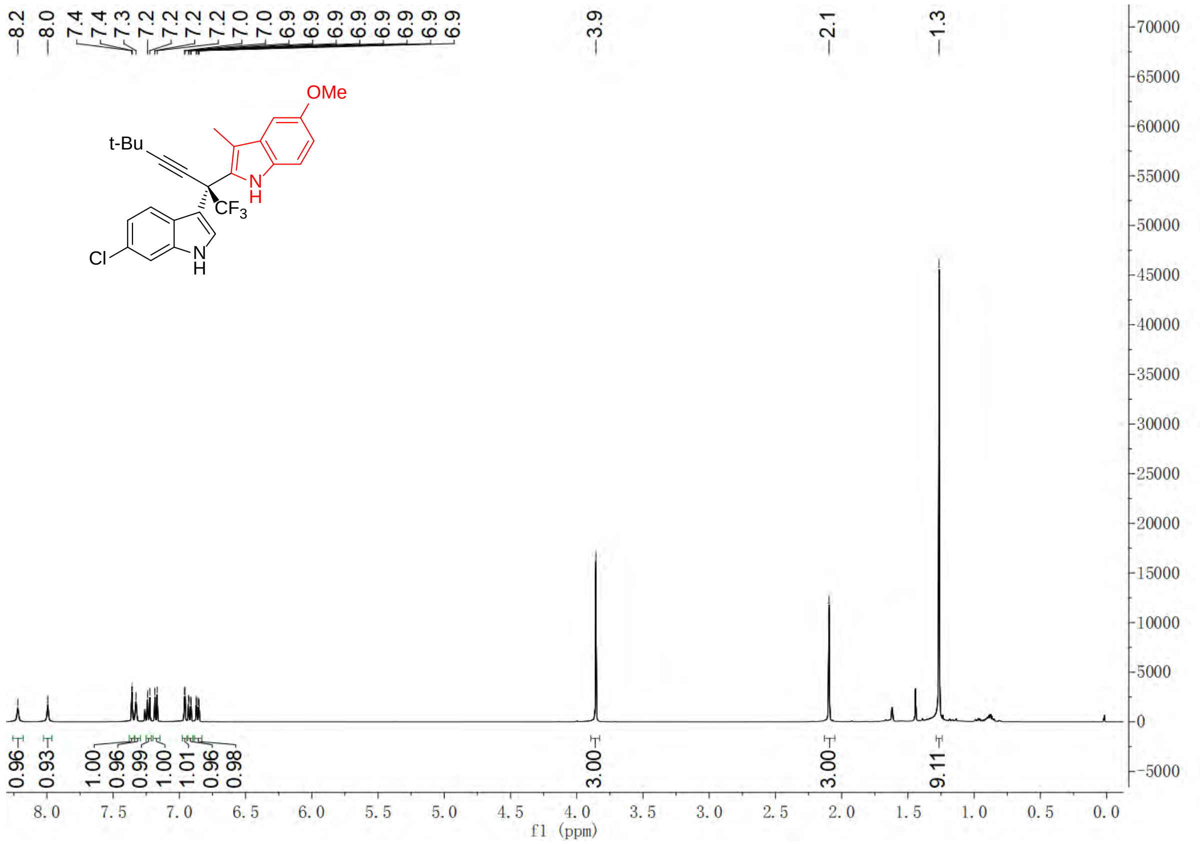
^{13}C NMR of compound 3p (in $CDCl_3$)



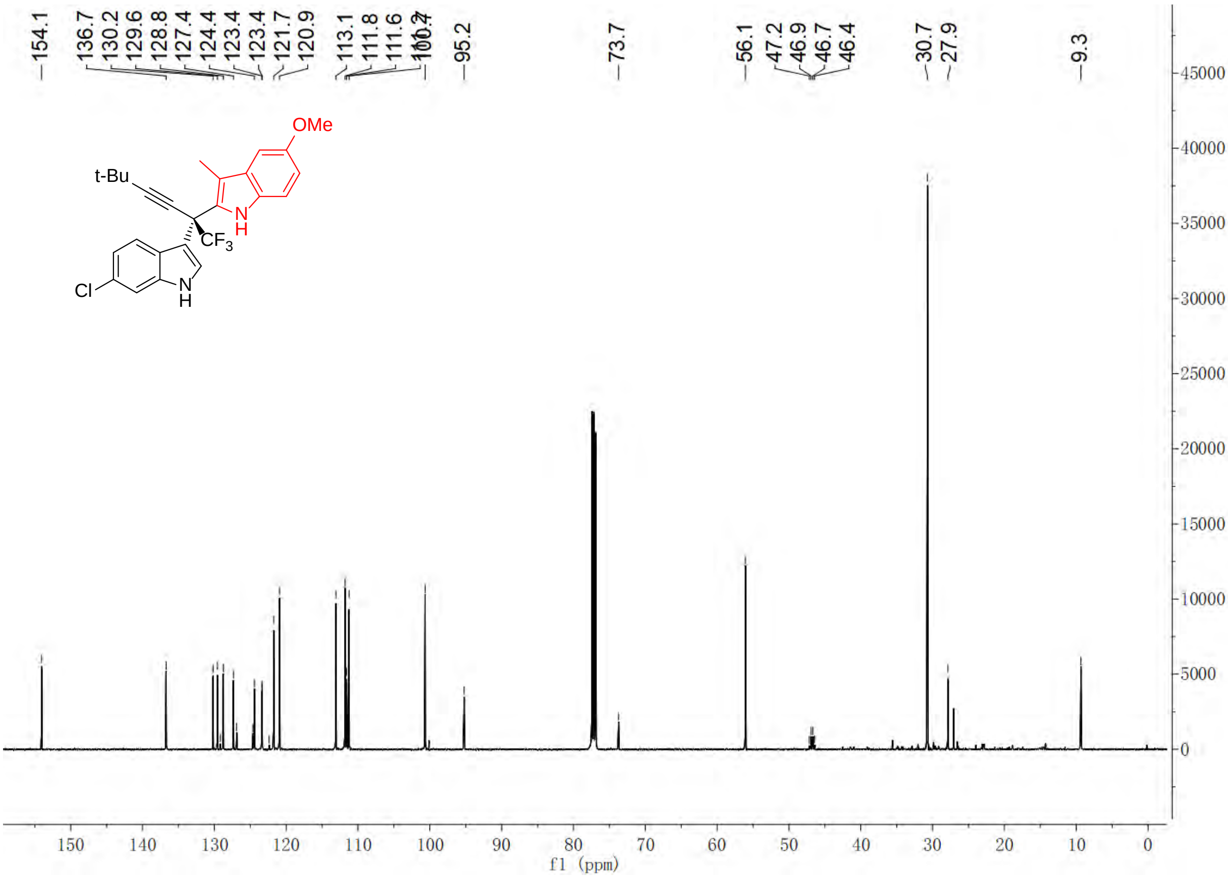
[19]F NMR of compound 3p (in CDCl$_3$)



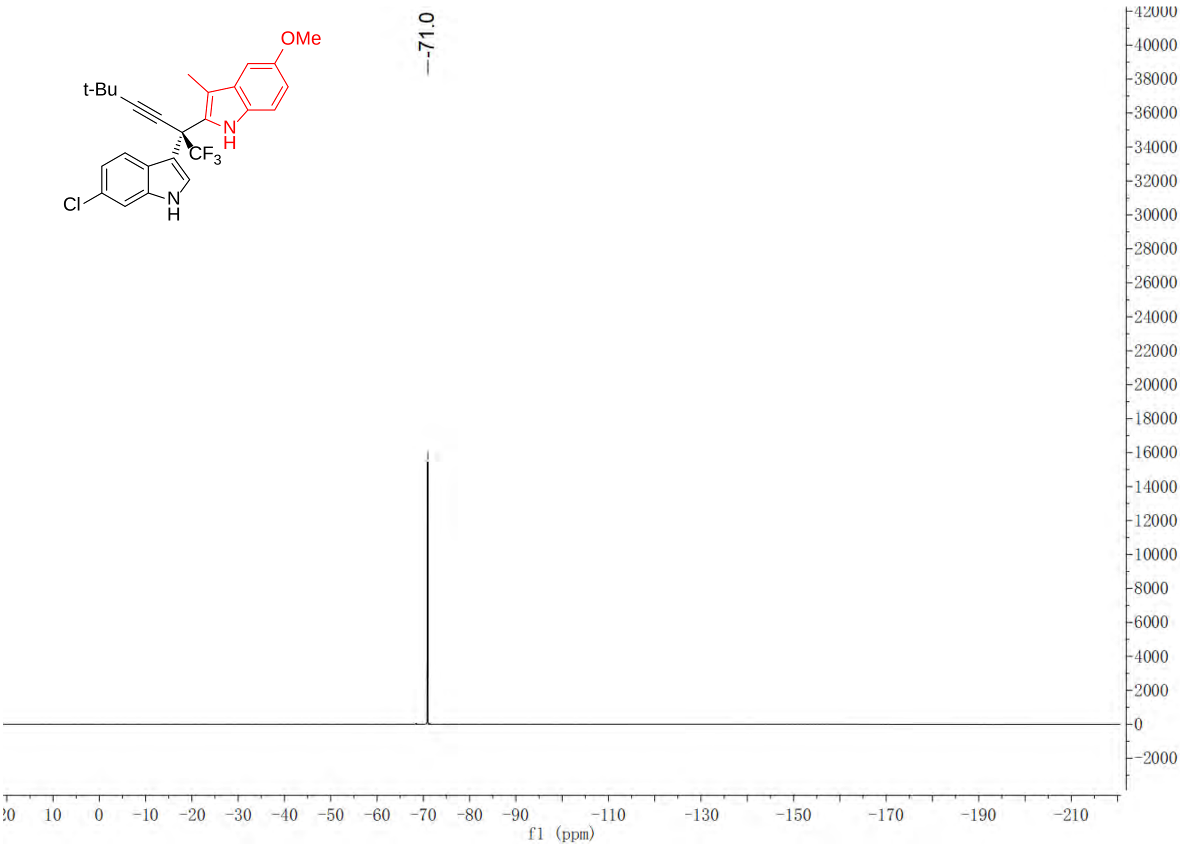
[1]H NMR of compound 3q (in CDCl$_3$)



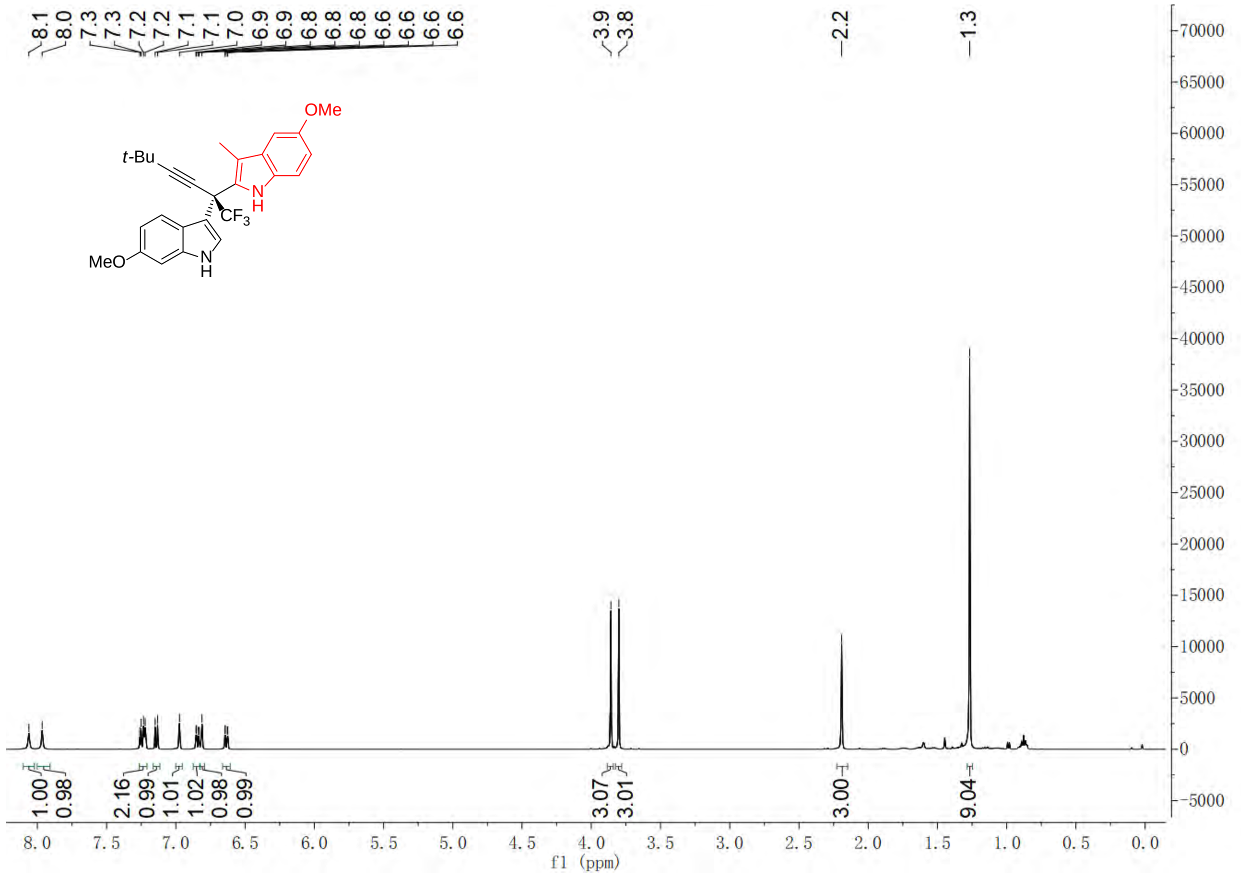
[13]C NMR of compound 3q (in CDCl3)



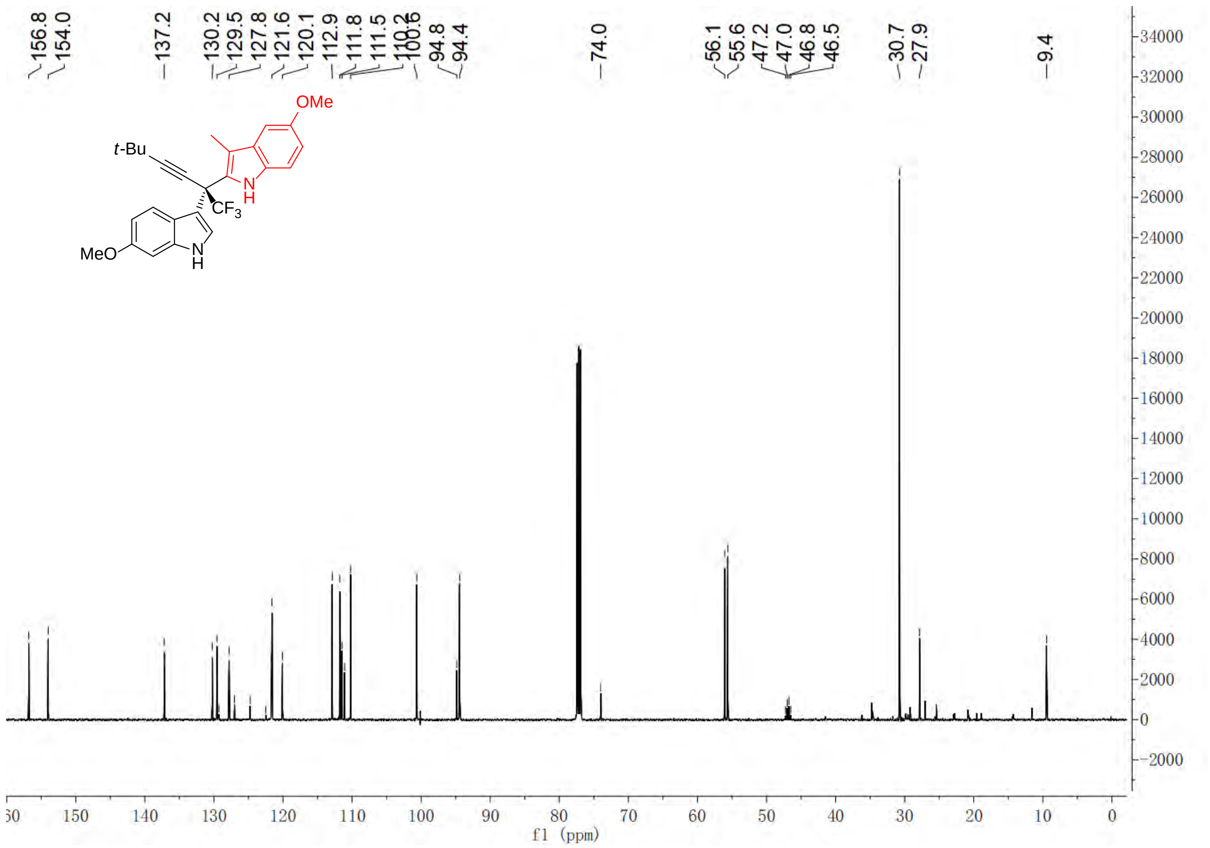
[19]F NMR of compound 3q (in CDCl3)



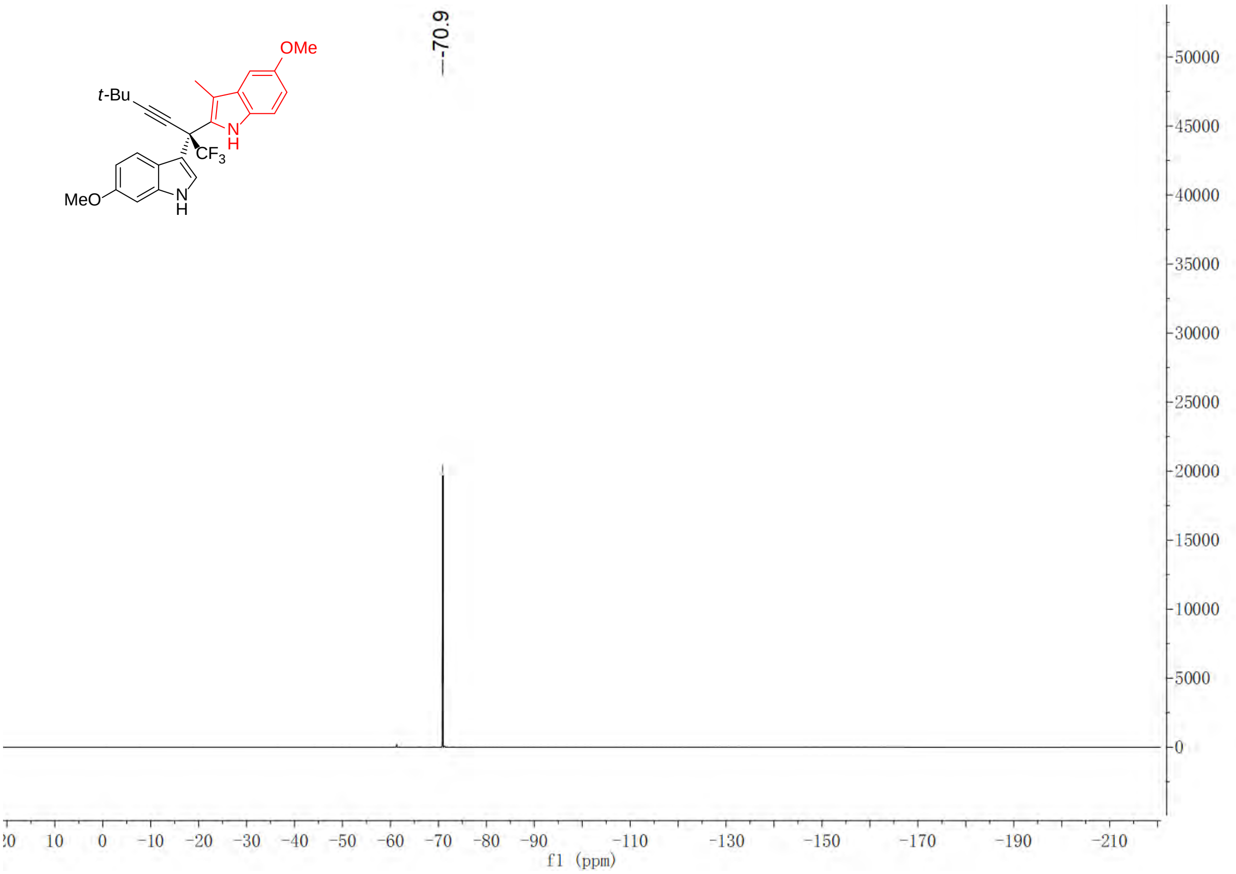
^{1}H NMR of compound 3r (in $CDCl_3$)



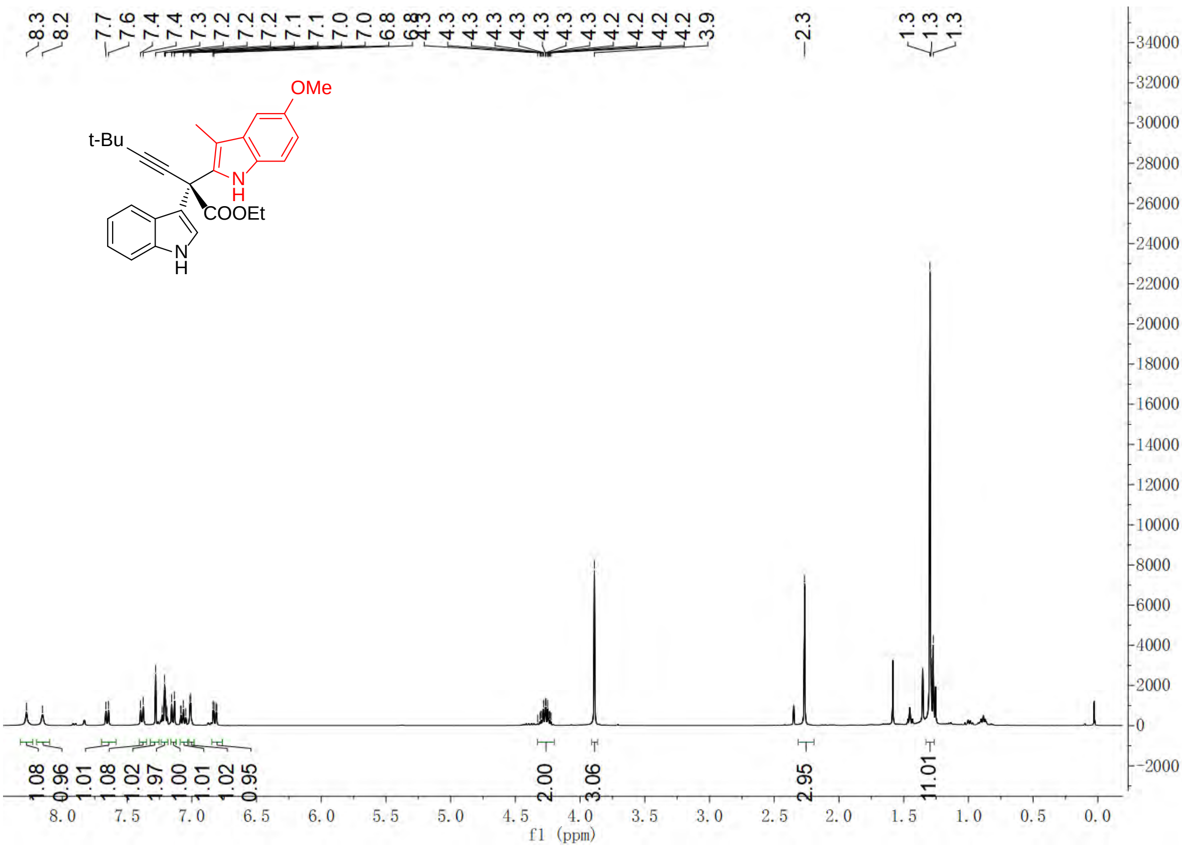
^{13}C NMR of compound 3r (in $CDCl_3$)



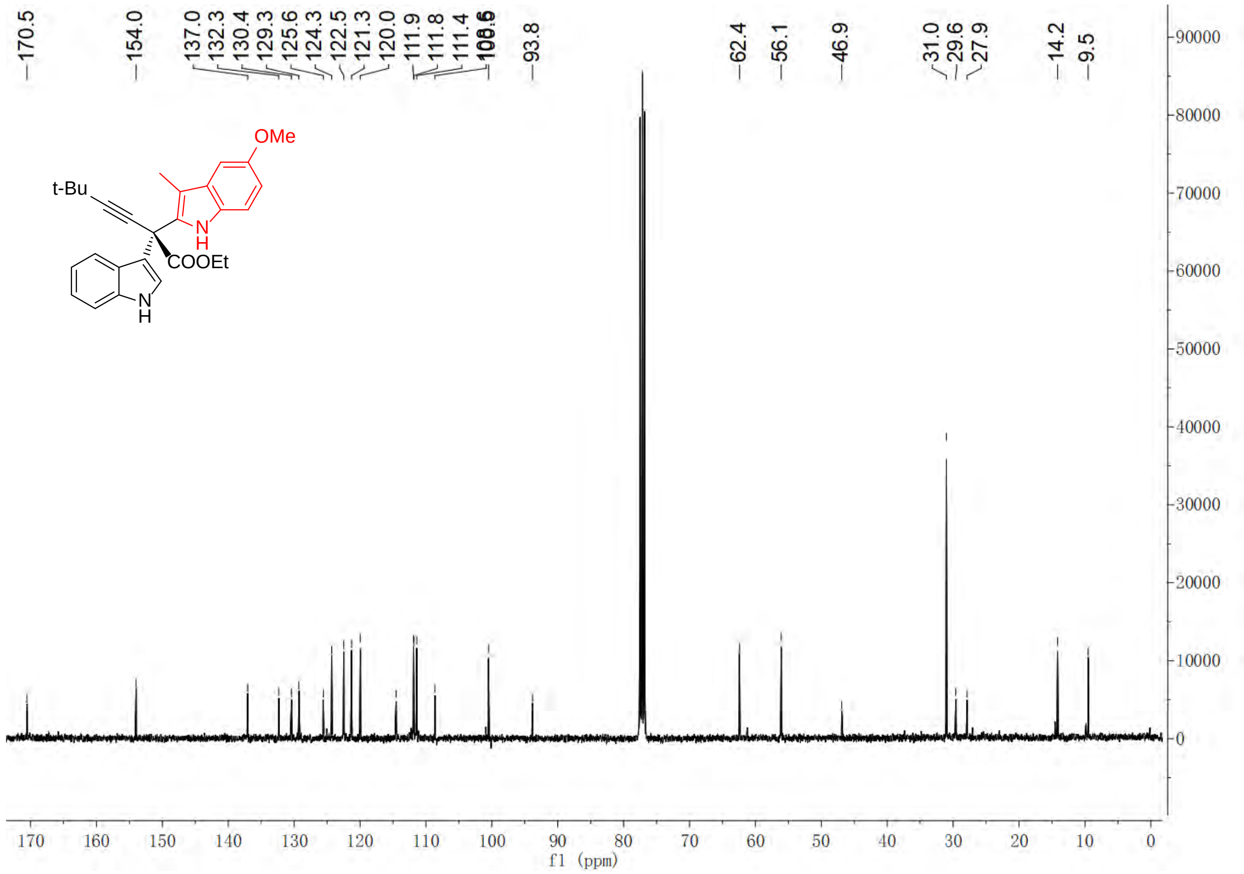
^{19}F NMR of compound 3r (in $CDCl_3$)



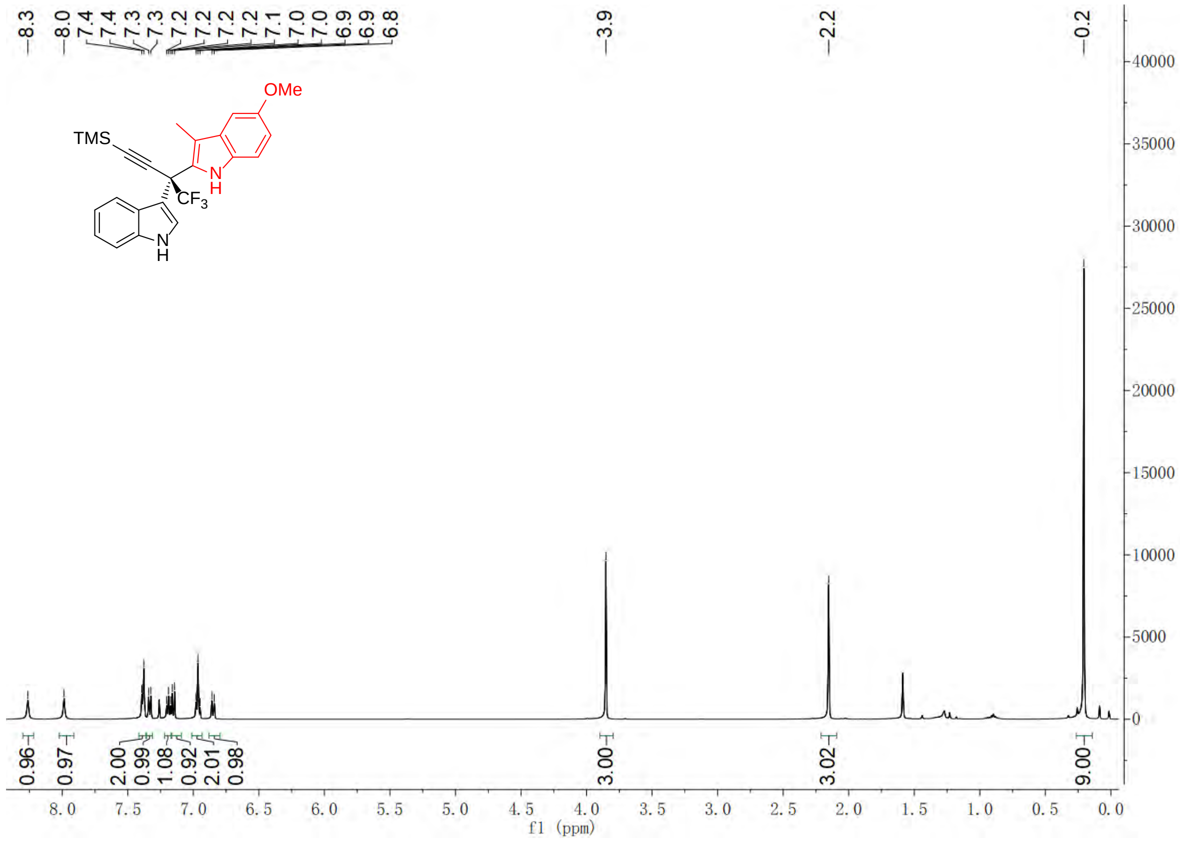
^{1}H NMR of compound 3s (in $CDCl_3$)



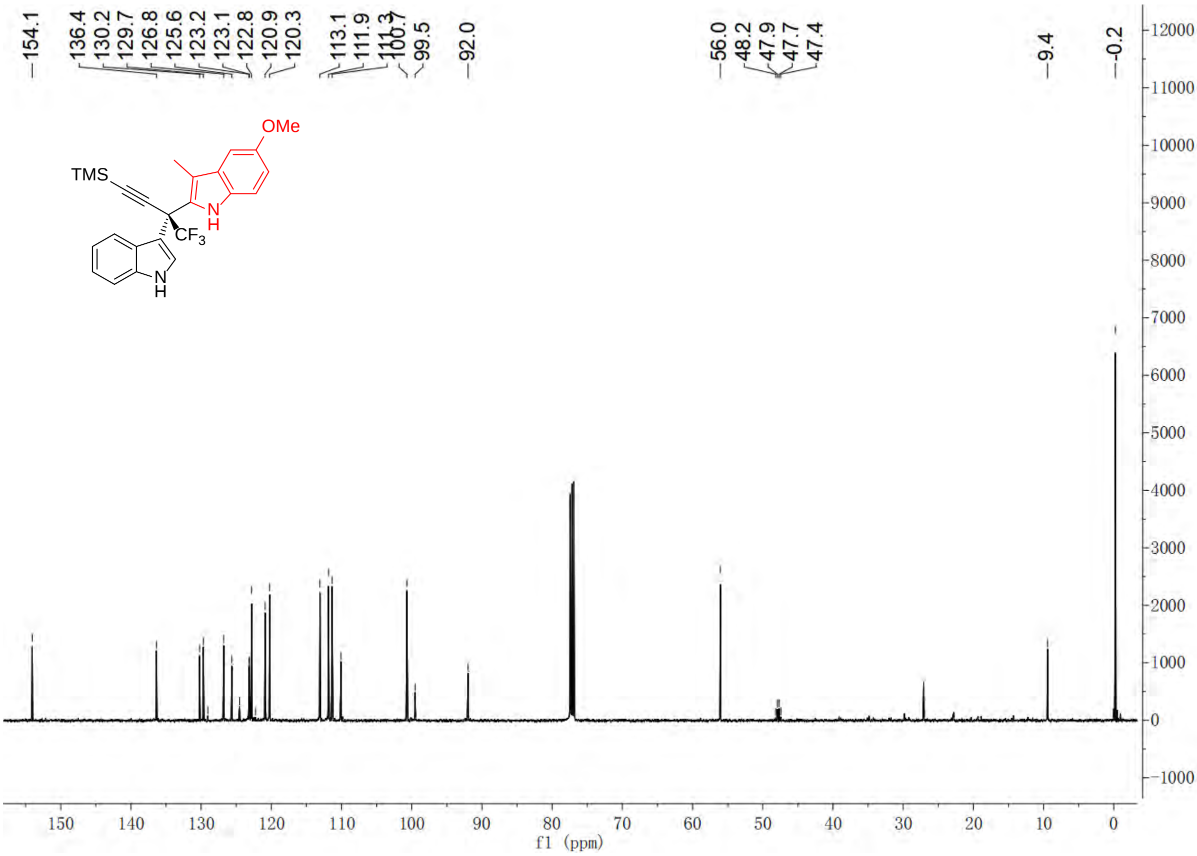
^{13}C NMR of compound 3s (in $CDCl_3$)



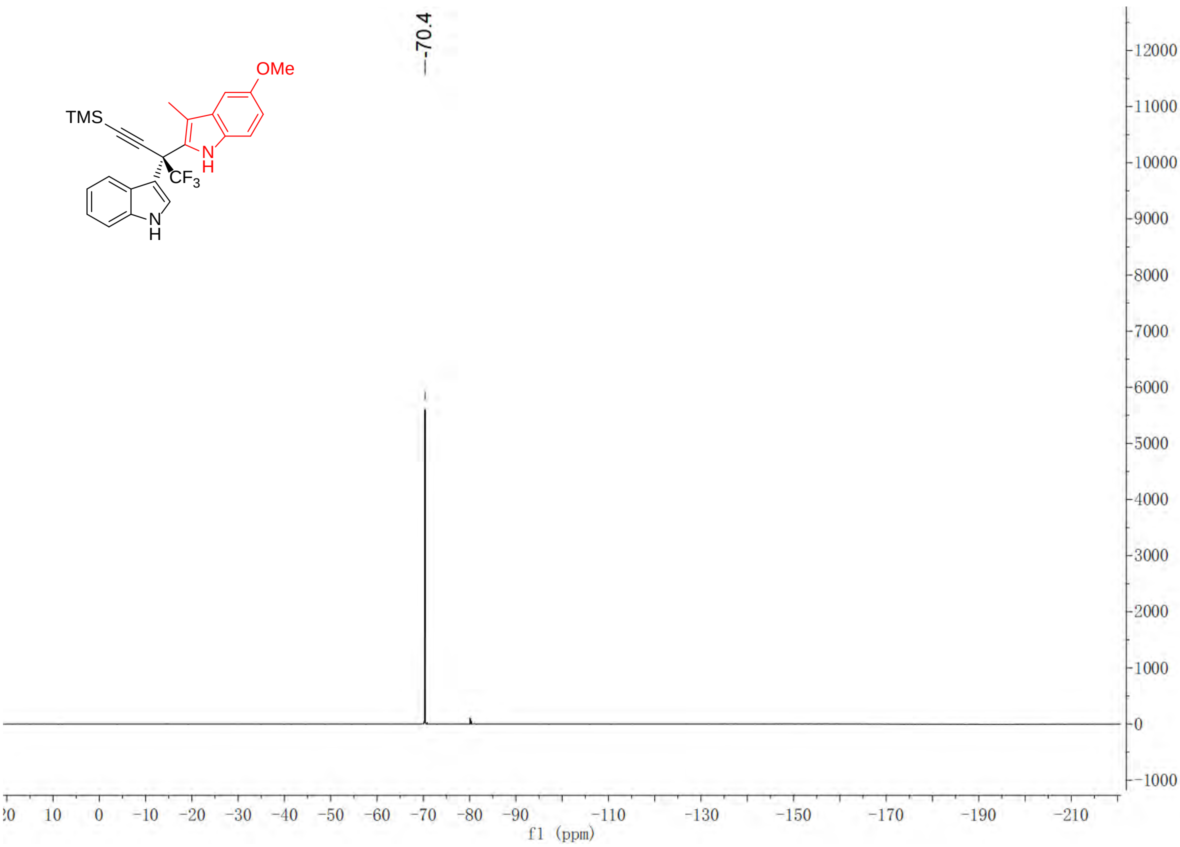
^{1}H NMR of compound 3t (in $CDCl_3$)



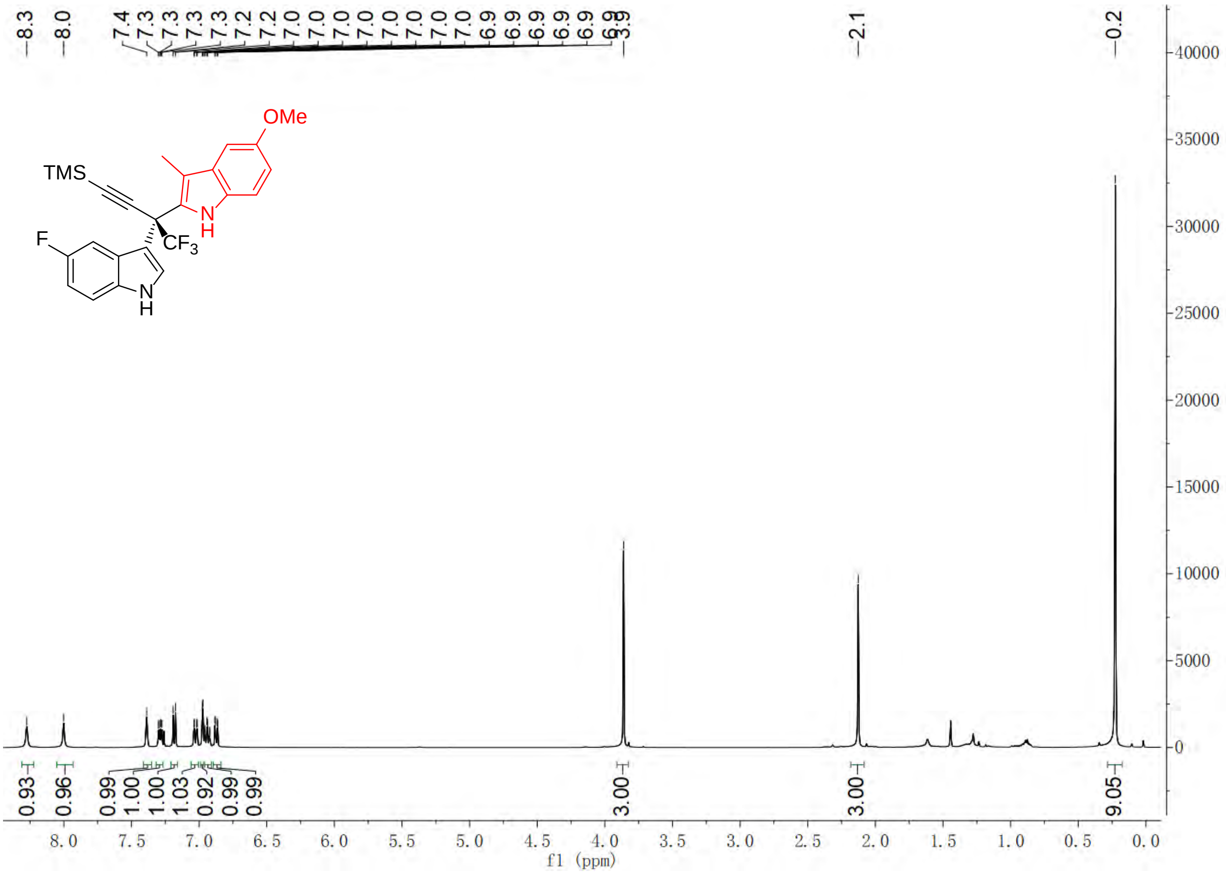
^{13}C NMR of compound 3t (in $CDCl_3$)



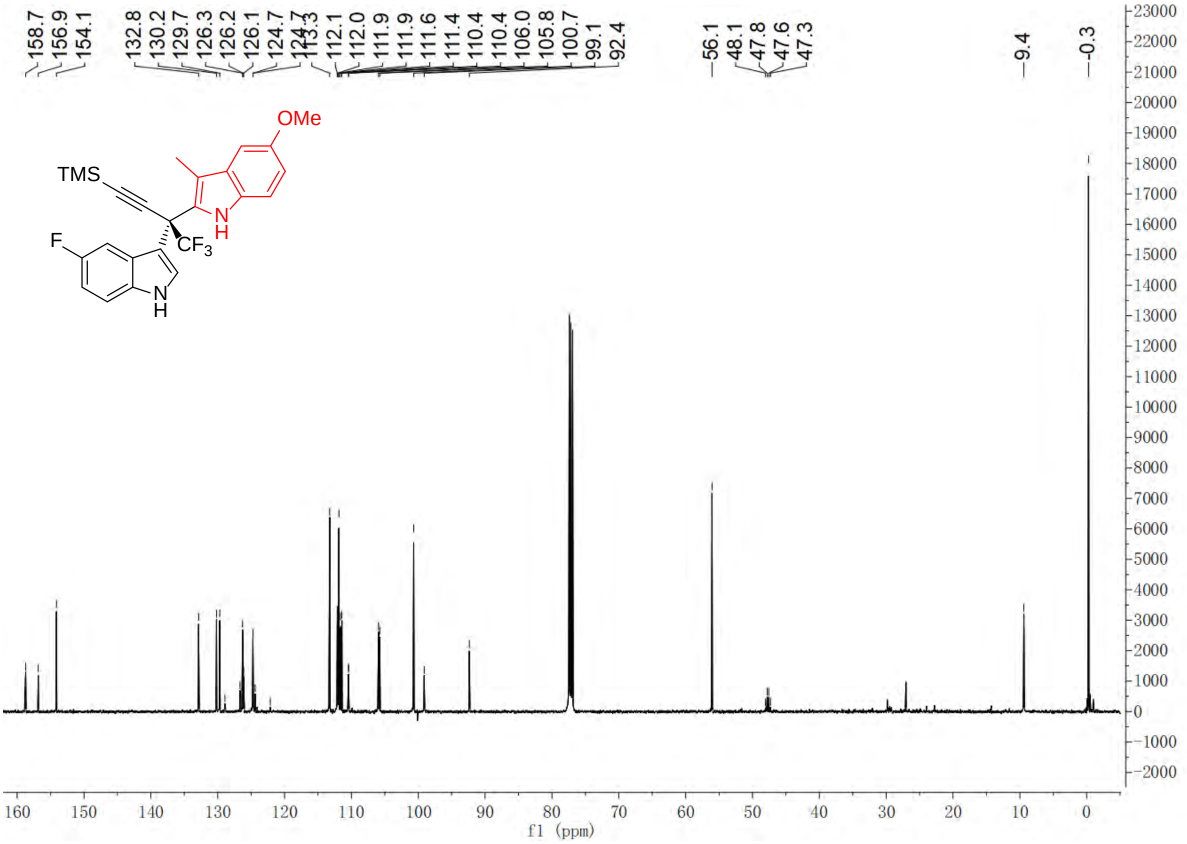
^{19}F NMR of compound 3t (in $CDCl_3$)



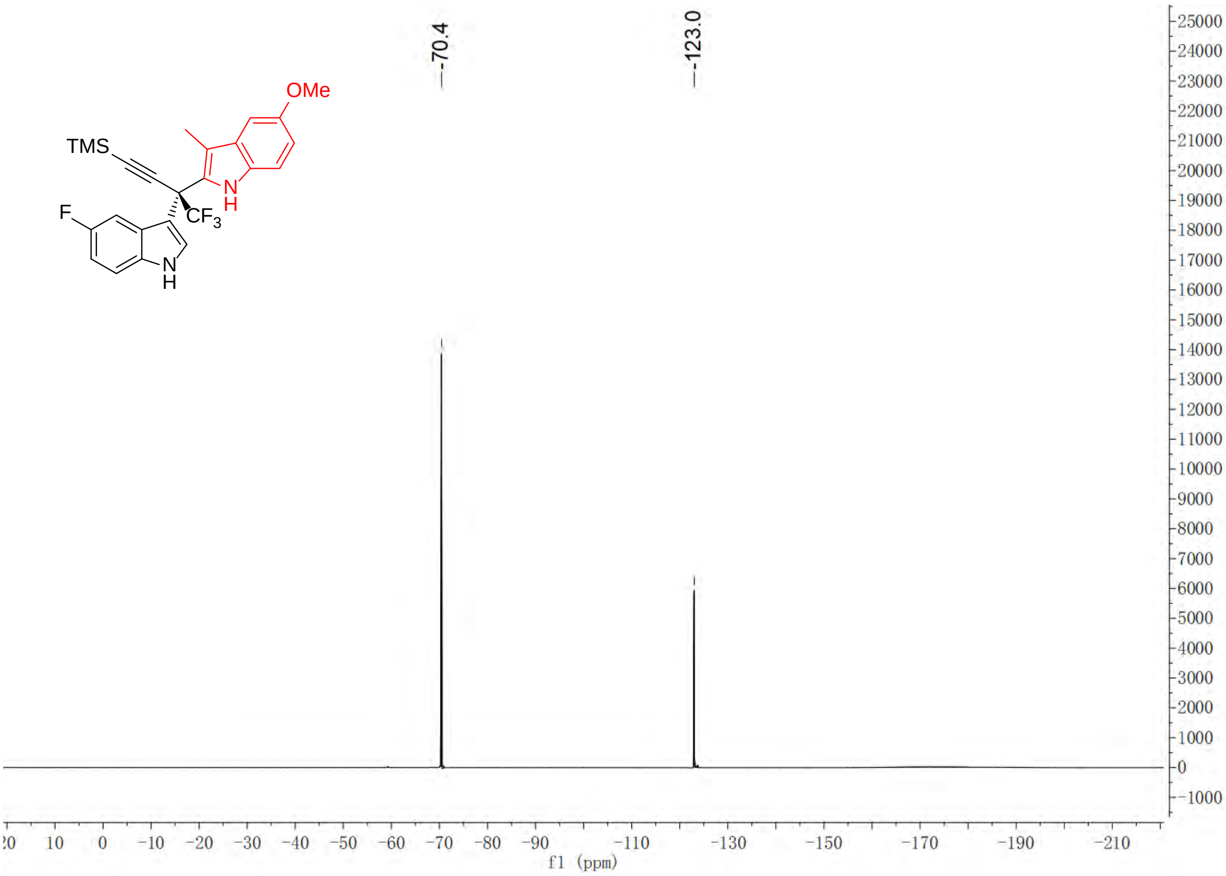
^{1}H NMR of compound 3u (in $CDCl_3$)



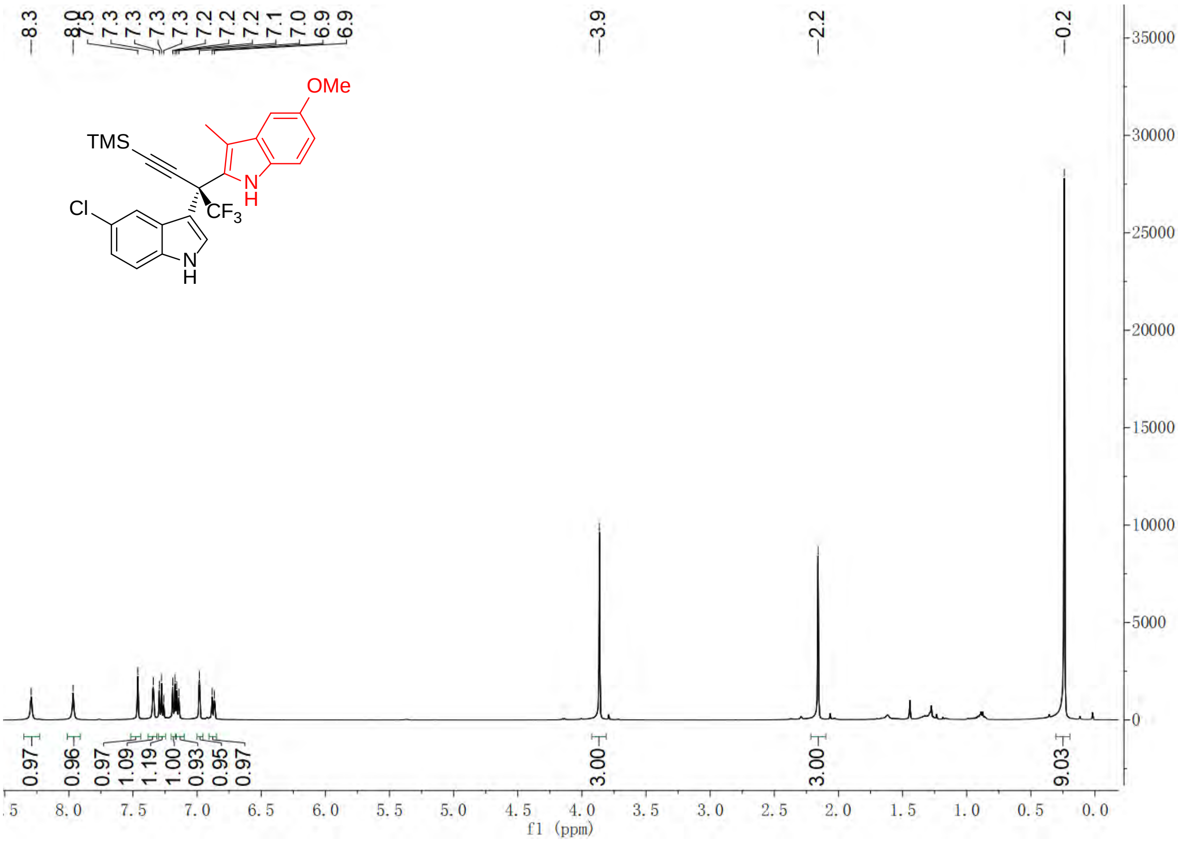
^{13}C NMR of compound 3u (in $CDCl_3$)



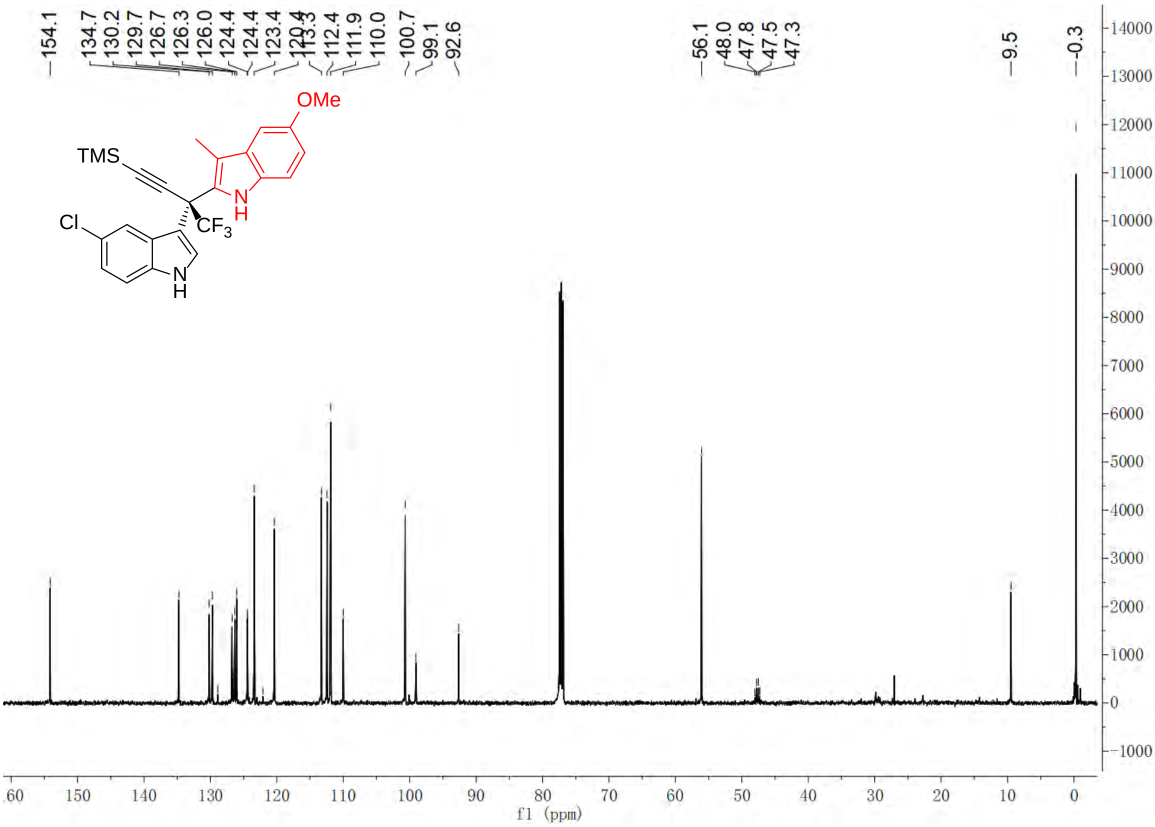
^{19}F NMR of compound 3u (in $CDCl_3$)



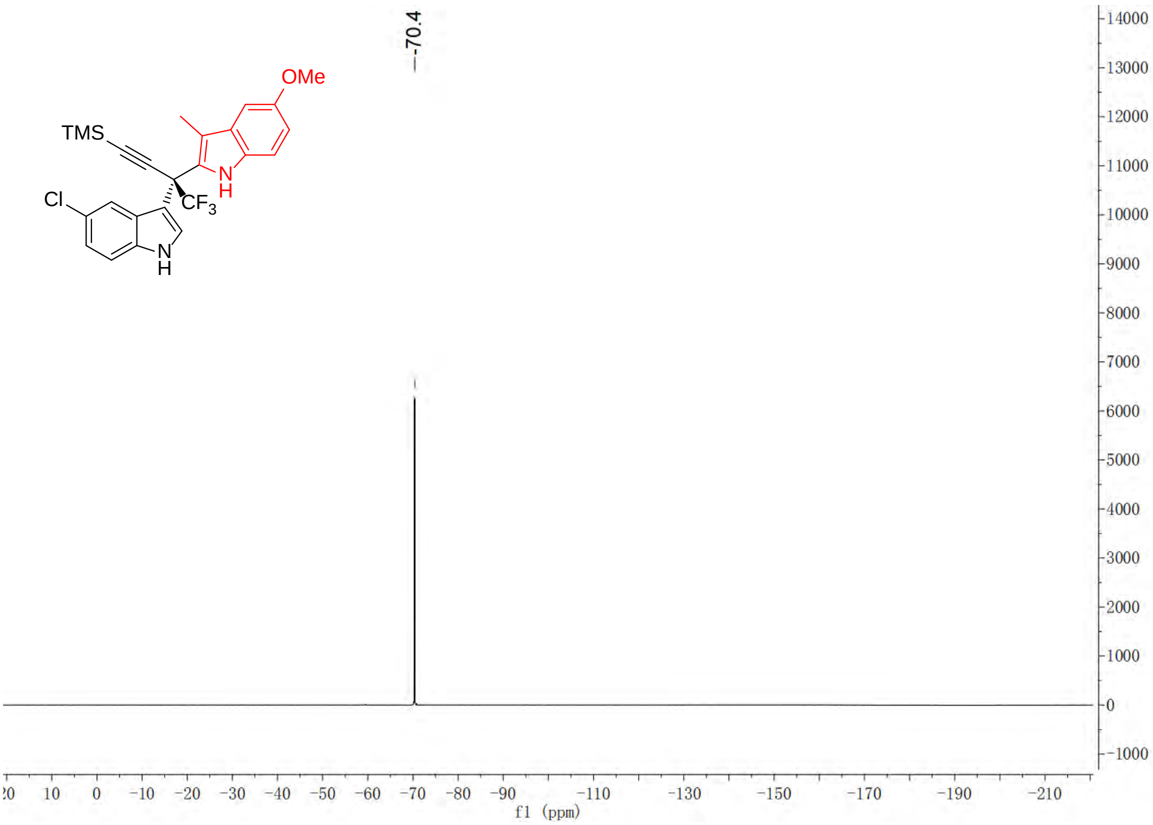
^{1}H NMR of compound 3v (in $CDCl_3$)



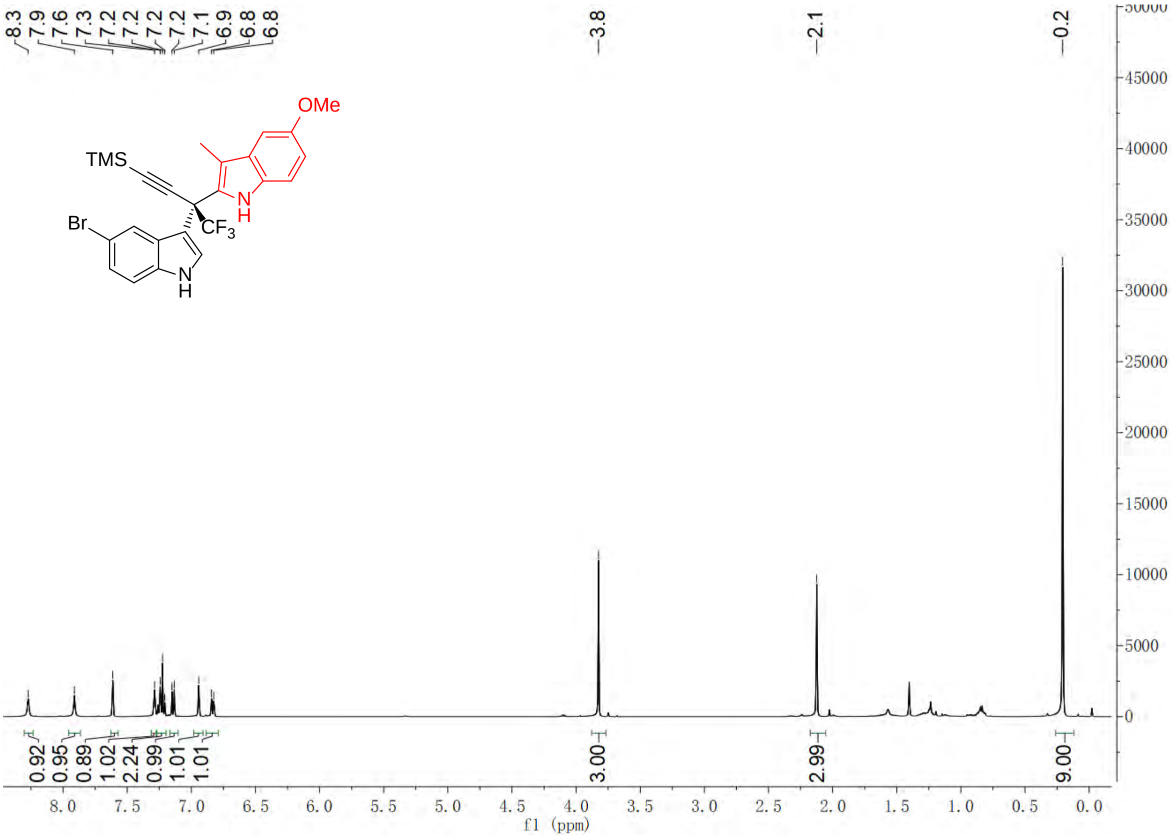
^{13}C NMR of compound 3v (in CDCl$_3$)



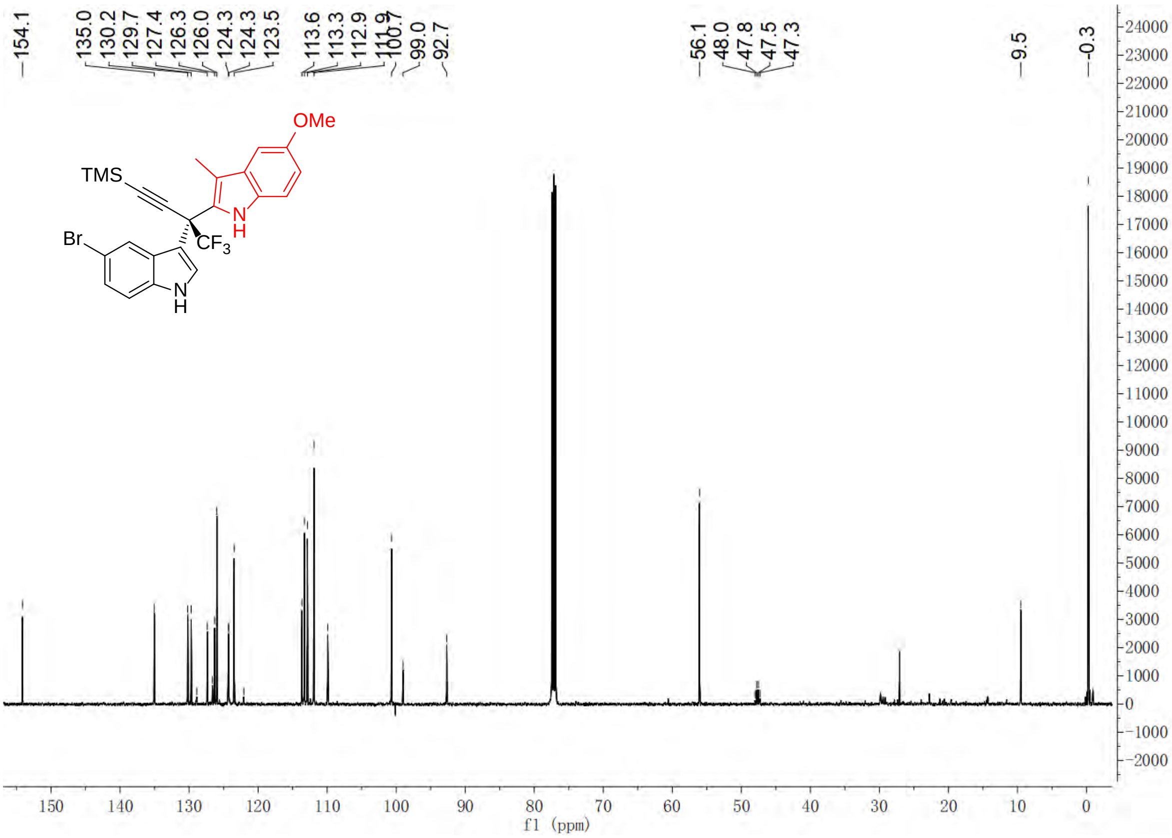
^{19}F NMR of compound 3v (in CDCl$_3$)



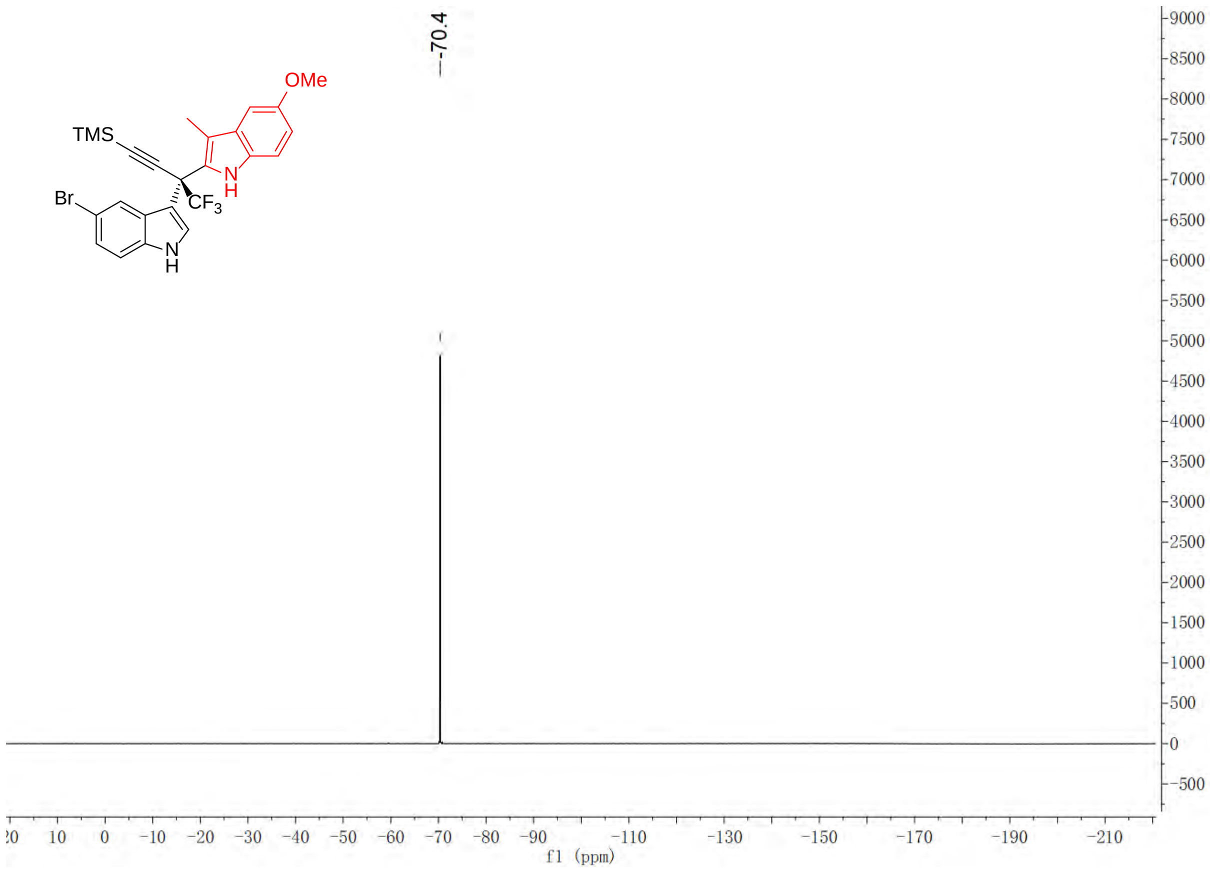
^{1}H NMR of compound 3w (in $CDCl_3$)



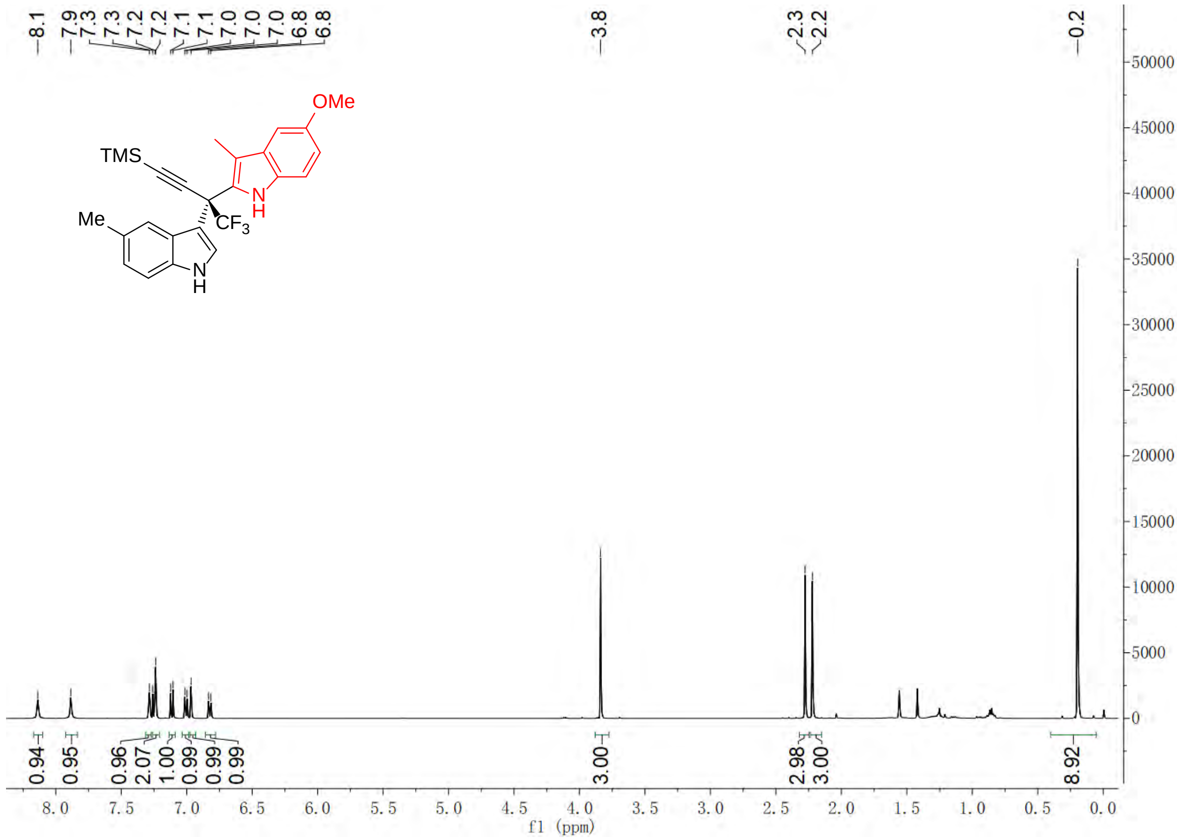
^{13}C NMR of compound 3w (in $CDCl_3$)



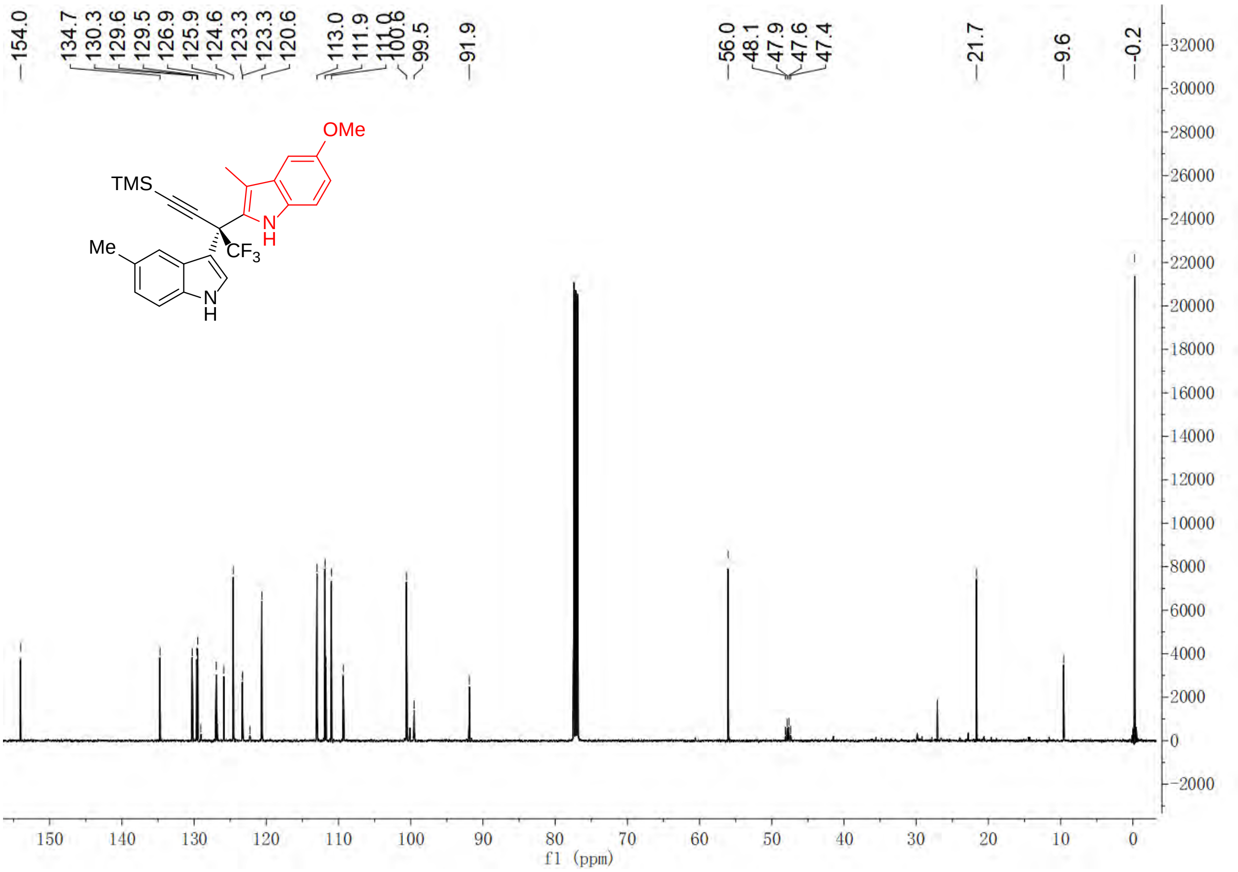
^{19}F NMR of compound 3w (in $CDCl_3$)



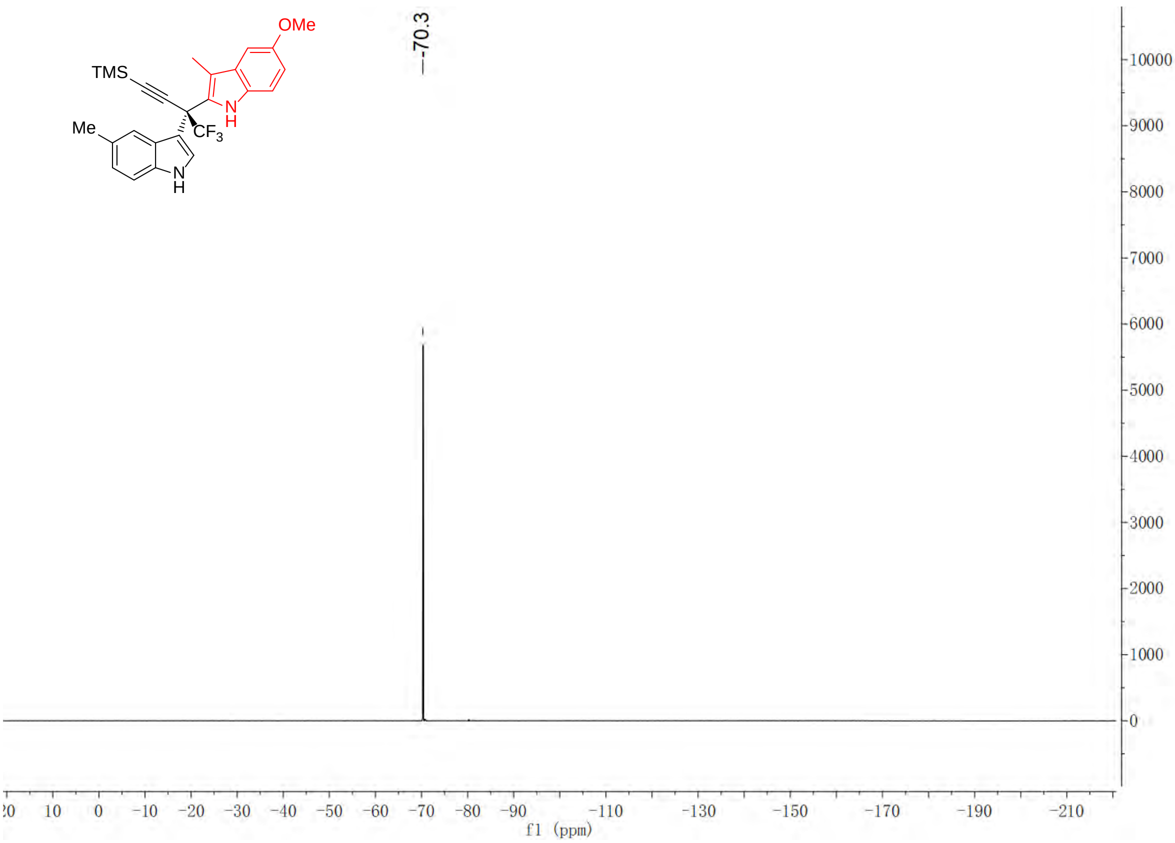
^{1}H NMR of compound 3x (in $CDCl_3$)



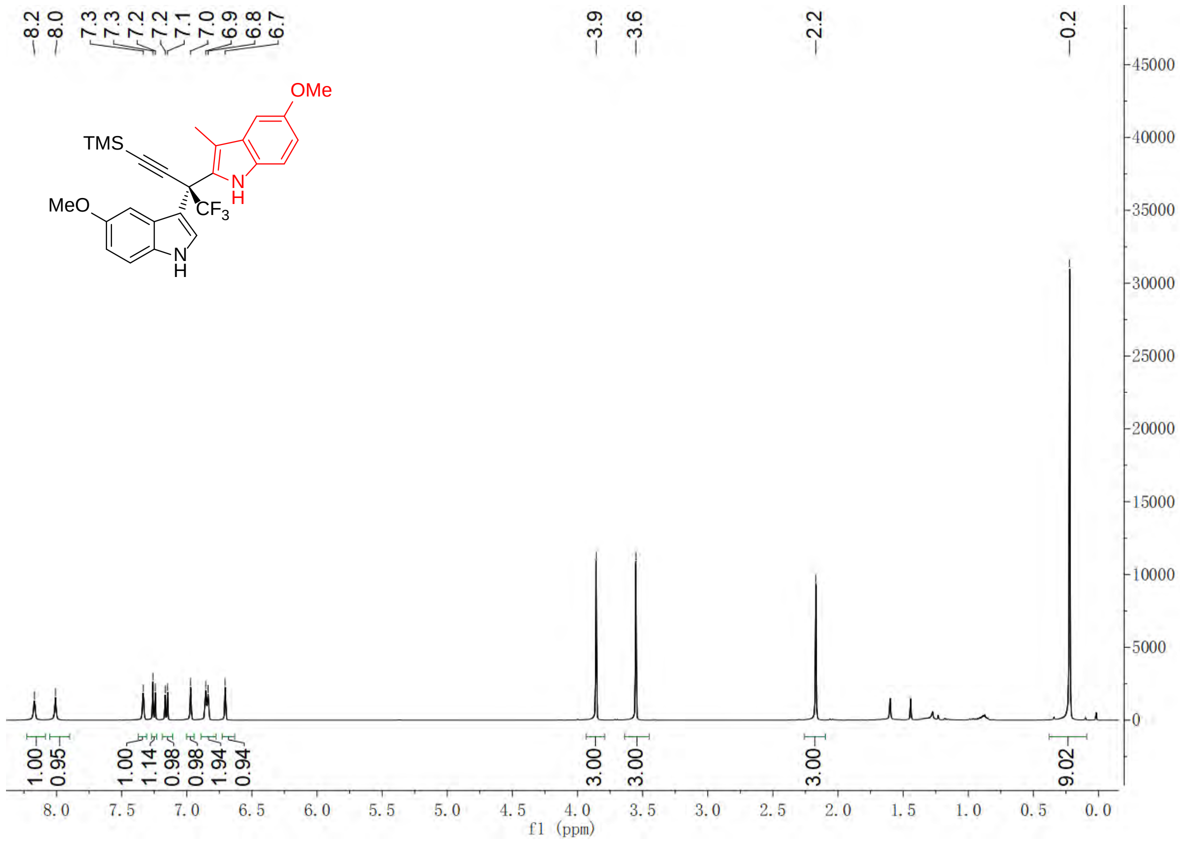
^{13}C NMR of compound 3x (in CDCl$_3$)



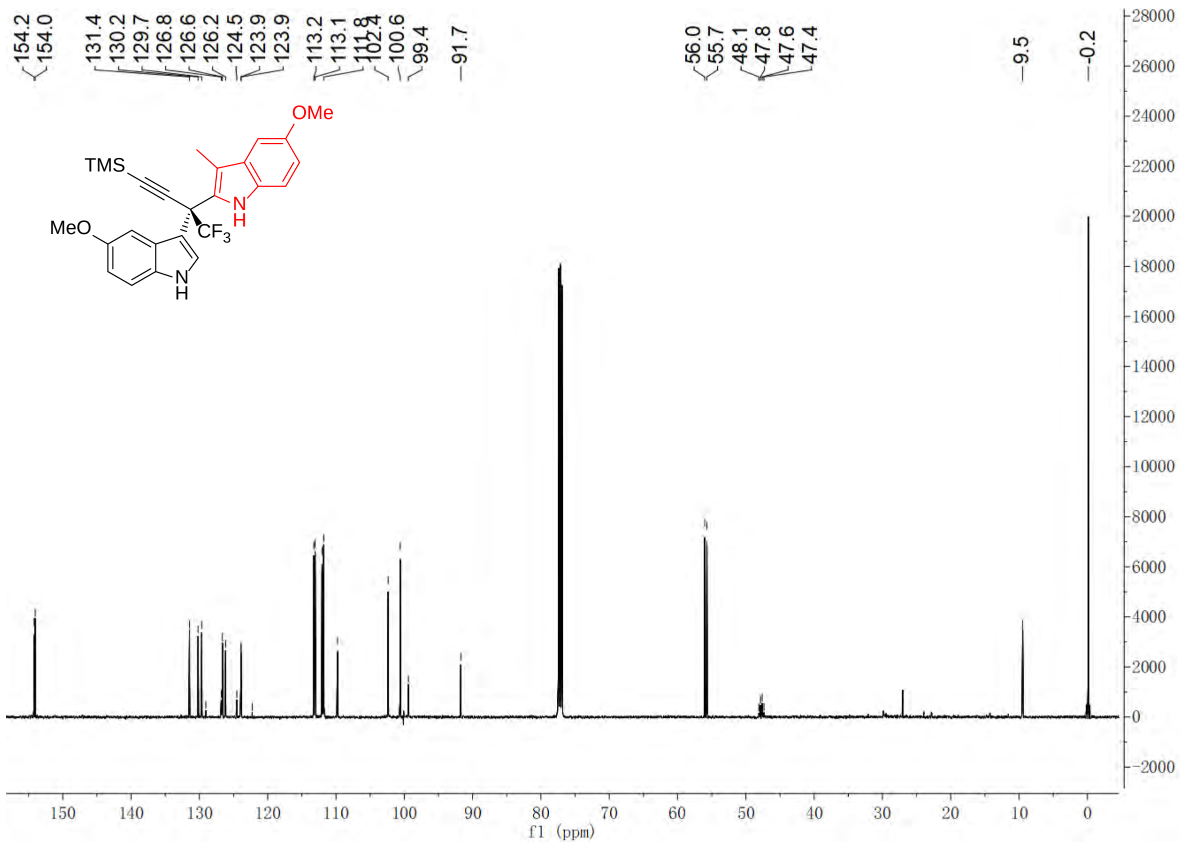
^{19}F NMR of compound 3x (in CDCl$_3$)



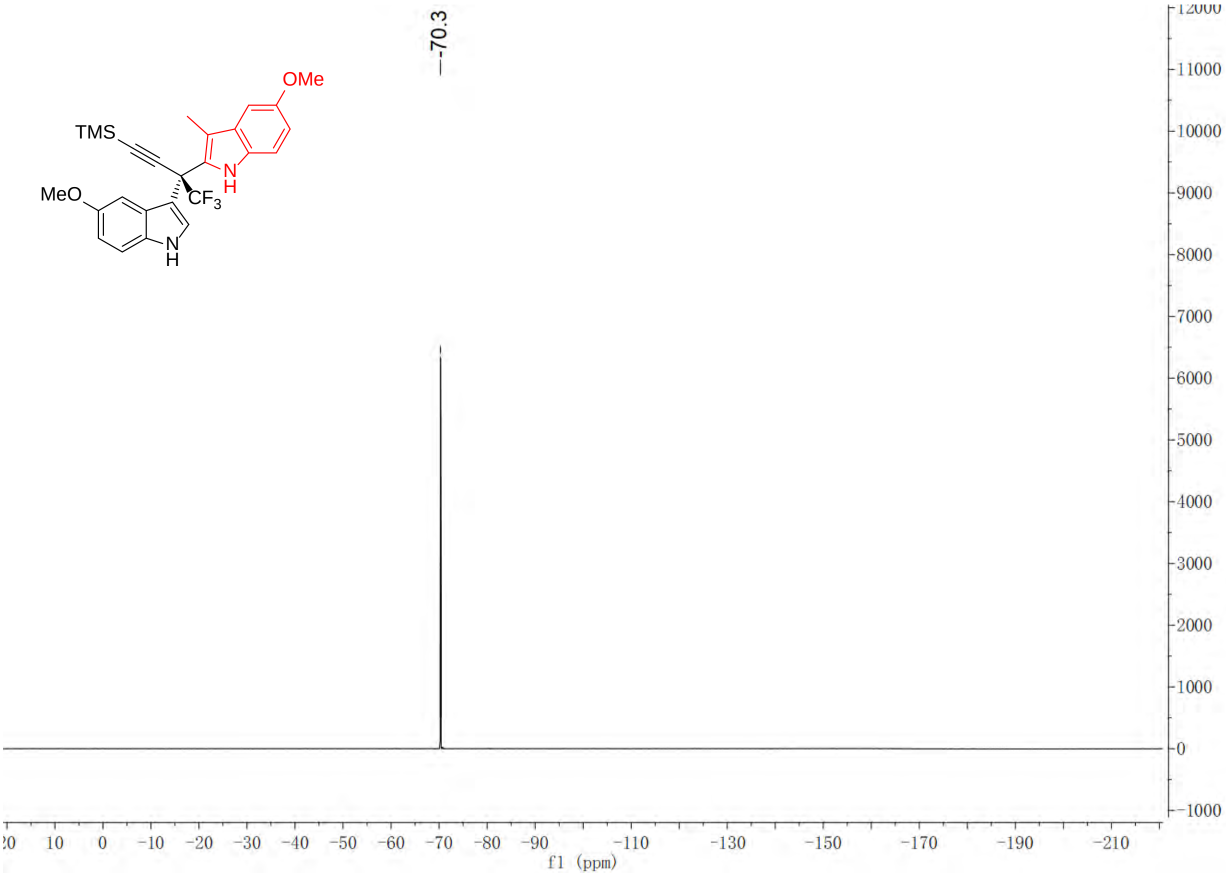
^{1}H NMR of compound 3y (in $CDCl_3$)



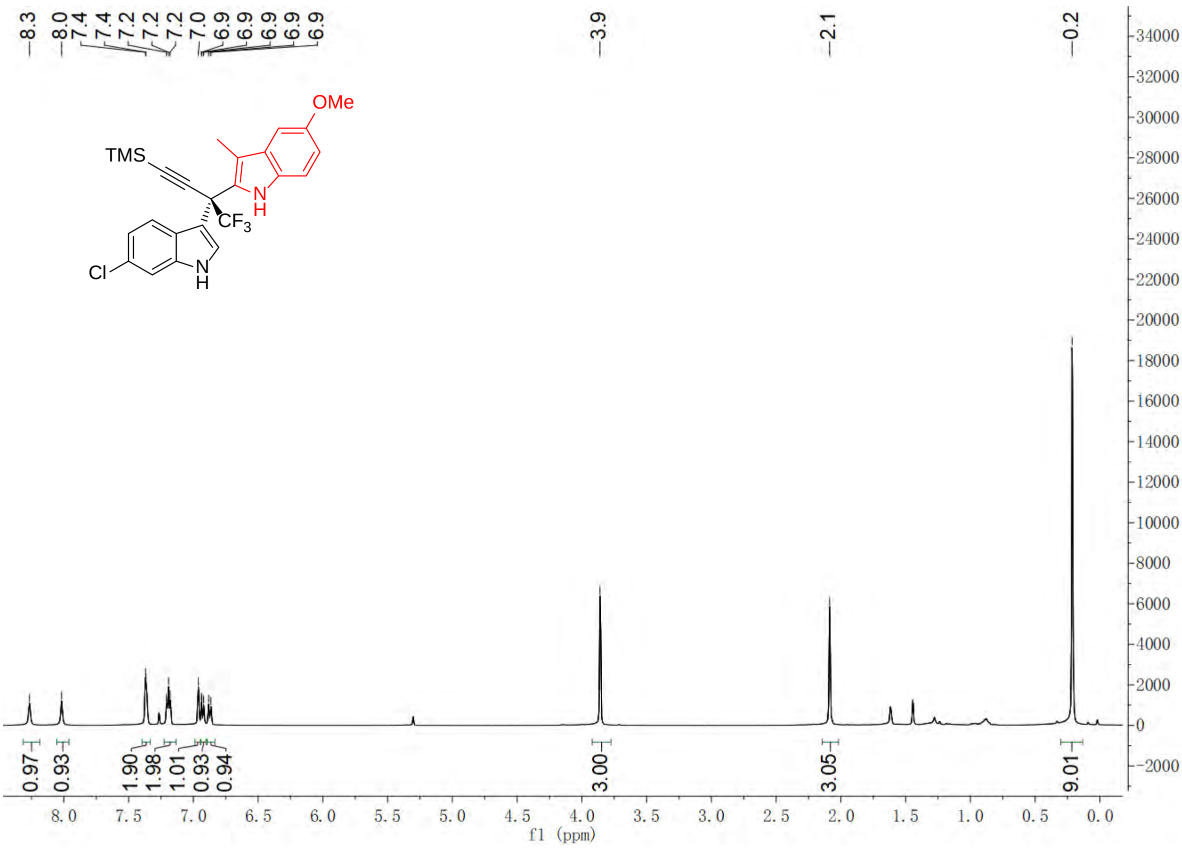
^{13}C NMR of compound 3y (in $CDCl_3$)



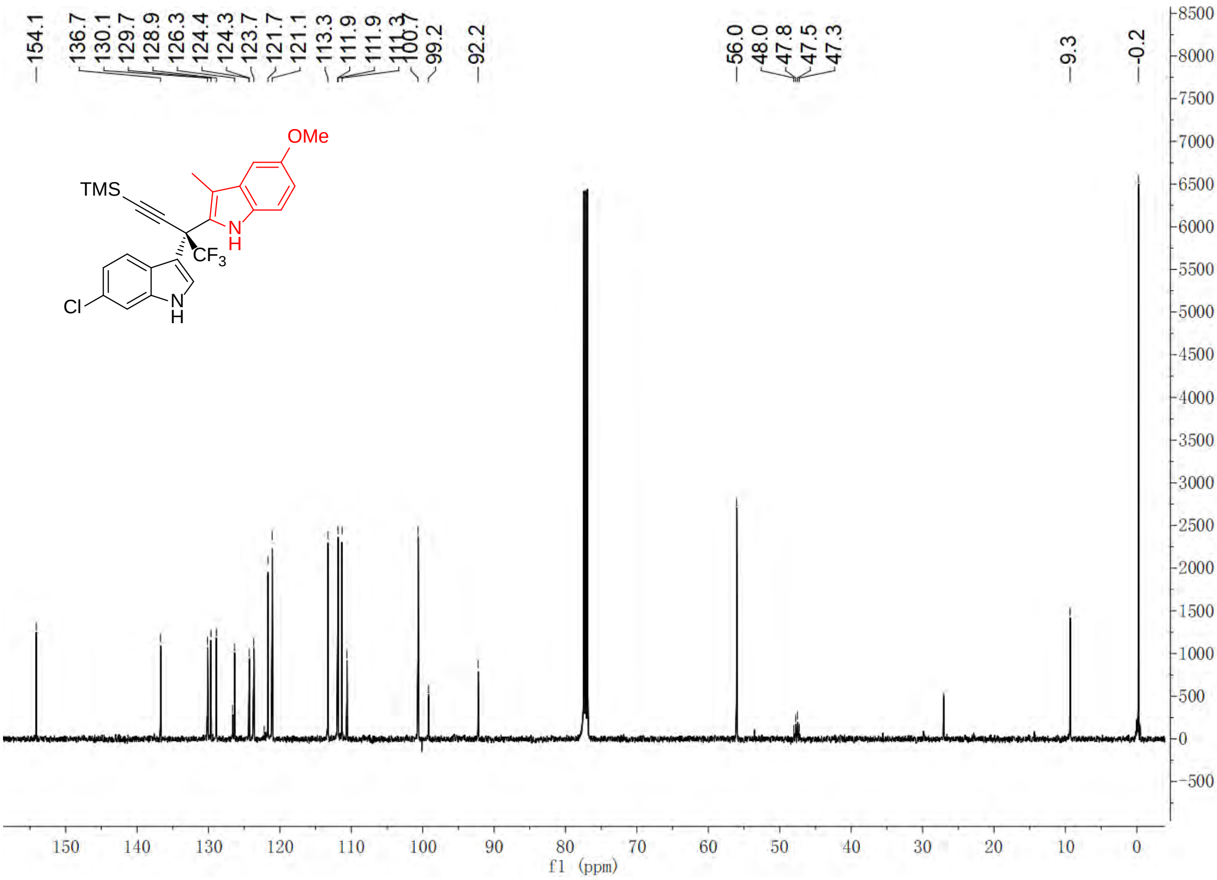
^{19}F NMR of compound 3y (in $CDCl_3$)



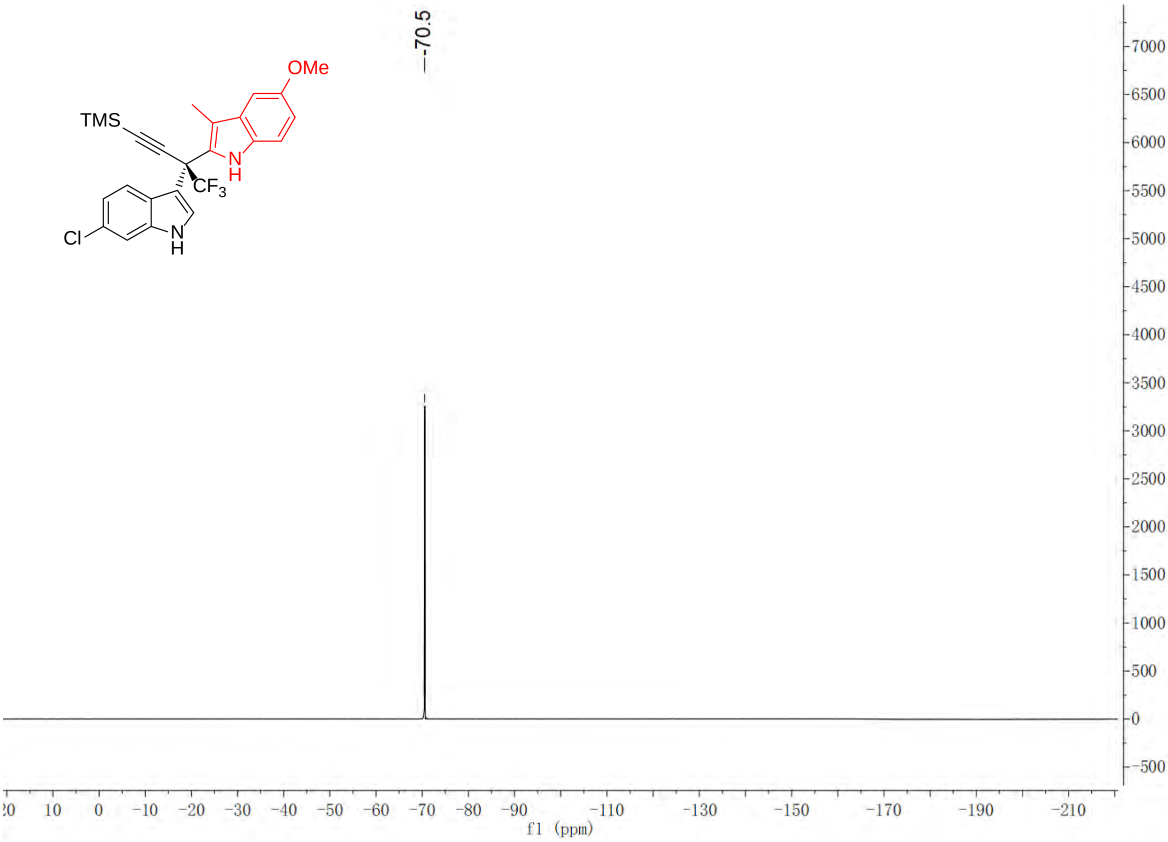
^{1}H NMR of compound 3z (in $CDCl_3$)



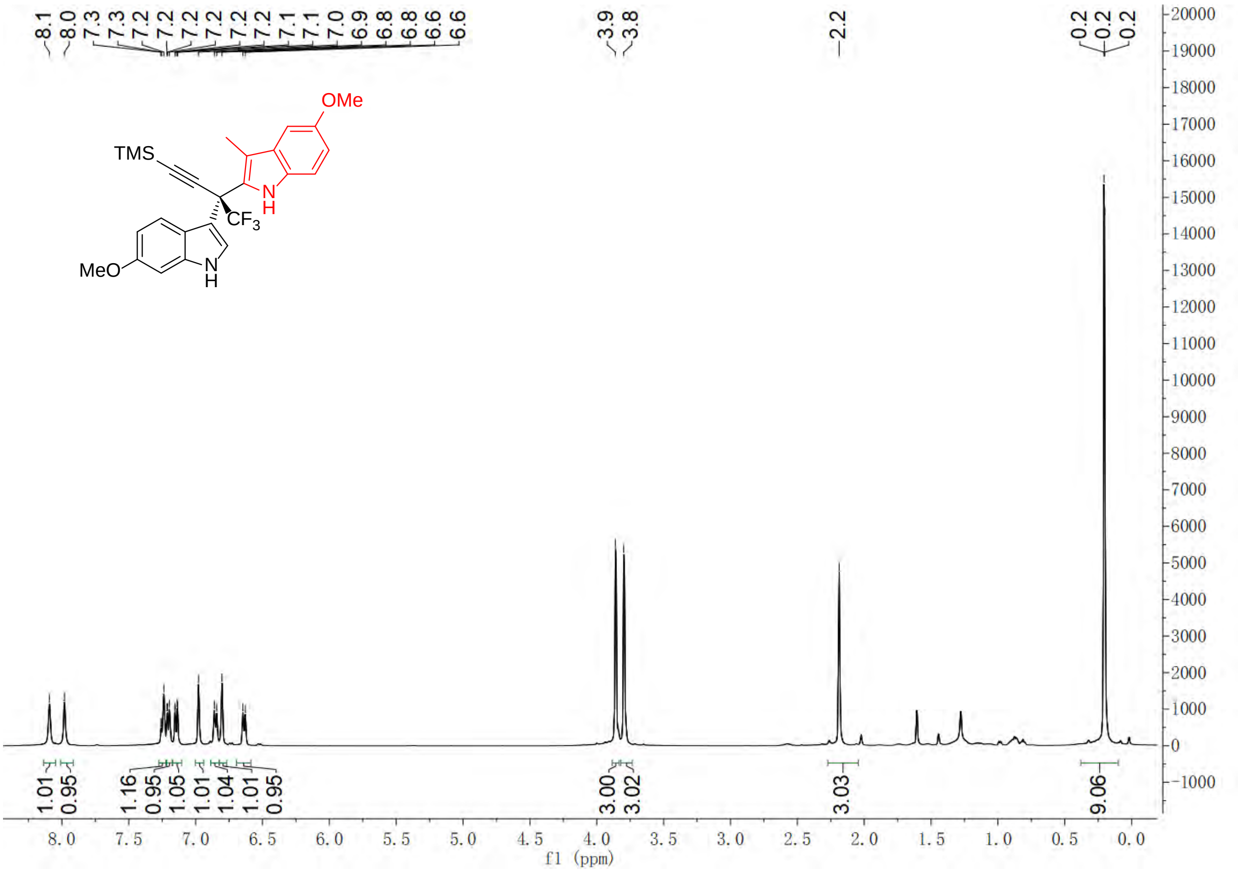
^{13}C NMR of compound 3z (in $CDCl_3$)



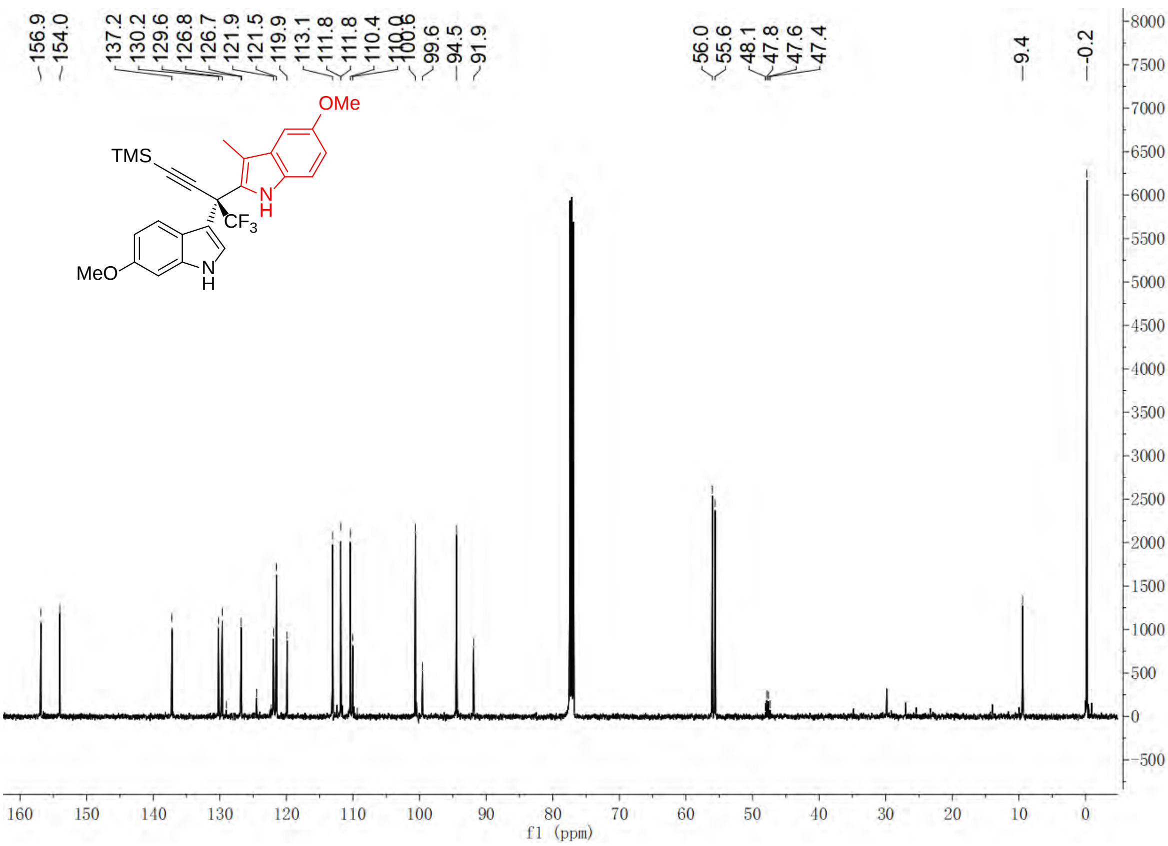
^{19}F NMR of compound 3z (in $CDCl_3$)



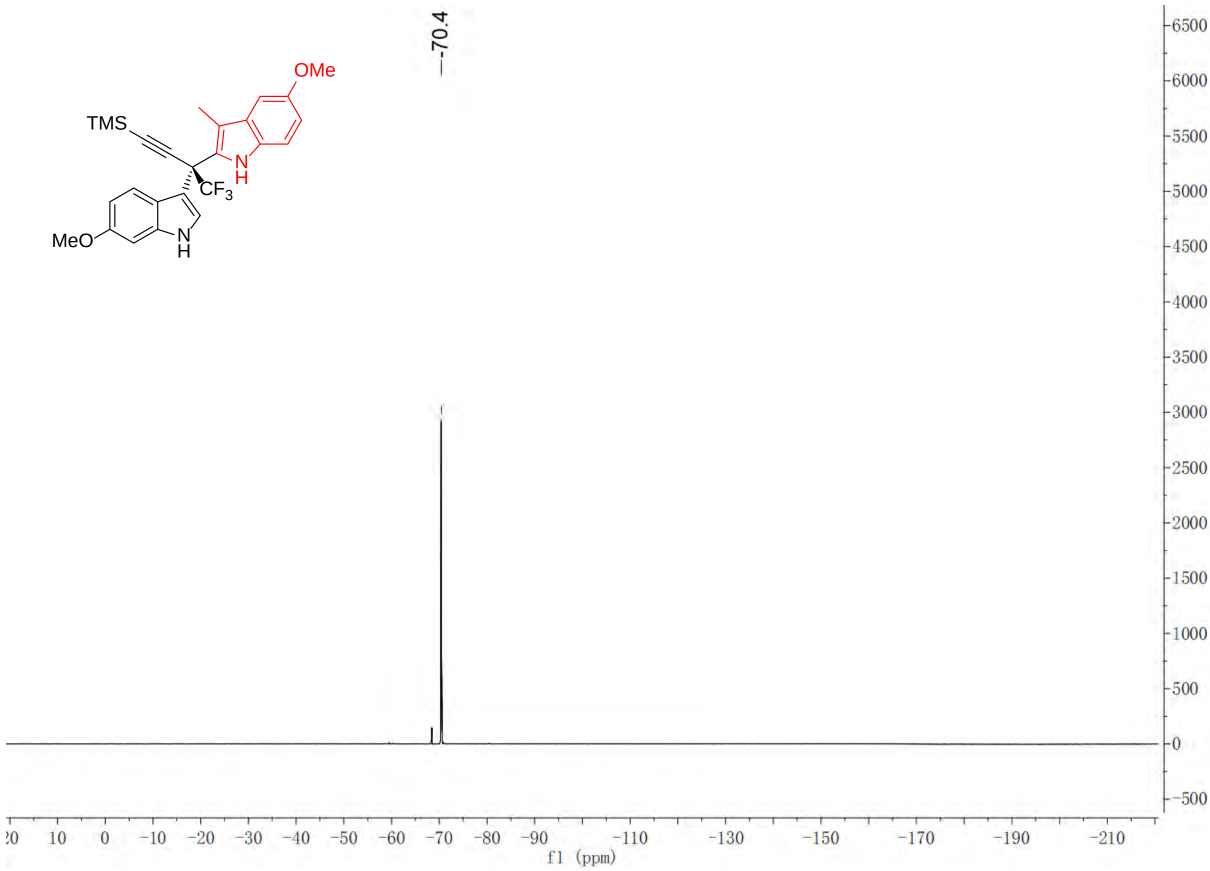
^{1}H NMR of compound 3aa (in $CDCl_3$)



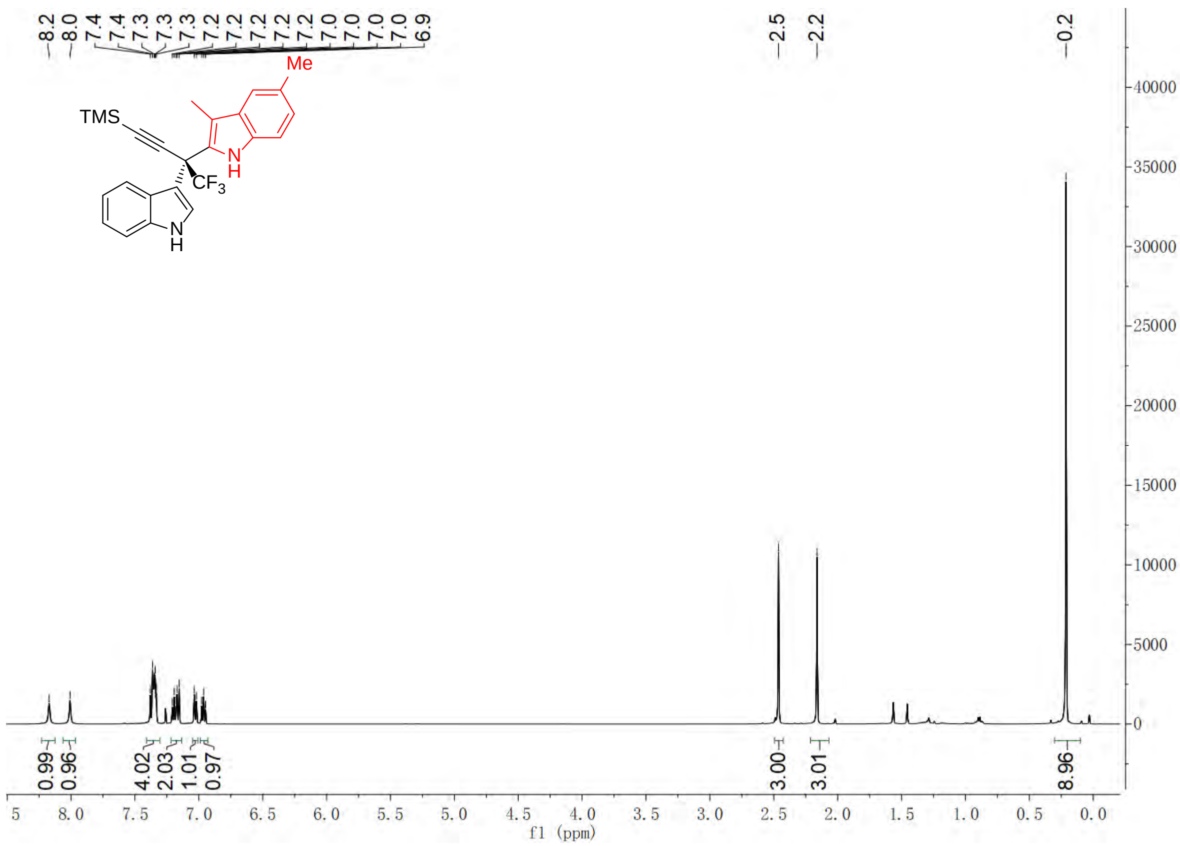
^{13}C NMR of compound 3aa (in $CDCl_3$)



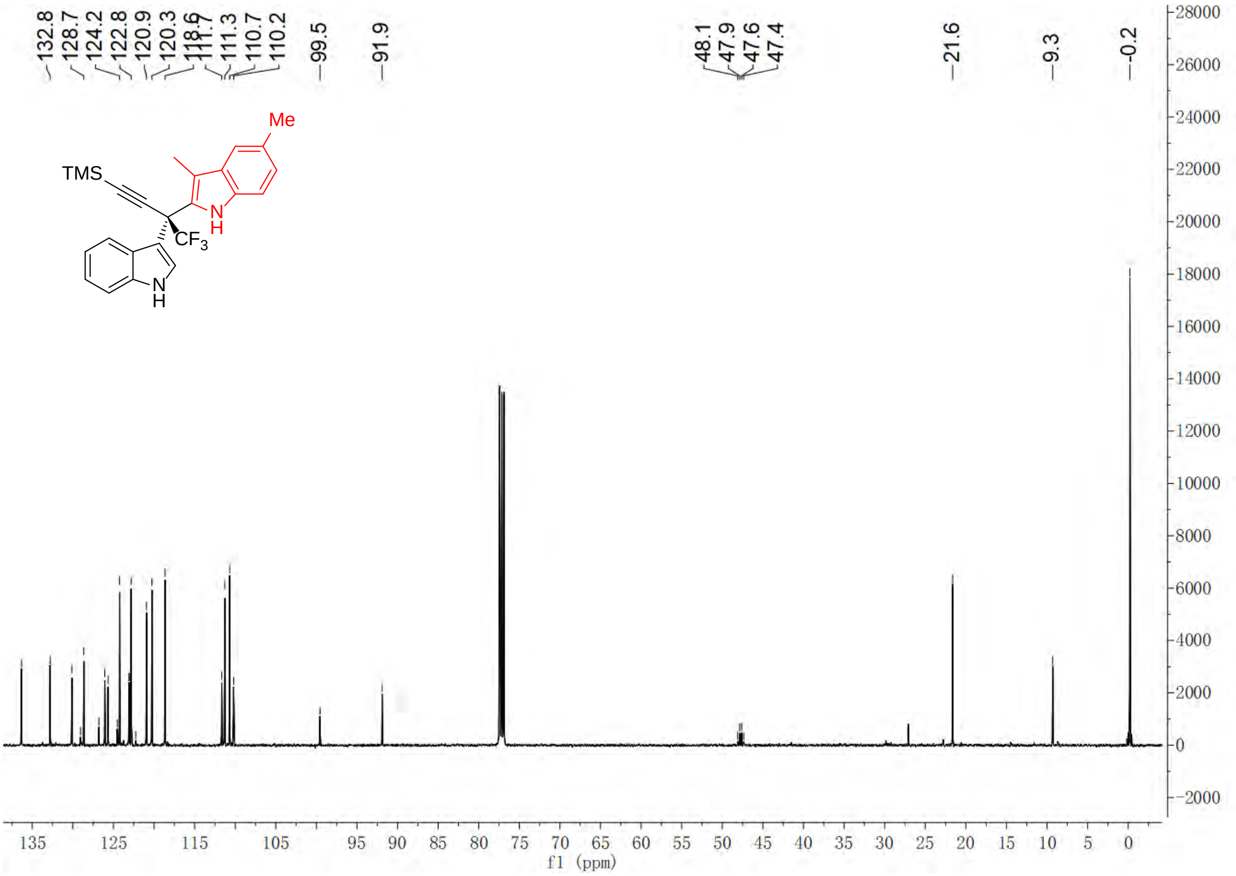
[19]F NMR of compound 3aa (in CDCl3)



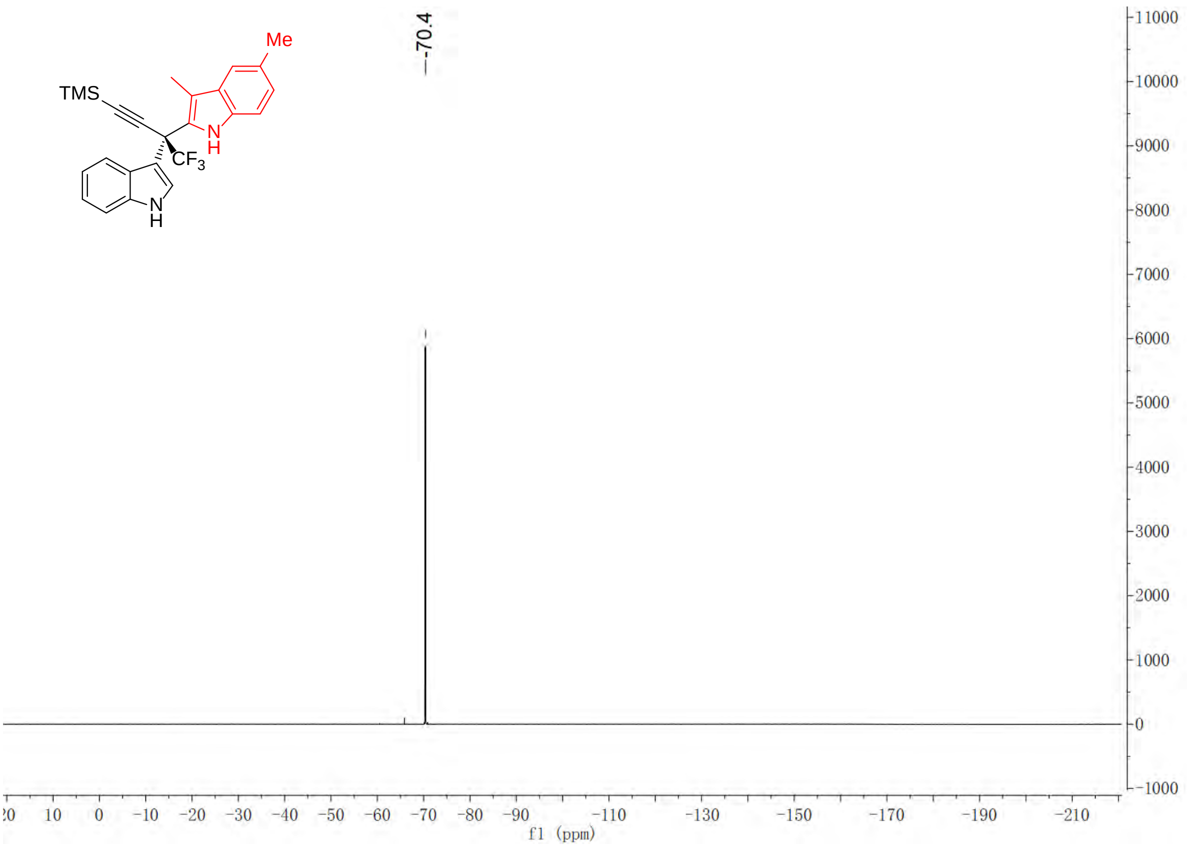
[1]H NMR of compound 3ab (in CDCl3)



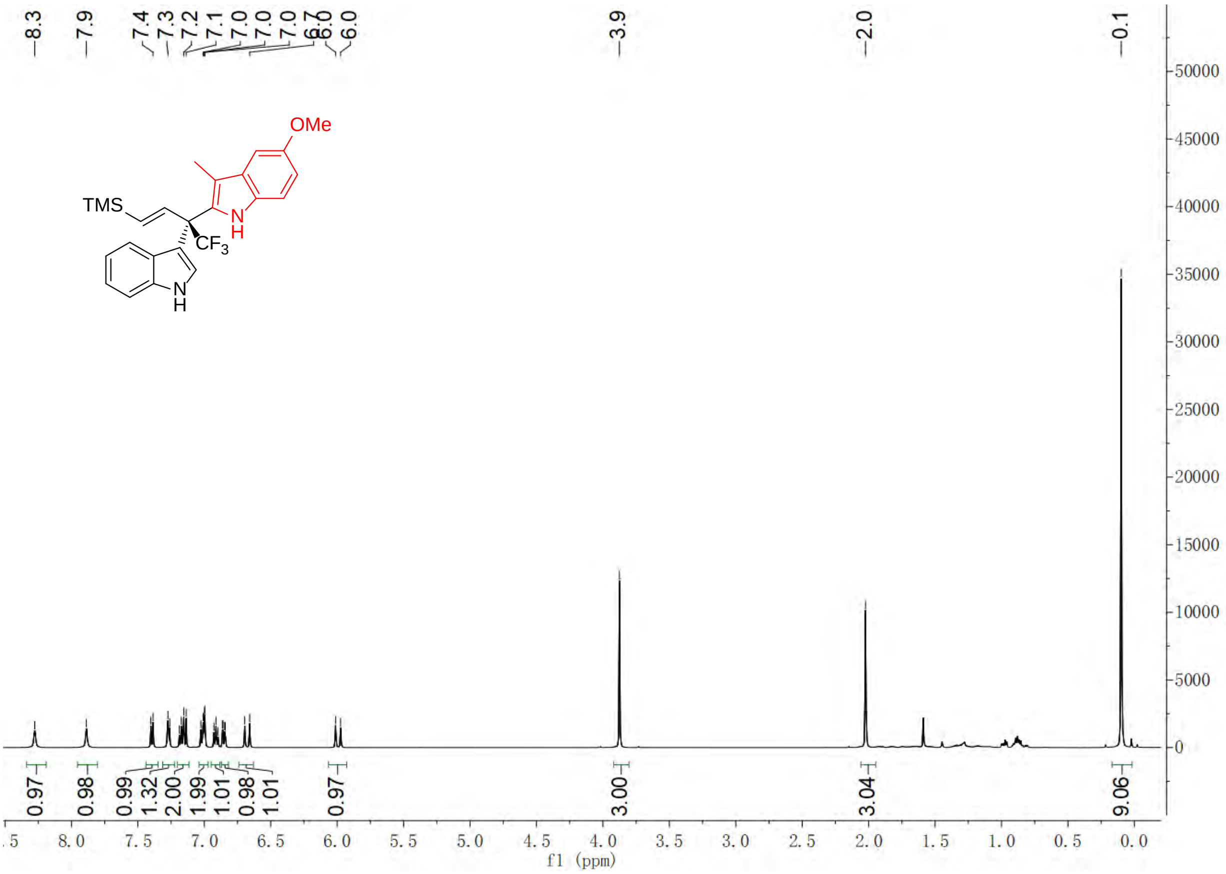
^{13}C NMR of compound 3ab (in $CDCl_3$)



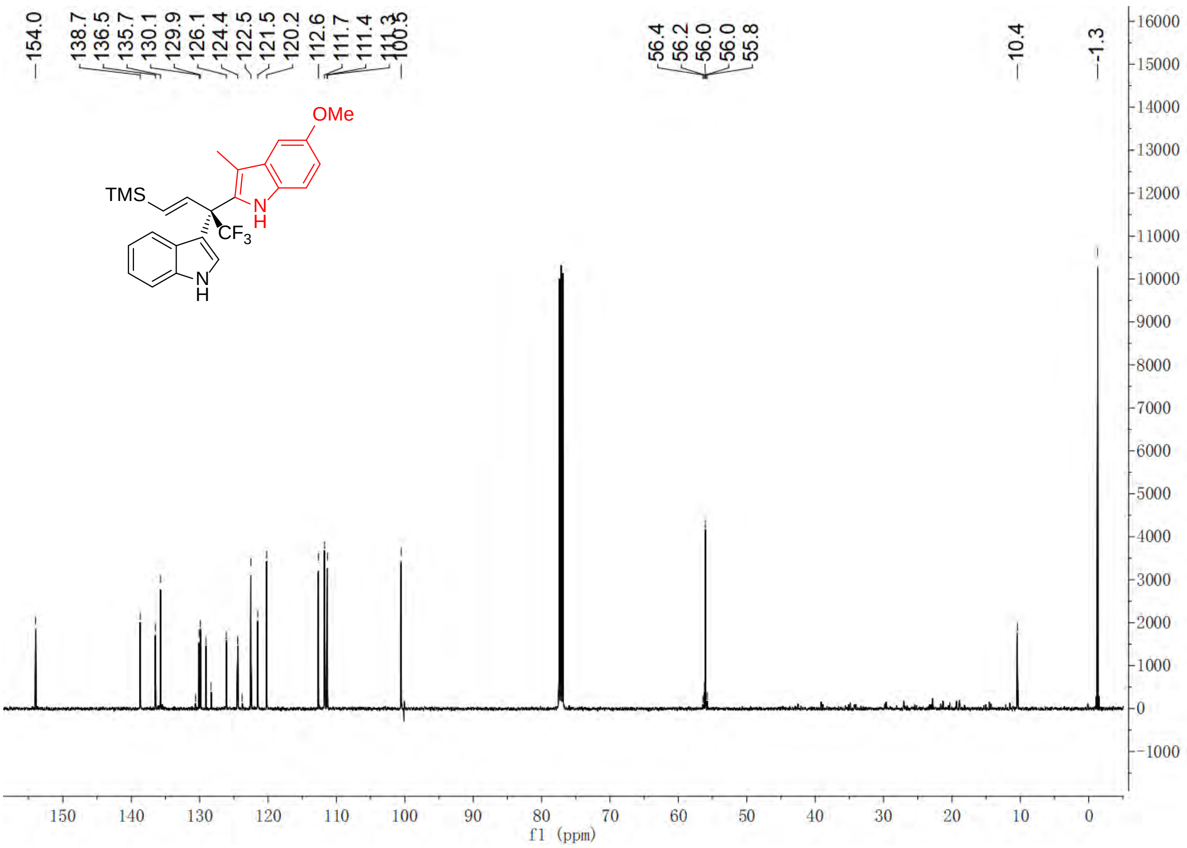
^{19}F NMR of compound 3ab (in $CDCl_3$)



^{1}H NMR of compound 3ac (in $CDCl_3$)



^{13}C NMR of compound 3ac (in $CDCl_3$)



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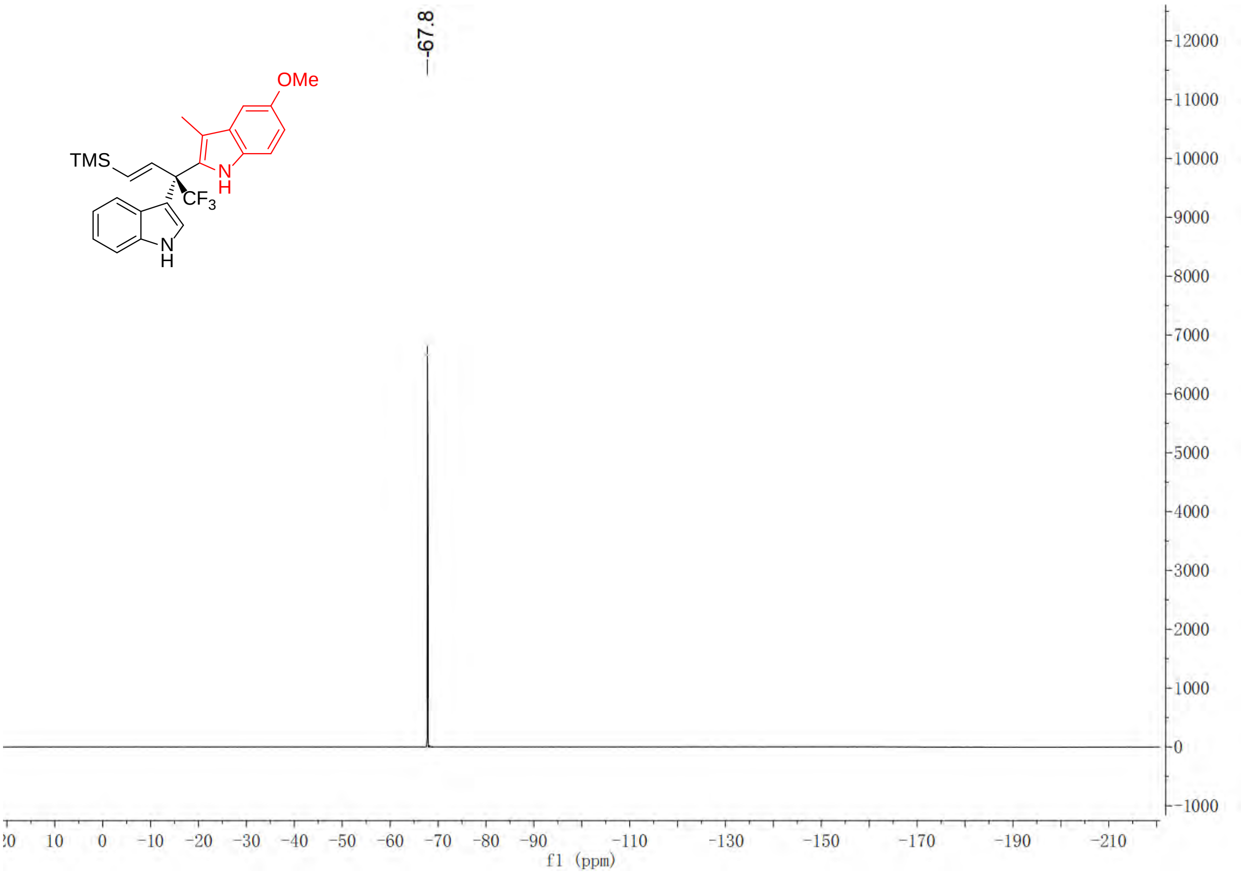
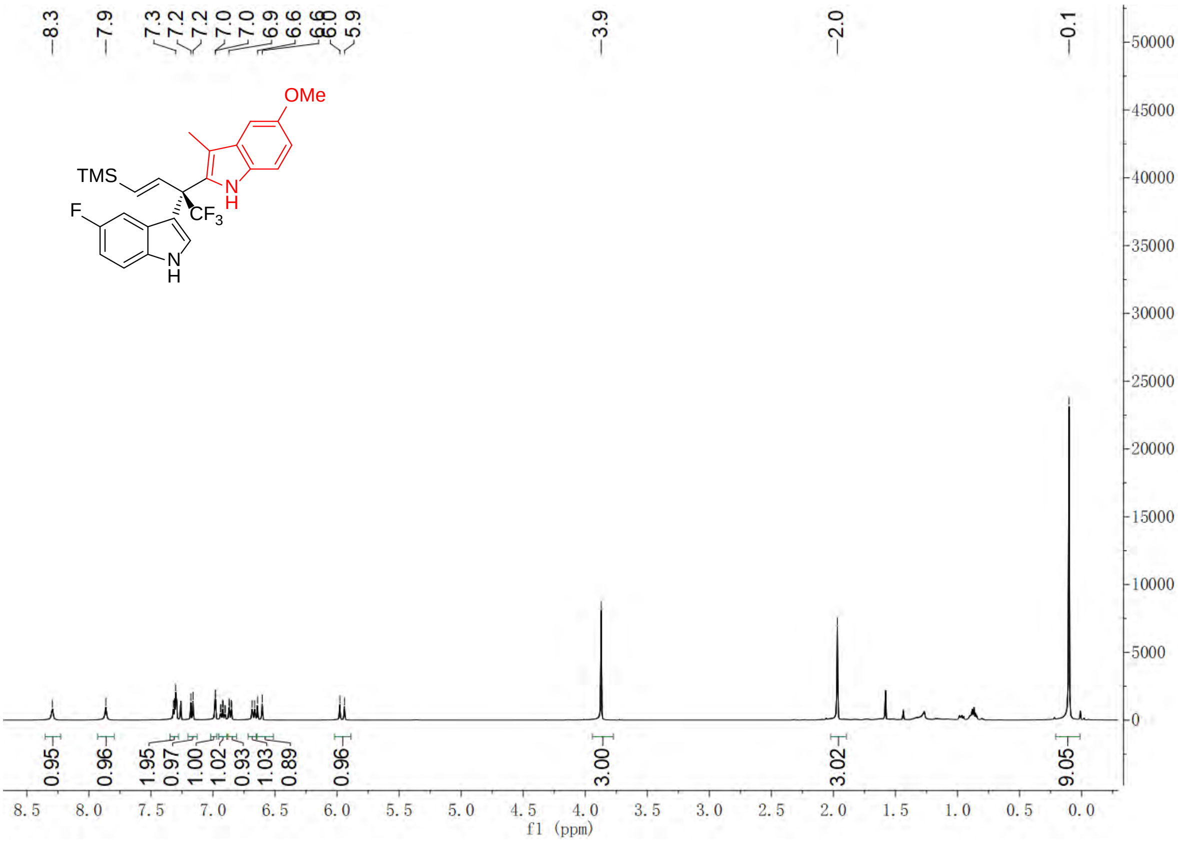
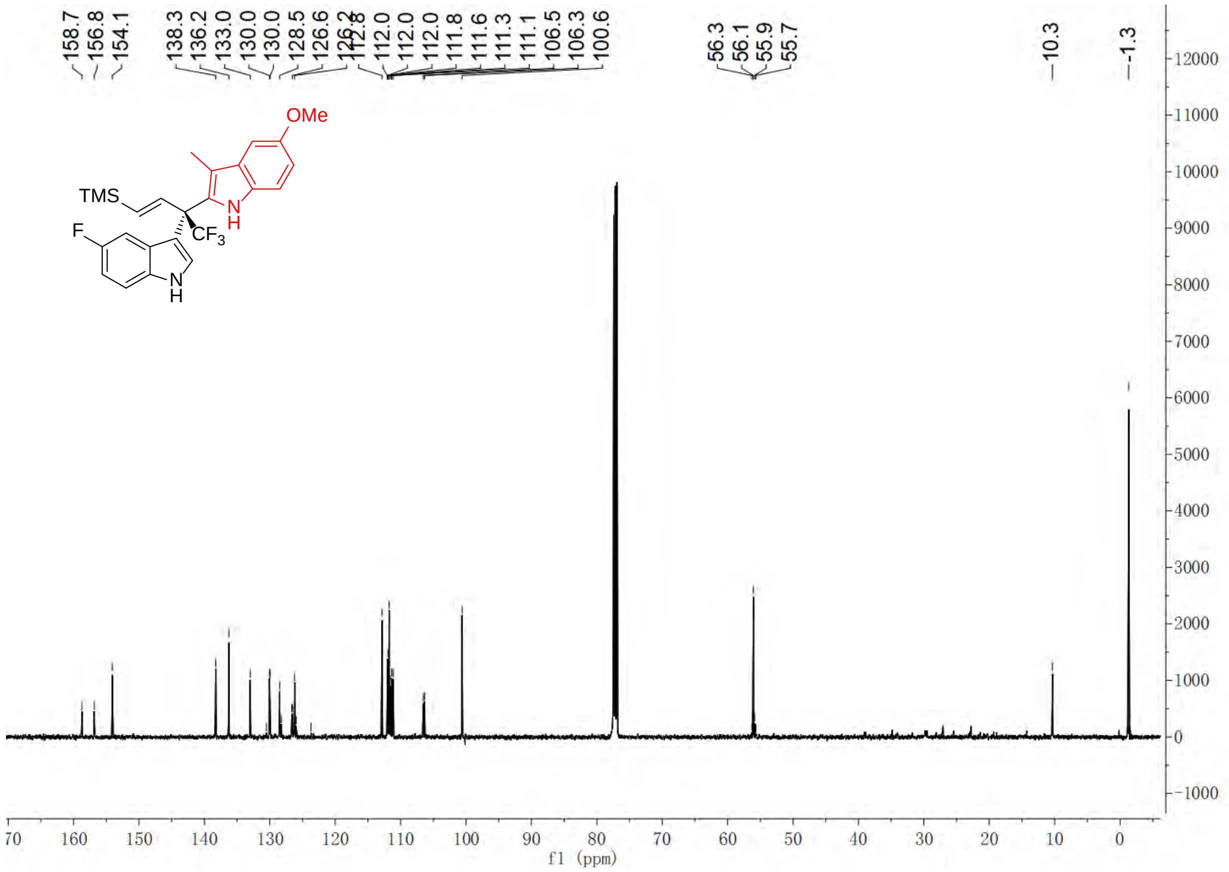


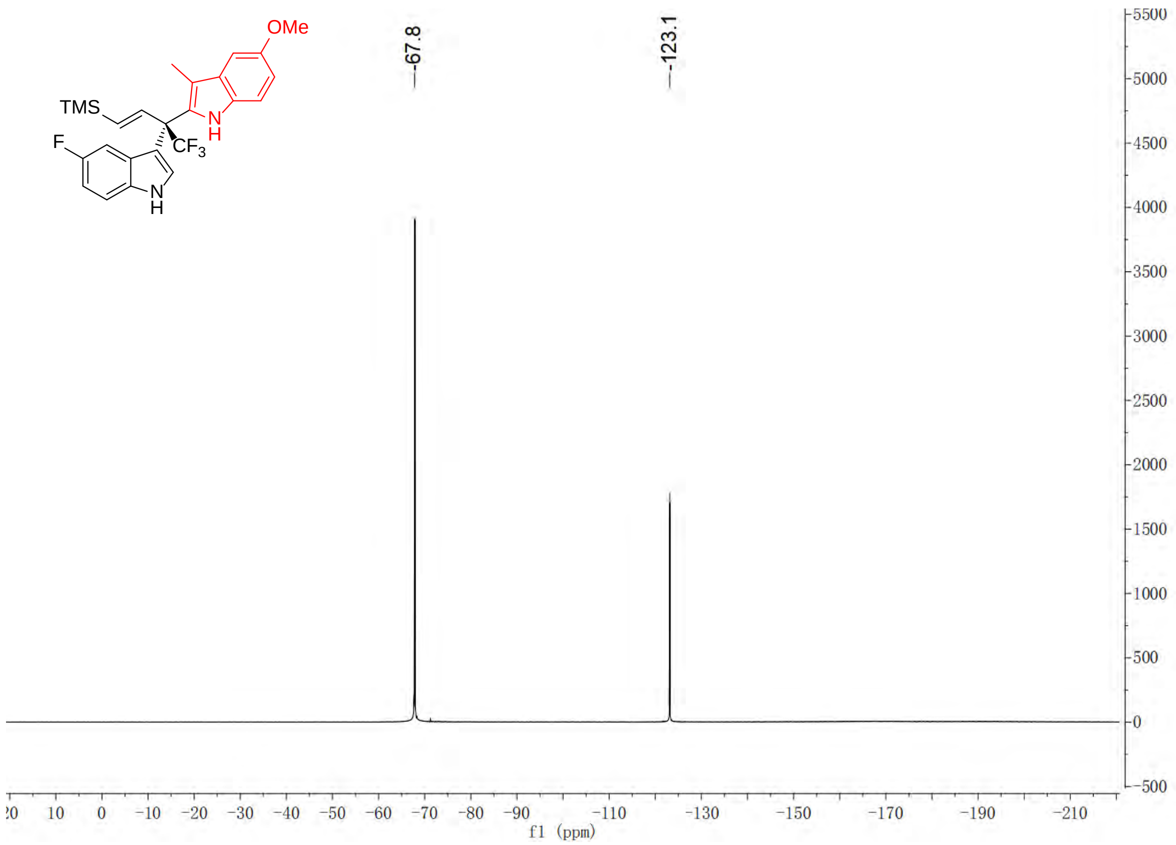
^{1}H NMR of compound 3ad (in $CDCl_3$)



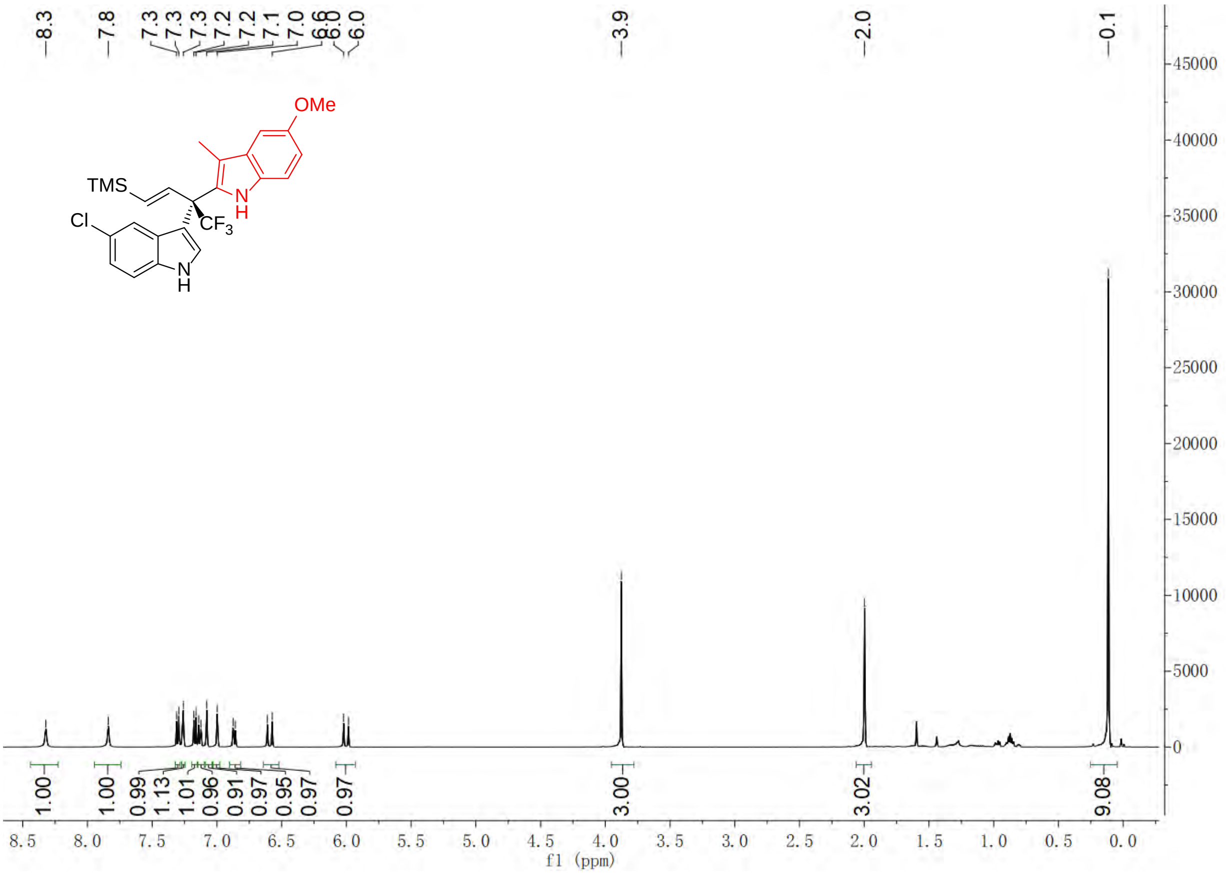
^{13}C NMR of compound 3ad (in $CDCl_3$)



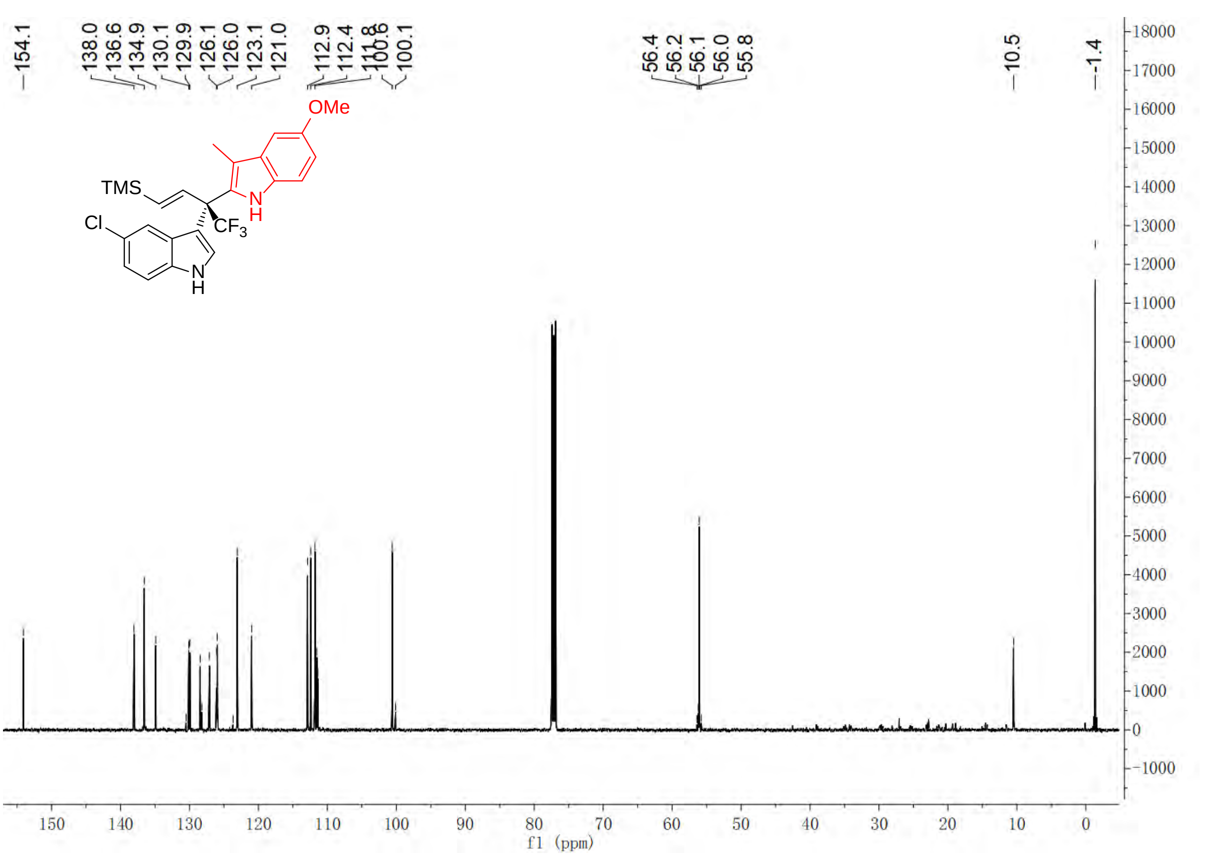
^{19}F NMR of compound 3ad (in $CDCl_3$)



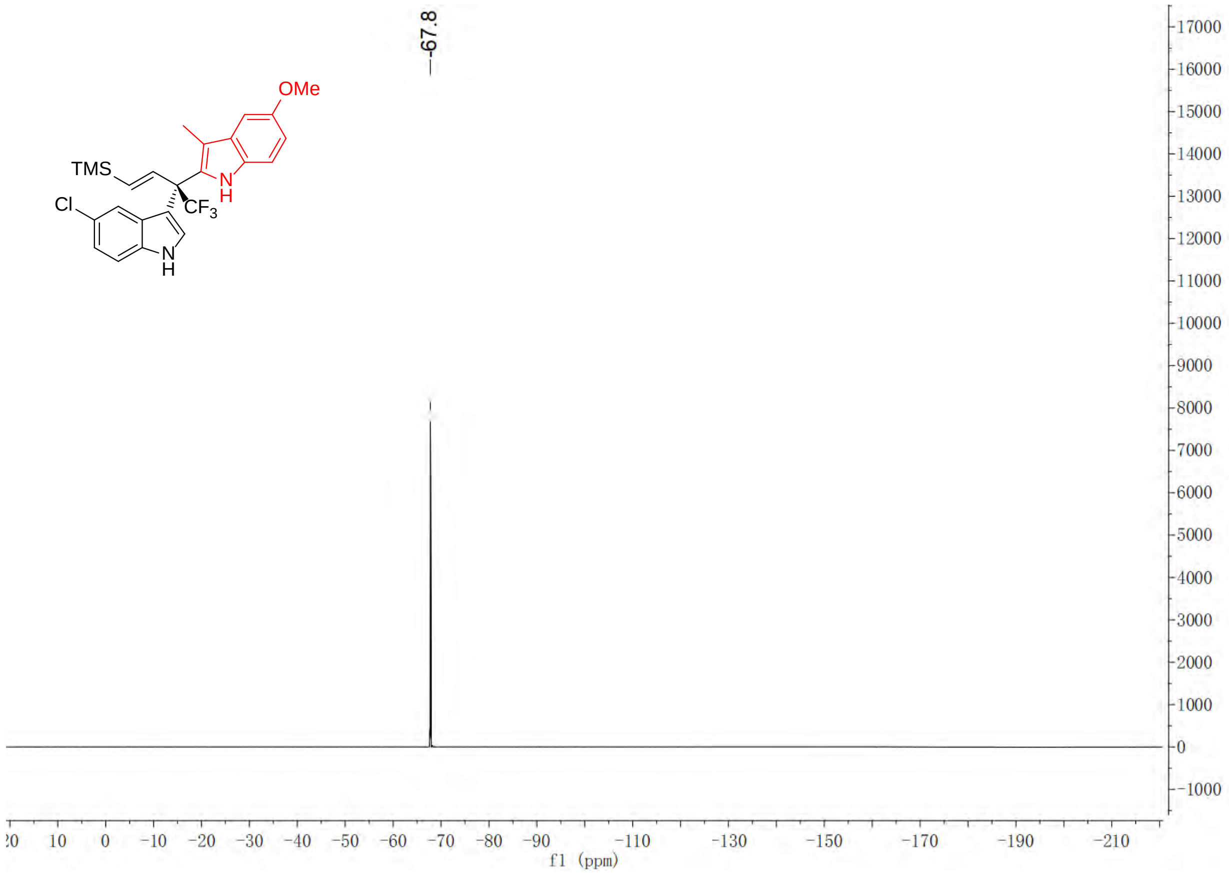
1H NMR of compound 3ae (in $CDCl_3$)



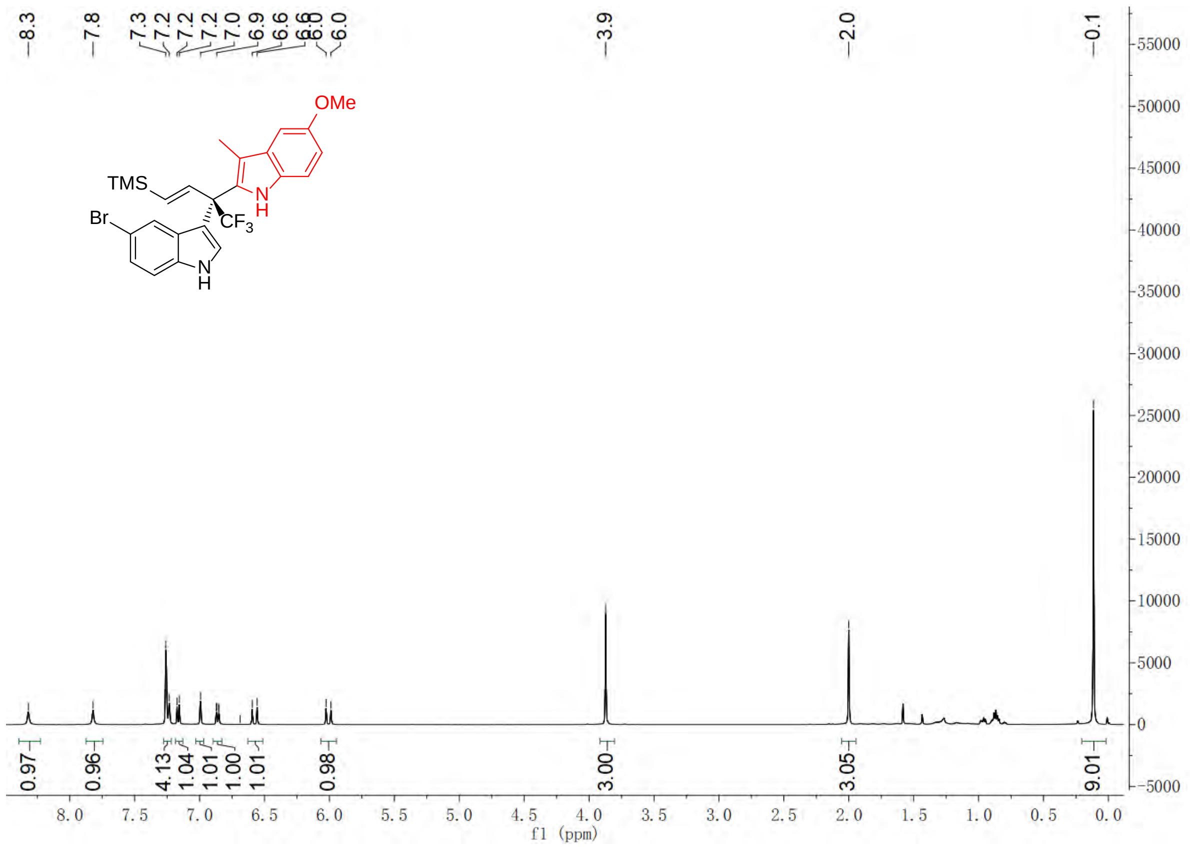
13C NMR of compound 3ae (in $CDCl_3$)



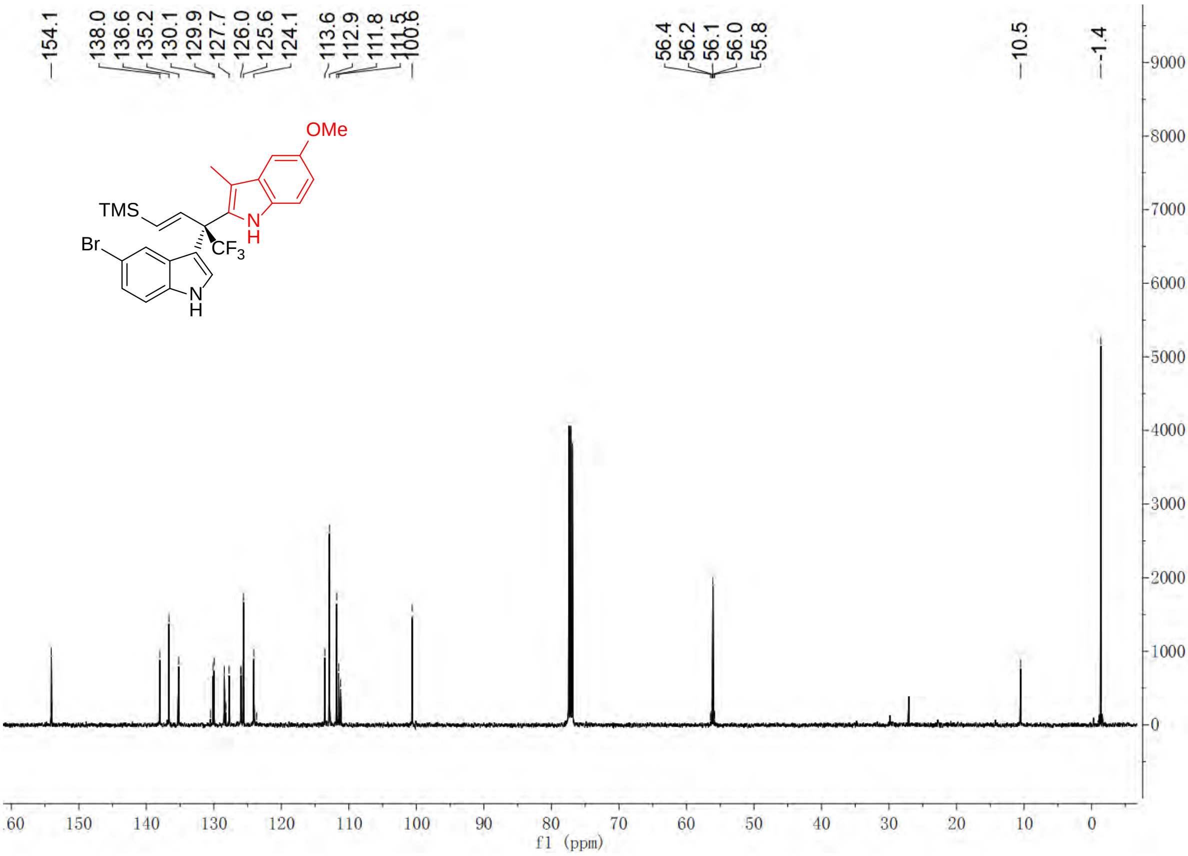
^{19}F NMR of compound 3ae (in $CDCl_3$)



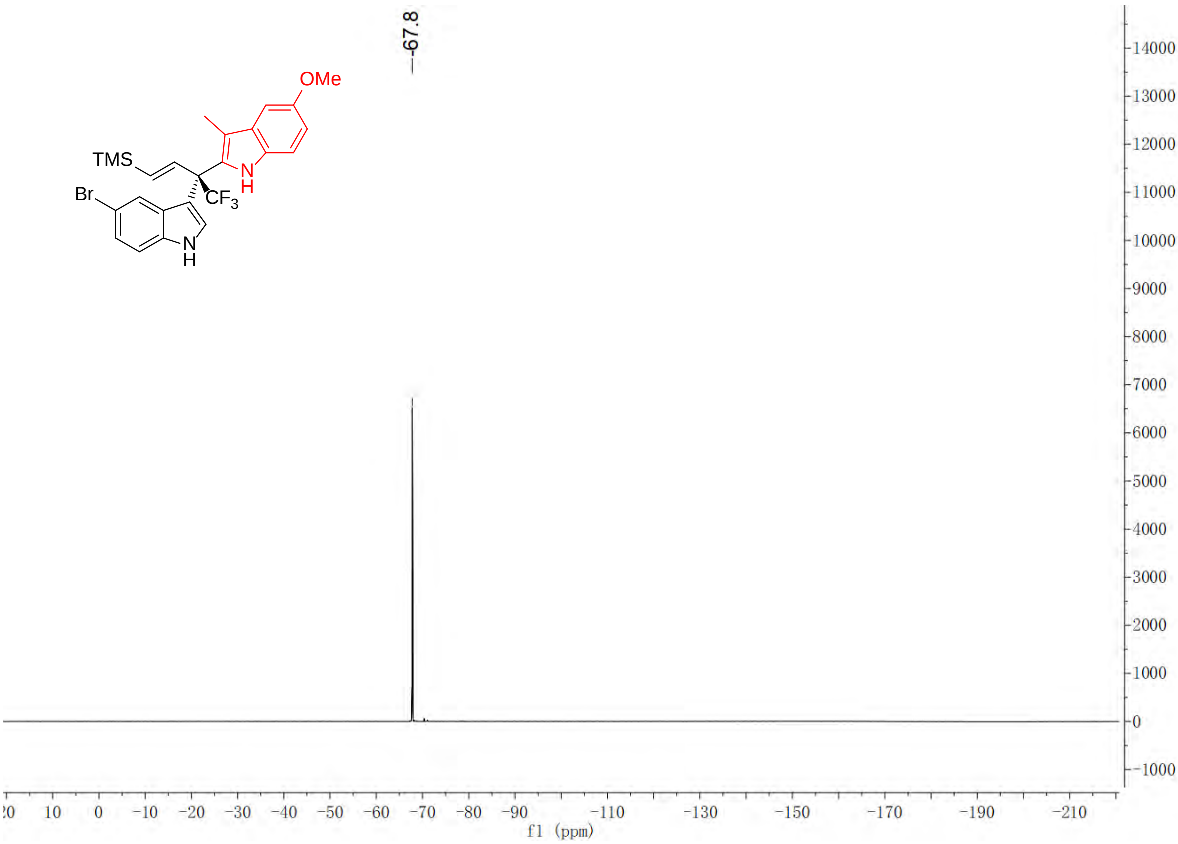
^{1}H NMR of compound 3af (in $CDCl_3$)



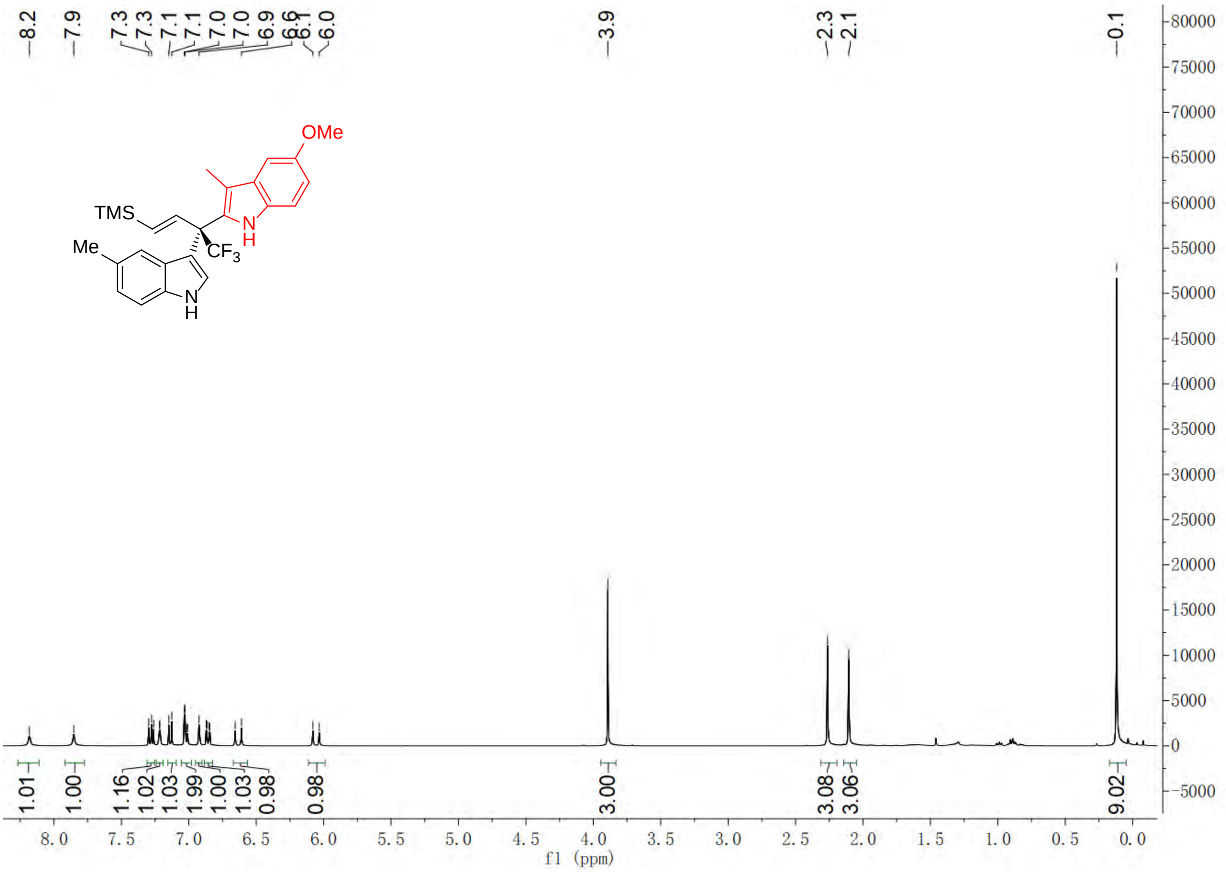
^{13}C NMR of compound 3af (in $CDCl_3$)



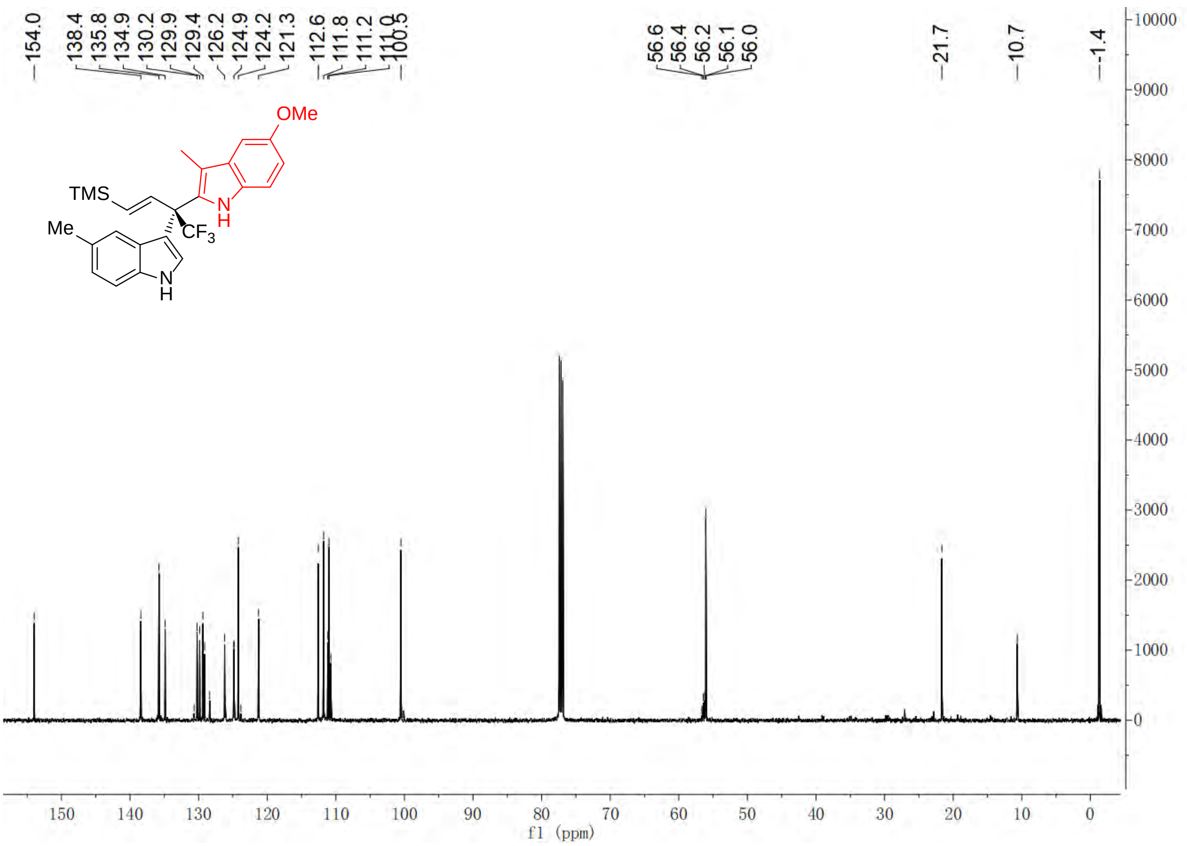
^{19}F NMR of compound 3af (in $CDCl_3$)



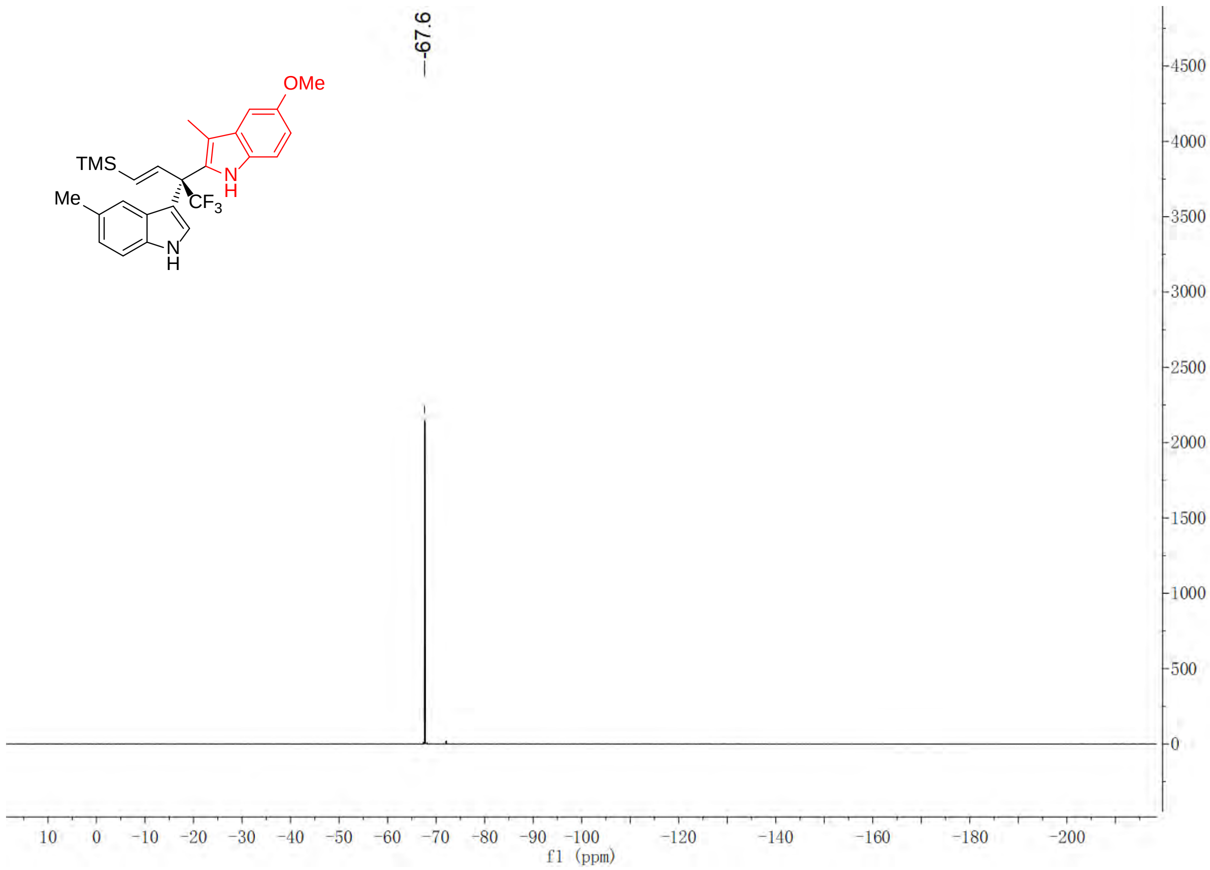
^{1}H NMR of compound 3ag (in $CDCl_3$)



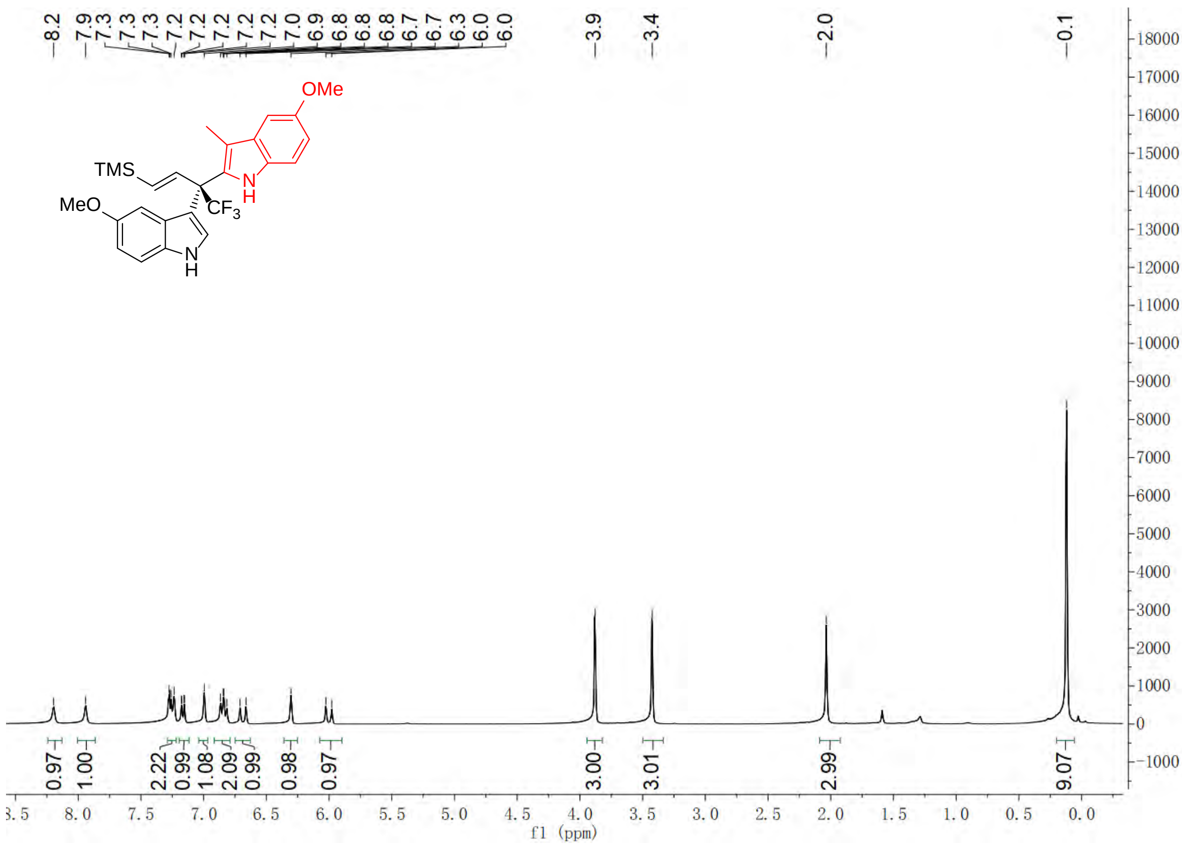
^{13}C NMR of compound 3ag (in $CDCl_3$)



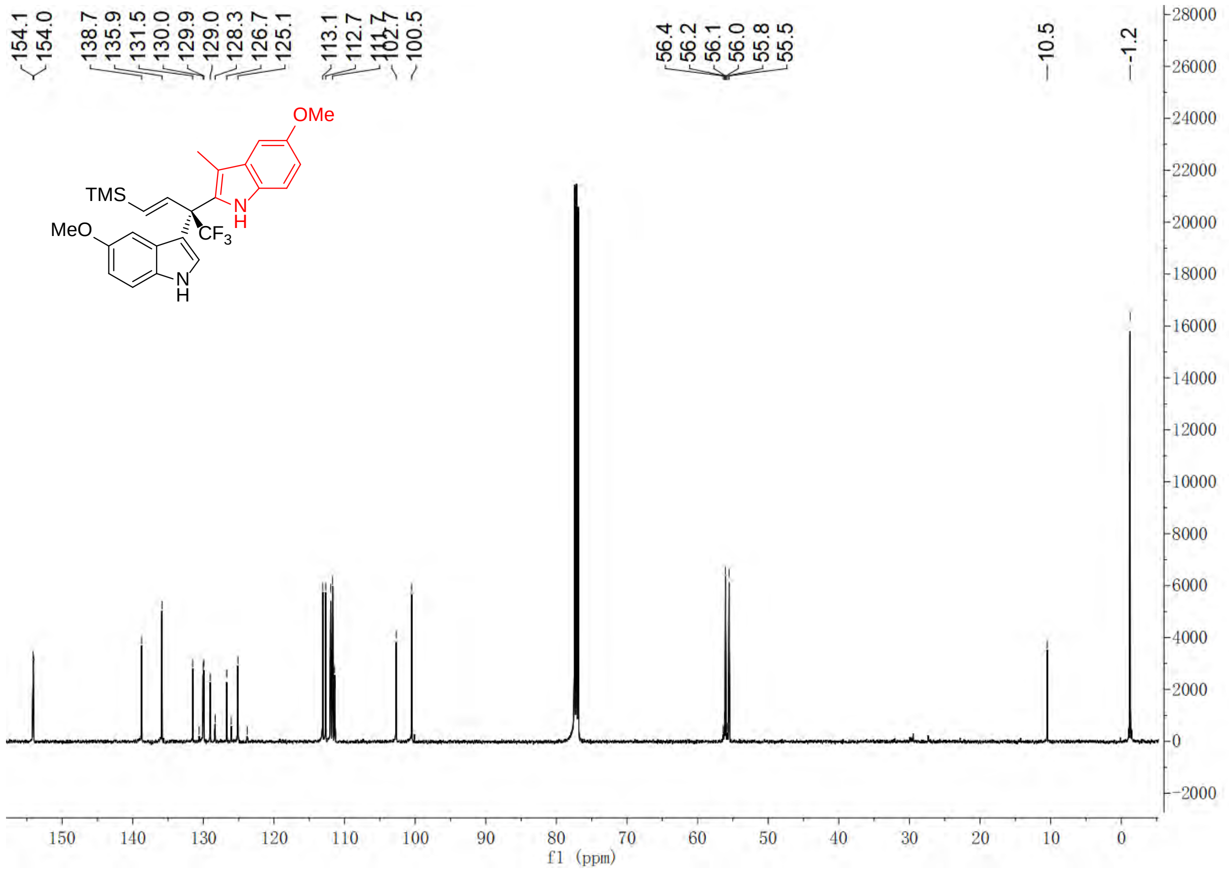
^{19}F NMR of compound 3ag (in $CDCl_3$)



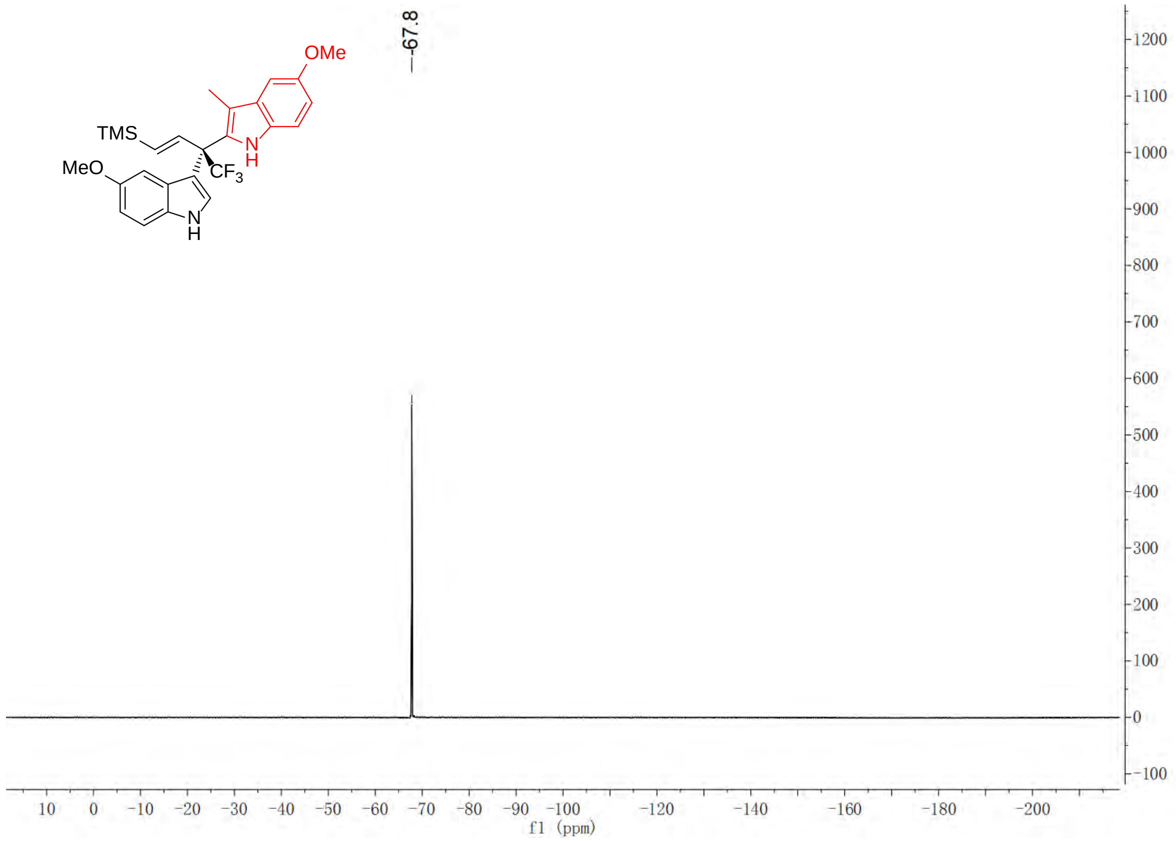
^{1}H NMR of compound 3ah (in $CDCl_3$)



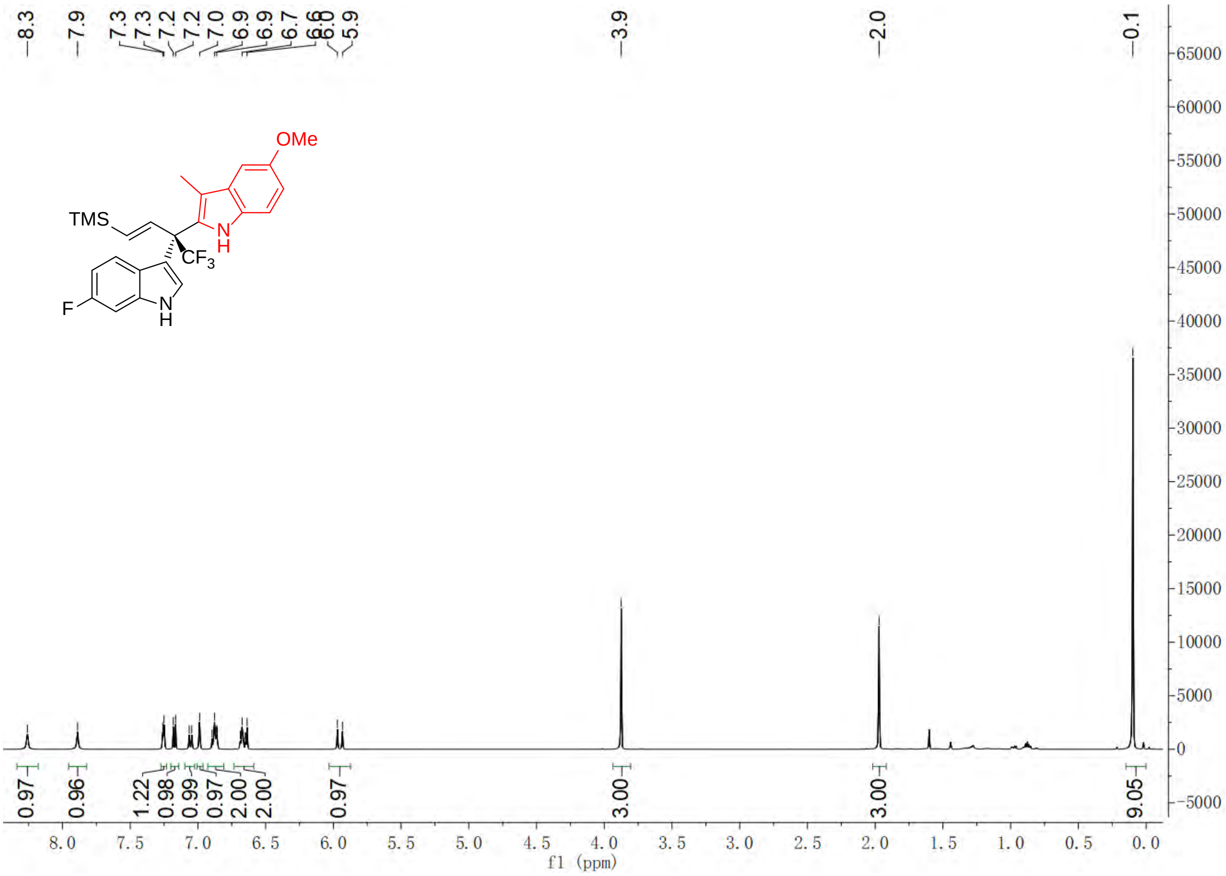
^{13}C NMR of compound 3ah (in $CDCl_3$)



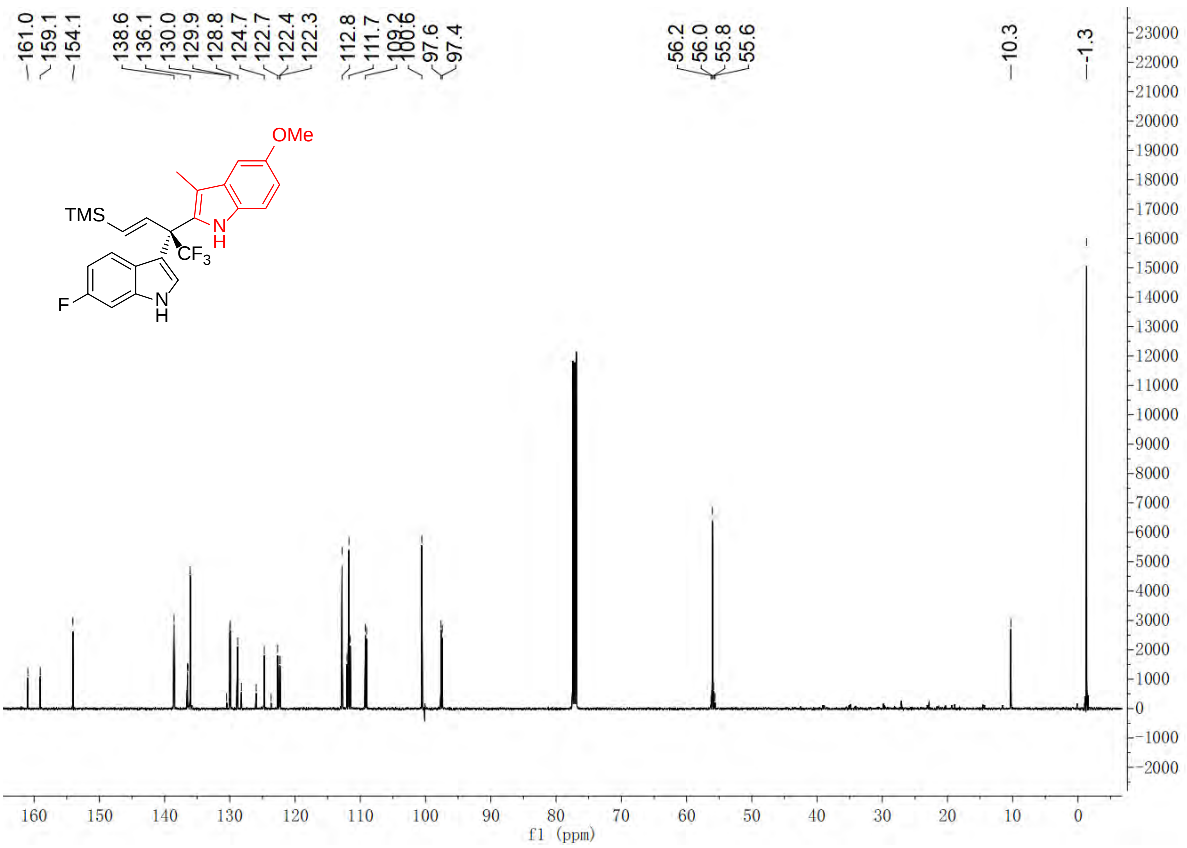
^{19}F NMR of compound 3ah (in $CDCl_3$)



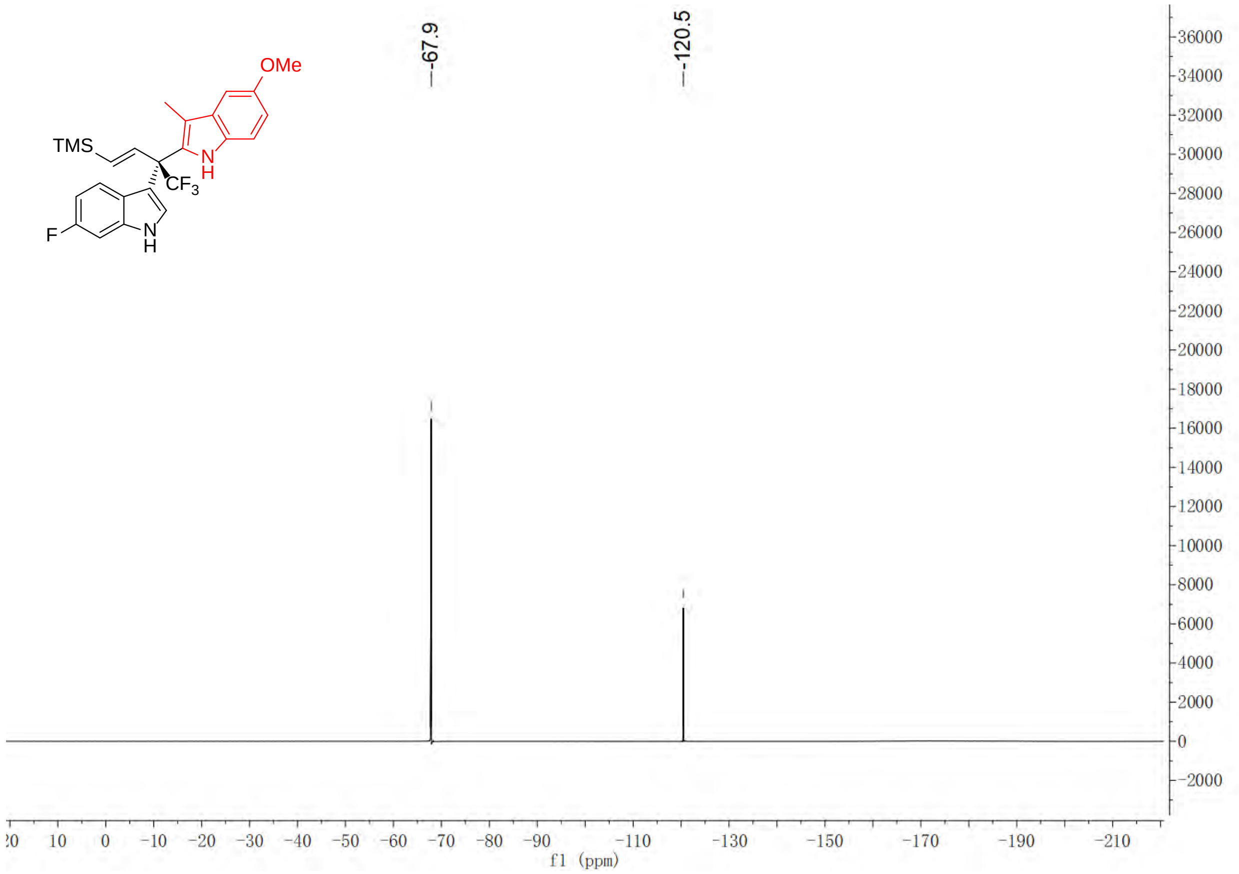
^{1}H NMR of compound 3ai (in $CDCl_3$)



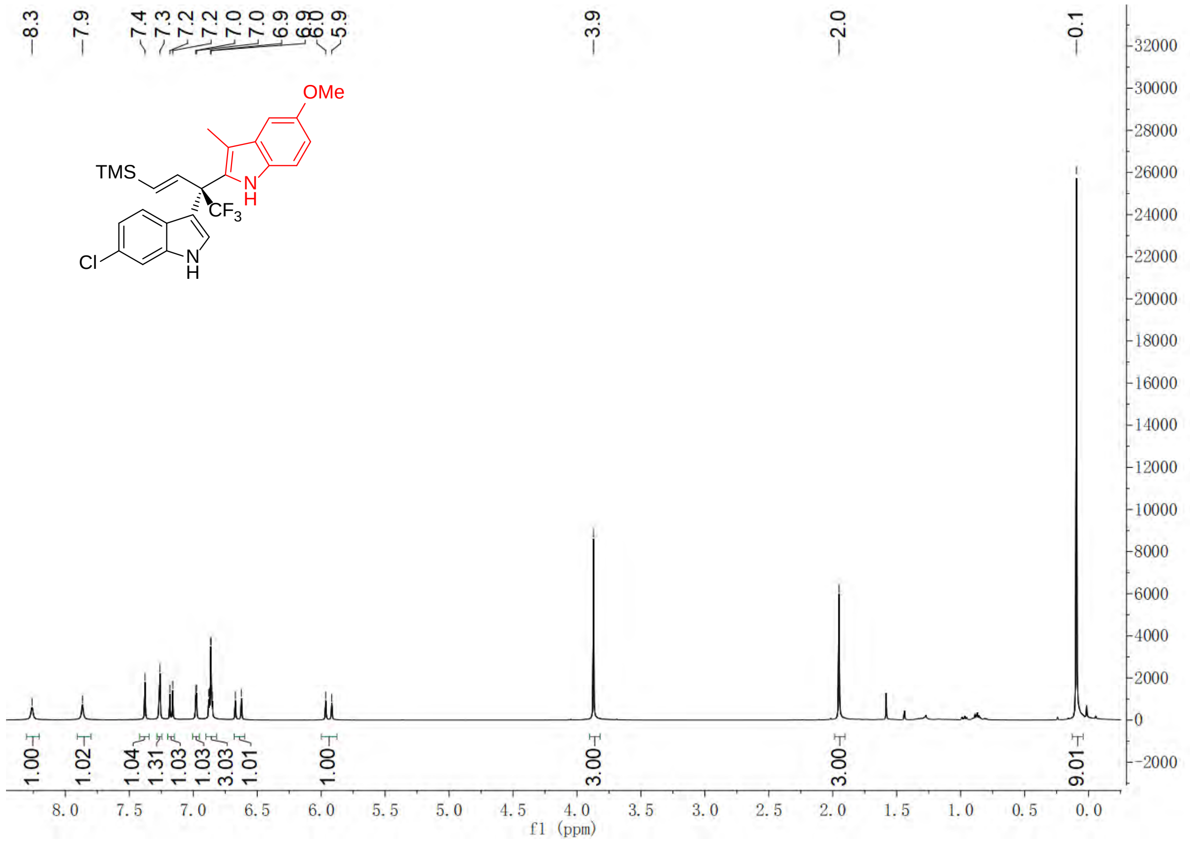
^{13}C NMR of compound 3ai (in $CDCl_3$)



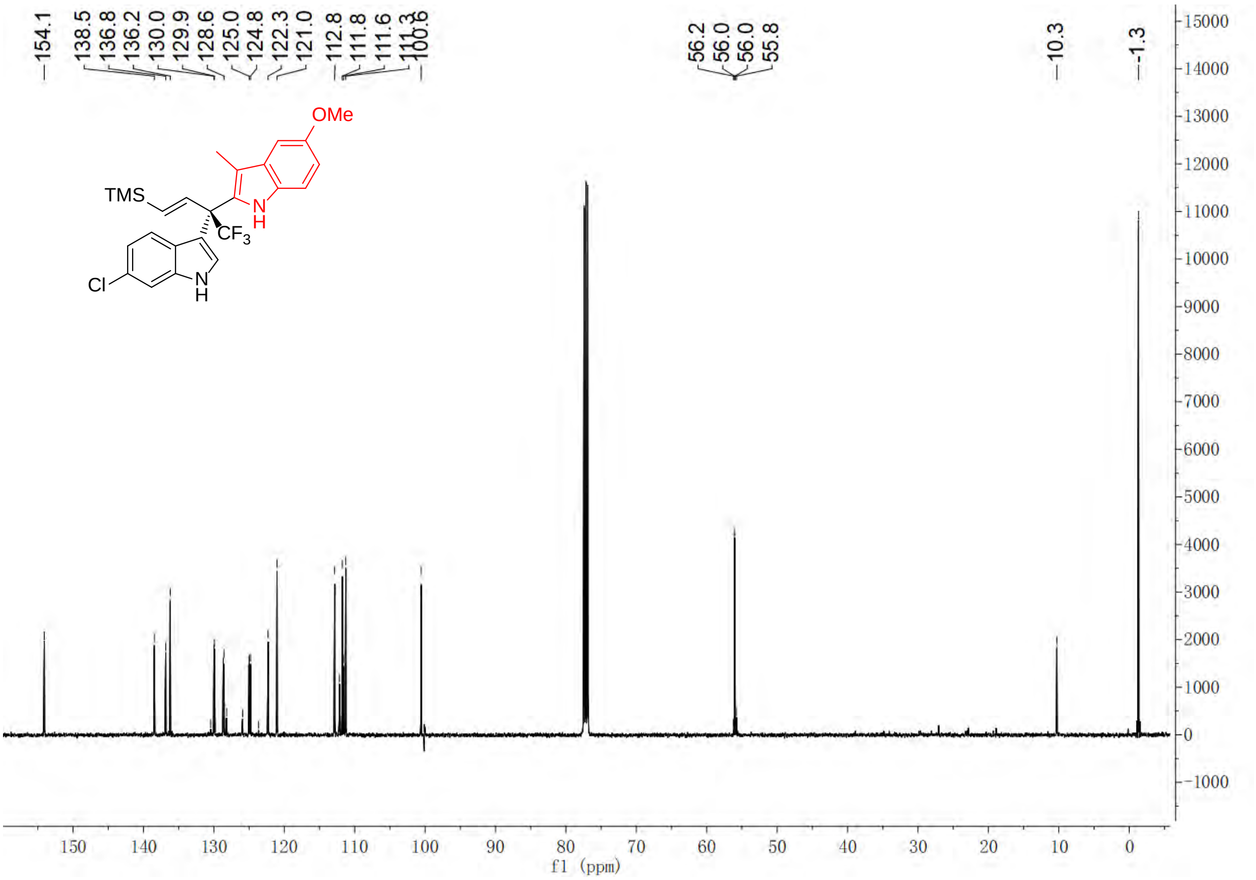
^{19}F NMR of compound 3ai (in $CDCl_3$)



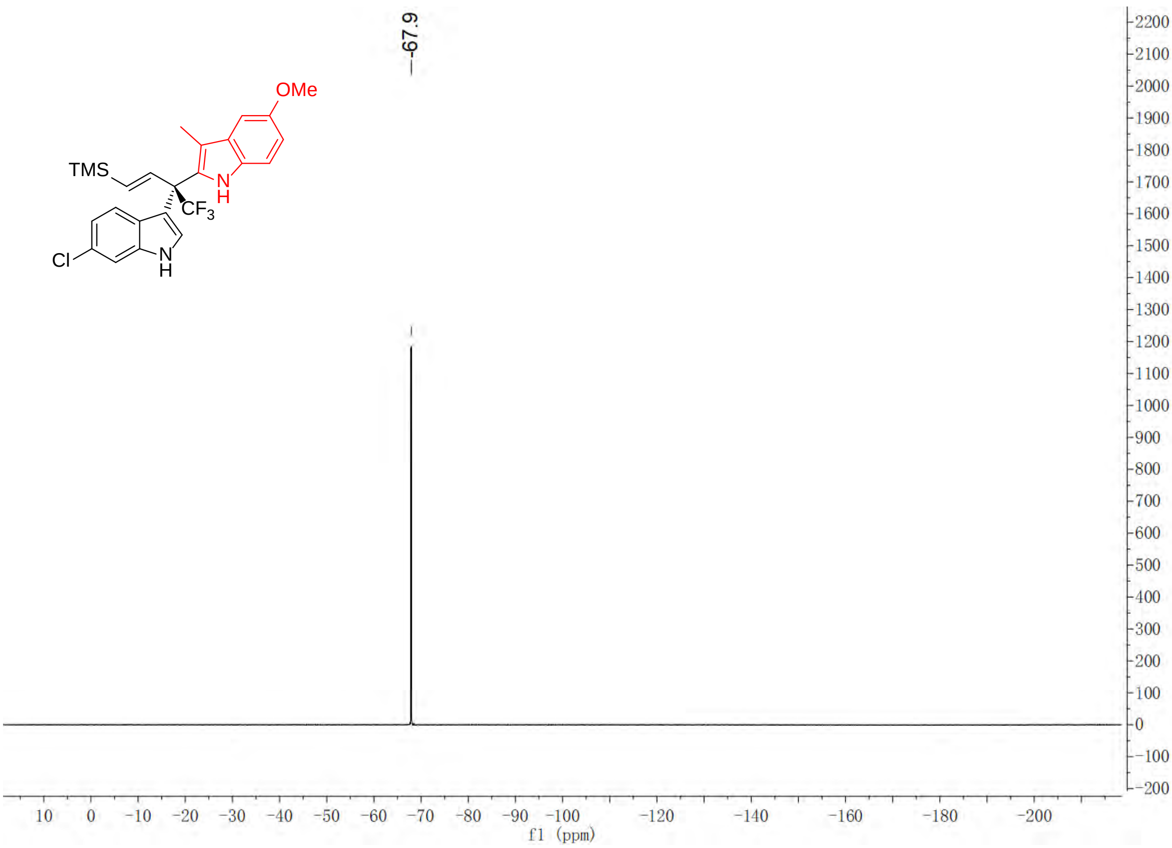
^{1}H NMR of compound 3aj (in $CDCl_3$)



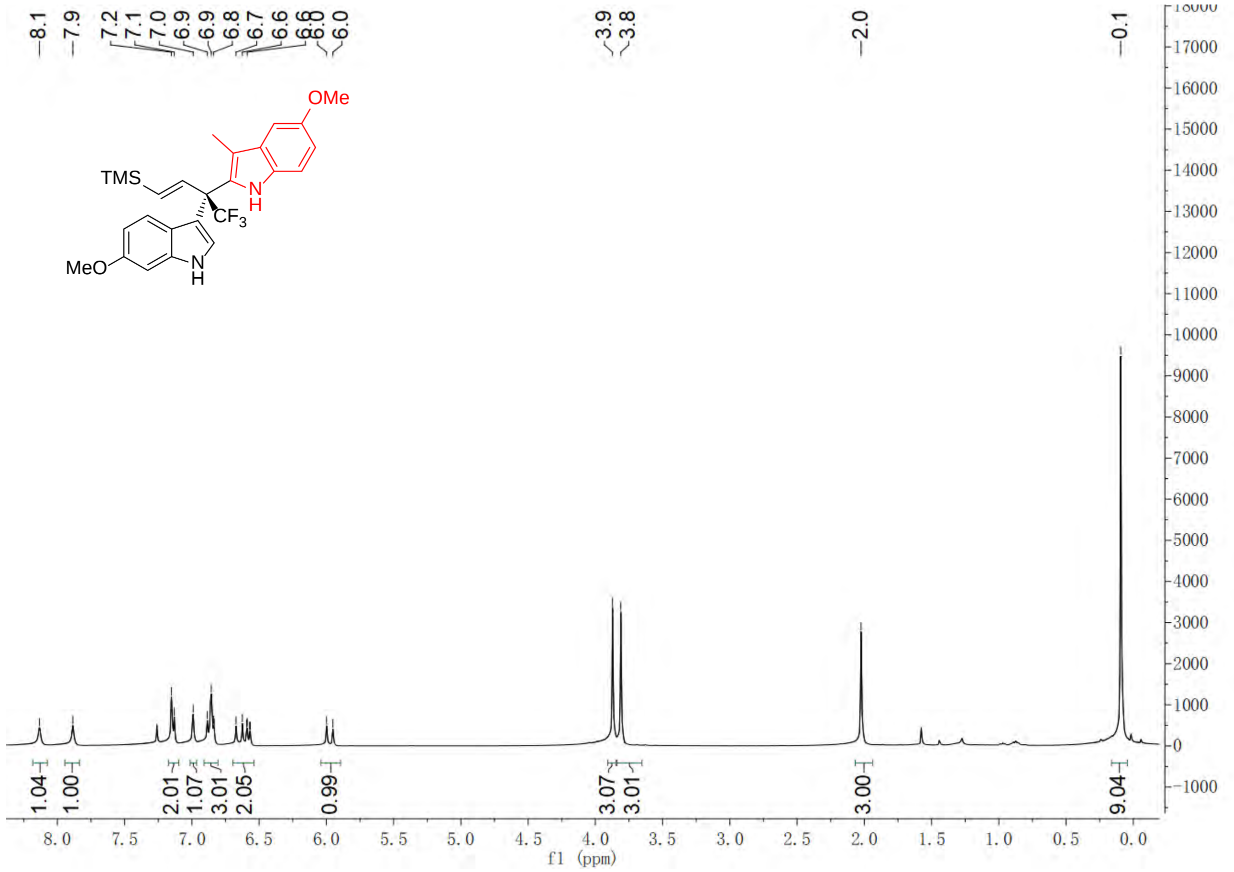
^{13}C NMR of compound 3aj (in CDCl$_3$)



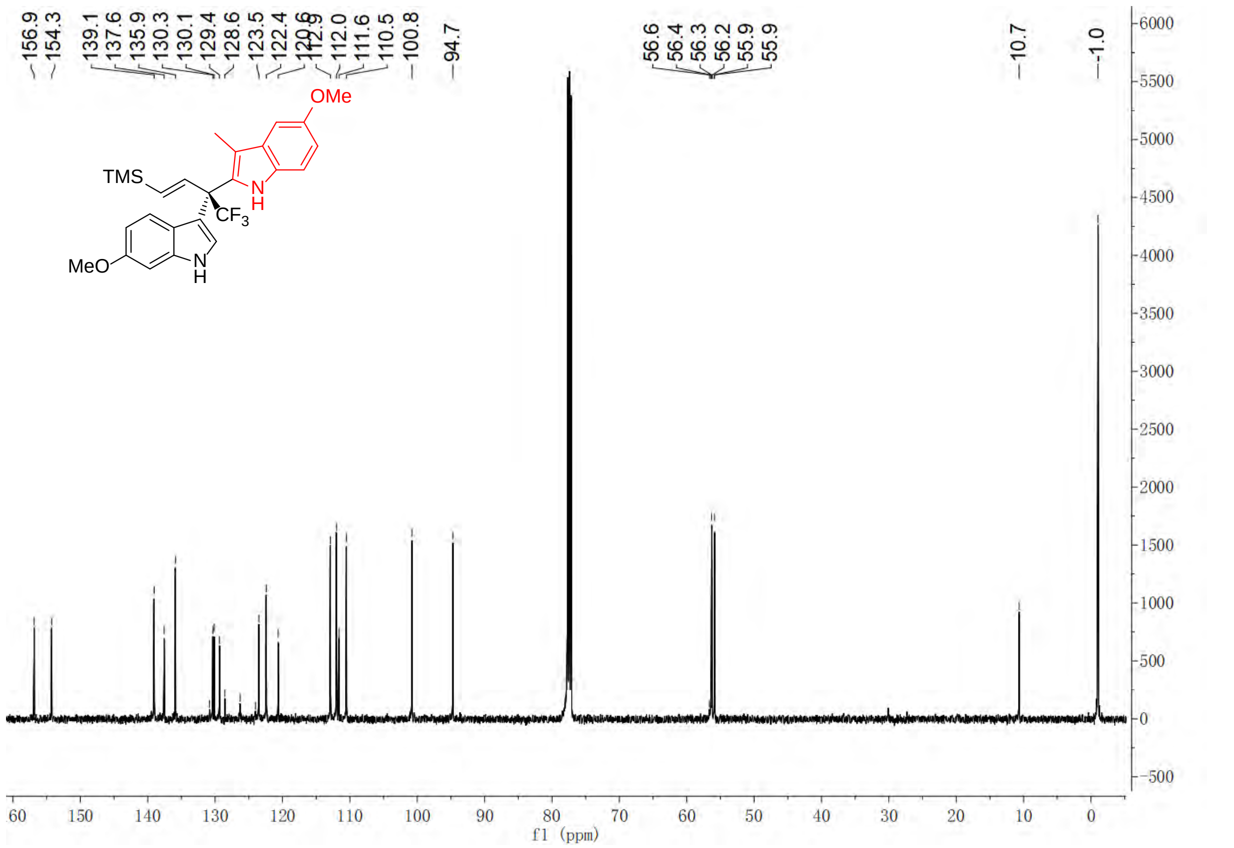
^{19}F NMR of compound 3aj (in CDCl$_3$)



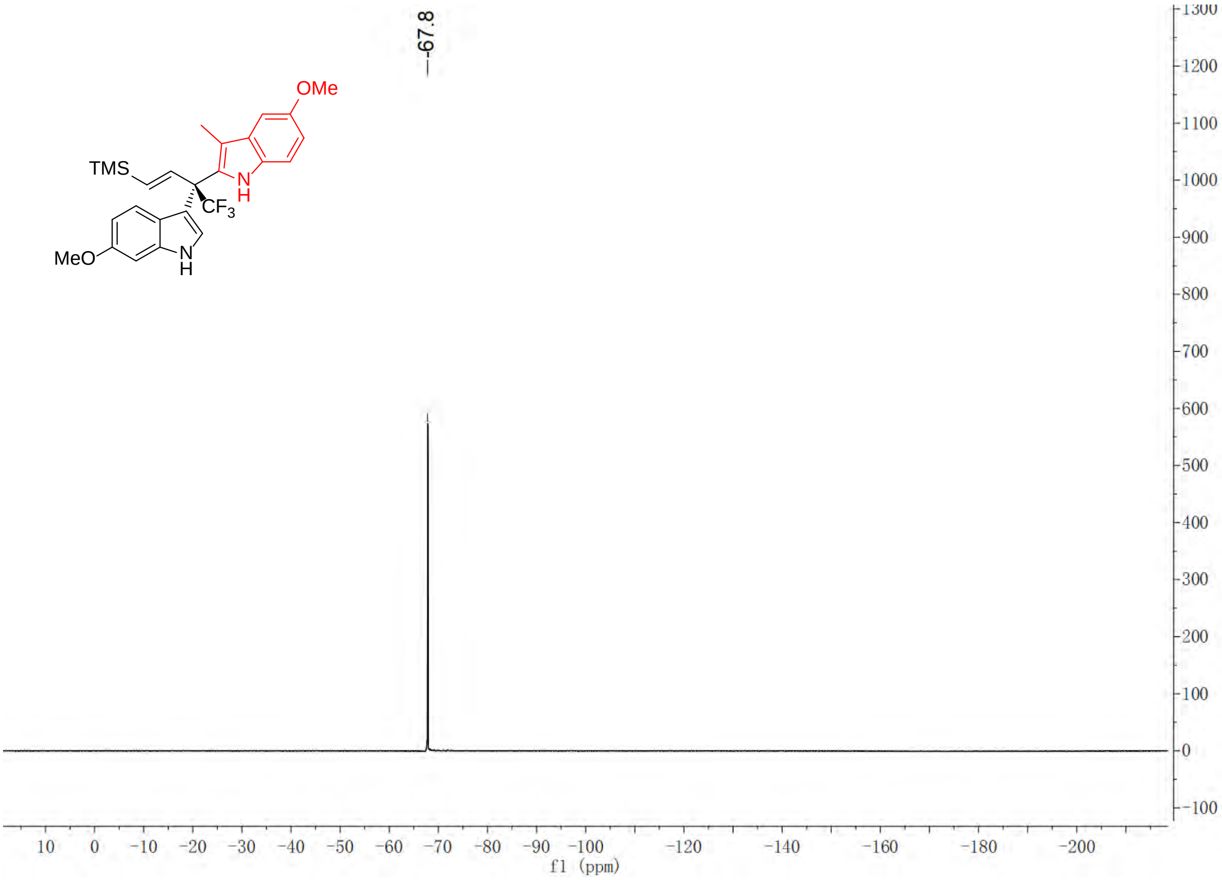
[1]H NMR of compound 3ak (in $CDCl_3$)



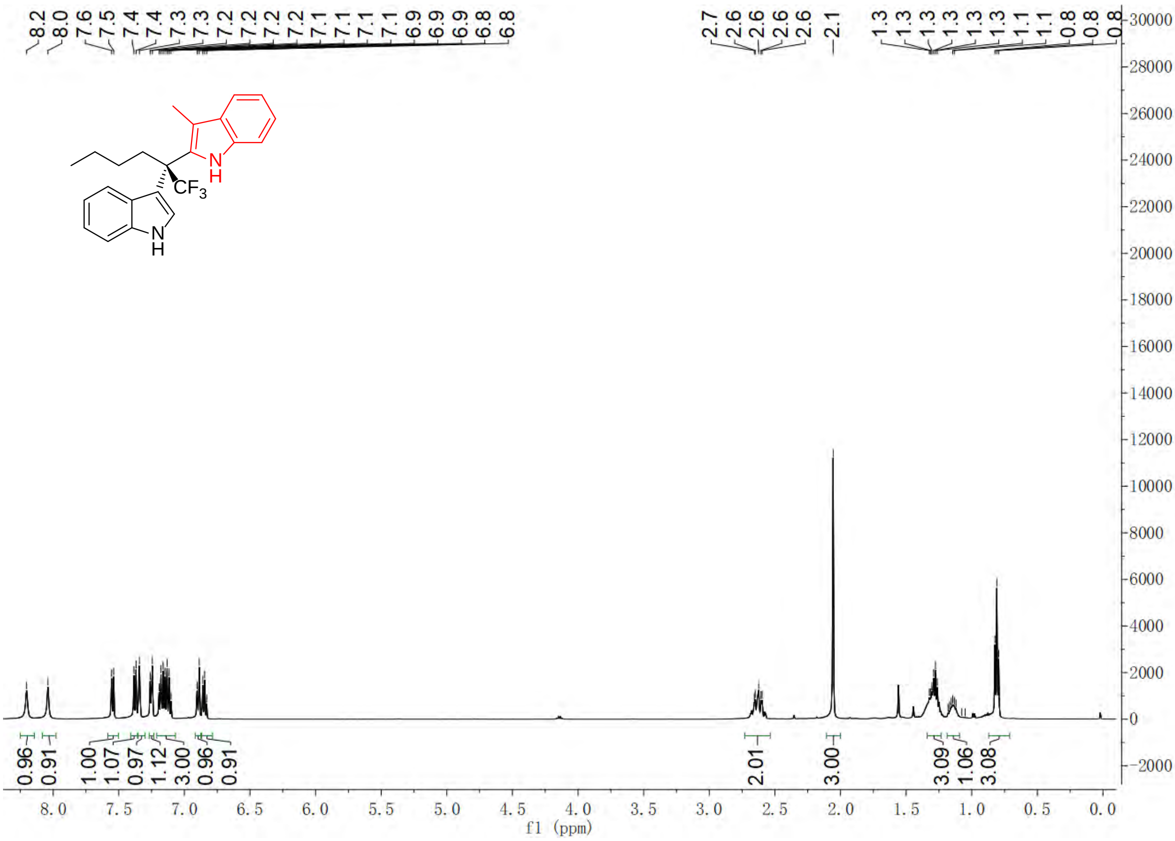
[13]C NMR of compound 3ak (in $CDCl_3$)



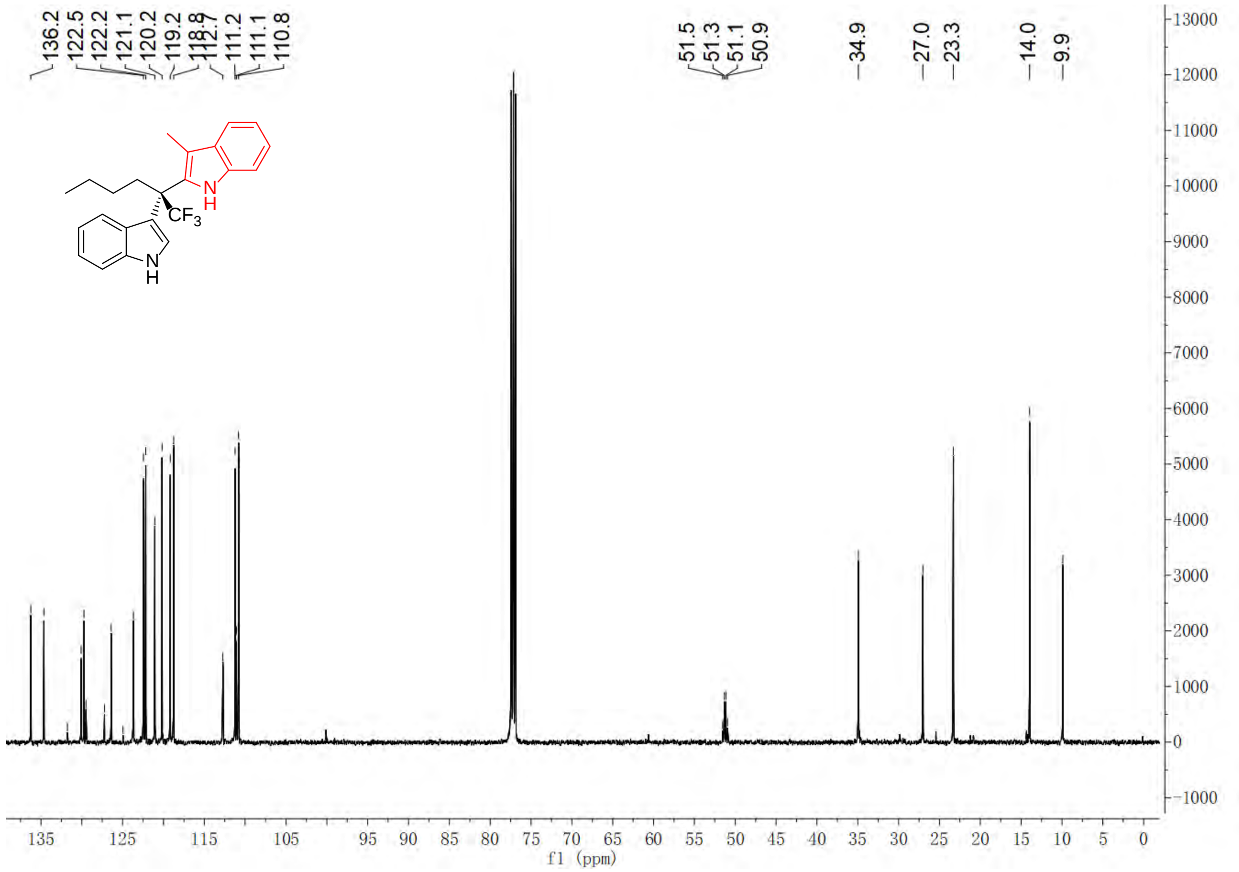
^{19}F NMR of compound 3ak (in $CDCl_3$)



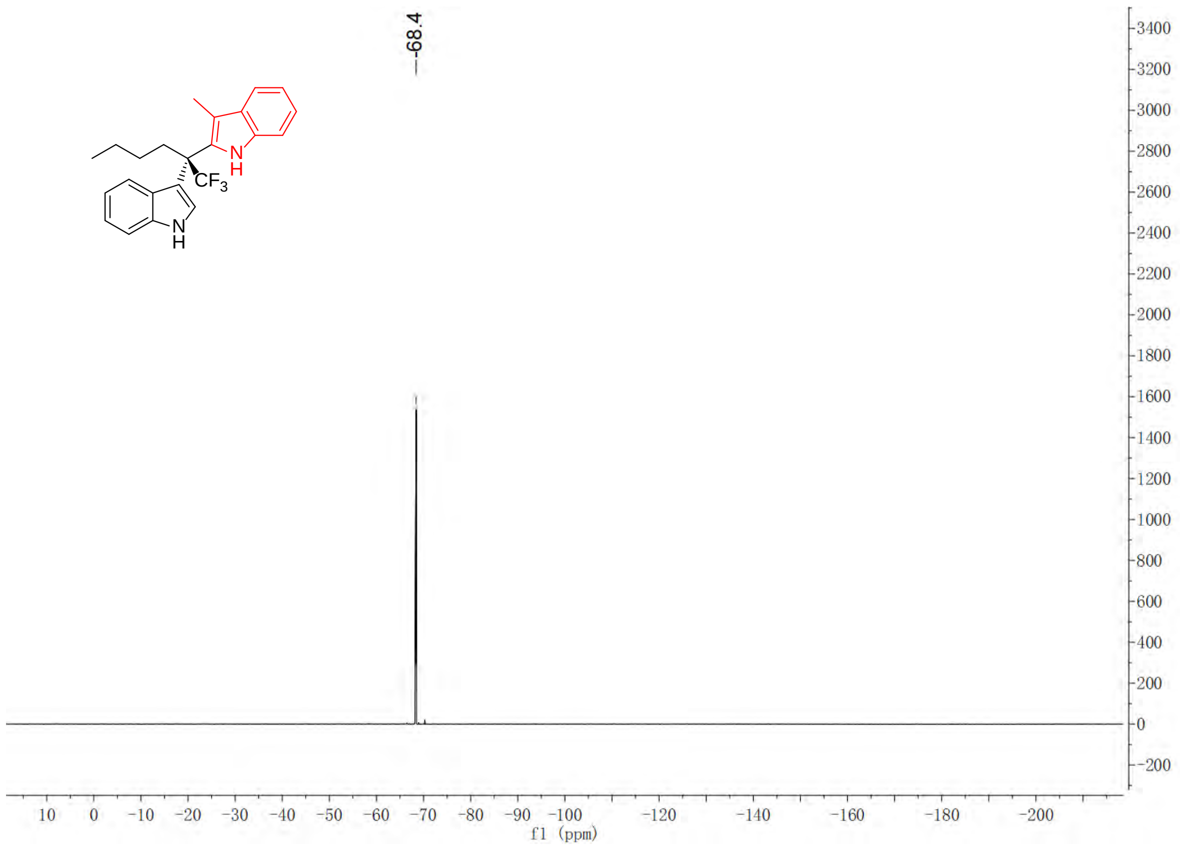
^{1}H NMR of compound 3al (in $CDCl_3$)



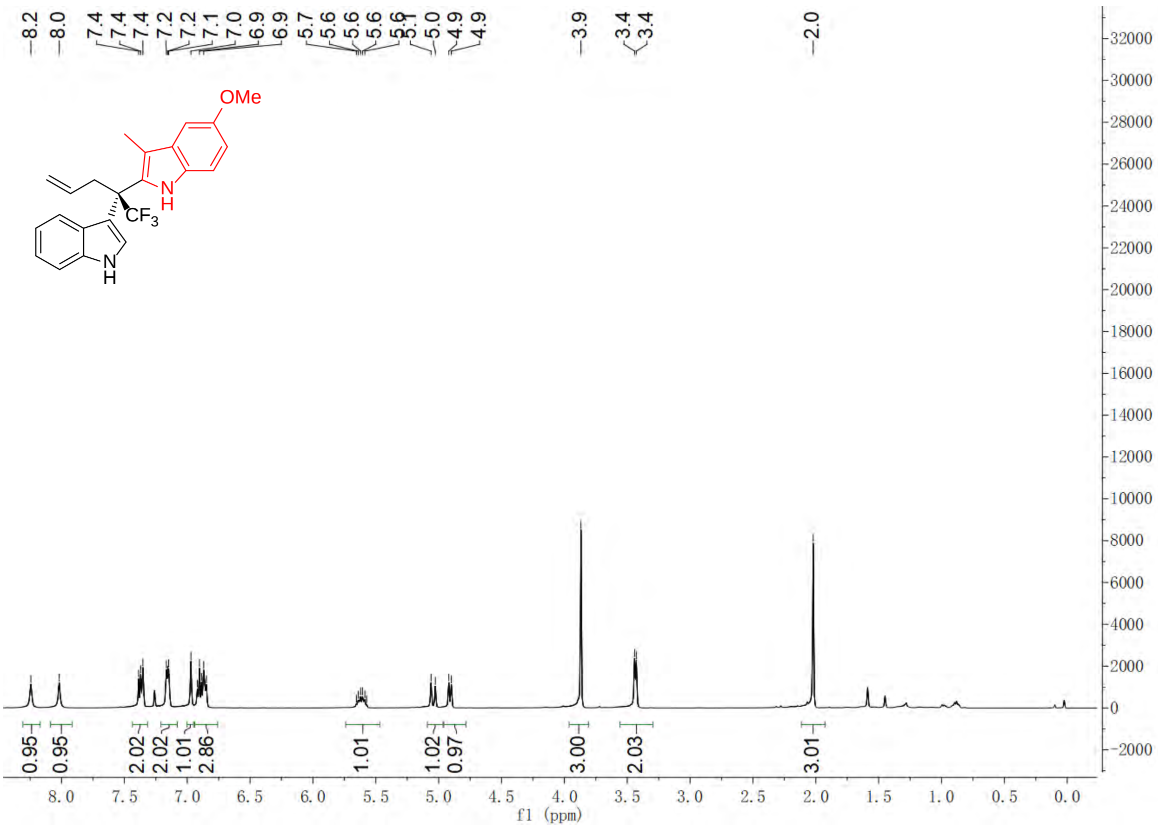
^{13}C NMR of compound 3al (in $CDCl_3$)



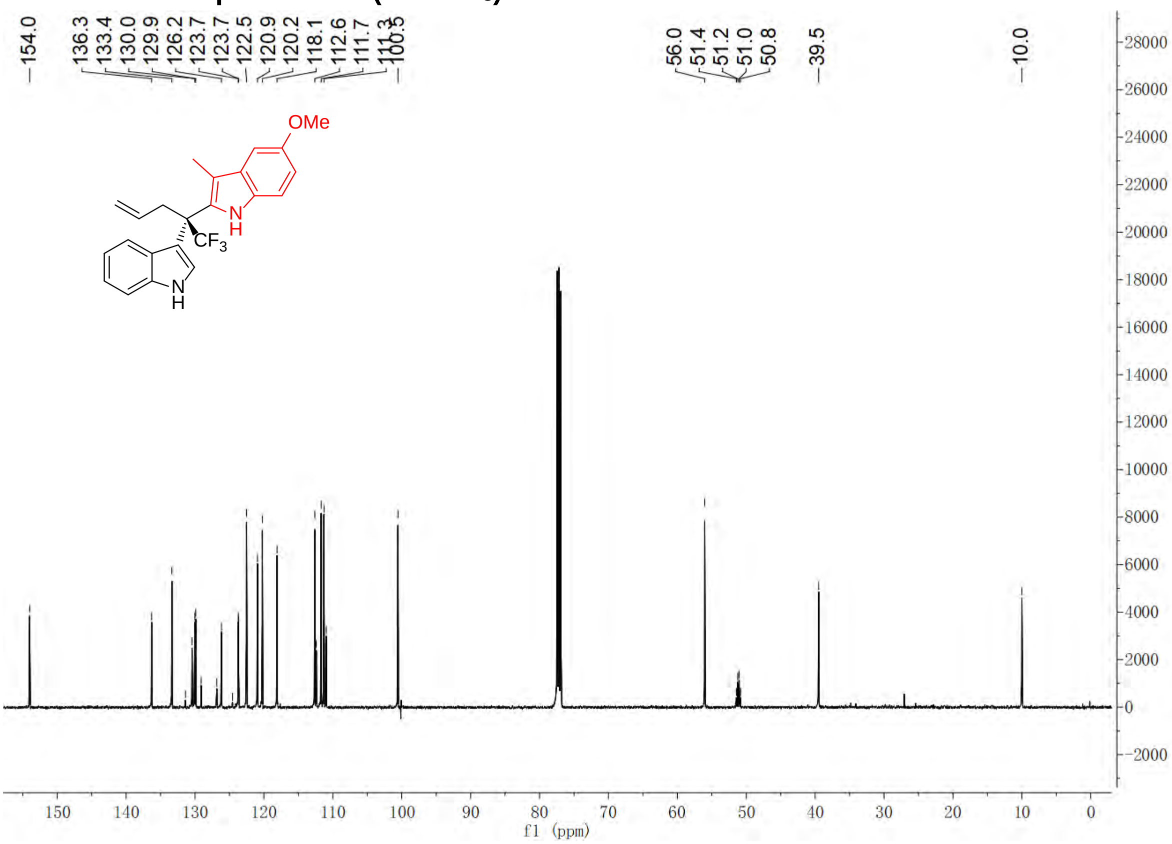
^{19}F NMR of compound 3al (in $CDCl_3$)



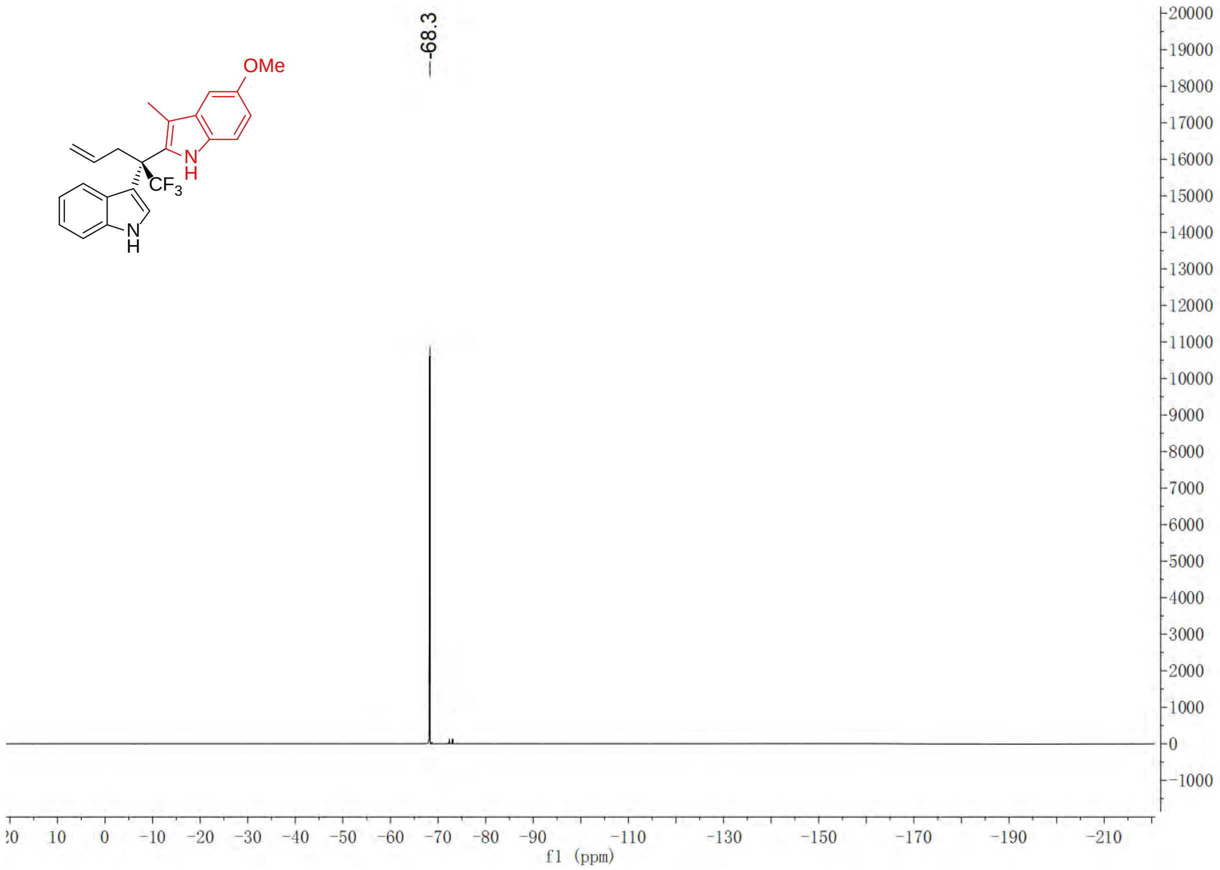
^{1}H NMR of compound 3am (in $CDCl_3$)



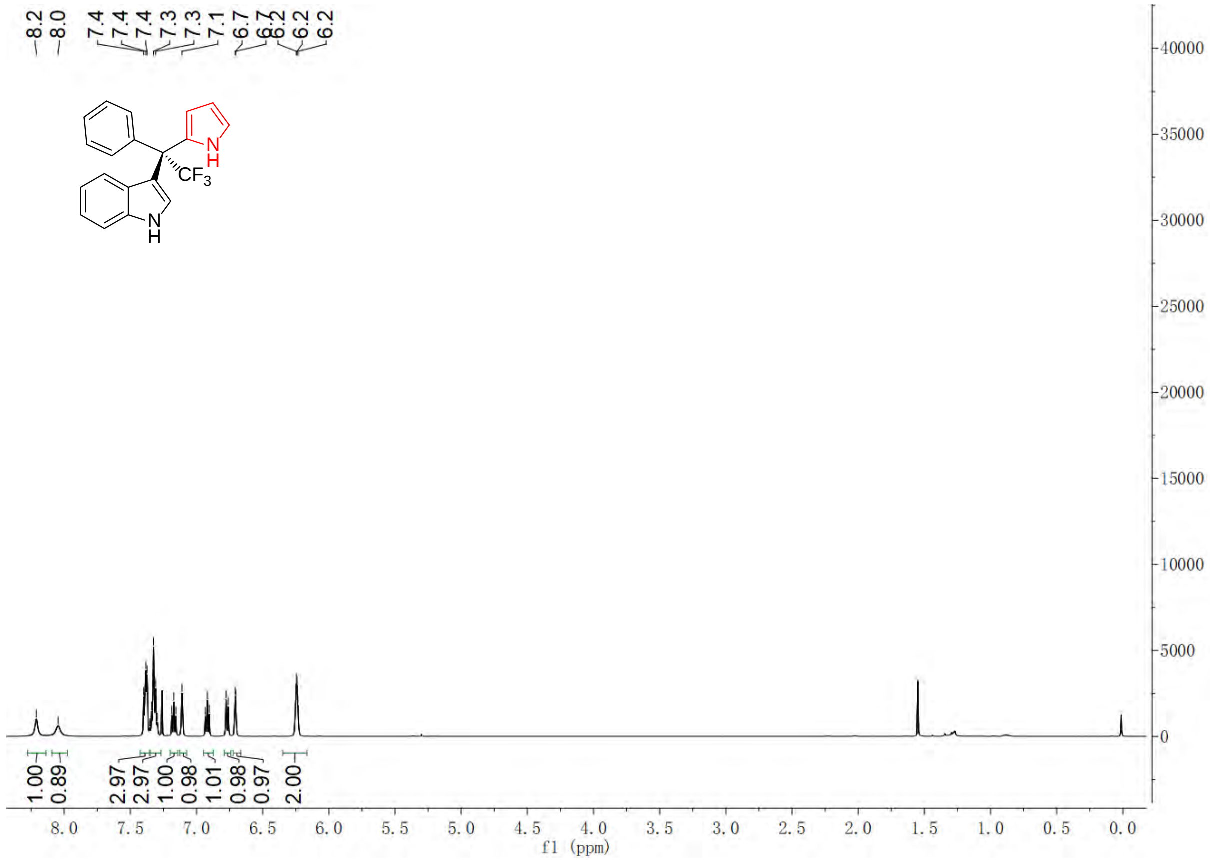
^{13}C NMR of compound 3am (in $CDCl_3$)



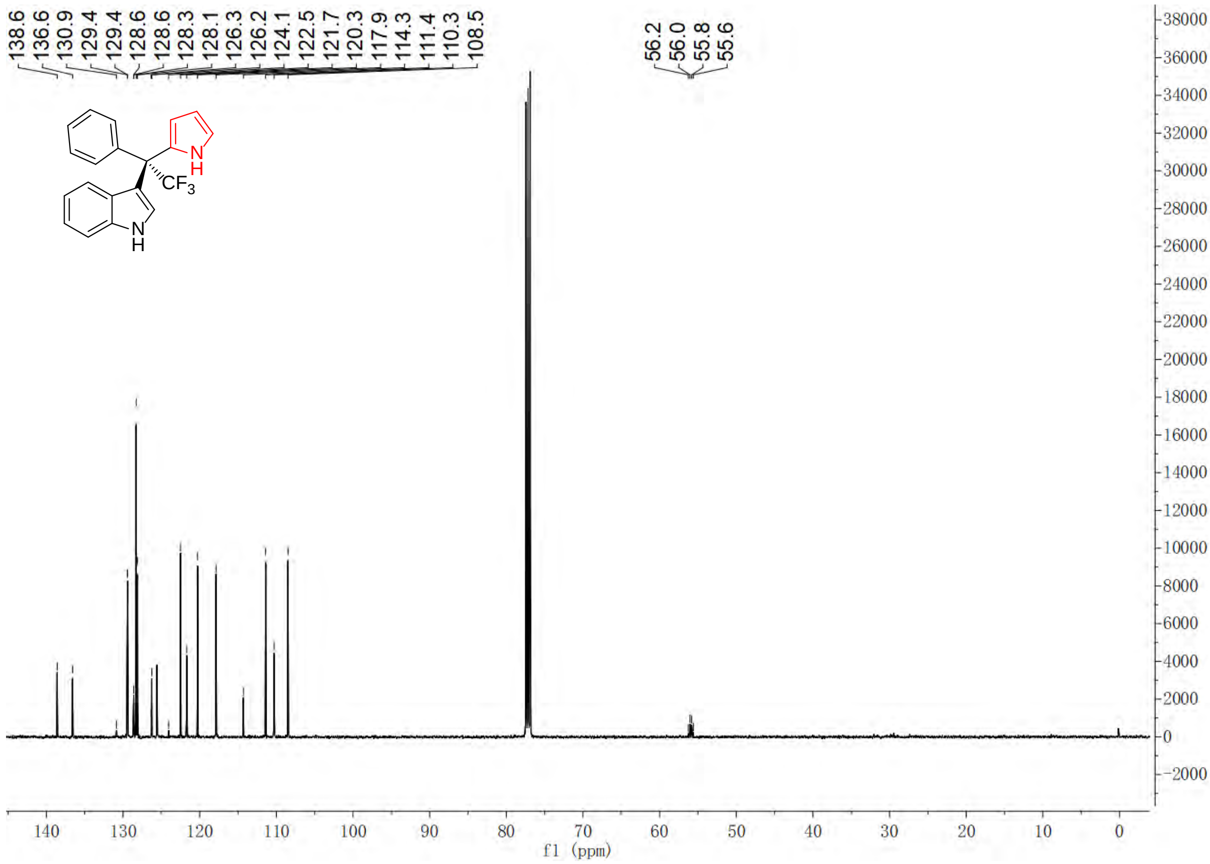
^{19}F NMR of compound 3am (in $CDCl_3$)



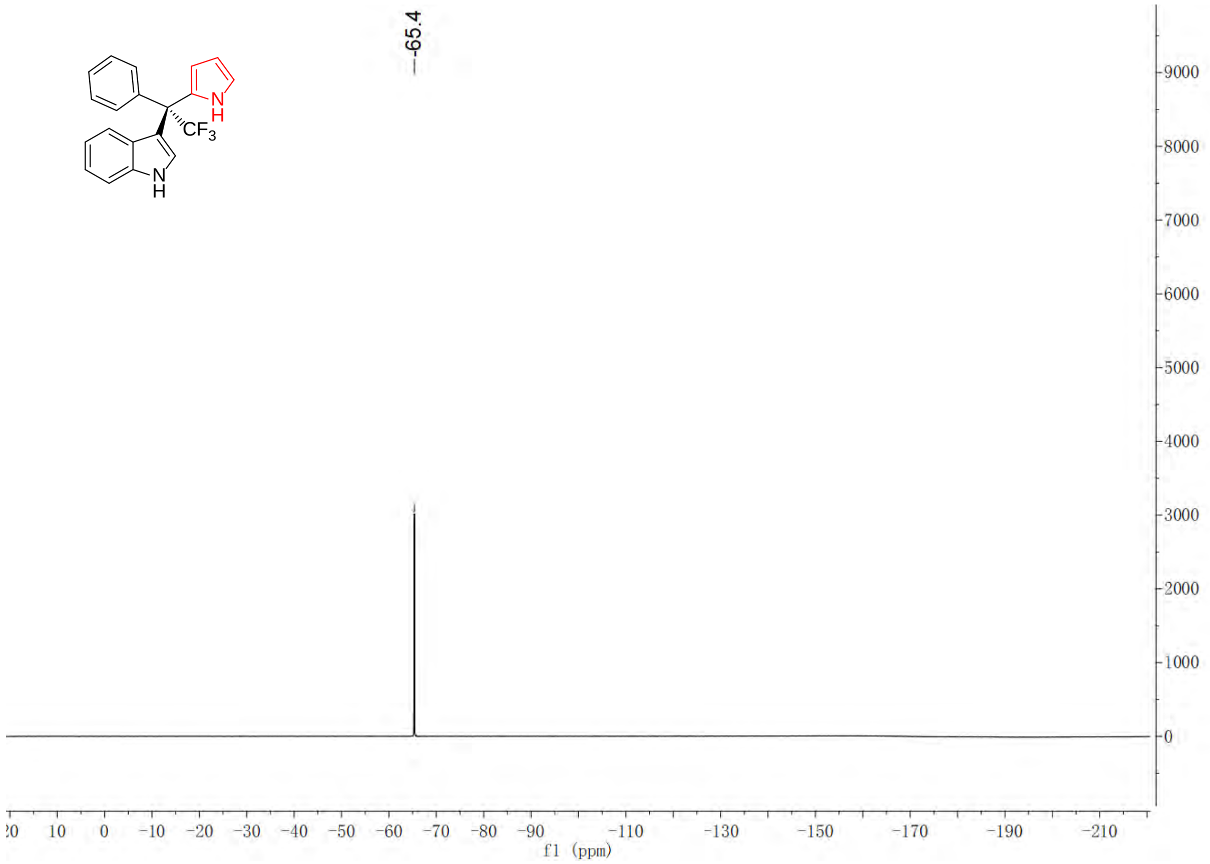
^{1}H NMR of compound 3an (in $CDCl_3$)



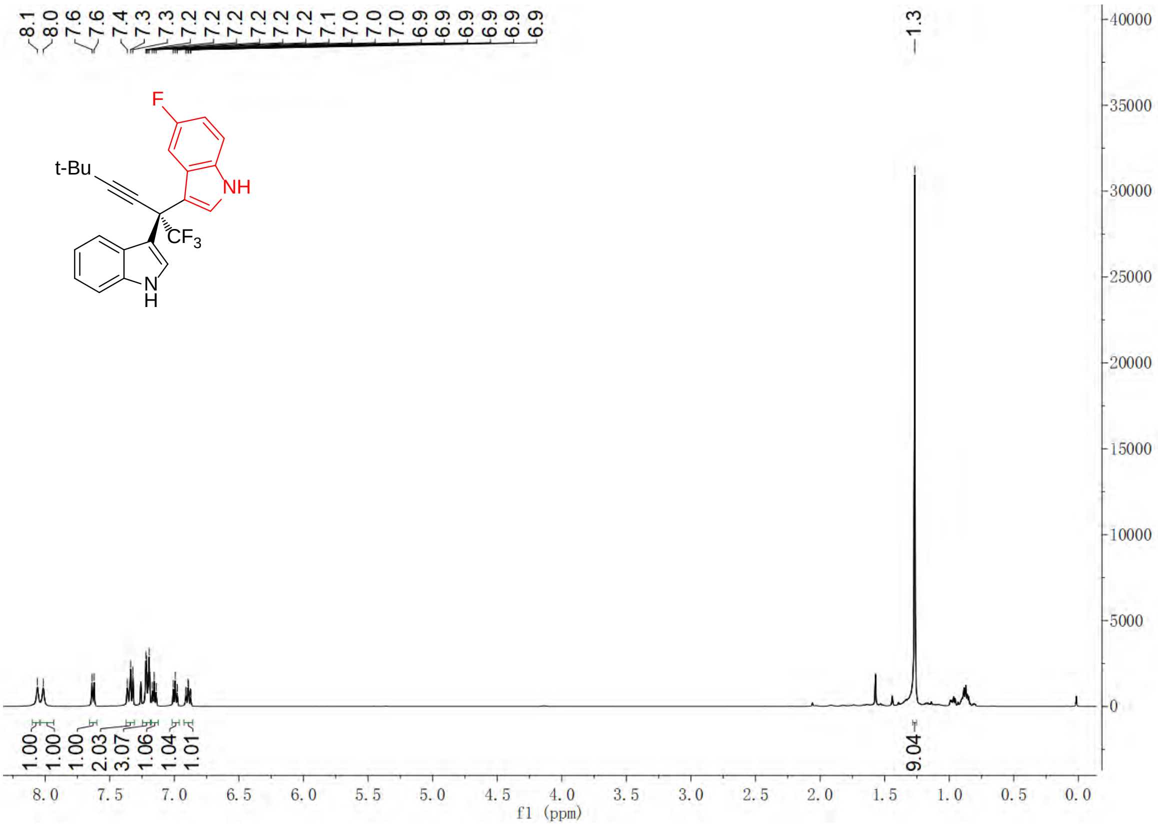
^{13}C NMR of compound 3an (in $CDCl_3$)



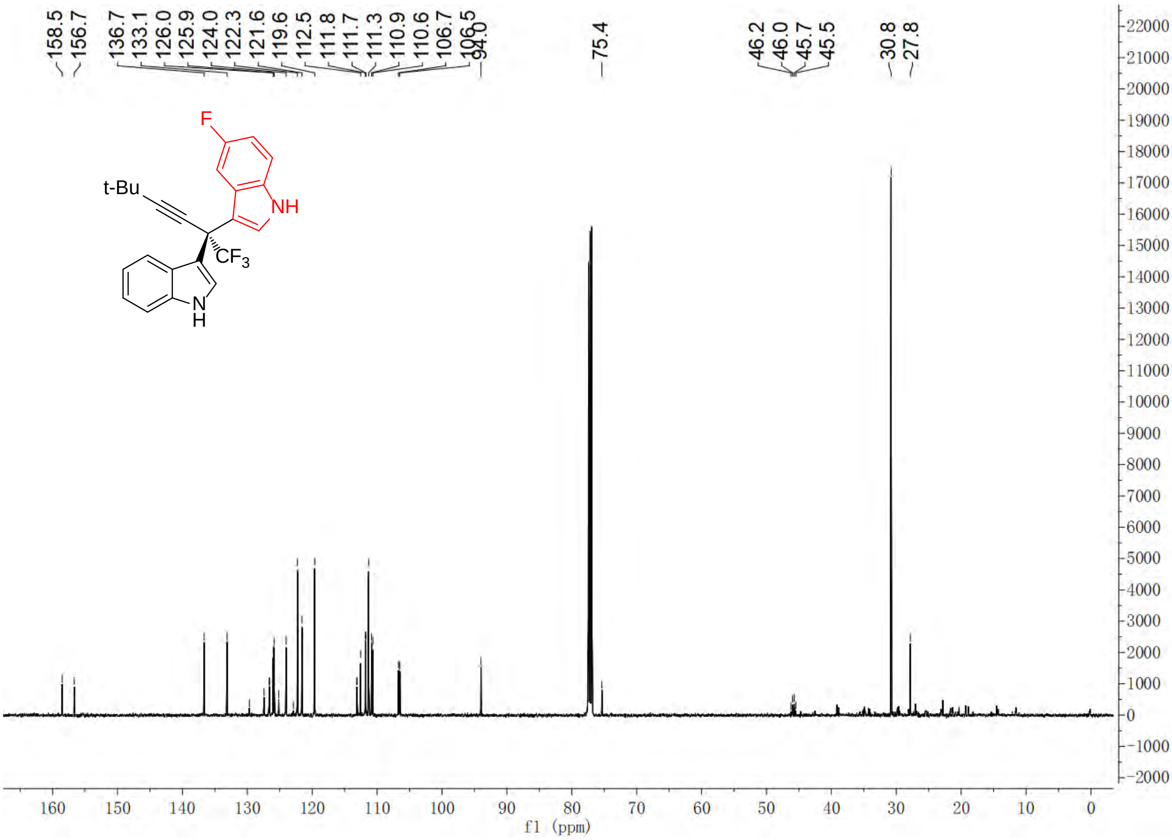
^{19}F NMR of compound 3an (in $CDCl_3$)



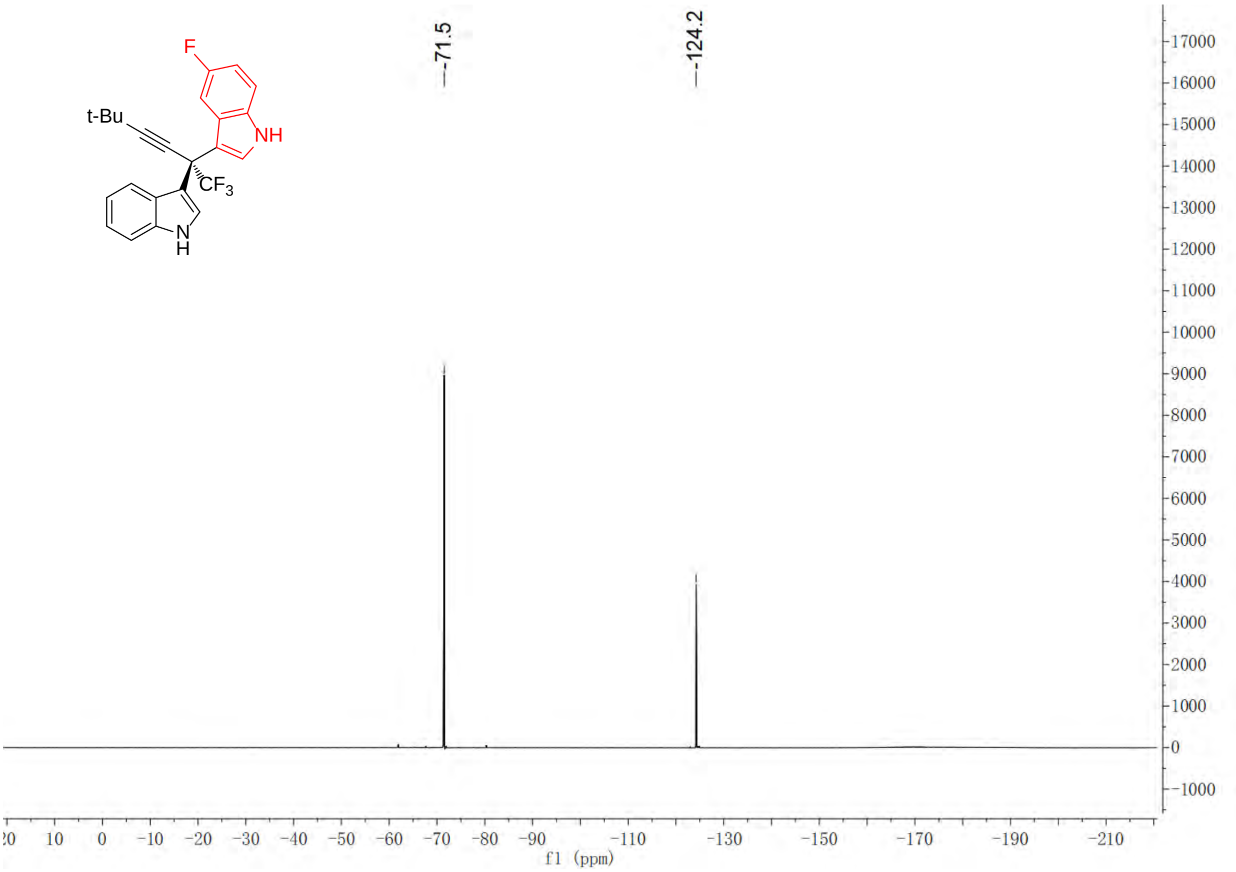
^{1}H NMR of compound 5a (in $CDCl_3$)



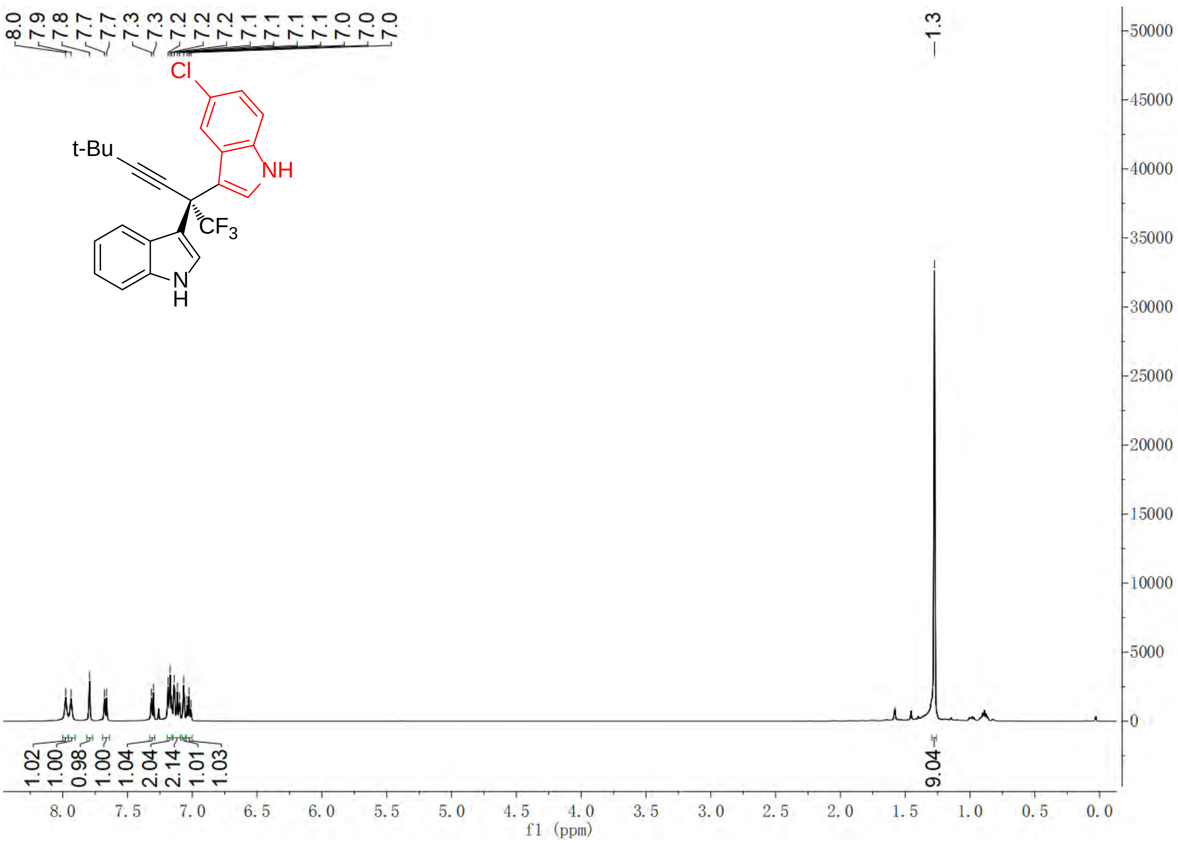
^{13}C NMR of compound 5a (in $CDCl_3$)



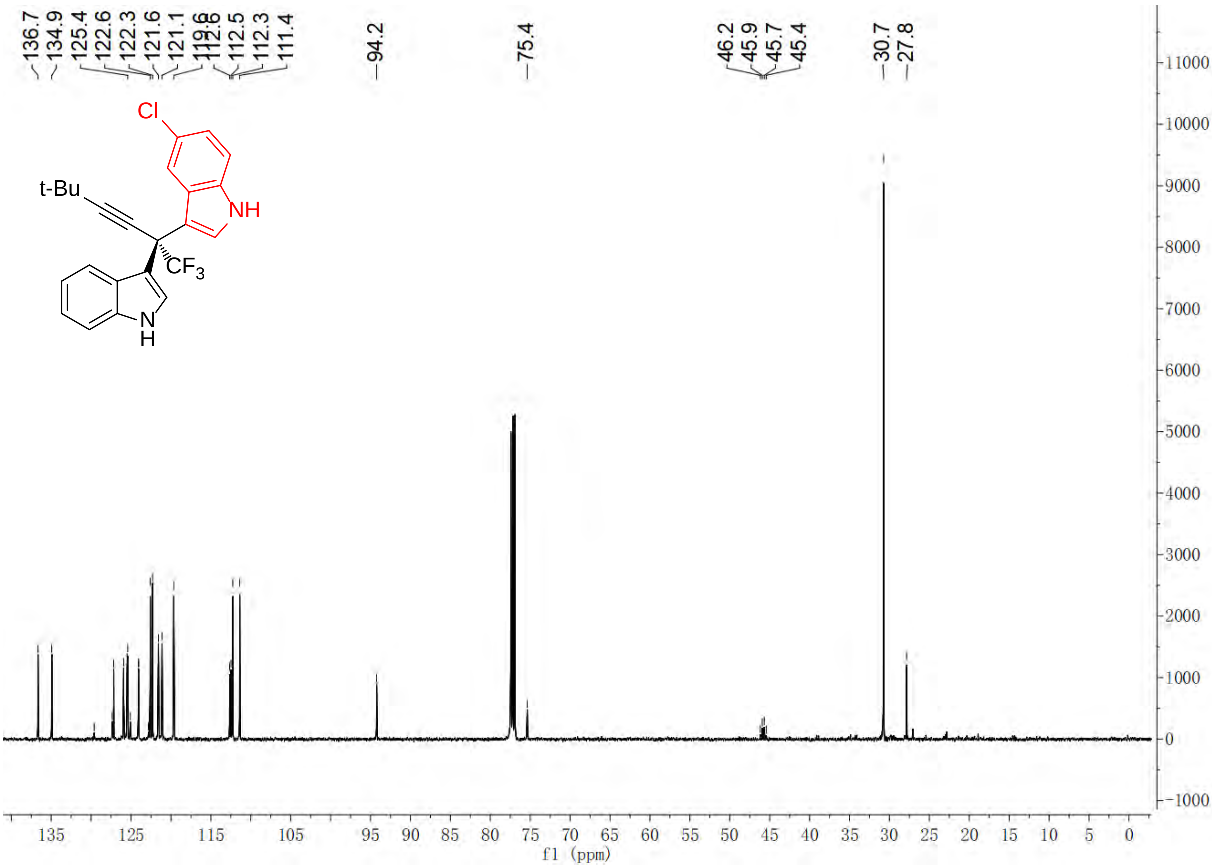
^{19}F NMR of compound 5a (in $CDCl_3$)



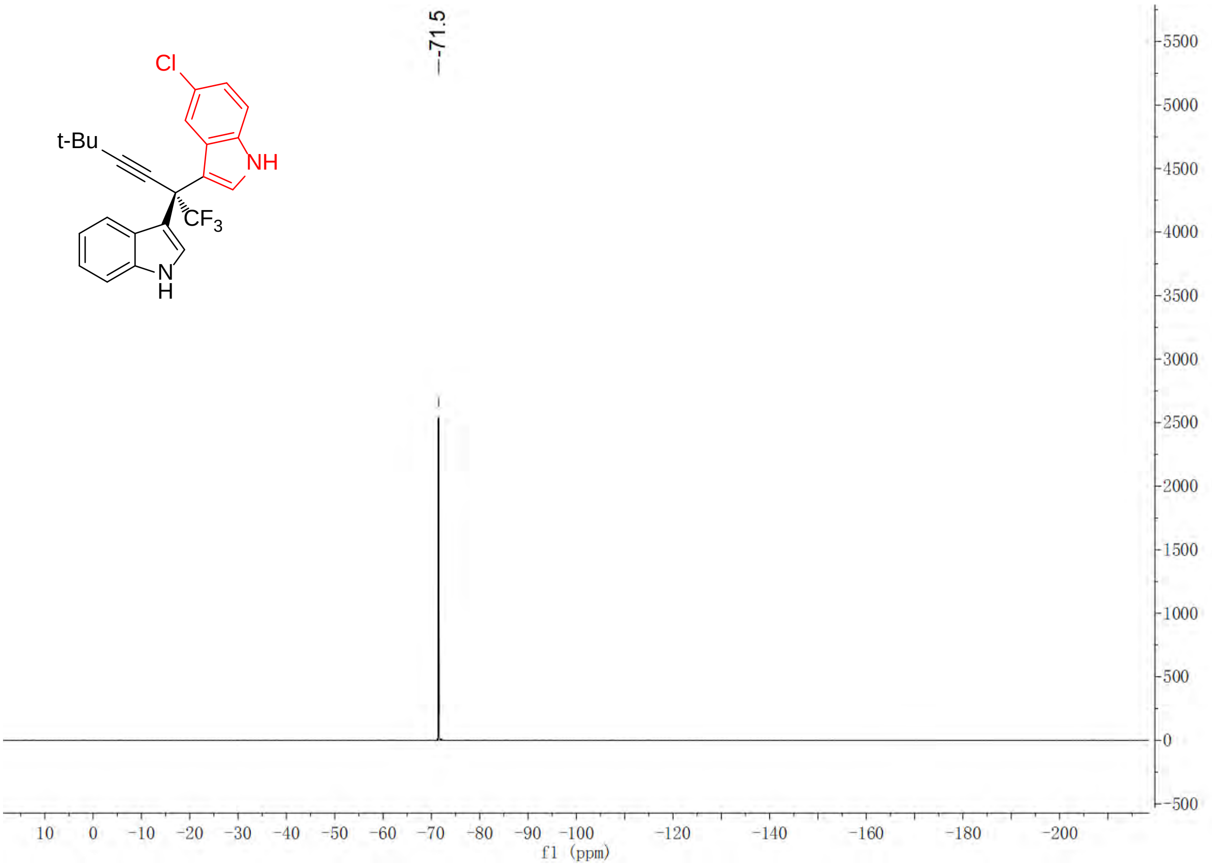
^{1}H NMR of compound 5b (in $CDCl_3$)



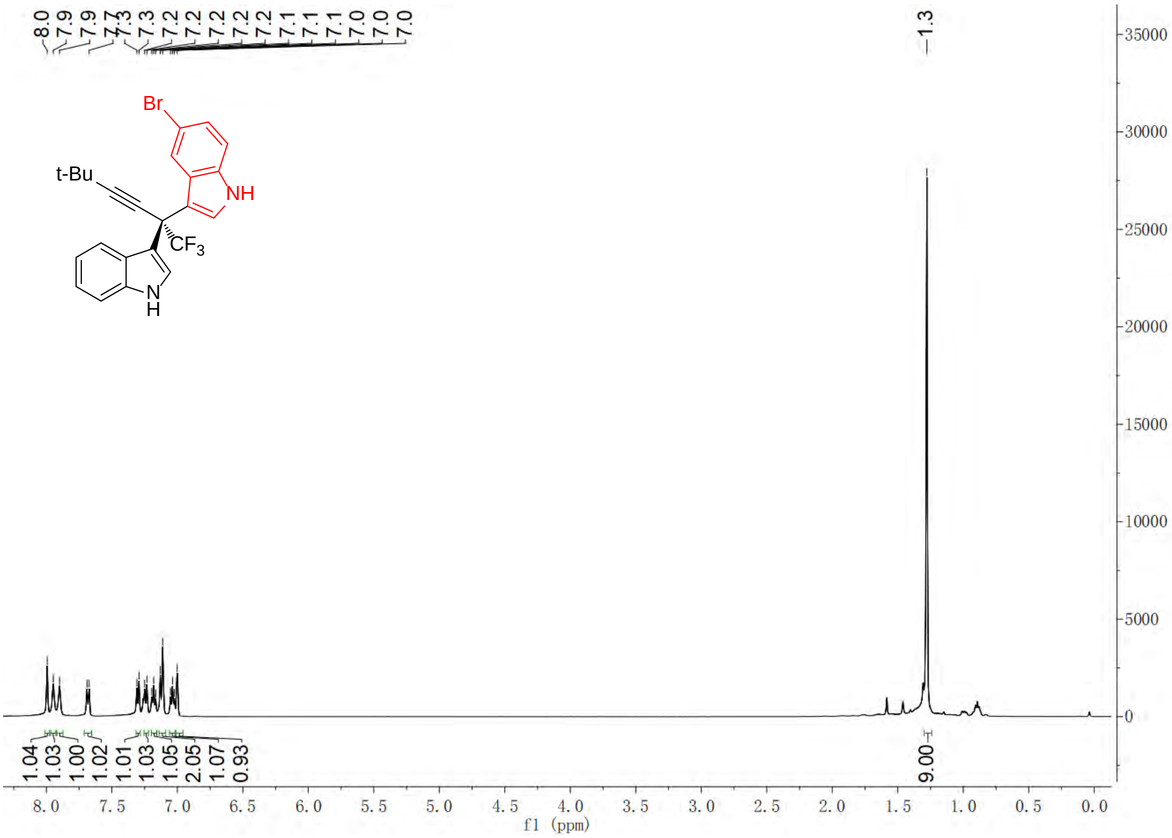
^{13}C NMR of compound 5b (in $CDCl_3$)



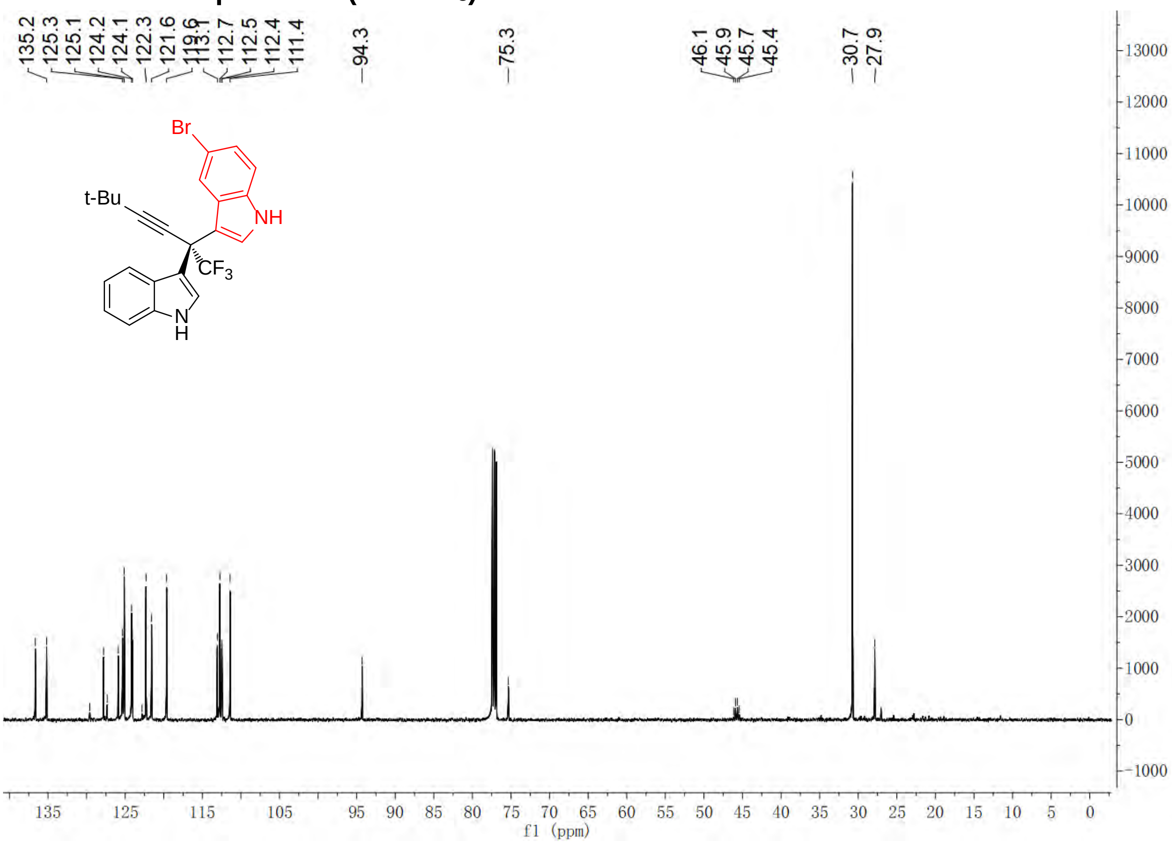
^{19}F NMR of compound 5b (in $CDCl_3$)



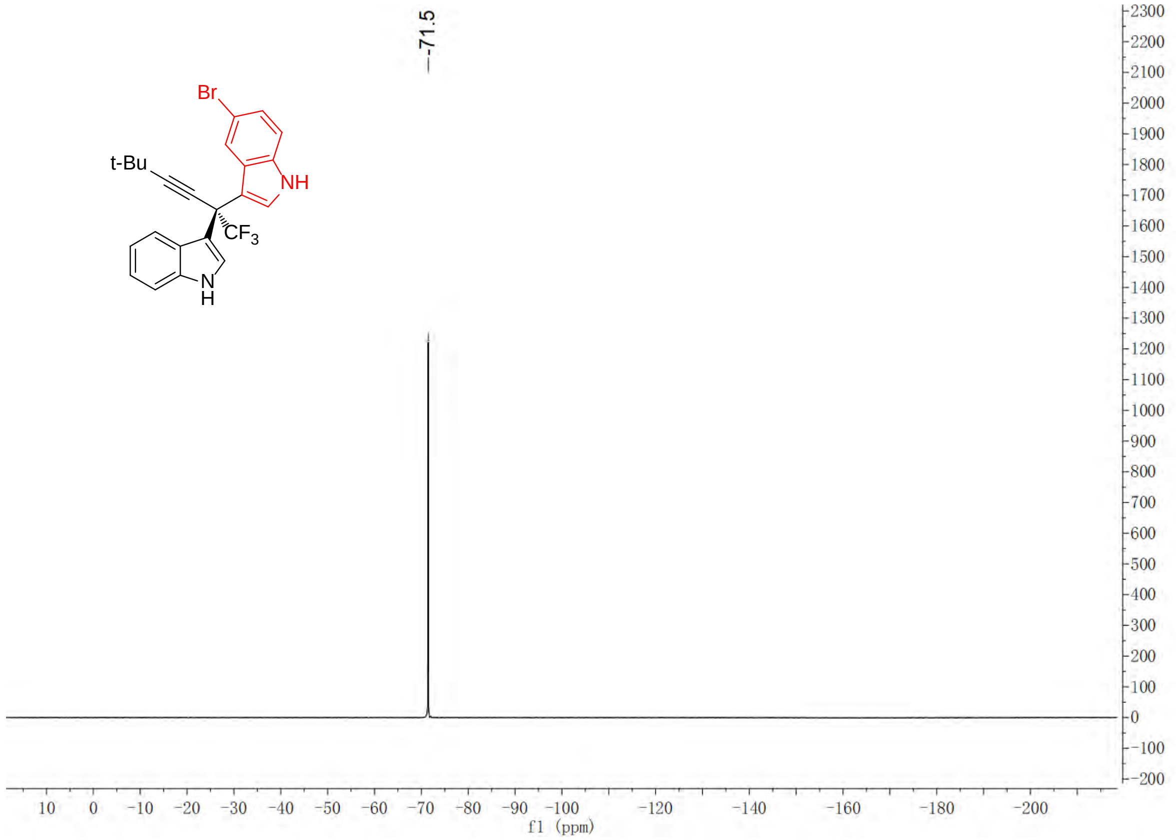
^{1}H NMR of compound 5c (in $CDCl_3$)



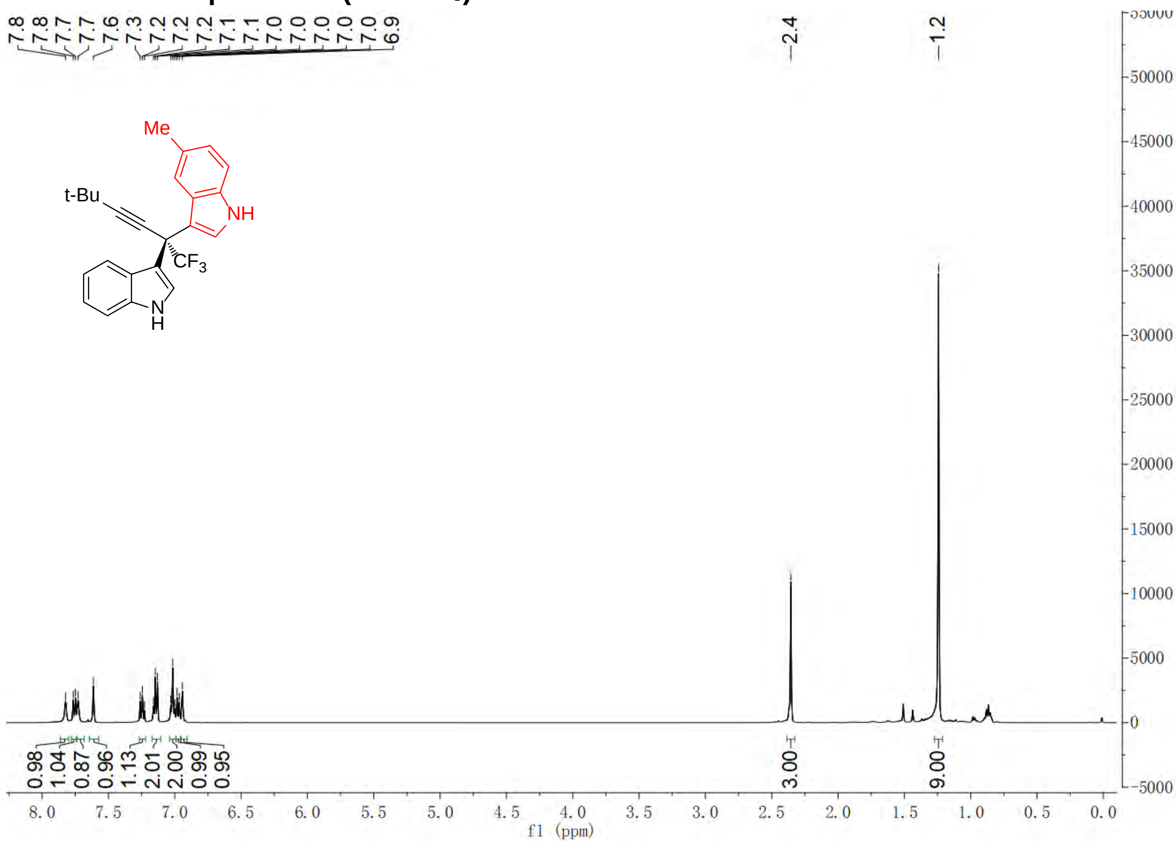
^{13}C NMR of compound 5c (in $CDCl_3$)



^{19}F NMR of compound 5c (in $CDCl_3$)



^{1}H NMR of compound 5d (in $CDCl_3$)



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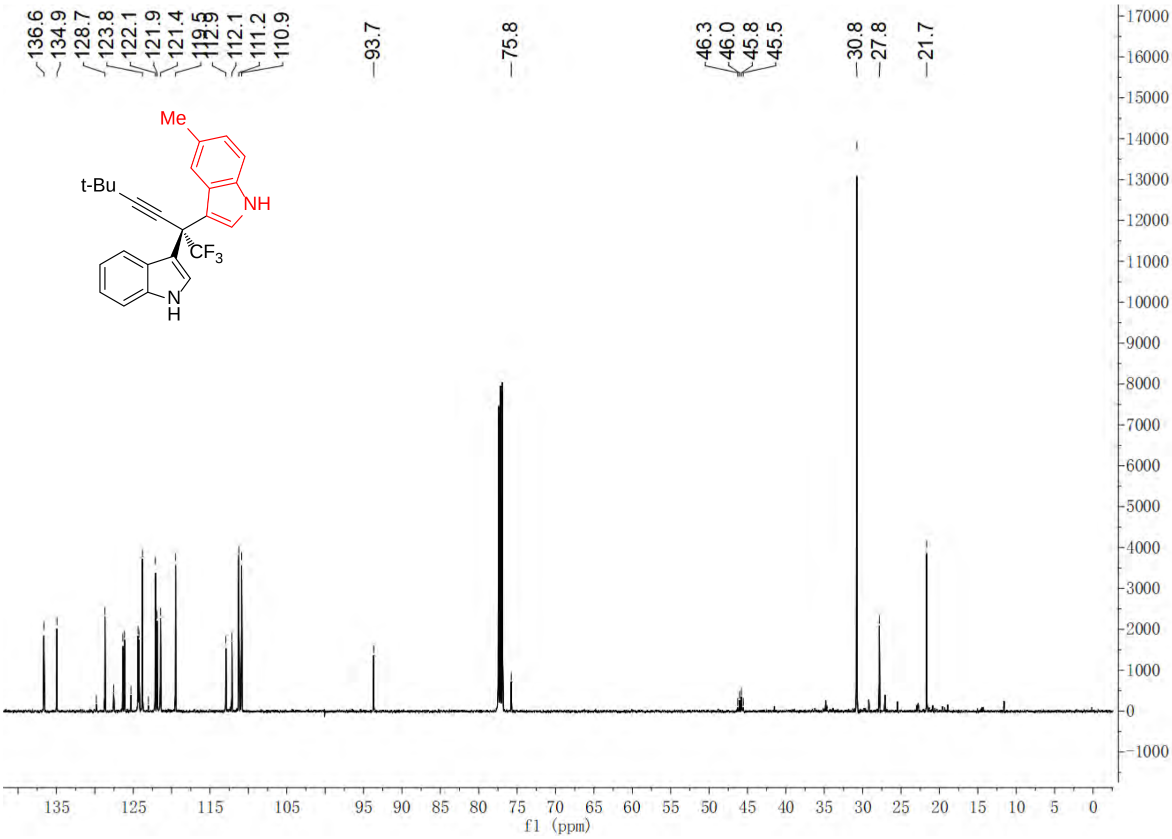

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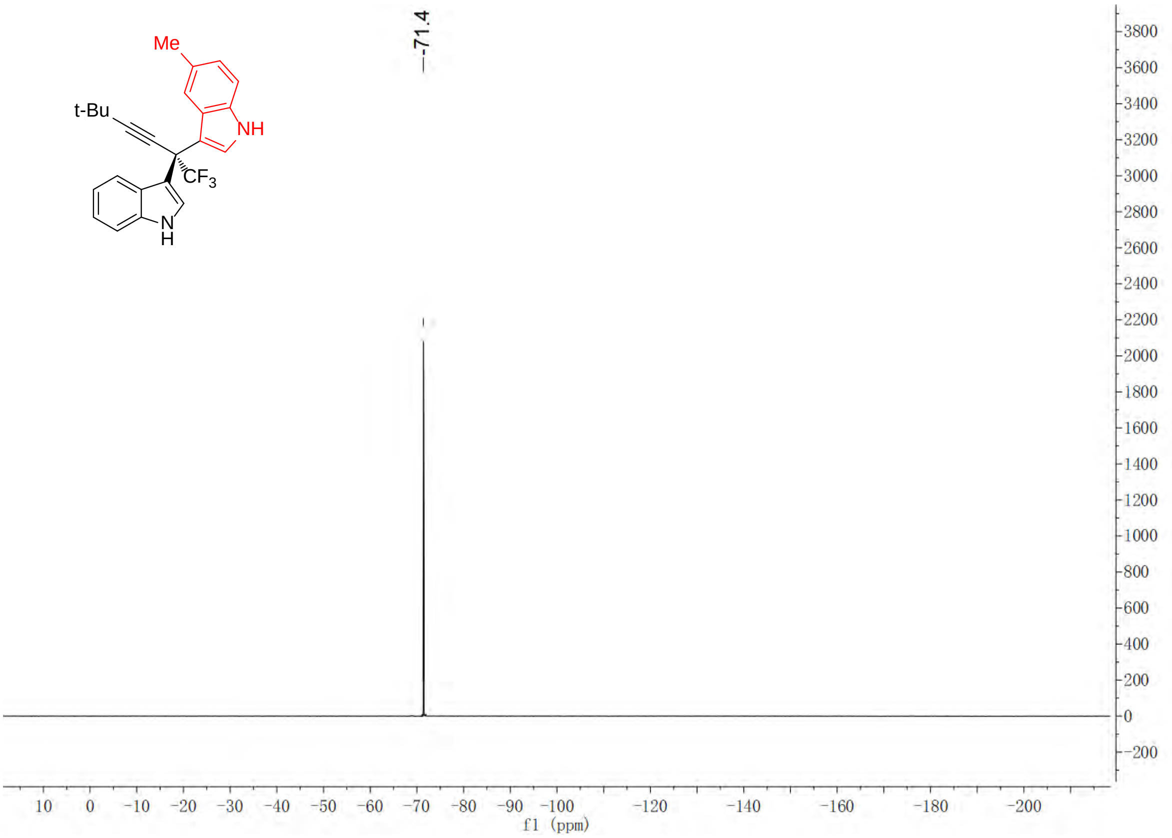
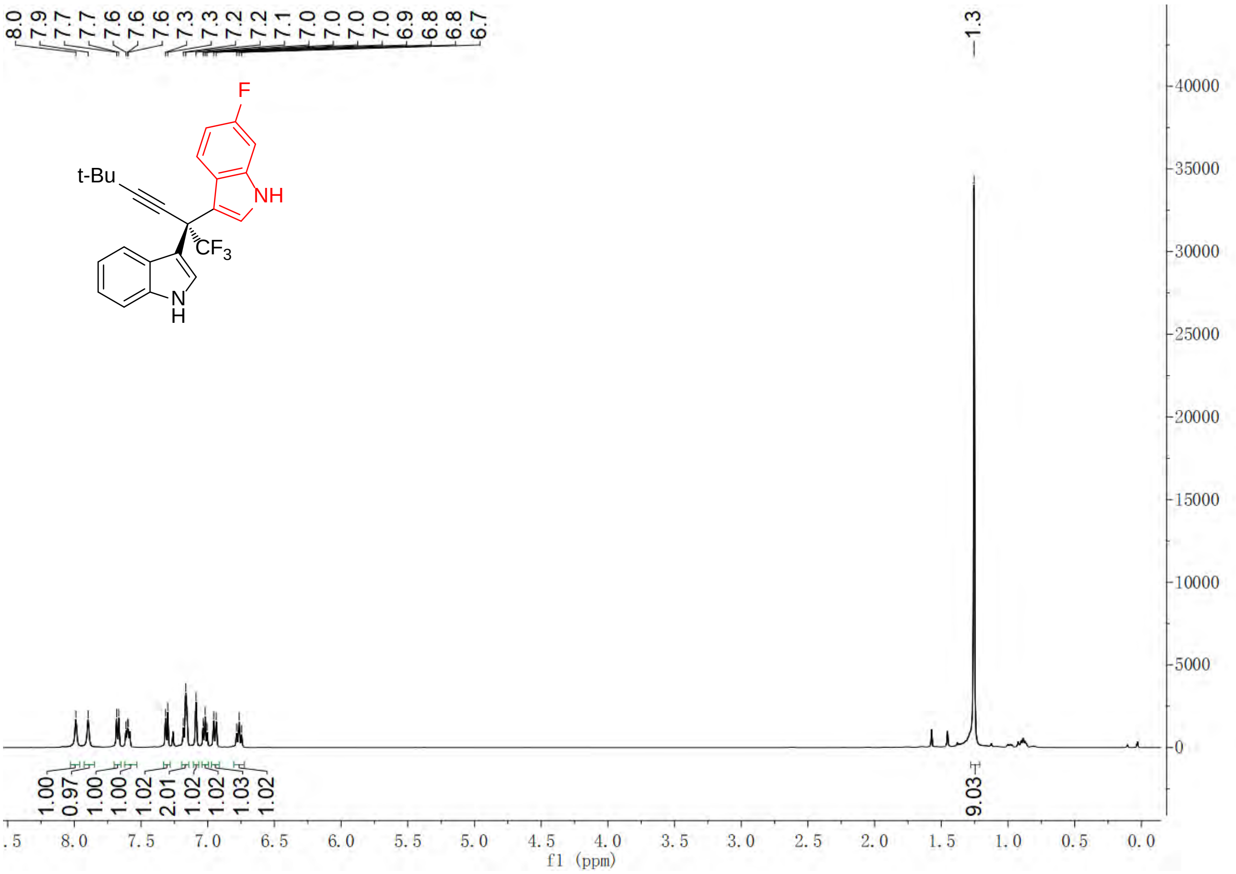
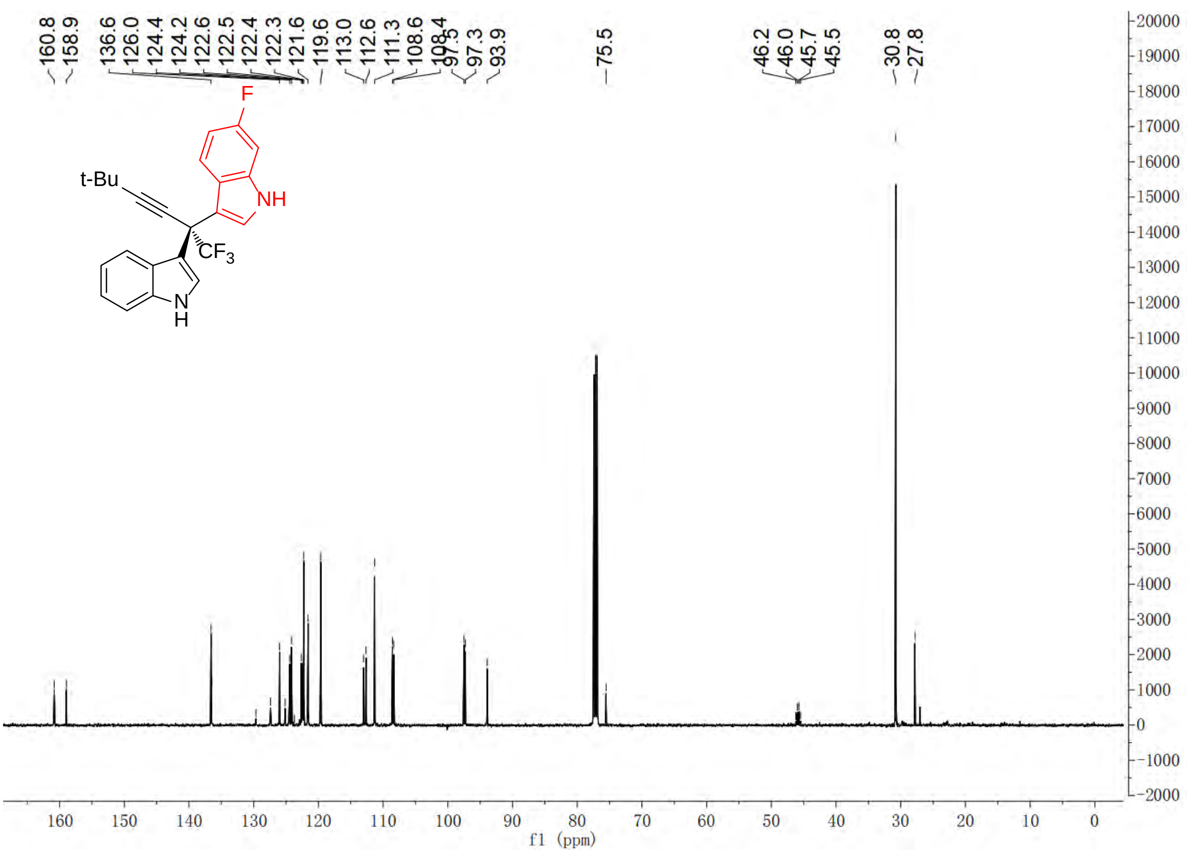


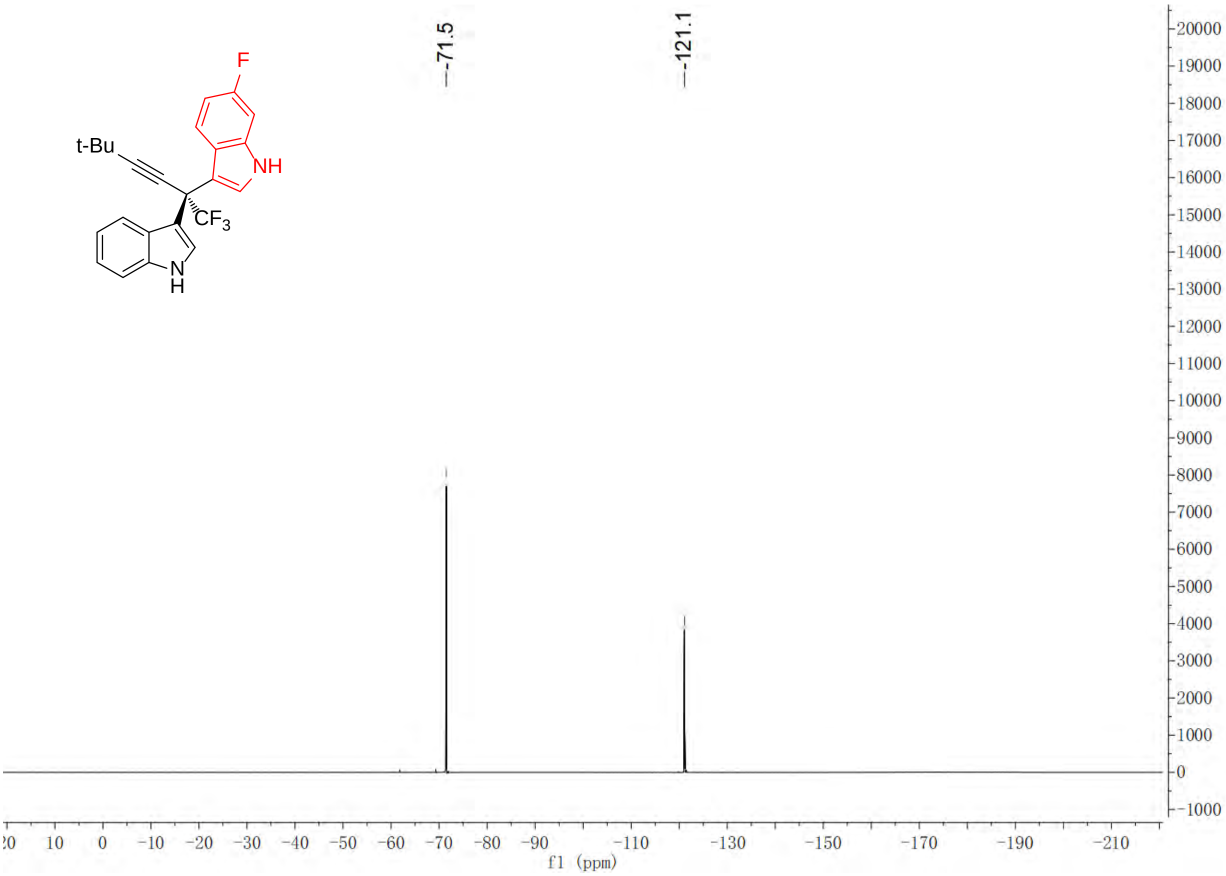
^{1}H NMR of compound 5e (in $CDCl_3$)



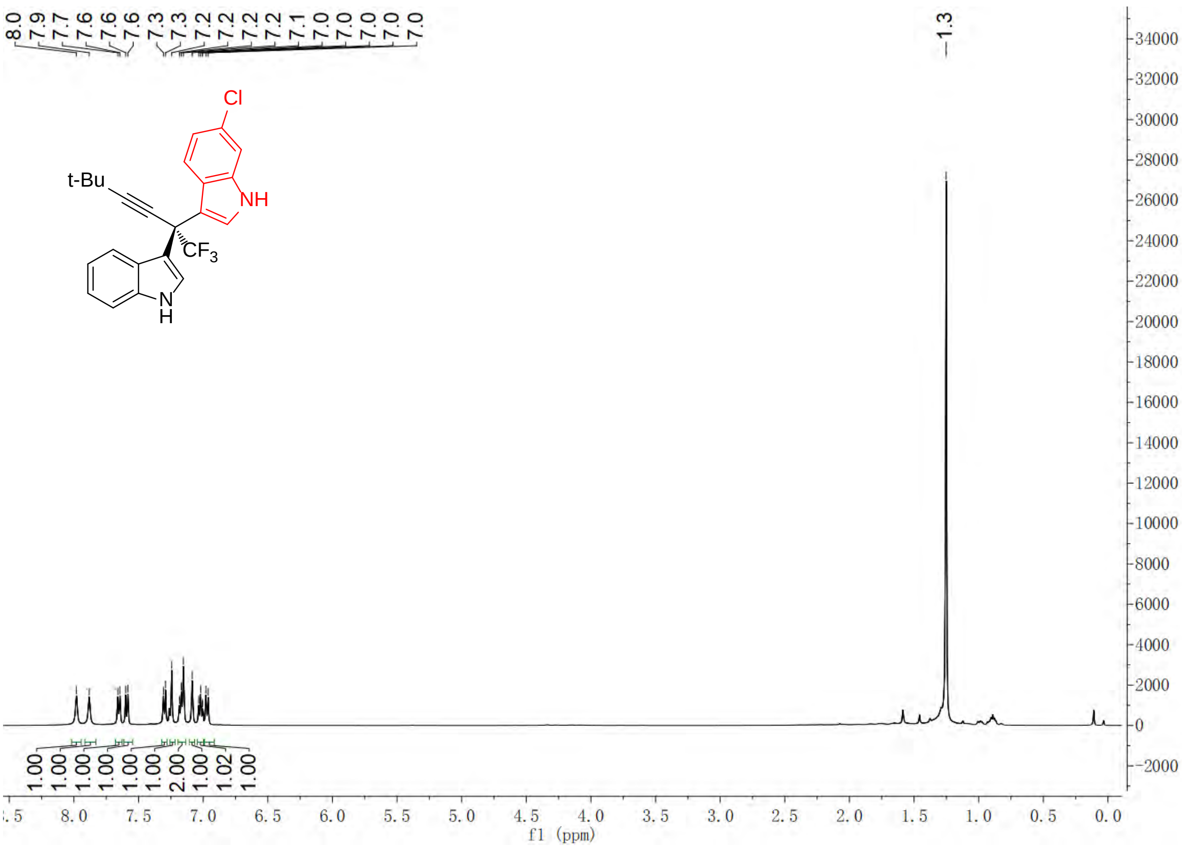
^{13}C NMR of compound 5e (in $CDCl_3$)



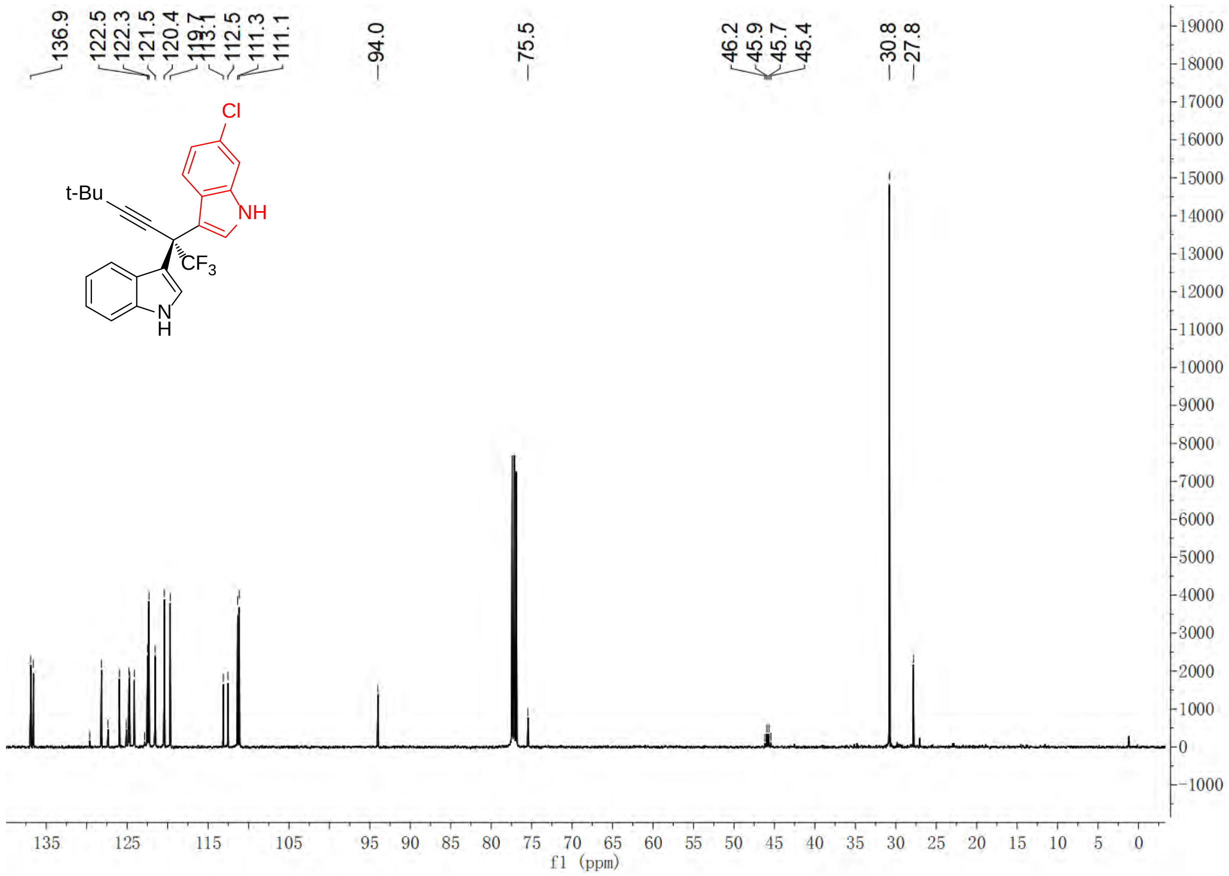
^{19}F NMR of compound 5e (in $CDCl_3$)



^{1}H NMR of compound 5f (in $CDCl_3$)



^{13}C NMR of compound 5f (in $CDCl_3$)



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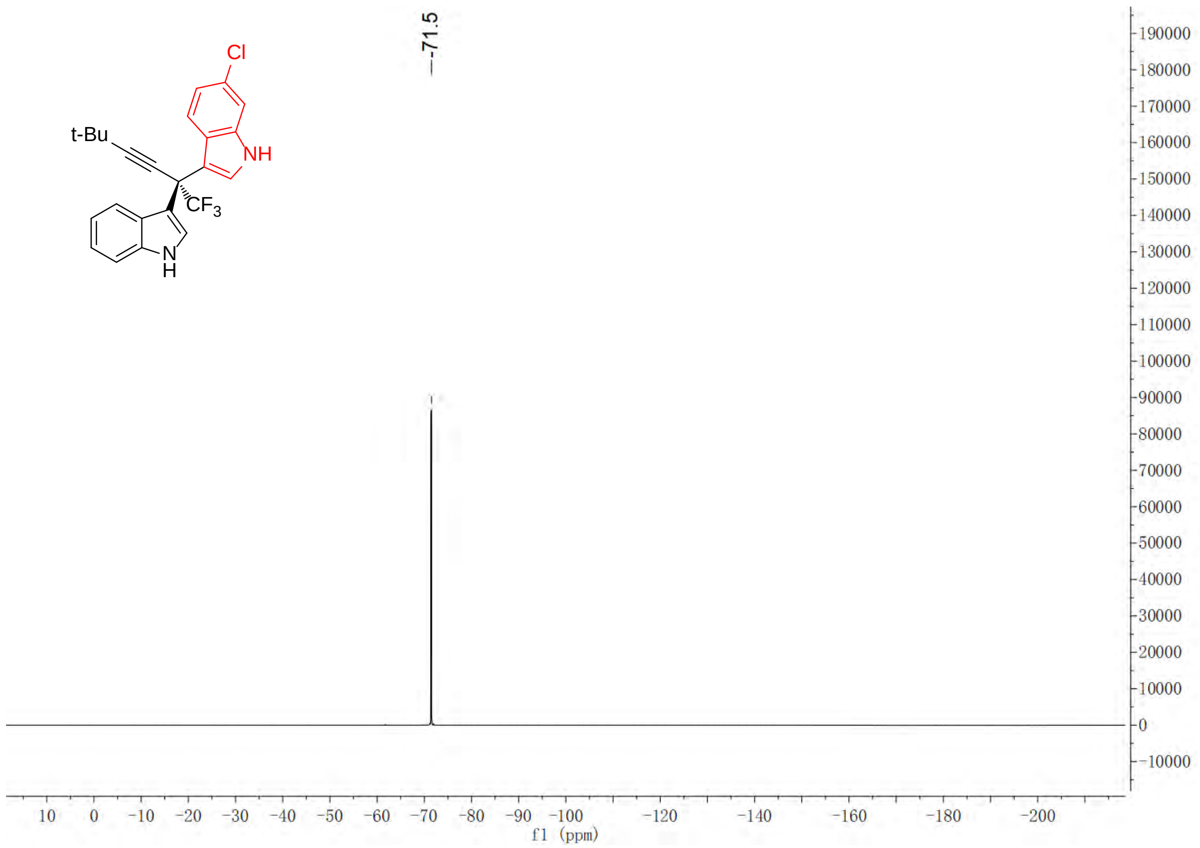
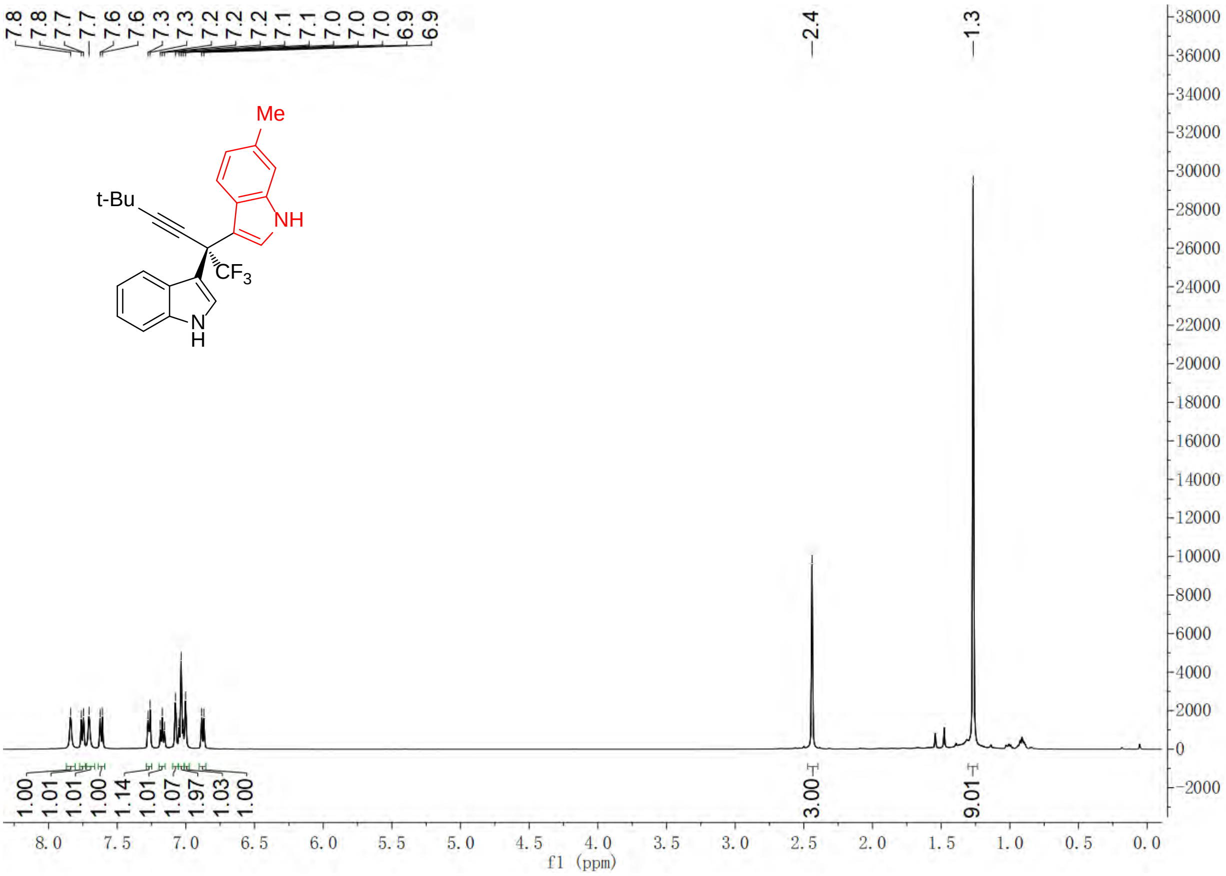
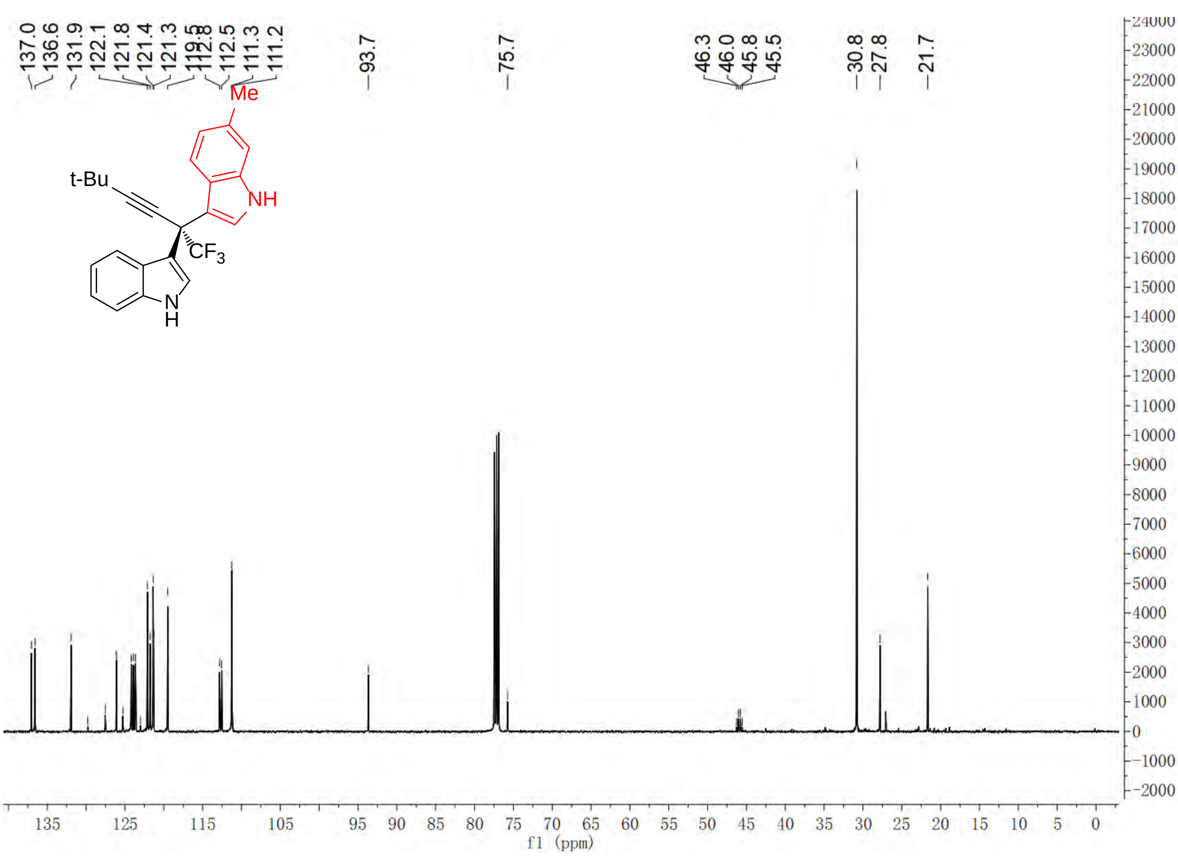


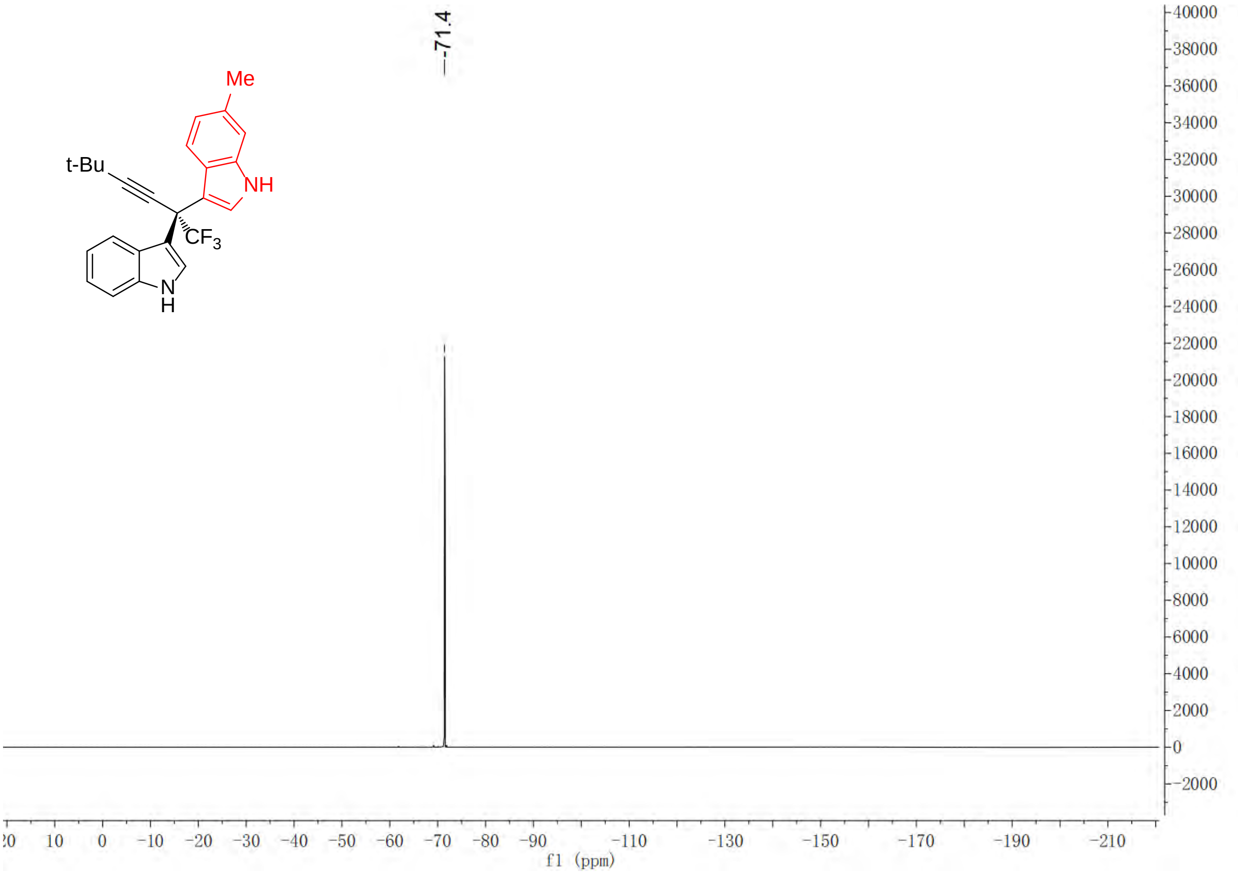
^{1}H NMR of compound 5g (in $CDCl_3$)



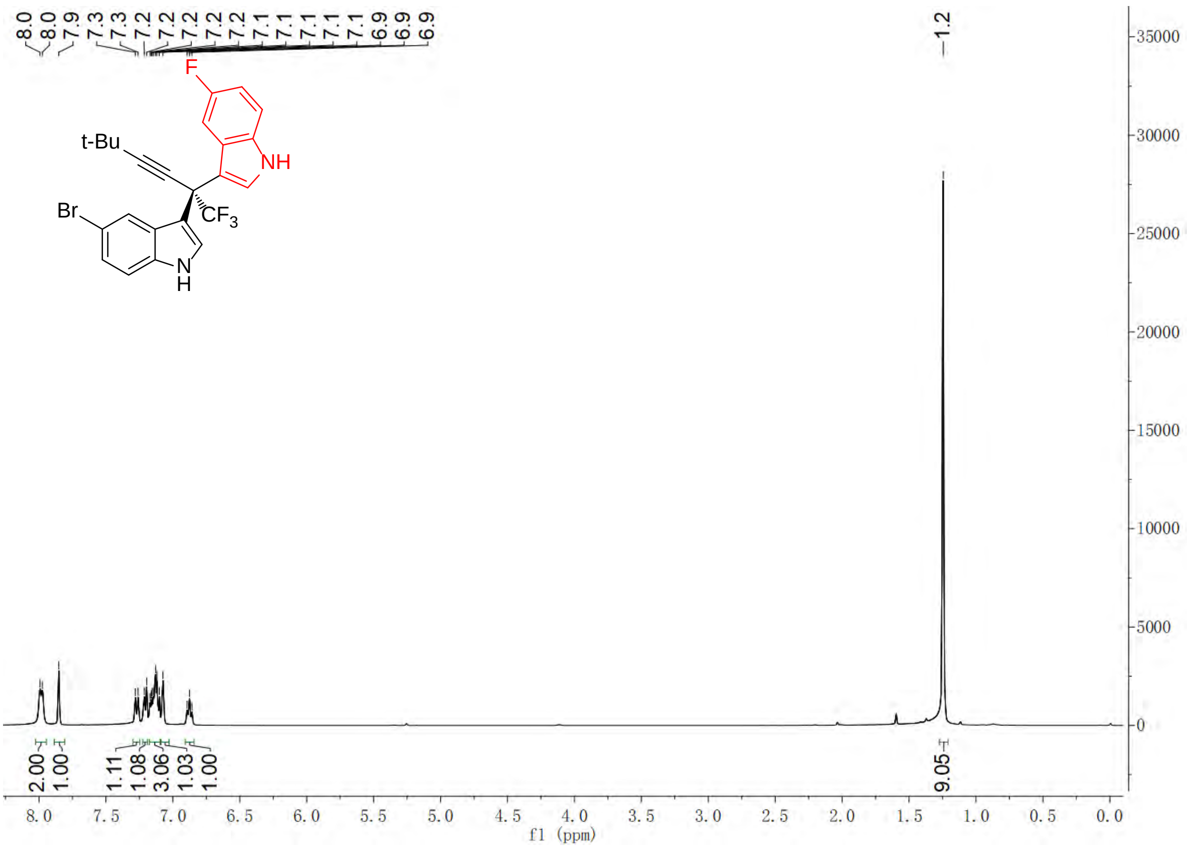
^{13}C NMR of compound 5g (in $CDCl_3$)



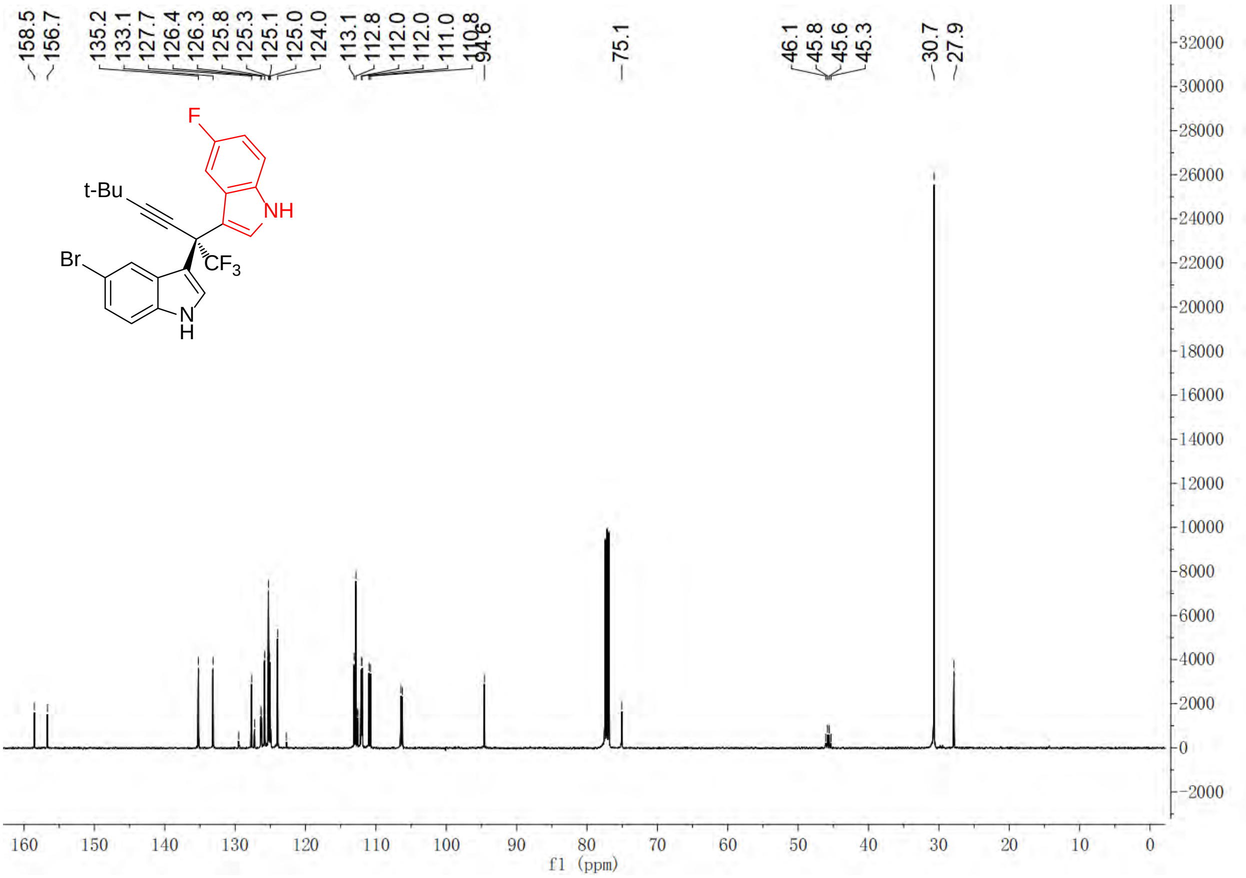
^{19}F NMR of compound 5g (in $CDCl_3$)



^{1}H NMR of compound 5h (in $CDCl_3$)



^{13}C NMR of compound 5h (in $CDCl_3$)



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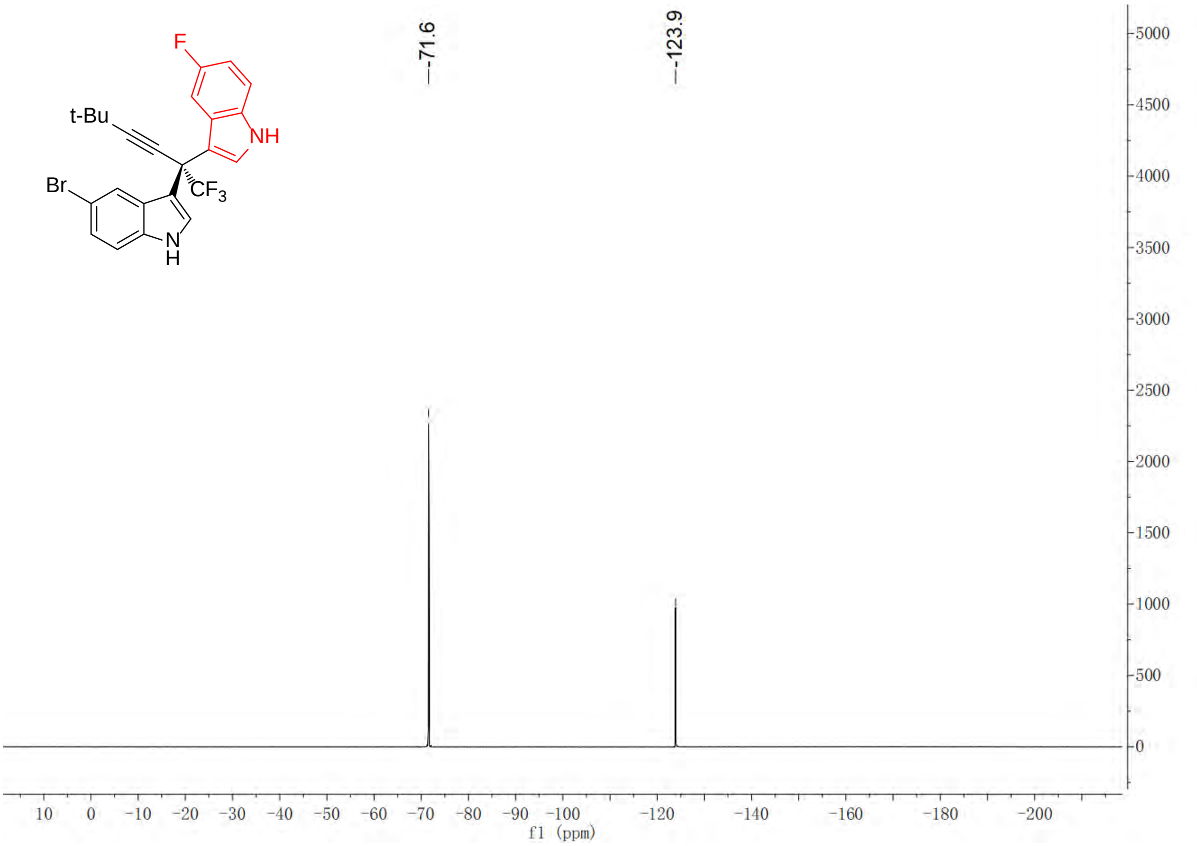
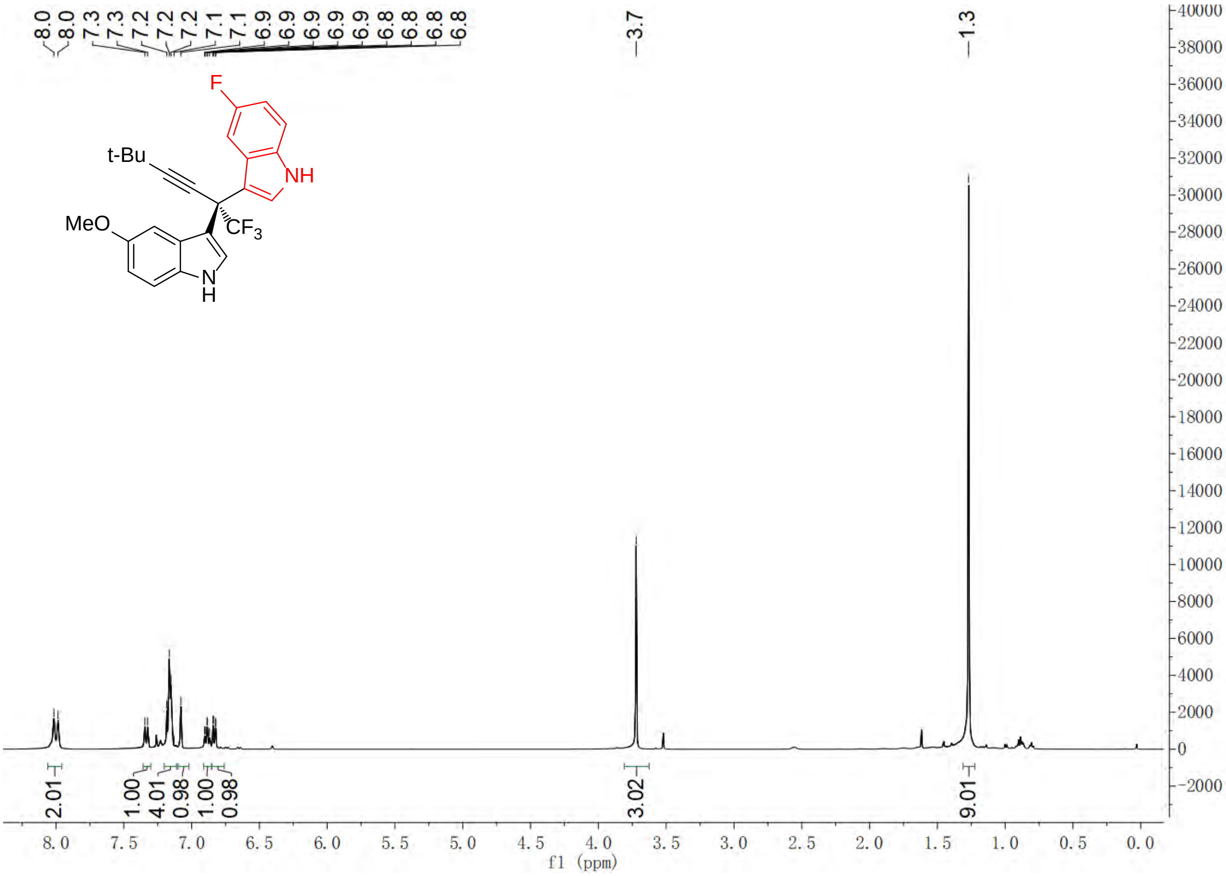
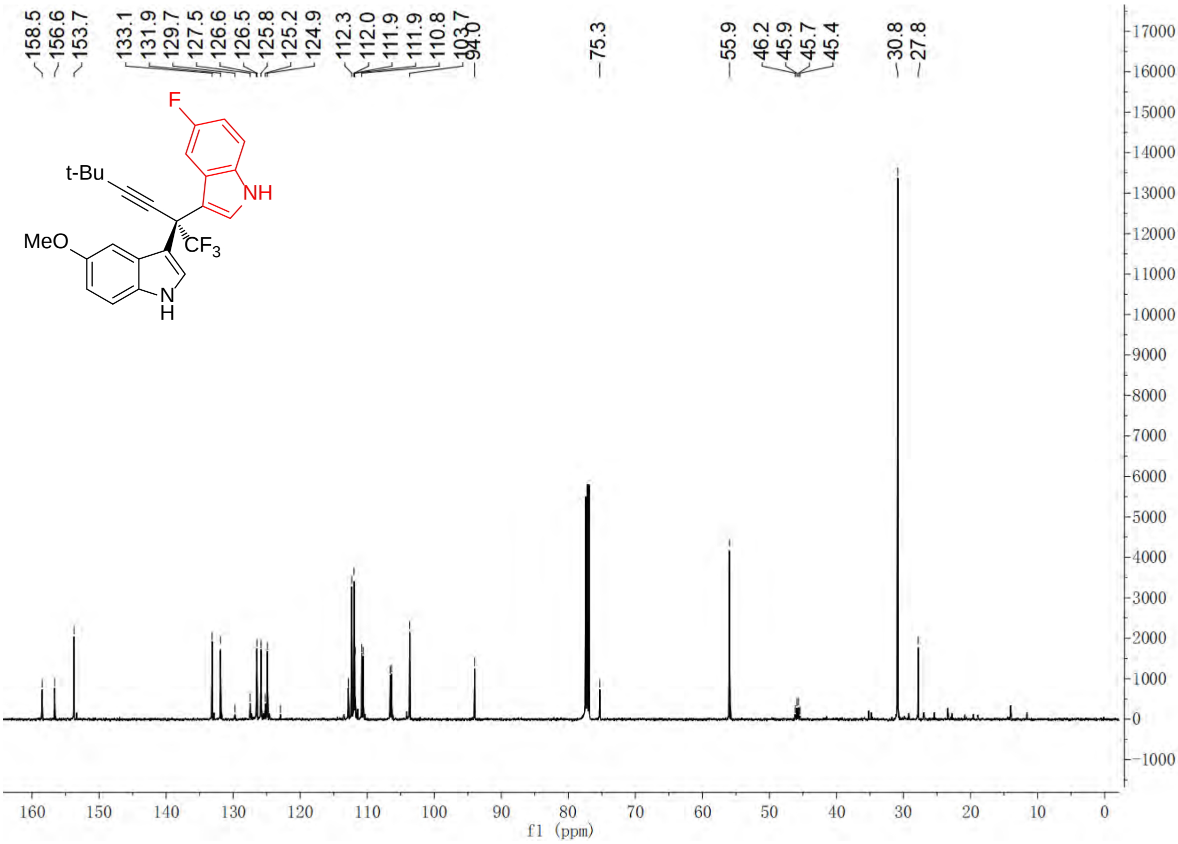


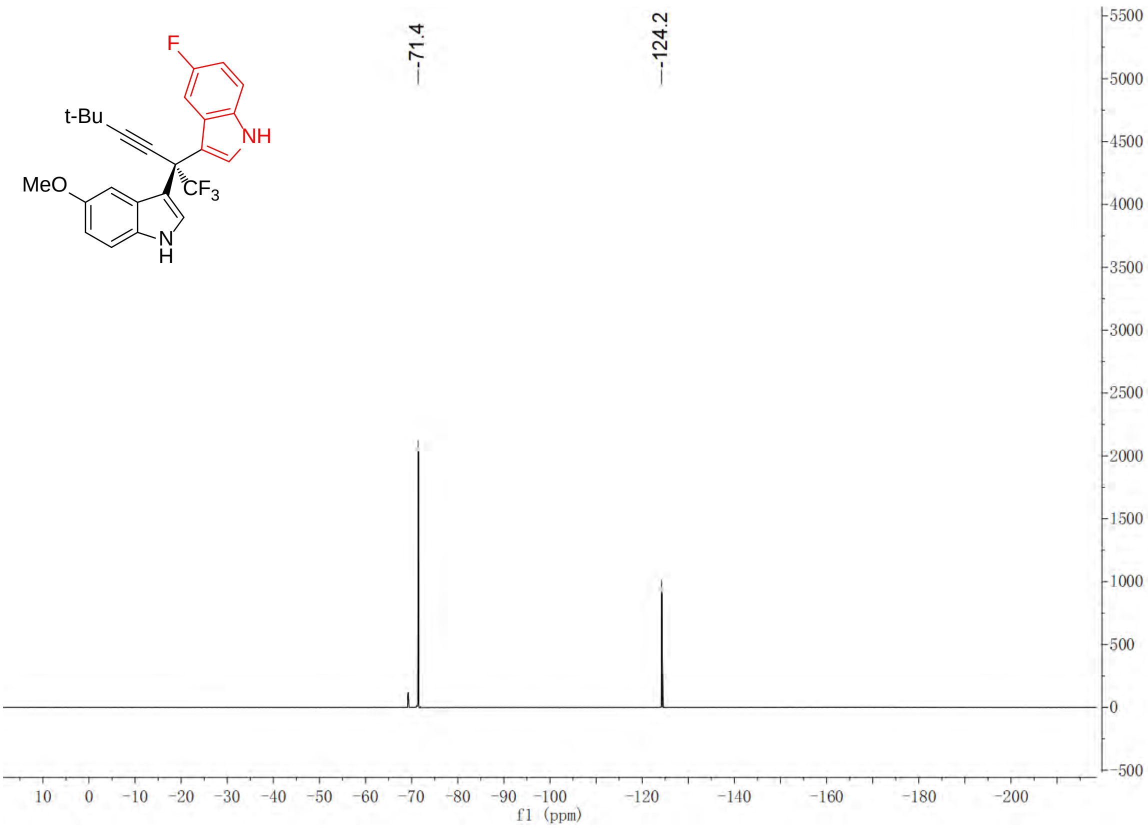
^{1}H NMR of compound 5i (in $CDCl_3$)



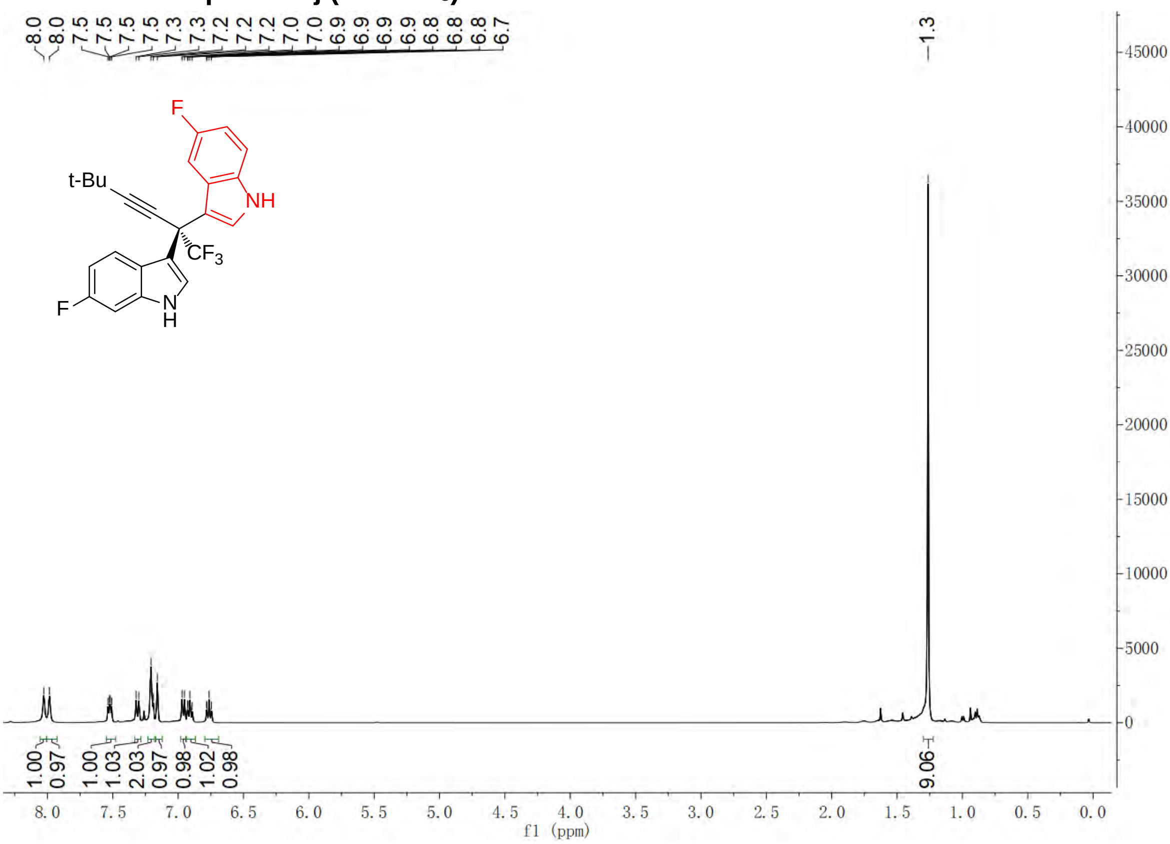
^{13}C NMR of compound 5i (in $CDCl_3$)



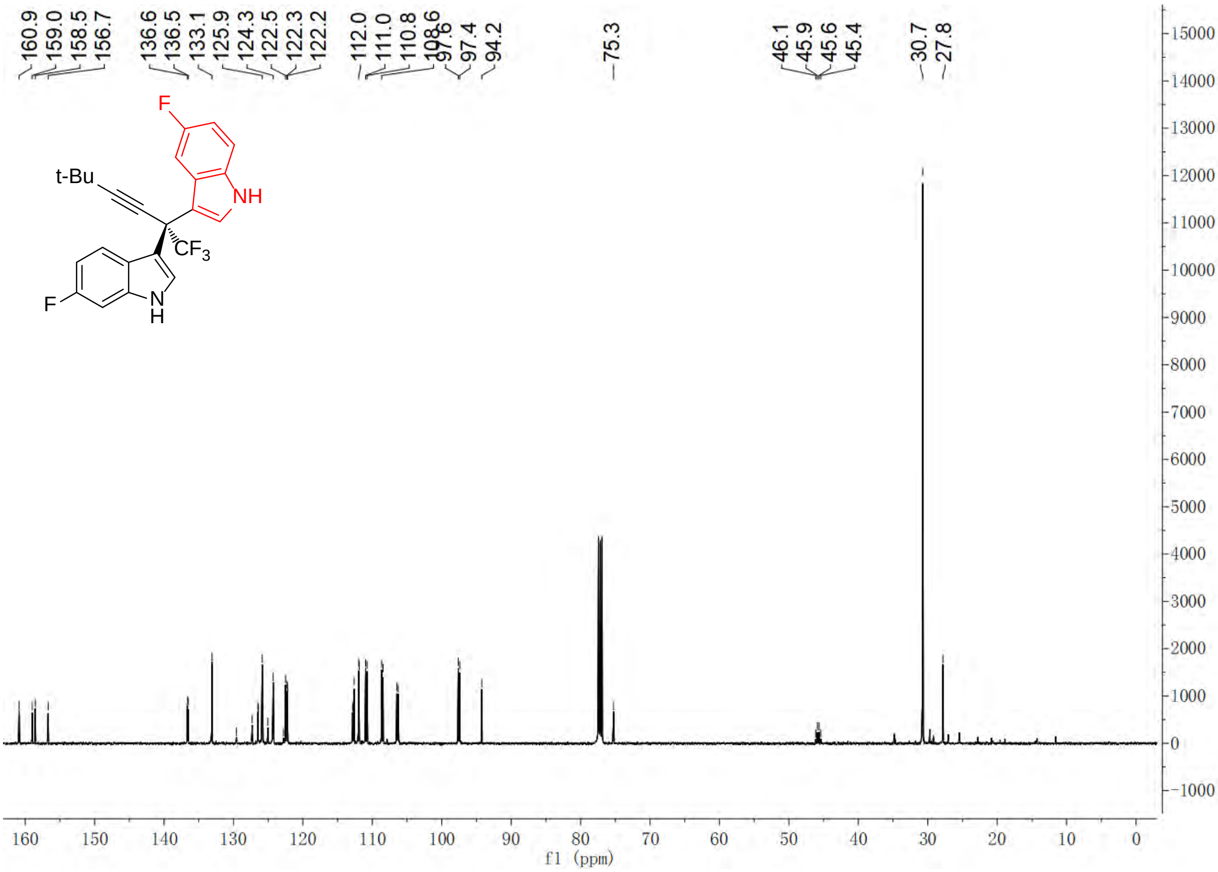
^{19}F NMR of compound 5i (in CDCl$_3$)



^{1}H NMR of compound 5j (in CDCl$_3$)



^{13}C NMR of compound 5j (in $CDCl_3$)



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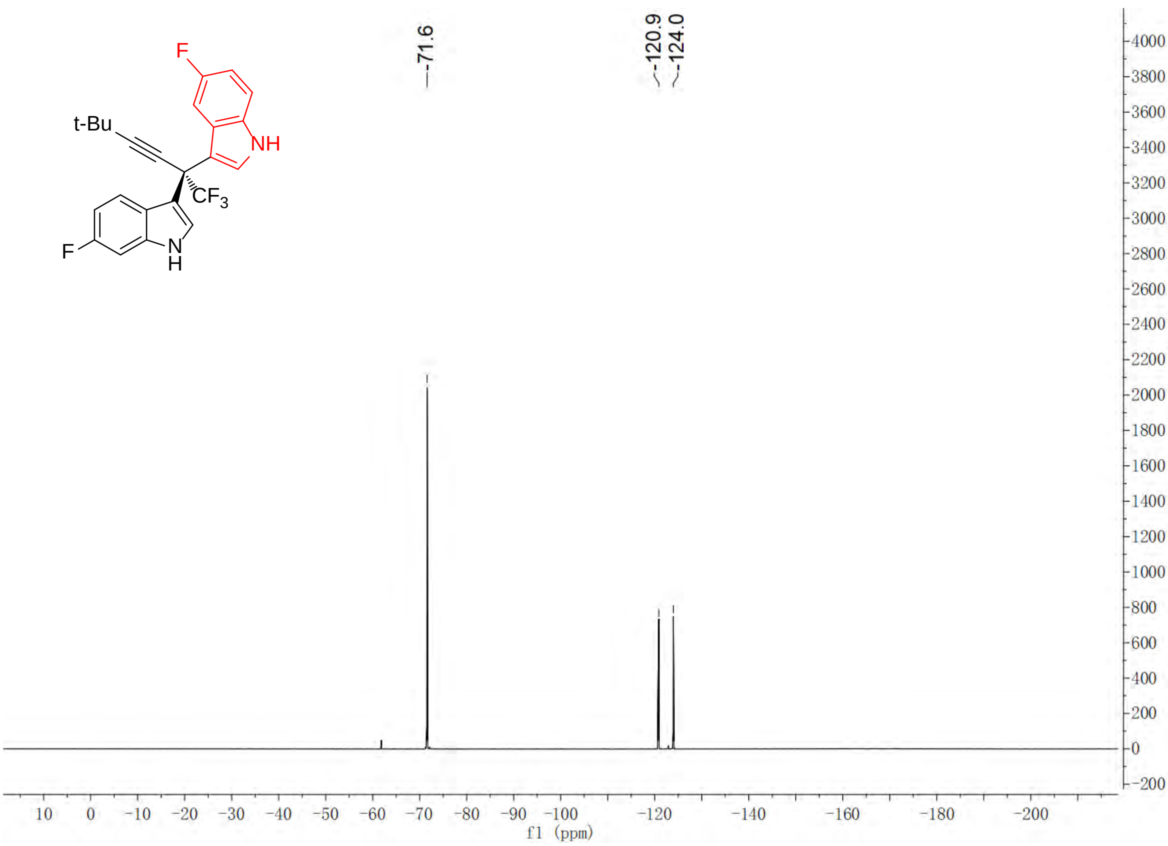
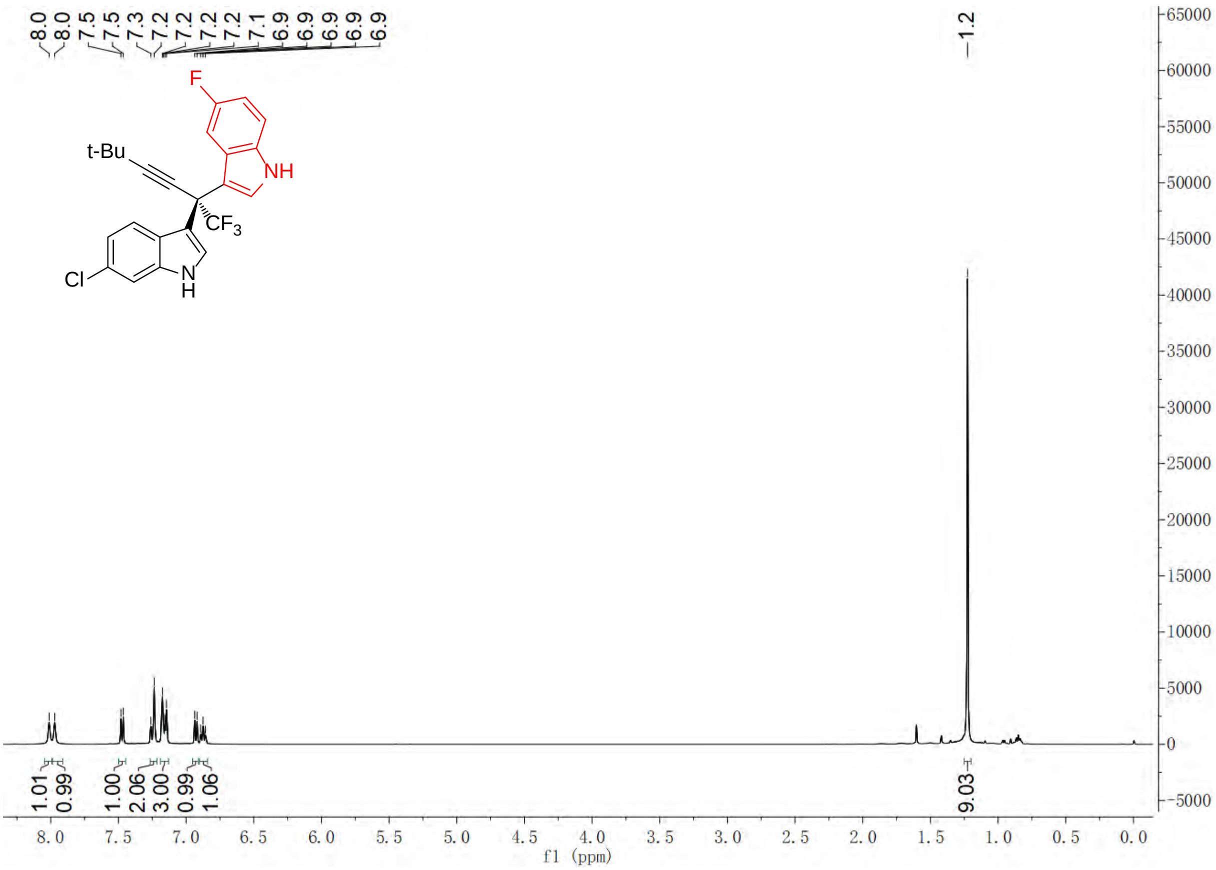
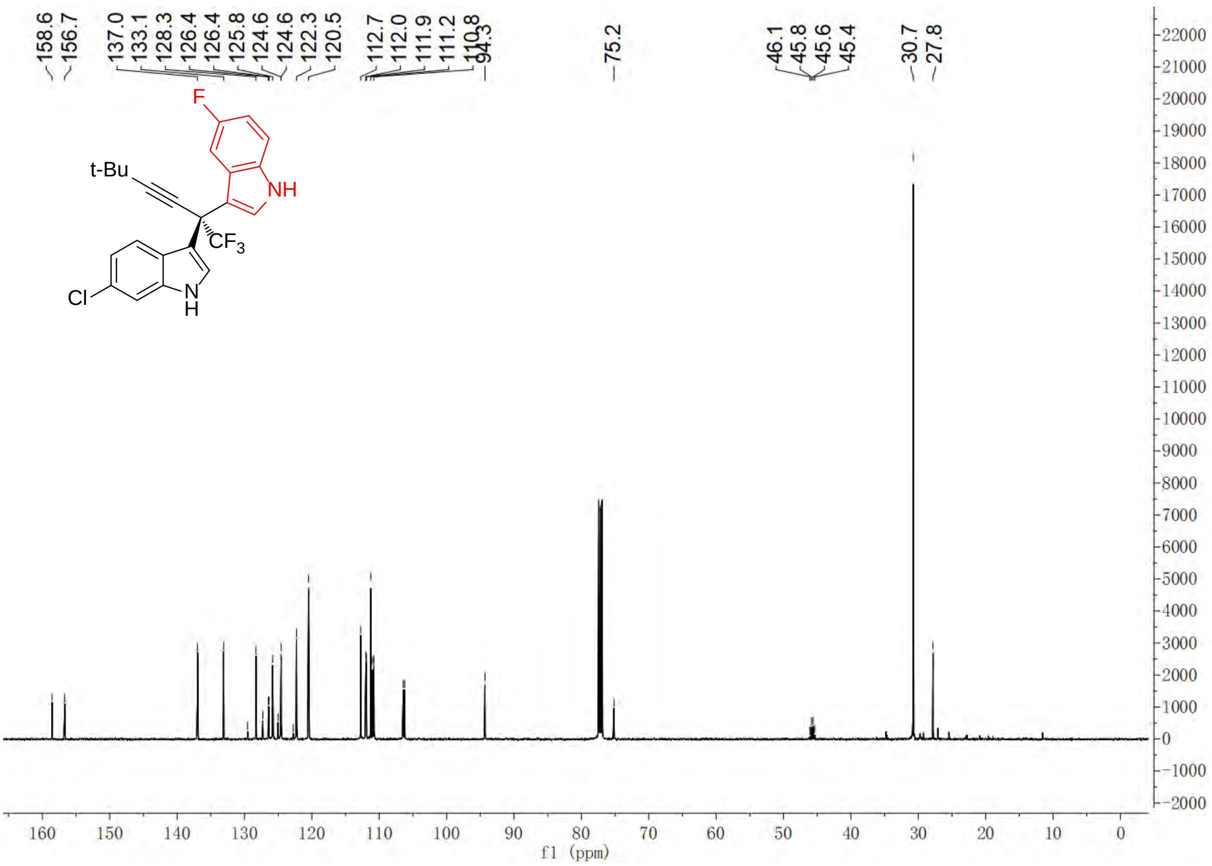


^{1}H NMR of compound 5k (in $CDCl_3$)



^{13}C NMR of compound 5k (in $CDCl_3$)



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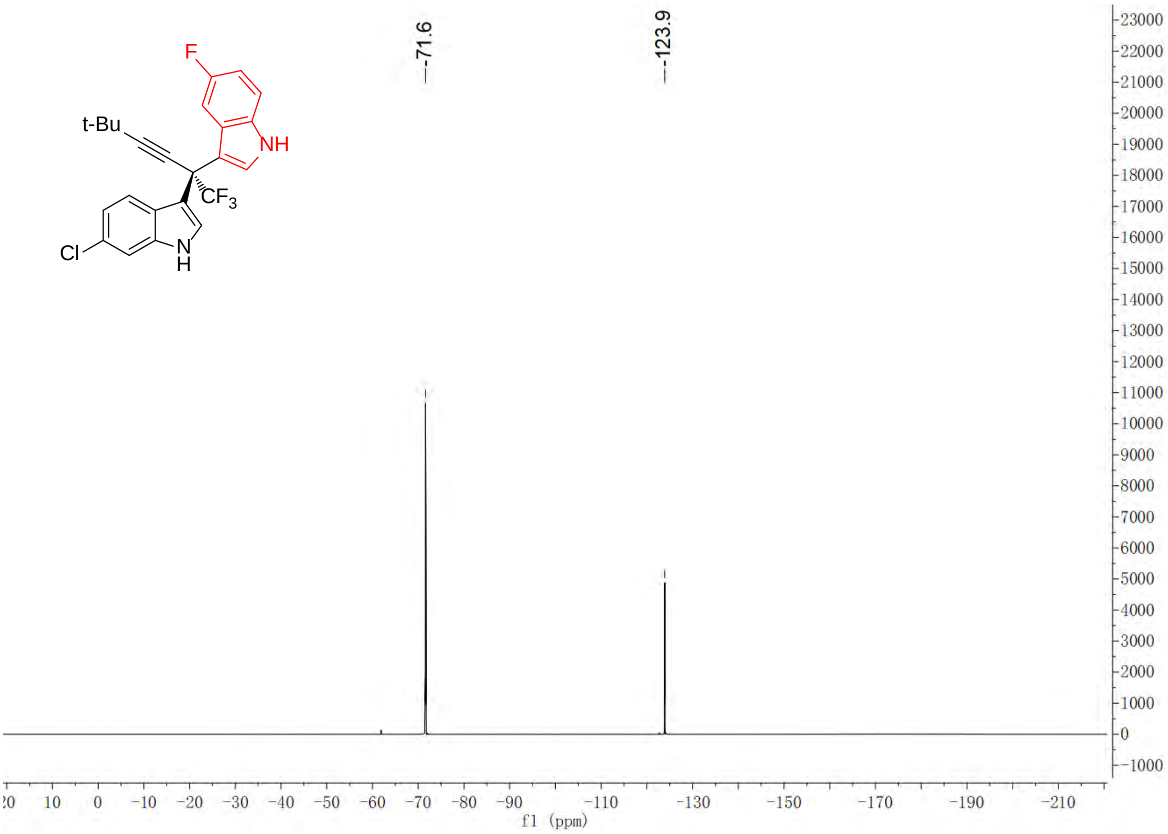
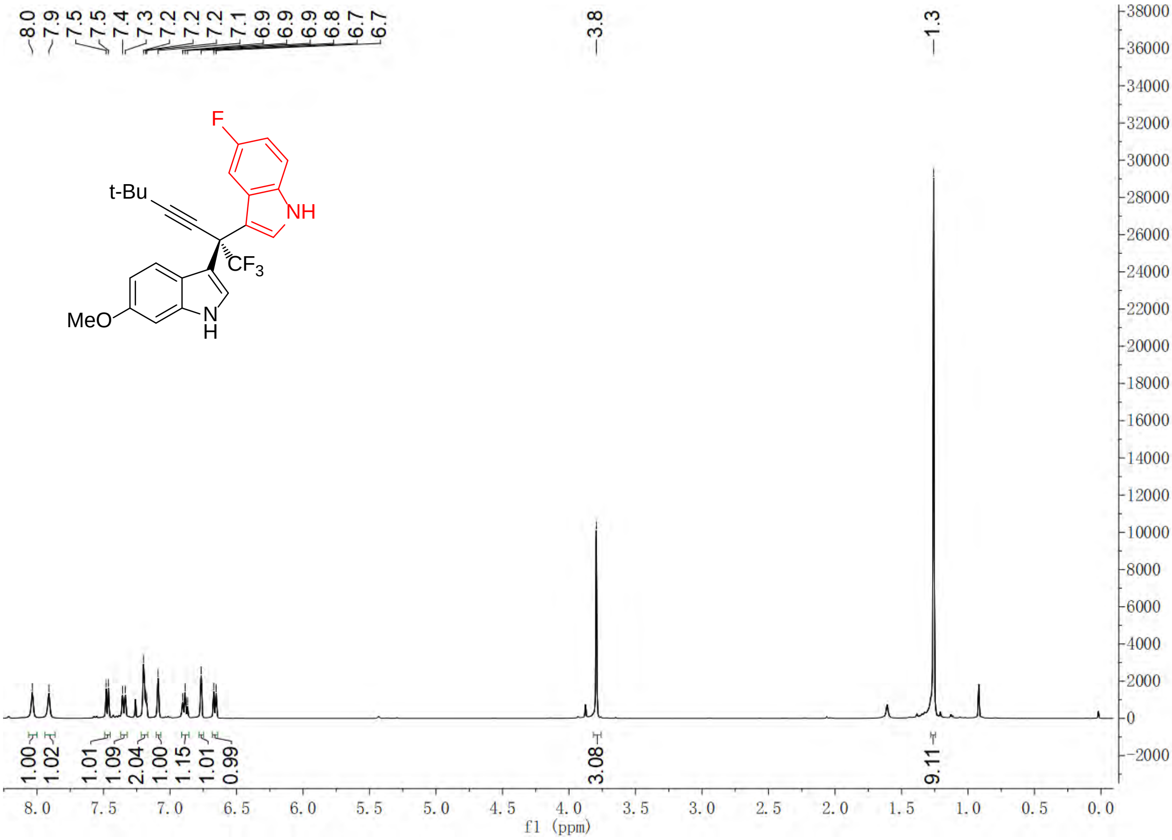
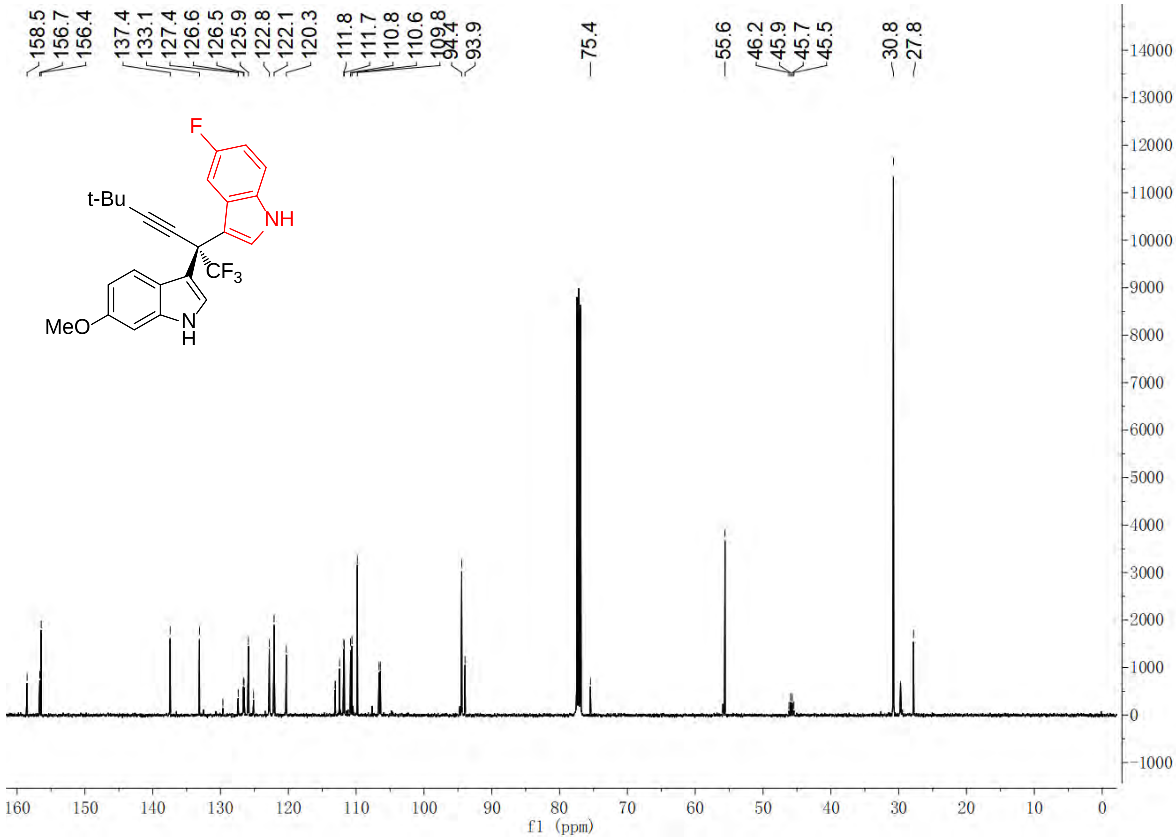


^{1}H NMR of compound 5l (in $CDCl_3$)



^{13}C NMR of compound 5l (in $CDCl_3$)



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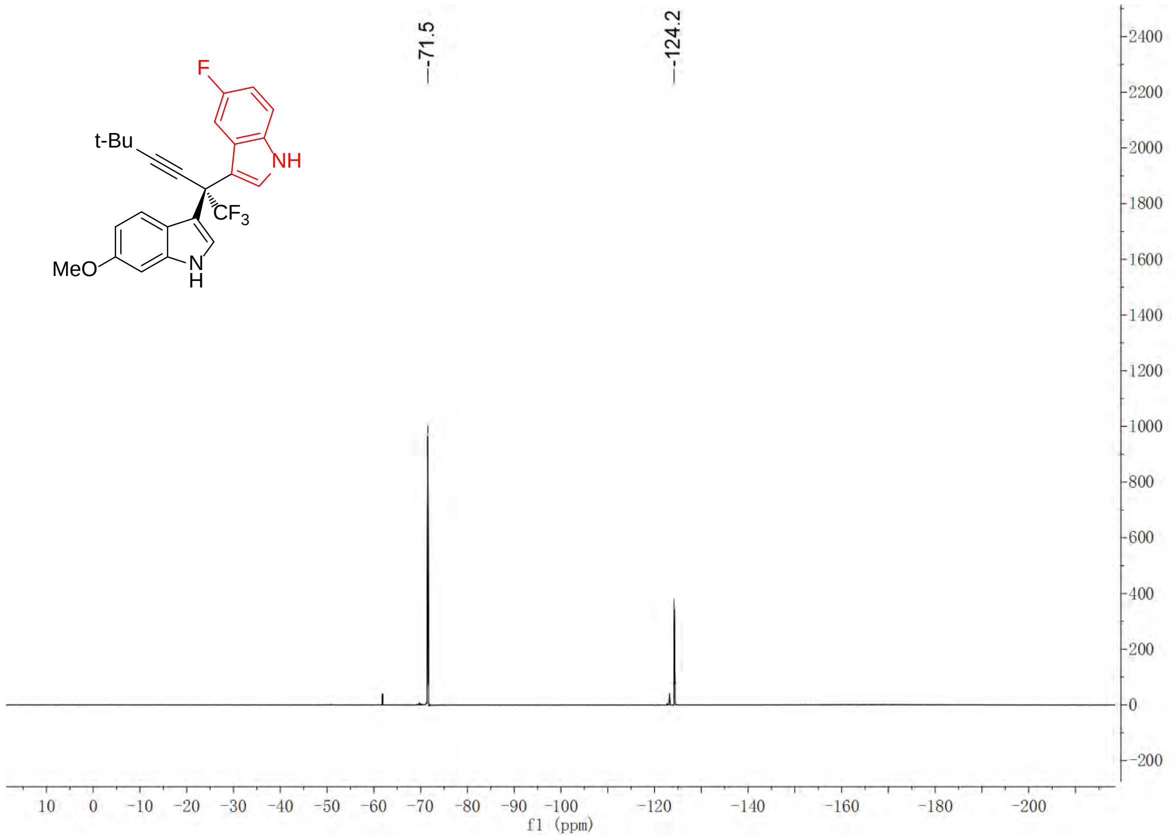
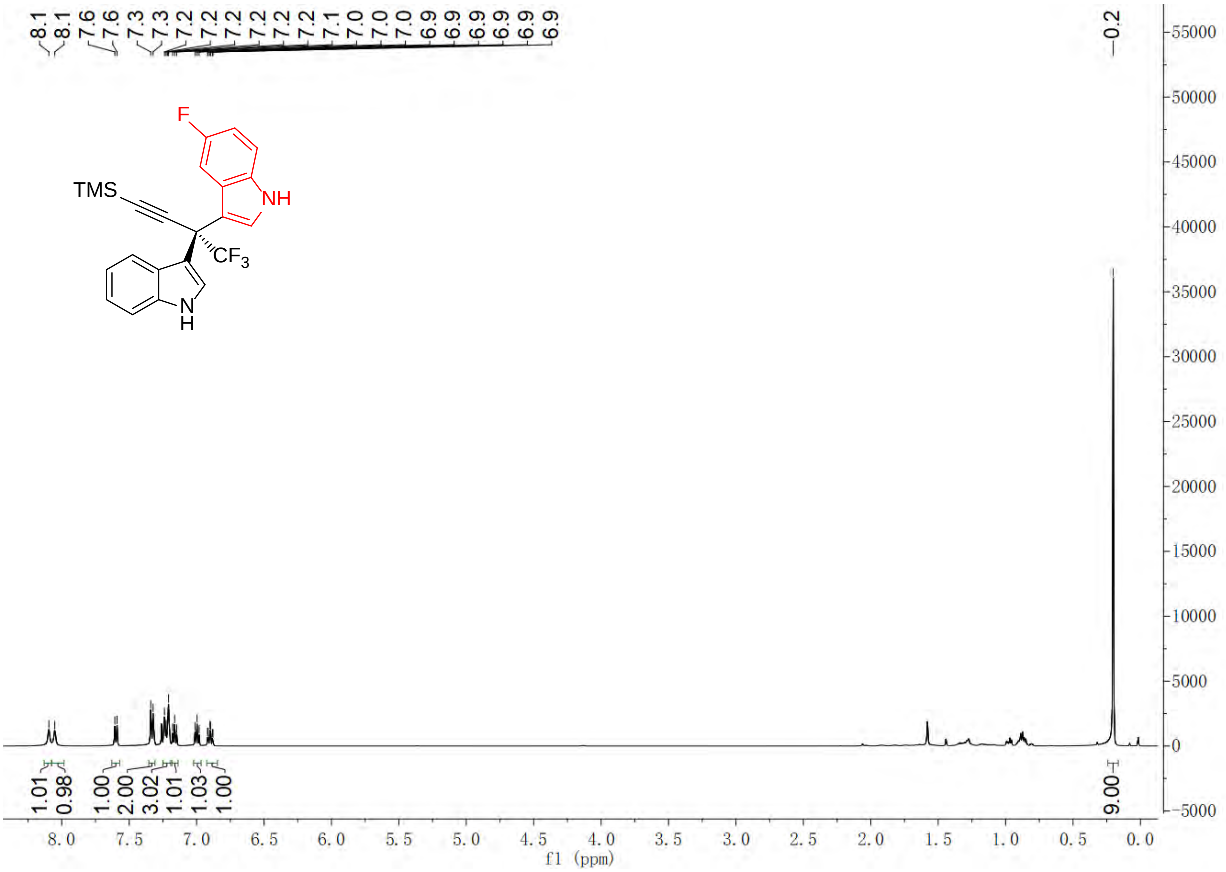
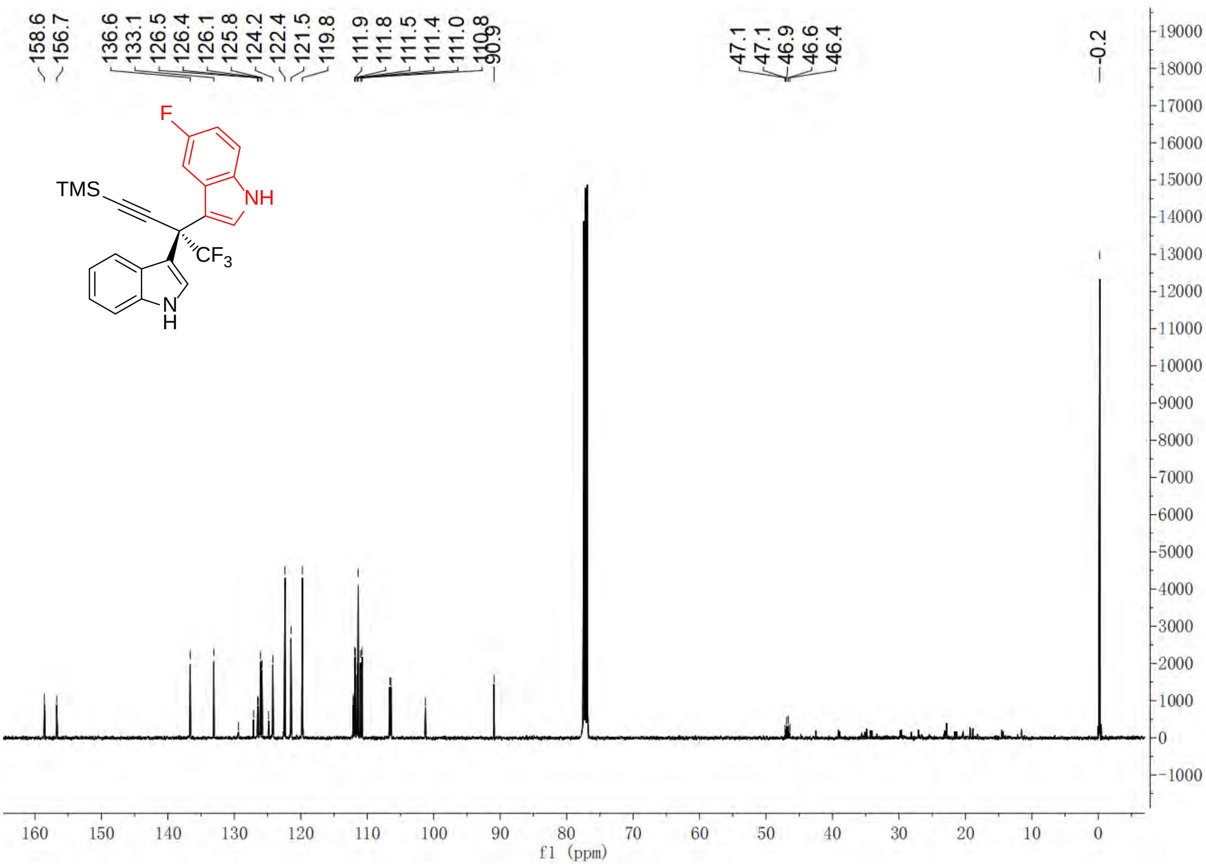


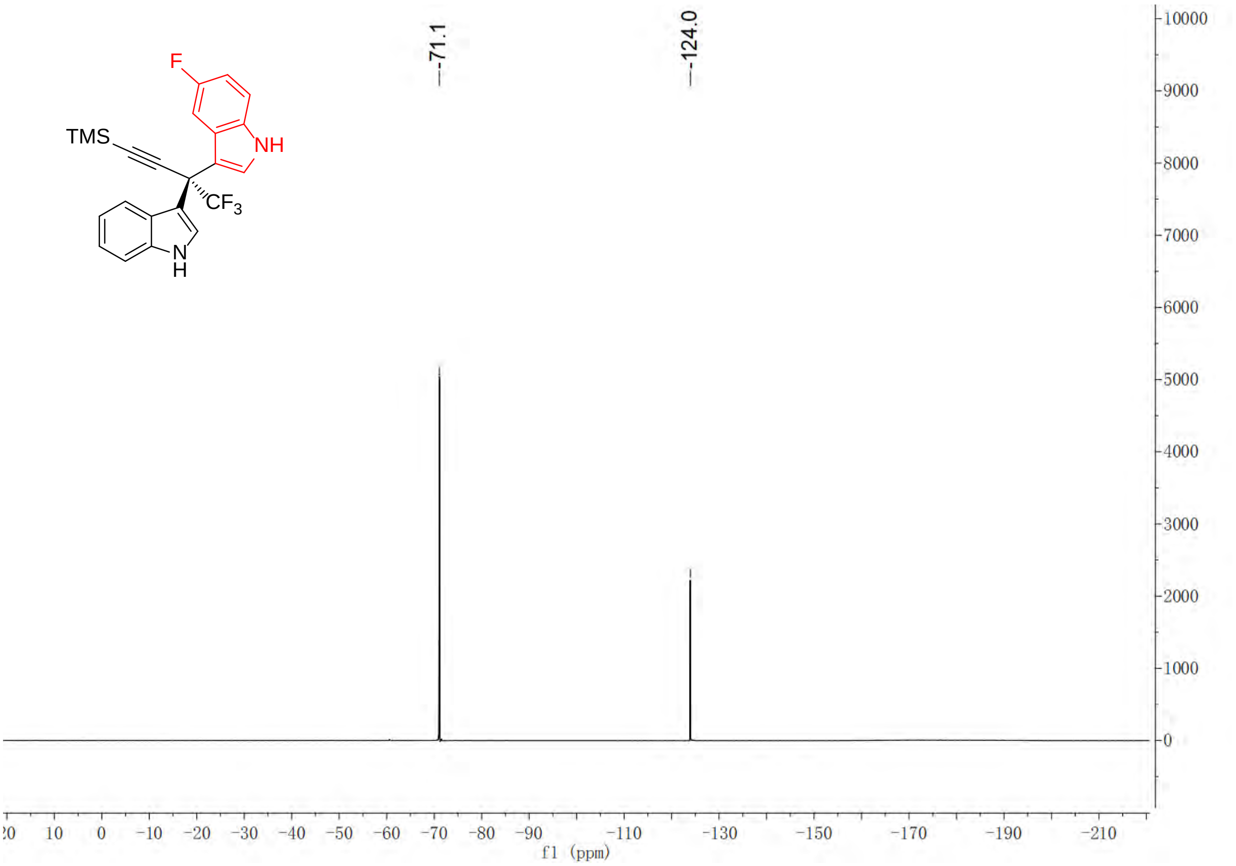
[1]H NMR of compound 5m (in $CDCl_3$)



[13]C NMR of compound 5m (in $CDCl_3$)



[19]F NMR of compound 5m (in CDCl3)



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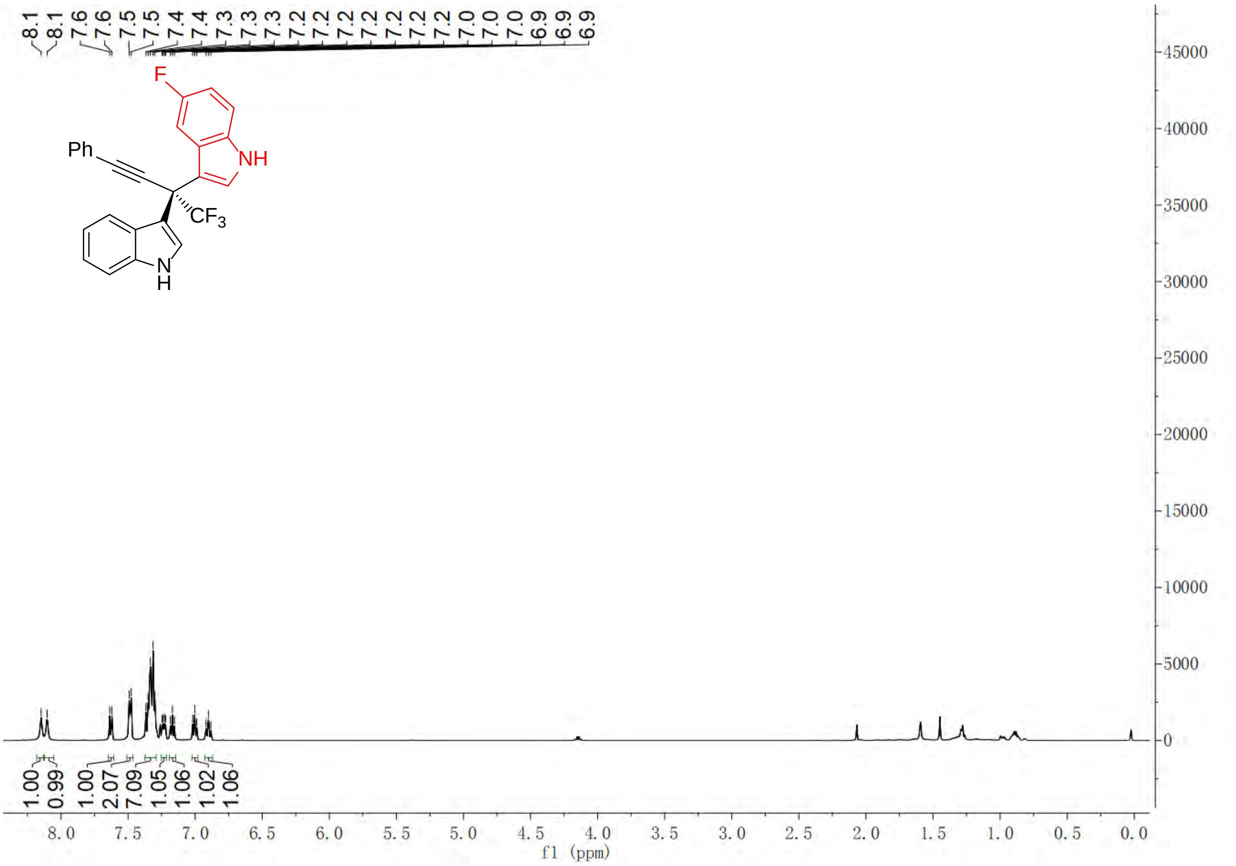

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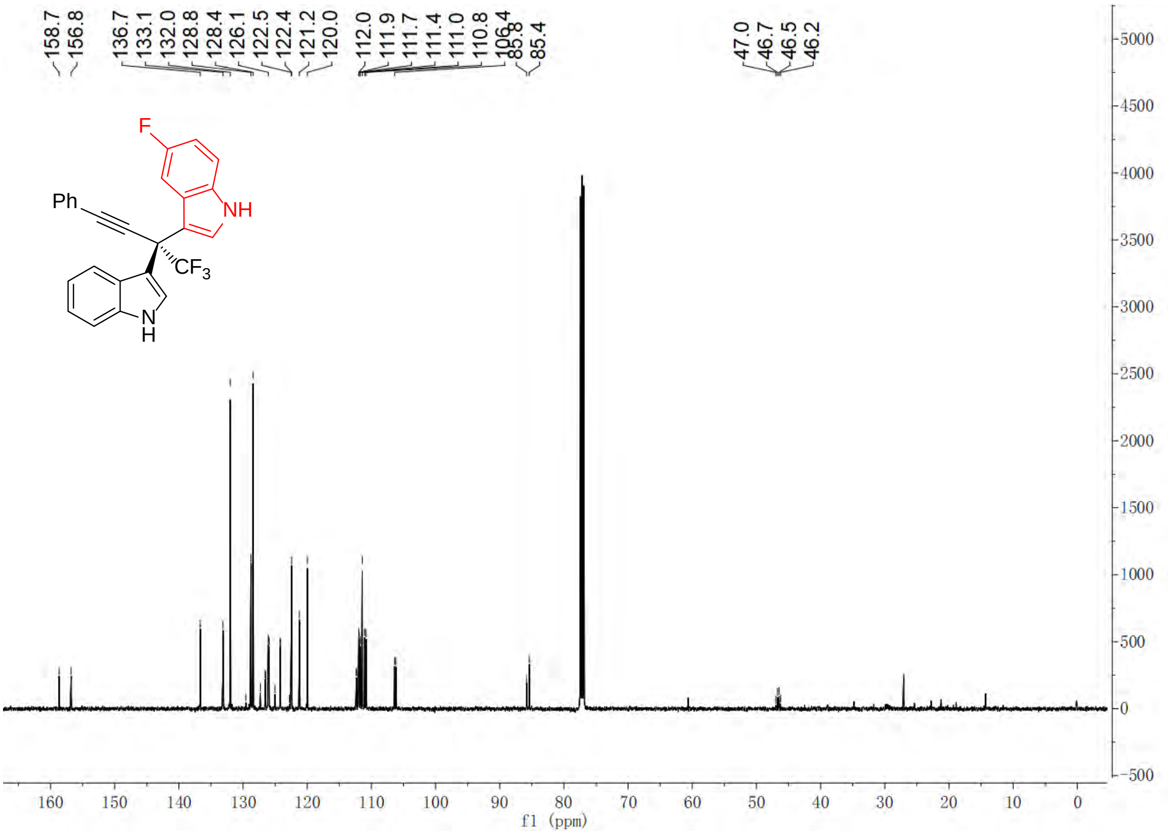

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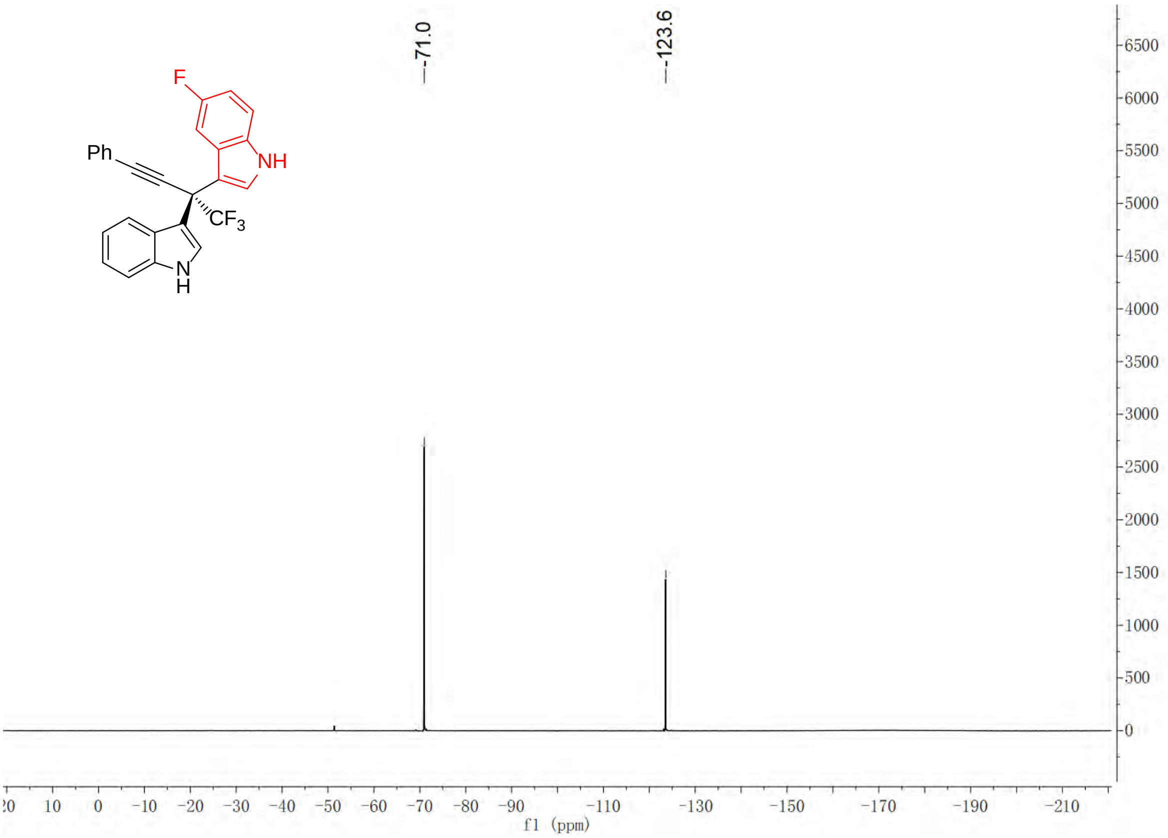

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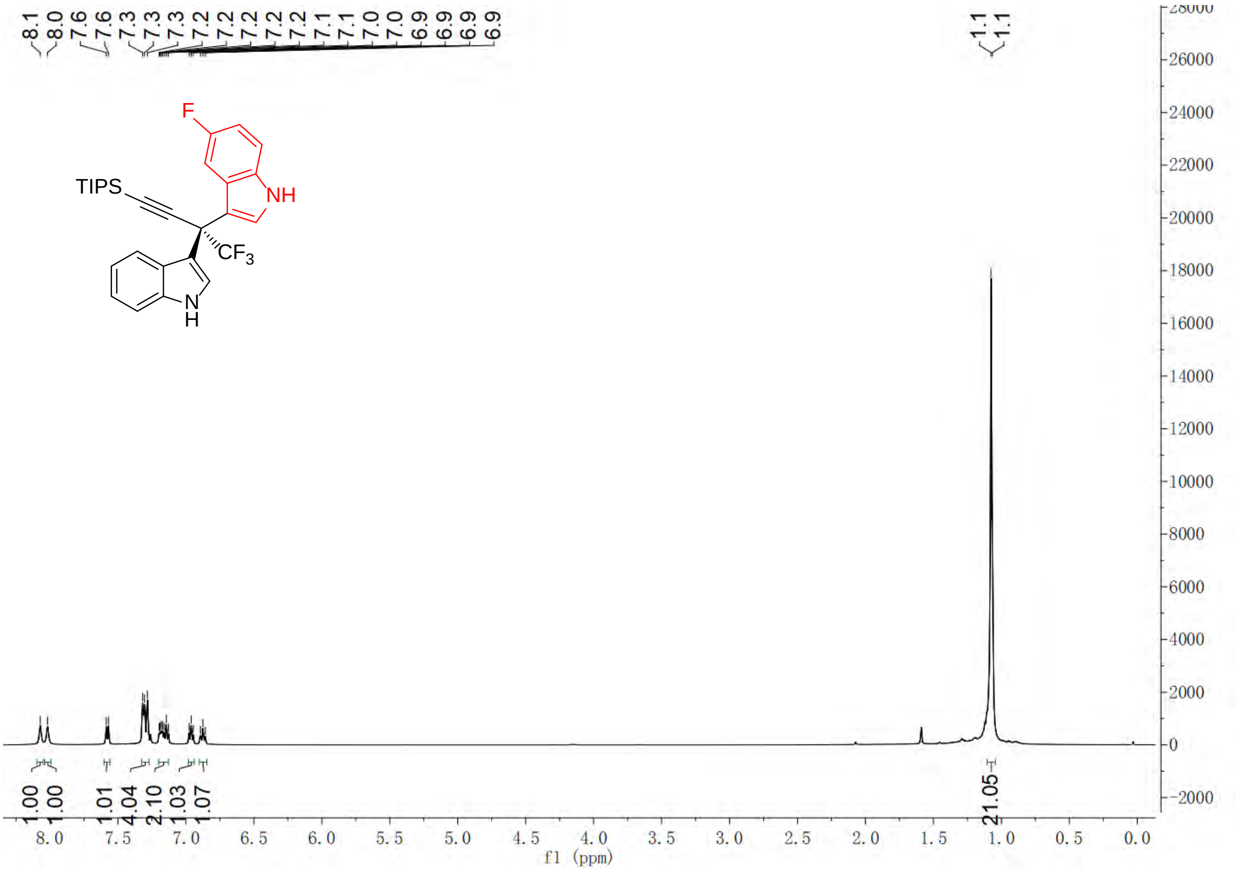
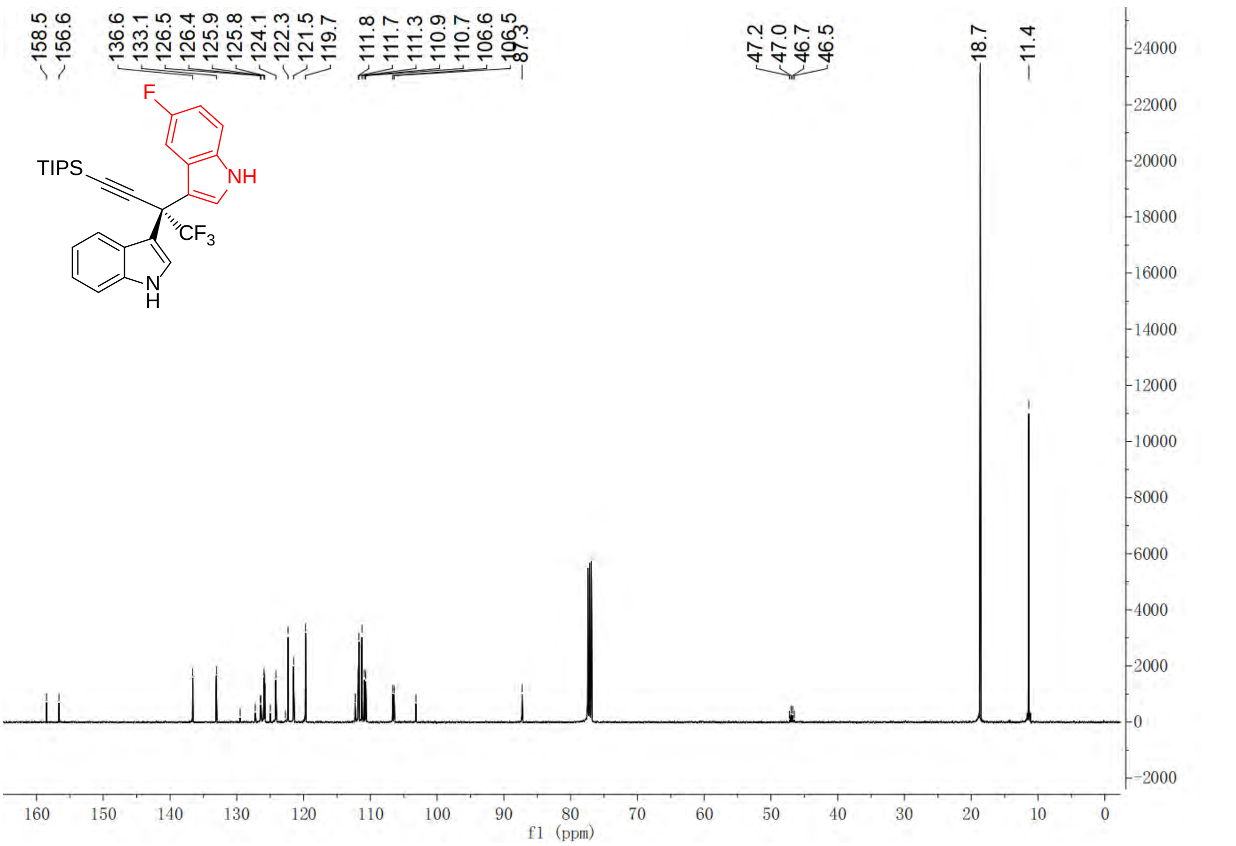


^{13}C NMR of compound 5o (in $CDCl_3$)



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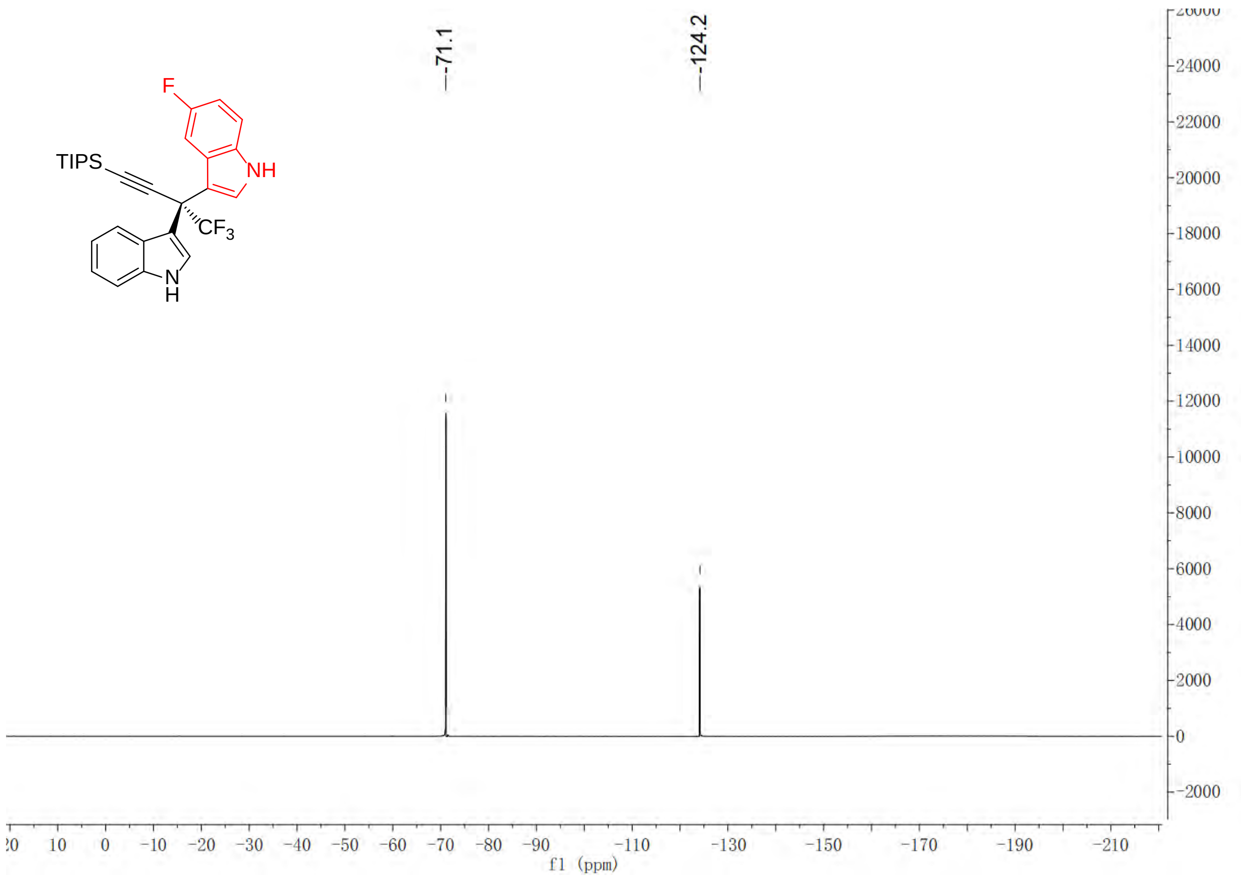

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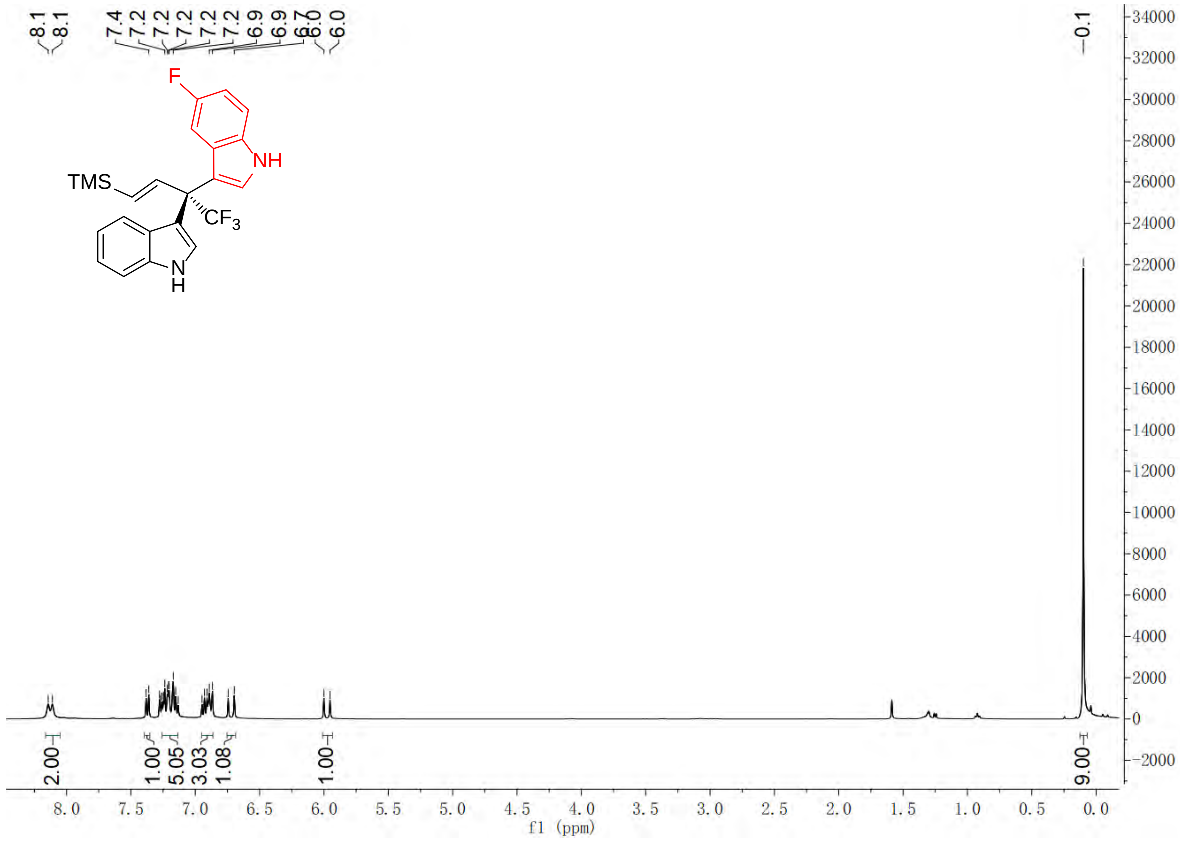
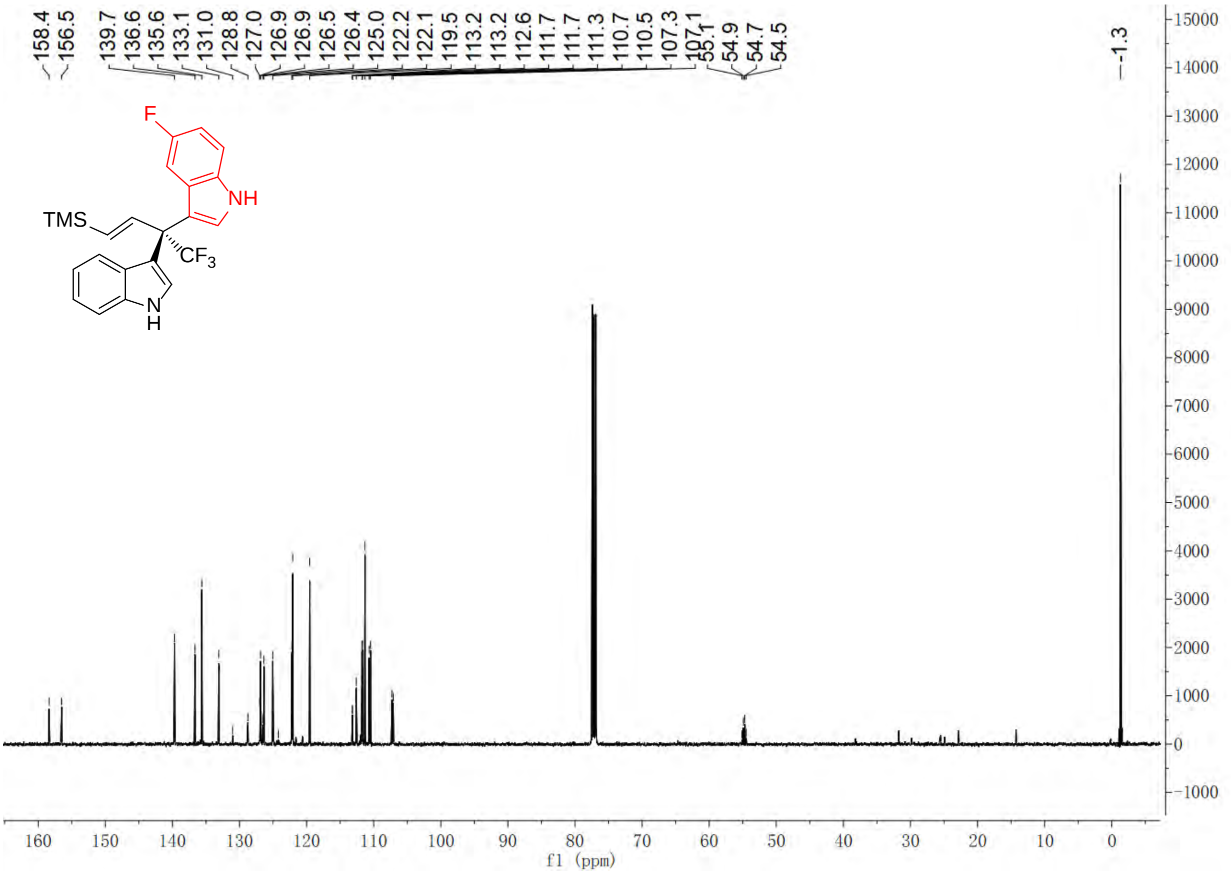


^{13}C NMR of compound 5p (in $CDCl_3$)



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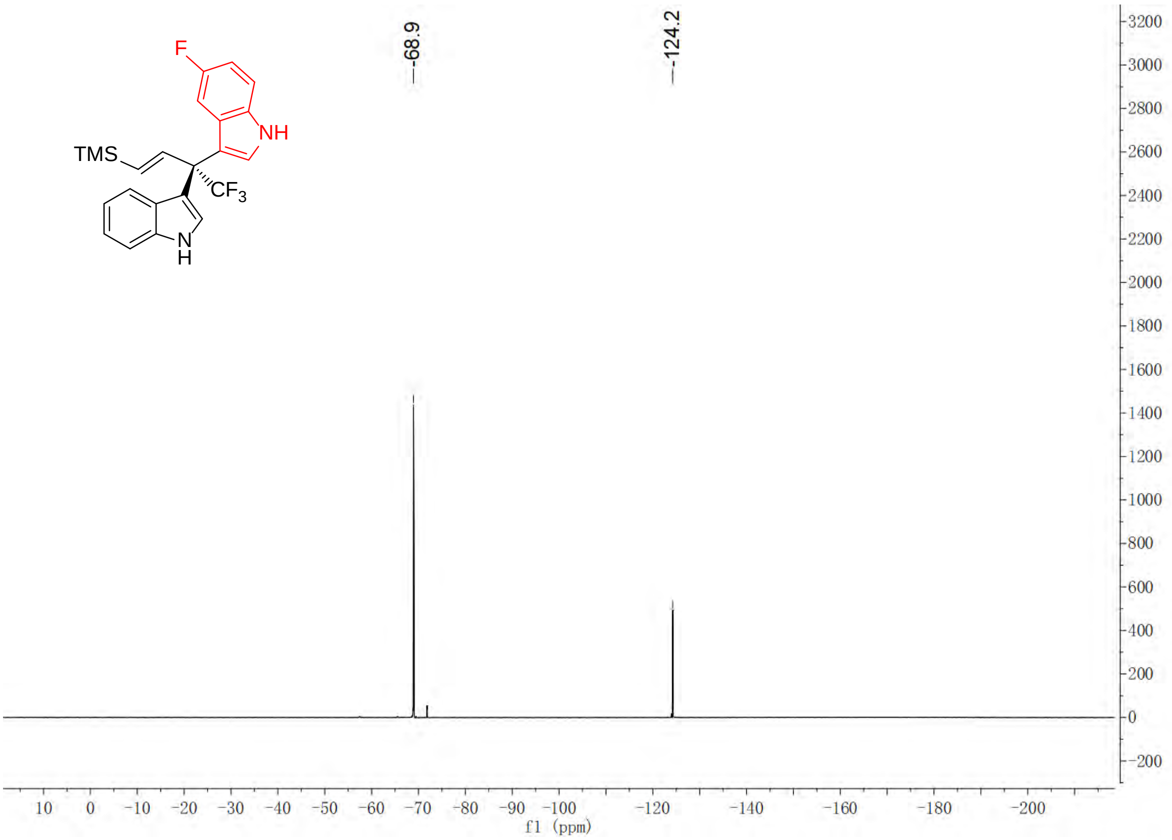

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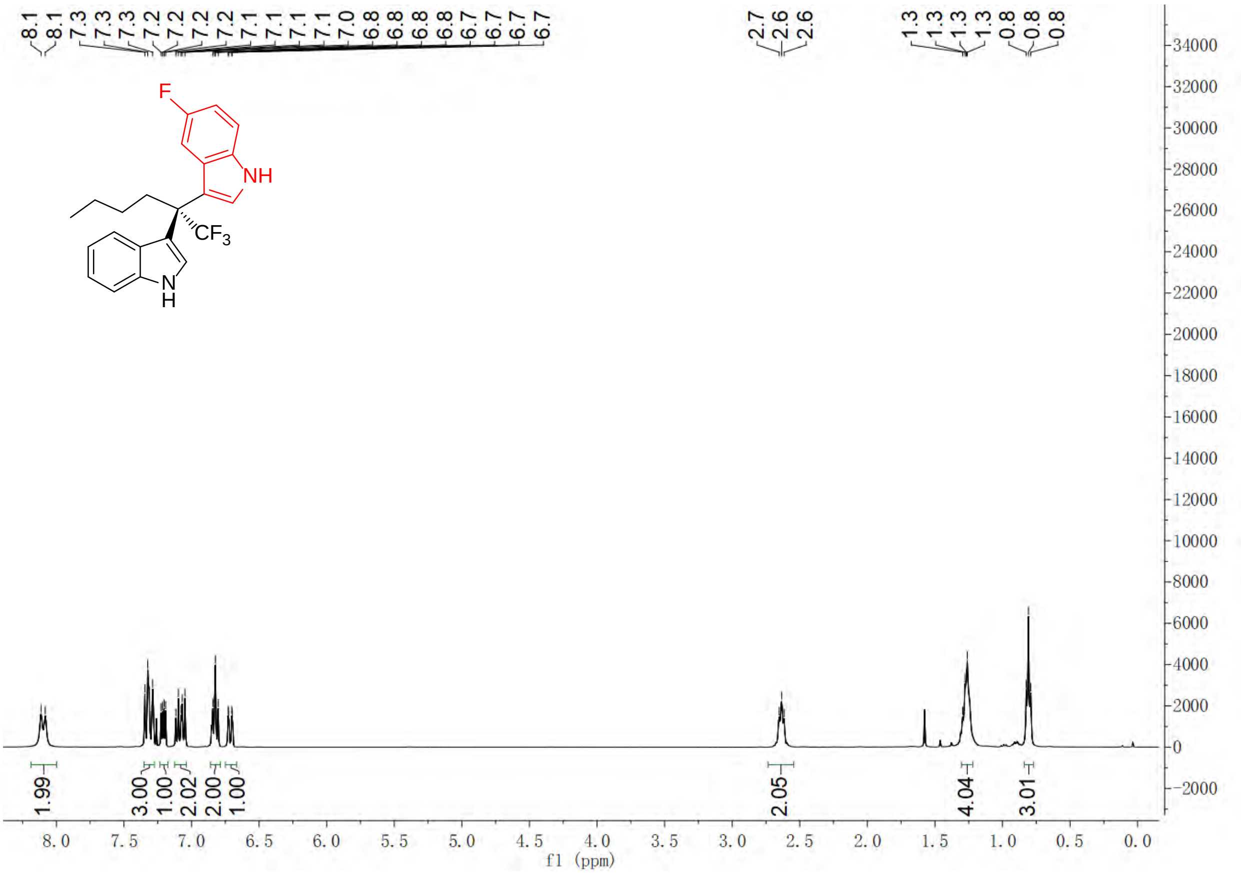
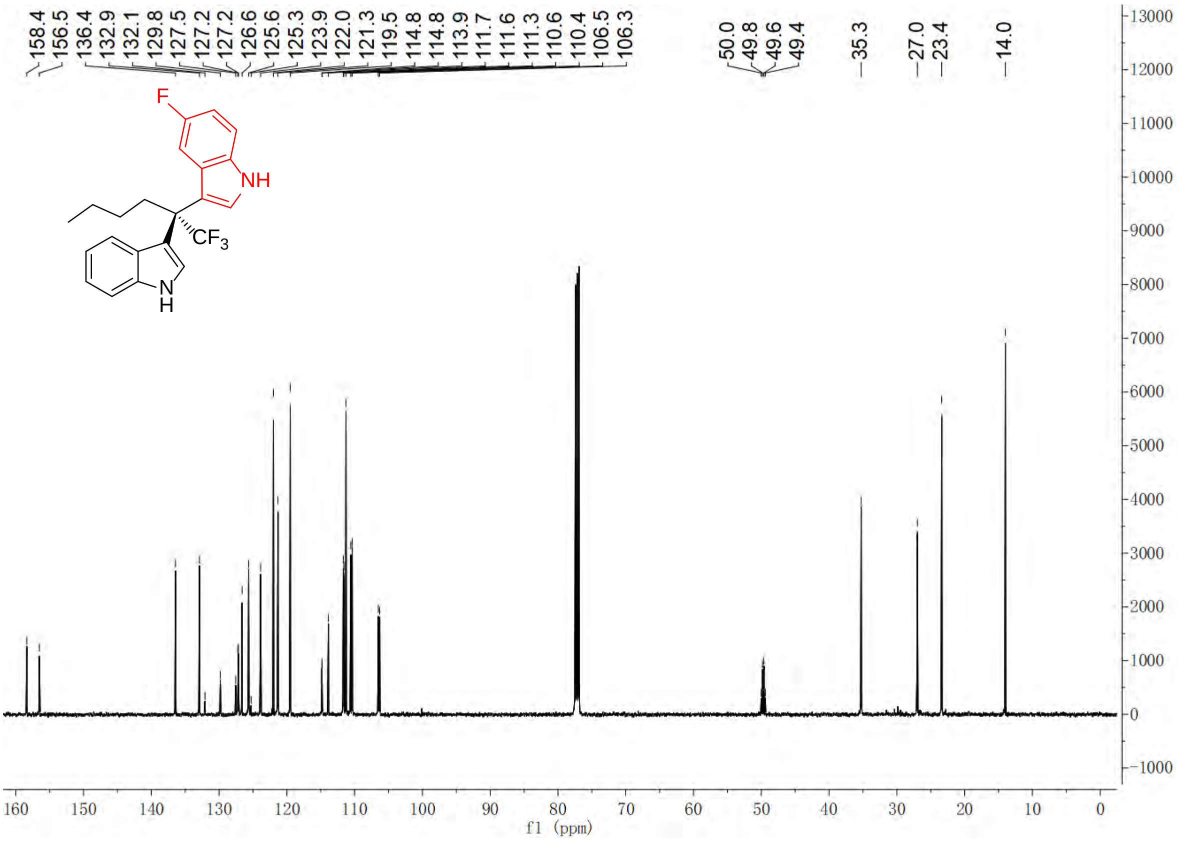
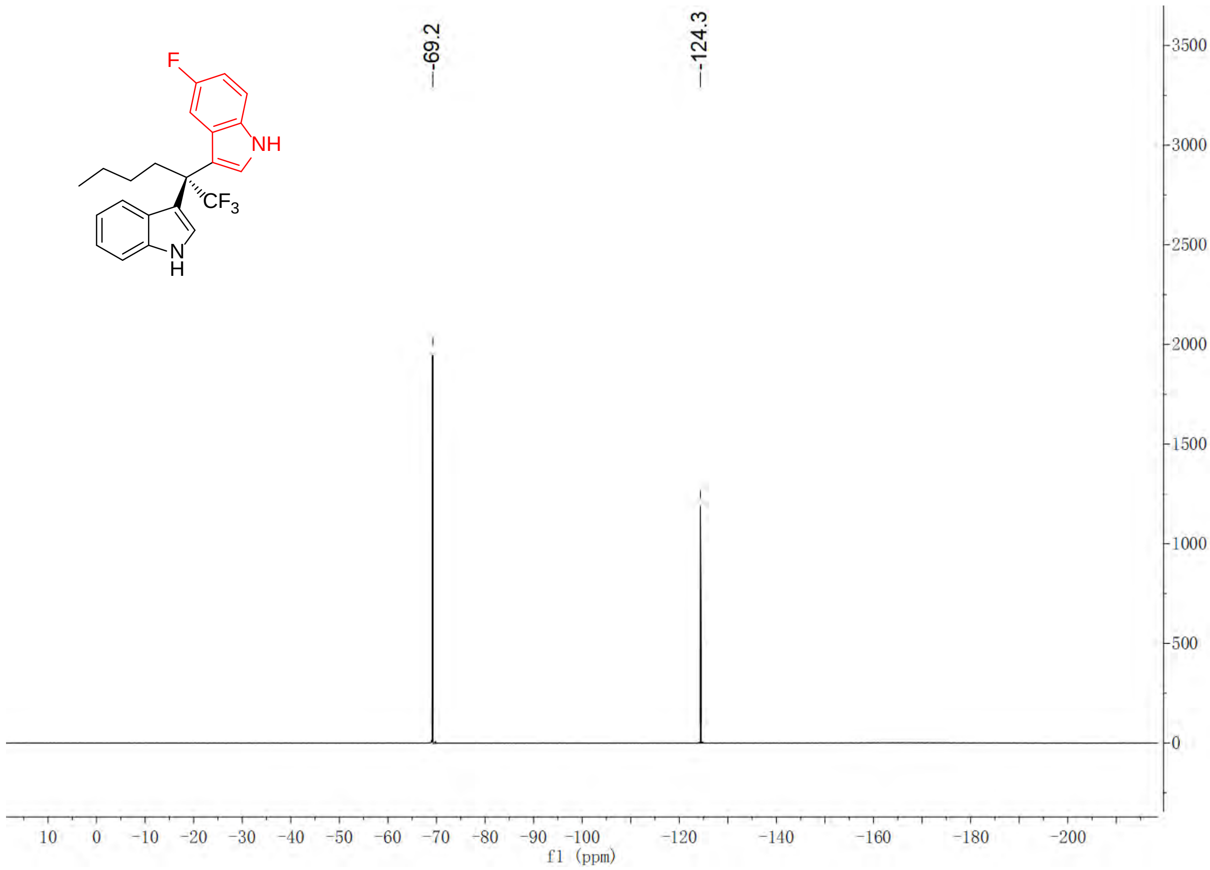


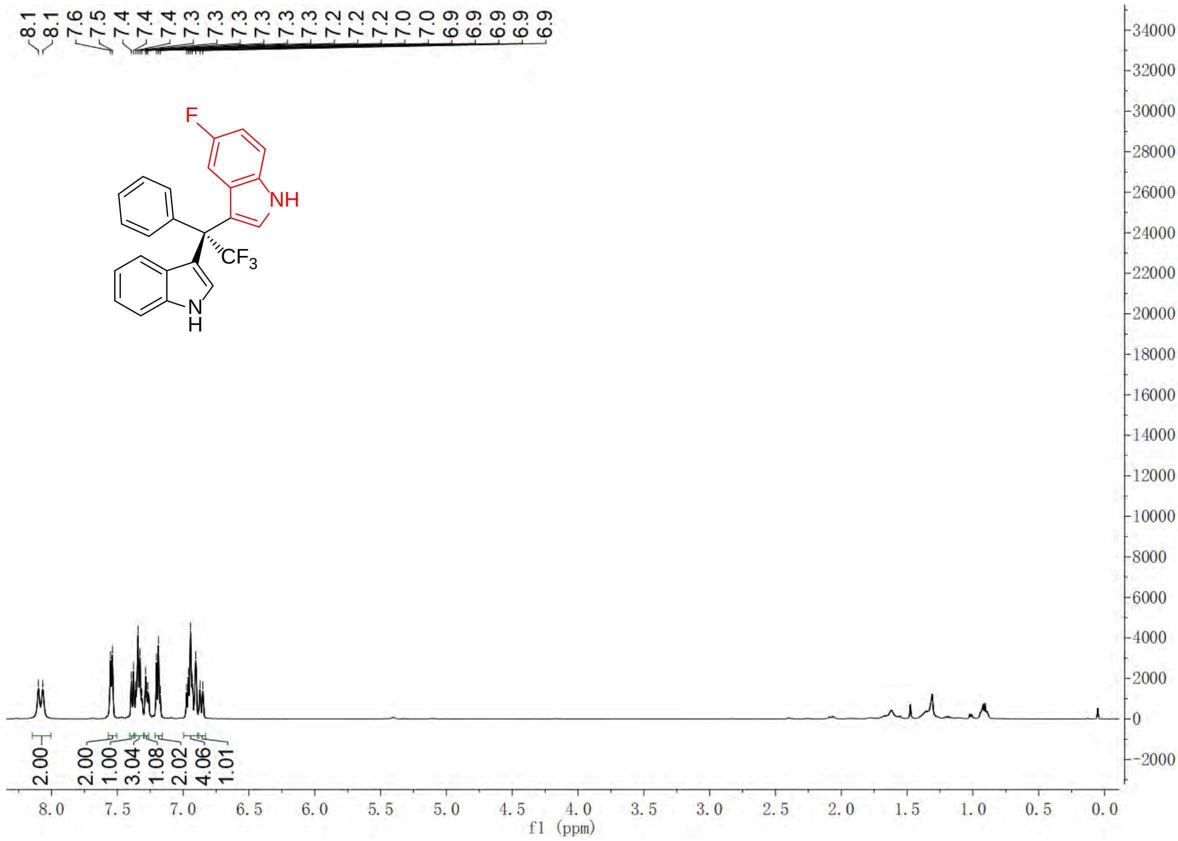
[13]C NMR of compound 5q (in $CDCl_3$)



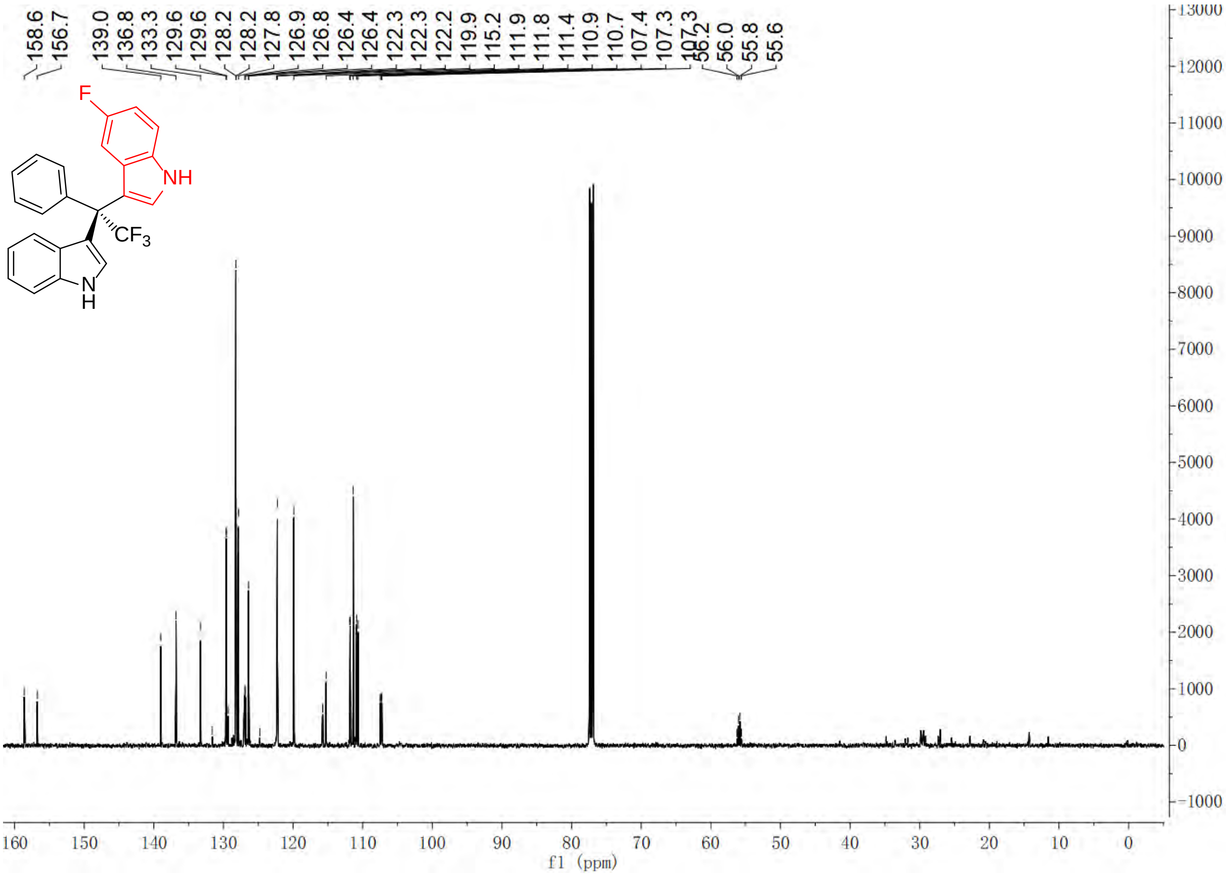
^{19}F NMR of compound 5q (in $CDCl_3$)



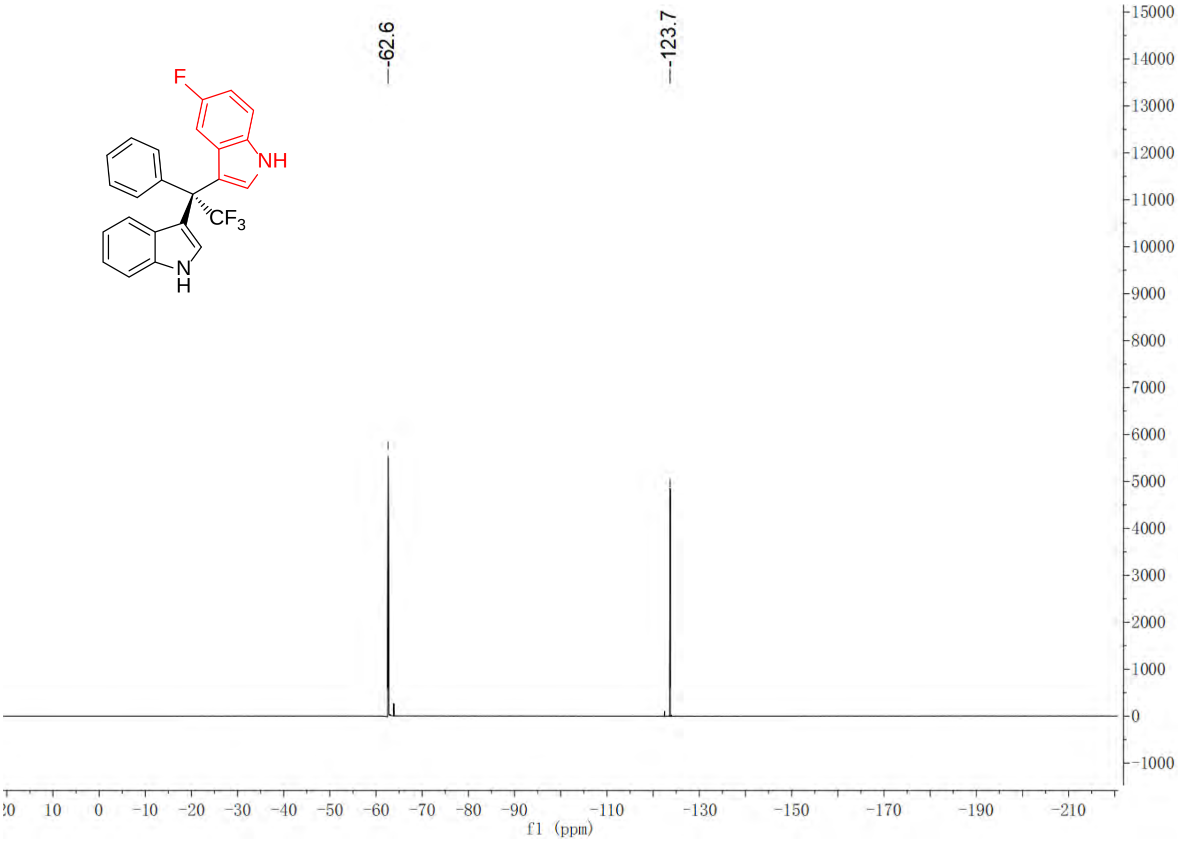
^{1}H NMR of compound 5r (in $CDCl_3$)



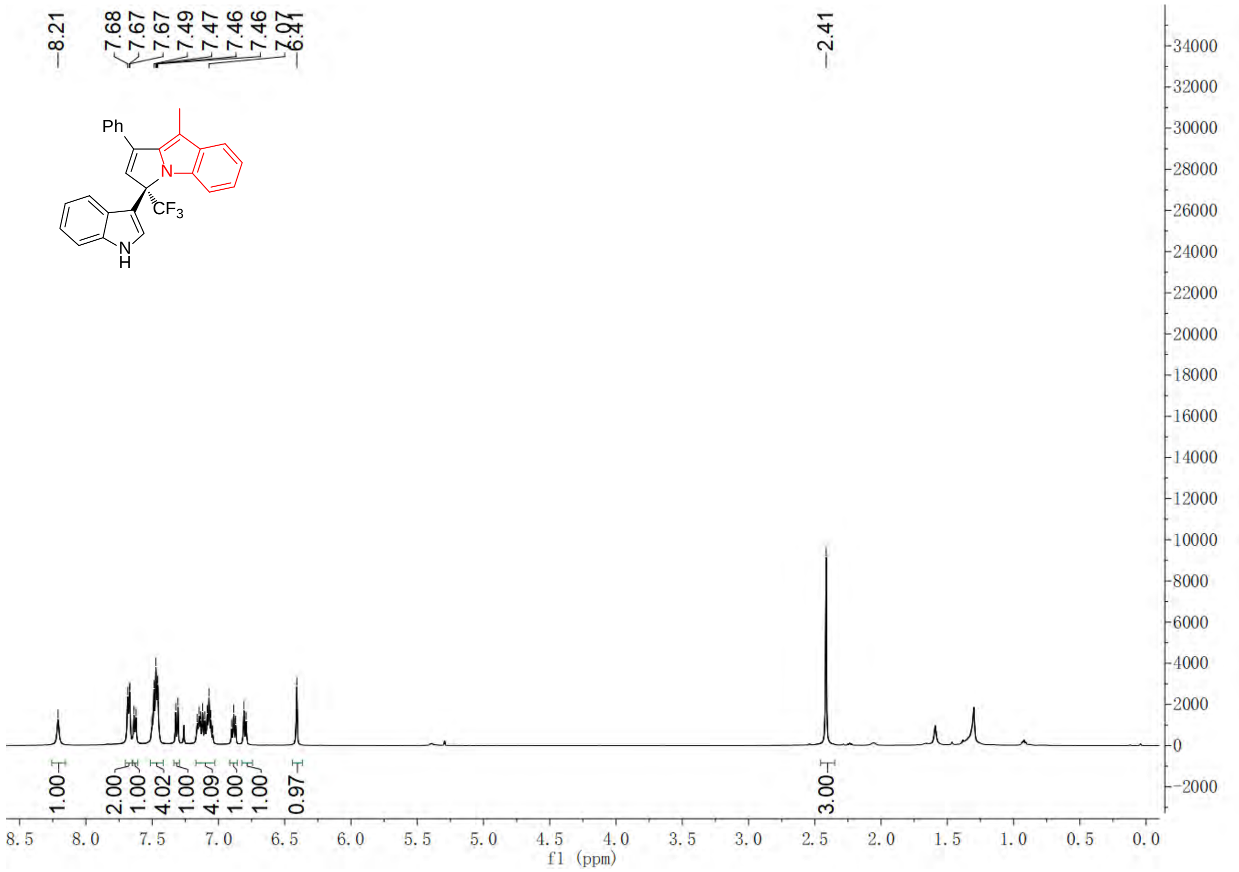
^{13}C NMR of compound 5r (in $CDCl_3$)



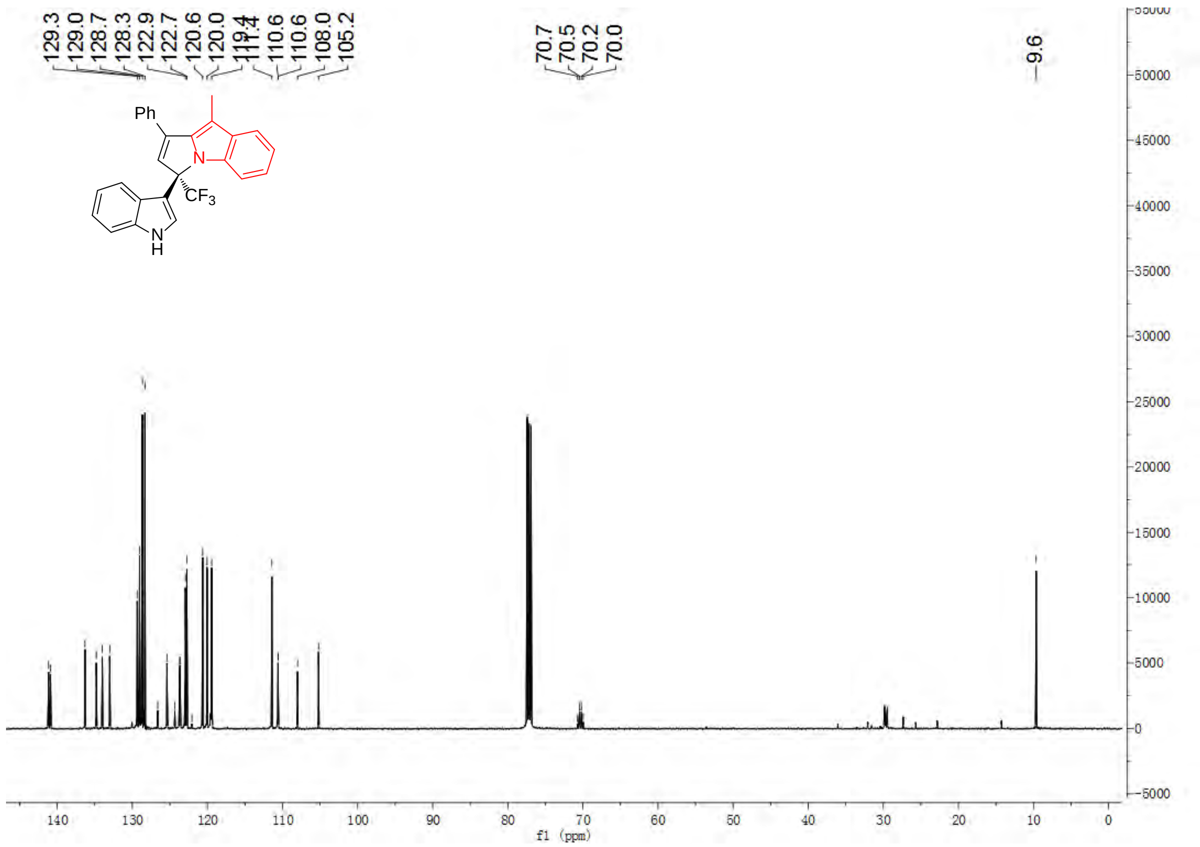
^{19}F NMR of compound 5r (in $CDCl_3$)



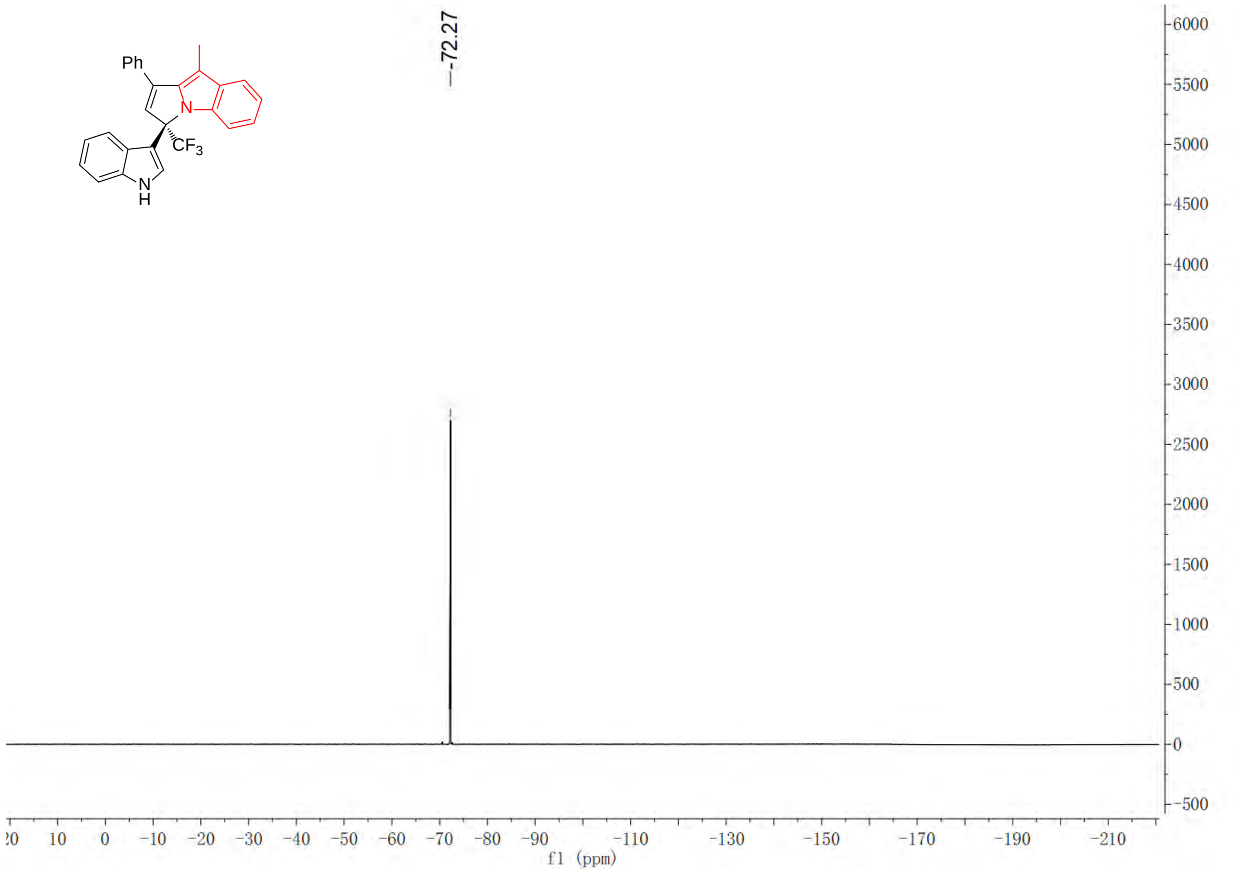
^{1}H NMR of compound 7a (in CDCl$_3$)



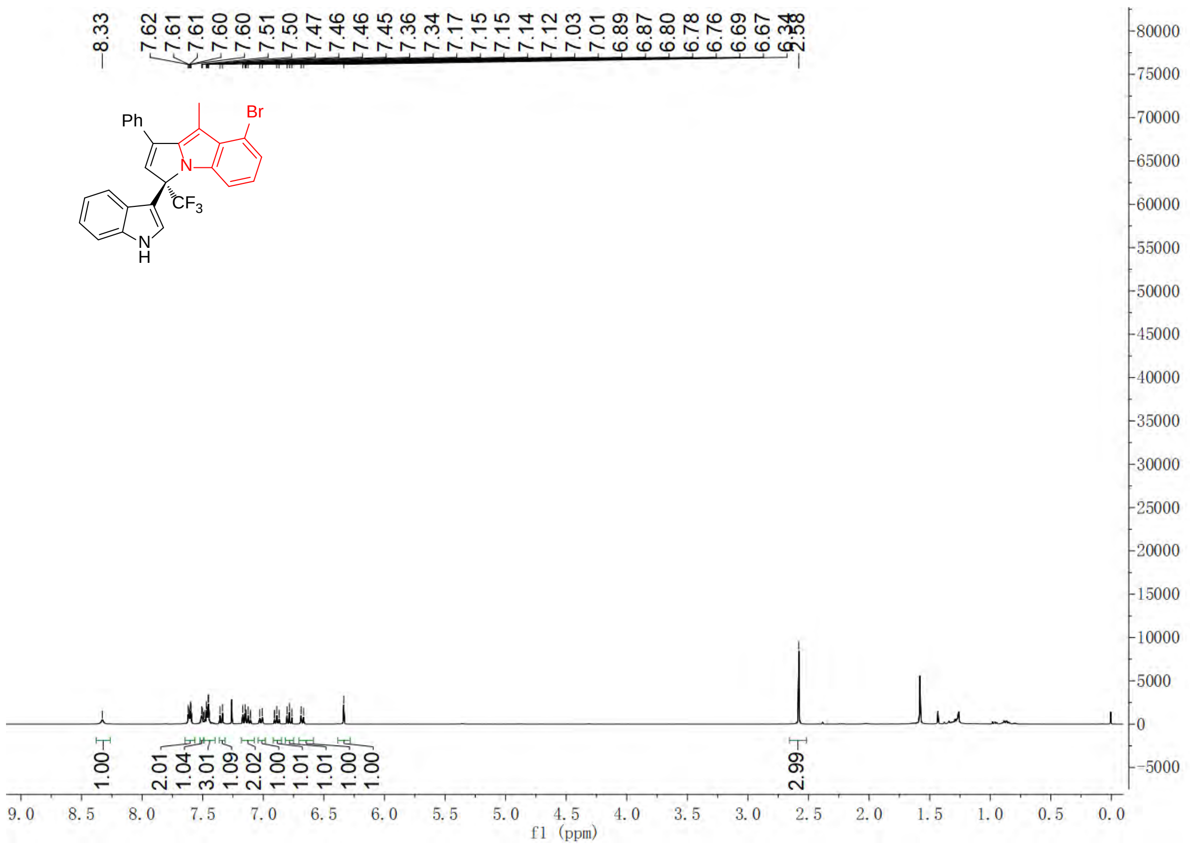
^{13}C NMR of compound 7a (in CDCl$_3$)



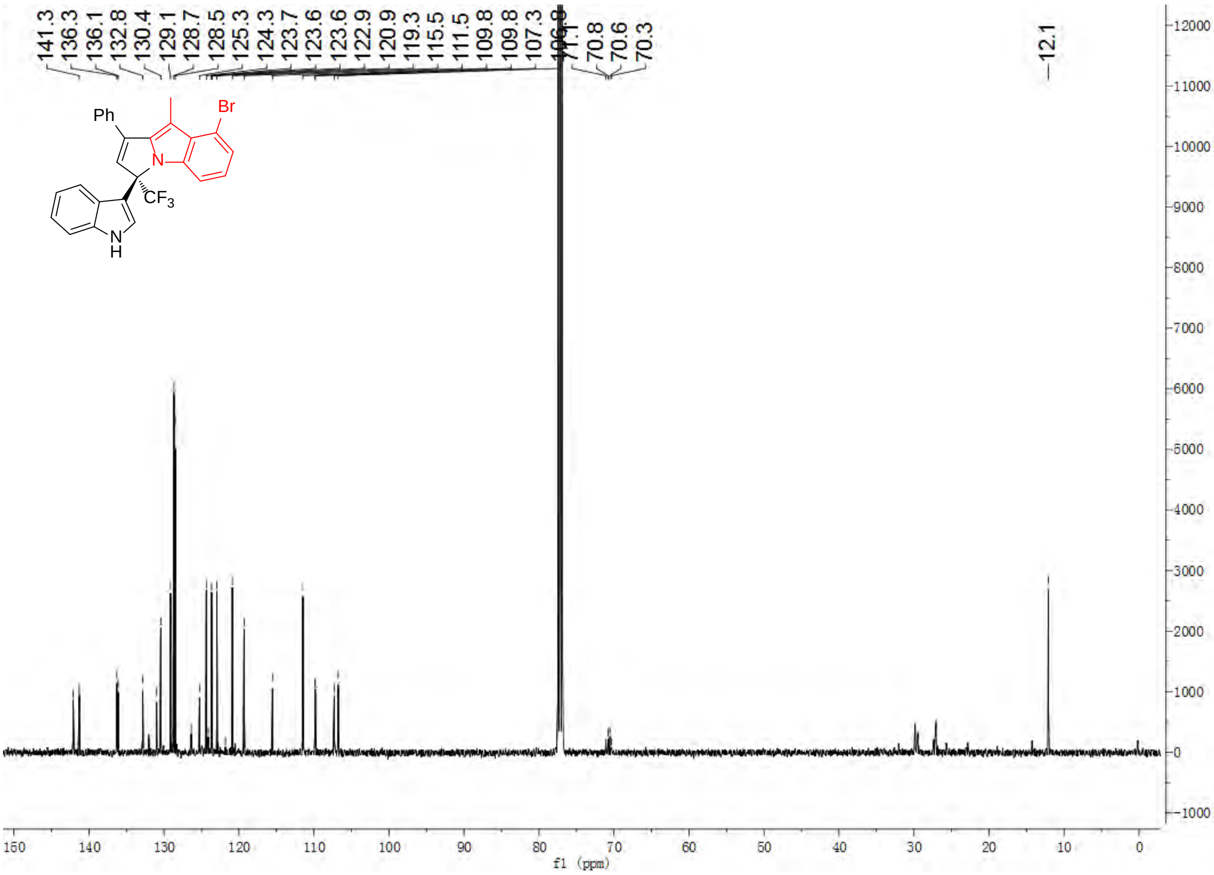
^{19}F NMR of compound 7a (in $CDCl_3$)



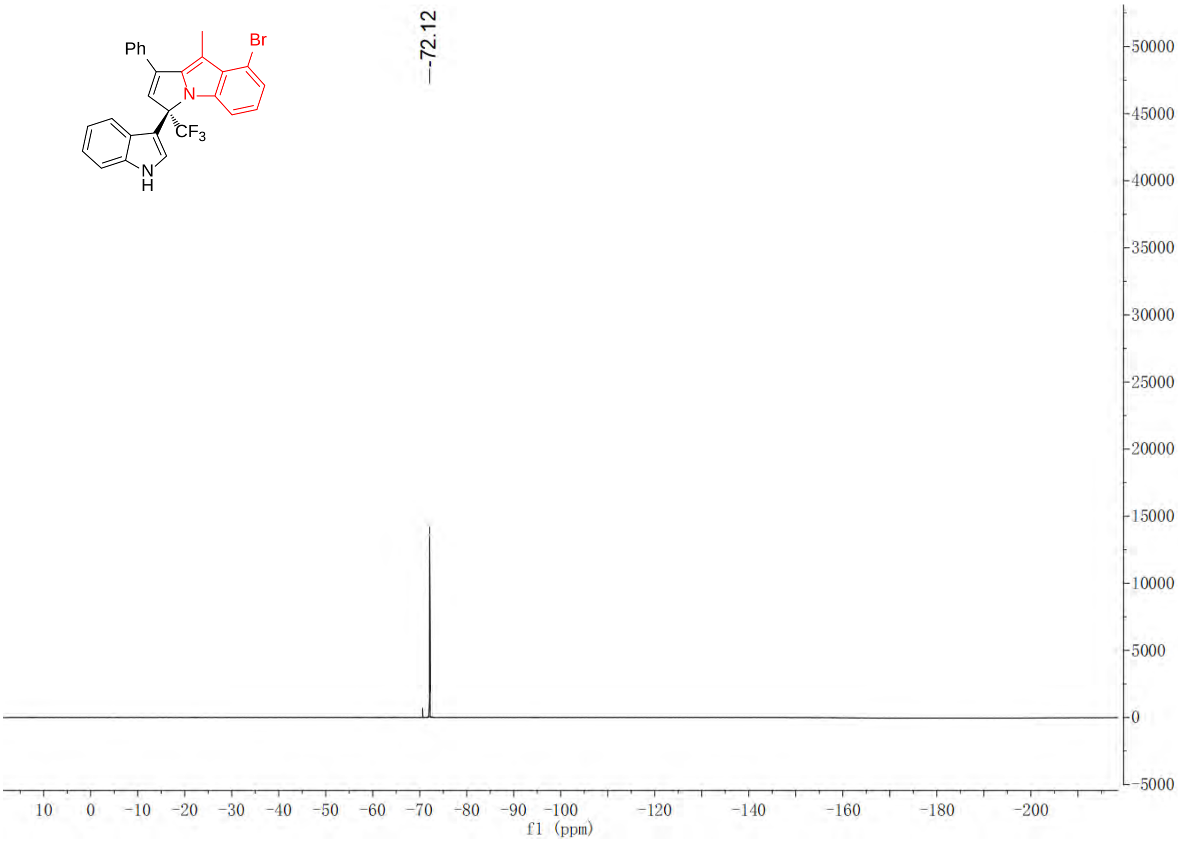
^{1}H NMR of compound 7b (in $CDCl_3$)



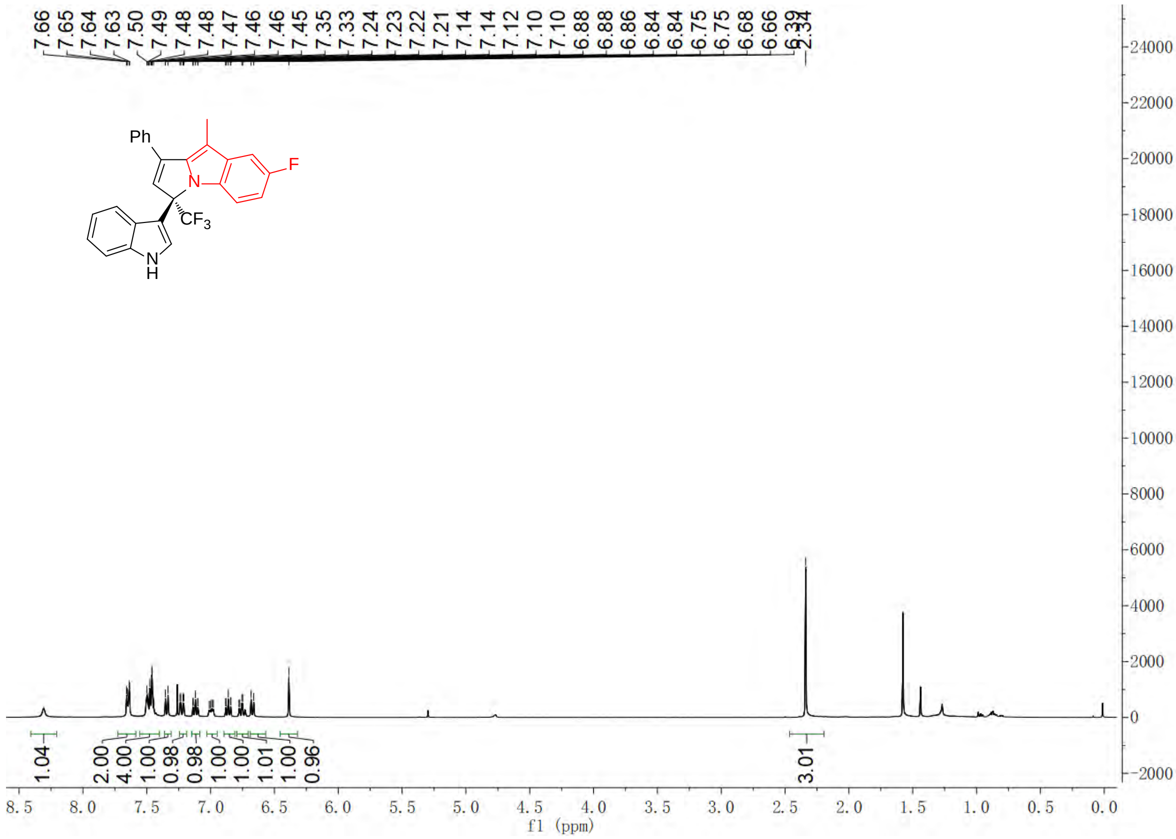
^{13}C NMR of compound 7b (in $CDCl_3$)



^{19}F NMR of compound 7b (in $CDCl_3$)



^{1}H NMR of compound 7c (in $CDCl_3$)



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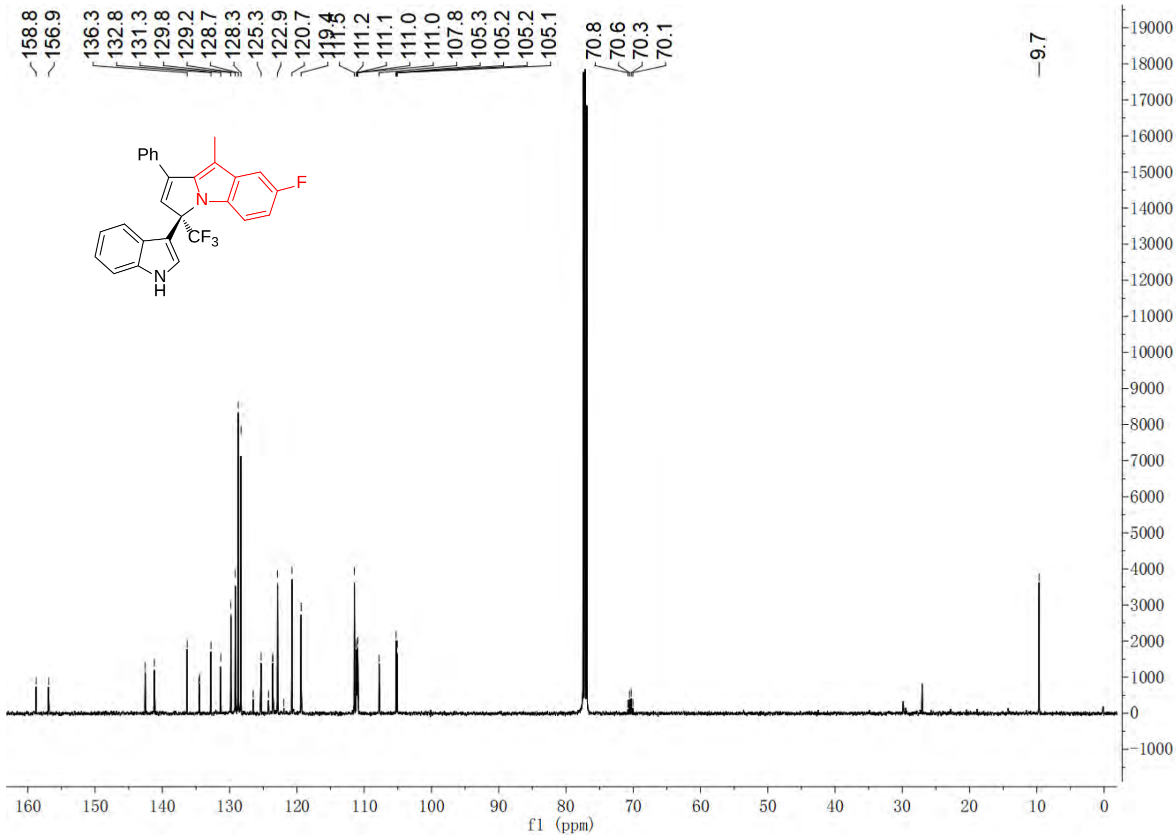
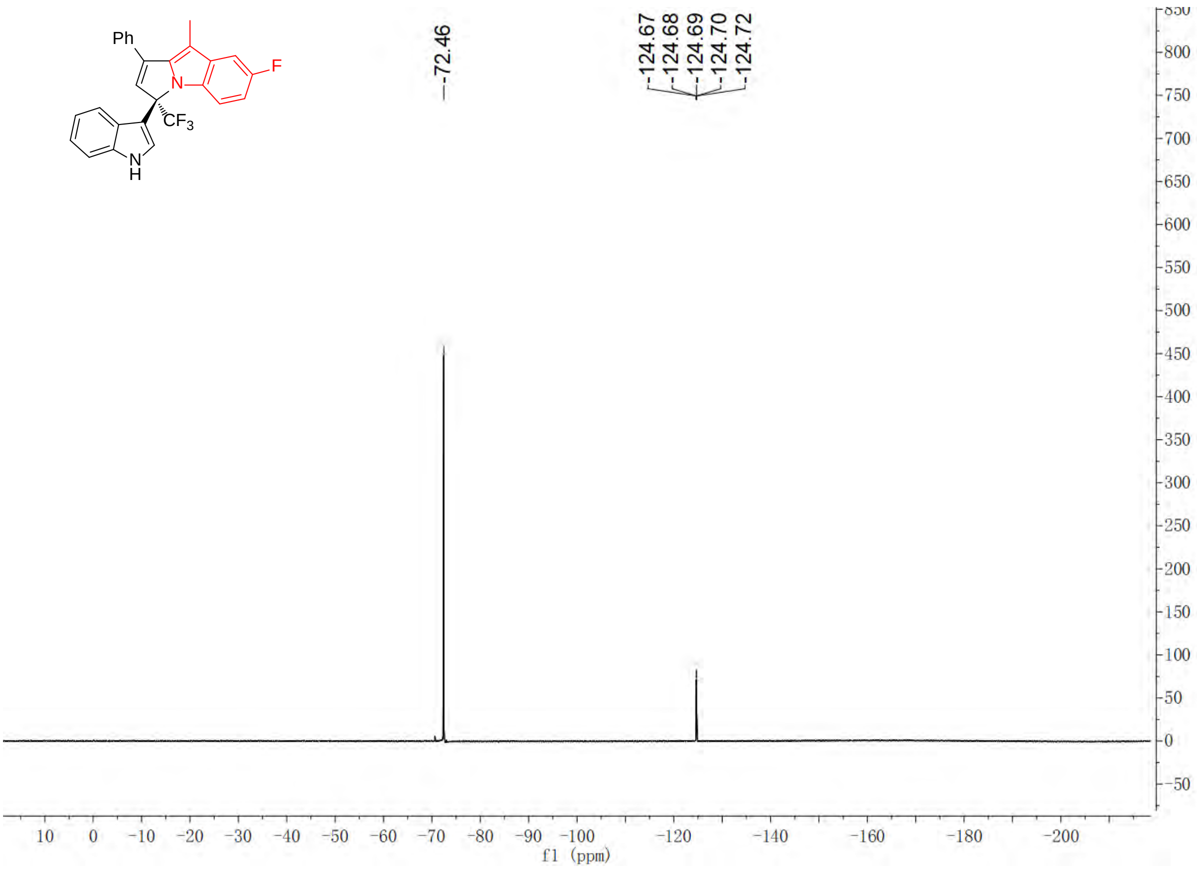
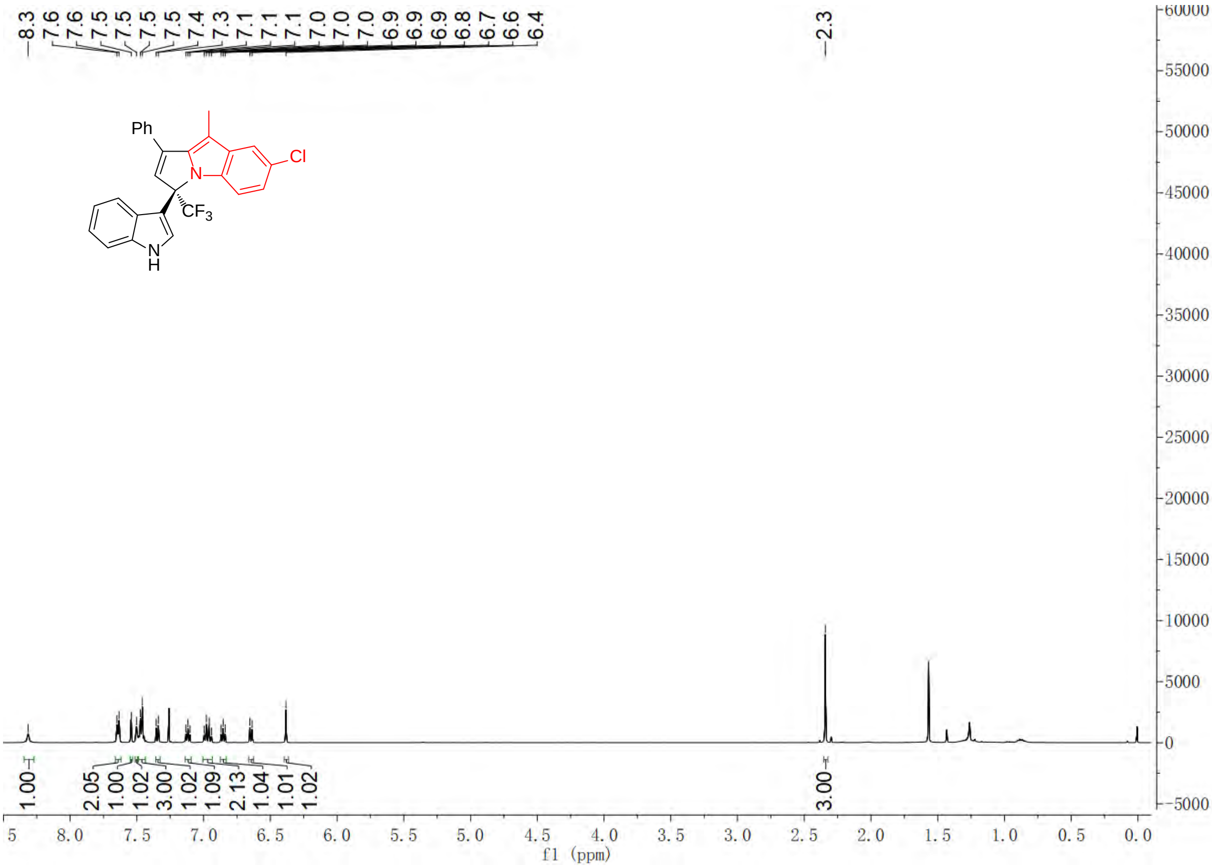


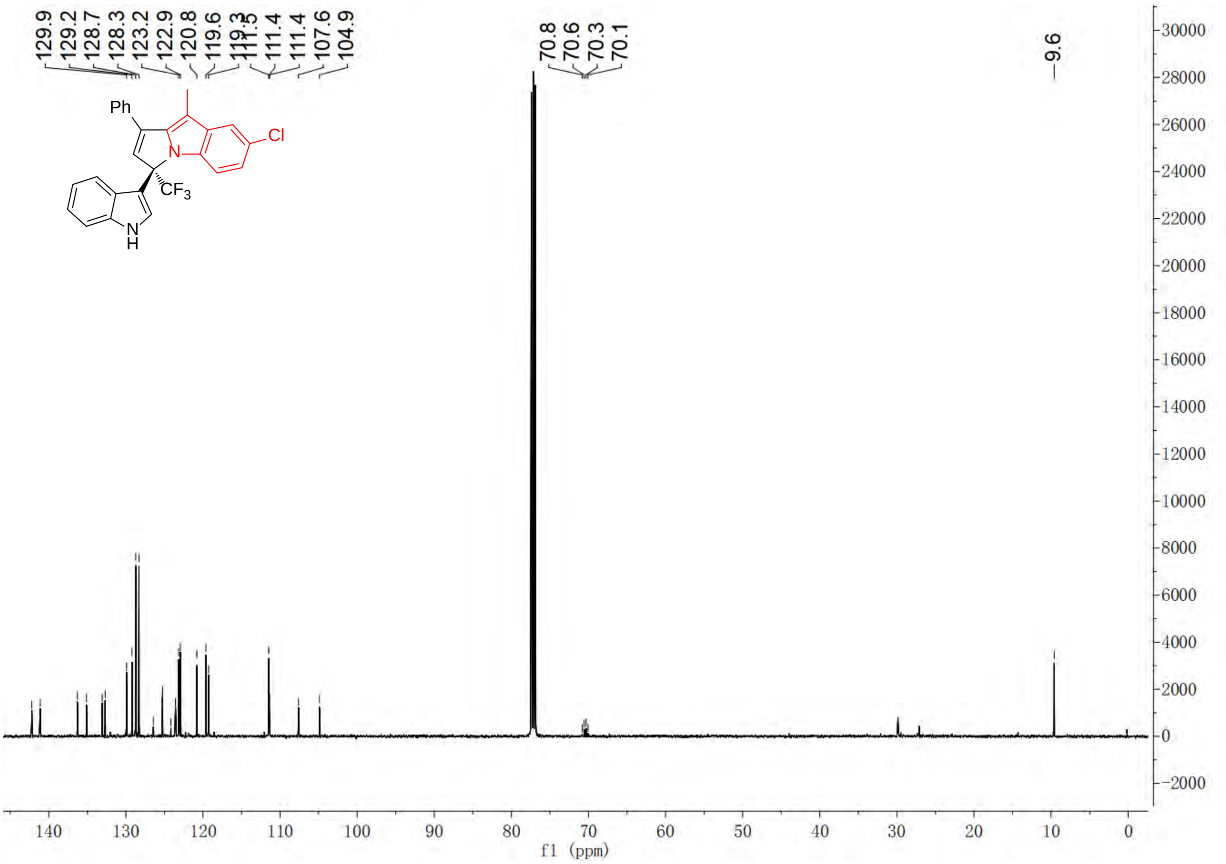
^{19}F NMR of compound 7c (in $CDCl_3$)



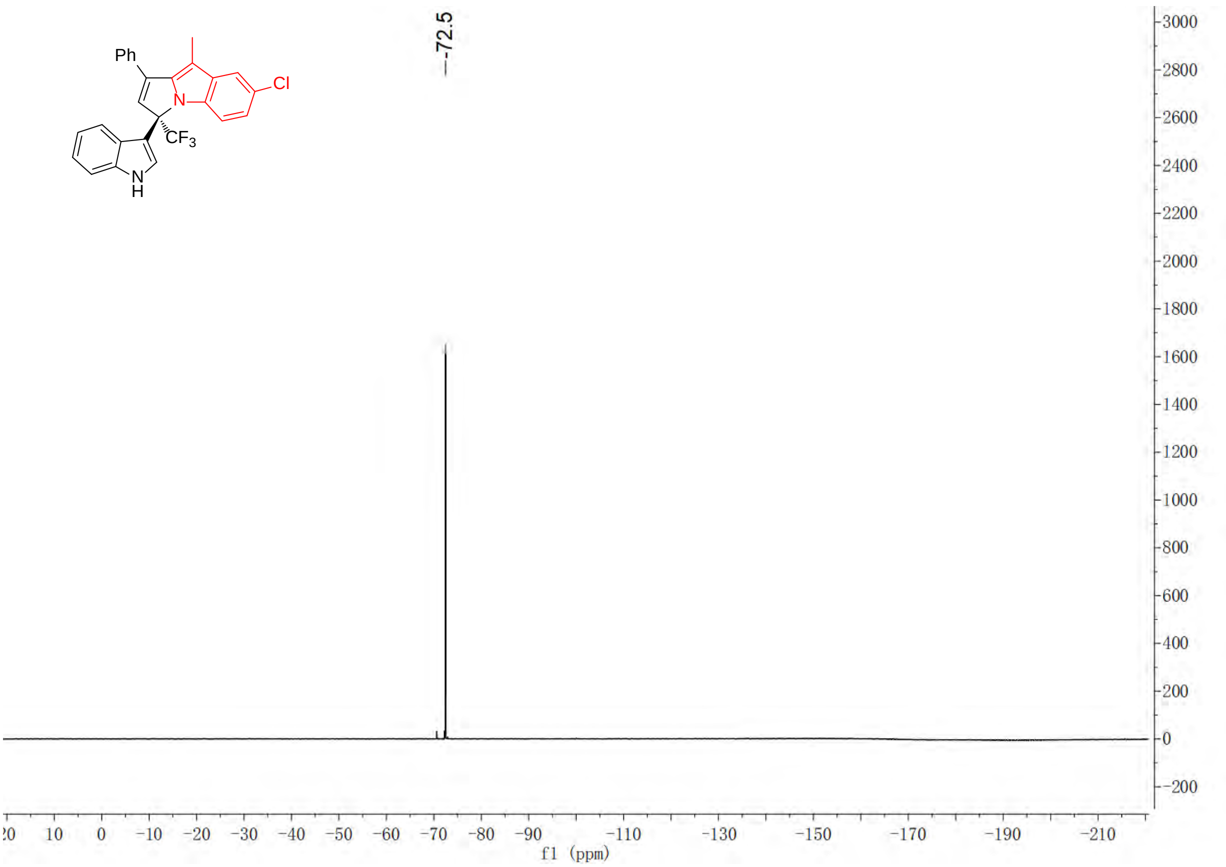
^{1}H NMR of compound 7d (in $CDCl_3$)



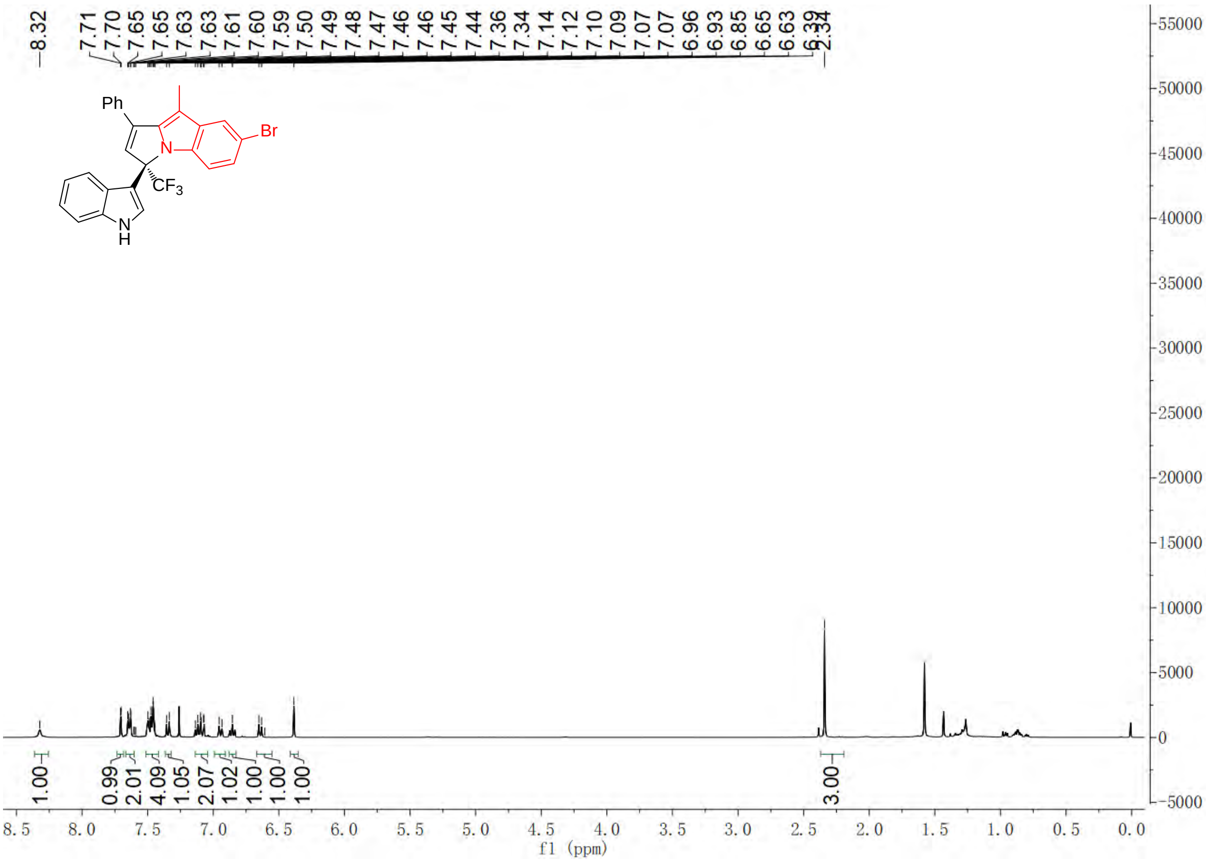
^{13}C NMR of compound 7d (in $CDCl_3$)



^{19}F NMR of compound 7d (in $CDCl_3$)



^{1}H NMR of compound 7e (in $CDCl_3$)



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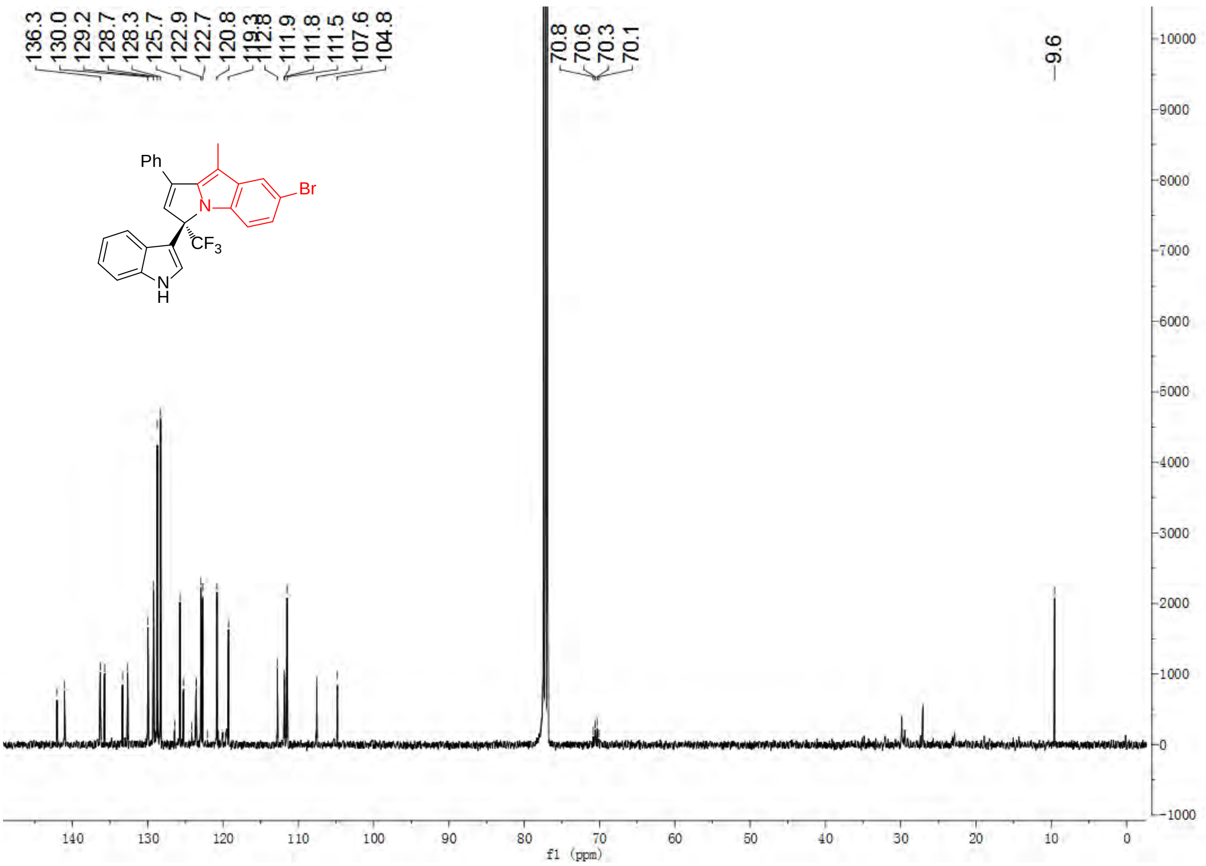
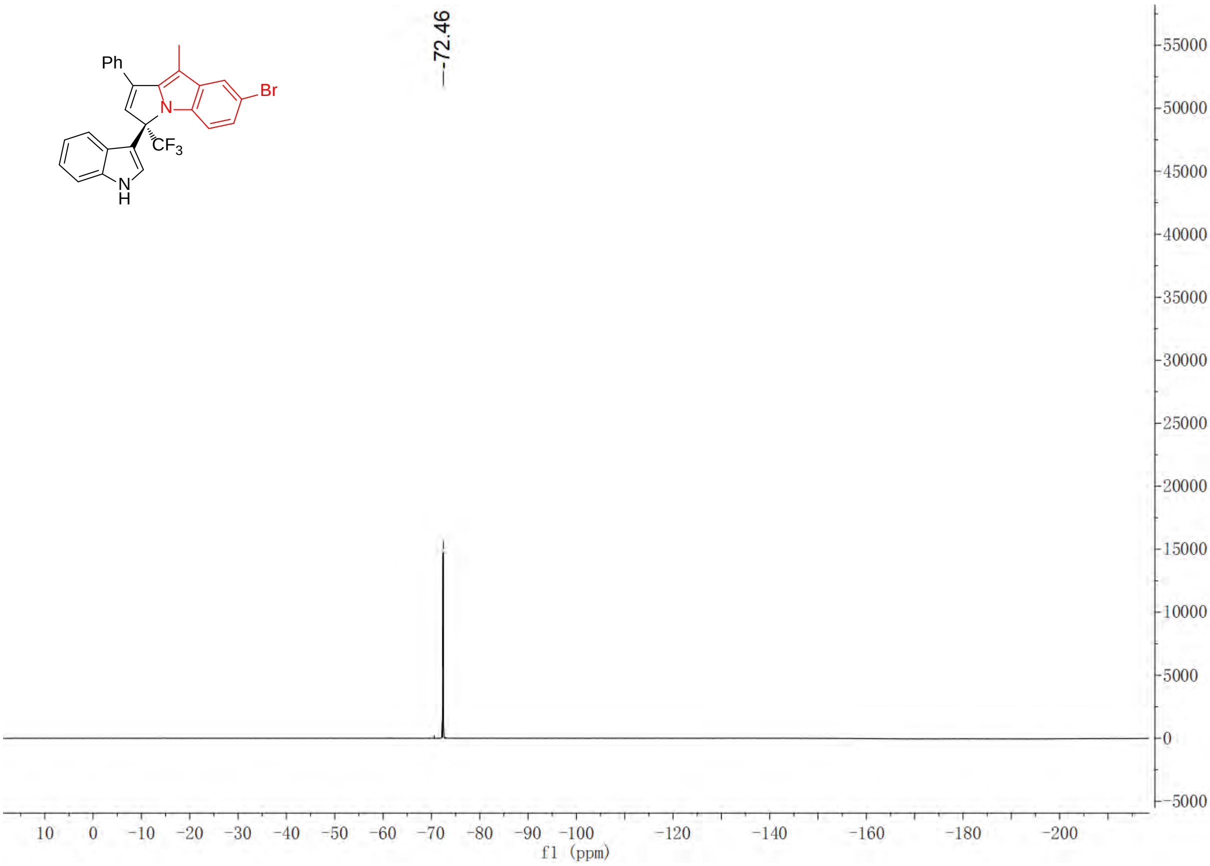


^{19}F NMR of compound 7e (in CDCl$_3$)



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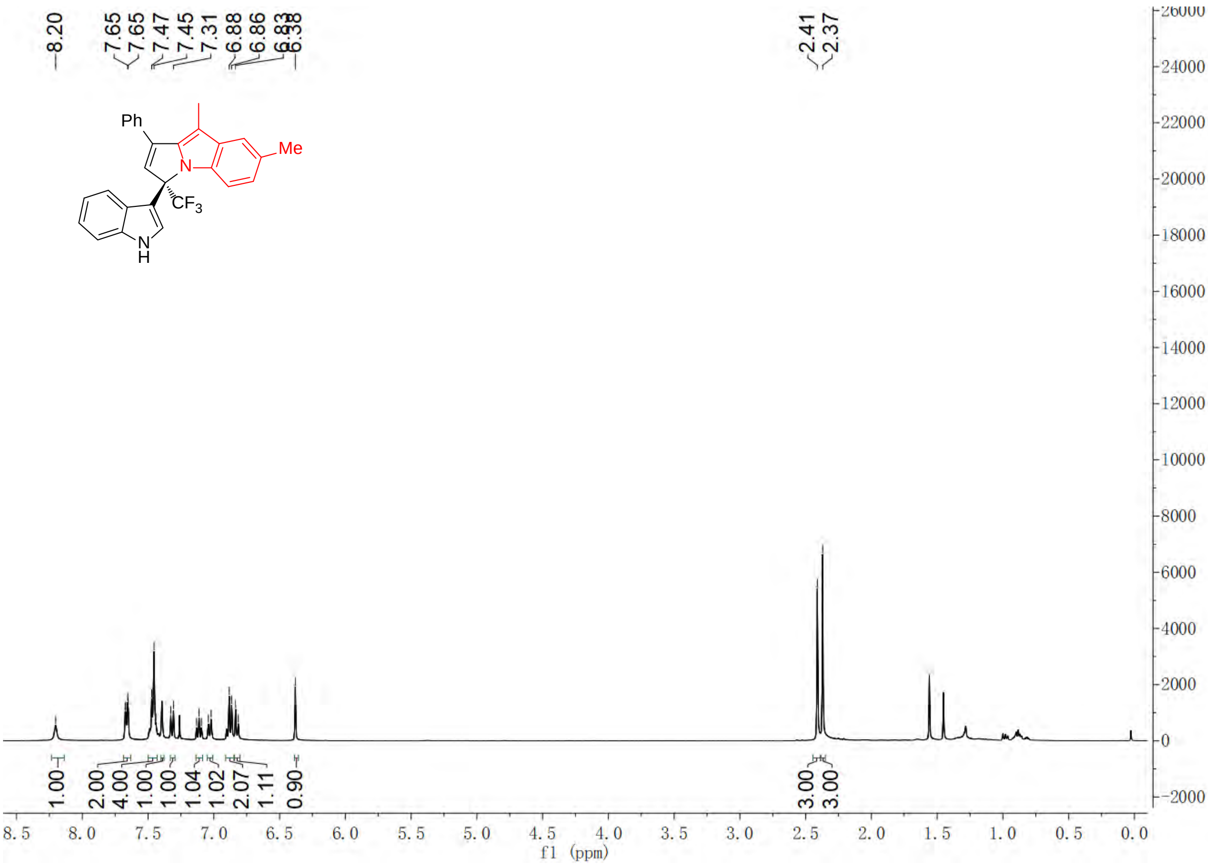

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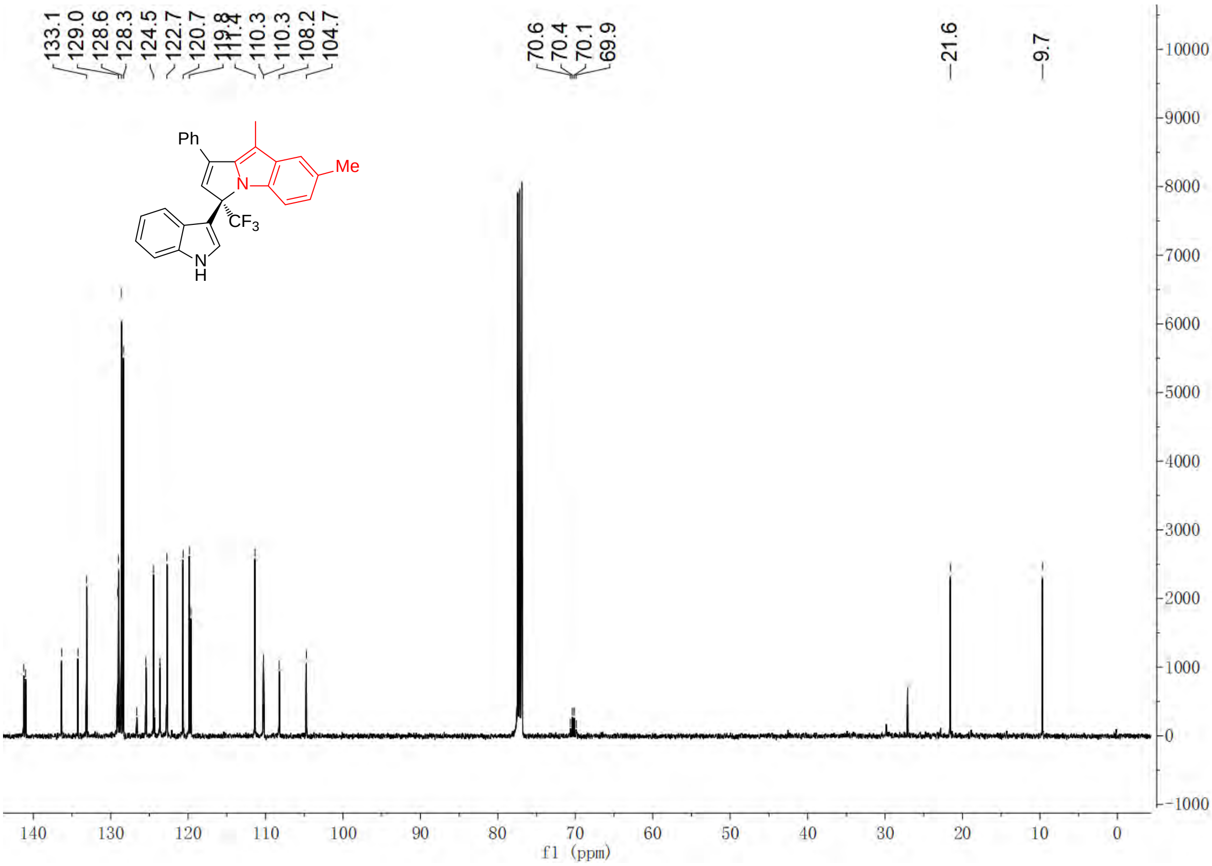
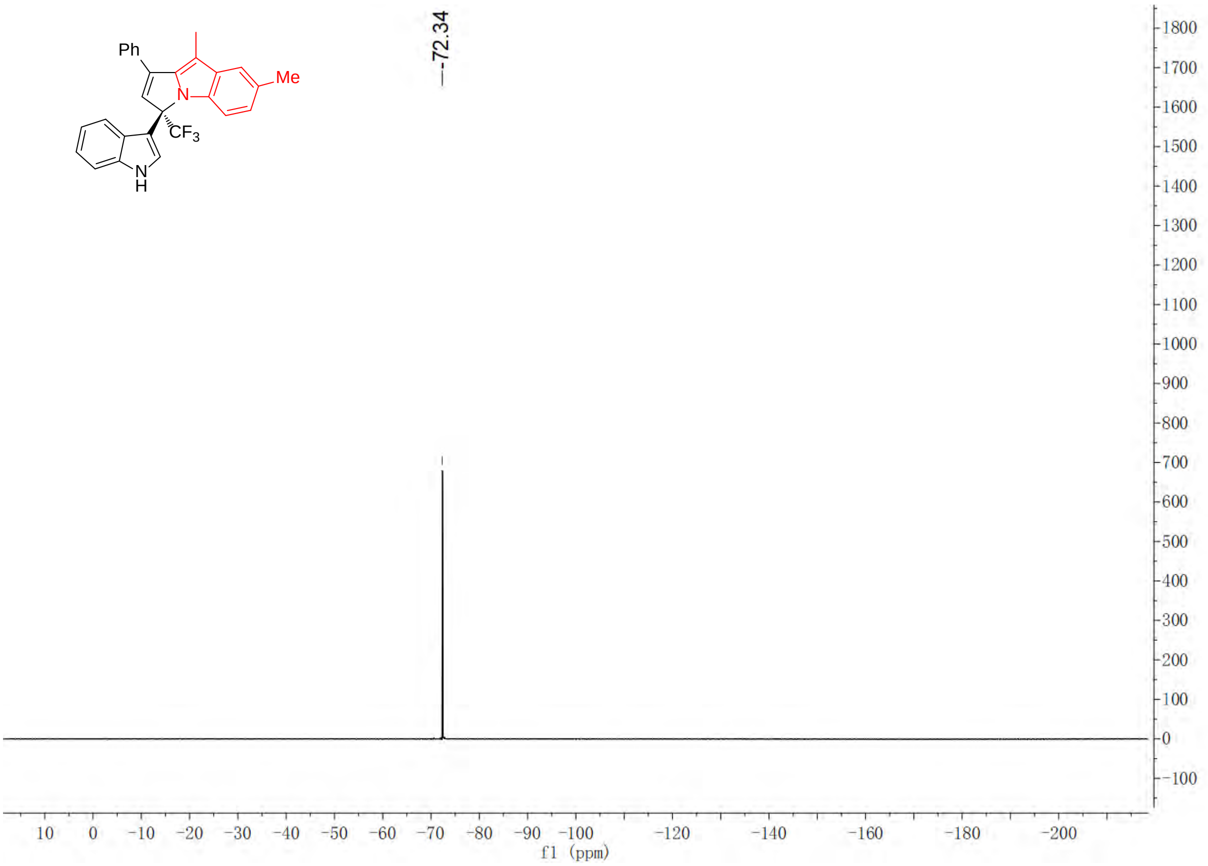
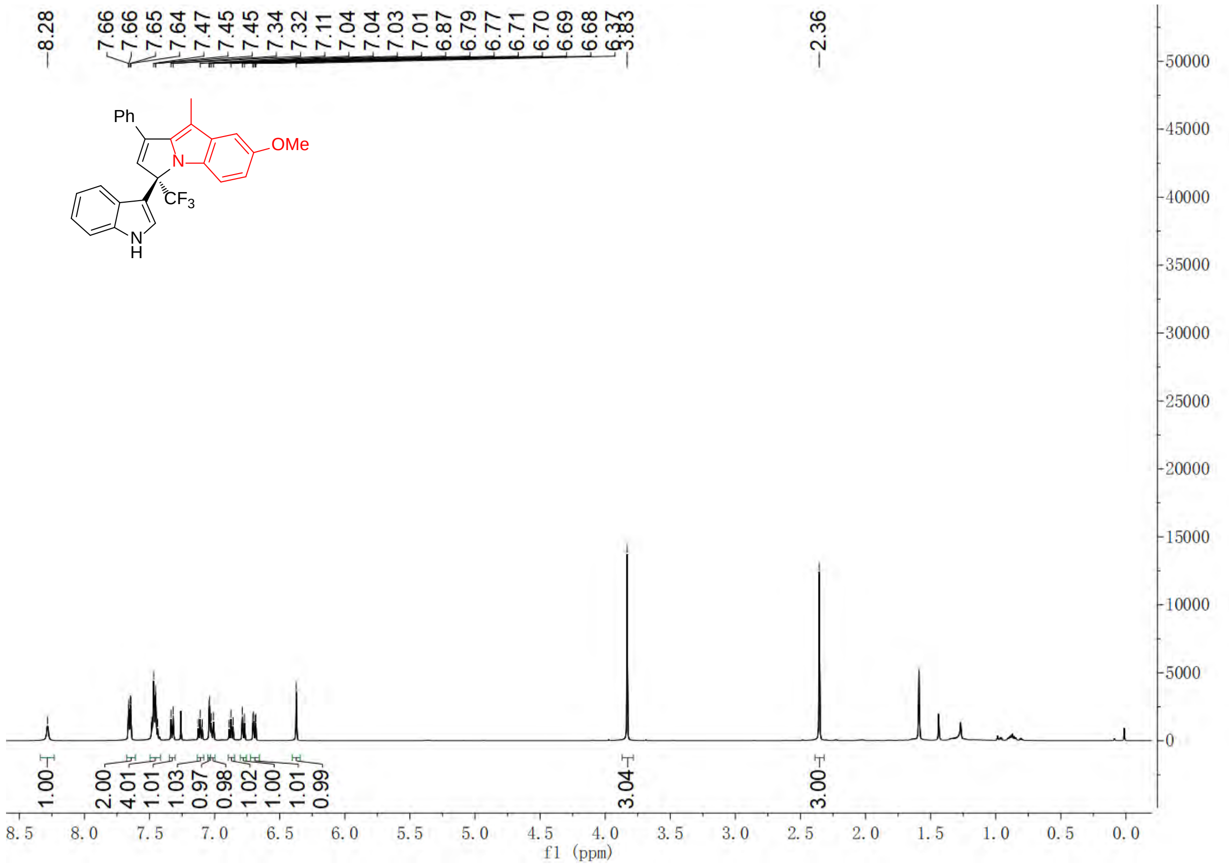


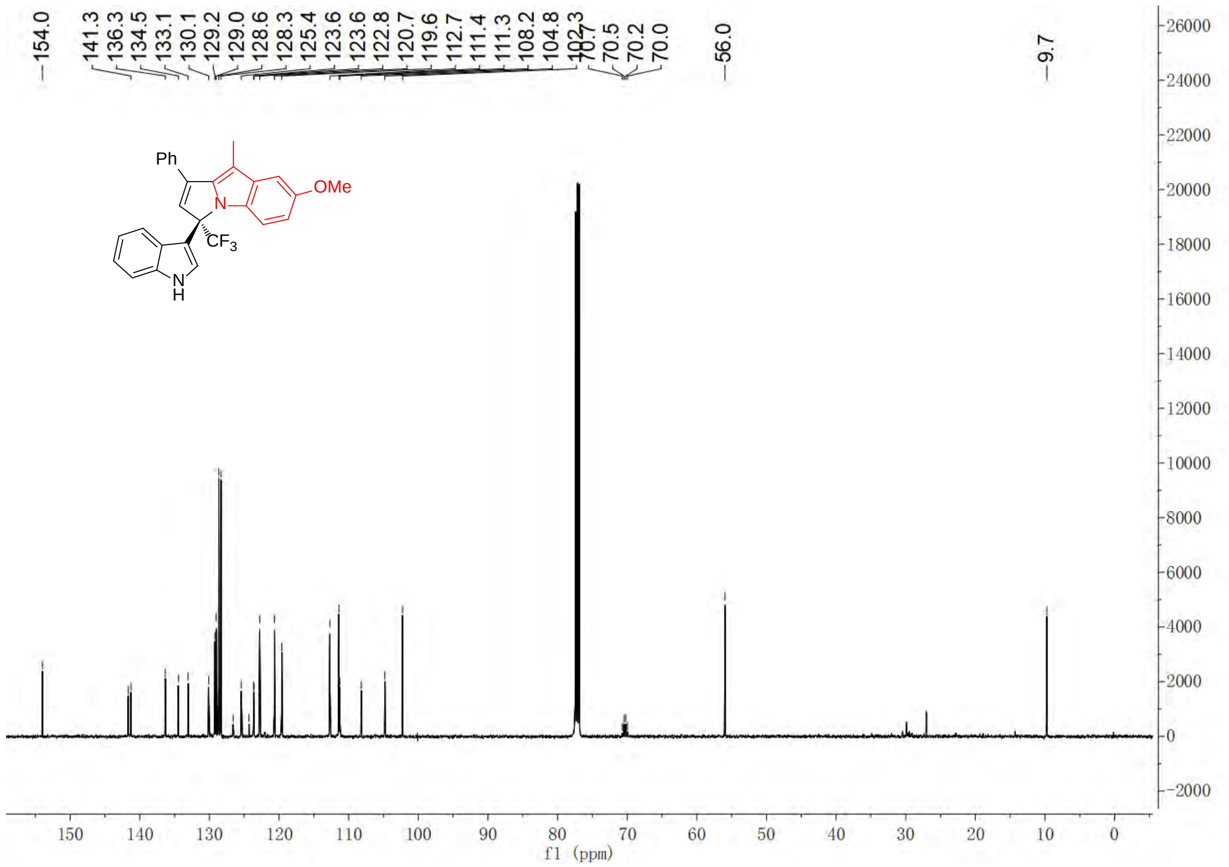
^{19}F NMR of compound 7f (in $CDCl_3$)



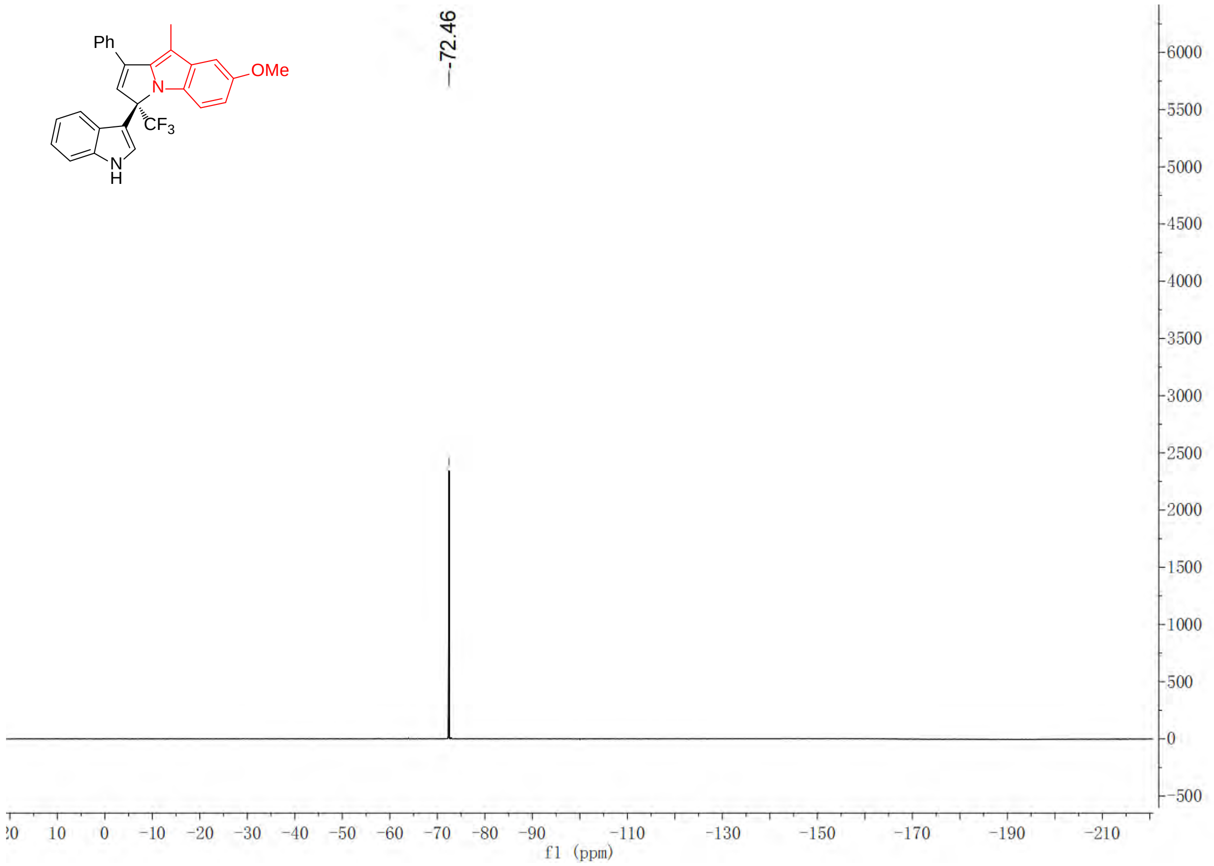
^{1}H NMR of compound 7g (in $CDCl_3$)



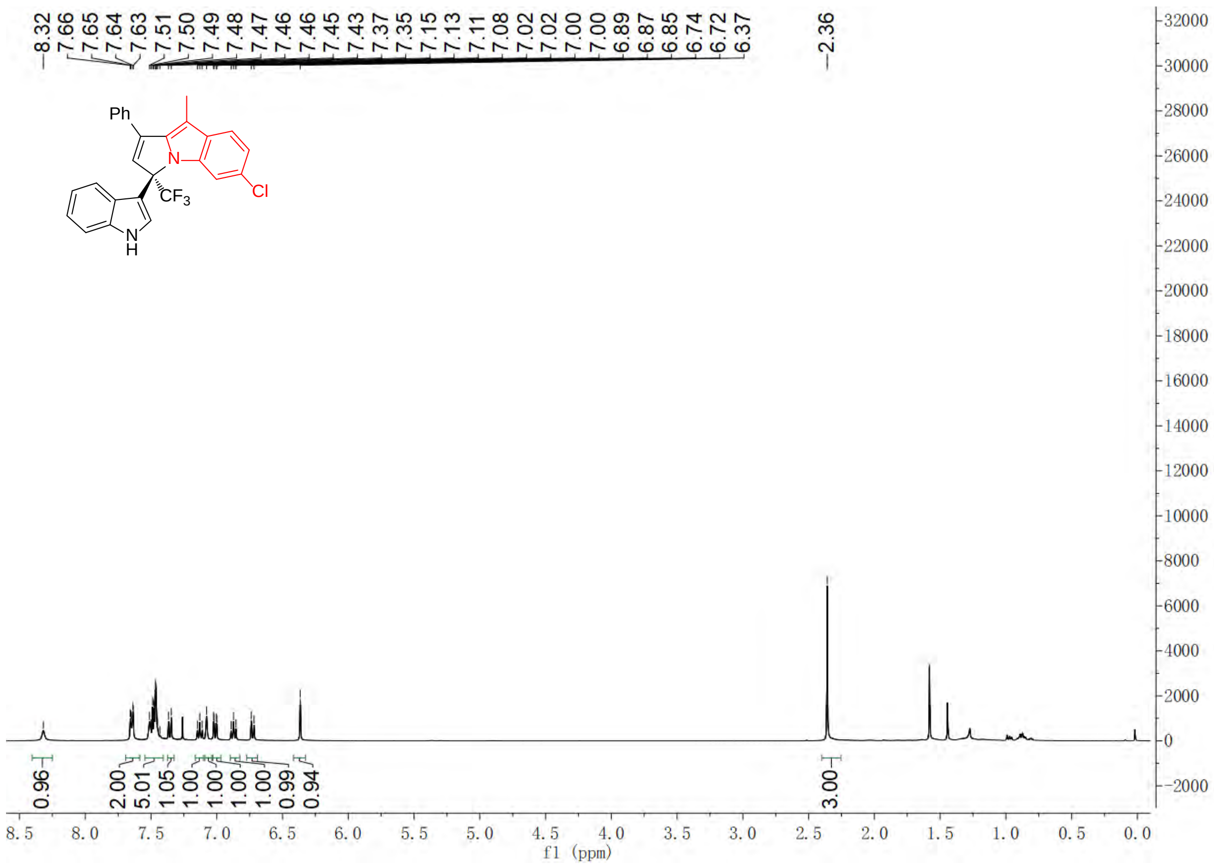
^{13}C NMR of compound 7g (in $CDCl_3$)



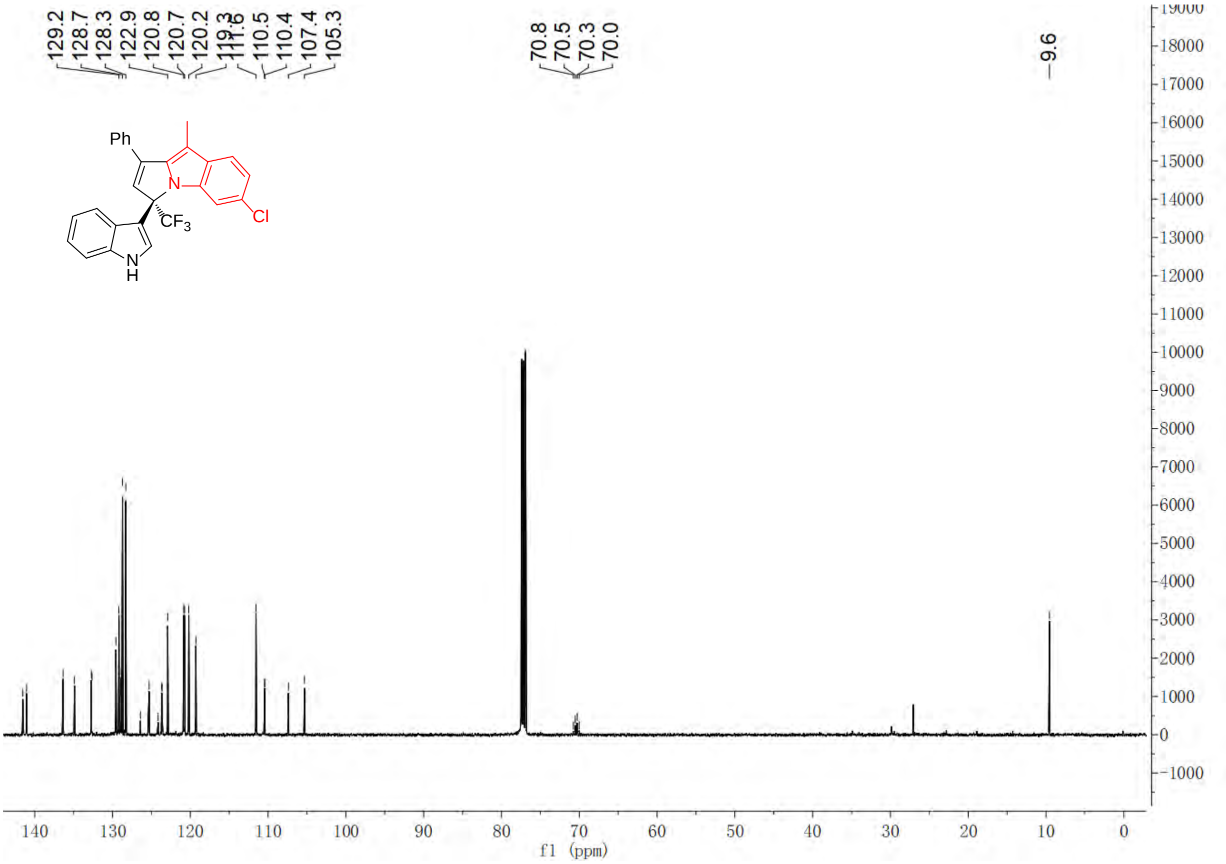
[19]F NMR of compound 7g (in $CDCl_3$)



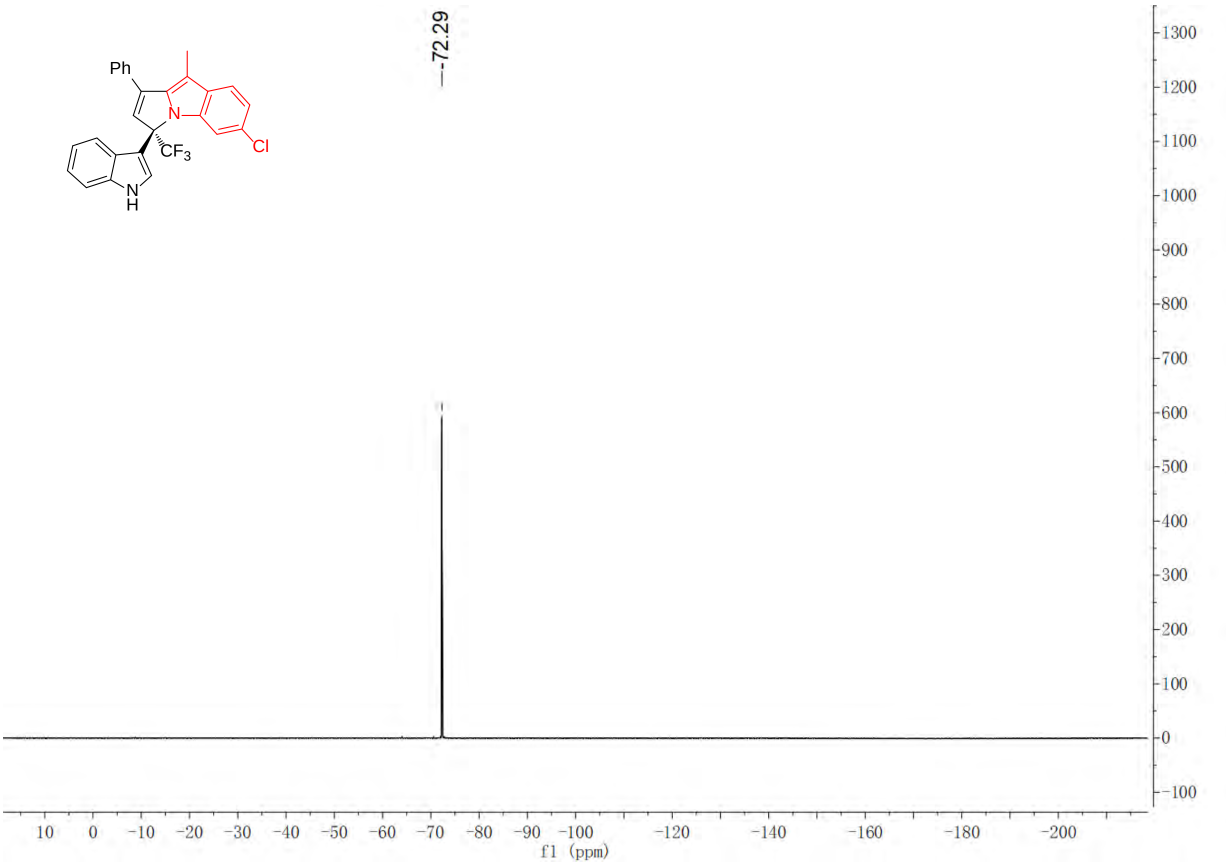
[1]H NMR of compound 7h (in $CDCl_3$)



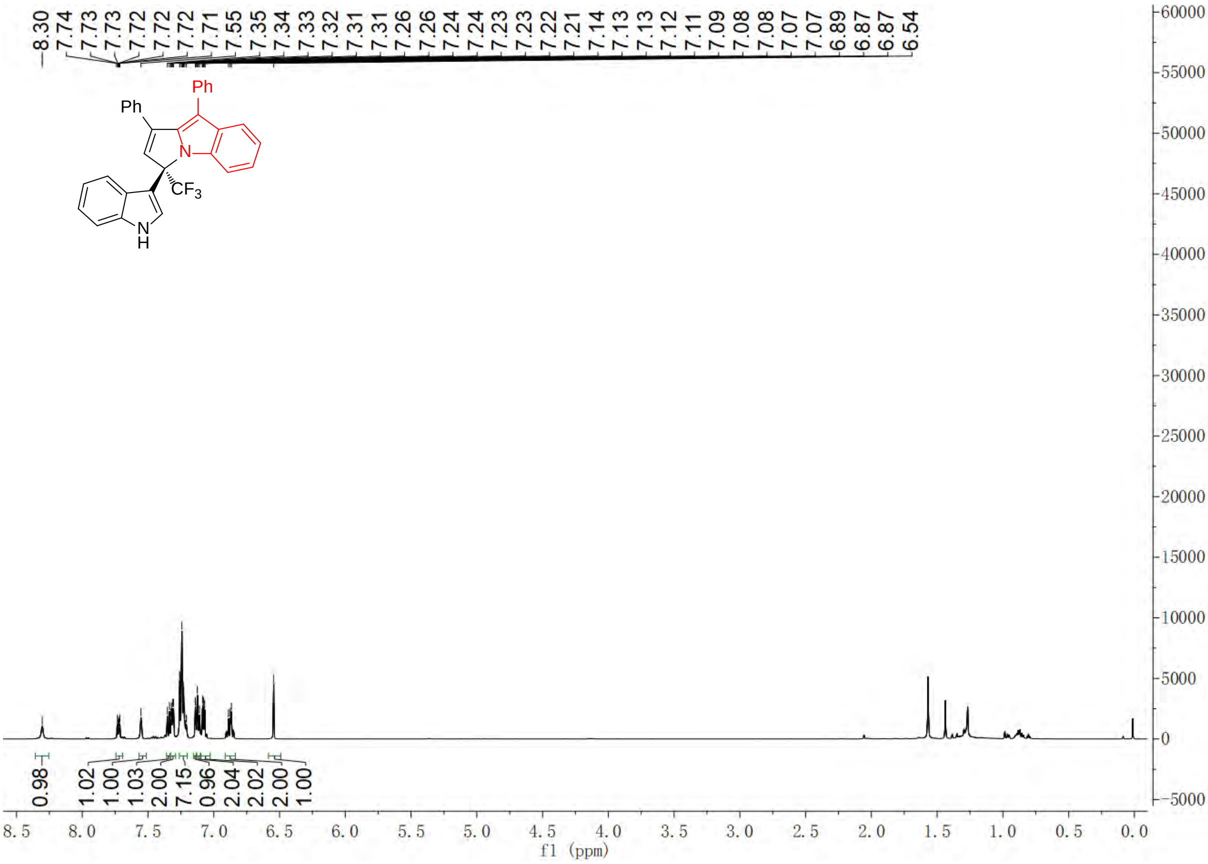
^{13}C NMR of compound 7h (in CDCl$_3$)



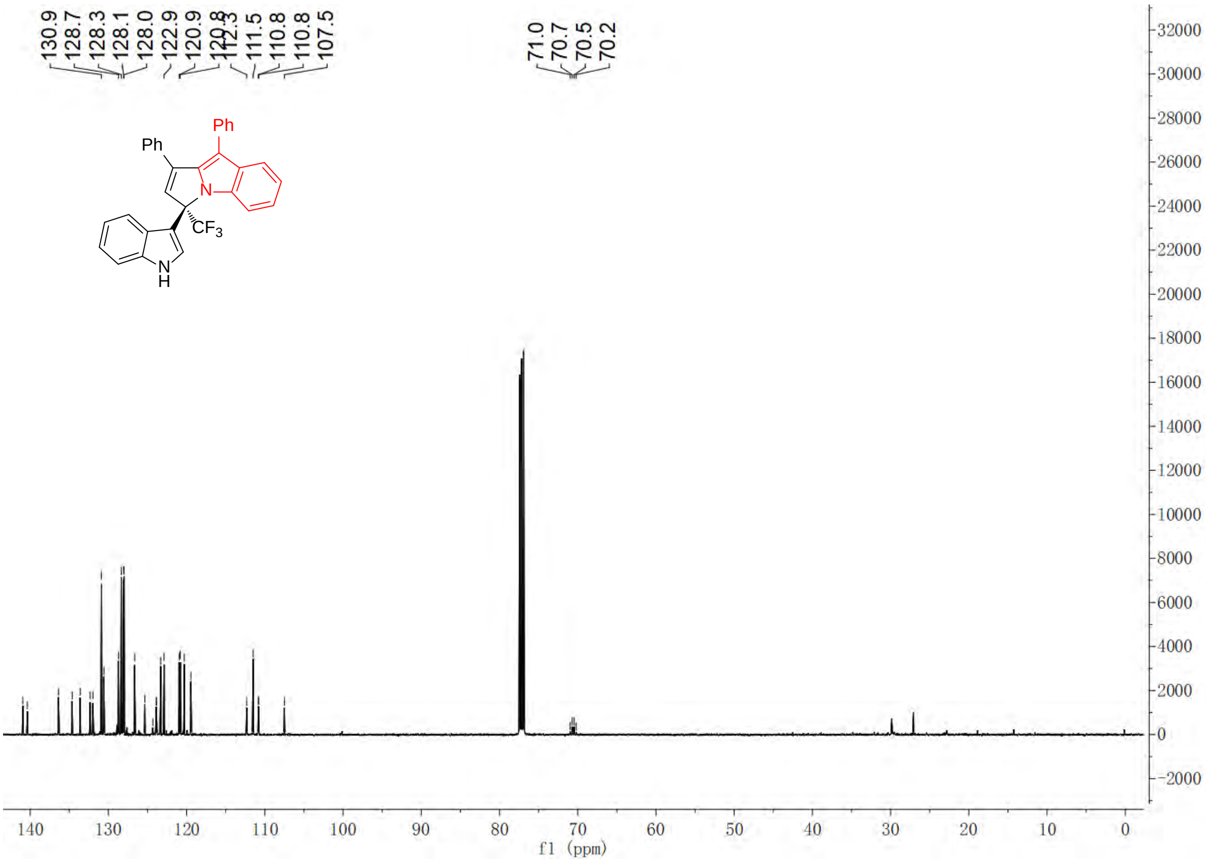
^{19}F NMR of compound 7h (in CDCl$_3$)



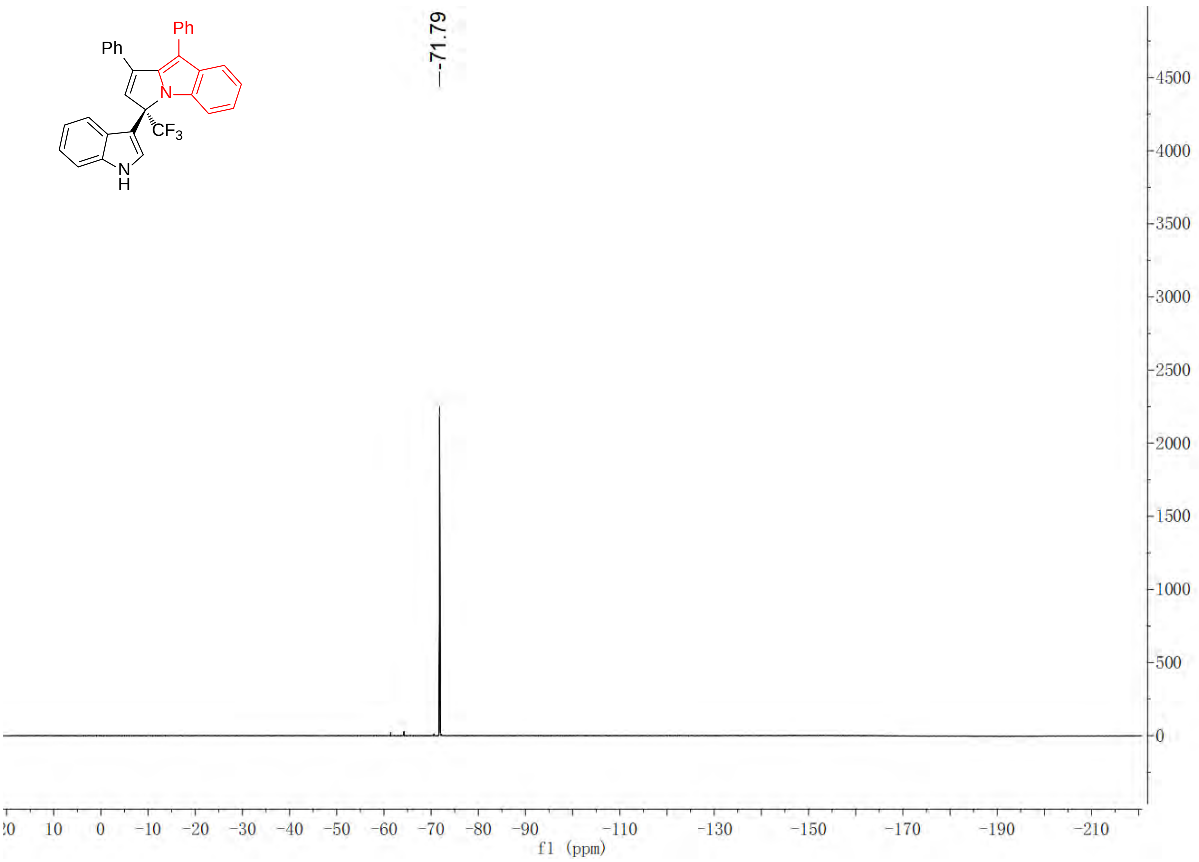
^{1}H NMR of compound 7i (in $CDCl_3$)



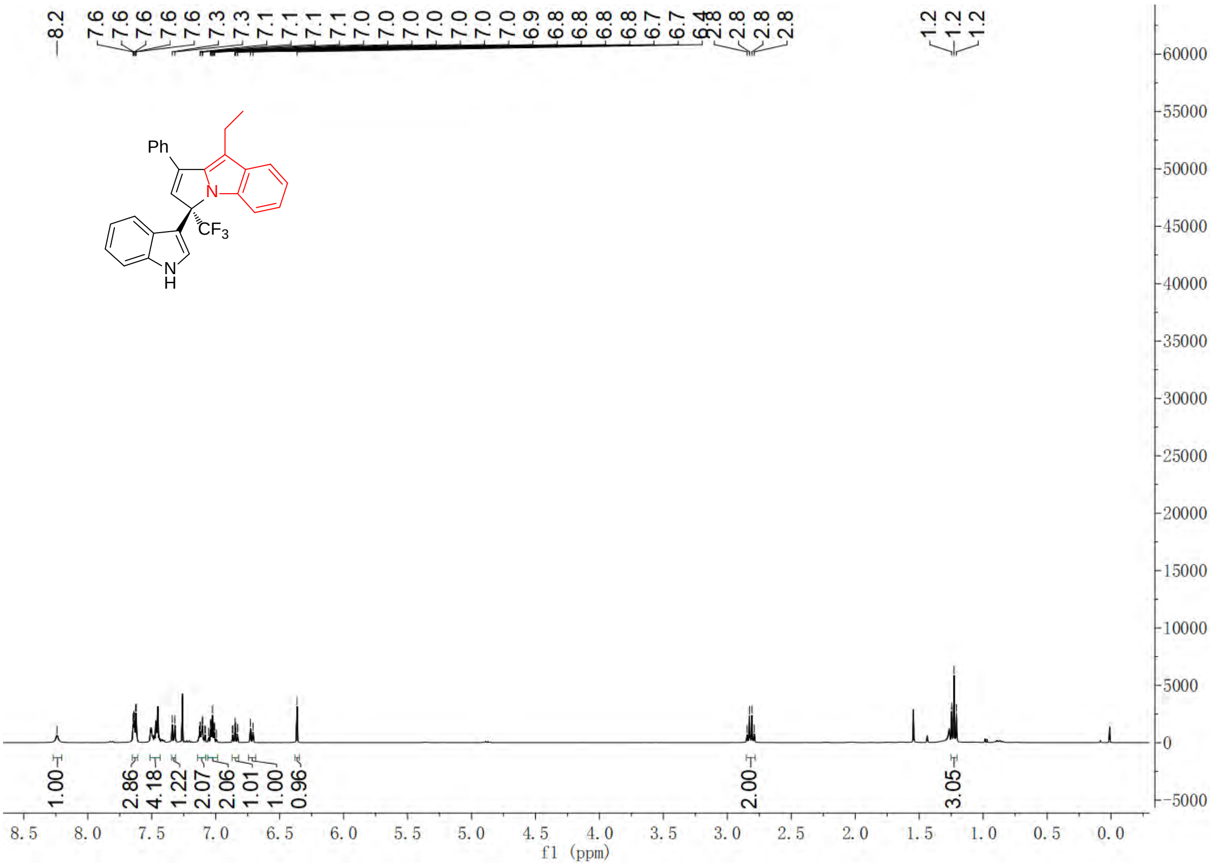
^{13}C NMR of compound 7i (in $CDCl_3$)



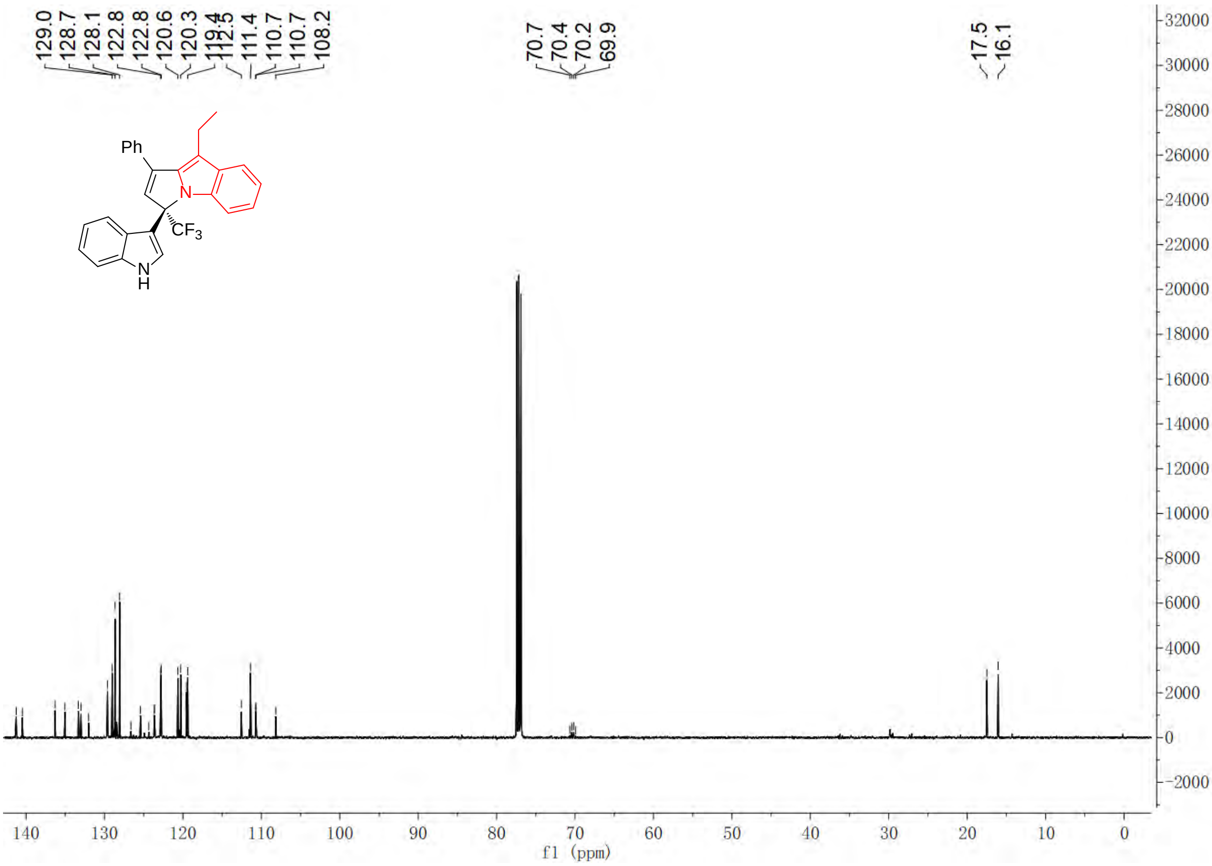
^{19}F NMR of compound 7i (in CDCl$_3$)



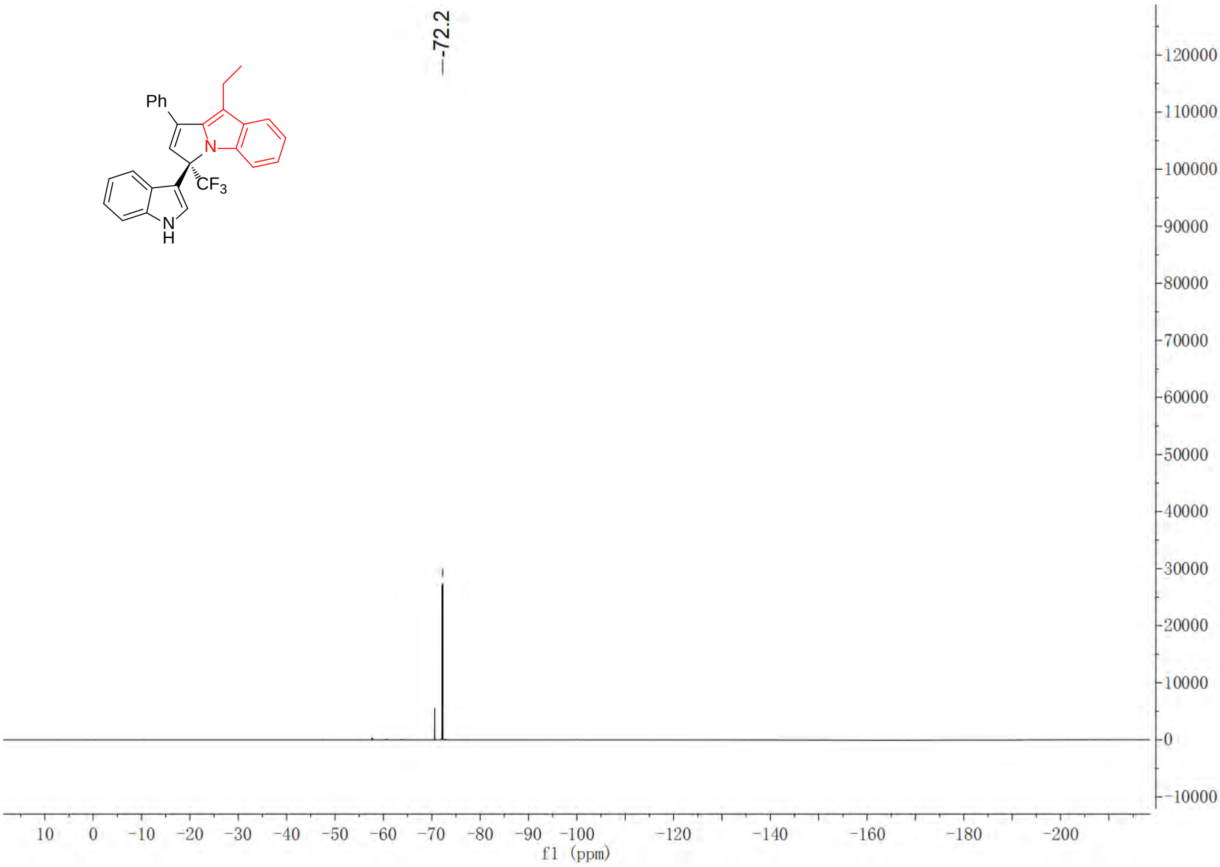
^{1}H NMR of compound 7j (in CDCl$_3$)



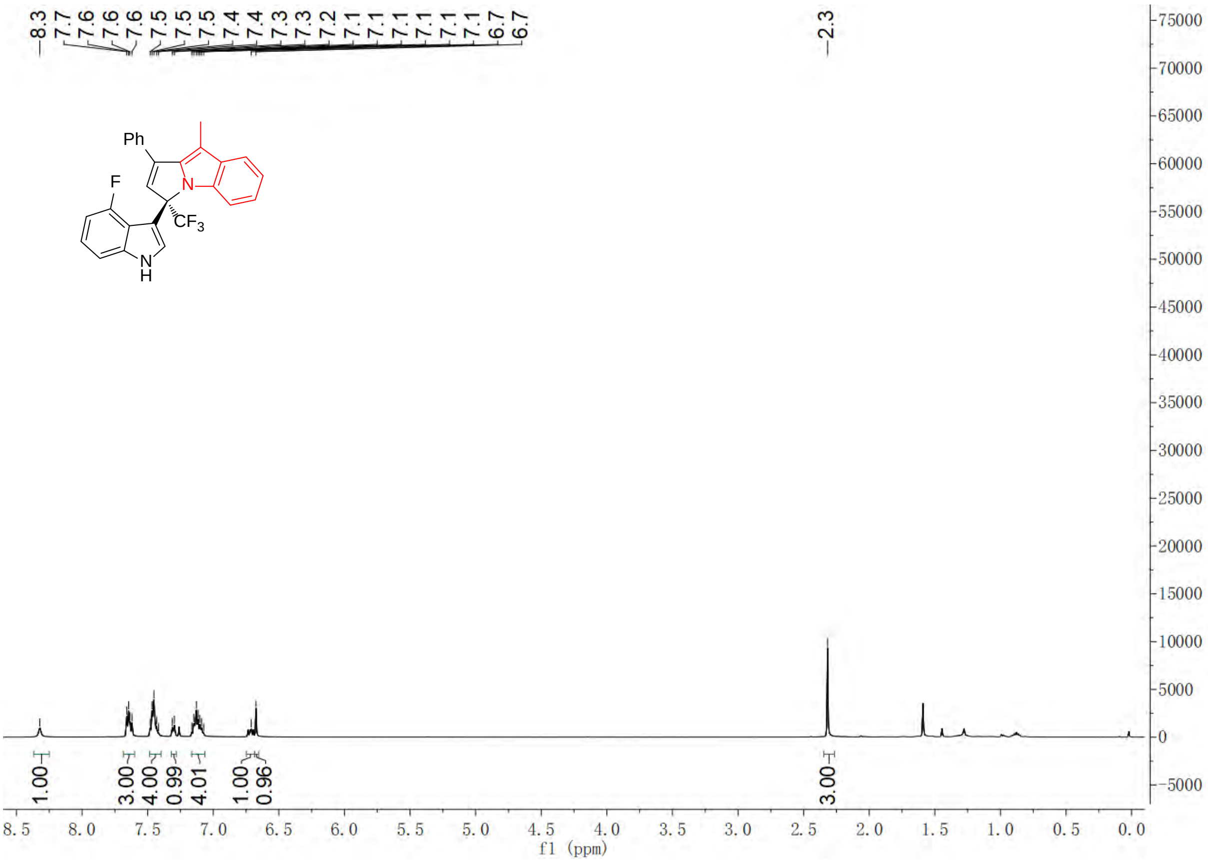
^{13}C NMR of compound 7j (in $CDCl_3$)



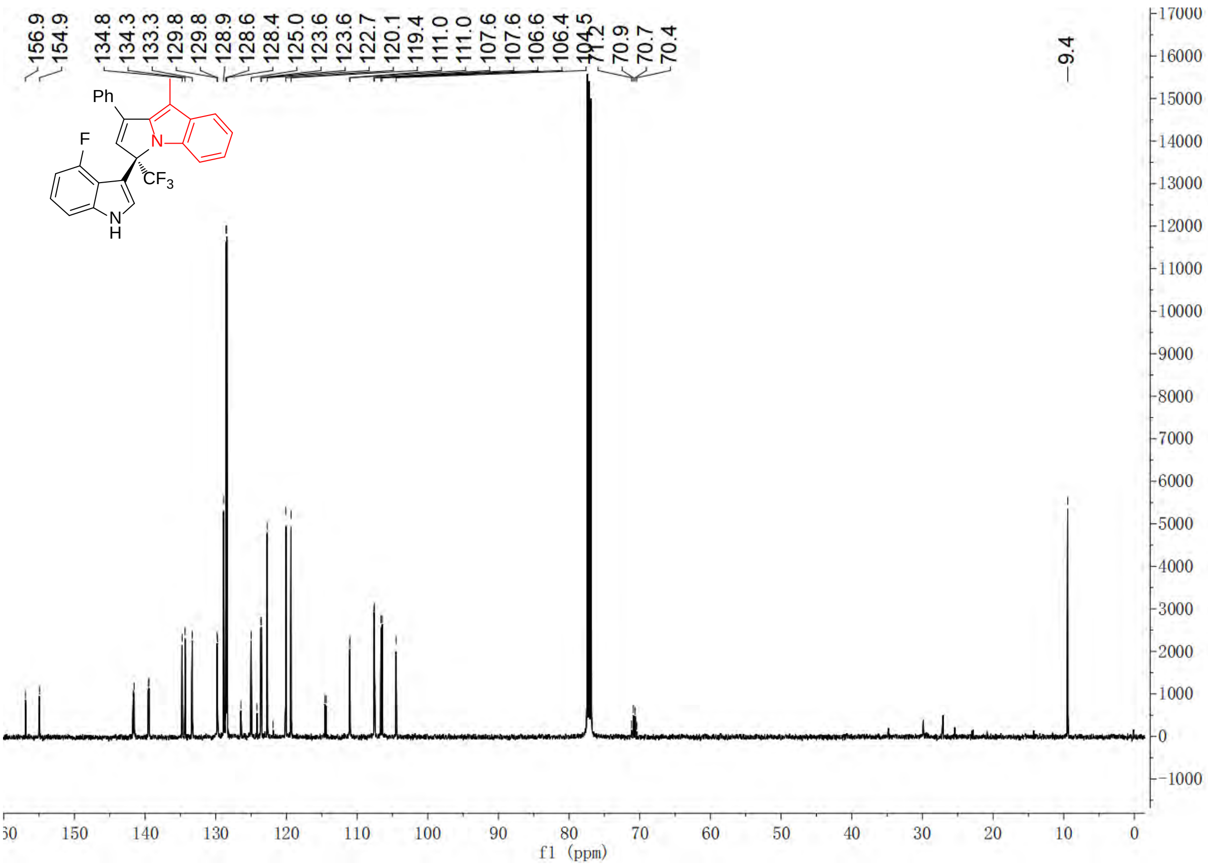
^{19}F NMR of compound 7j (in $CDCl_3$)



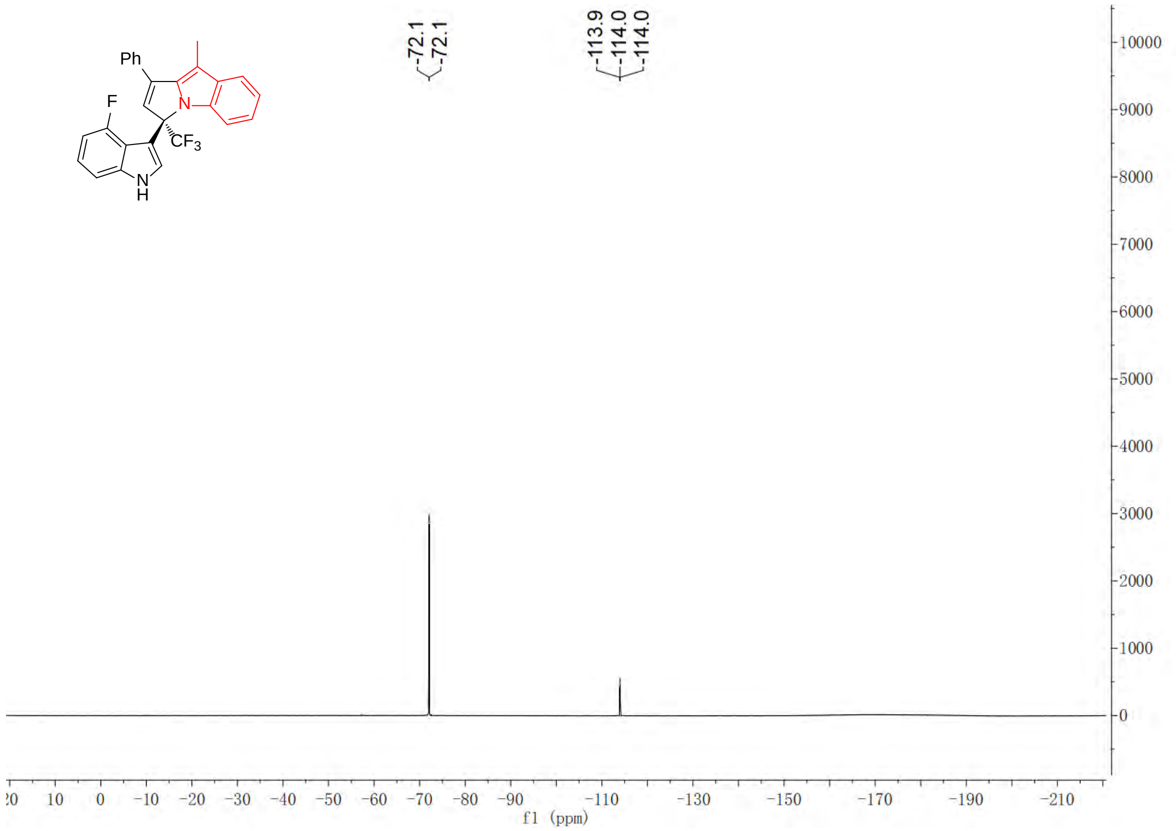
^{1}H NMR of compound 7k (in $CDCl_3$)



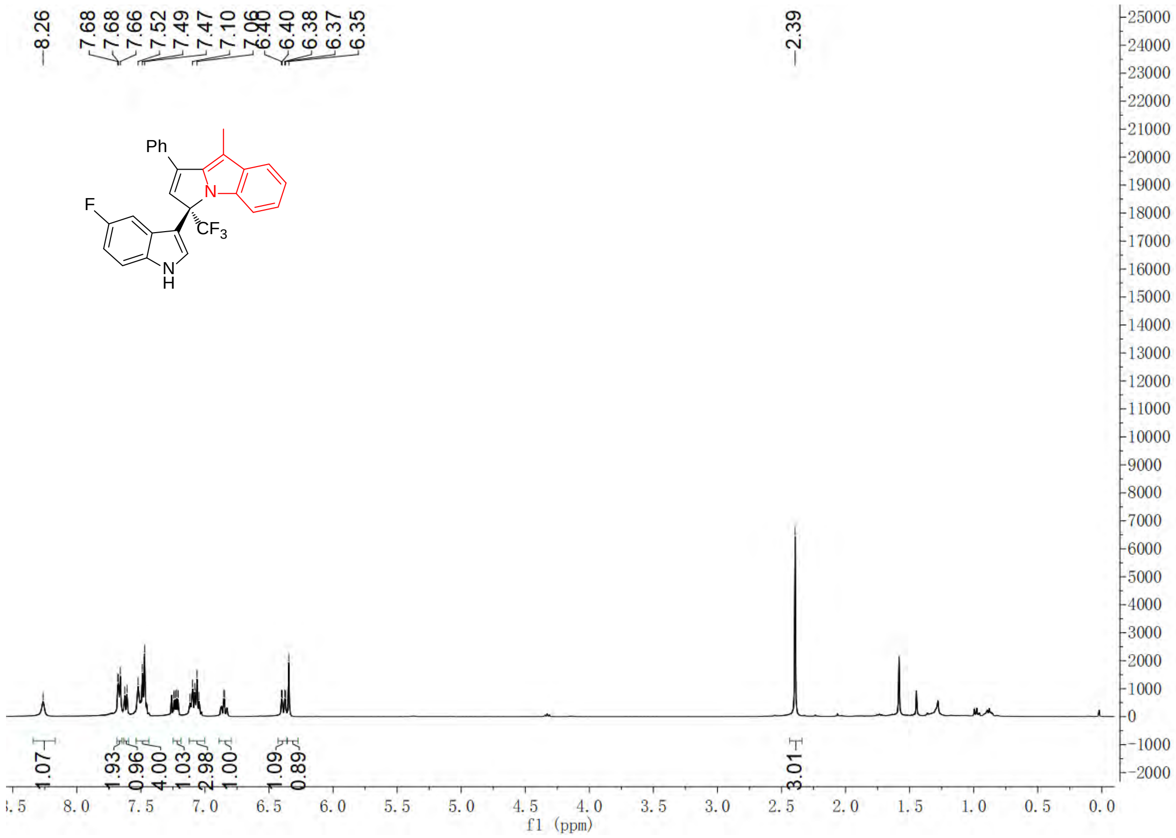
^{13}C NMR of compound 7k (in $CDCl_3$)



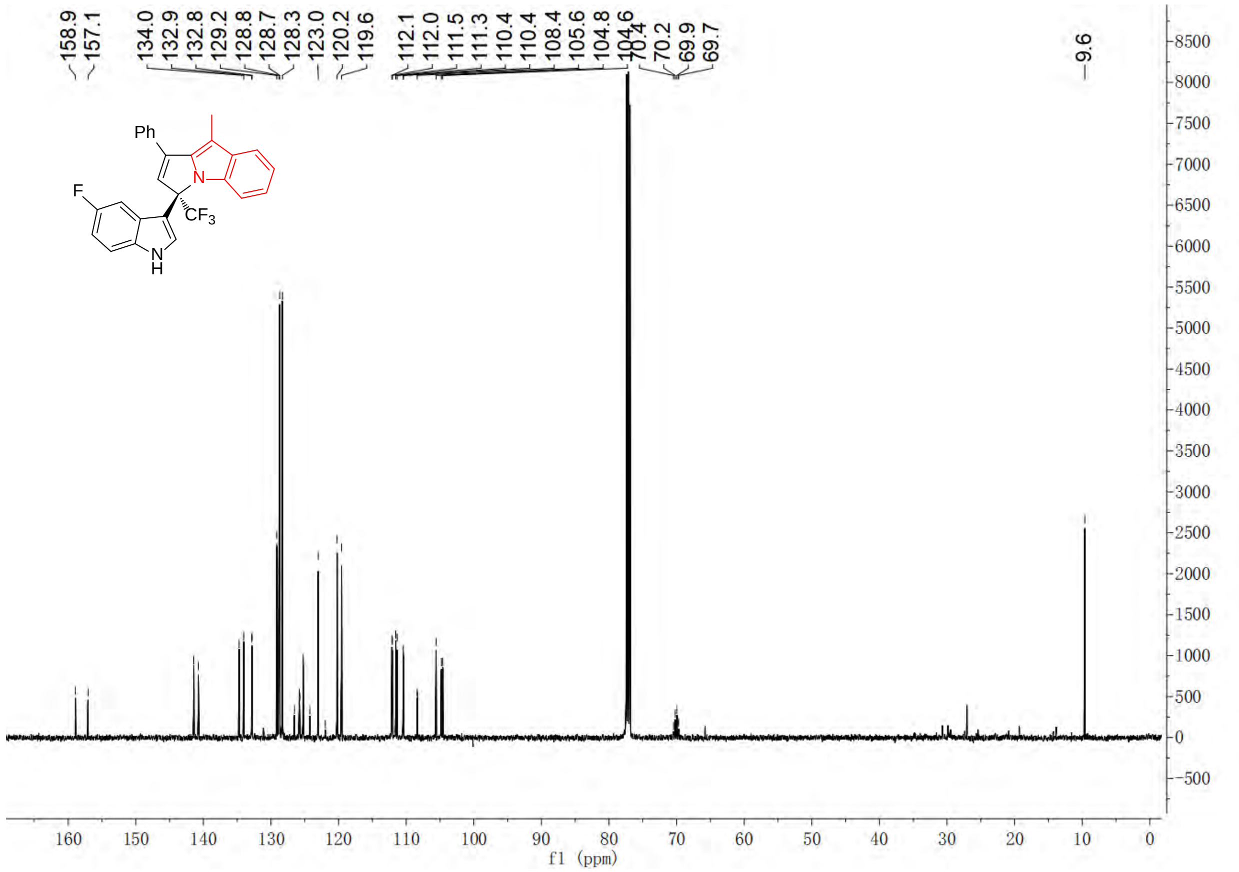
^{19}F NMR of compound 7k (in $CDCl_3$)



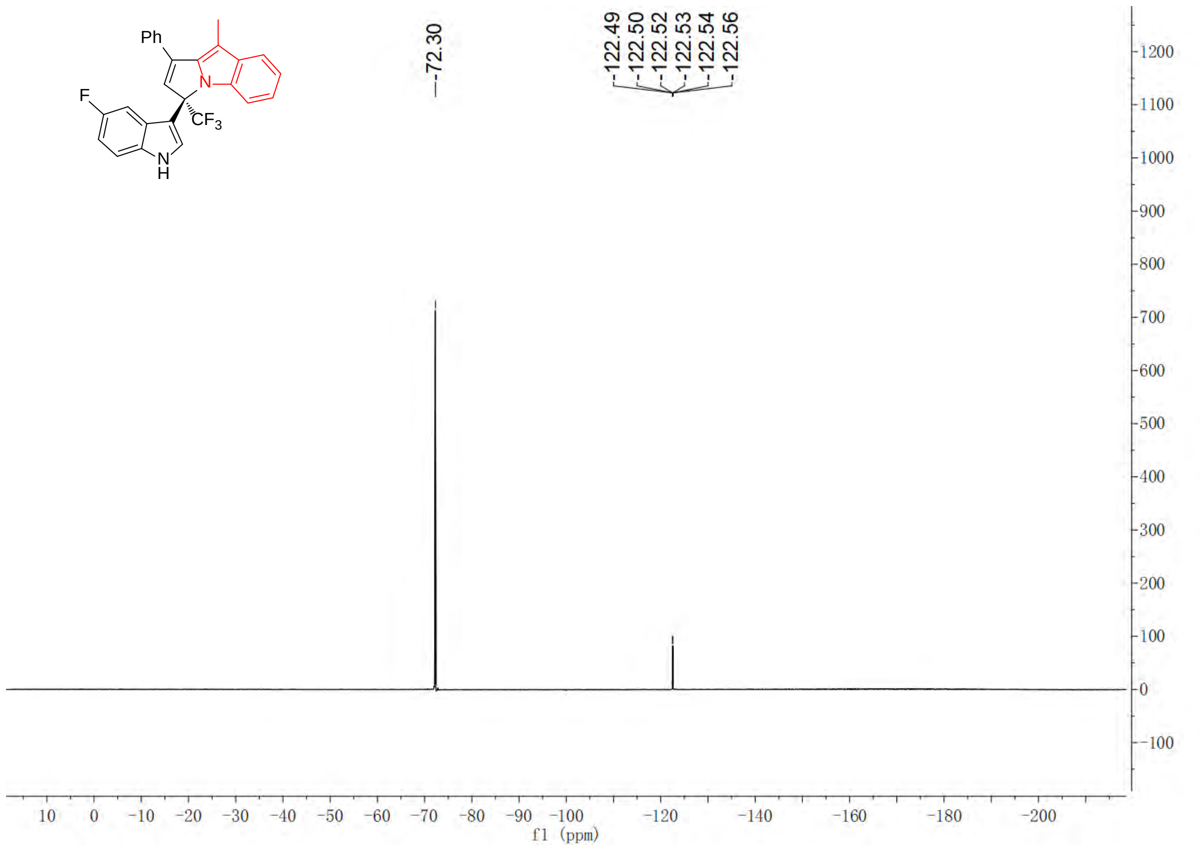
^{1}H NMR of compound 7l (in $CDCl_3$)



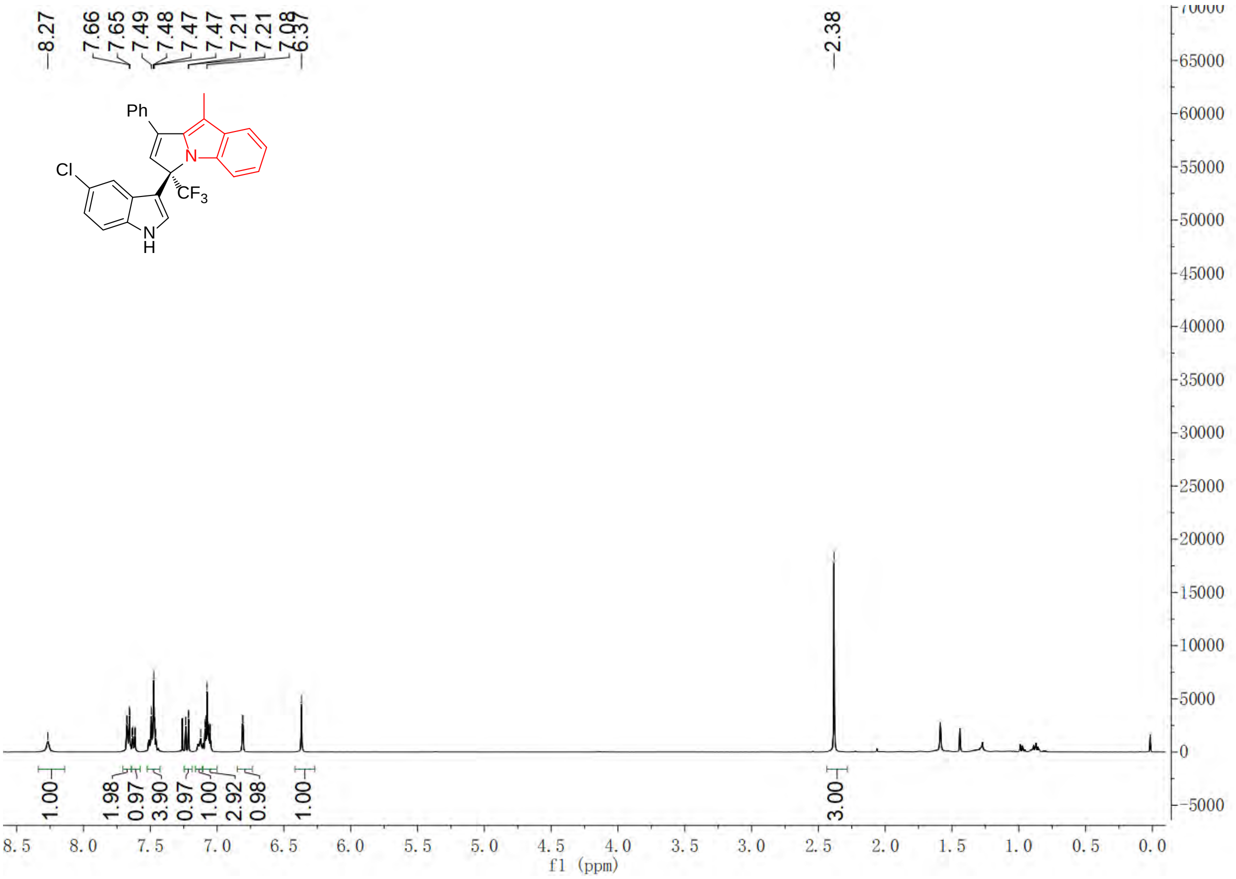
^{13}C NMR of compound 7l (in $CDCl_3$)



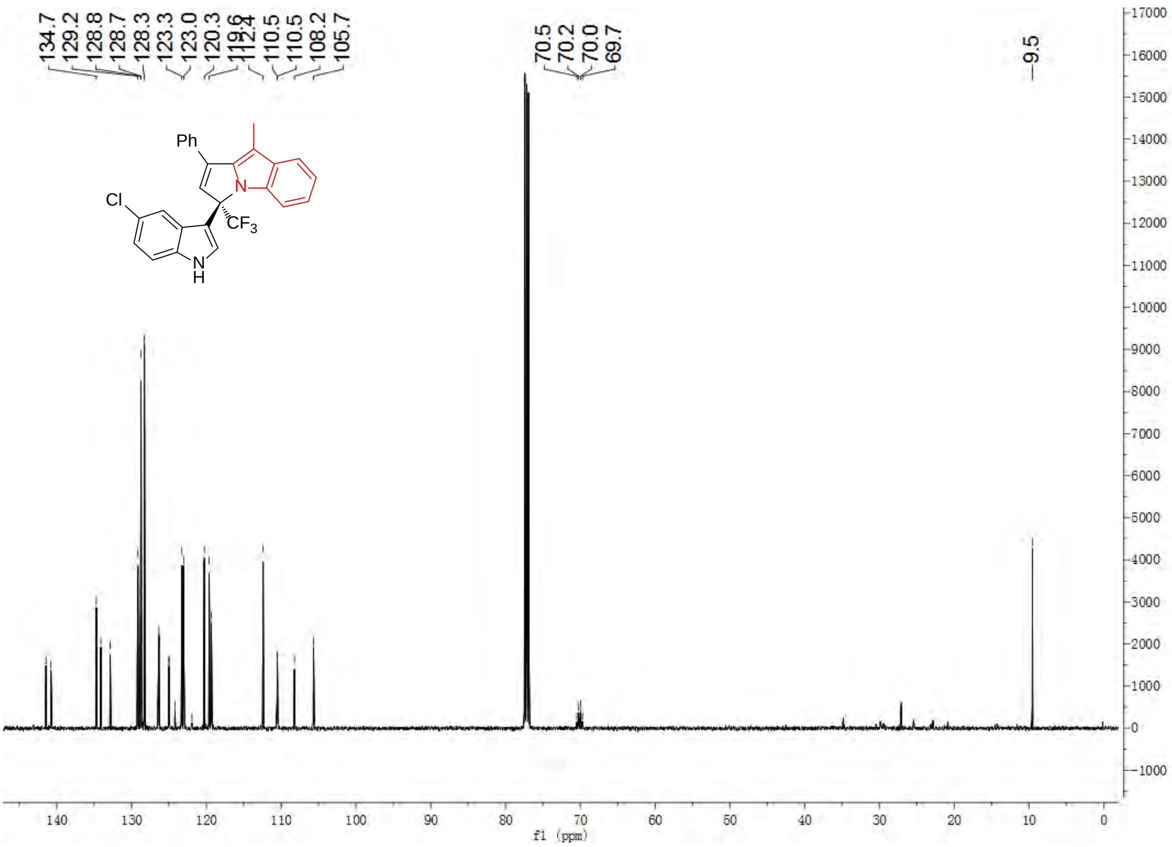
^{19}F NMR of compound 7l (in $CDCl_3$)



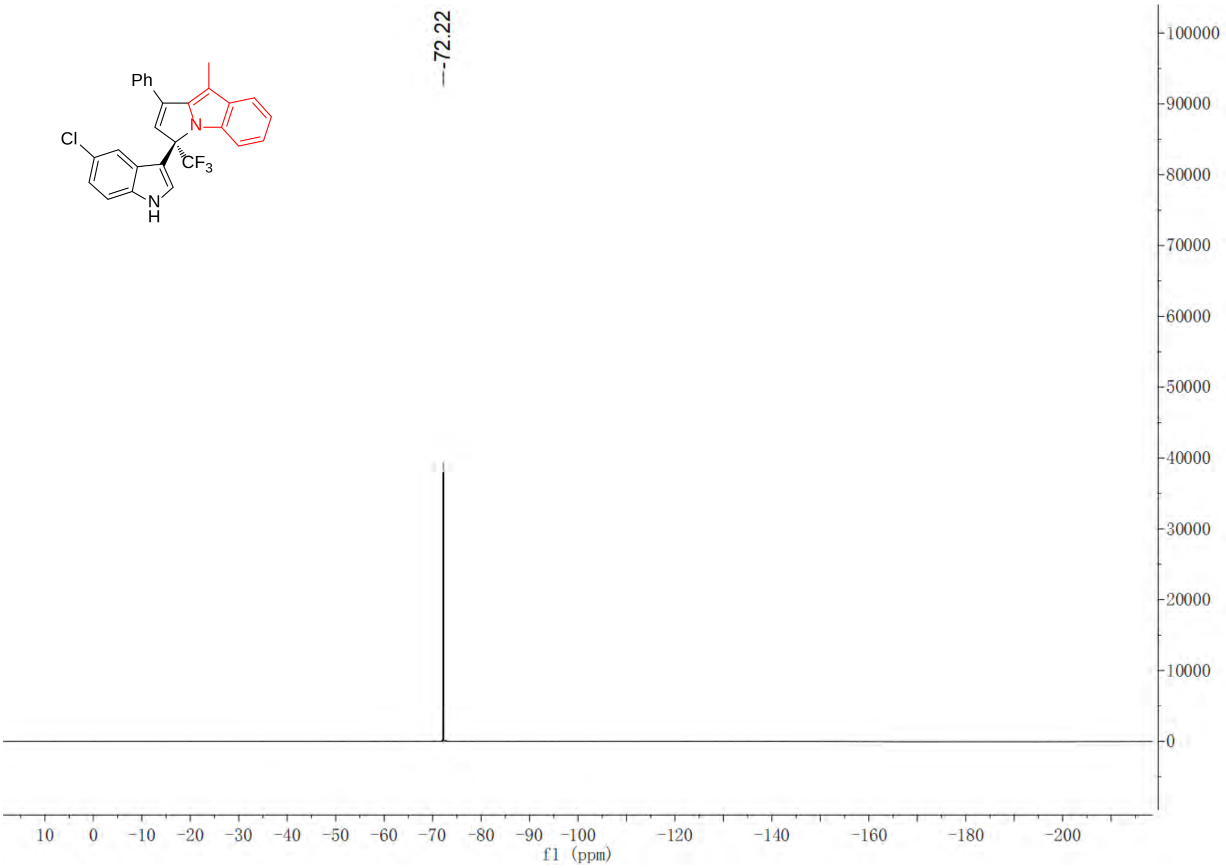
^{1}H NMR of compound 7m (in $CDCl_3$)



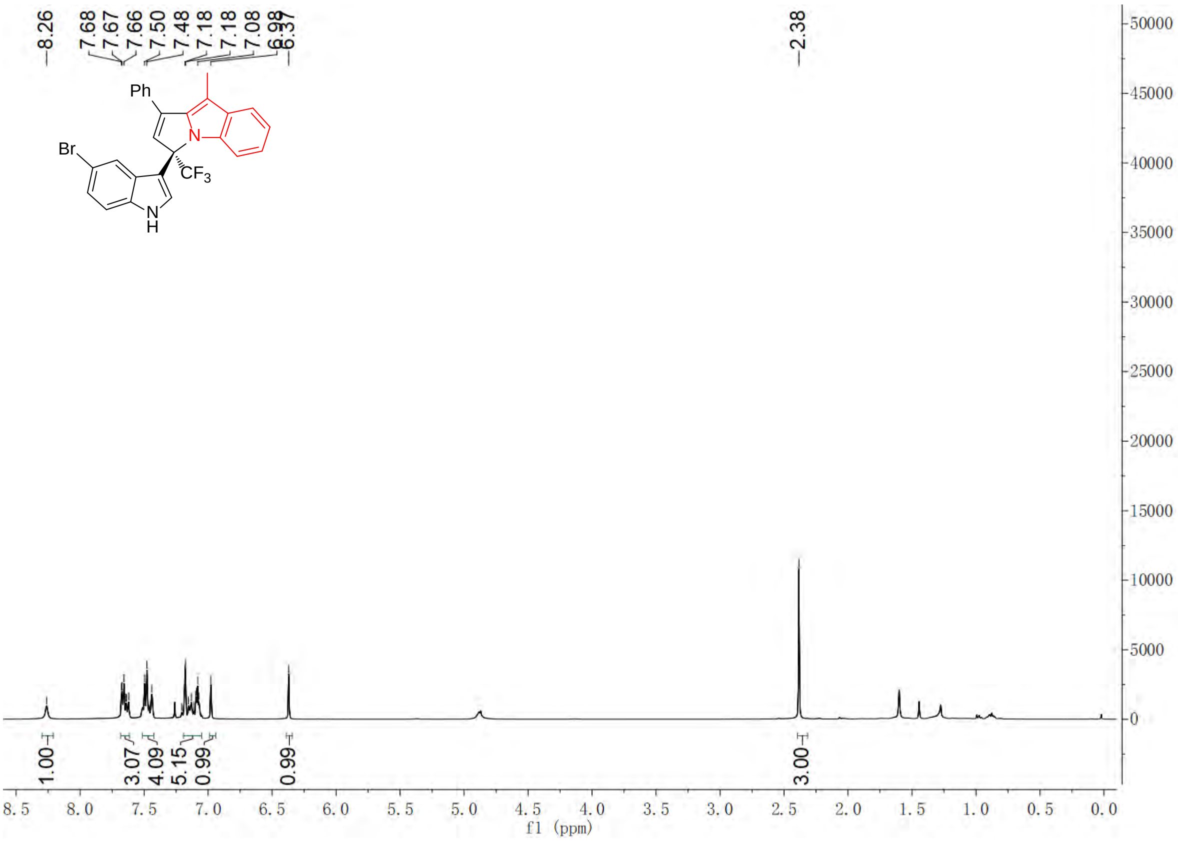
^{13}C NMR of compound 7m (in $CDCl_3$)



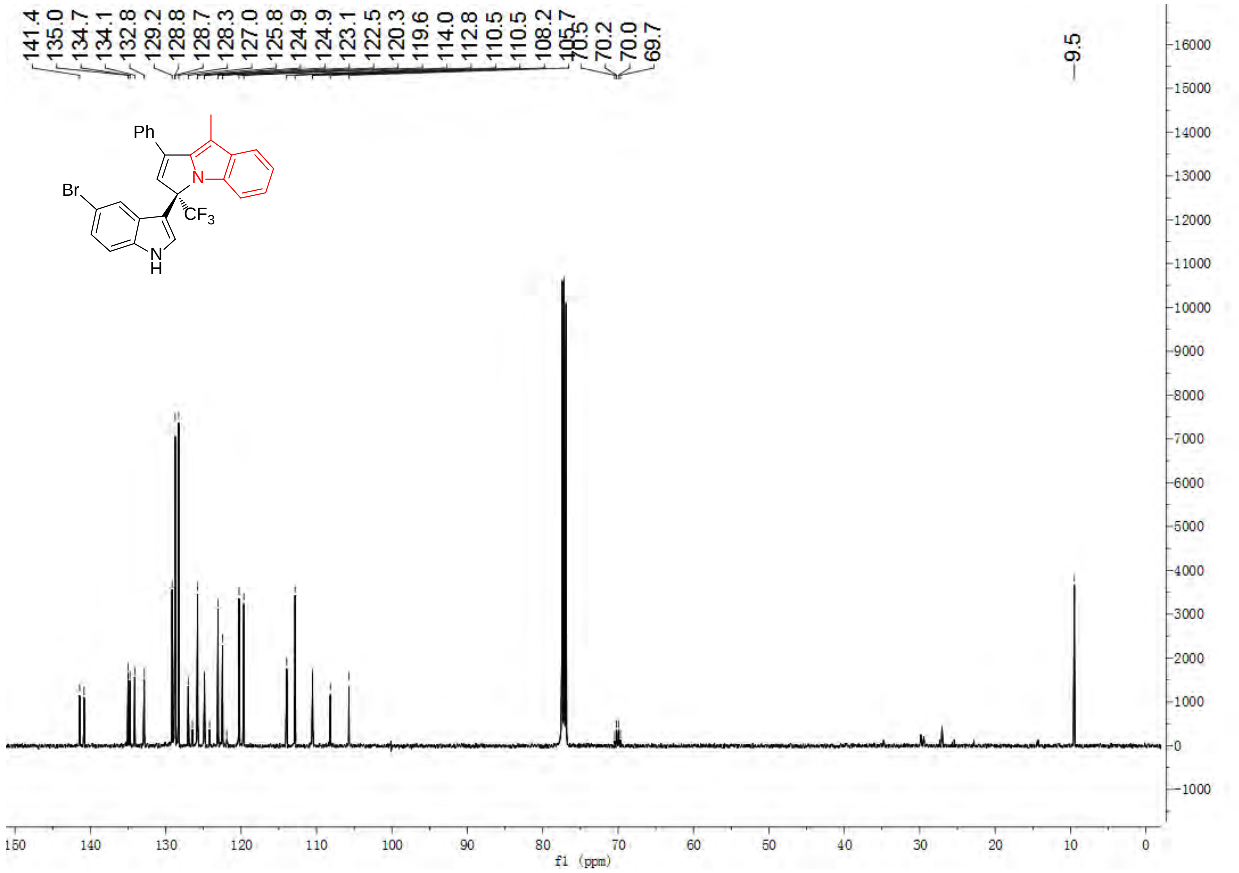
^{19}F NMR of compound 7m (in $CDCl_3$)



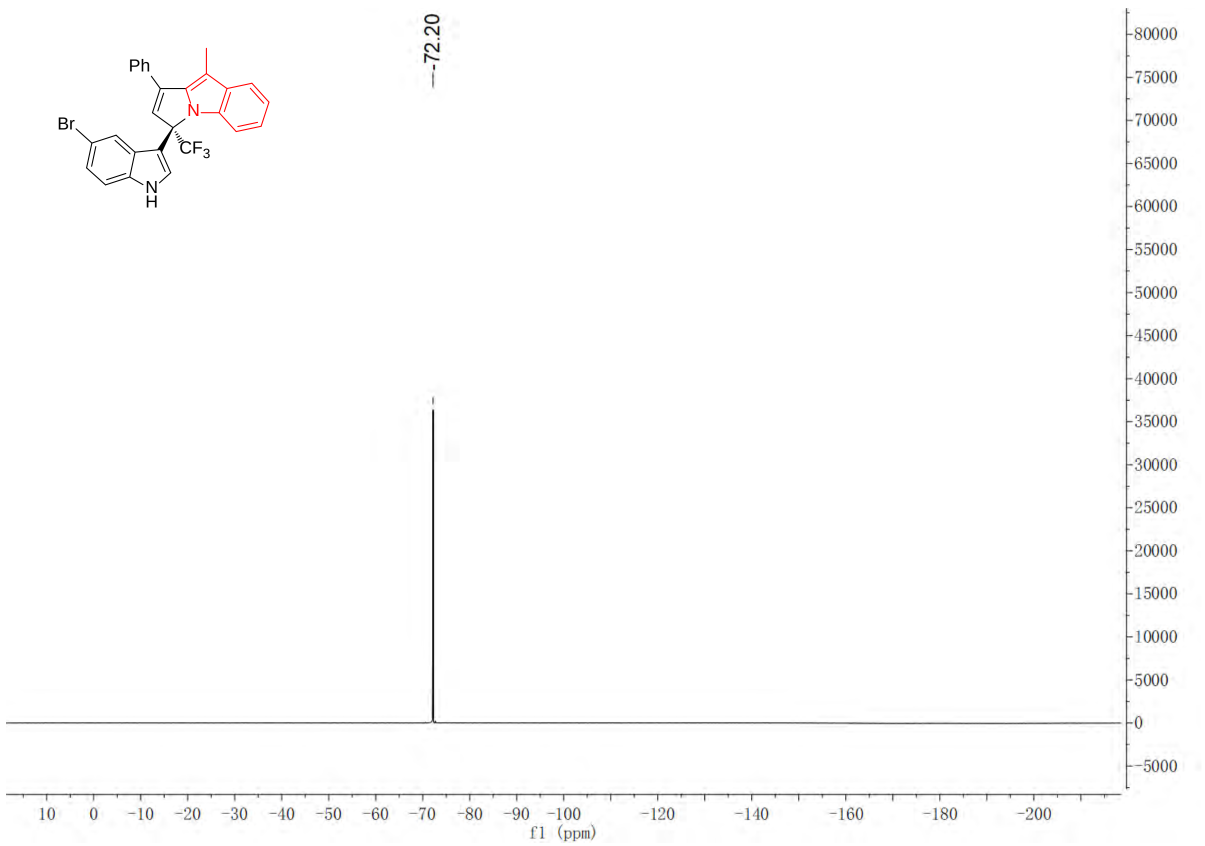
^{1}H NMR of compound 7n (in $CDCl_3$)



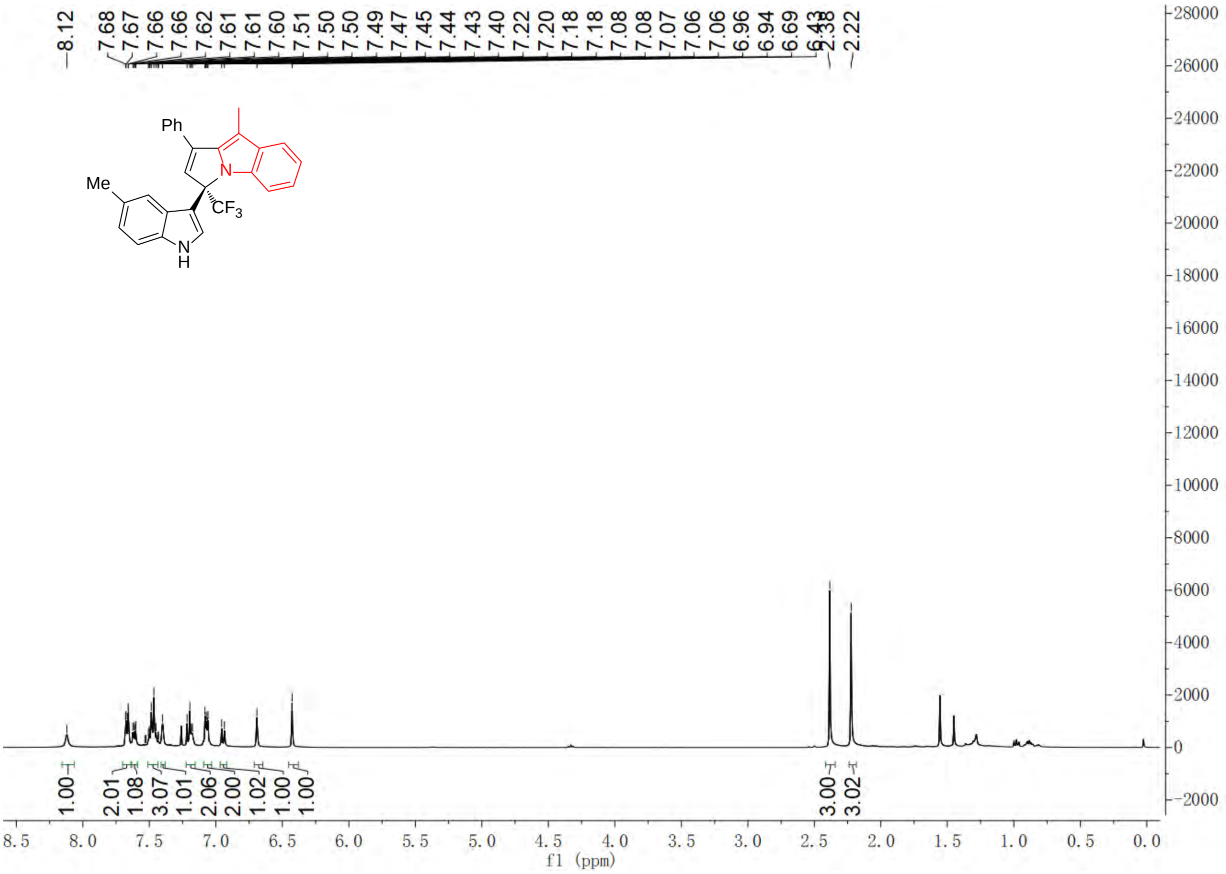
^{13}C NMR of compound 7n (in $CDCl_3$)



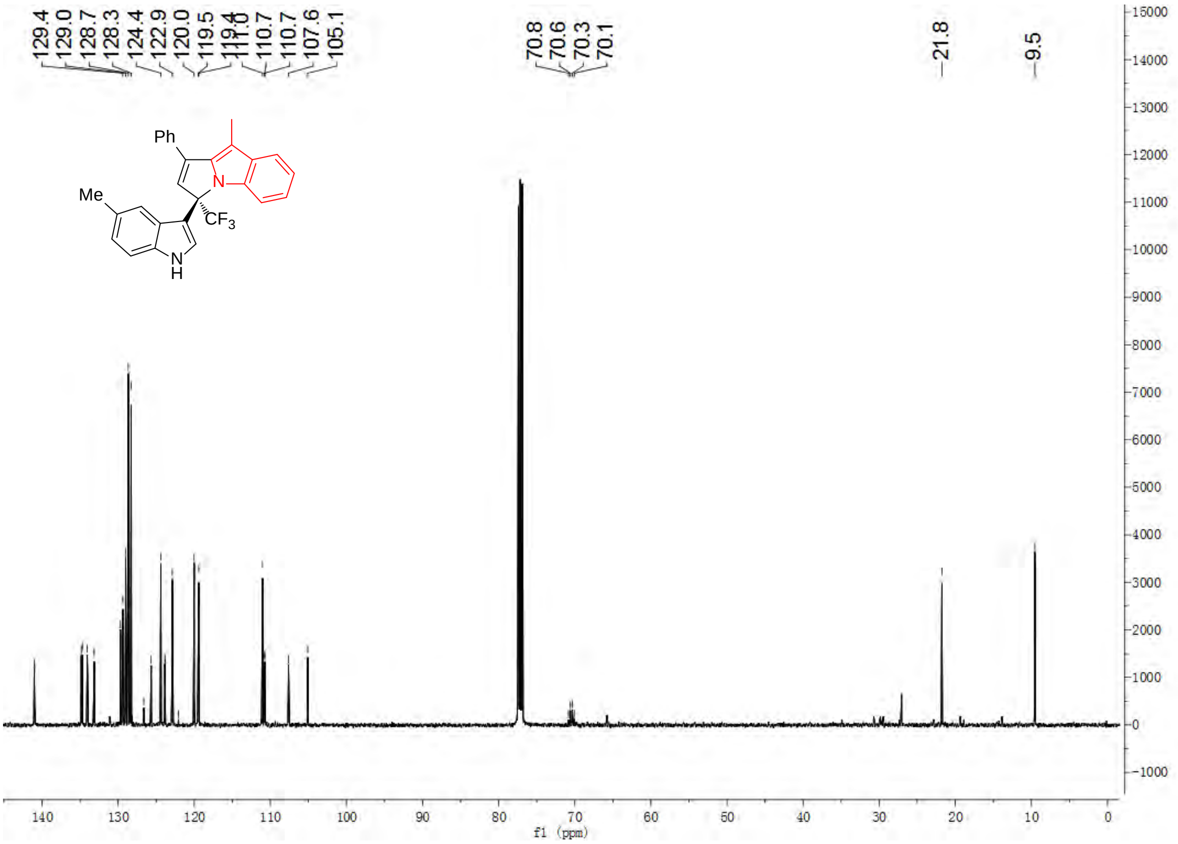
^{19}F NMR of compound 7n (in $CDCl_3$)



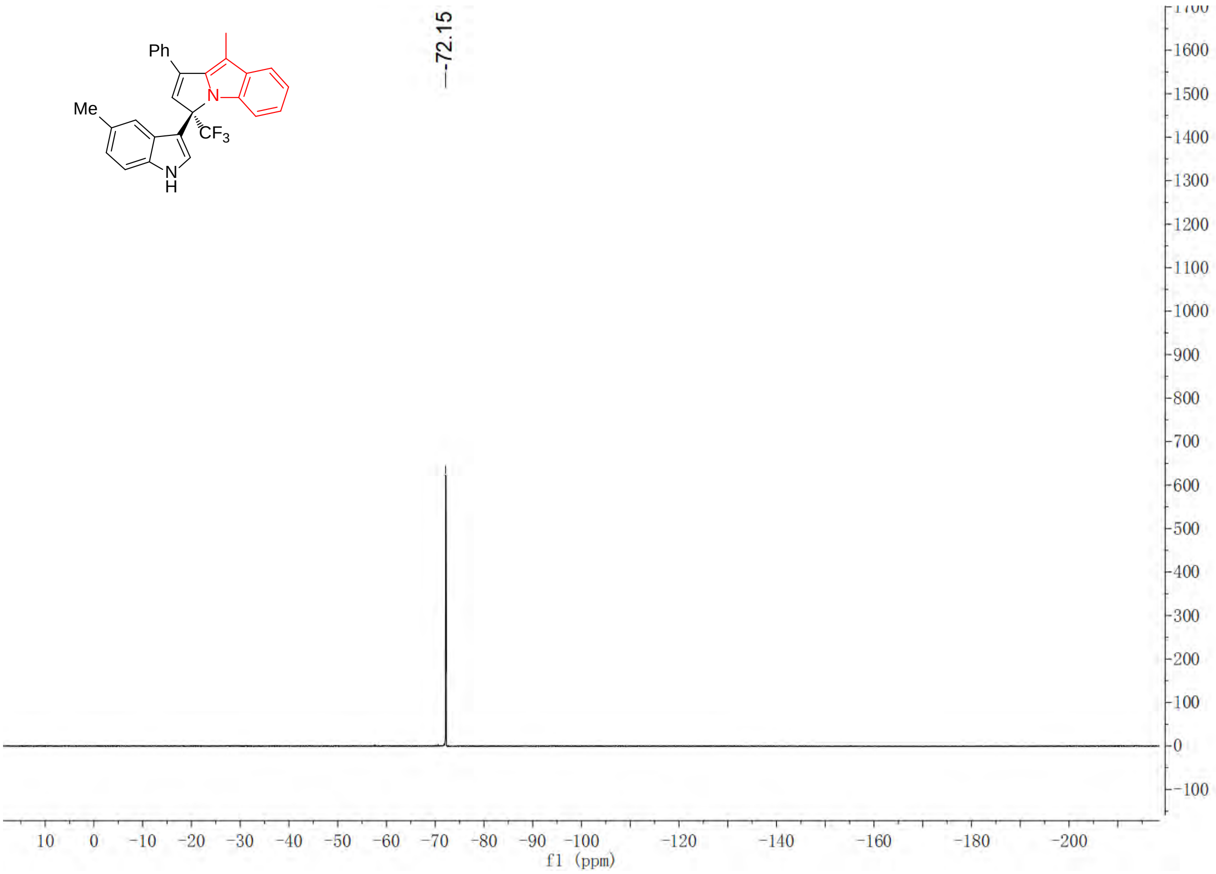
^{1}H NMR of compound 7o (in $CDCl_3$)



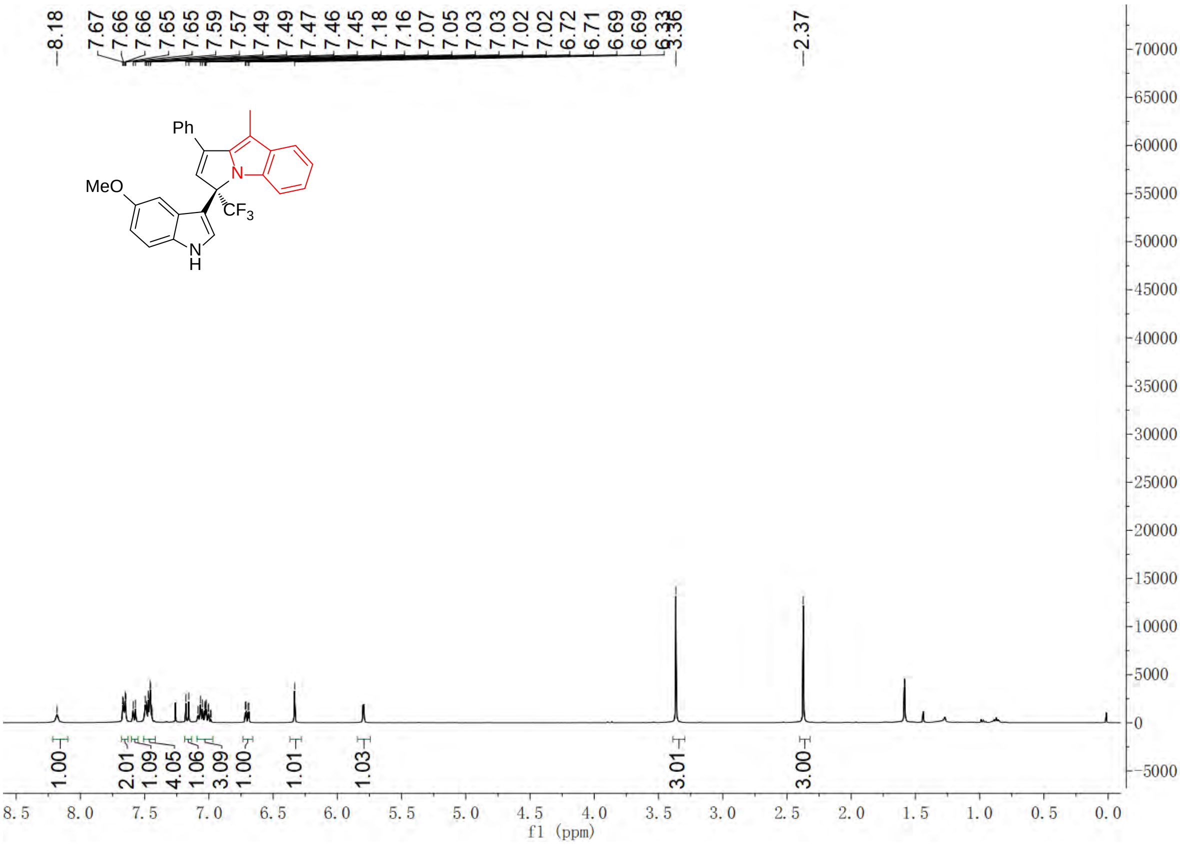
^{13}C NMR of compound 7o (in $CDCl_3$)



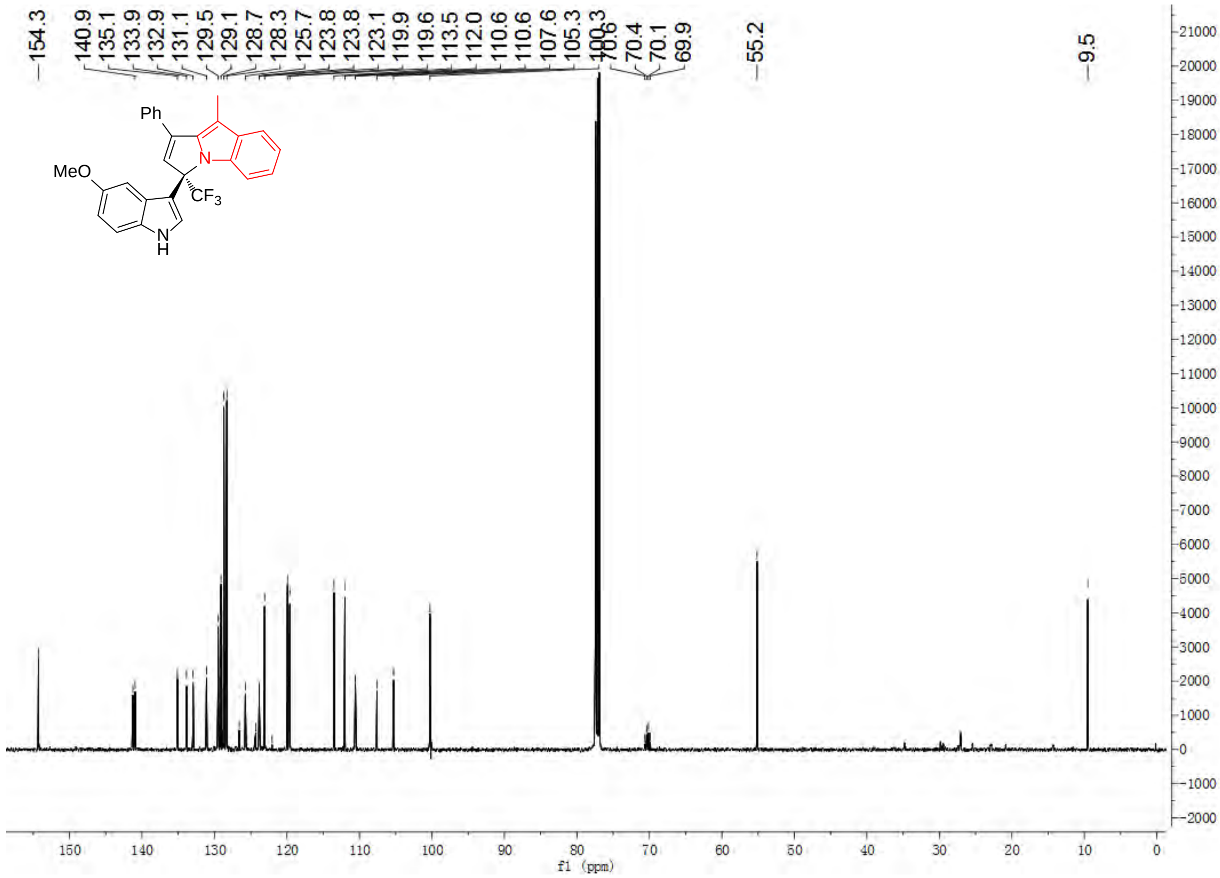
^{19}F NMR of compound 7o (in CDCl$_3$)



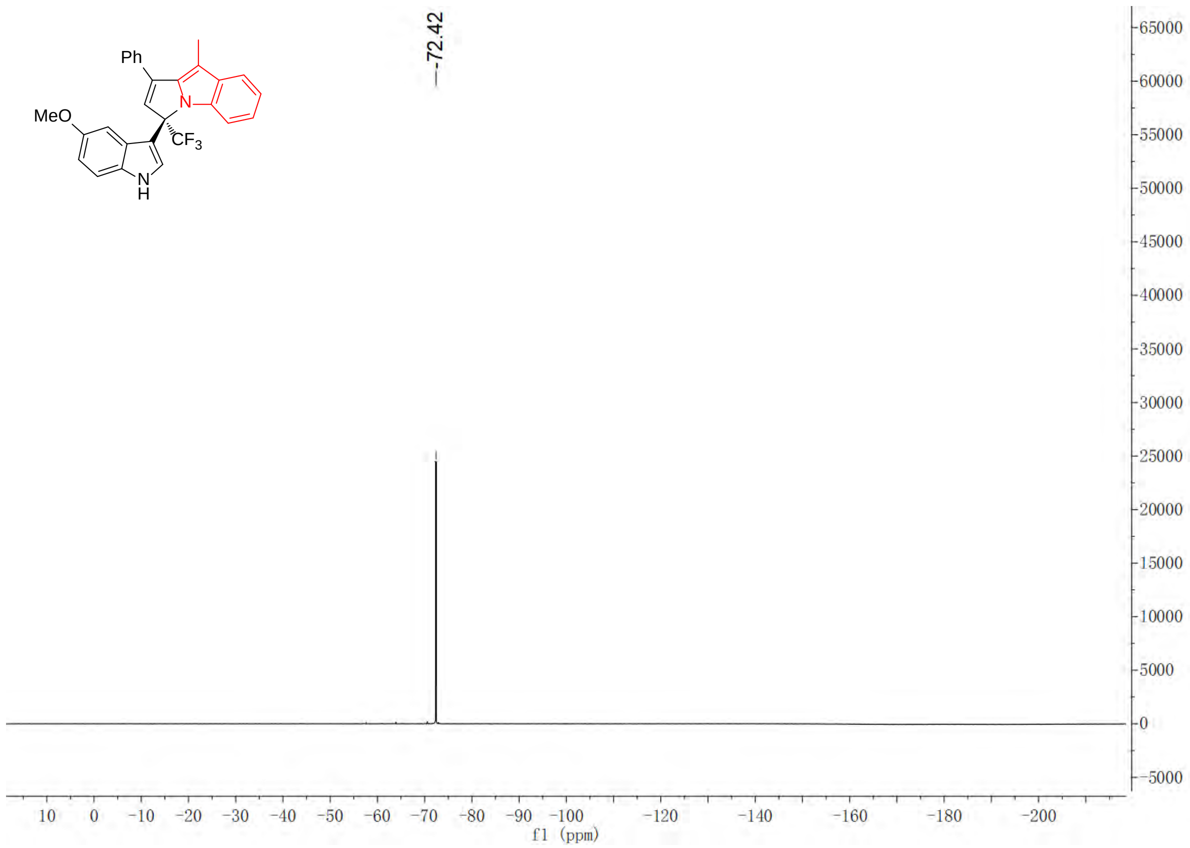
^{1}H NMR of compound 7p (in CDCl$_3$)



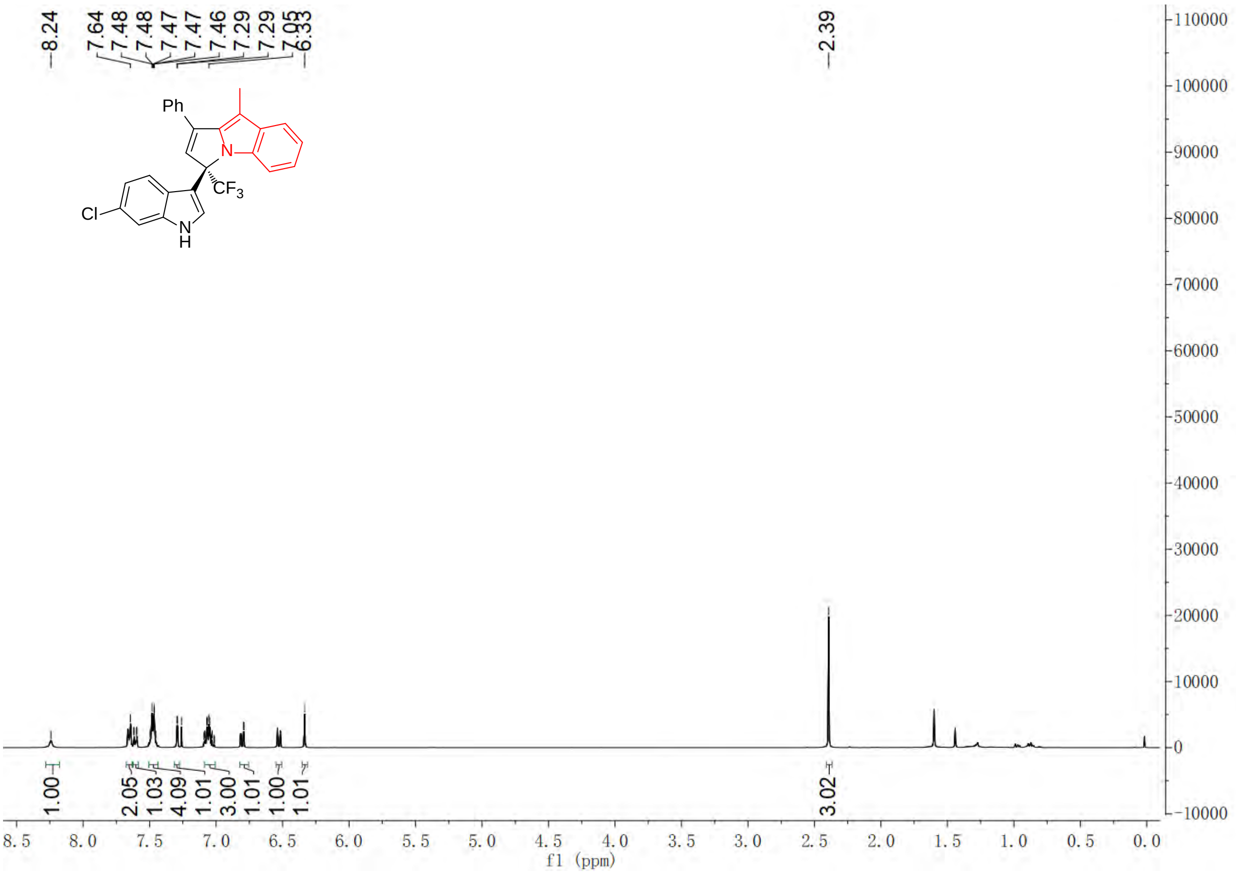
^{13}C NMR of compound 7p (in $CDCl_3$)



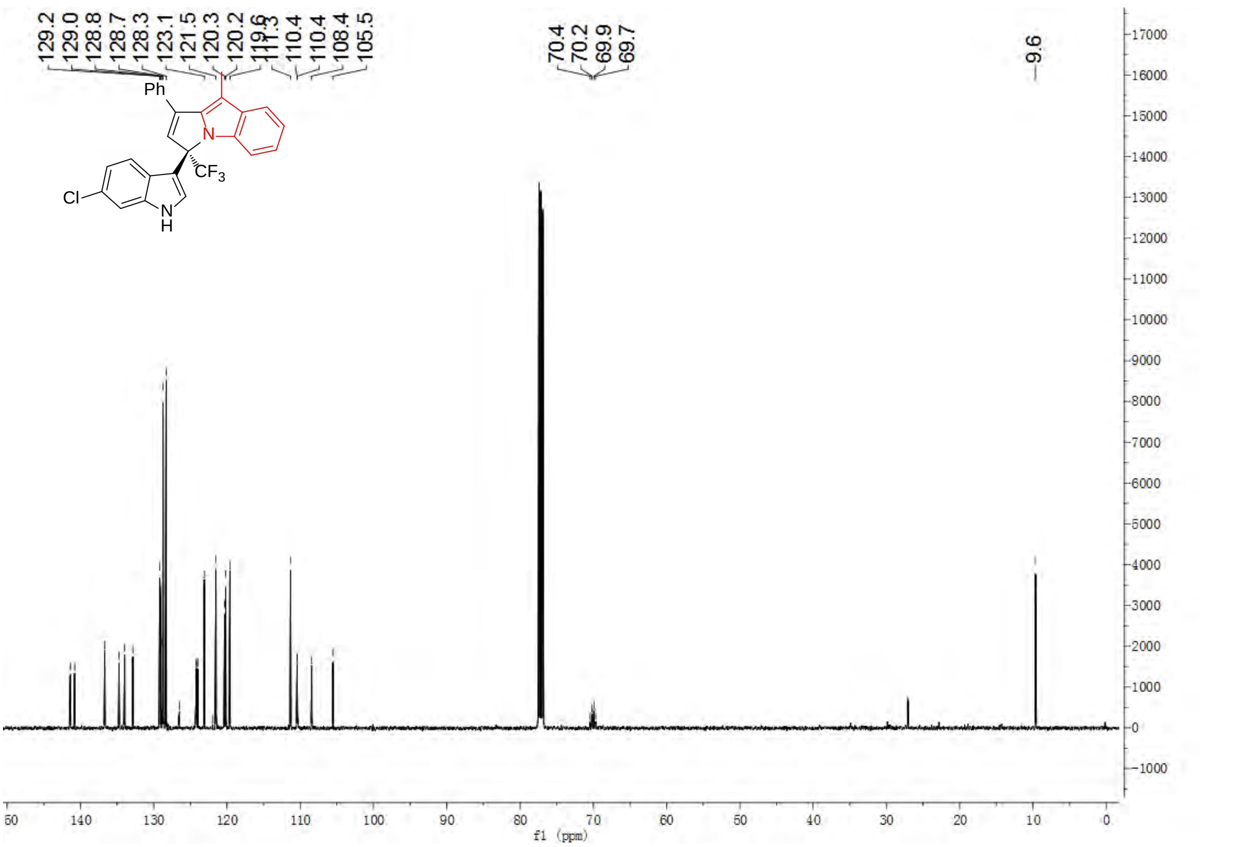
^{19}F NMR of compound 7p (in $CDCl_3$)



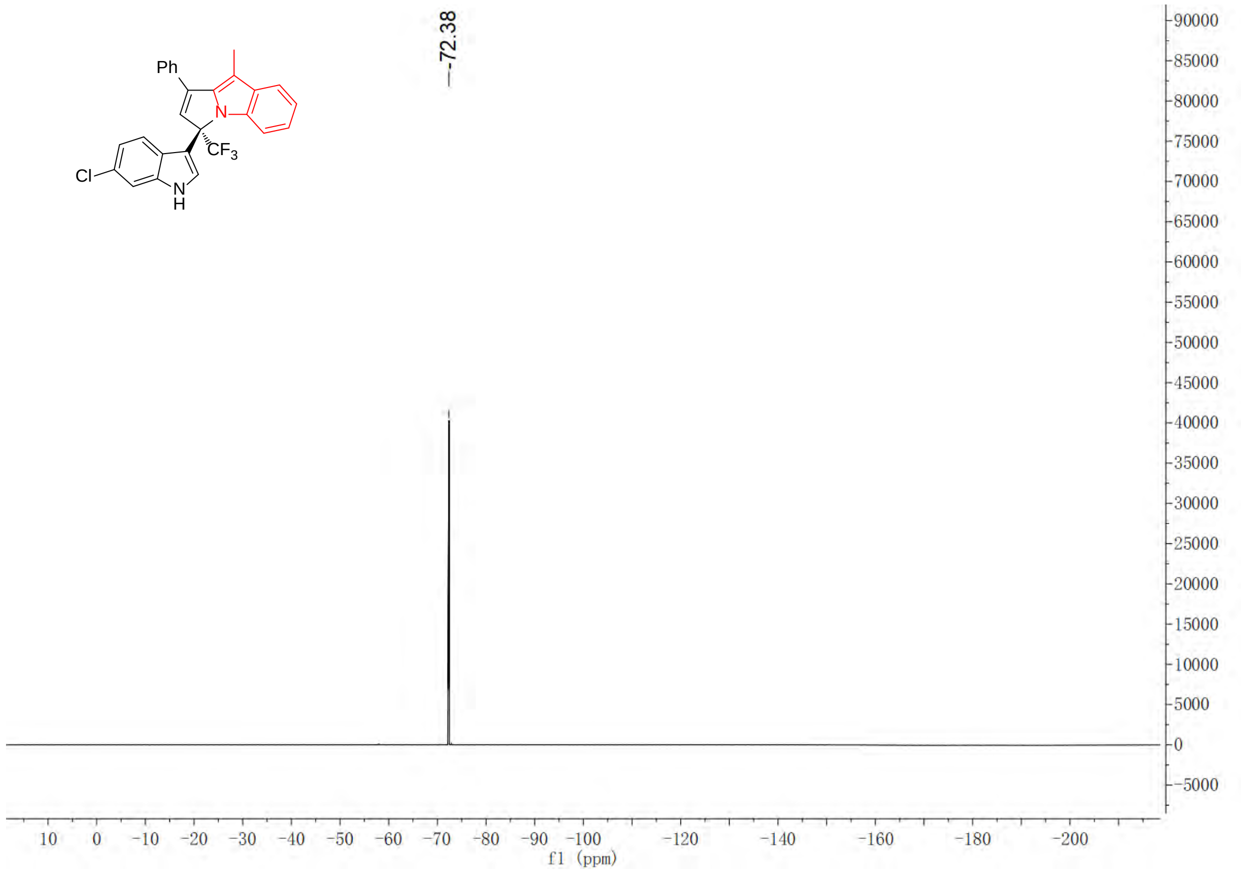
^{1}H NMR of compound 7q (in $CDCl_3$)



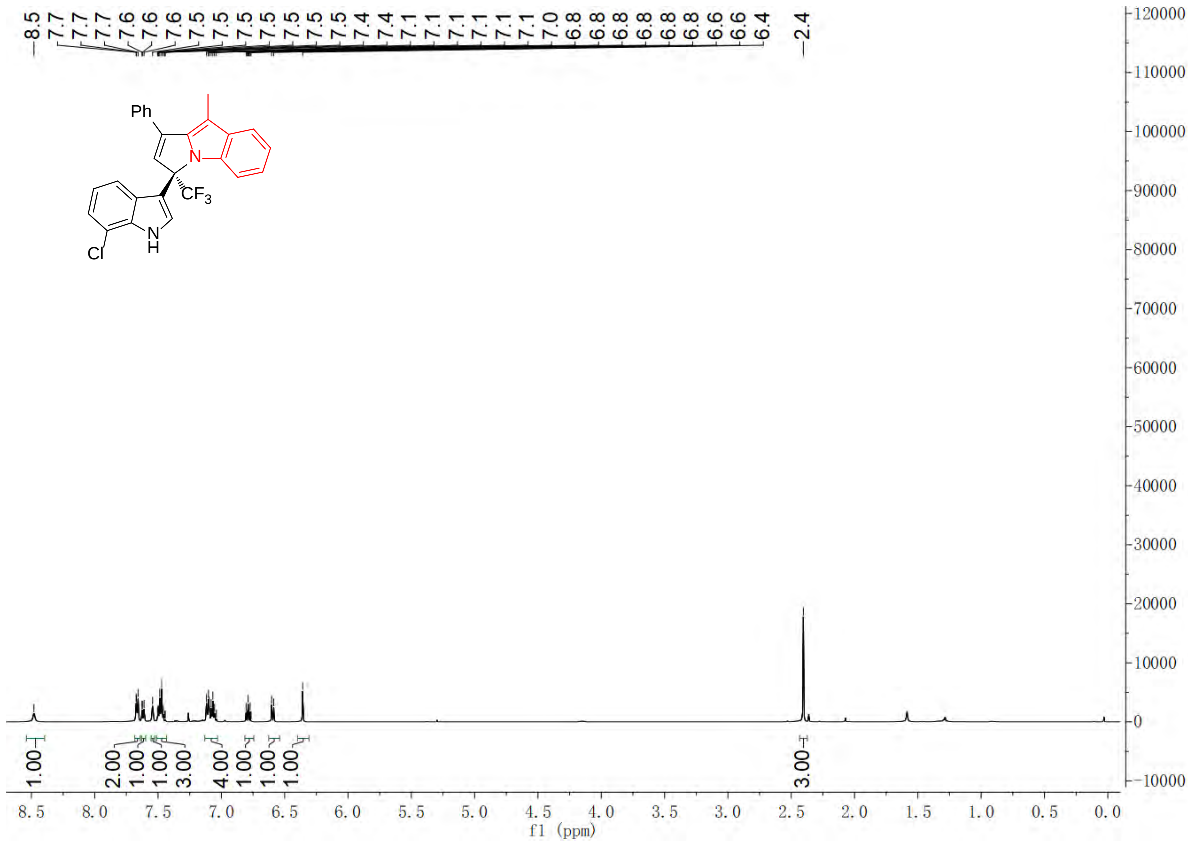
^{13}C NMR of compound 7q (in $CDCl_3$)



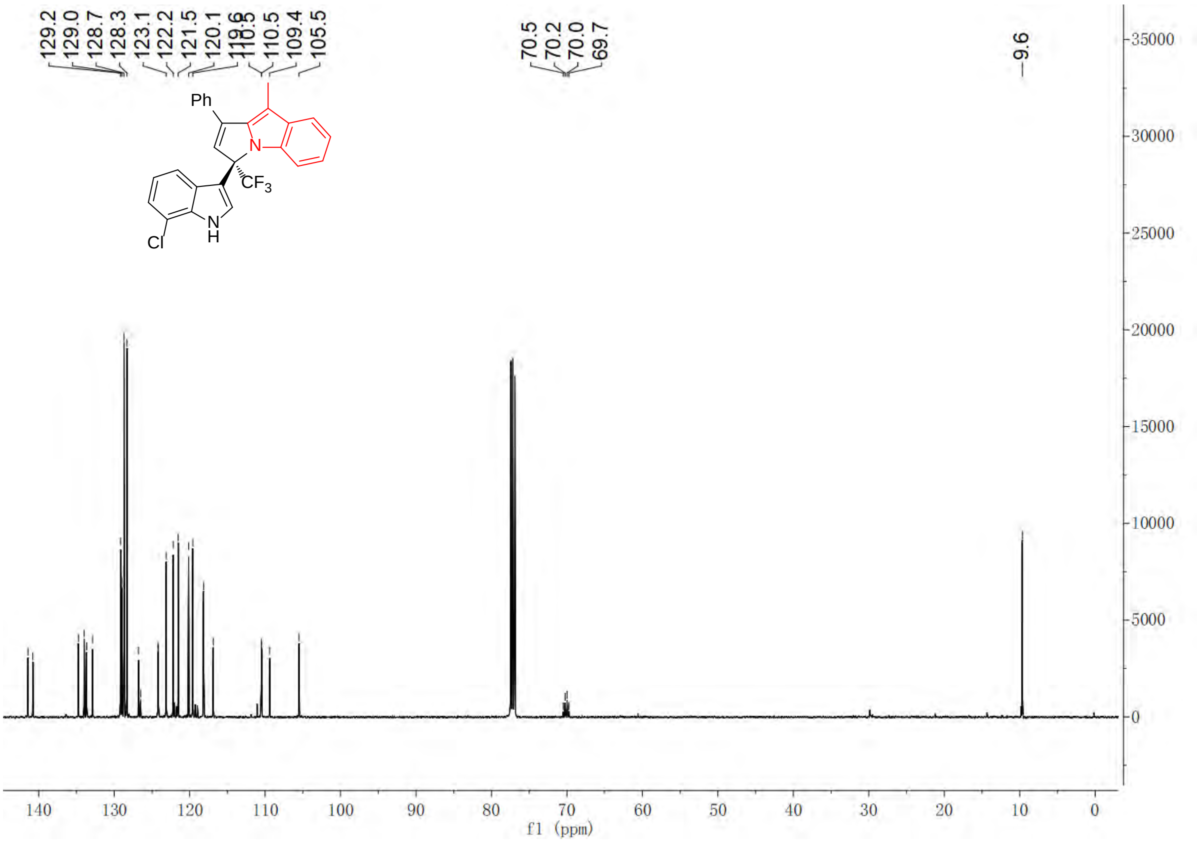
^{19}F NMR of compound 7q (in $CDCl_3$)



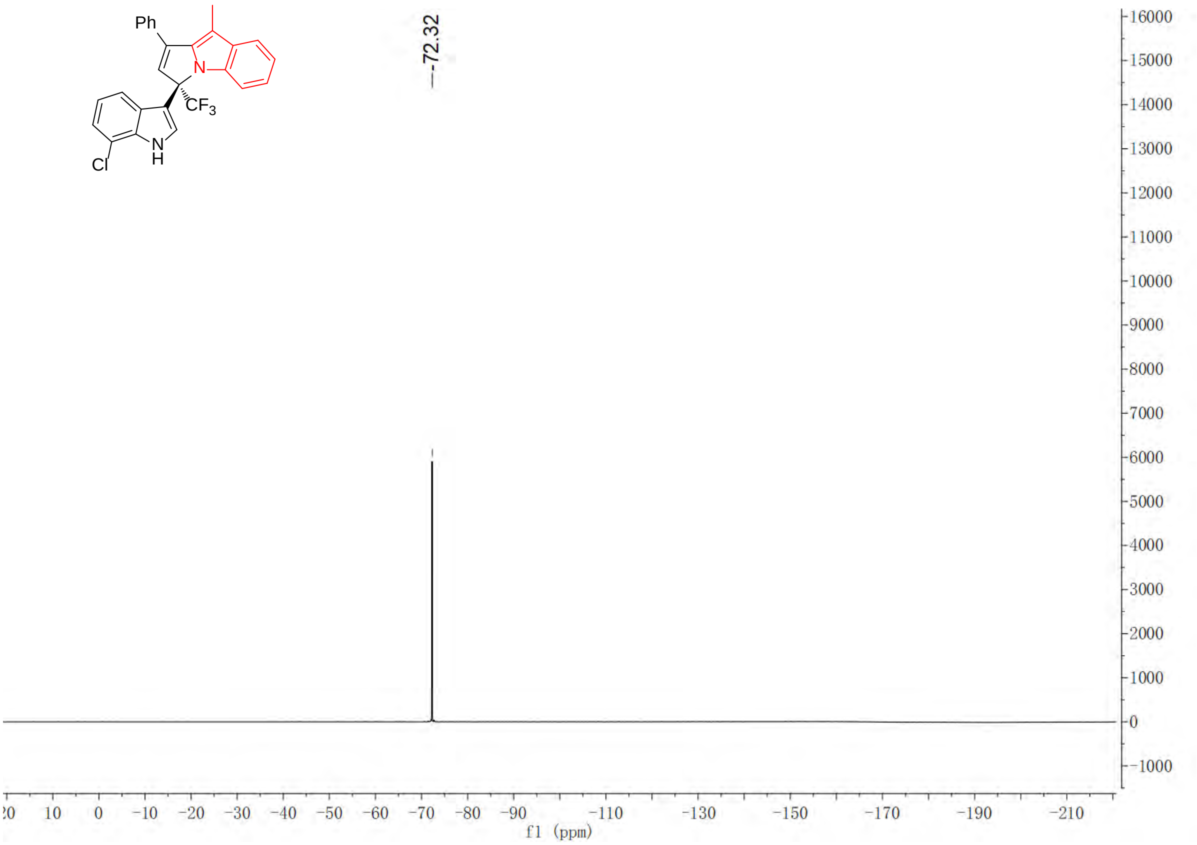
^{1}H NMR of compound 7r (in $CDCl_3$)



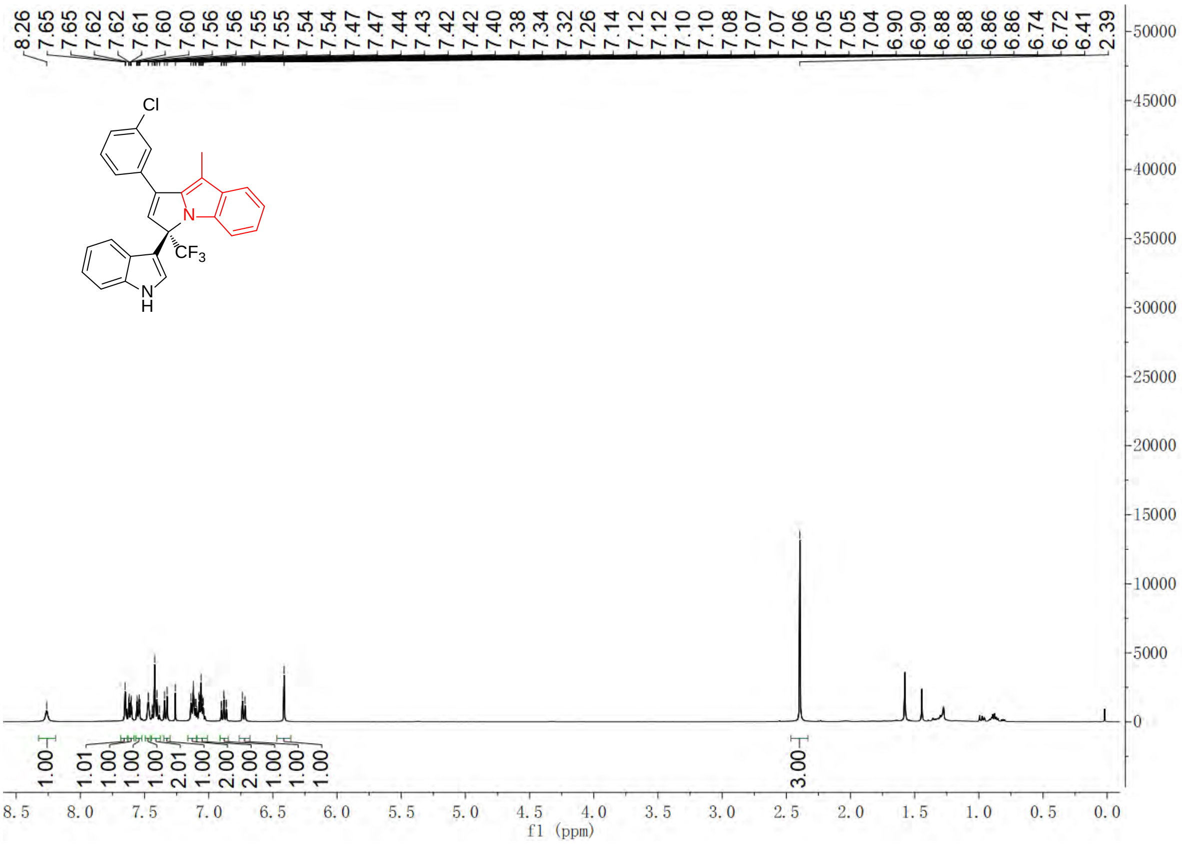
^{13}C NMR of compound 7r (in $CDCl_3$)



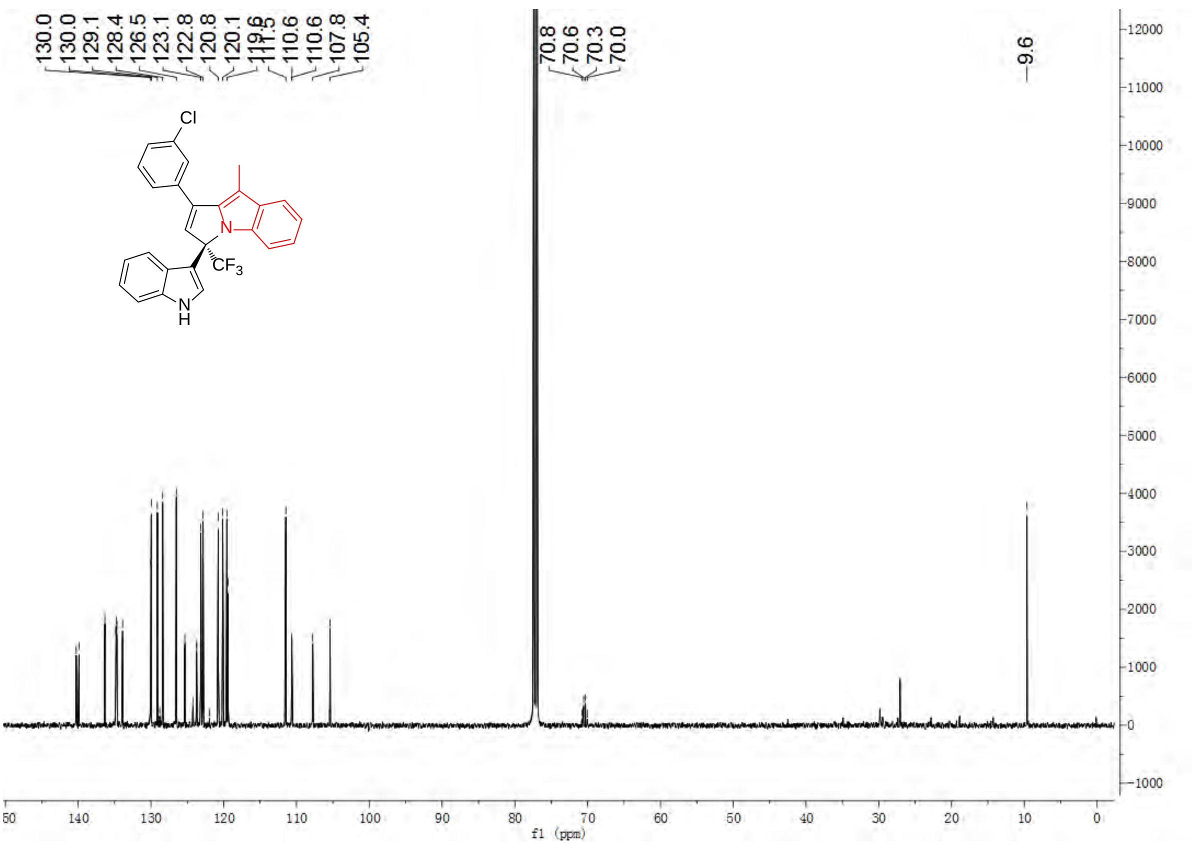
^{19}F NMR of compound 7r (in $CDCl_3$)



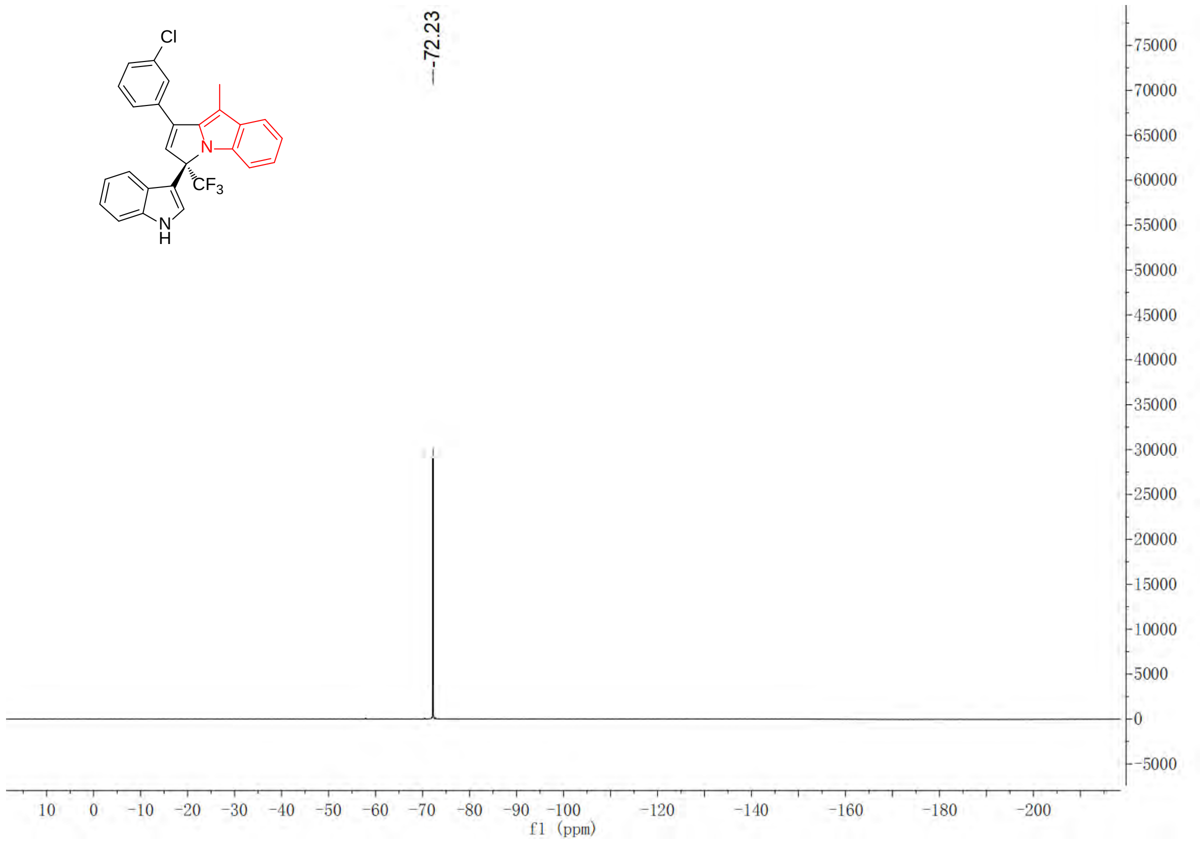
[1]H NMR of compound 7s (in $CDCl_3$)



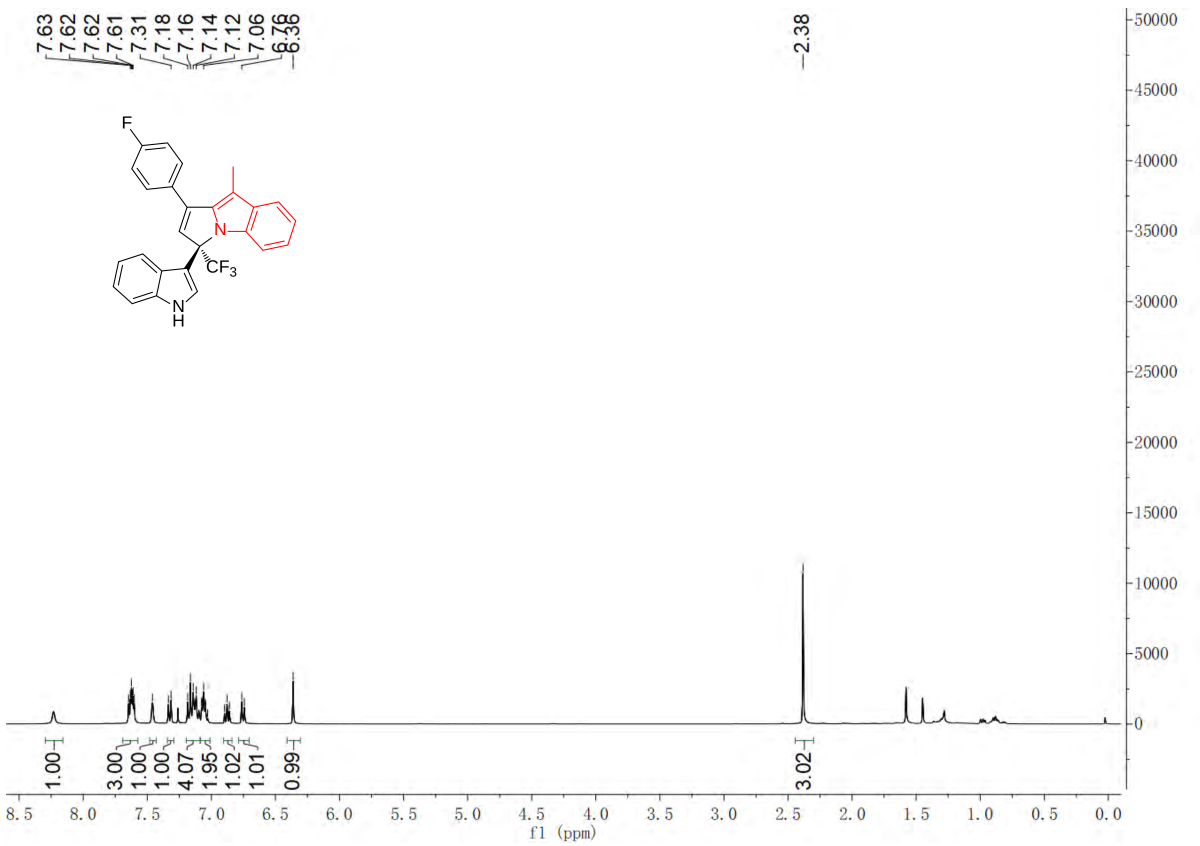
[13]C NMR of compound 7s (in $CDCl_3$)



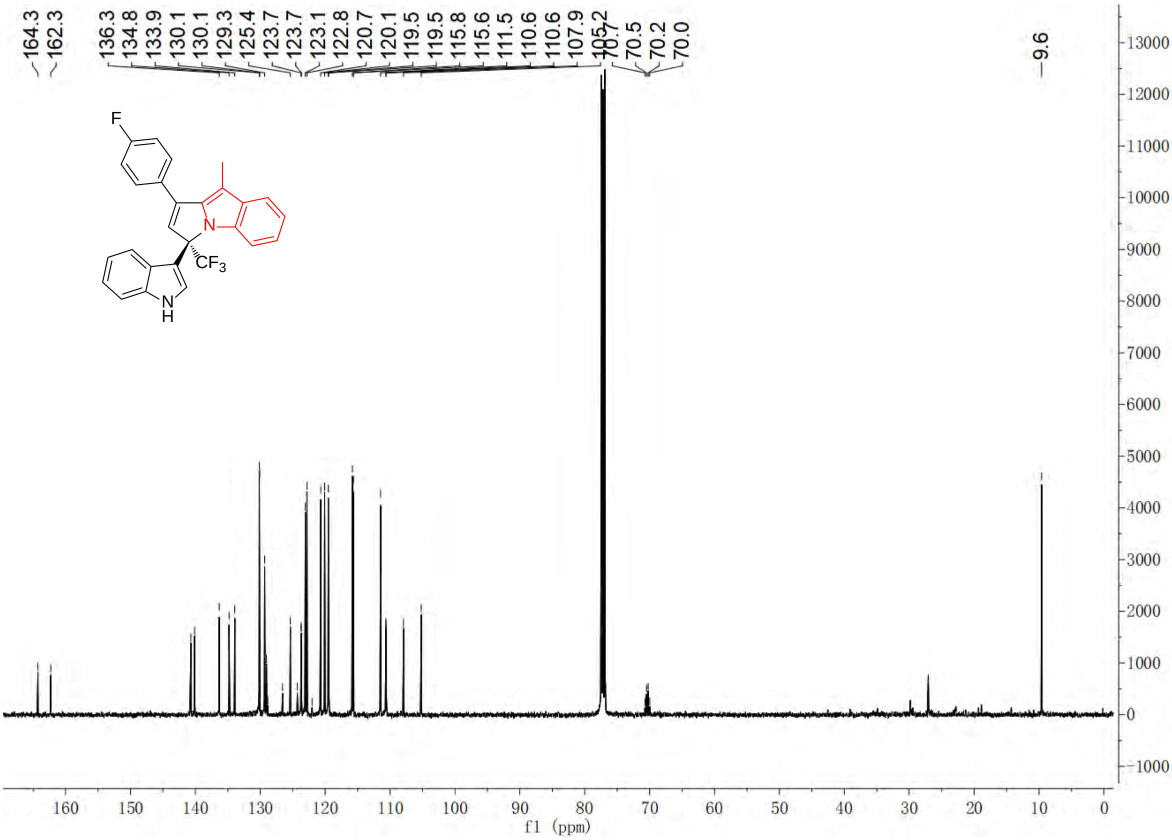
^{19}F NMR of compound 7s (in $CDCl_3$)



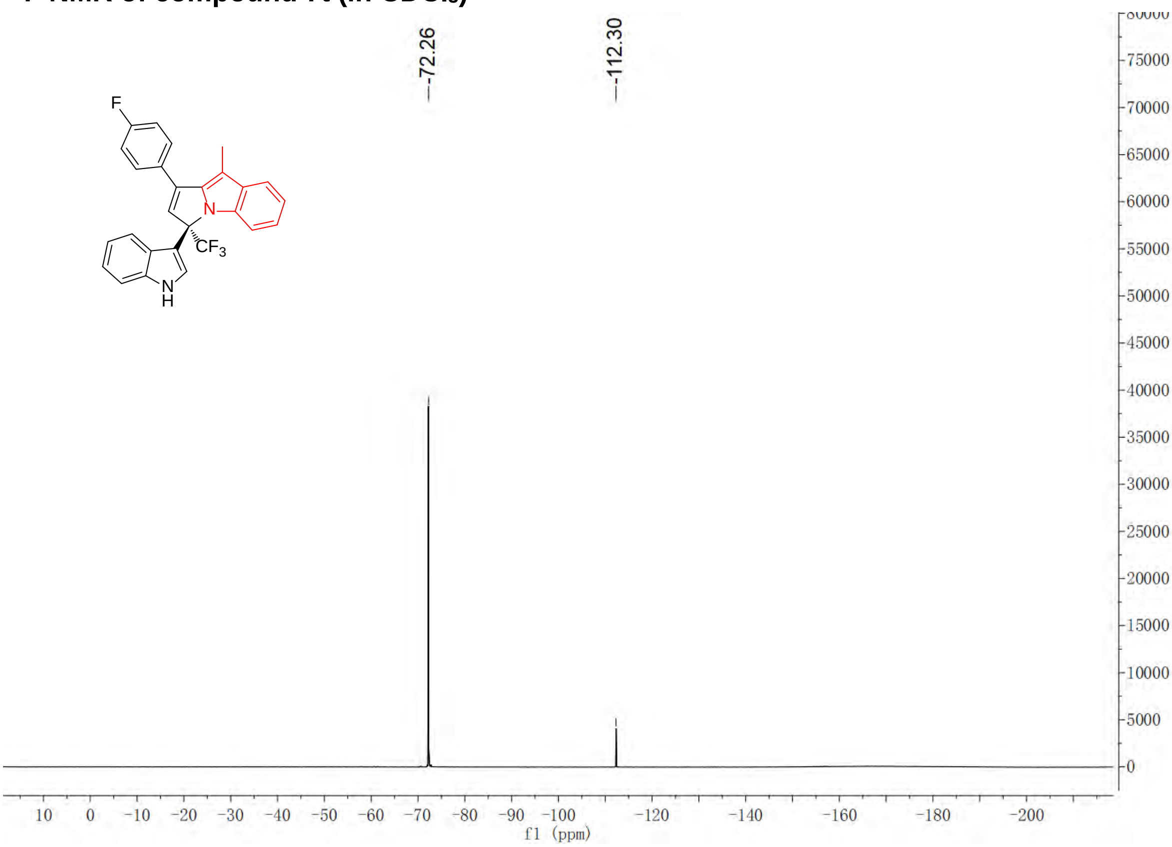
^{1}H NMR of compound 7t (in $CDCl_3$)



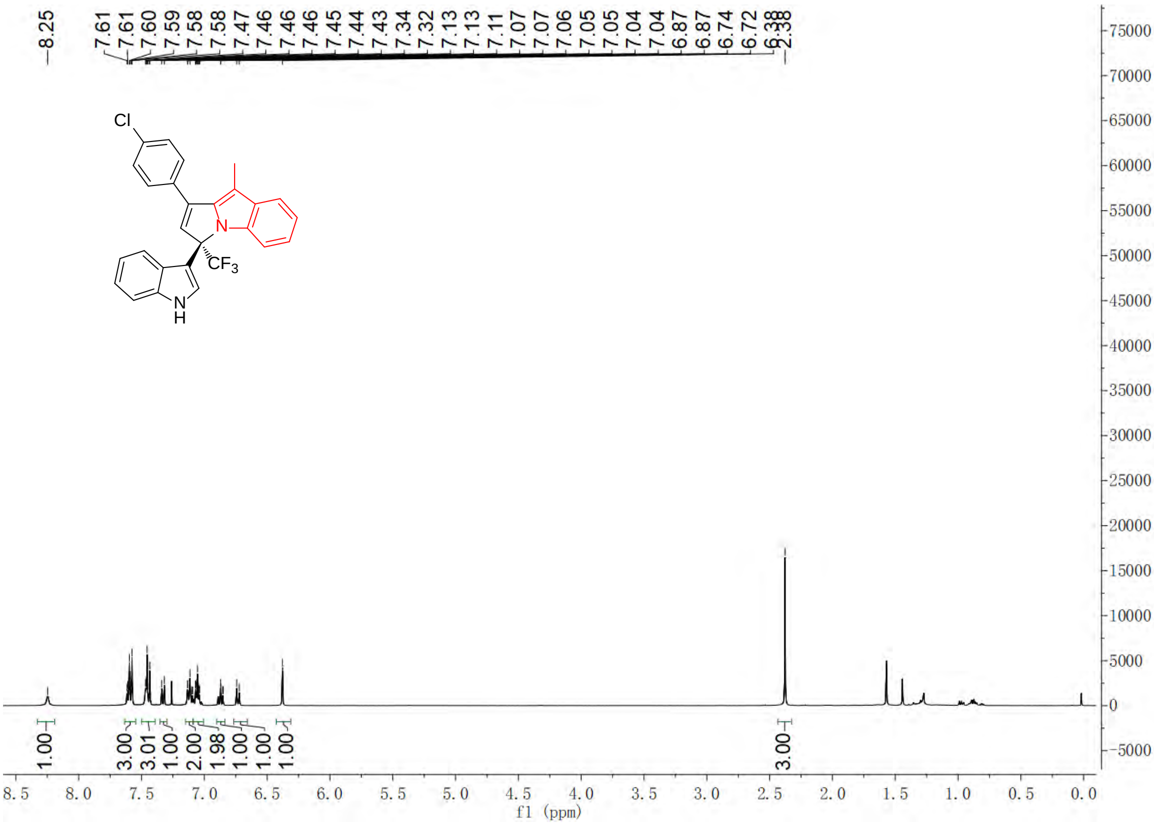
^{13}C NMR of compound 7t (in $CDCl_3$)



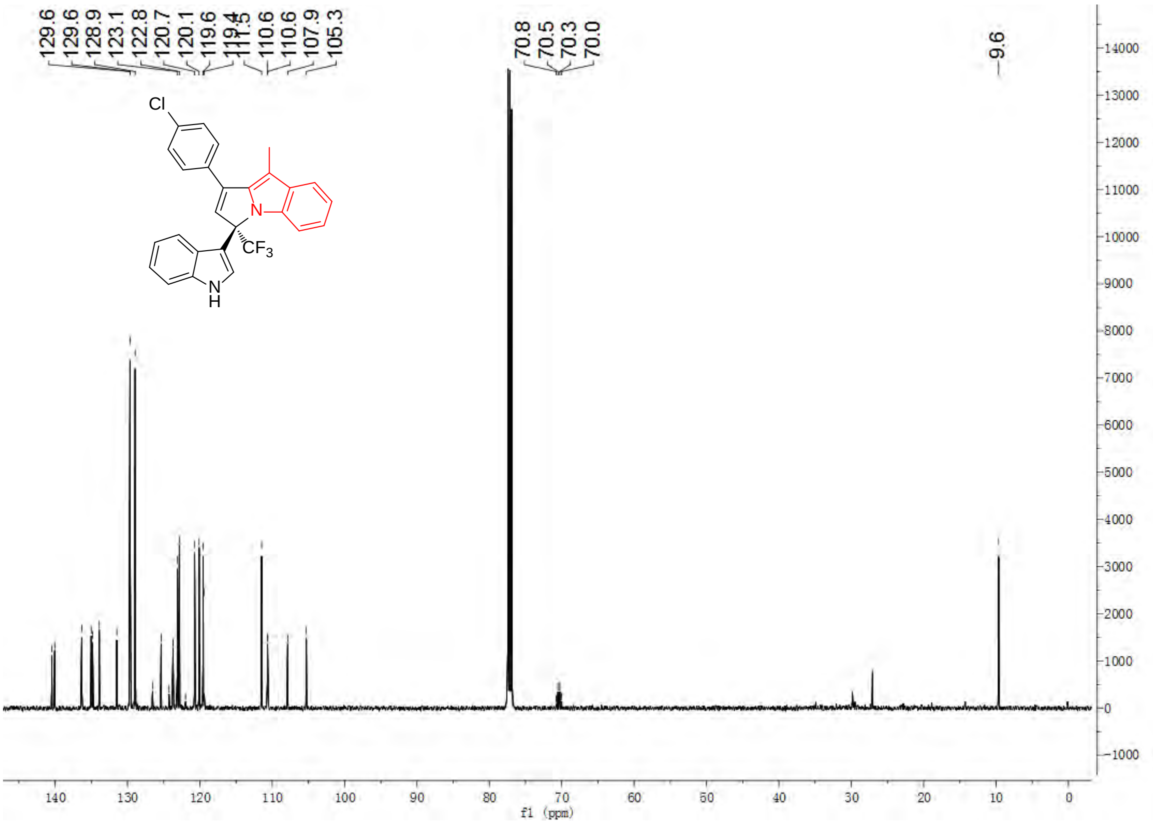
^{19}F NMR of compound 7t (in $CDCl_3$)



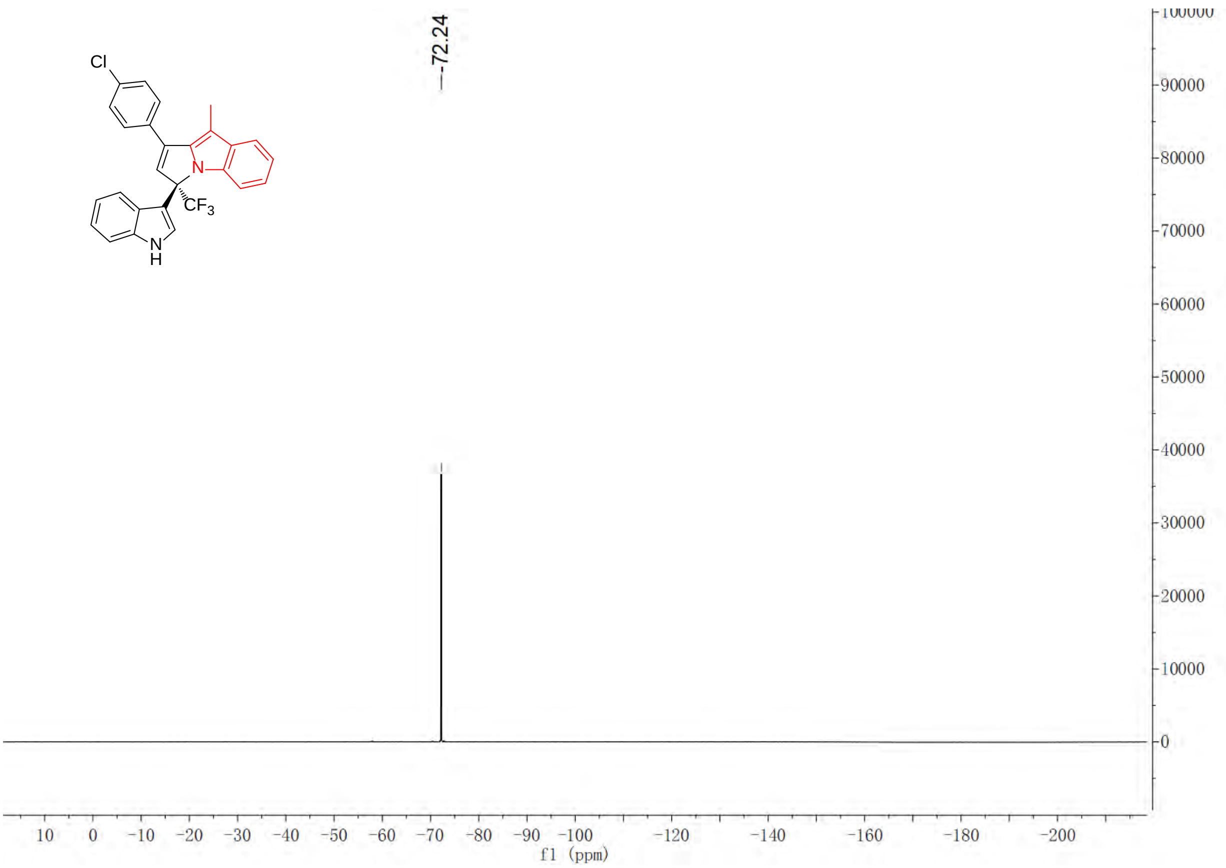
[1]H NMR of compound 7u (in $CDCl_3$)



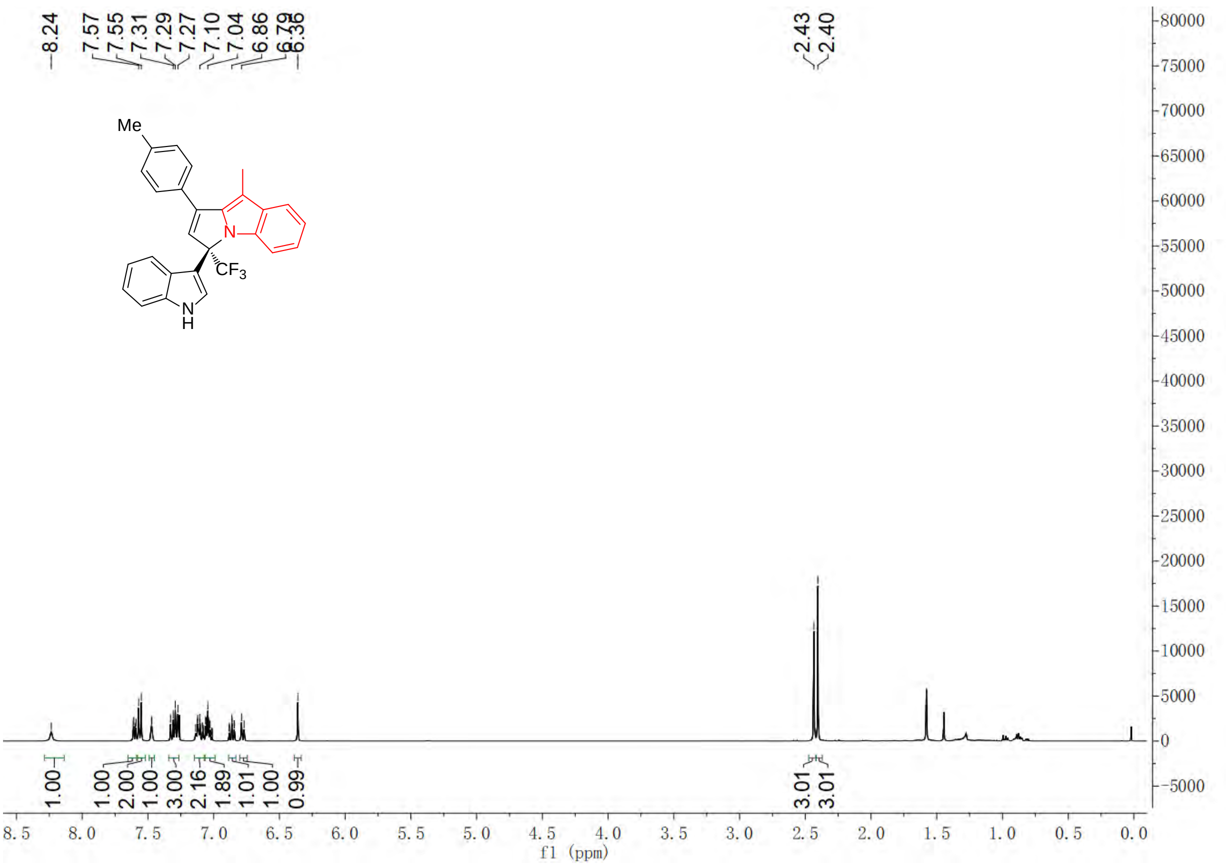
[13]C NMR of compound 7u (in $CDCl_3$)



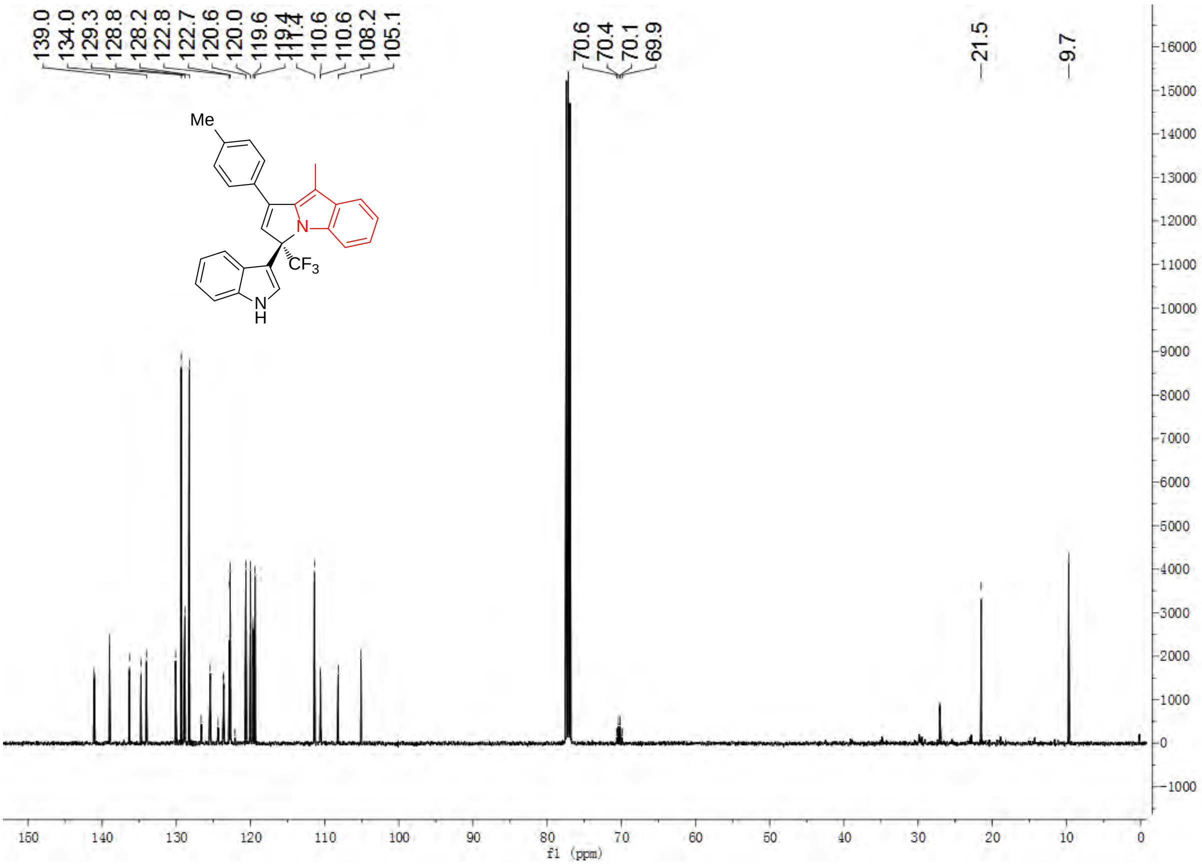
^{19}F NMR of compound 7u (in $CDCl_3$)



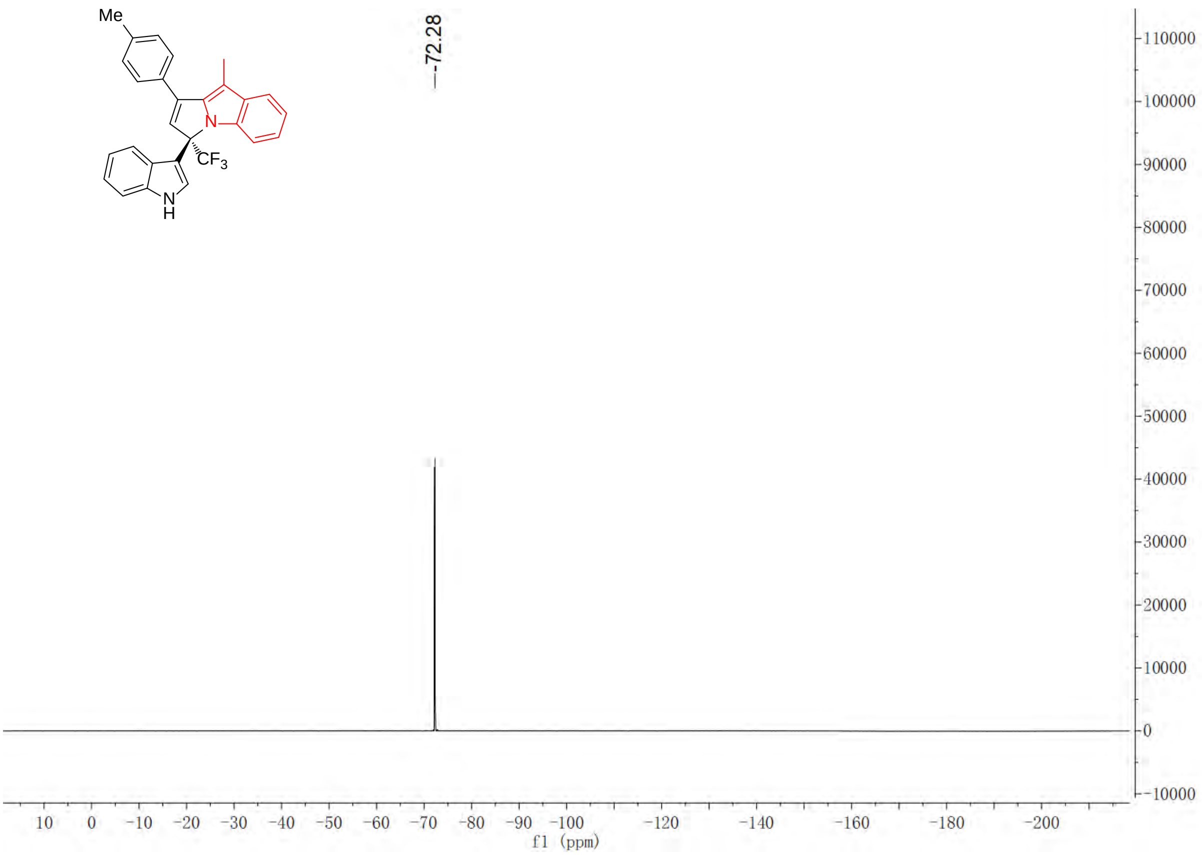
^{1}H NMR of compound 7v (in $CDCl_3$)



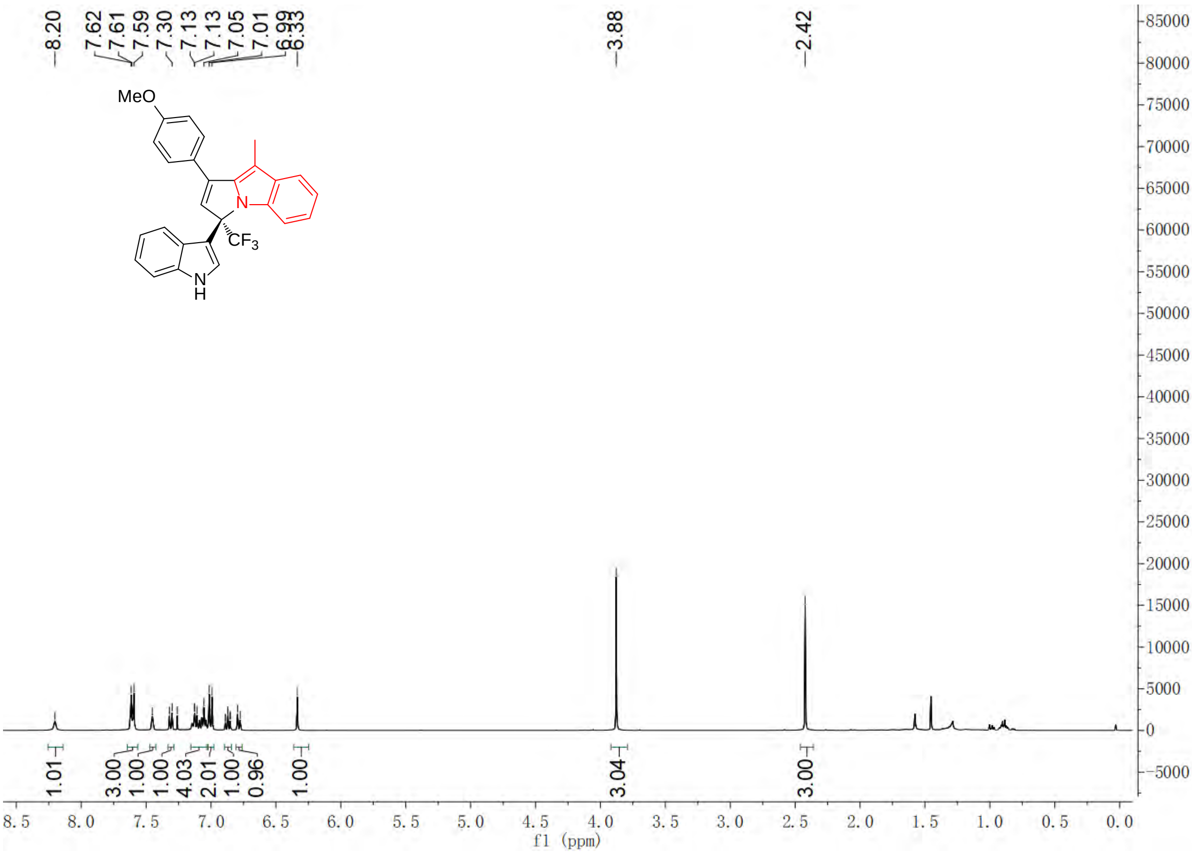
^{13}C NMR of compound 7v (in CDCl$_3$)



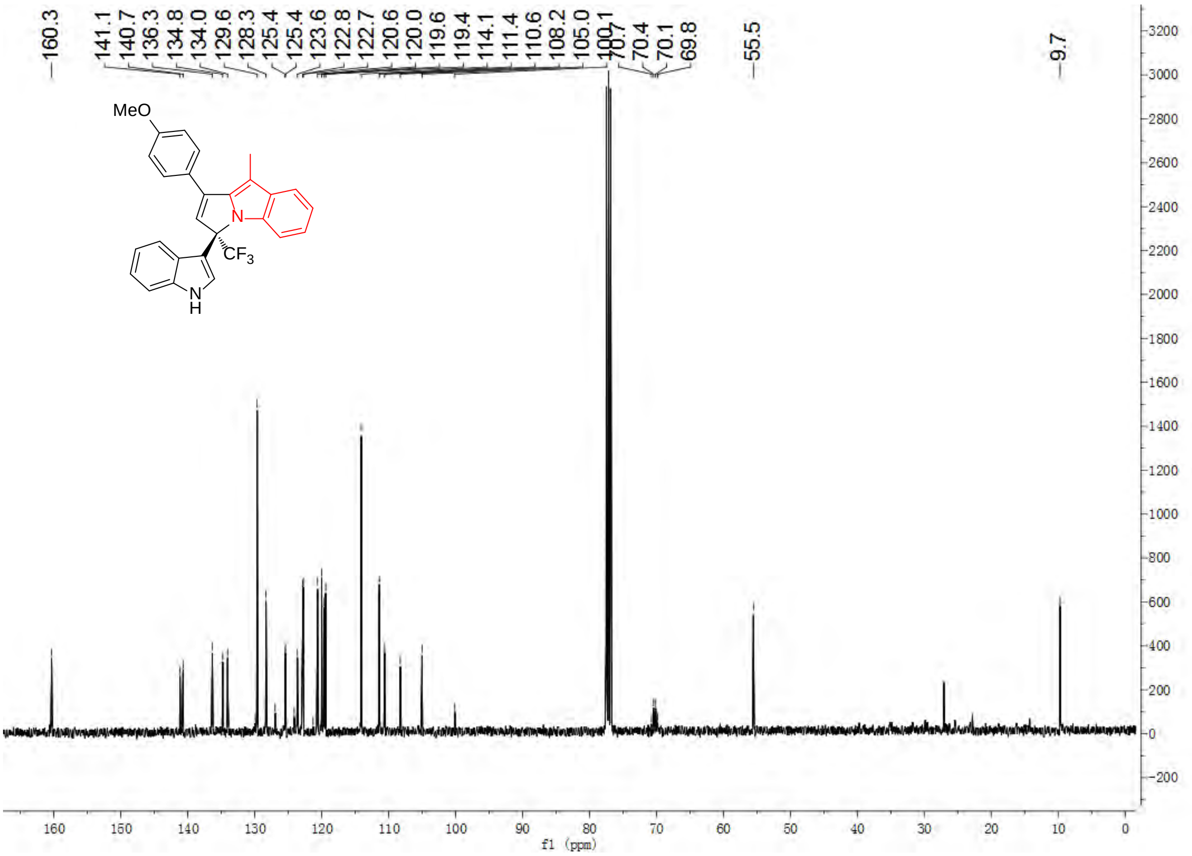
^{19}F NMR of compound 7v (in CDCl$_3$)



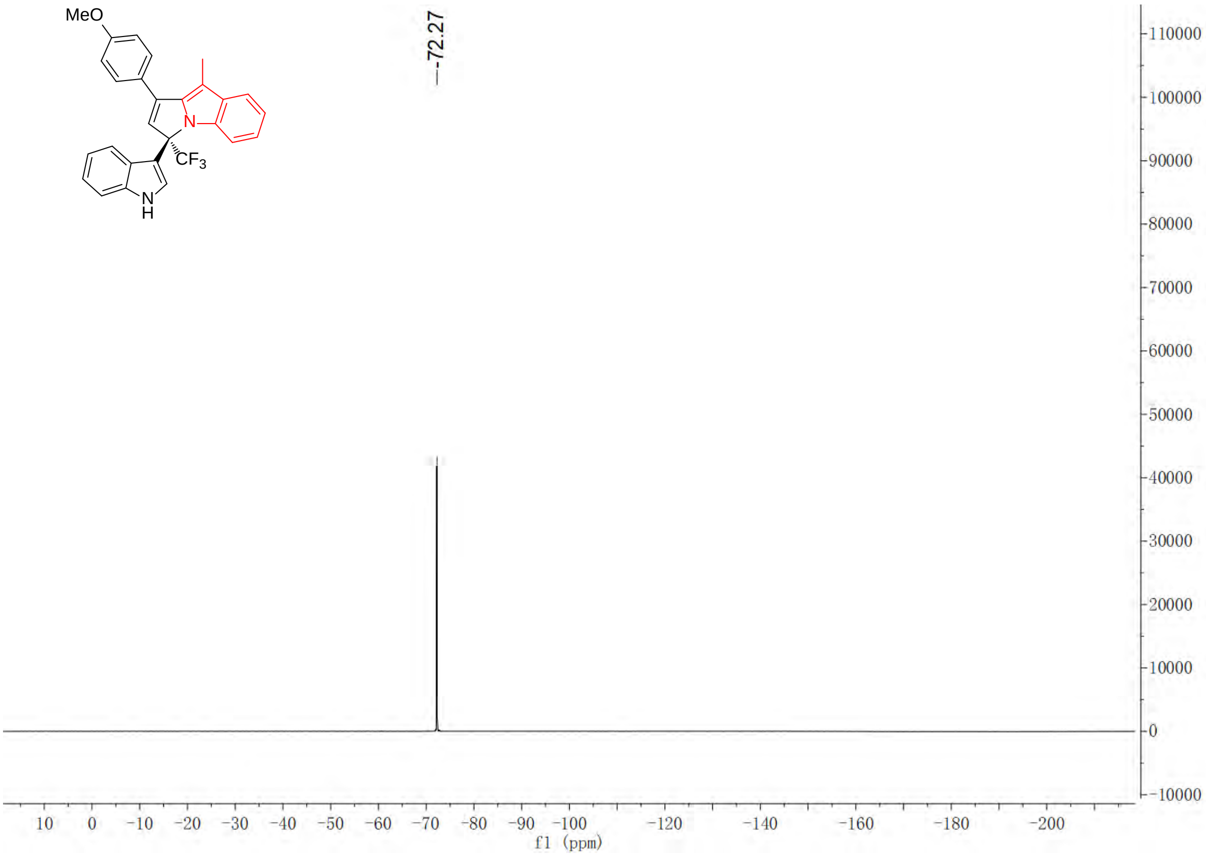
^1H NMR of compound 7w (in CDCl$_3$)



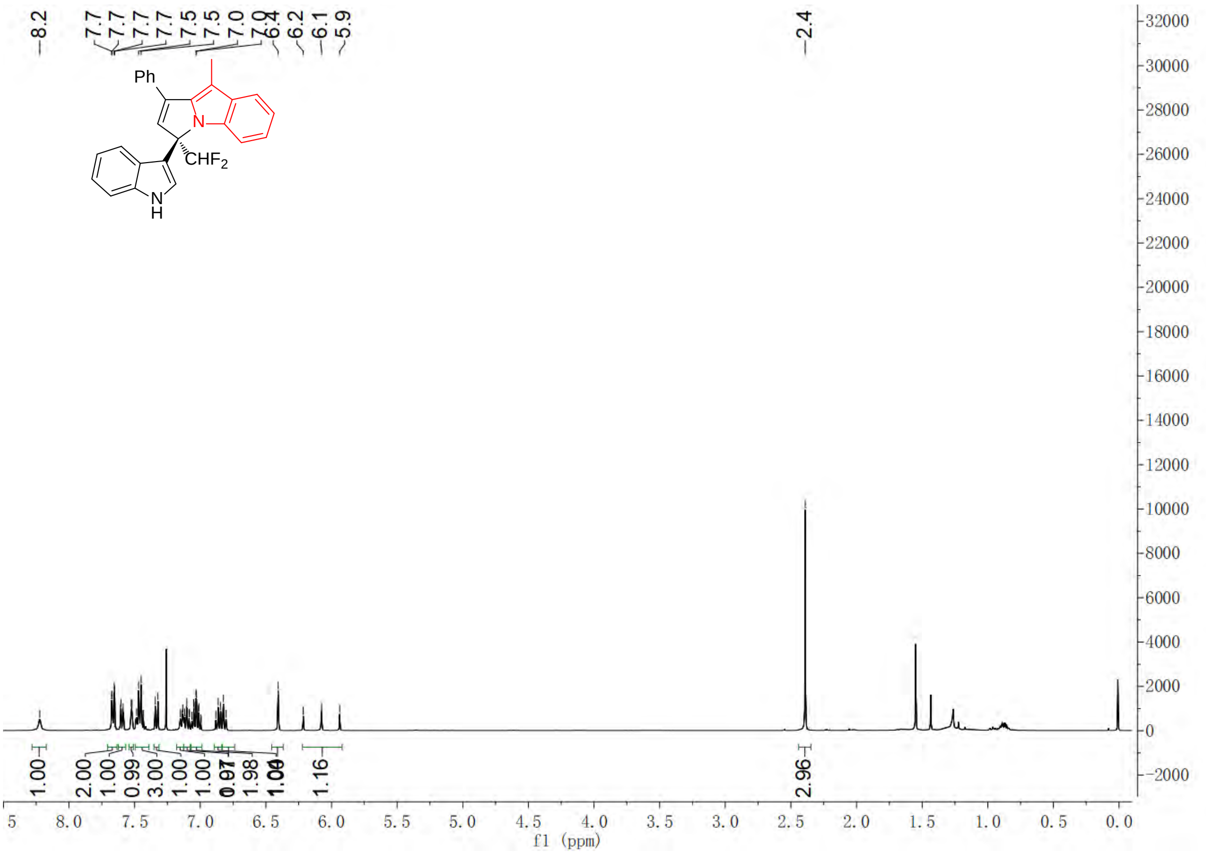
^{13}C NMR of compound 7w (in CDCl$_3$)



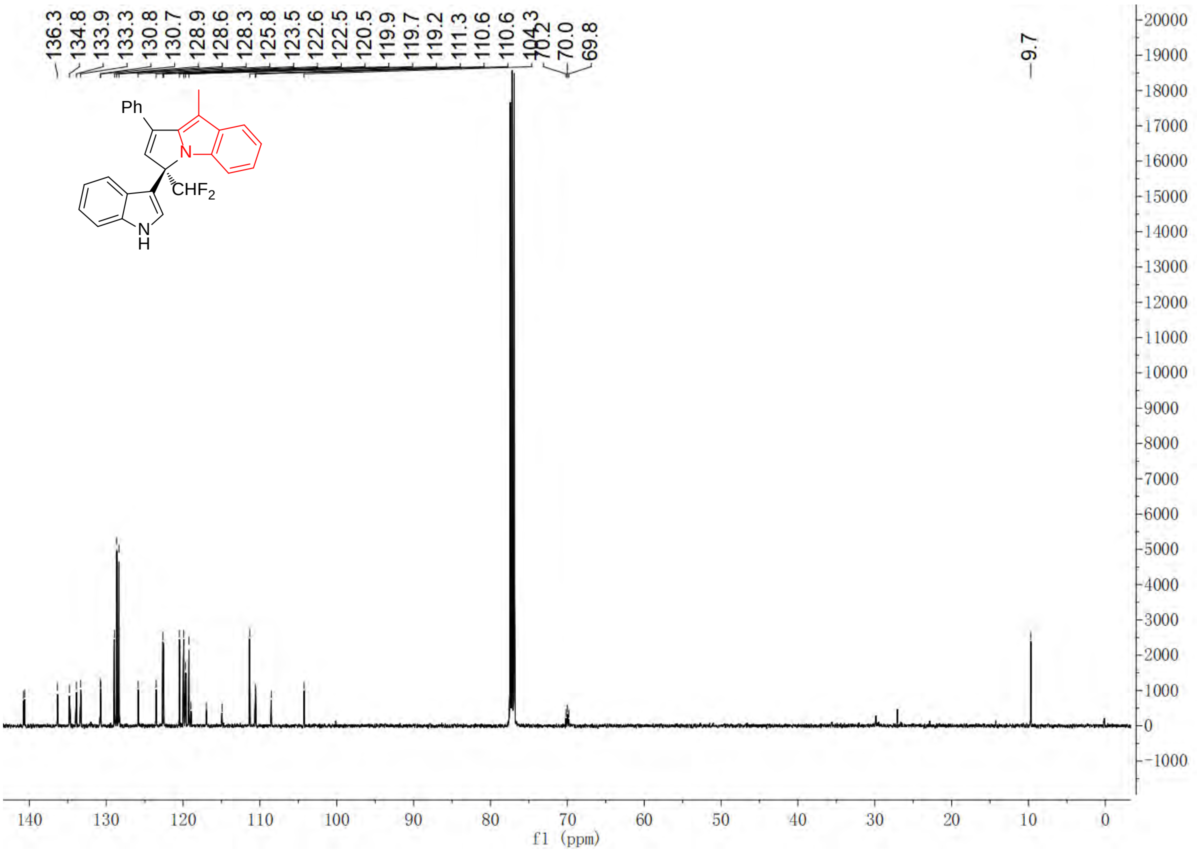
^{19}F NMR of compound 7w (in $CDCl_3$)



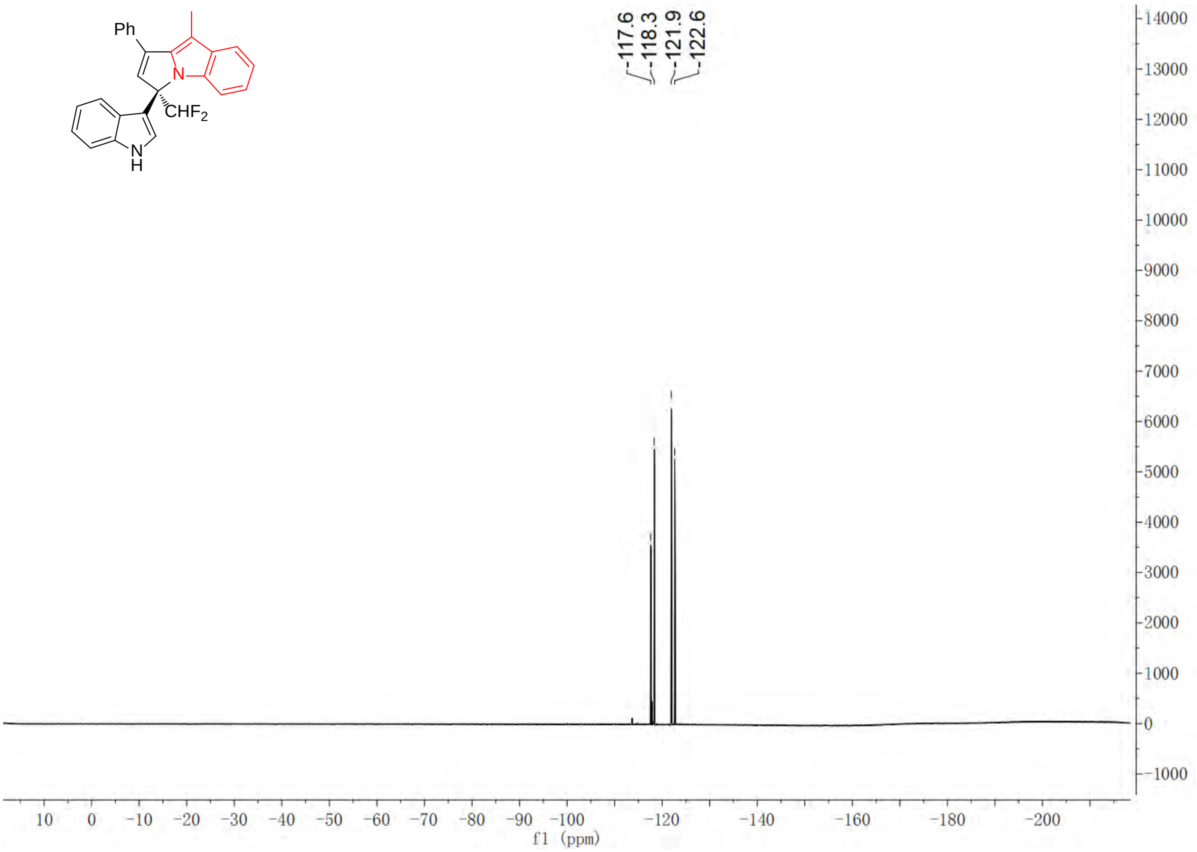
^{1}H NMR of compound 7x (in $CDCl_3$)



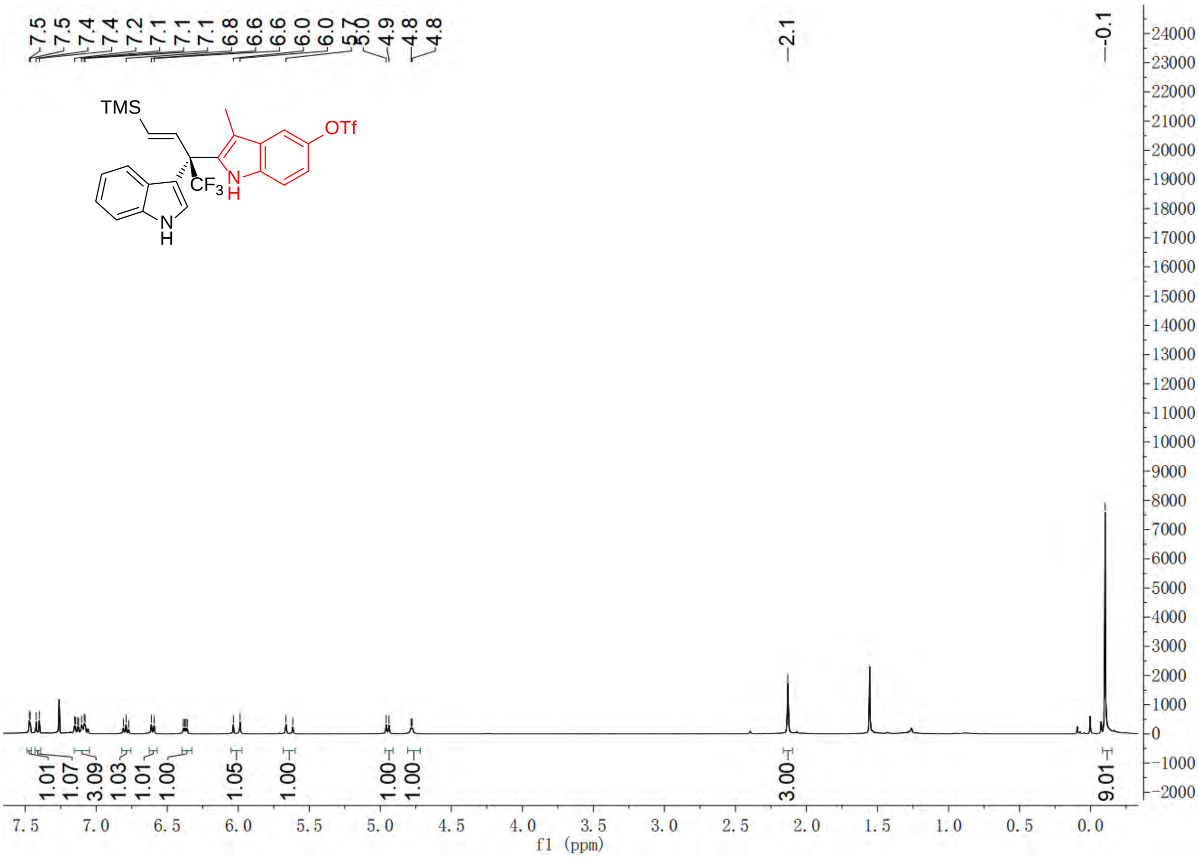
^{13}C NMR of compound 7x (in CDCl$_3$)



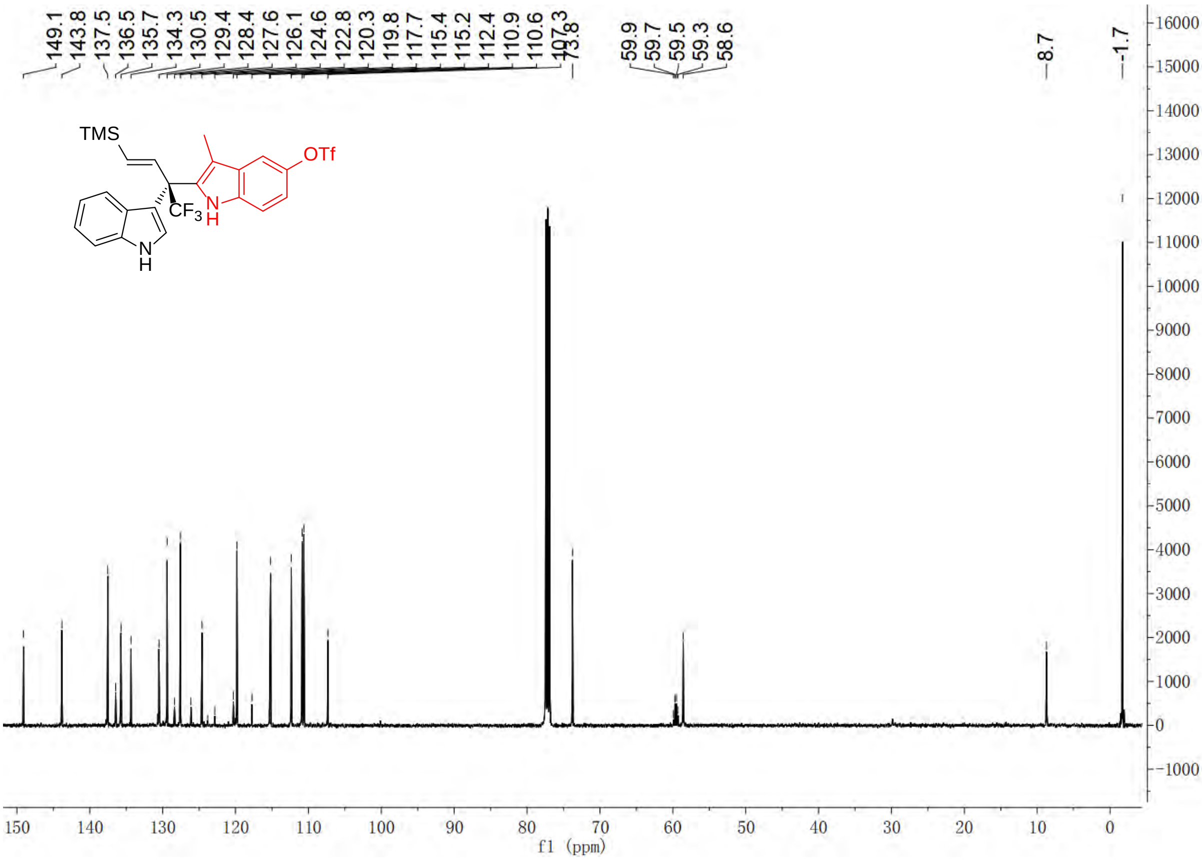
^{19}F NMR of compound 7x (in CDCl$_3$)



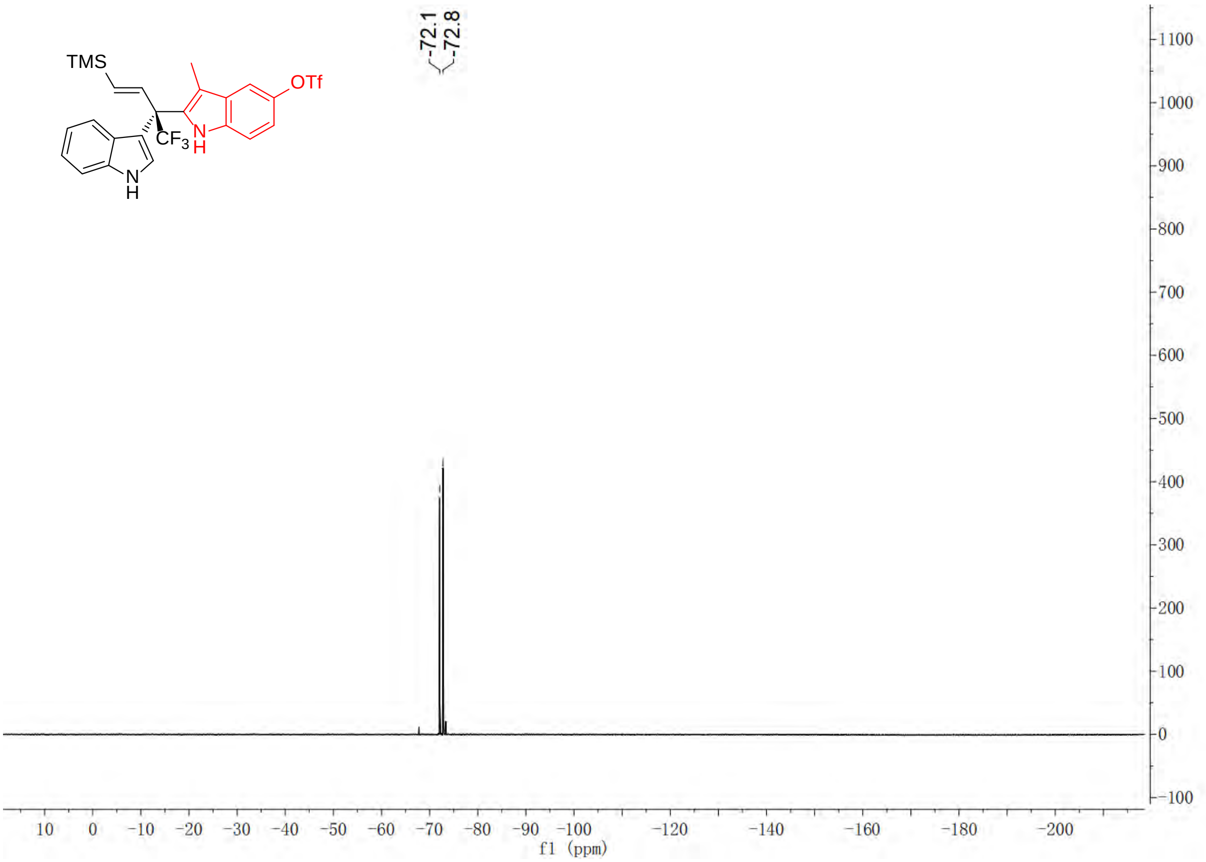
^{1}H NMR of compound 9 (in $CDCl_3$)



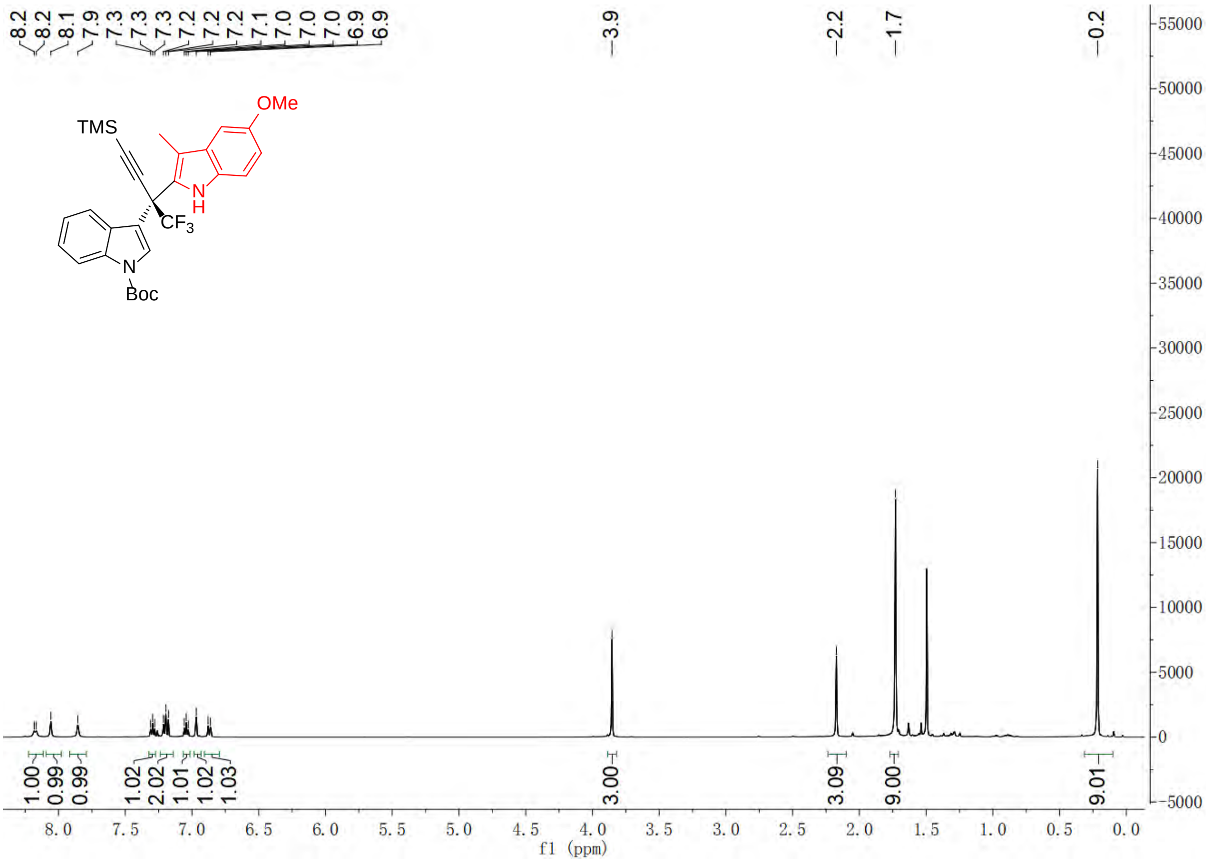
^{13}C NMR of compound 9 (in $CDCl_3$)



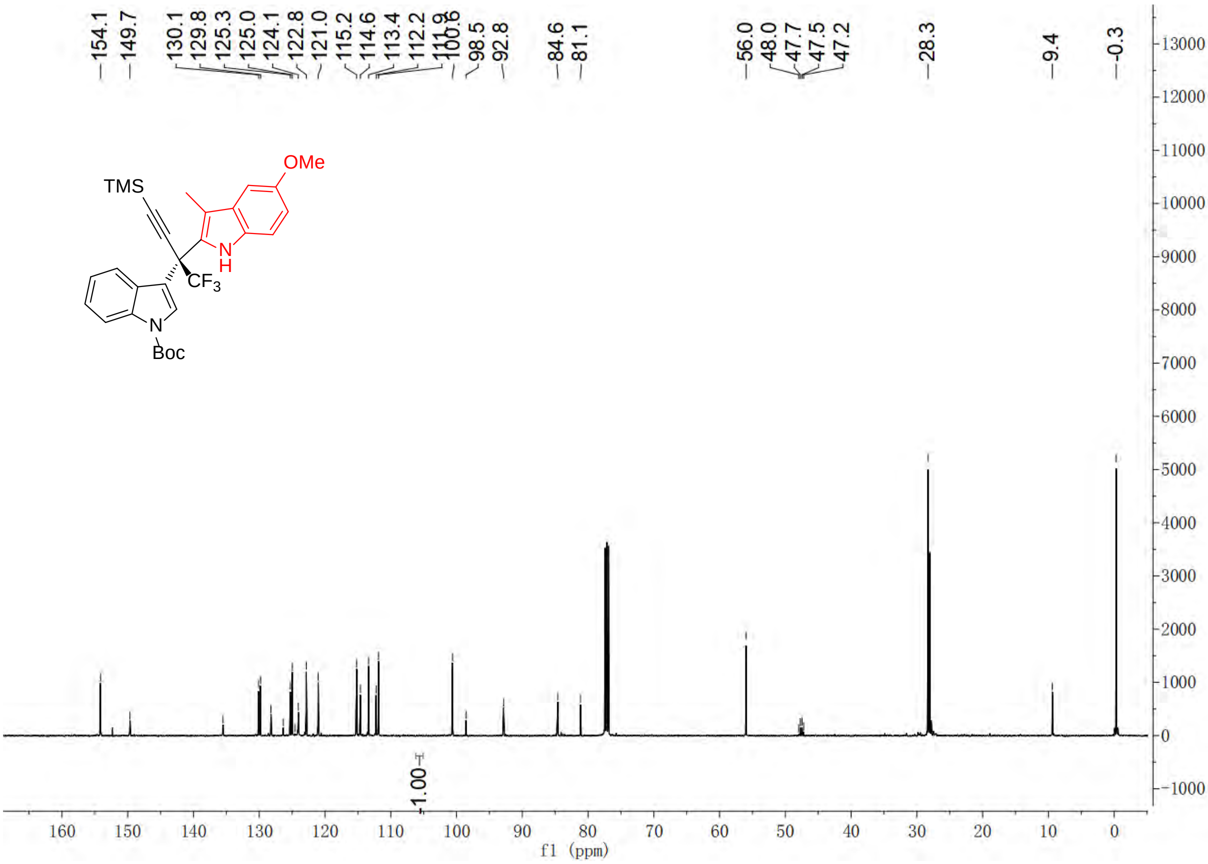
[19]F NMR of compound 9 (in CDCl₃)



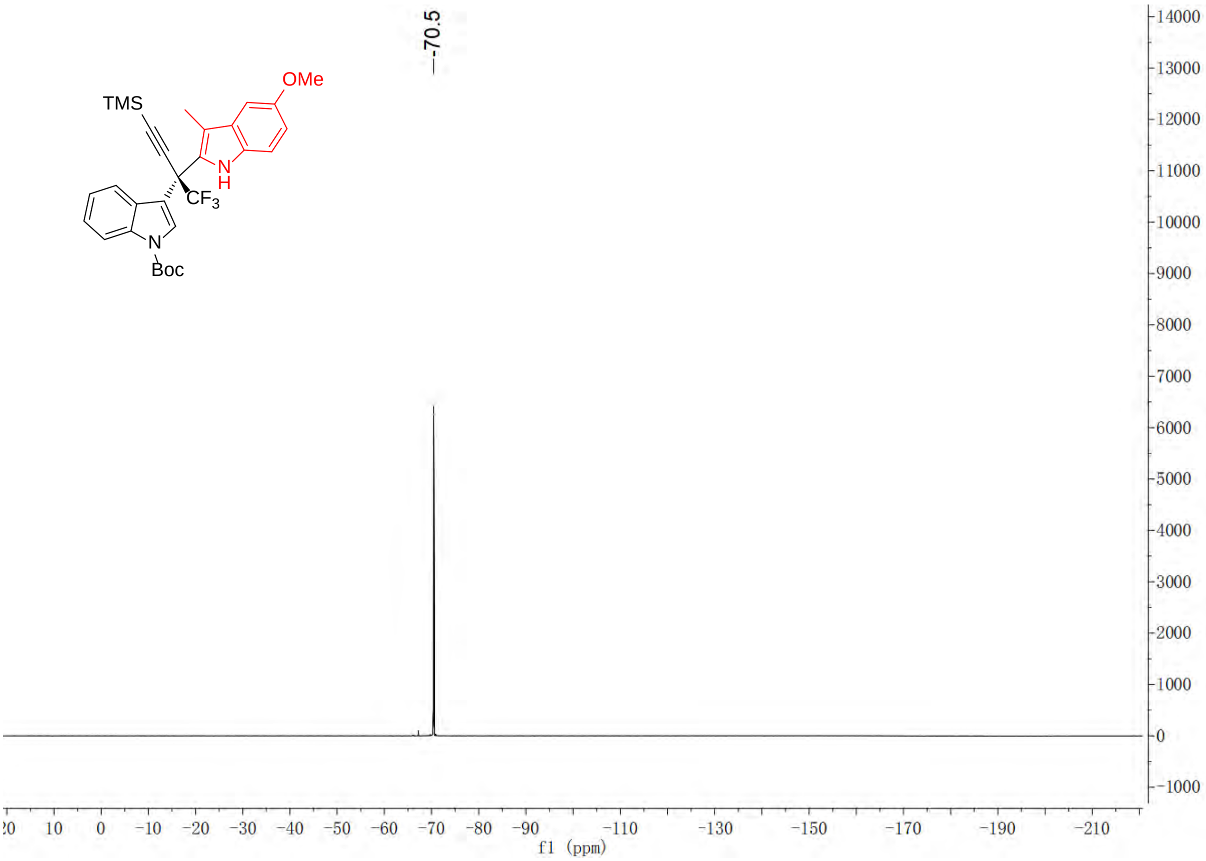
[1]H NMR of compound 10 (in CDCl₃)



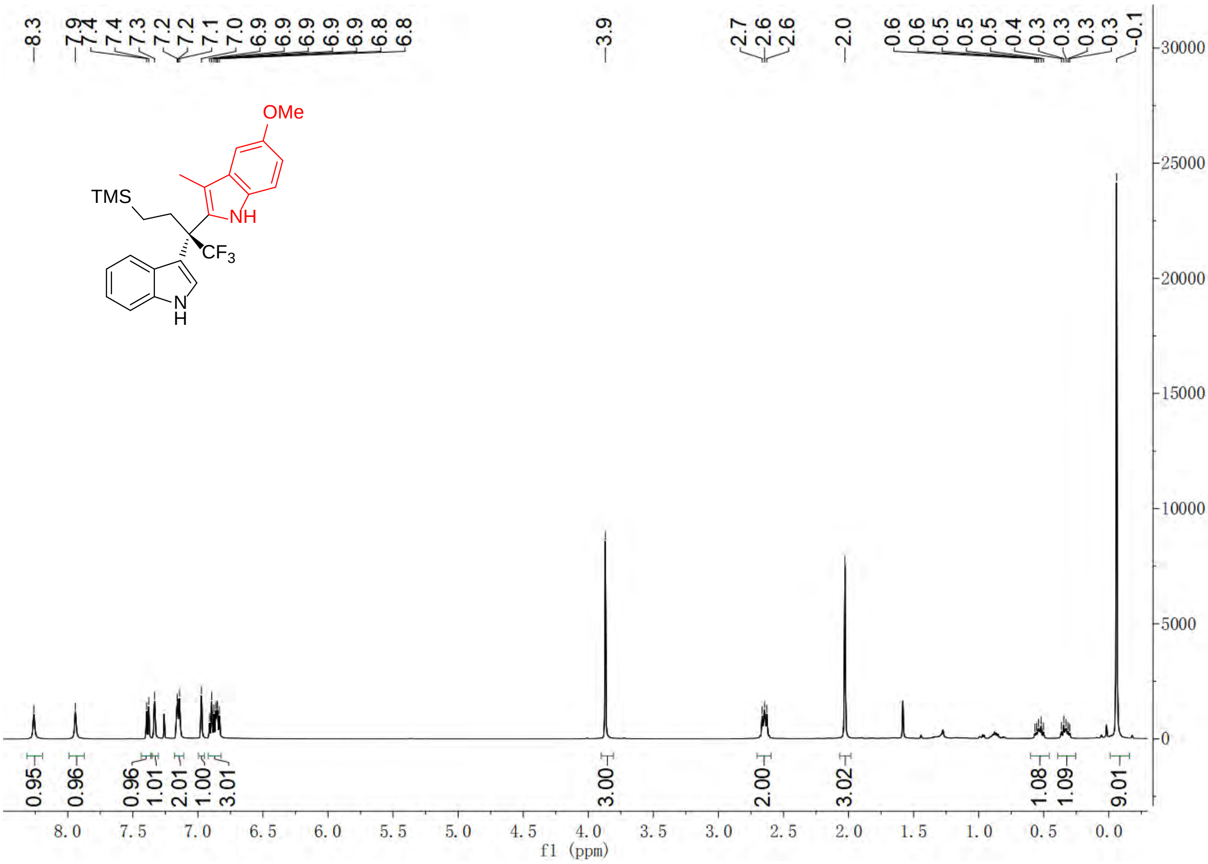
^{13}C NMR of compound 10 (in $CDCl_3$)



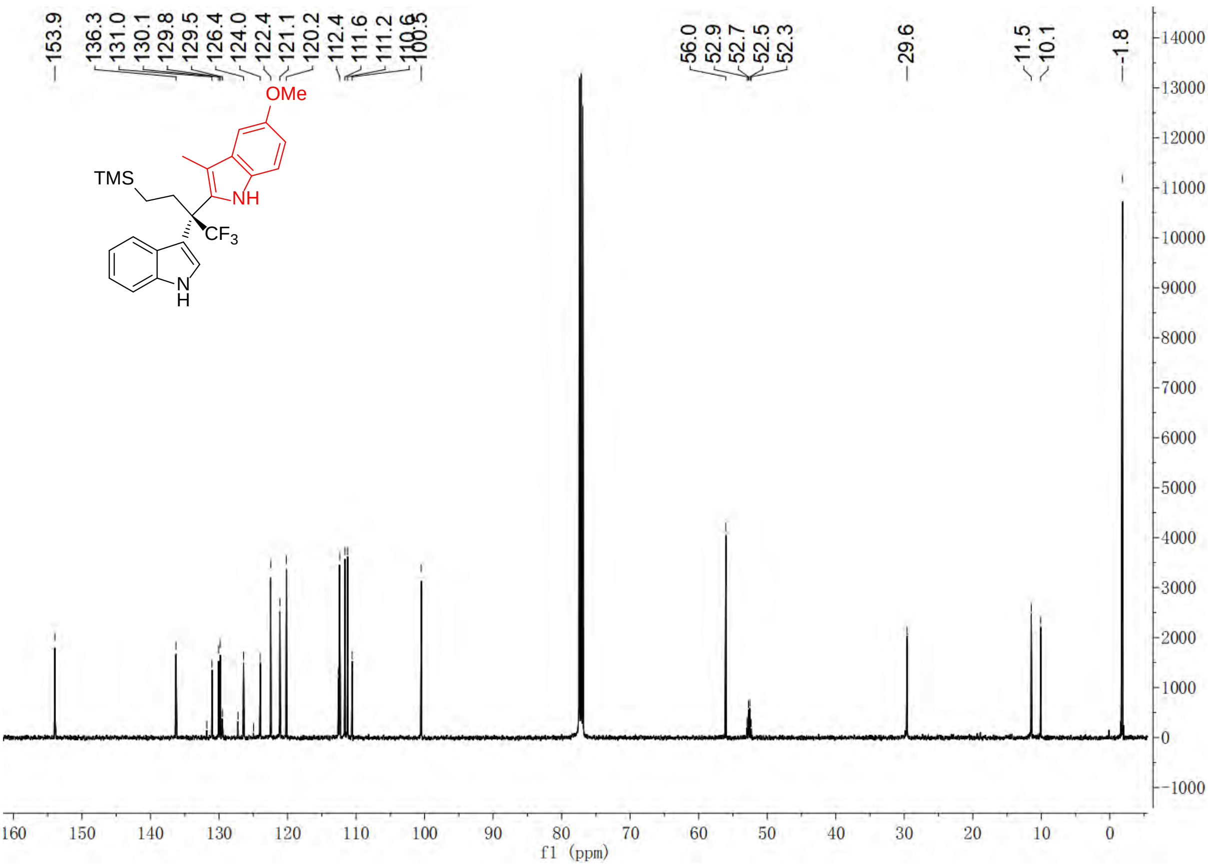
^{19}F NMR of compound 10 (in $CDCl_3$)



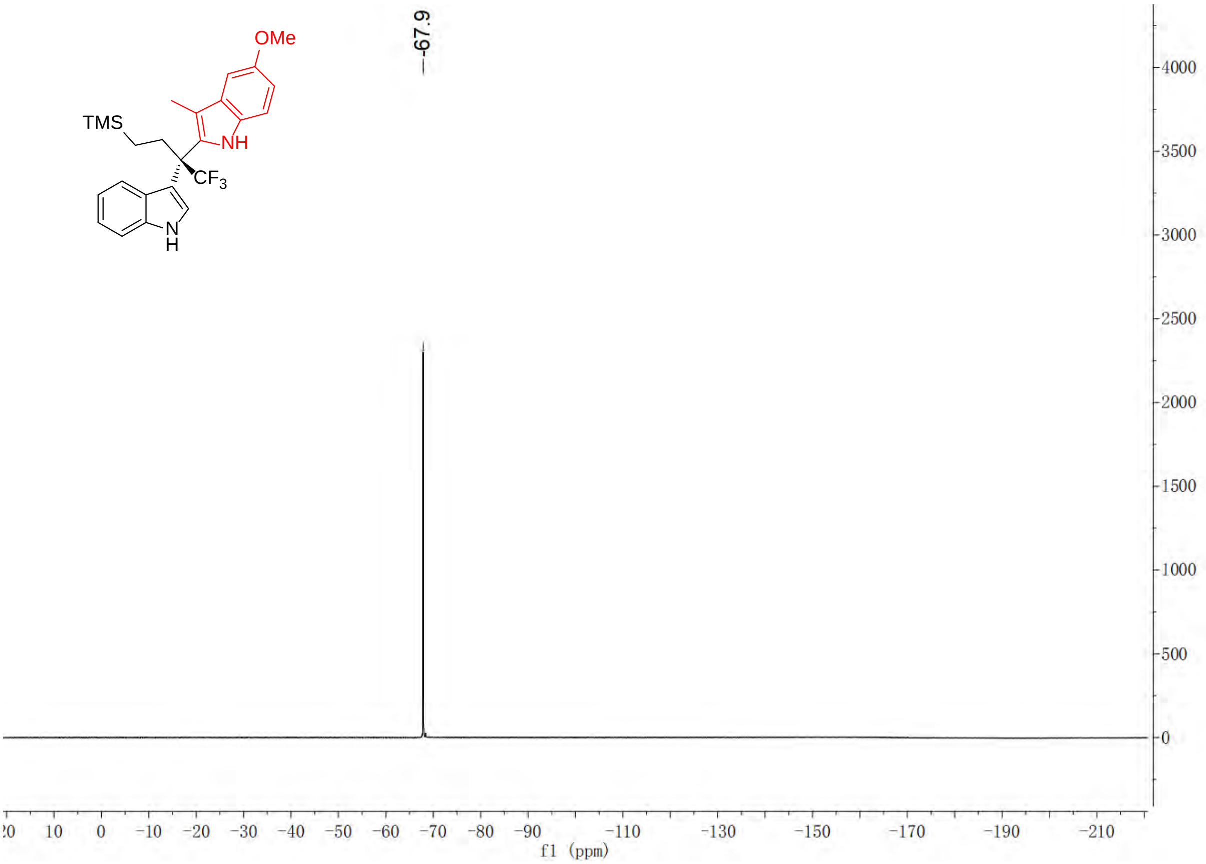
[1]H NMR of compound 11 (in $CDCl_3$)



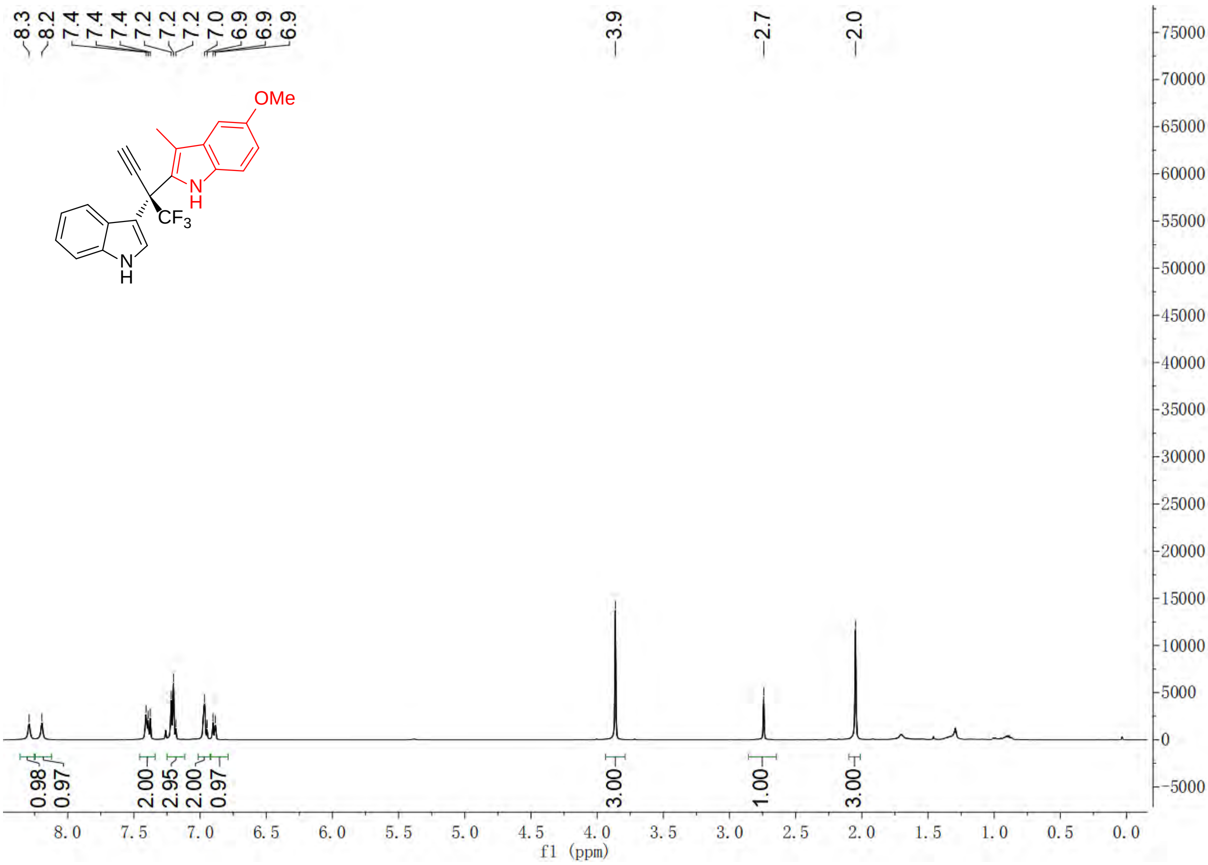
[13]C NMR of compound 11 (in $CDCl_3$)



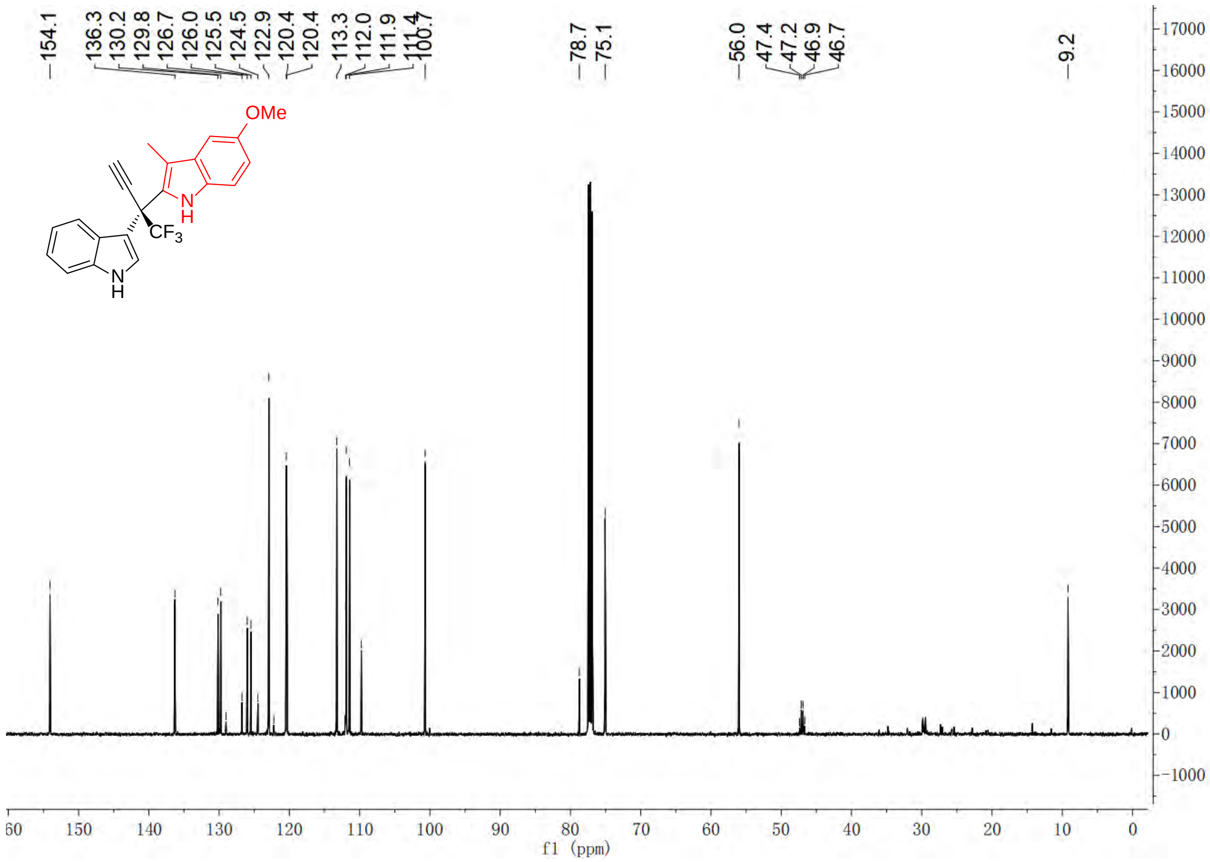
^{19}F NMR of compound 11 (in $CDCl_3$)



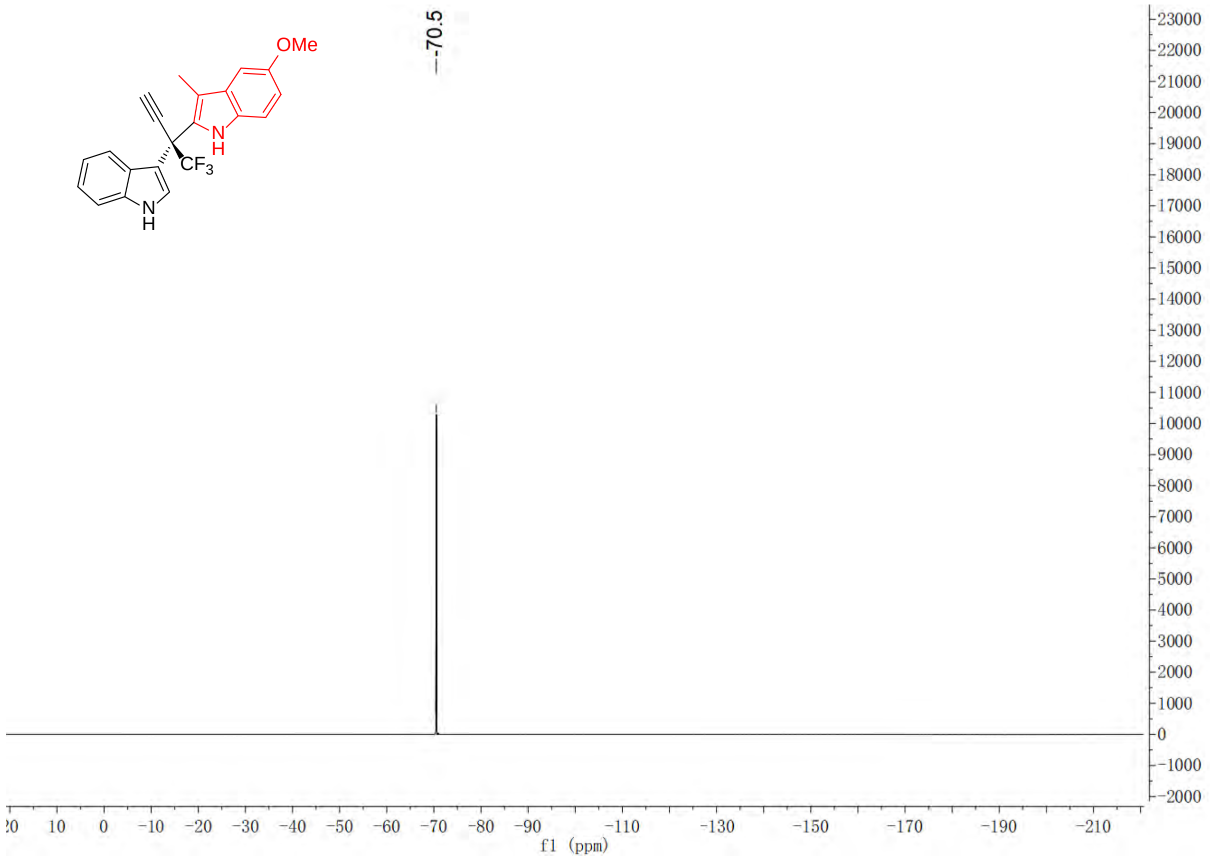
^{1}H NMR of compound 12 (in $CDCl_3$)



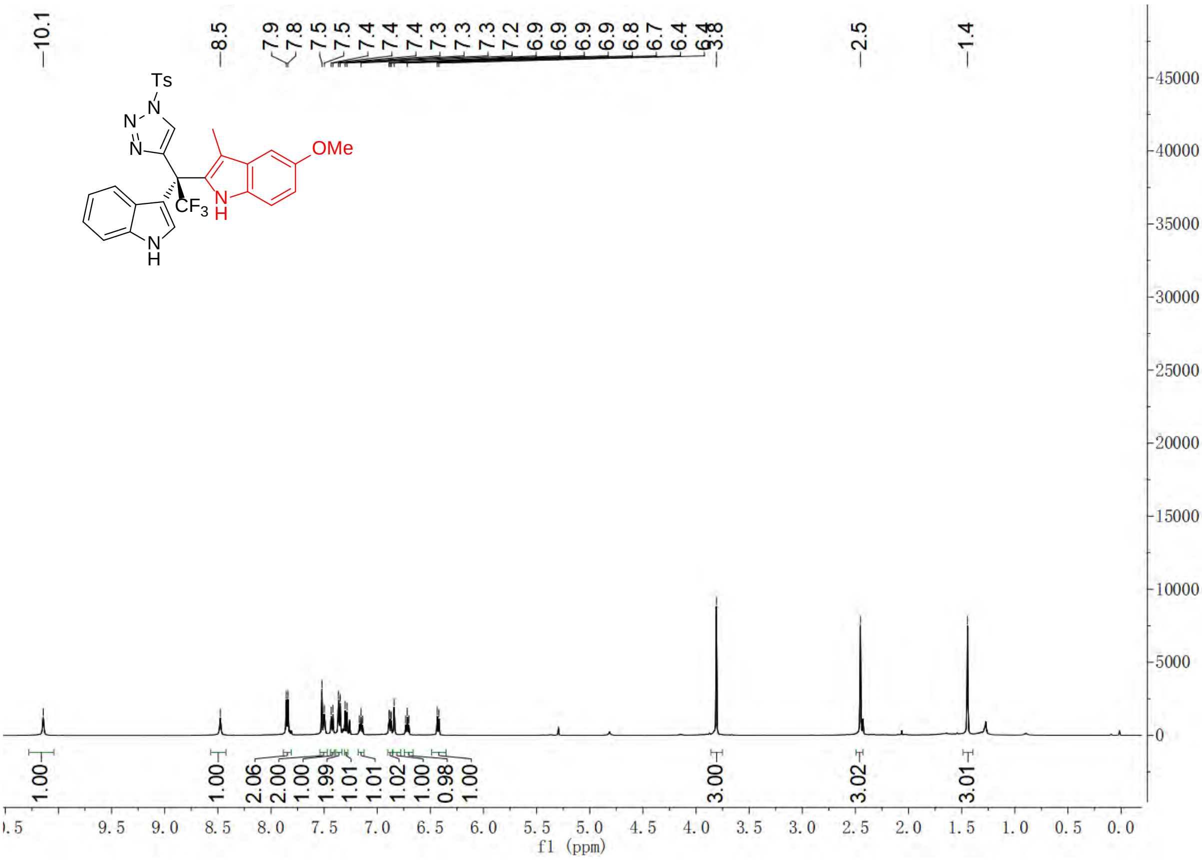
^{13}C NMR of compound 12 (in $CDCl_3$)



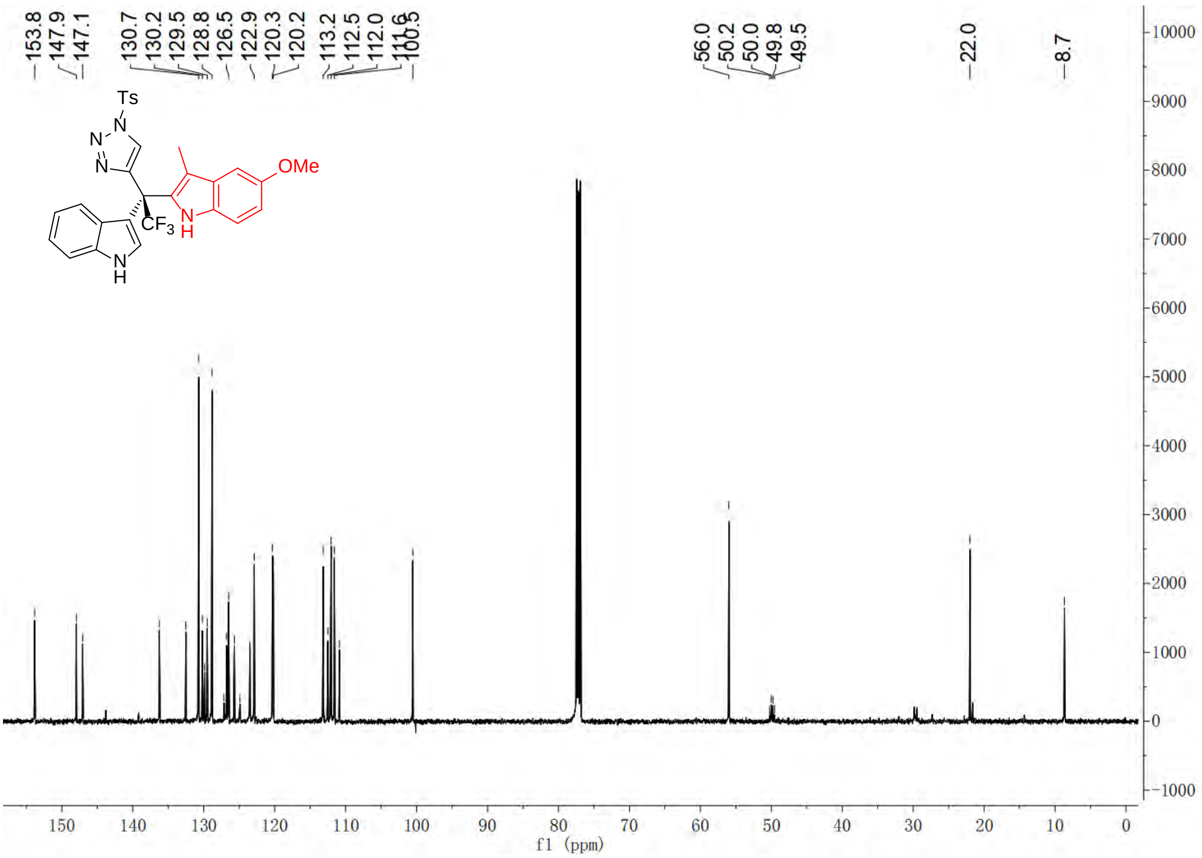
^{19}F NMR of compound 12 (in $CDCl_3$)



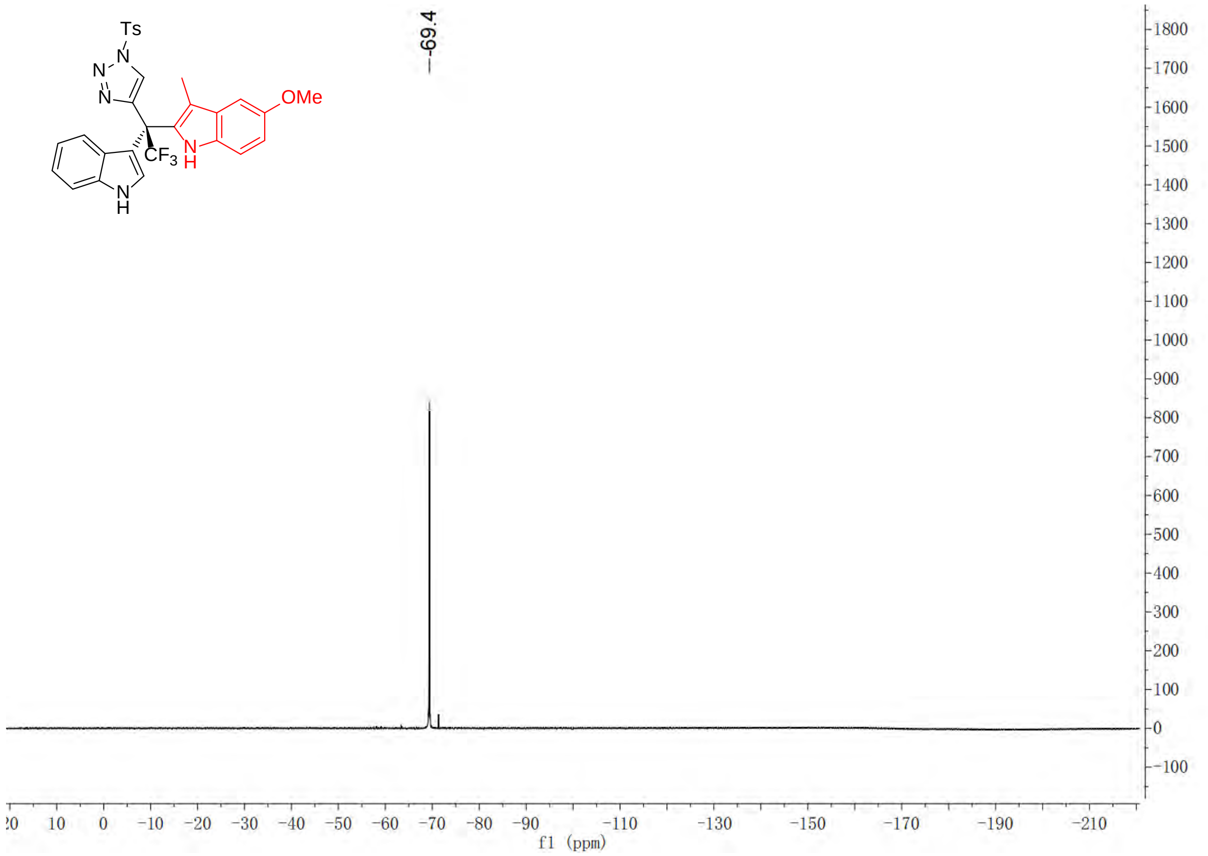
^{1}H NMR of compound 13a (in $CDCl_3$)



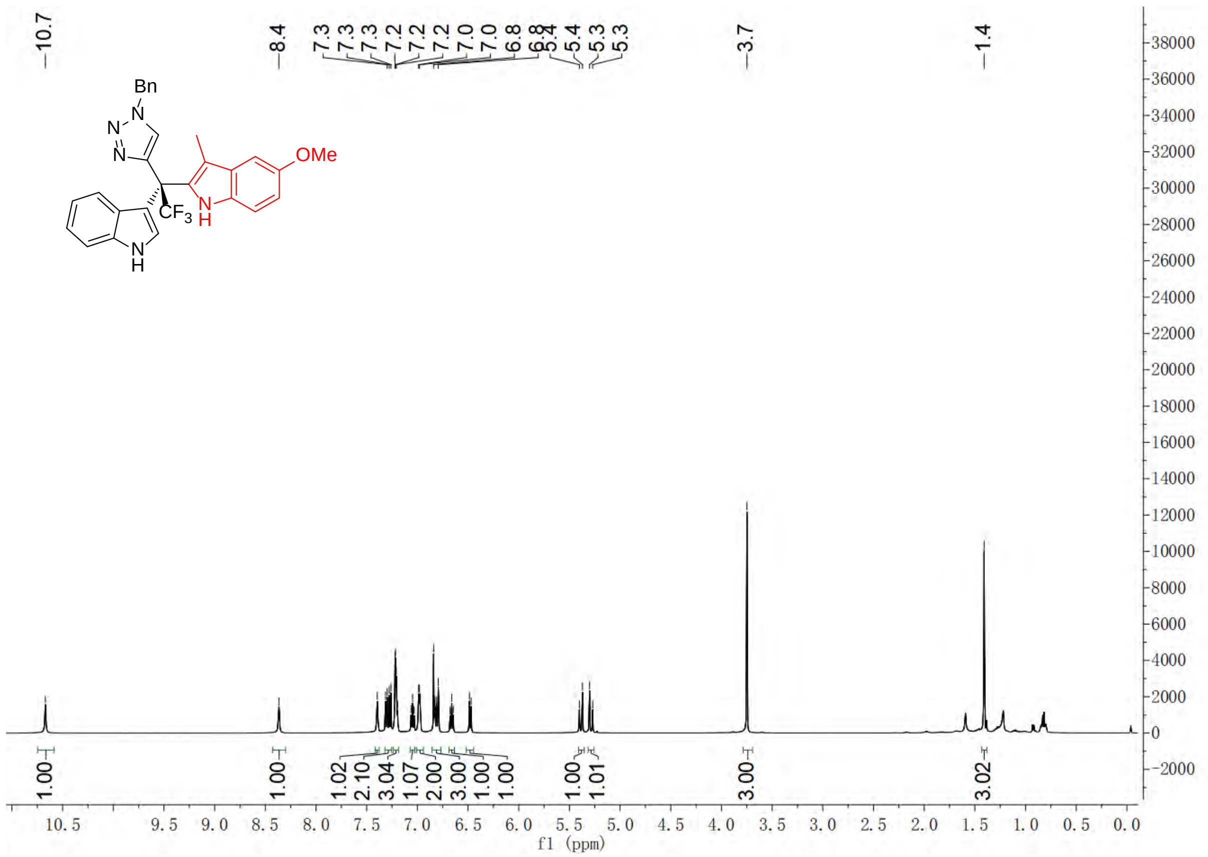
^{13}C NMR of compound 13a (in $CDCl_3$)



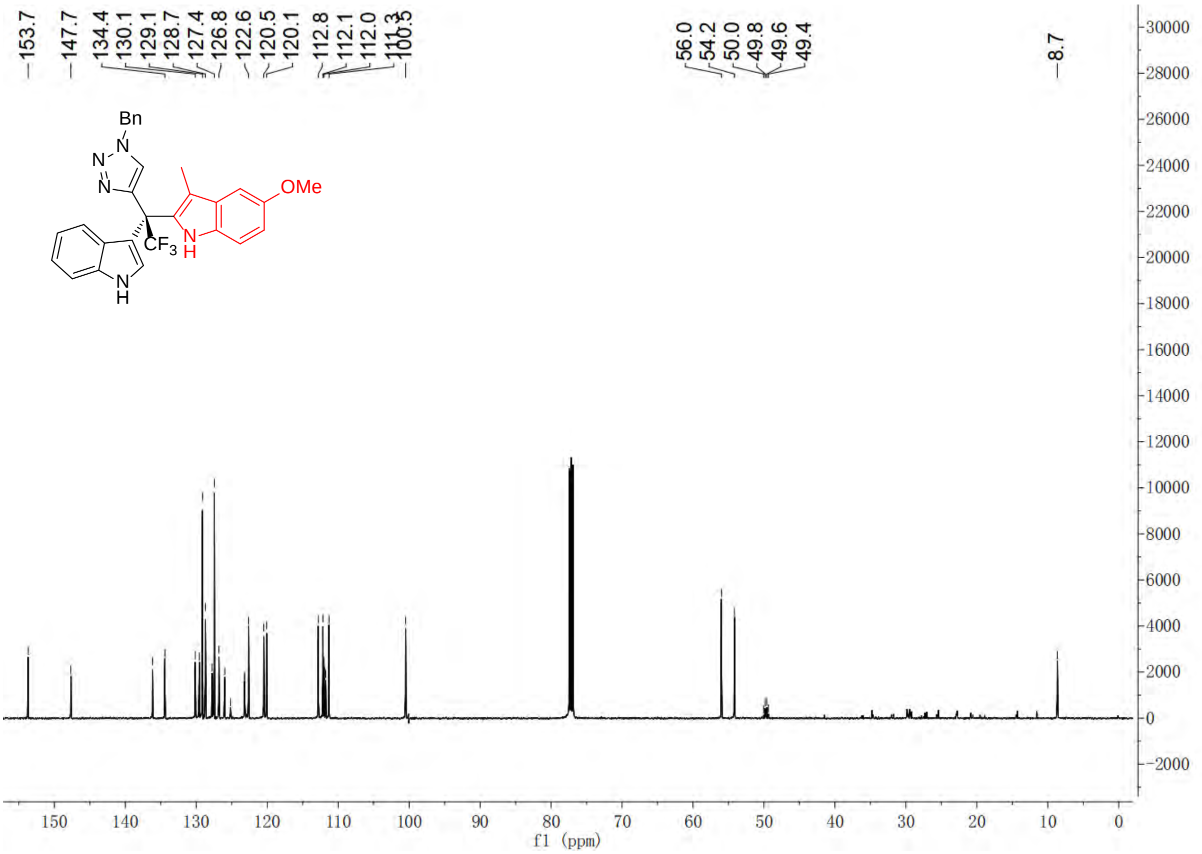
^{19}F NMR of compound 13a (in $CDCl_3$)



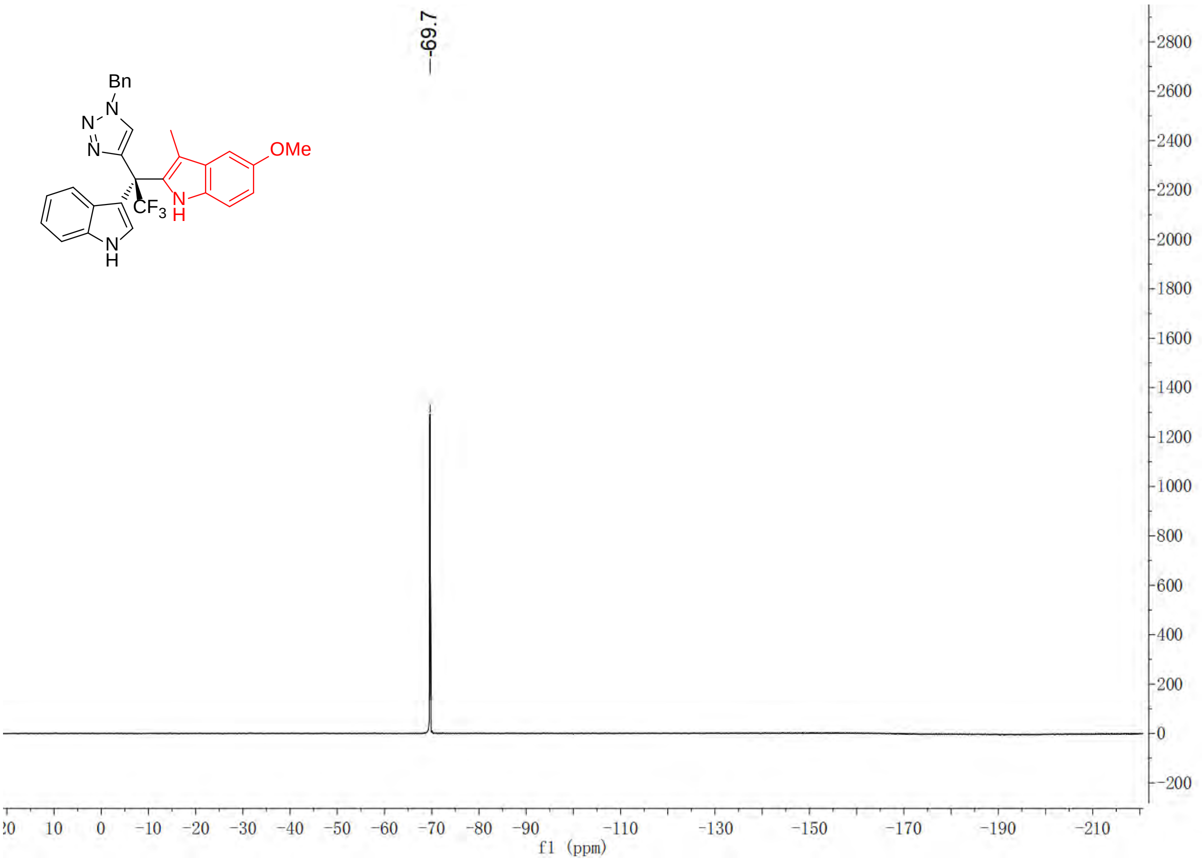
^{1}H NMR of compound 13b (in $CDCl_3$)



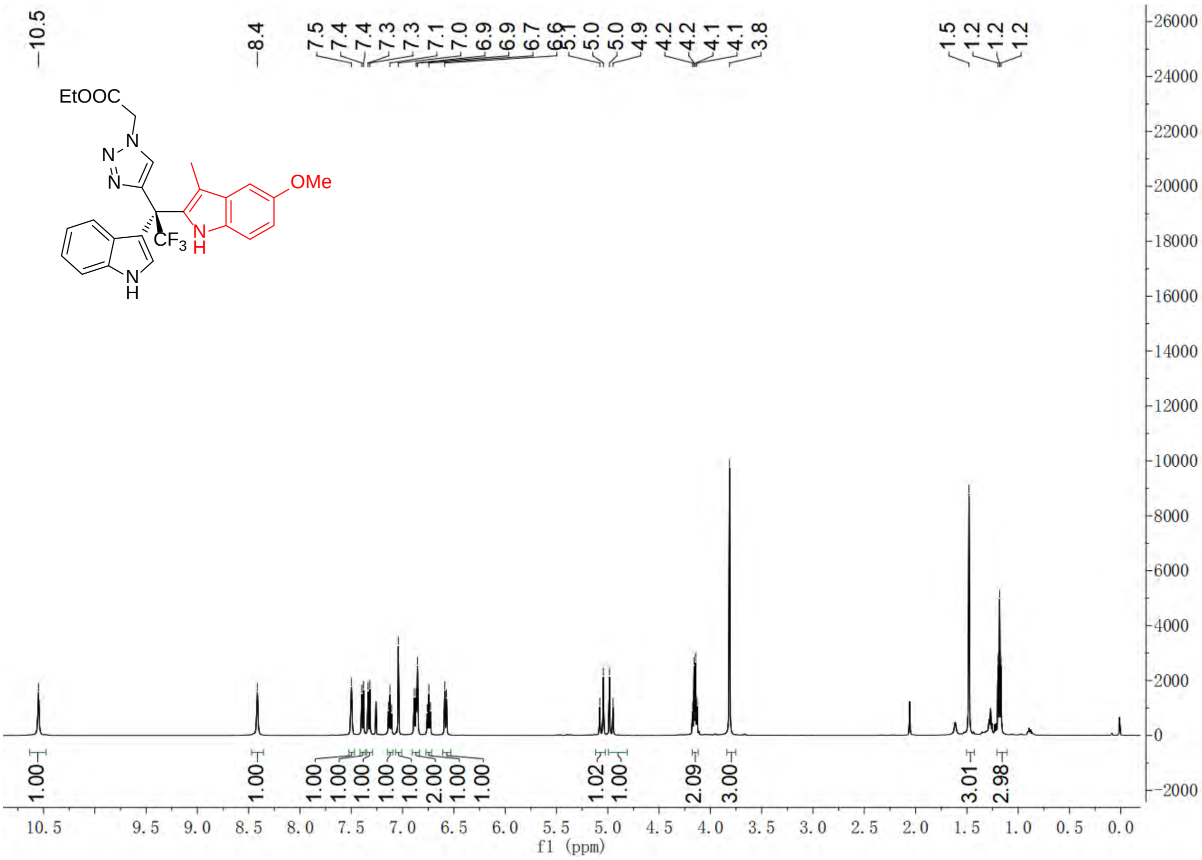
^{13}C NMR of compound 13b (in $CDCl_3$)



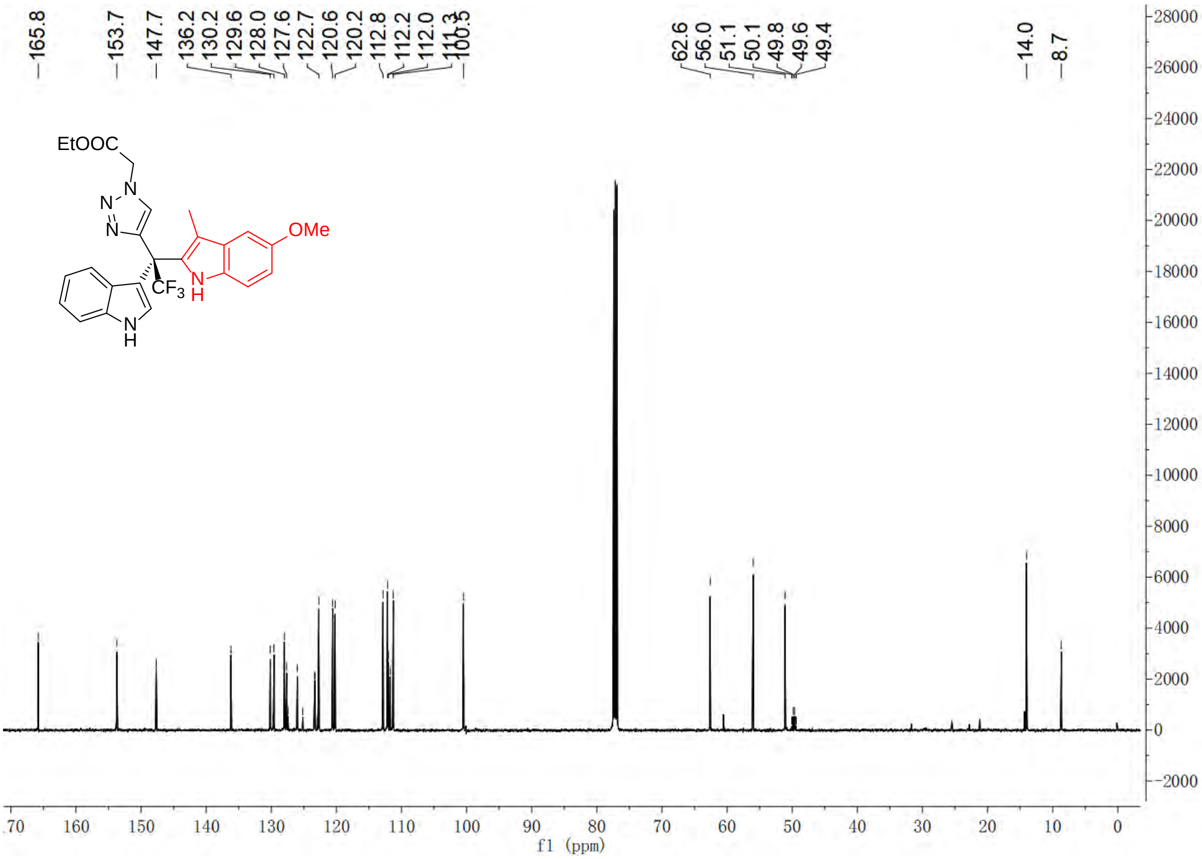
^{19}F NMR of compound 13b (in $CDCl_3$)



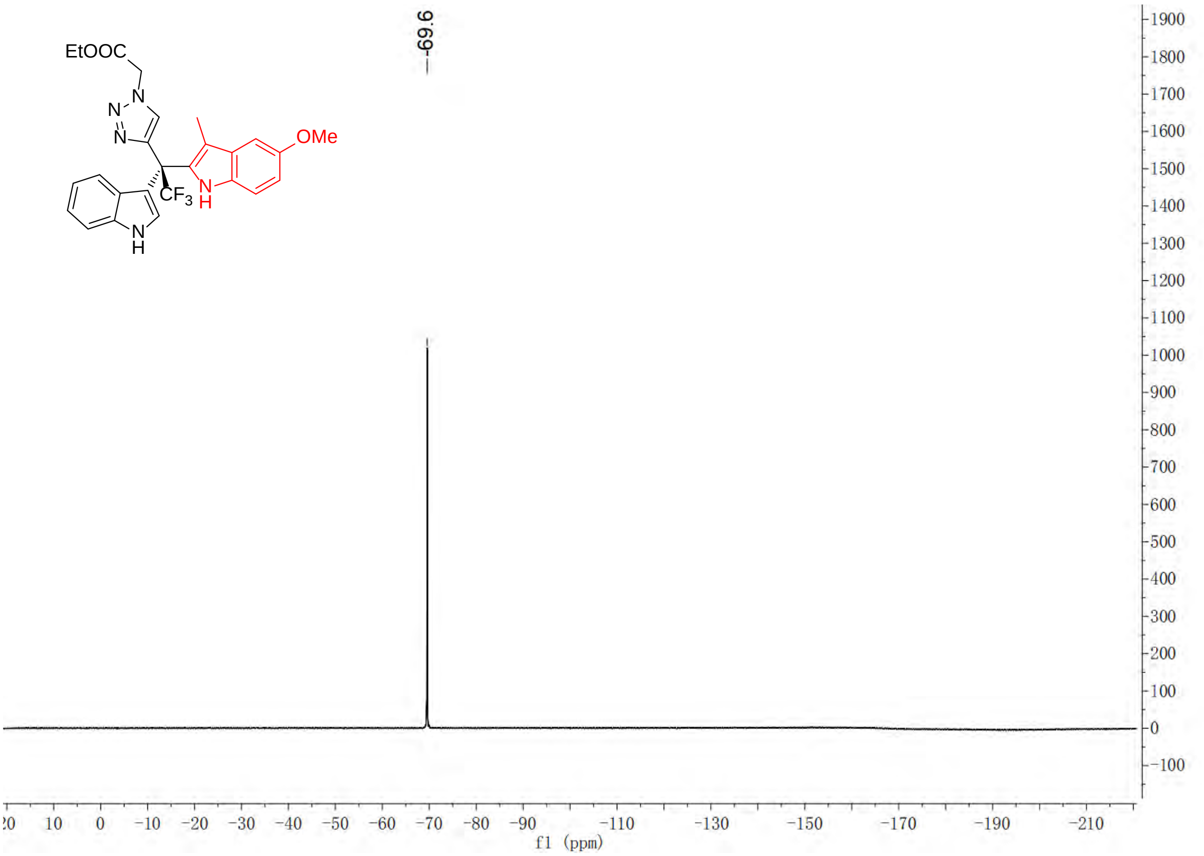
^{1}H NMR of compound 13c (in $CDCl_3$)



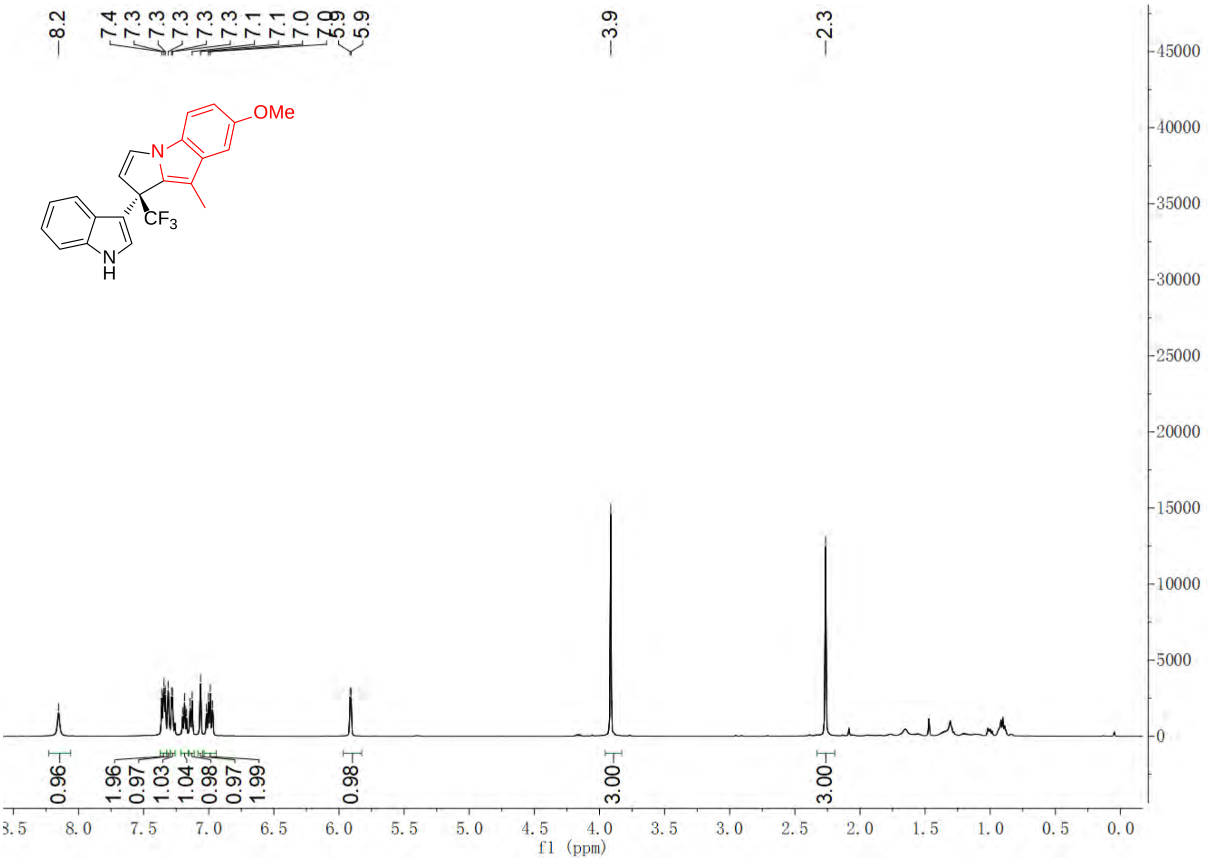
^{13}C NMR of compound 13c (in $CDCl_3$)



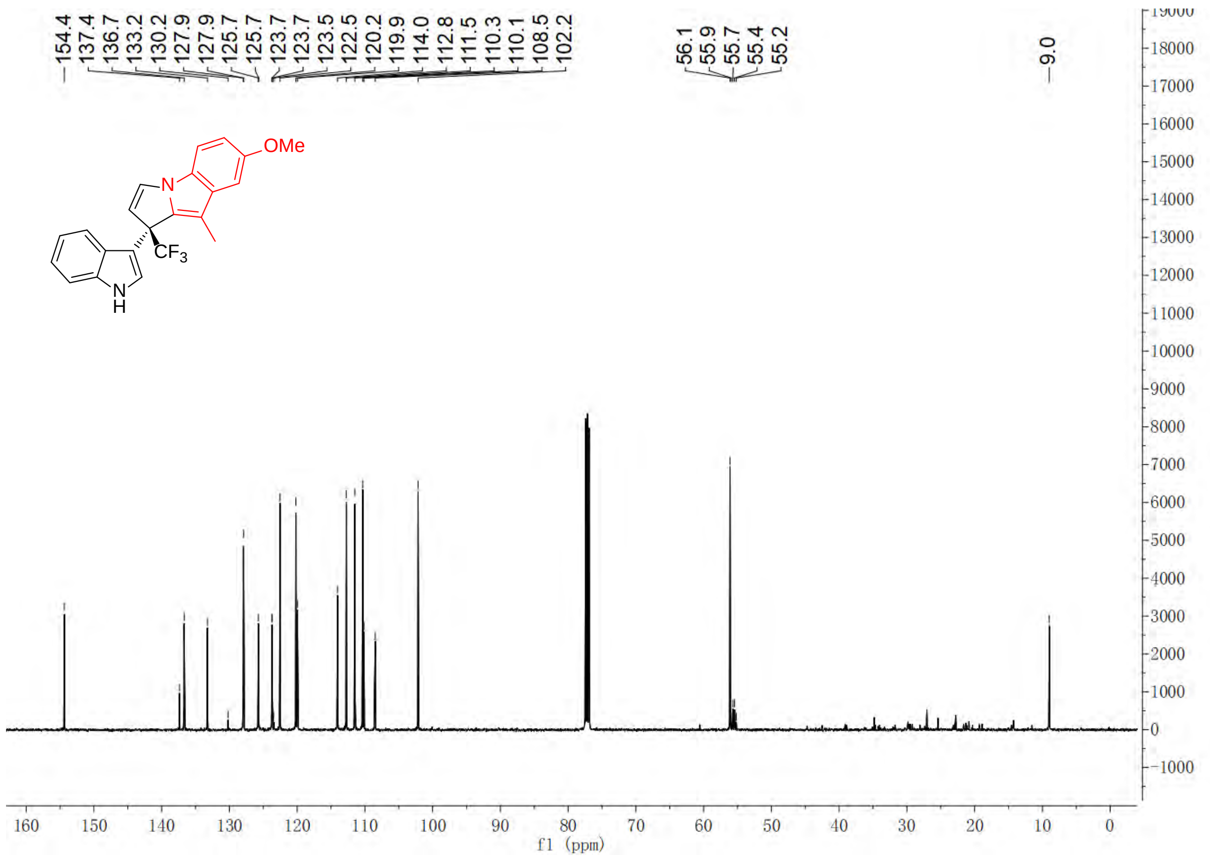
^{19}F NMR of compound 13c (in $CDCl_3$)



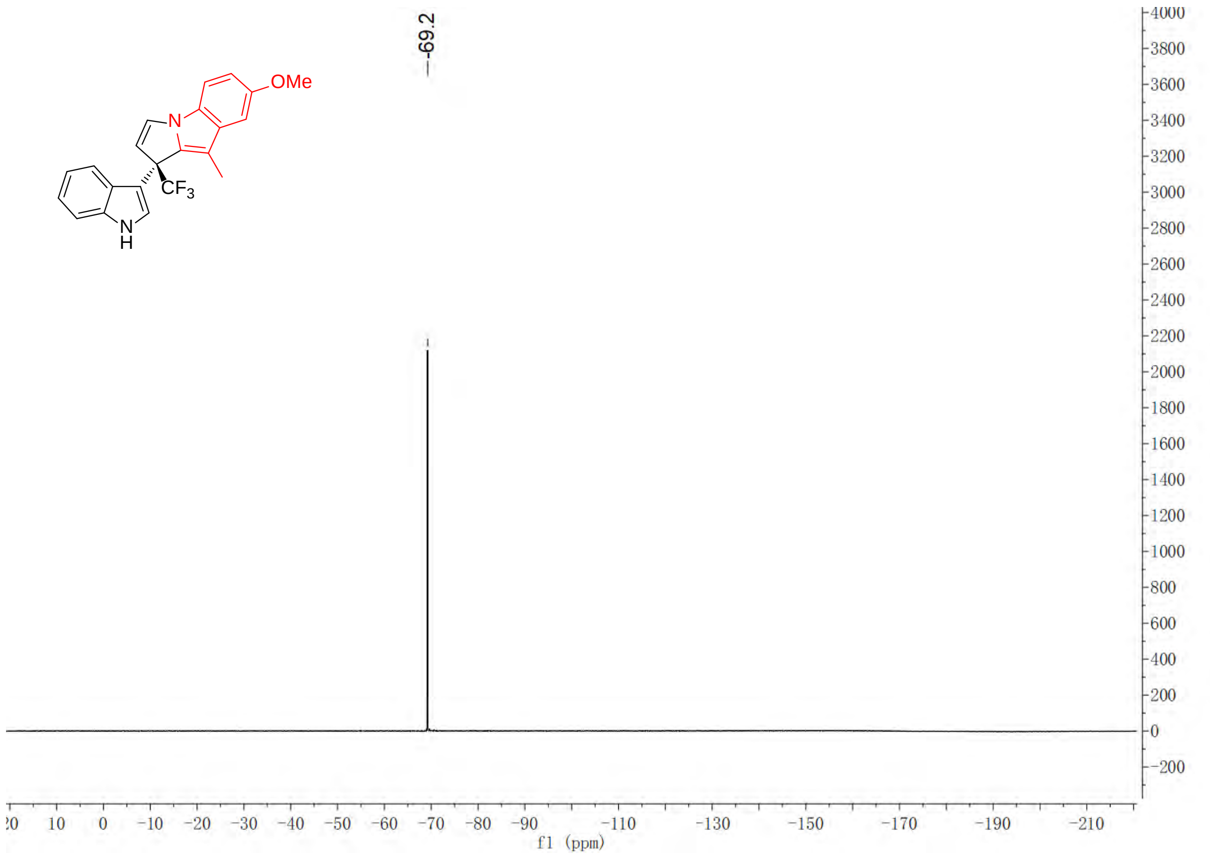
^{1}H NMR of compound 14 (in $CDCl_3$)



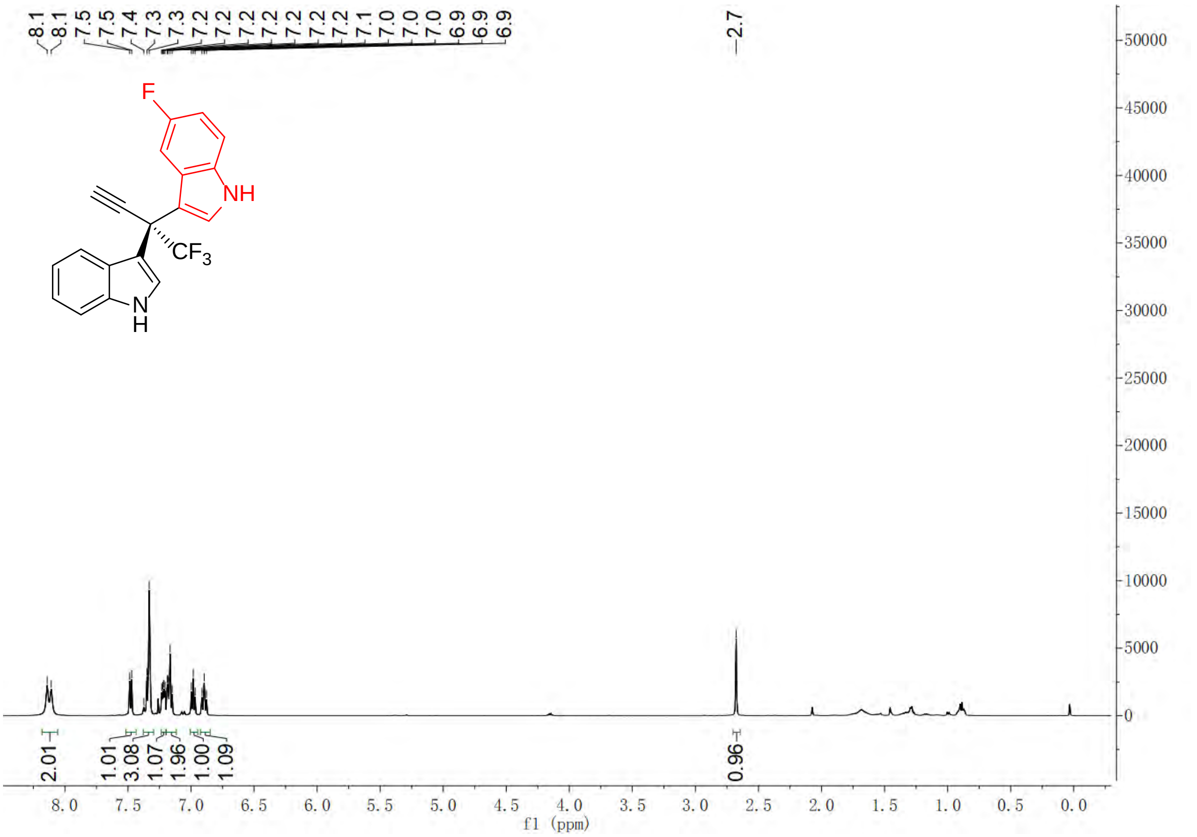
^{13}C NMR of compound 14 (in $CDCl_3$)



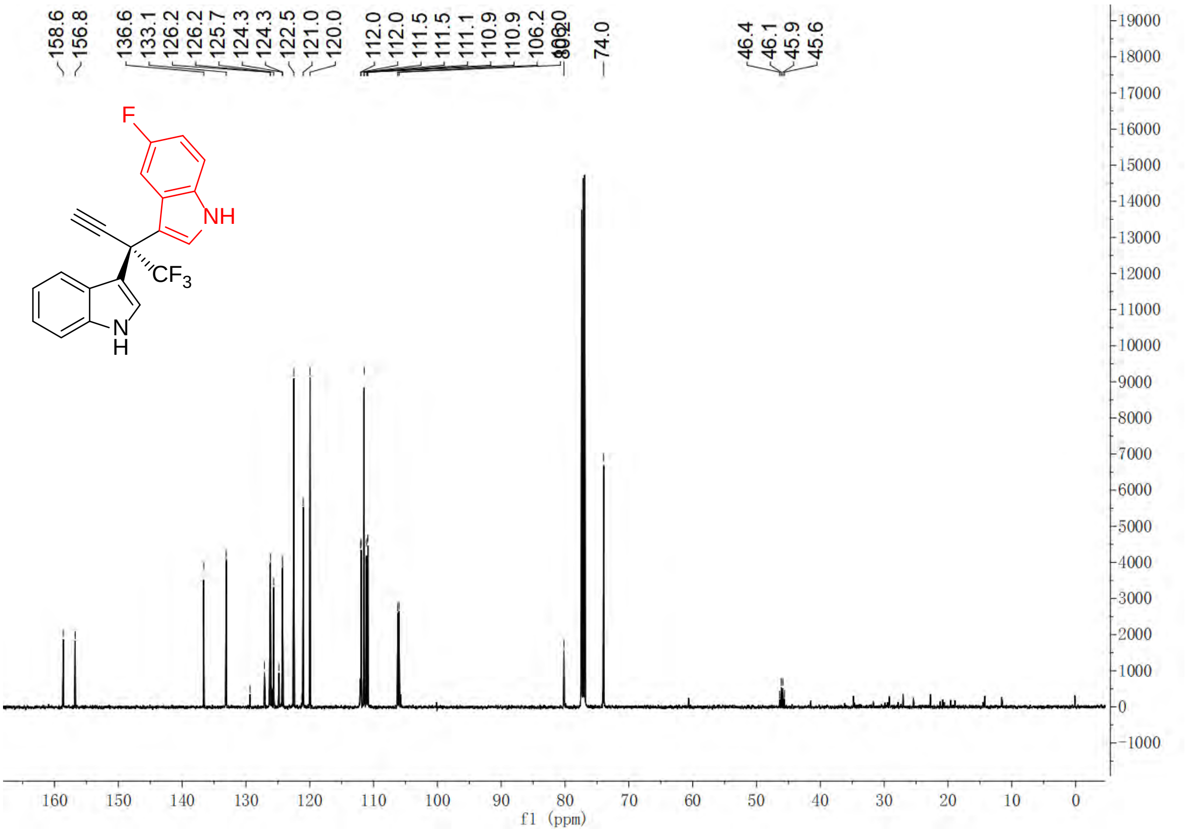
^{19}F NMR of compound 14 (in $CDCl_3$)



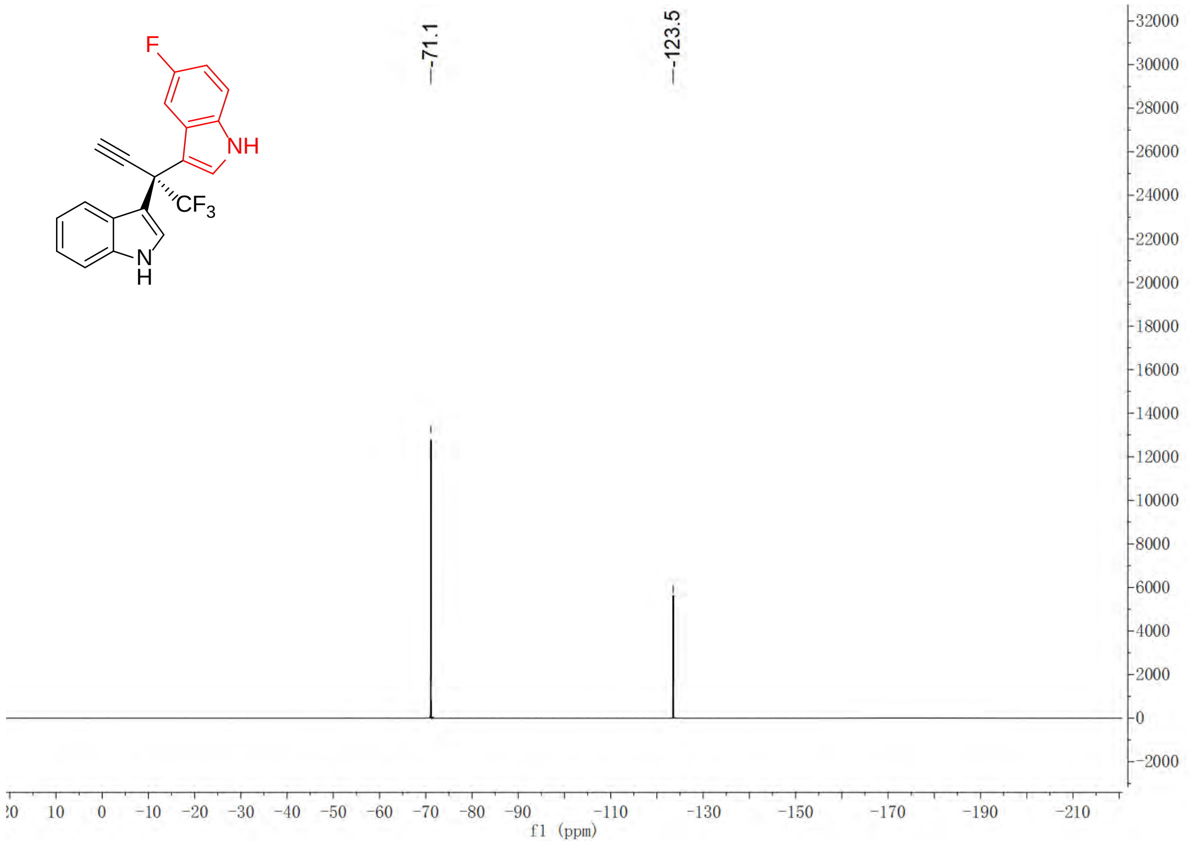
^{1}H NMR of compound 15 (in $CDCl_3$)



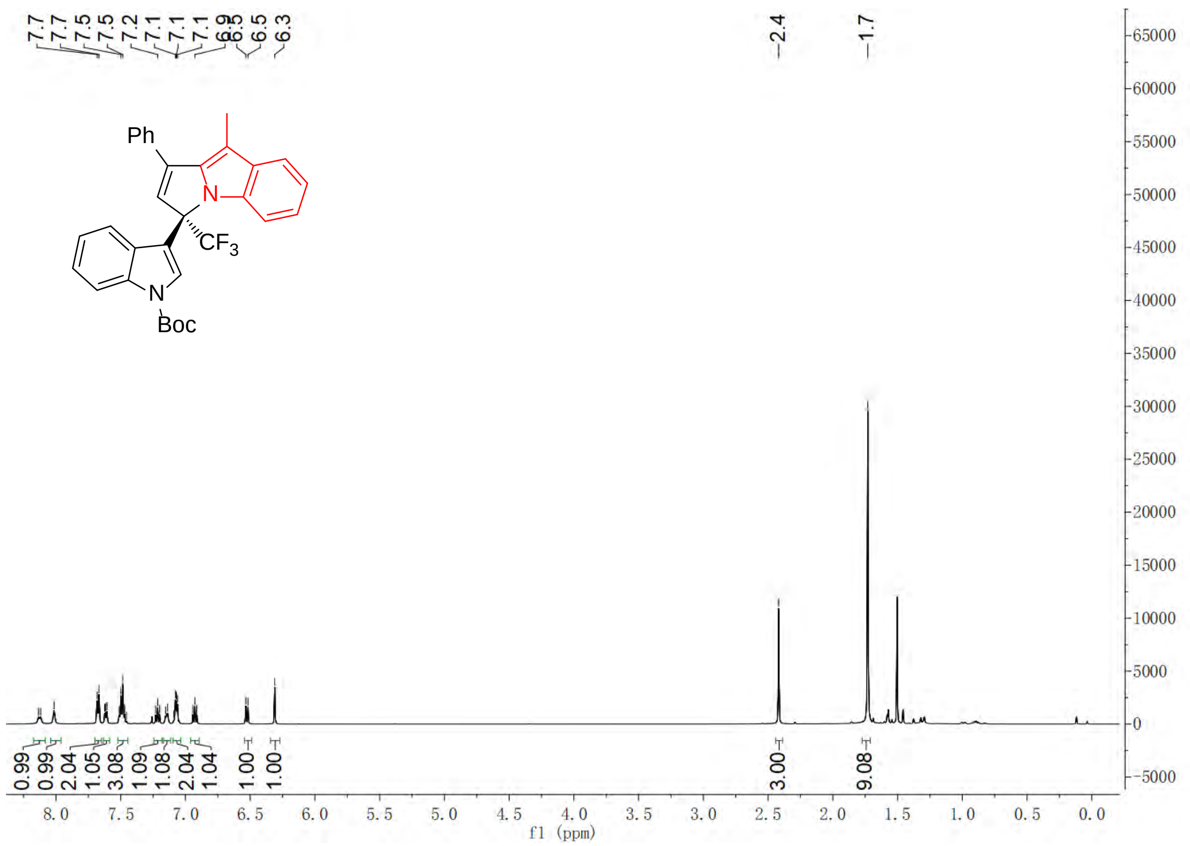
^{13}C NMR of compound 15 (in $CDCl_3$)



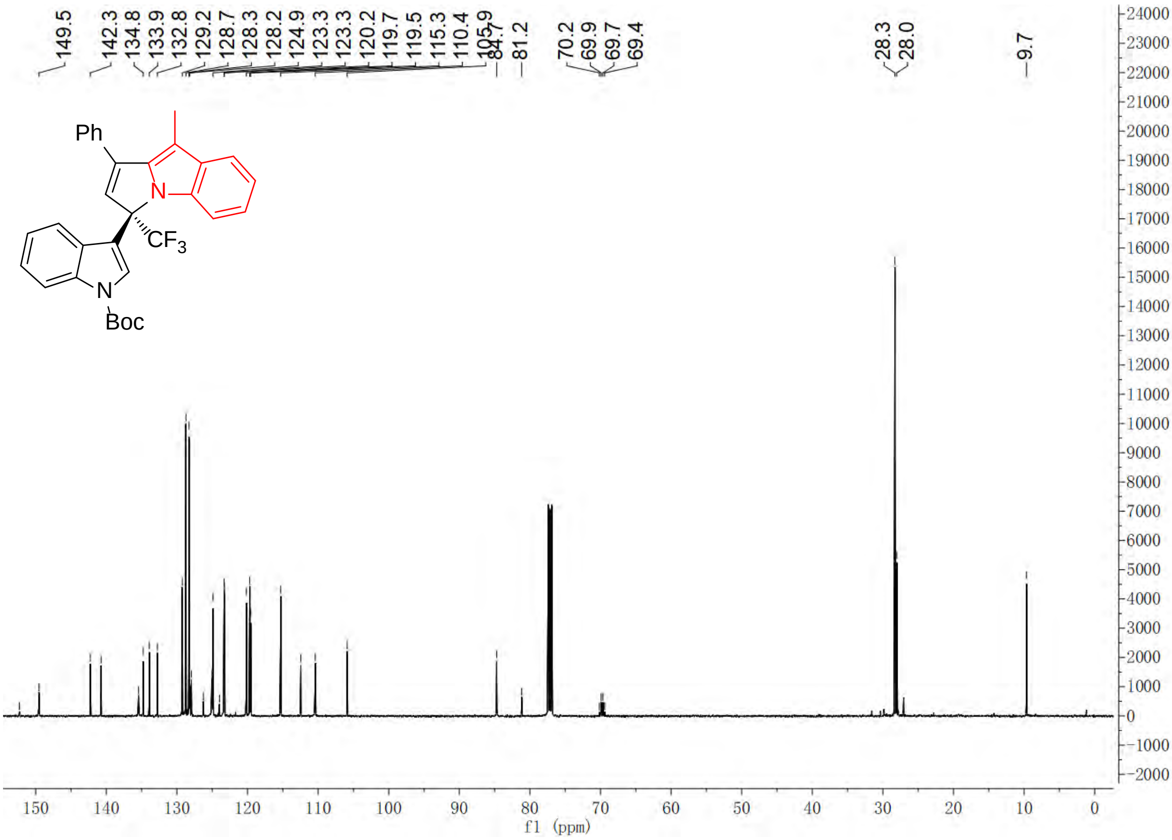
^{19}F NMR of compound 15 (in $CDCl_3$)



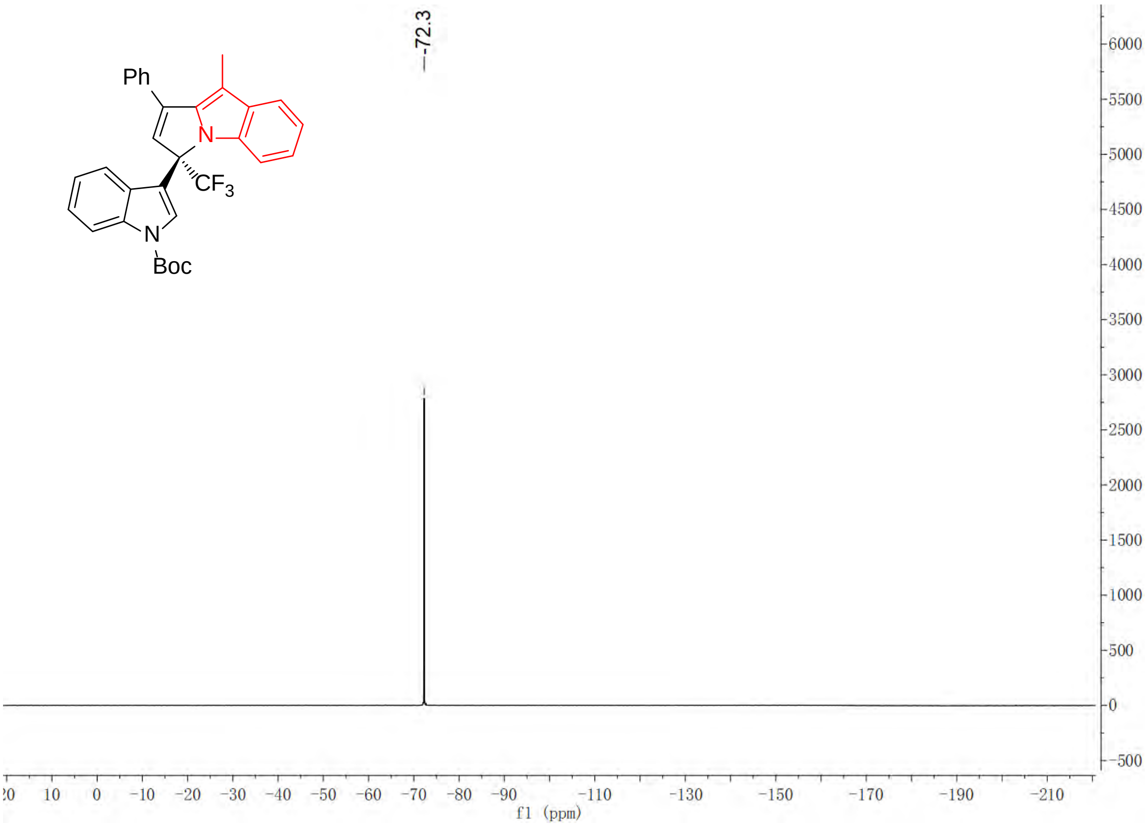
^{1}H NMR of compound 16 (in $CDCl_3$)



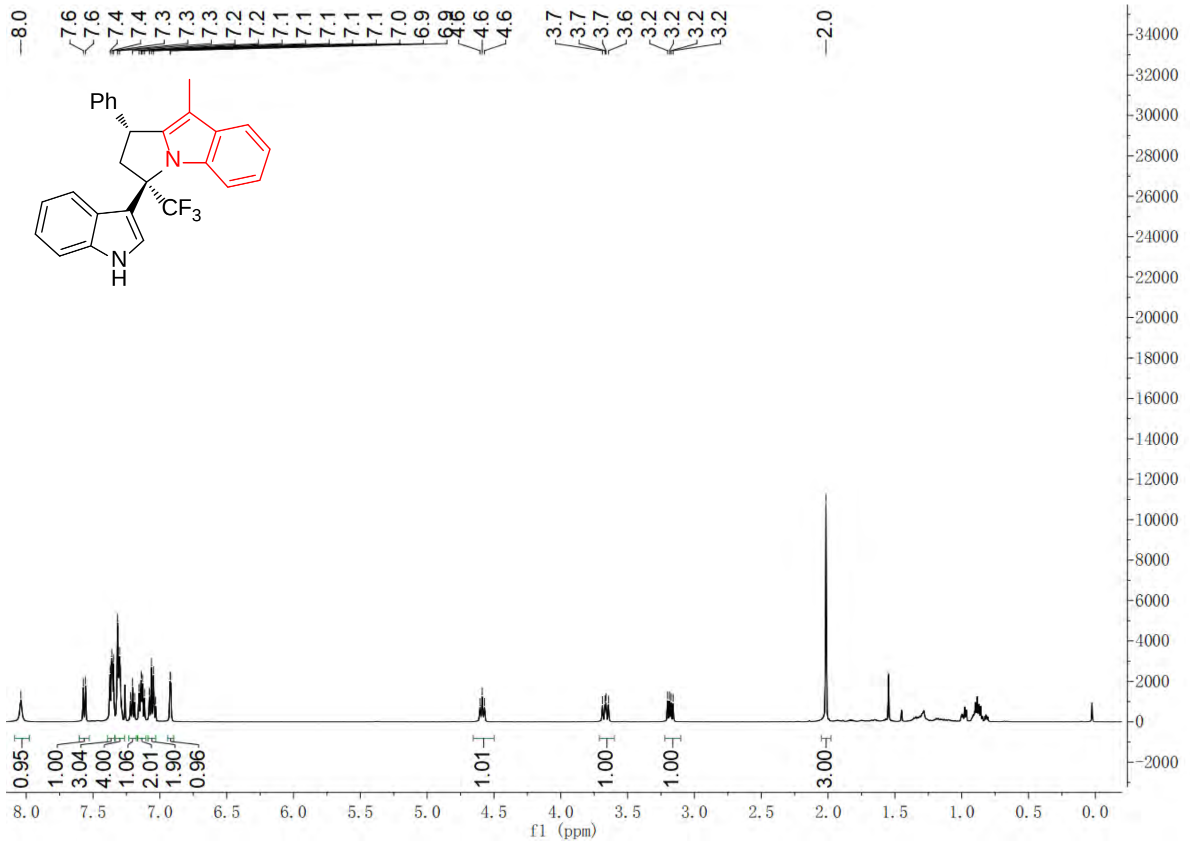
^{13}C NMR of compound 16 (in $CDCl_3$)



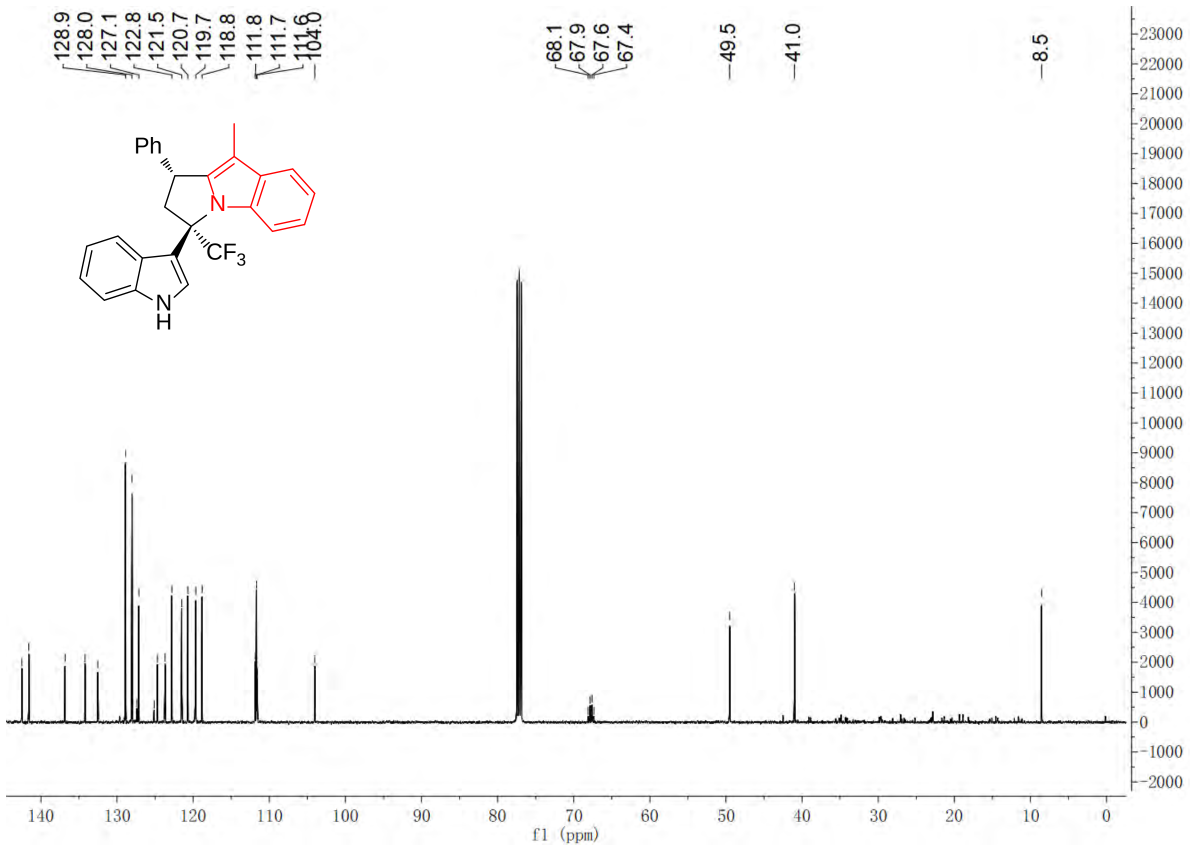
^{19}F NMR of compound 16 (in $CDCl_3$)



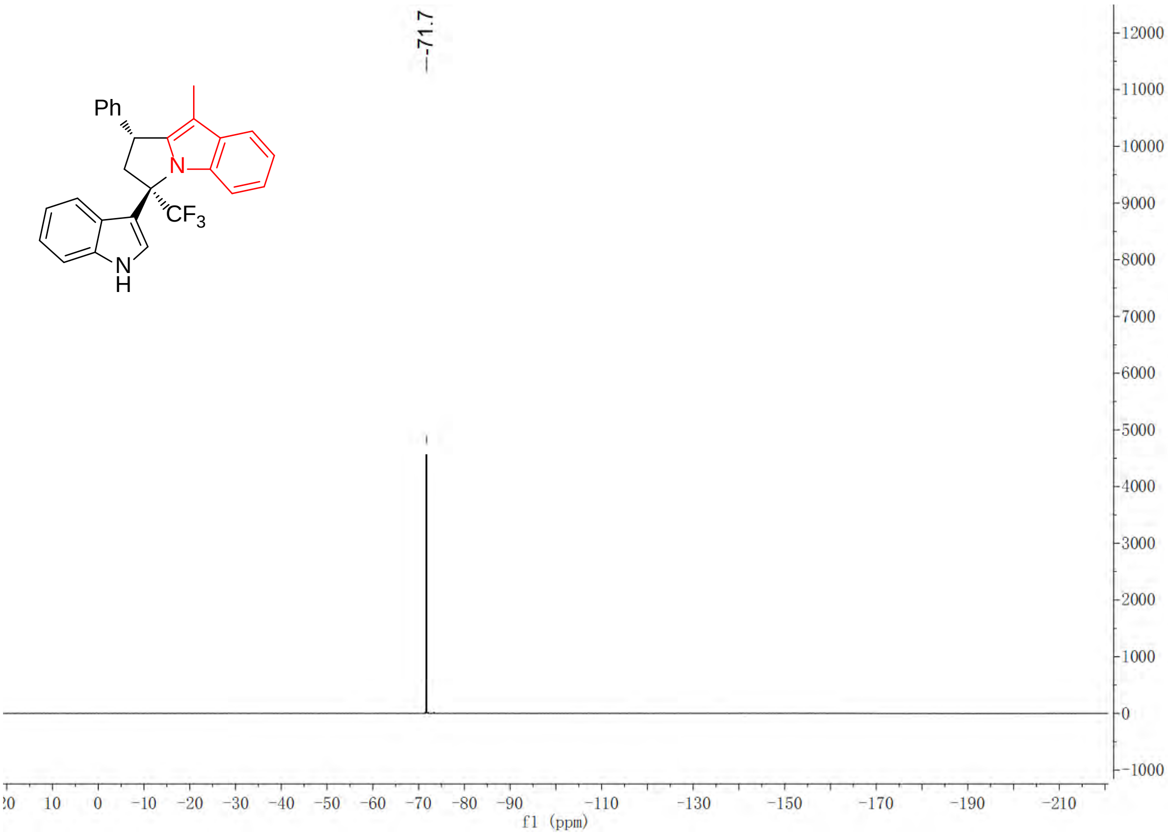
^{1}H NMR of compound 17 (in $CDCl_3$)



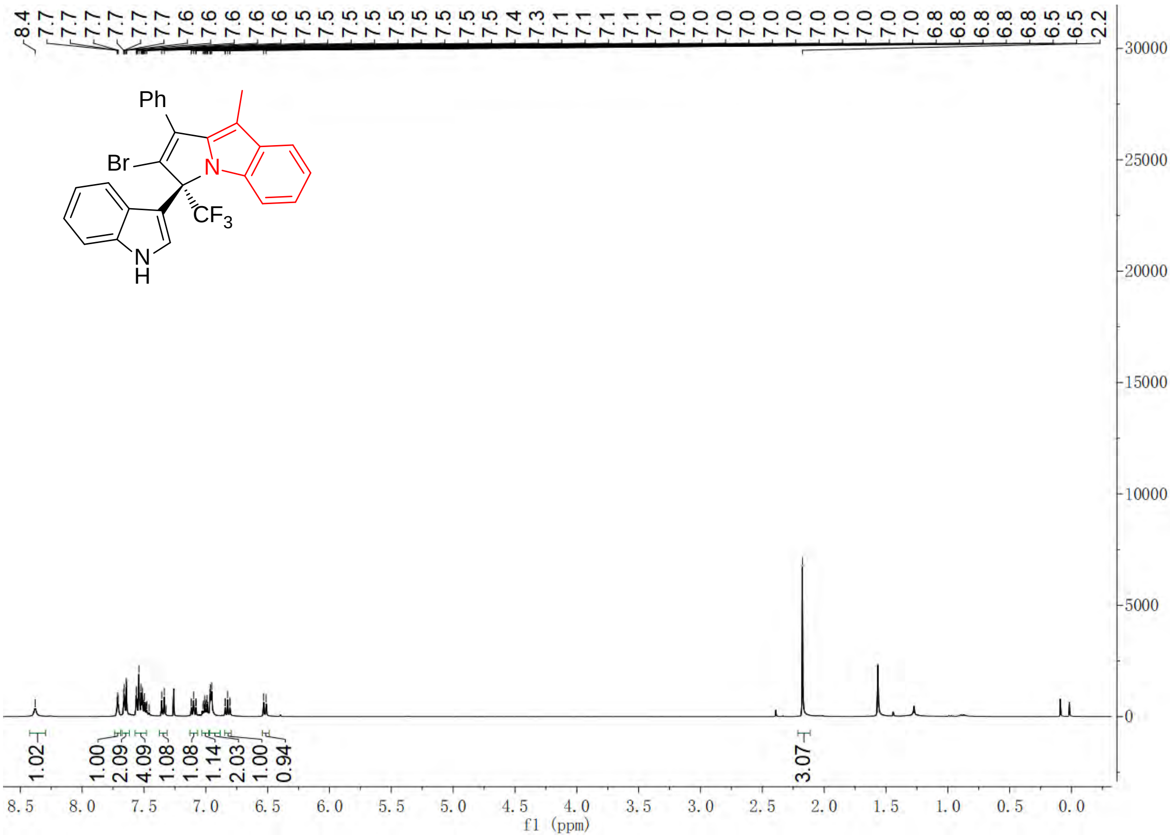
^{13}C NMR of compound 17 (in $CDCl_3$)



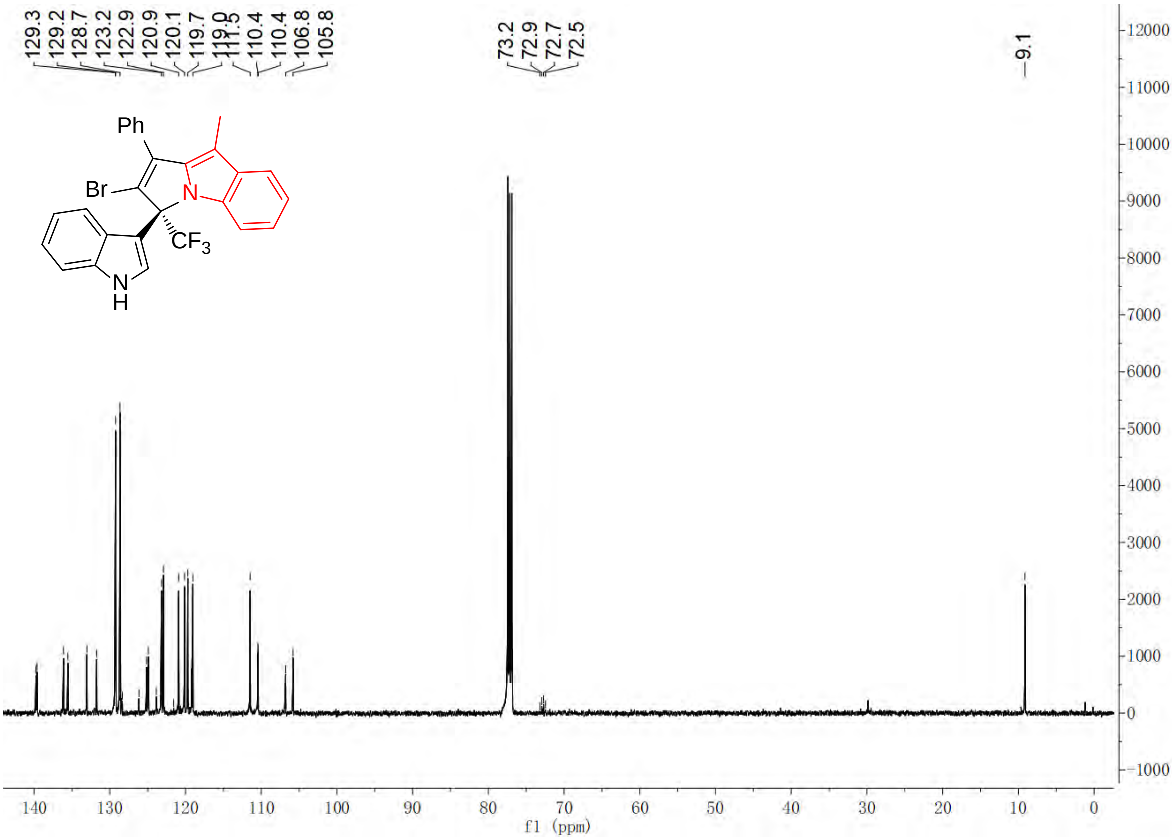
^{19}F NMR of compound 17 (in $CDCl_3$)



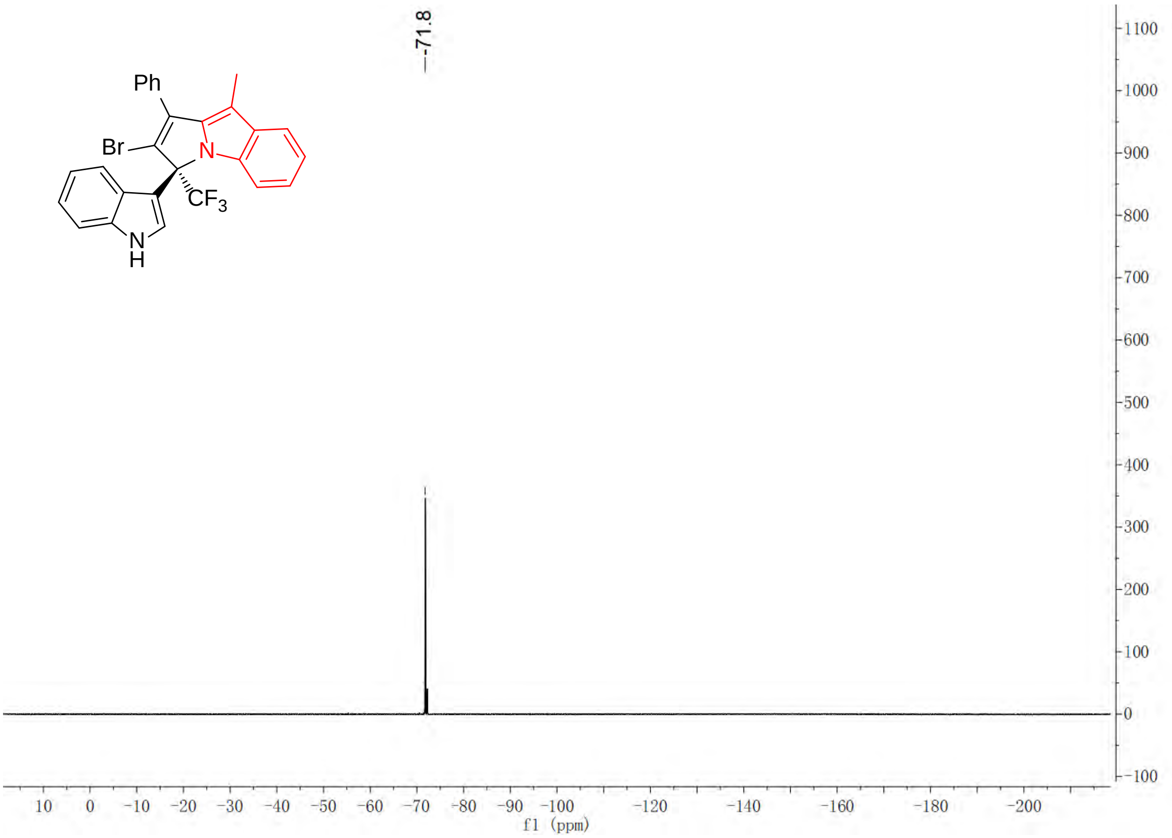
^{1}H NMR of compound 18 (in $CDCl_3$)



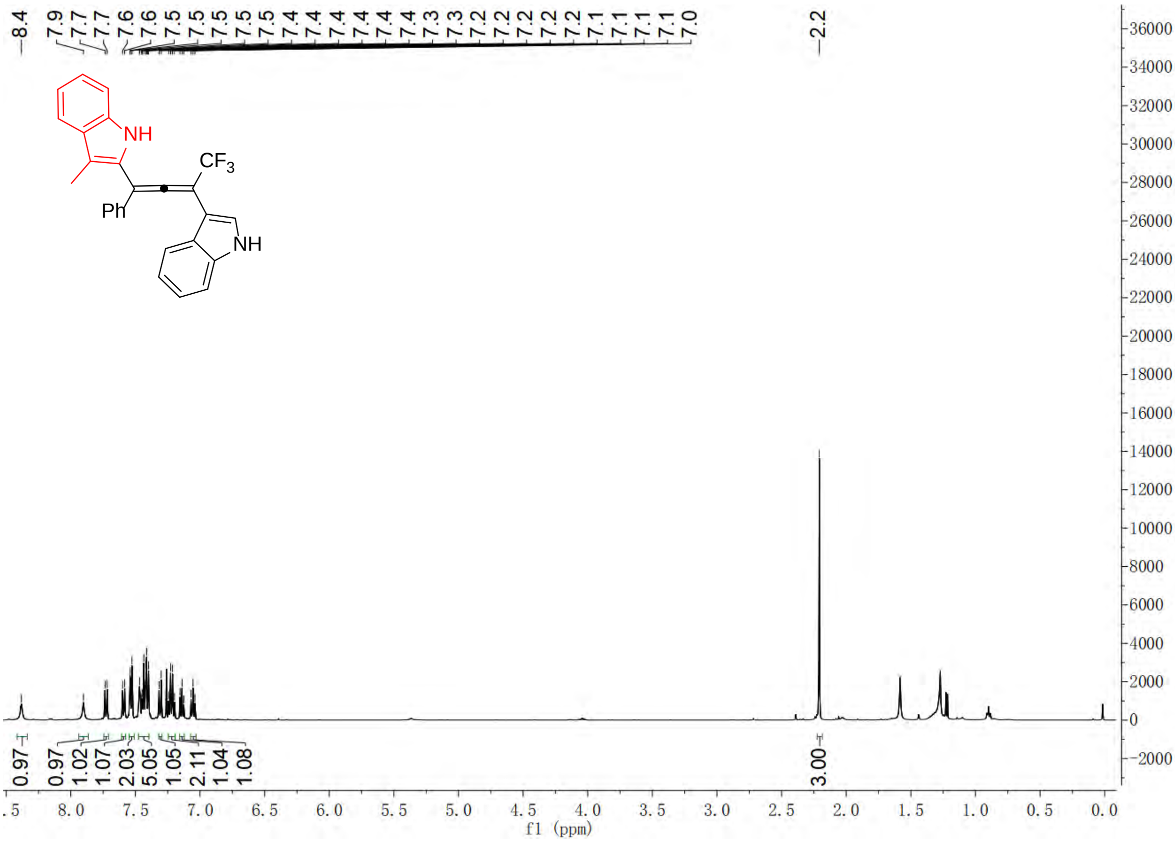
^{13}C NMR of compound 18 (in $CDCl_3$)



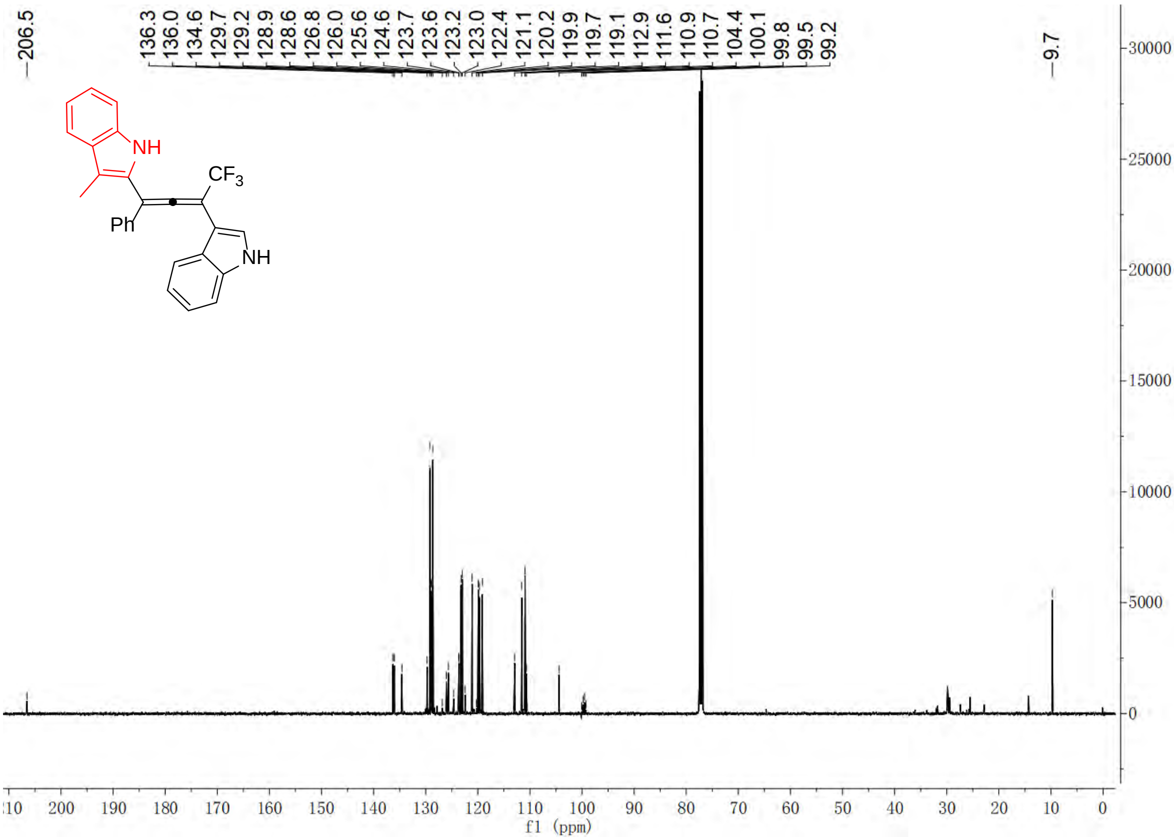
^{19}F NMR of compound 18 (in $CDCl_3$)



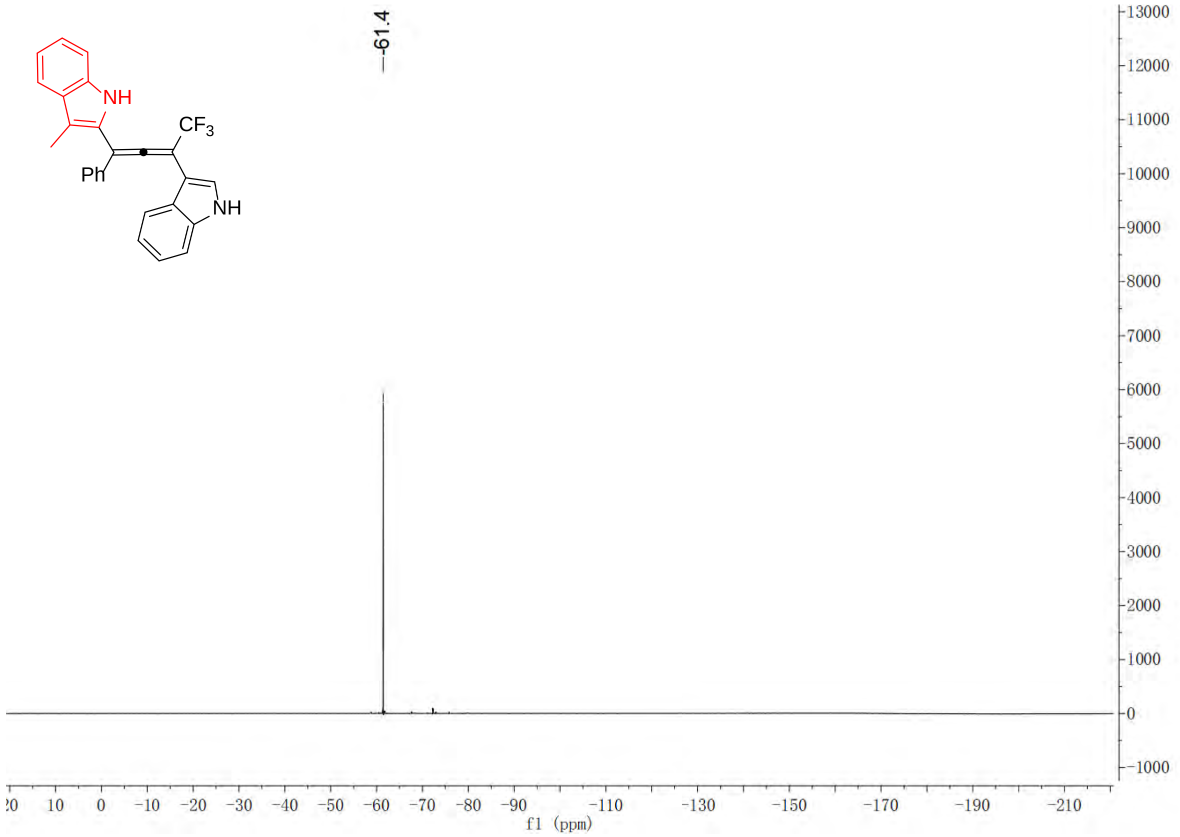
^{1}H NMR of compound 8a (in $CDCl_3$)



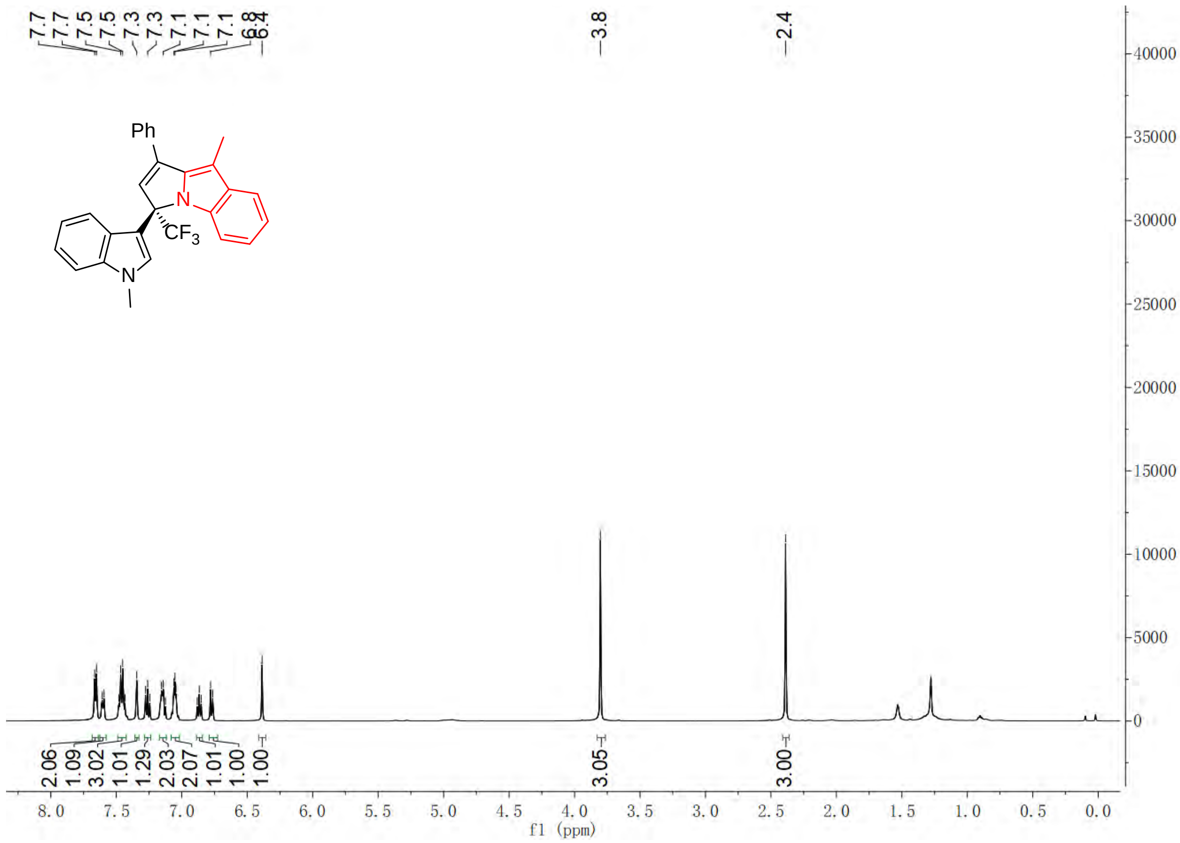
^{13}C NMR of compound 8a (in $CDCl_3$)



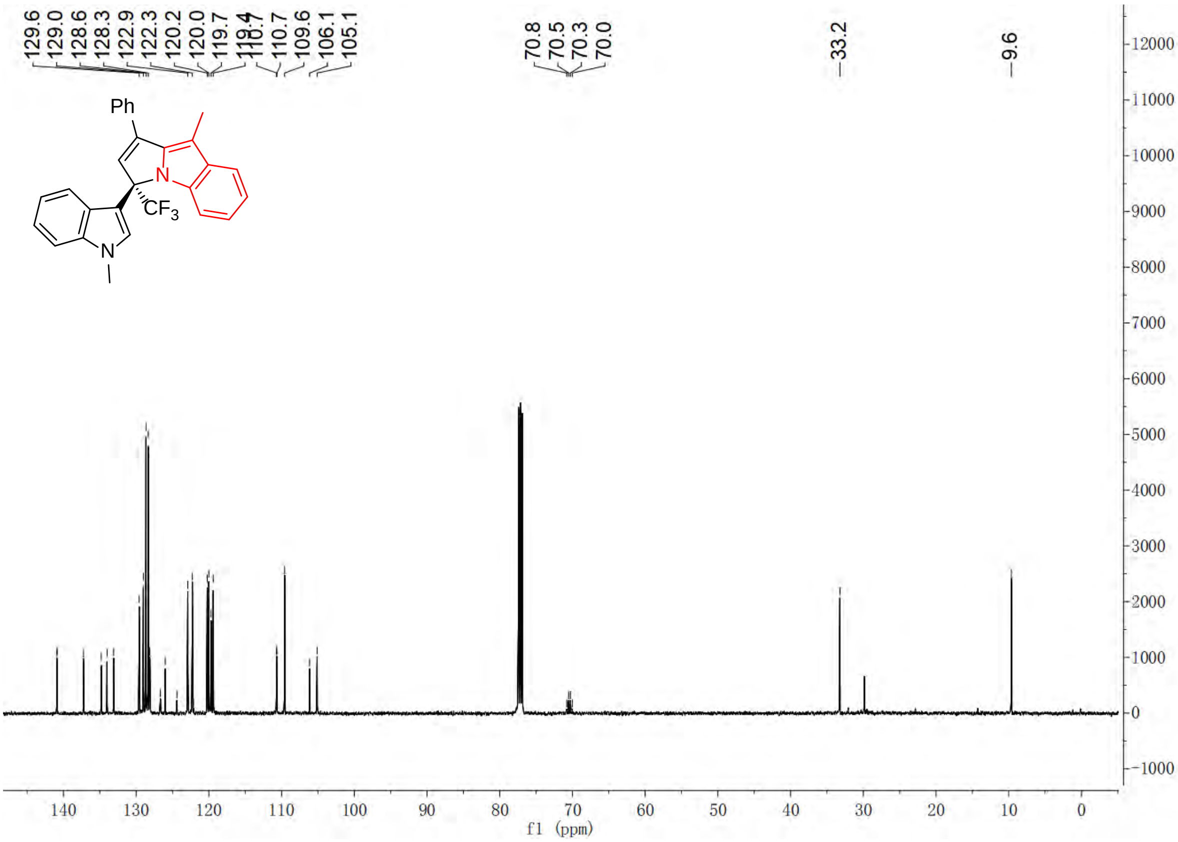
^{19}F NMR of compound 8a (in $CDCl_3$)



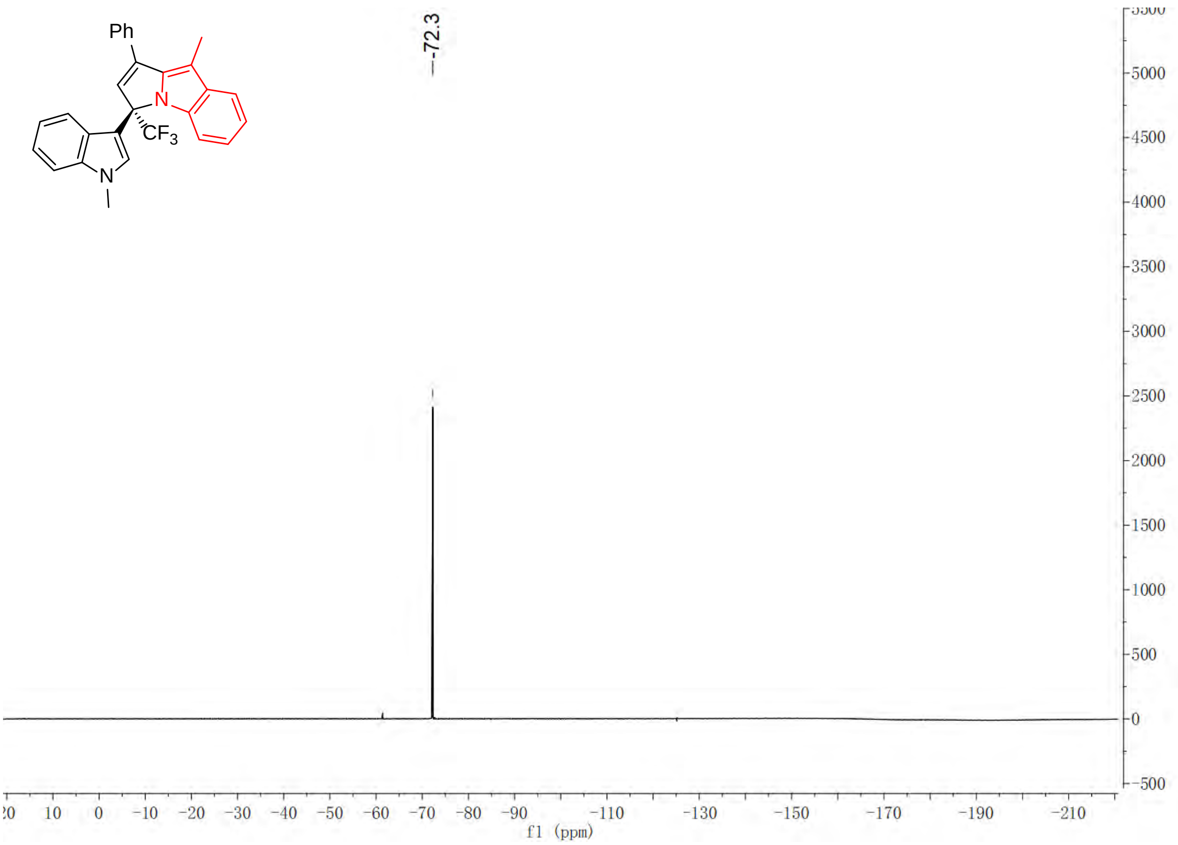
^{1}H NMR of compound 7a' (in $CDCl_3$)



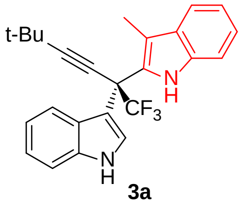
^{13}C NMR of compound 7a' (in $CDCl_3$)



^{19}F NMR of compound 7a' (in $CDCl_3$)

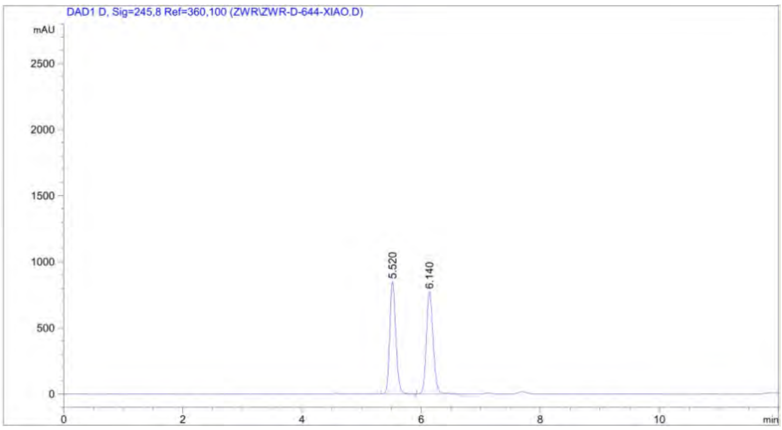


9. Copies of HPLC Spectra



3a

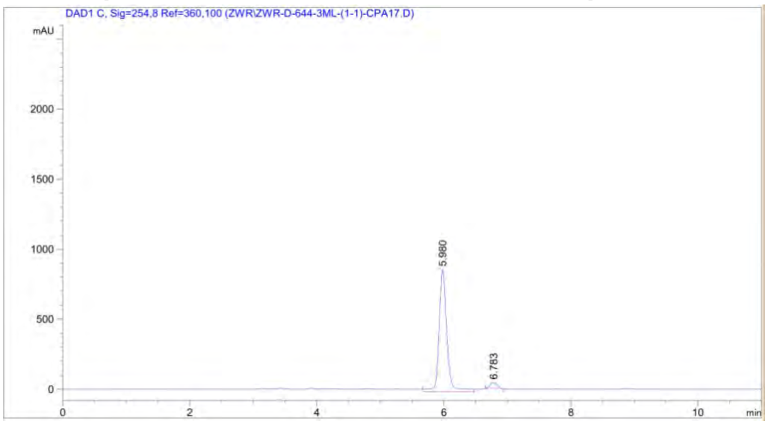
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=245,8 Ref=360,100

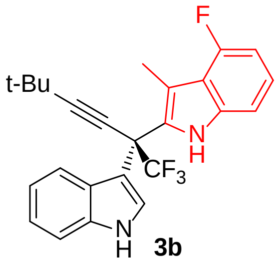
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.520	VB	0.1103	5980.47559	848.23560	49.7292
2	6.140	BB	0.1192	6045.60303	773.70276	50.2708

HPLC spectrum of the enantioenriched compound



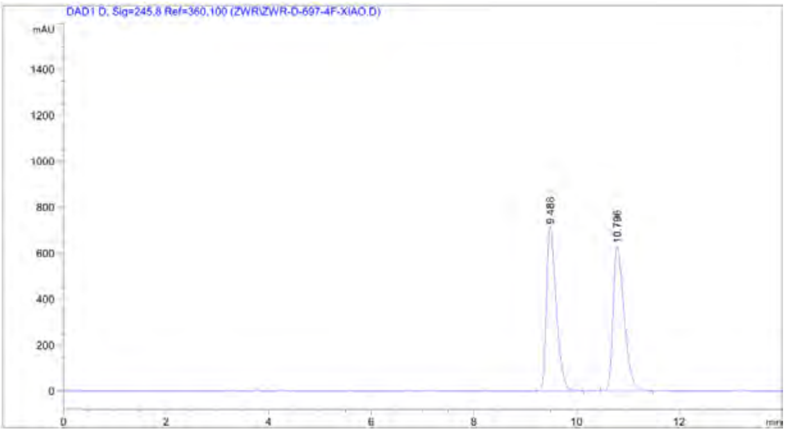
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.980	MM R	0.1439	7545.08447	873.61377	96.0075
2	6.783	MM R	0.1228	313.76642	42.57241	3.9925



3b

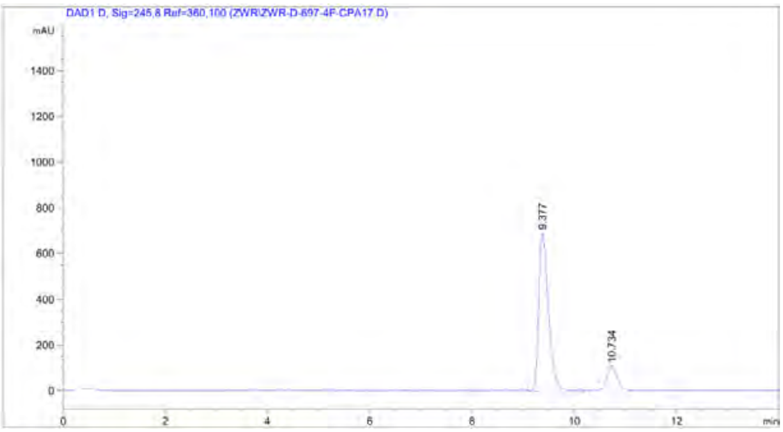
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=245,8 Ref=360,100

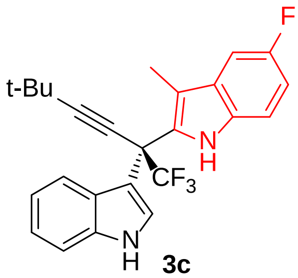
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.488	BB	0.1998	9415.66992	714.34064	49.9792
2	10.796	BB	0.2264	9423.51465	630.23212	50.0208

HPLC spectrum of the enantioenriched compound



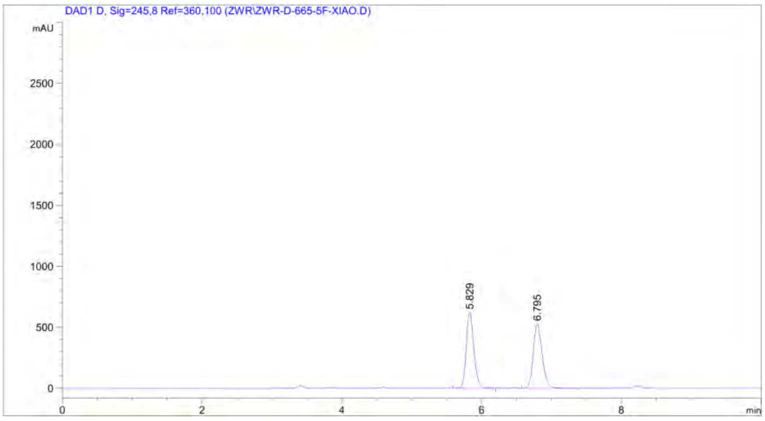
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.377	MM R	0.2231	9285.93457	693.75580	87.2159
2	10.734	MM R	0.2195	1361.13147	103.33169	12.7841



3c

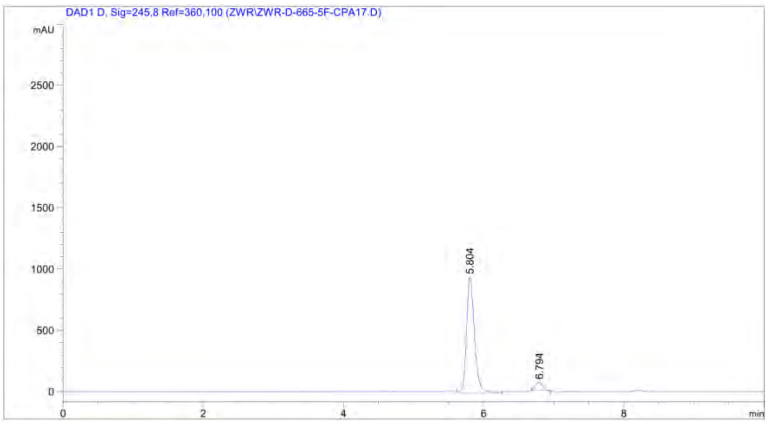
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=245,8 Ref=360,100

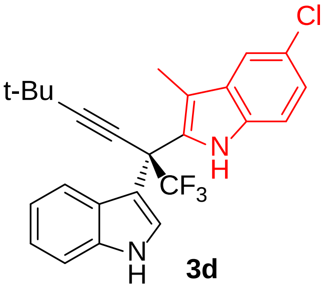
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.829	BB	0.1142	4717.57031	623.75342	49.9501
2	6.795	VB	0.1382	4727.00146	529.06079	50.0499

HPLC spectrum of the enantioenriched compound



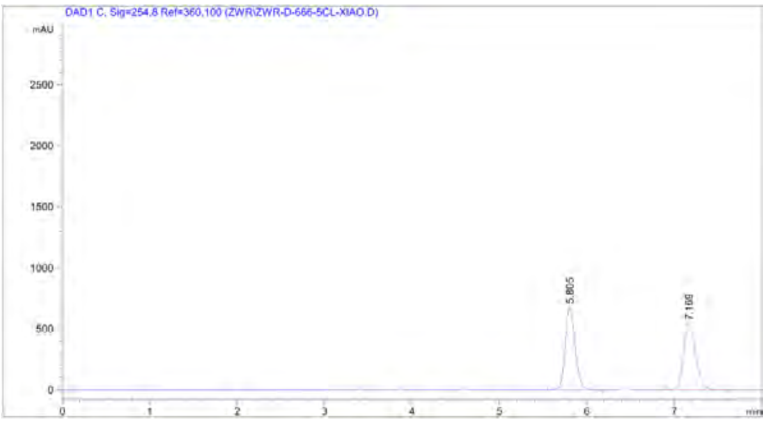
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.804	MM R	0.1335	7603.80518	949.09576	94.2351
2	6.794	MM R	0.1191	465.16776	65.08567	5.7649



3d

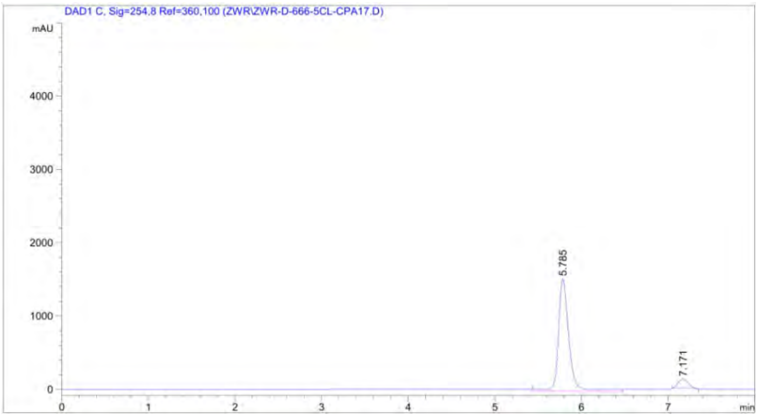
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

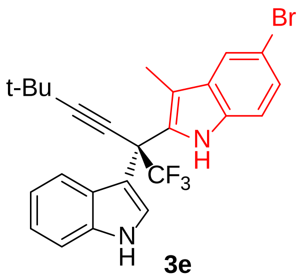
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.805	BB	0.1184	5270.27393	680.02924	50.0752
2	7.169	BB	0.1482	5254.44336	546.46912	49.9248

HPLC spectrum of the enantioenriched compound



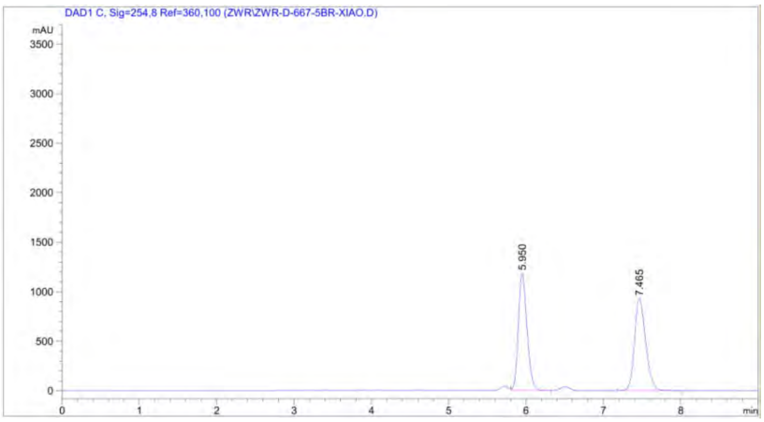
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.785	MM R	0.1465	1.35009e4	1536.15674	93.1787
2	7.171	MM R	0.1330	988.35059	123.82976	6.8213



3e

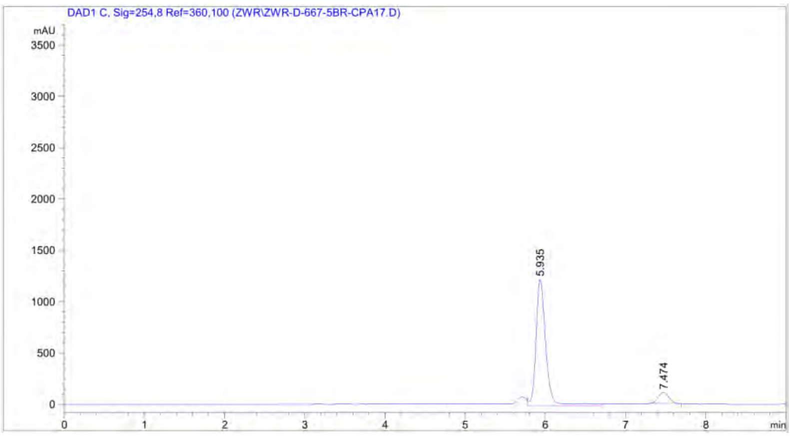
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

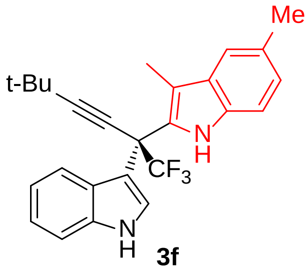
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.950	VV	0.1194	9297.89355	1187.01428	49.9024
2	7.465	BB	0.1531	9334.25586	929.75336	50.0976

HPLC spectrum of the enantioenriched compound



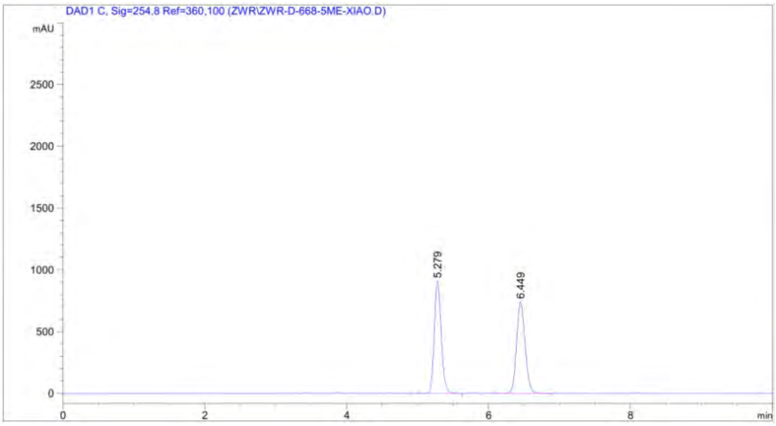
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.935	MM R	0.1436	1.06390e4	1234.85767	91.8400
2	7.474	MM R	0.1481	945.27325	106.36582	8.1600



3f

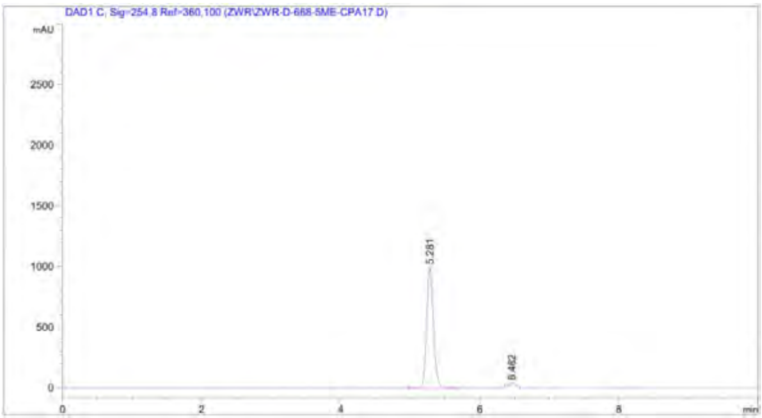
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

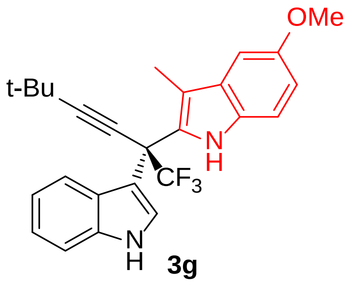
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.279	BB	0.1050	6159.32129	909.16516	49.8963
2	6.449	BB	0.1293	6184.93213	741.62708	50.1037

HPLC spectrum of the enantioenriched compound



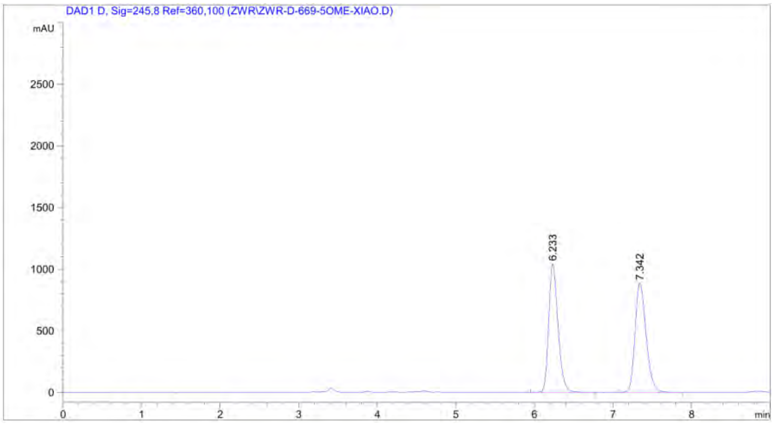
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.281	MM R	0.1169	7006.82520	998.71063	97.2739
2	6.462	MM R	0.1085	196.36325	30.16833	2.7261



3g

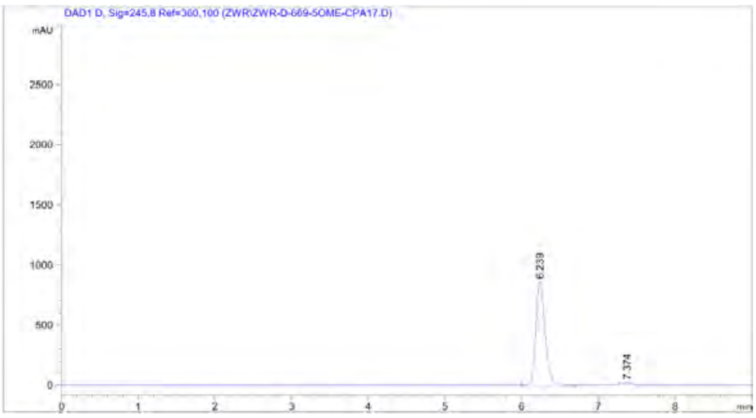
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=245,8 Ref=360,100

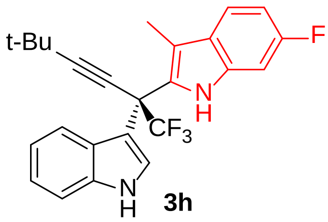
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.233	BB	0.1283	8596.21191	1041.68176	49.8374
2	7.342	VB	0.1518	8652.28809	886.72565	50.1626

HPLC spectrum of the enantioenriched compound



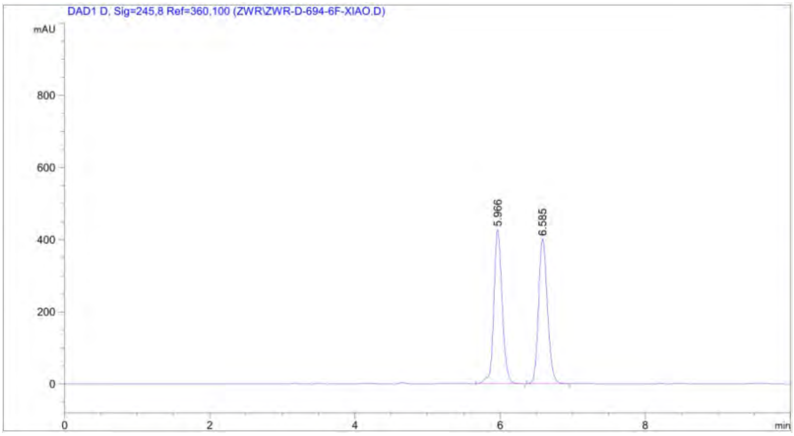
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.239	MM R	0.1461	7651.24512	873.00812	98.3912
2	7.374	MM R	0.1265	125.10931	16.48490	1.6088



3h

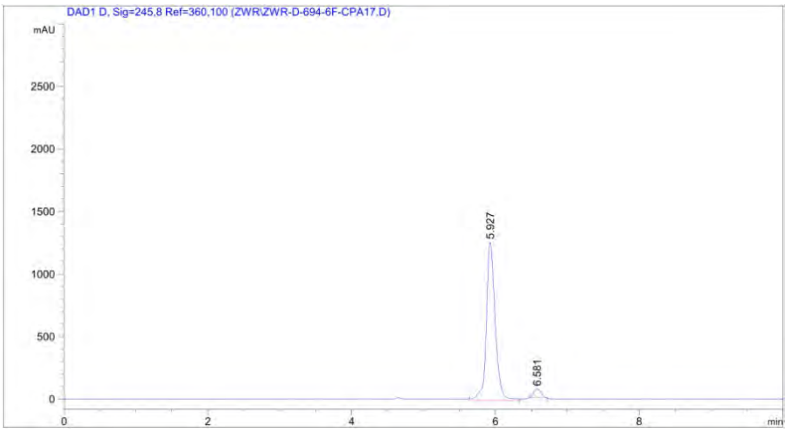
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=245,8 Ref=360,100

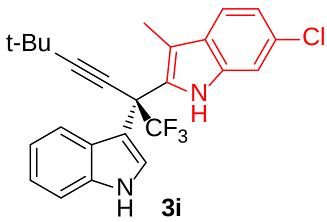
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.966	BB	0.1211	3412.56909	427.49149	50.0215
2	6.585	BB	0.1310	3409.63037	401.87247	49.9785

HPLC spectrum of the enantioenriched compound



信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.927	MM R	0.1437	1.09446e4	1269.10889	95.7494
2	6.581	MM R	0.1185	485.85861	68.33458	4.2506



3i

HPLC spectrum of the racemate

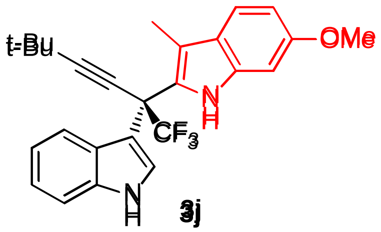
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.251	BV	0.1275	8304.21094	1014.31250	49.4182
2	6.571	VV	0.1371	8499.73633	943.91785	50.5818

HPLC spectrum of the enantioenriched compound

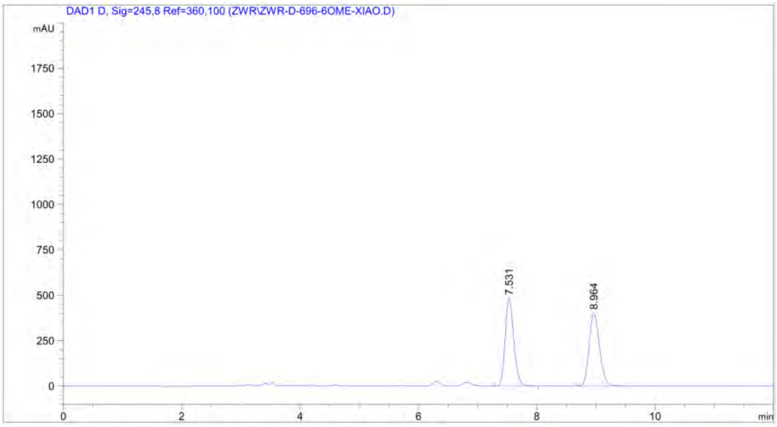
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.201	MM R	0.1405	1.26384e4	1498.84766	96.0928
2	6.549	MM R	0.1238	513.89026	69.20360	3.9072



3j

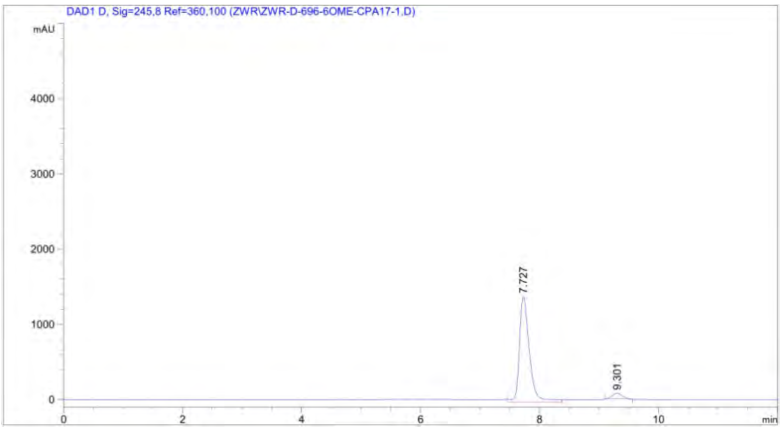
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=245,8 Ref=360,100

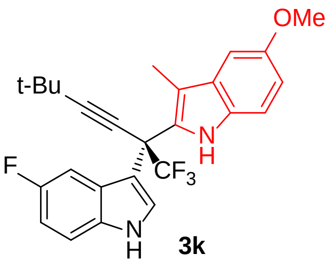
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.531	BB	0.1559	4898.97461	484.83606	49.8550
2	8.964	BB	0.1879	4927.46973	405.13675	50.1450

HPLC spectrum of the enantioenriched compound



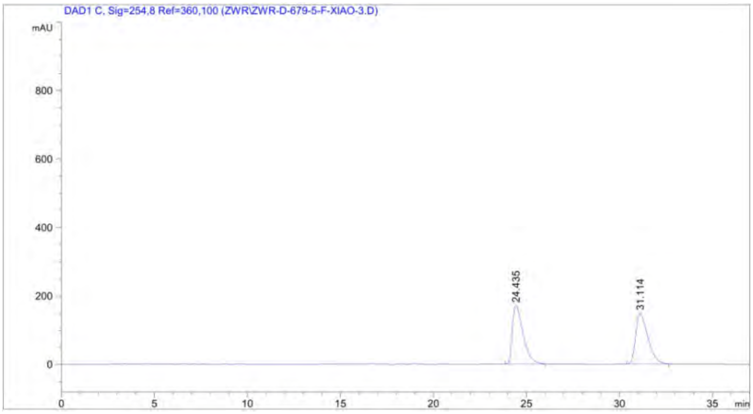
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.727	MM R	0.1940	1.63171e4	1402.03821	95.1360
2	9.301	MM R	0.1838	834.23462	75.62906	4.8640



3k

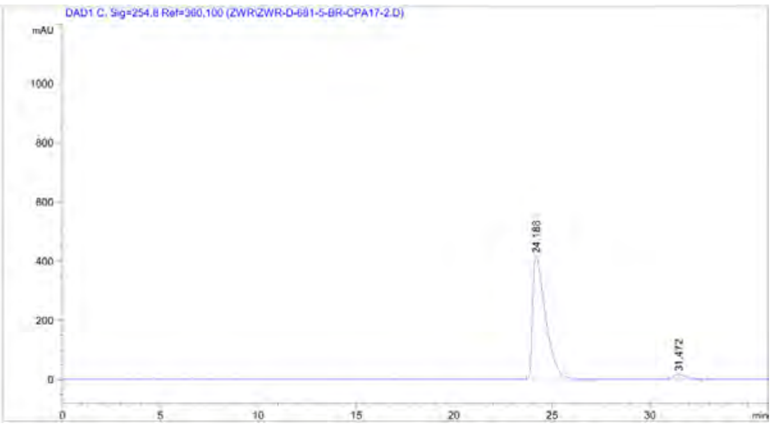
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

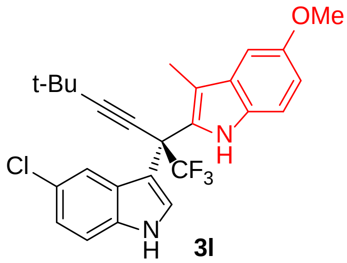
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	24.435	BB	0.6026	6857.98389	171.47102	50.2288
2	31.114	BB	0.6954	6795.50391	149.19061	49.7712

HPLC spectrum of the enantioenriched compound



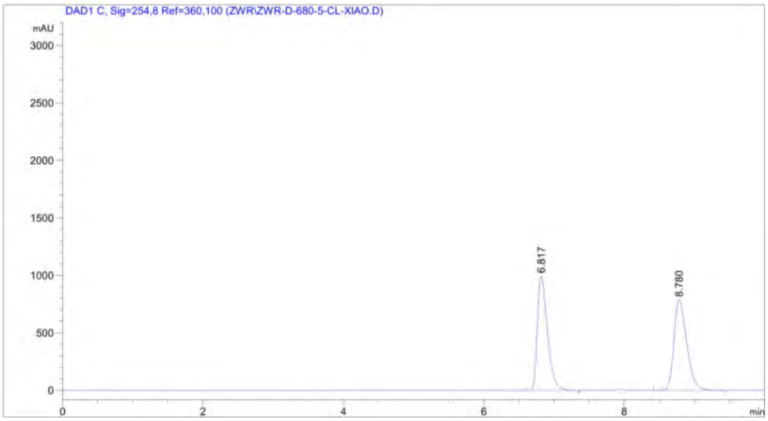
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	24.188	MM R	0.7923	2.00132e4	421.00980	97.1371
2	31.472	MM R	0.6630	589.84753	14.82670	2.8629



3l

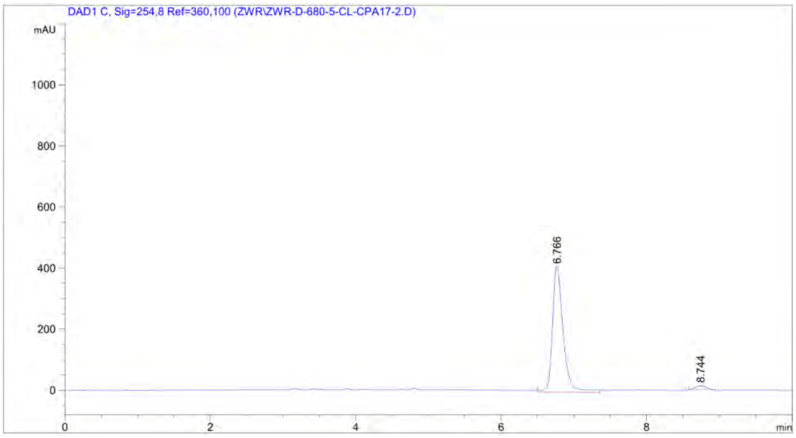
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

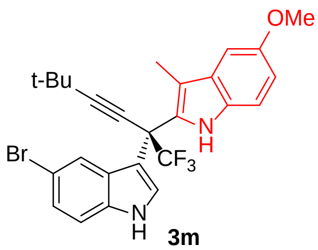
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.817	BB	0.1527	9937.87207	993.92303	49.9186
2	8.780	VB	0.1937	9970.29687	787.55768	50.0814

HPLC spectrum of the enantioenriched compound



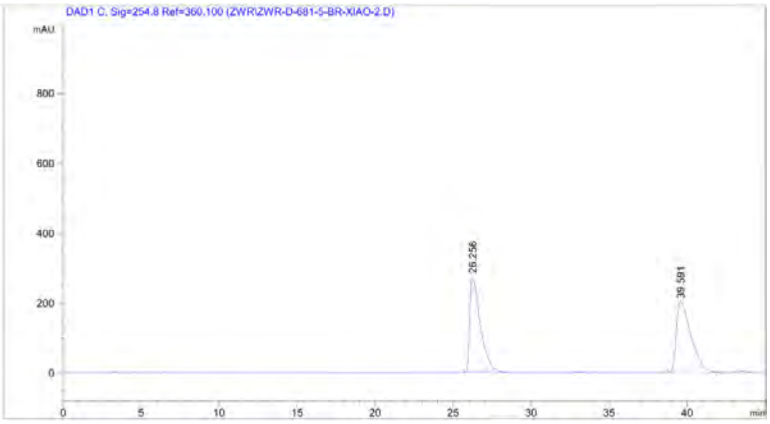
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.766	MM R	0.1728	4261.18457	410.93579	97.0630
2	8.744	MM R	0.1708	128.93944	12.57970	2.9370



3m

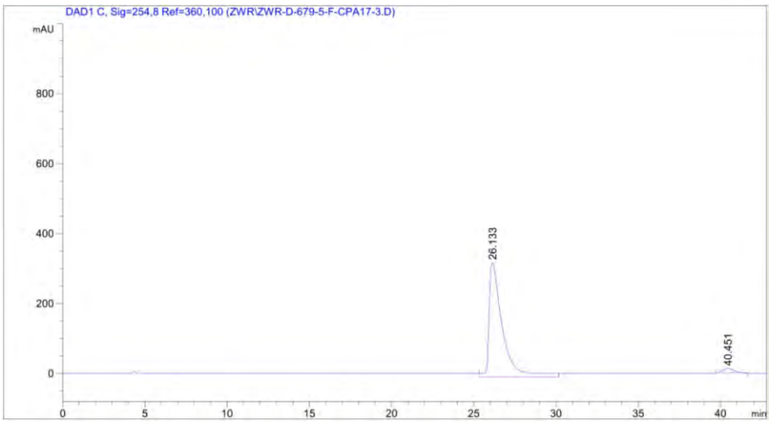
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

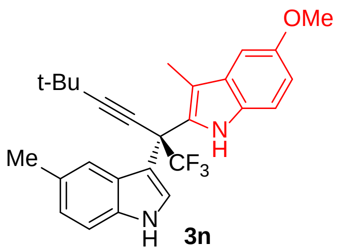
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	26.256	BB	0.7278	1.31233e4	274.48920	49.9334
2	39.591	BB	0.9356	1.31582e4	203.71080	50.0666

HPLC spectrum of the enantioenriched compound



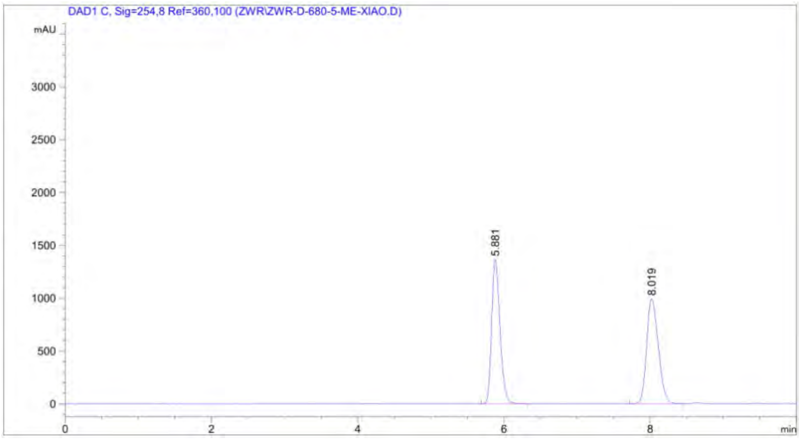
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	26.133	MM R	0.9457	1.84956e4	325.96304	97.0831
2	40.451	MM R	0.7727	555.70648	11.98569	2.9169



3n

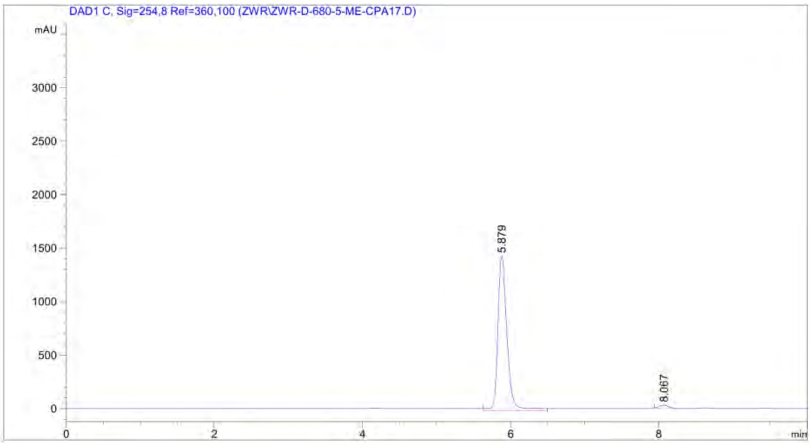
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

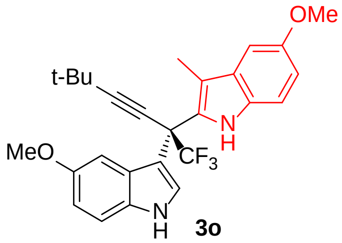
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.881	BB	0.1206	1.08583e4	1367.90833	49.6889
2	8.019	BV	0.1730	1.09943e4	994.48737	50.3111

HPLC spectrum of the enantioenriched compound



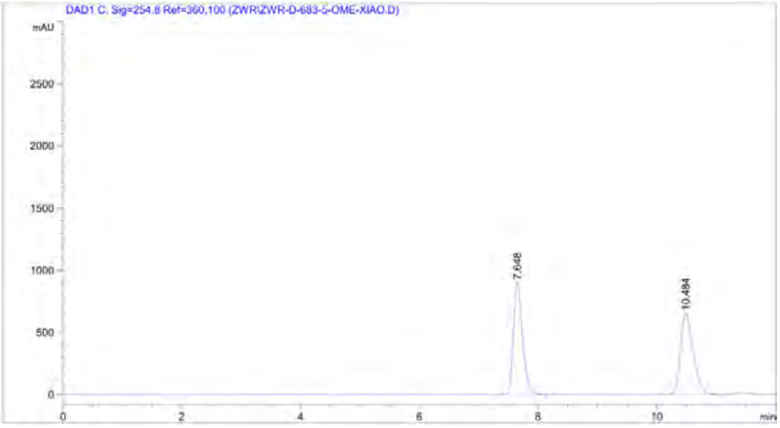
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.879	MM R	0.1439	1.24816e4	1445.48413	98.3195
2	8.067	MM R	0.1412	213.33418	25.18801	1.6805



3o

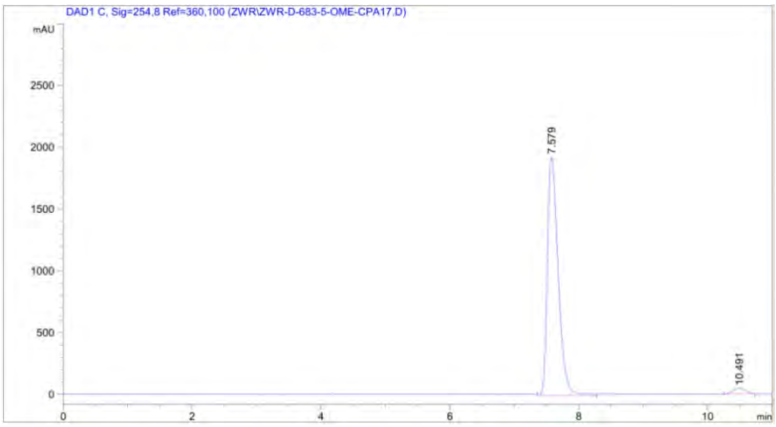
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

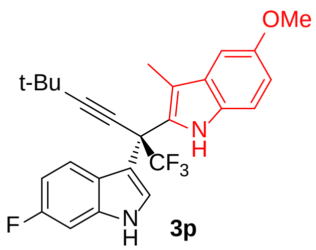
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.648	BB	0.1652	9686.93652	902.31567	49.8624
2	10.484	BB	0.2303	9740.39746	652.12354	50.1376

HPLC spectrum of the enantioenriched compound



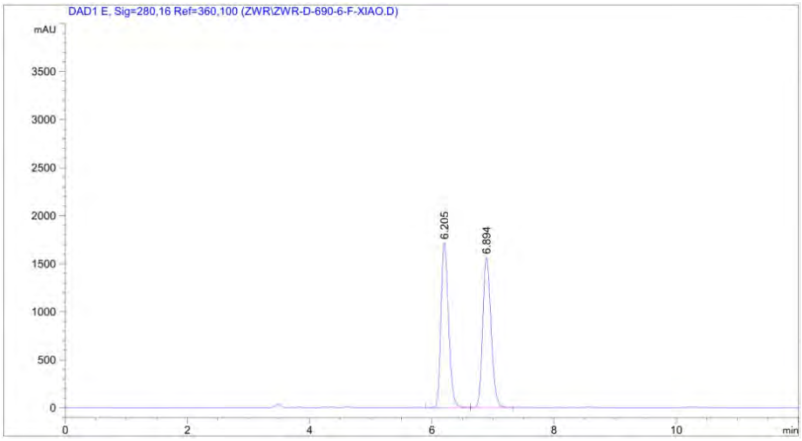
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.579	MM R	0.1959	2.27577e4	1936.12036	97.4372
2	10.491	MM R	0.2148	598.57629	46.44263	2.5628



3p

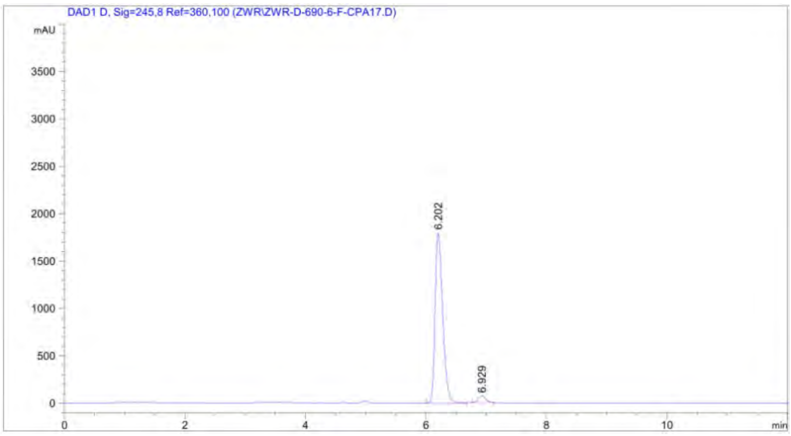
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

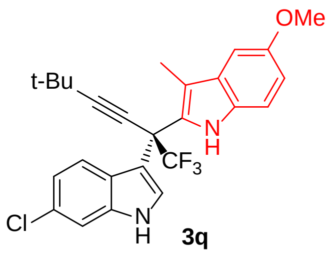
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.205	VV	0.1346	1.48270e4	1720.20068	50.0225
2	6.894	VB	0.1465	1.48137e4	1564.27441	49.9775

HPLC spectrum of the enantioenriched compound



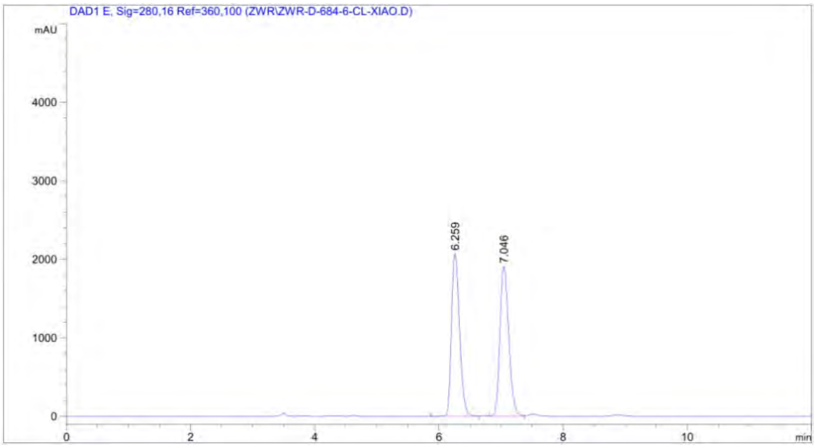
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.202	MM R	0.1469	1.58597e4	1798.86609	96.6542
2	6.929	MM R	0.1362	548.99768	67.20406	3.3458



3q

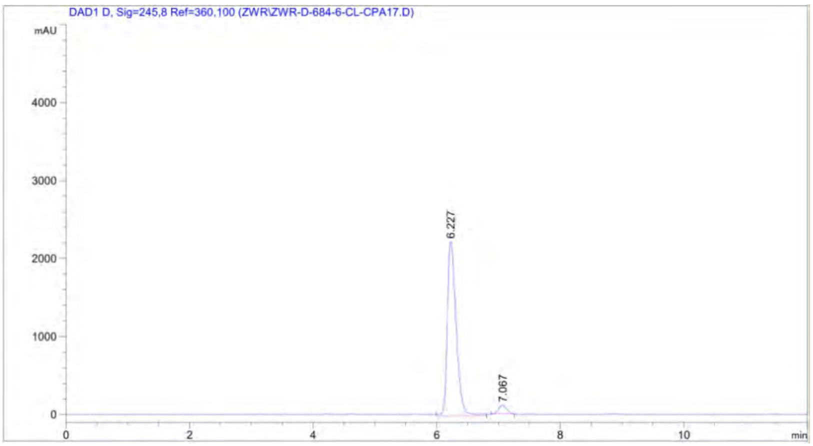
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

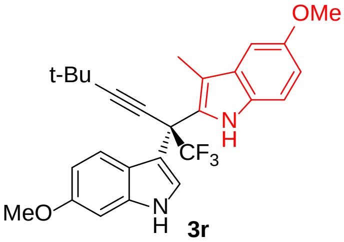
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.259	BV	0.1415	1.87672e4	2075.53760	49.7515
2	7.046	VV	0.1537	1.89547e4	1910.66882	50.2485

HPLC spectrum of the enantioenriched compound



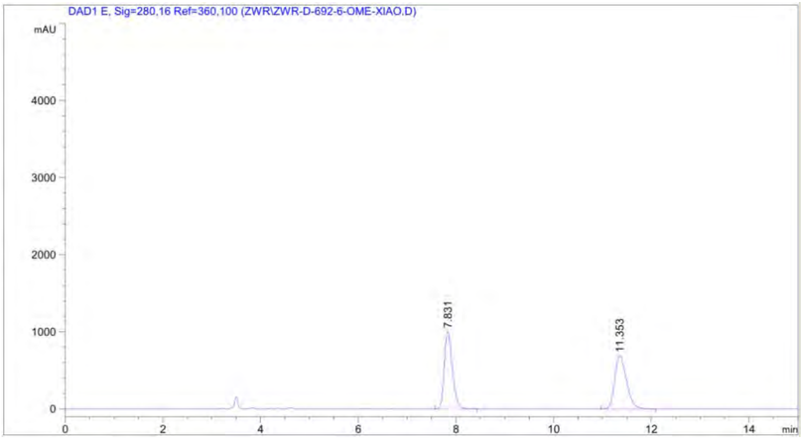
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.227	MM R	0.1673	2.24232e4	2233.47949	95.8871
2	7.067	MM R	0.1474	961.80597	108.77927	4.1129



3r

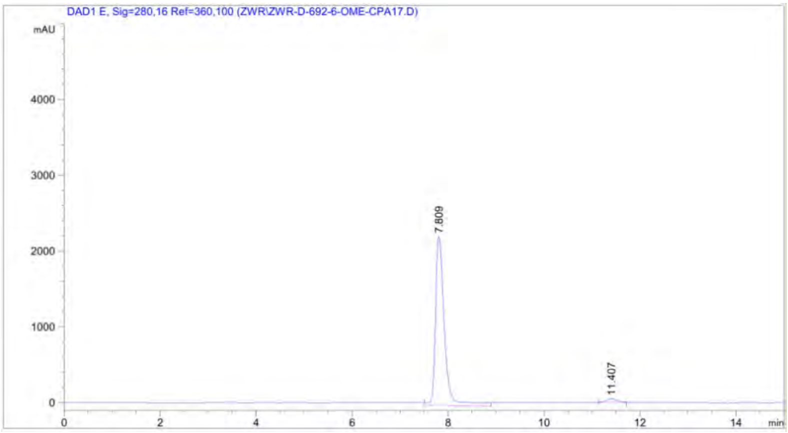
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

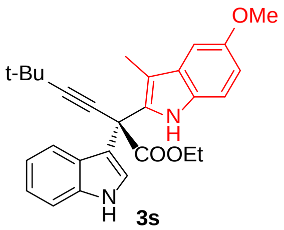
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.831	BB	0.1755	1.15267e4	1007.52960	50.0093
2	11.353	BB	0.2559	1.15224e4	693.40417	49.9907

HPLC spectrum of the enantioenriched compound



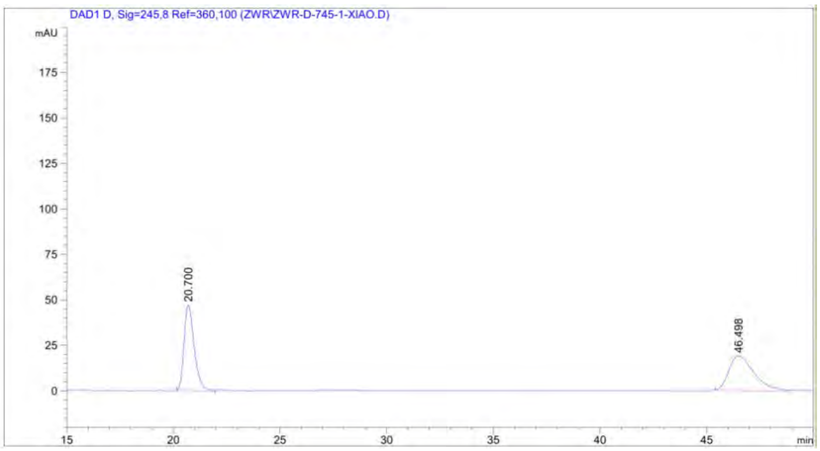
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.809	MM R	0.2166	2.89941e4	2231.05615	98.0457
2	11.407	MM R	0.2125	577.91431	45.33232	1.9543



3s

HPLC spectrum of the racemate



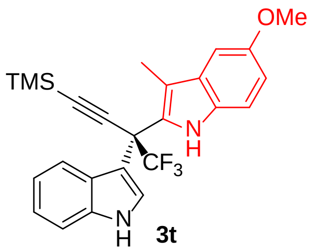
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.700	MM R	0.5560	1569.50525	47.04650	49.8492
2	46.498	MM R	1.3754	1579.00317	19.13347	50.1508

HPLC spectrum of the enantioenriched compound

信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	20.847	MM R	0.5490	37.69473	1.14437	4.6849
2	43.950	MM R	1.2794	766.89899	9.99063	95.3151



3t

HPLC spectrum of the racemate

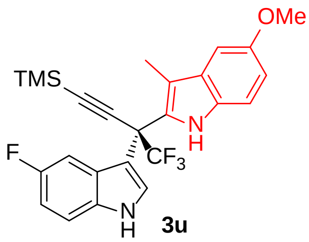
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	10.337	BB	0.2216	2555.82349	177.85921	50.0523
2	11.539	BB	0.2407	2550.48193	162.83086	49.9477

HPLC spectrum of the enantioenriched compound

信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	10.293	MM R	0.2614	1.05582e4	673.16754	95.3145
2	11.569	MM R	0.2363	519.01892	36.60696	4.6855



3u

HPLC spectrum of the racemate

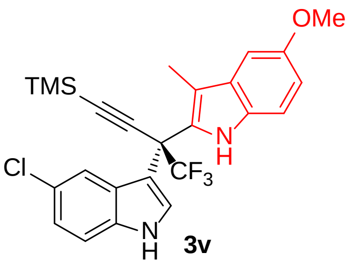
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.078	BV	0.3011	3.21646e4	1610.18188	49.9428
2	13.427	VB	0.3128	3.22383e4	1562.22375	50.0572

HPLC spectrum of the enantioenriched compound

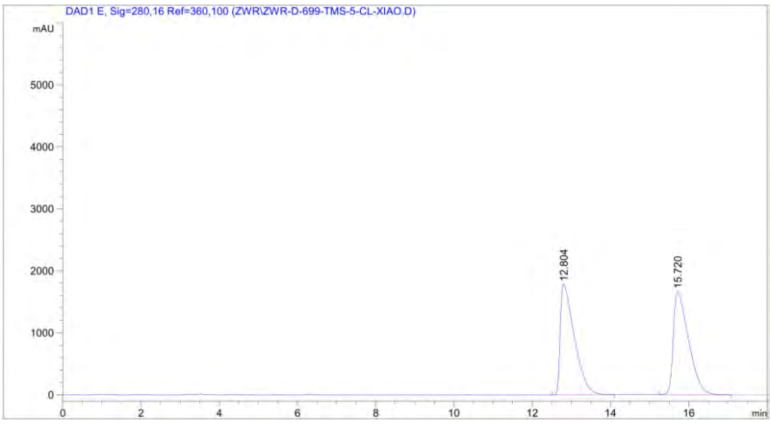
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.120	MM R	0.3359	2.16318e4	1073.26624	95.1586
2	13.570	MM R	0.2876	1100.56824	63.77062	4.8414



3v

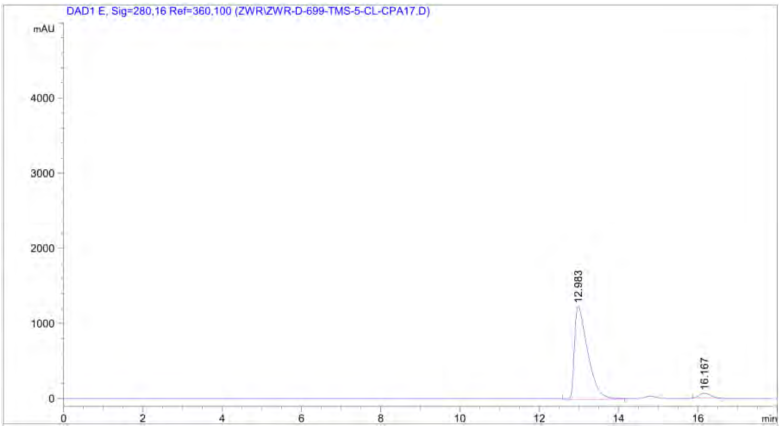
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

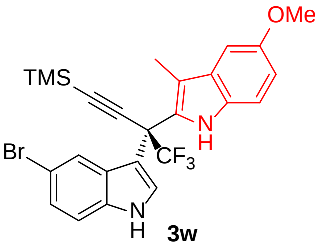
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.804	BB	0.3730	4.44724e4	1783.17285	49.7942
2	15.720	VB	0.4085	4.48399e4	1663.29932	50.2058

HPLC spectrum of the enantioenriched compound



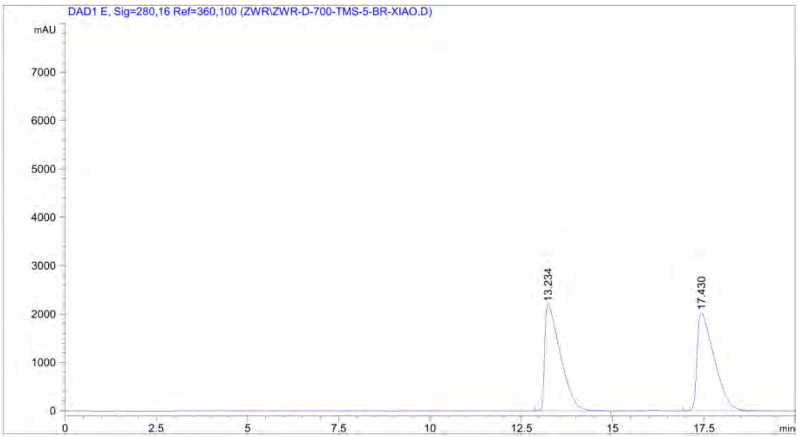
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.983	MM R	0.3891	2.90762e4	1245.42590	96.1490
2	16.167	MM R	0.3108	1164.57947	62.45669	3.8510



3w

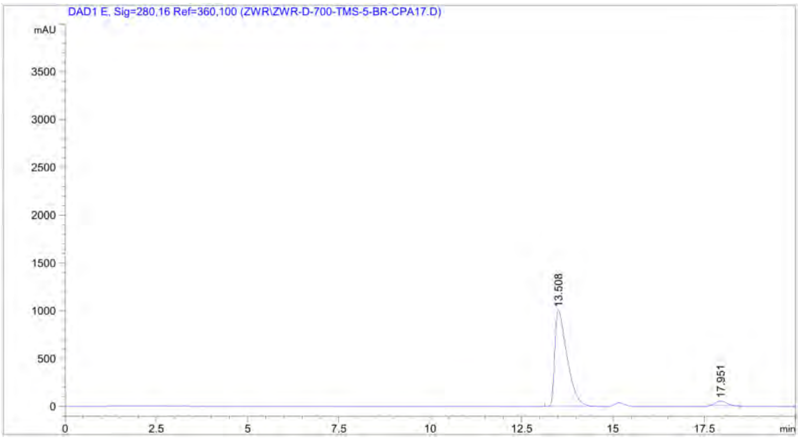
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

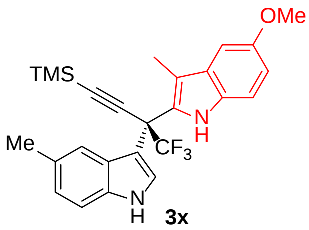
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.234	BB	0.4420	6.50890e4	2194.81934	49.6896
2	17.430	BB	0.5001	6.59021e4	2022.59131	50.3104

HPLC spectrum of the enantioenriched compound



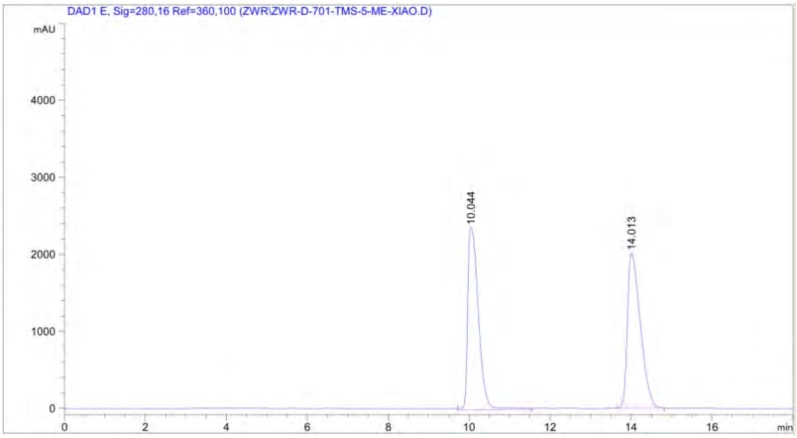
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.508	MM R	0.3918	2.36695e4	1006.85883	96.1935
2	17.951	MM R	0.3364	936.64240	46.41051	3.8065



3x

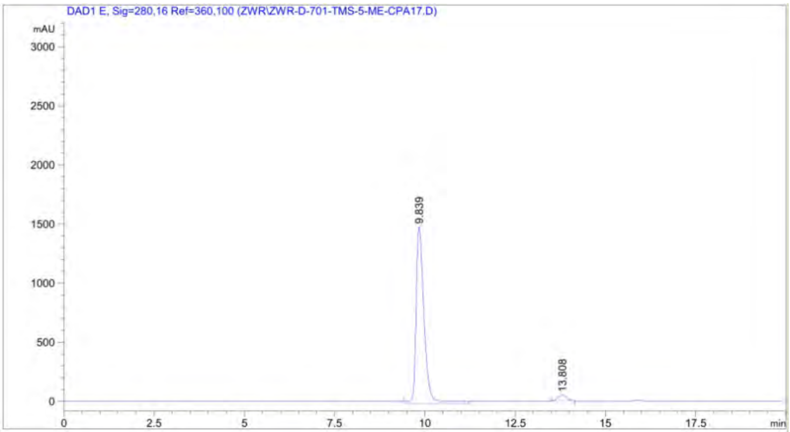
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

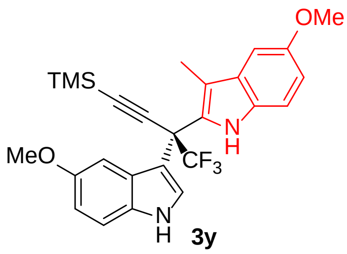
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	10.044	MM R	0.3078	4.36345e4	2362.91504	49.5317
2	14.013	MM R	0.3682	4.44595e4	2012.68262	50.4683

HPLC spectrum of the enantioenriched compound



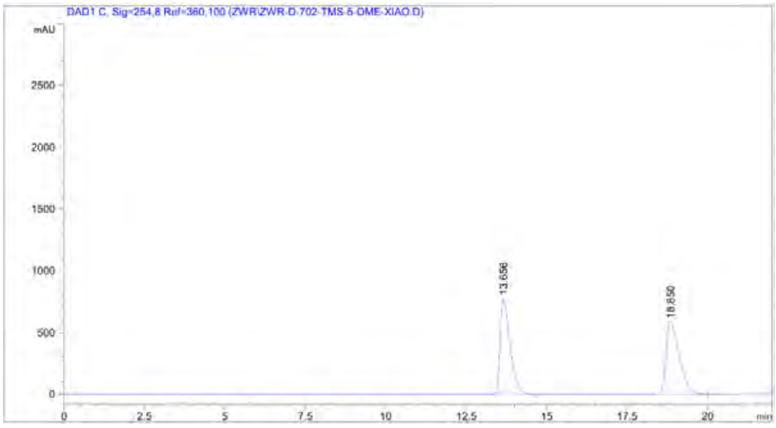
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.839	MM R	0.2594	2.32625e4	1494.76379	96.5810
2	13.808	MM R	0.2784	823.50031	49.29202	3.4190



3y

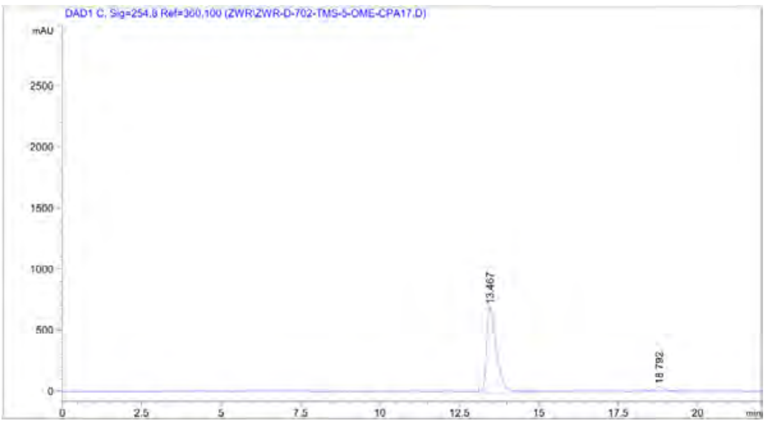
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

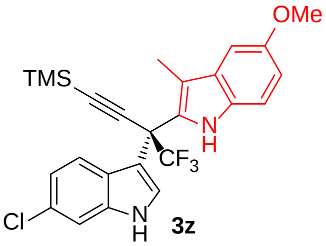
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.656	BB	0.3203	1.66181e4	780.74896	49.9581
2	18.850	BB	0.4242	1.66460e4	591.63928	50.0419

HPLC spectrum of the enantioenriched compound



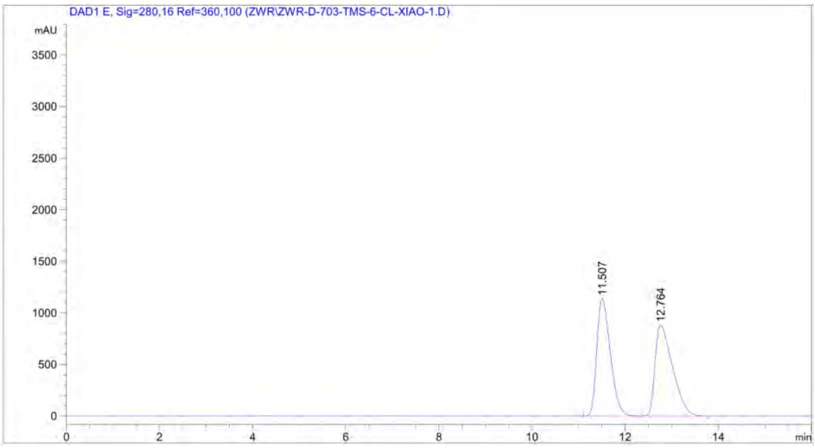
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.467	MM R	0.3682	1.54034e4	697.23822	95.1608
2	18.792	MM R	0.3939	783.30676	33.14393	4.8392



3z

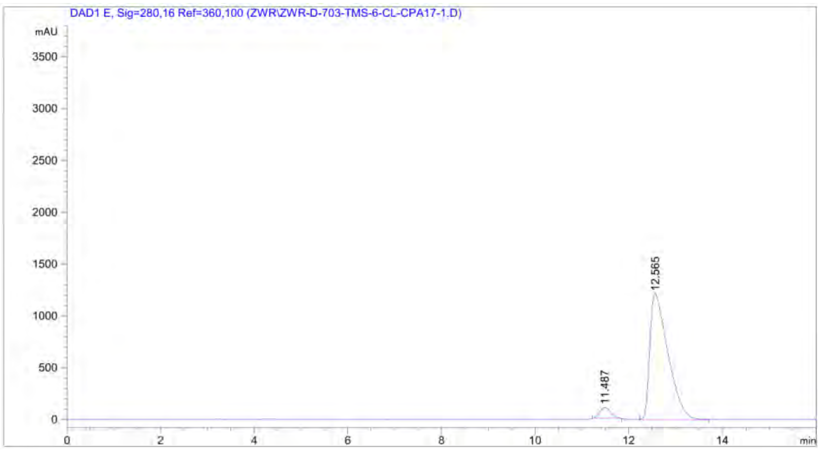
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

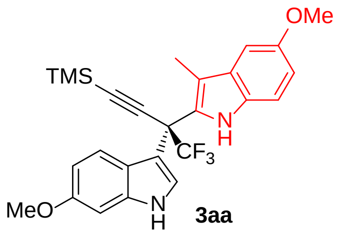
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.507	BB	0.3125	2.28707e4	1138.02161	49.9142
2	12.764	BB	0.3958	2.29492e4	881.37604	50.0858

HPLC spectrum of the enantioenriched compound



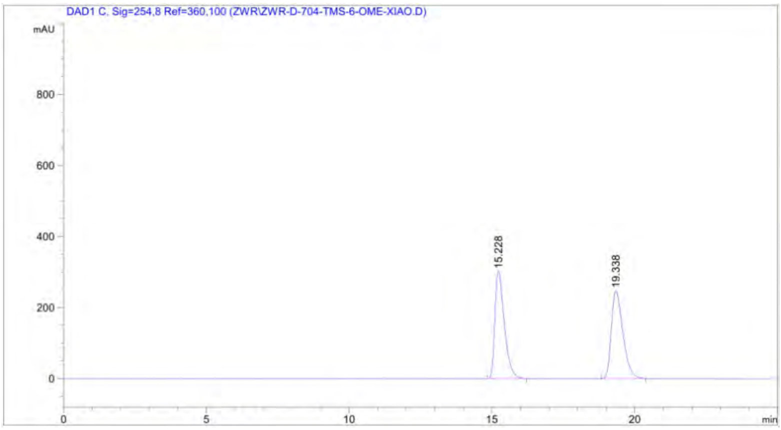
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.487	MM R	0.2751	1666.25854	100.95464	4.8623
2	12.565	MM R	0.4481	3.26028e4	1212.55920	95.1377



3aa

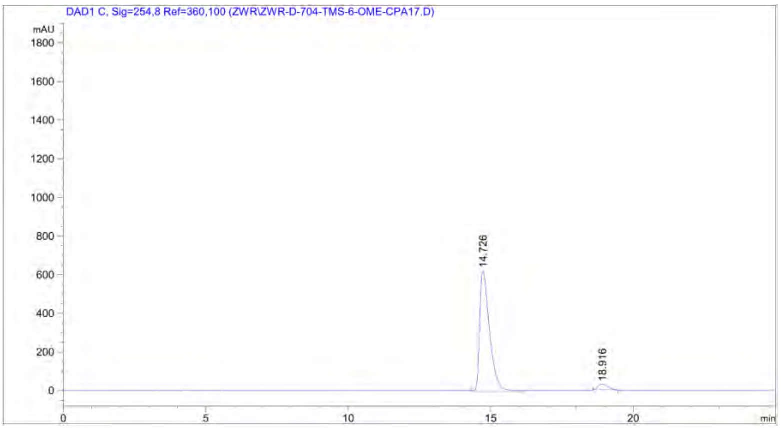
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

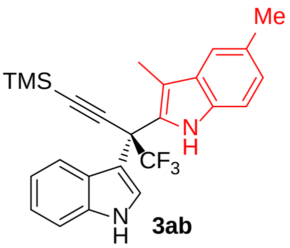
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.228	BB	0.3479	6919.55078	301.30170	49.9879
2	19.338	BB	0.4264	6922.89209	247.48535	50.0121

HPLC spectrum of the enantioenriched compound



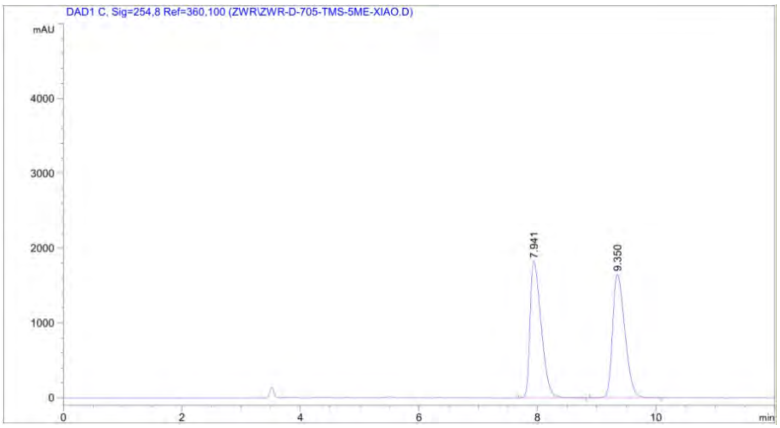
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.726	MM R	0.4033	1.51441e4	625.91077	96.0103
2	18.916	MM R	0.3660	629.30676	28.65499	3.9897



3ab

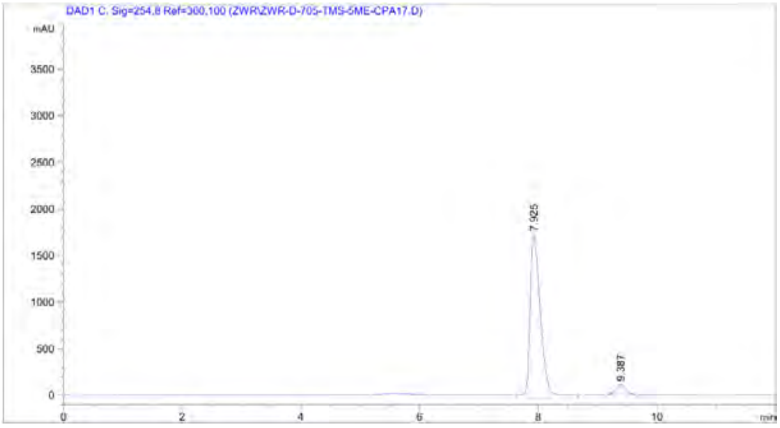
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

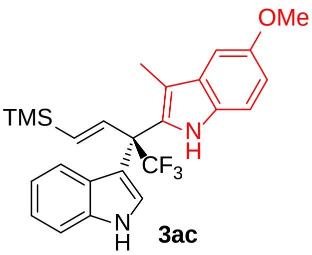
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.941	BB	0.1993	2.36587e4	1824.72083	49.9534
2	9.350	BB	0.2236	2.37028e4	1650.22241	50.0466

HPLC spectrum of the enantioenriched compound



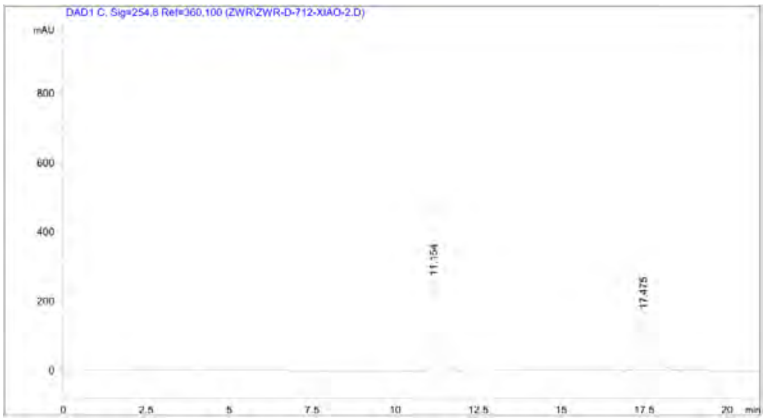
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.925	MM R	0.2088	2.20974e4	1763.84900	94.8284
2	9.387	MM R	0.1832	1205.11243	109.66250	5.1716



3ac

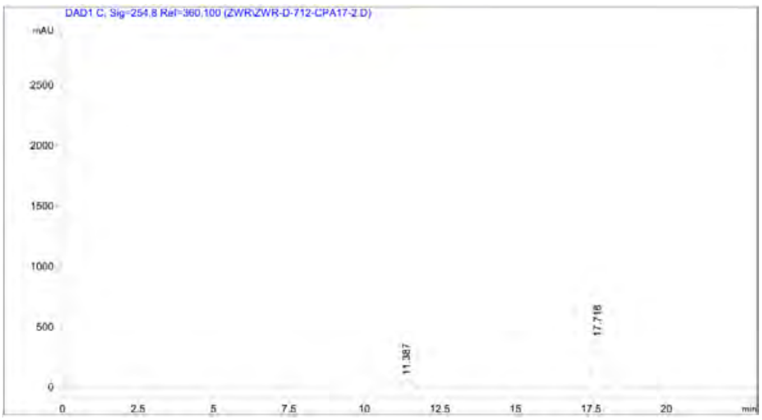
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

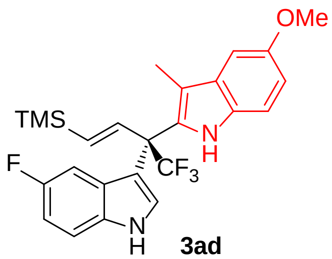
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.154	BB	0.2902	5005.32275	265.21338	50.0068
2	17.475	BB	0.4572	5003.96680	169.09886	49.9932

HPLC spectrum of the enantioenriched compound



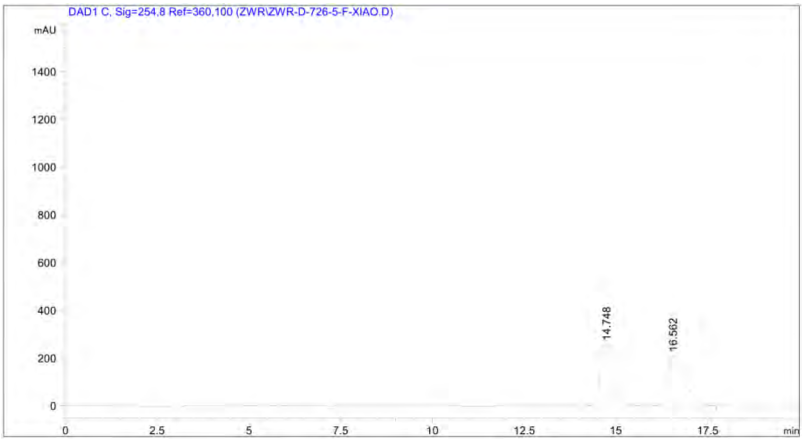
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.387	MM R	0.2532	971.84827	63.97219	5.9178
2	17.718	MM R	0.6345	1.54505e4	405.85901	94.0822



3ad

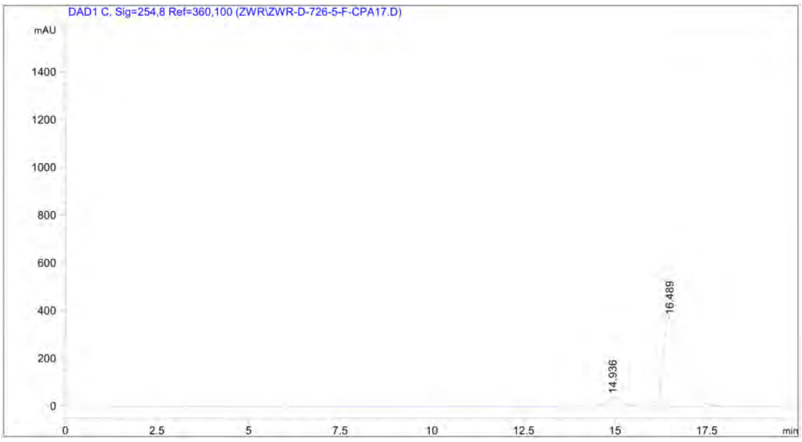
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

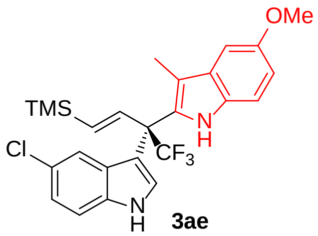
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.748	BB	0.4316	7315.77100	262.16068	50.0307
2	16.562	BB	0.5191	7306.79443	214.67160	49.9693

HPLC spectrum of the enantioenriched compound



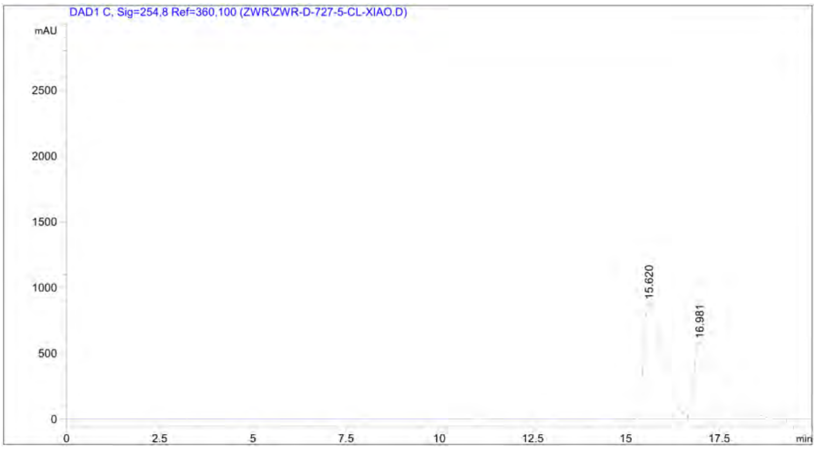
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.936	MM R	0.4300	1022.55518	39.63531	6.9599
2	16.489	BB	0.5529	1.36694e4	370.06607	93.0401



3ae

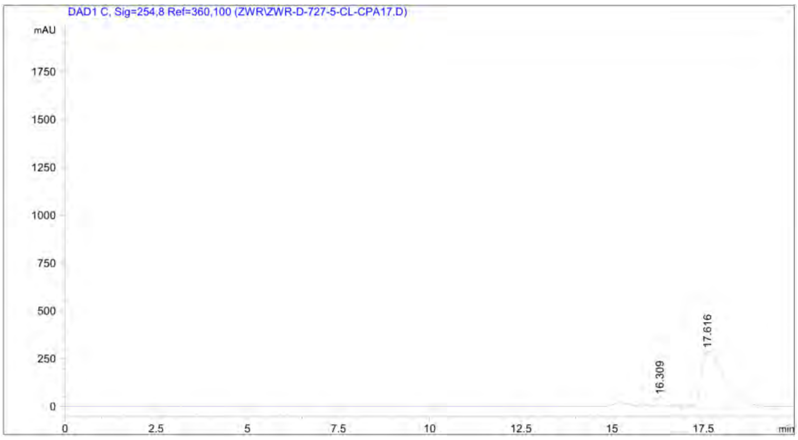
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

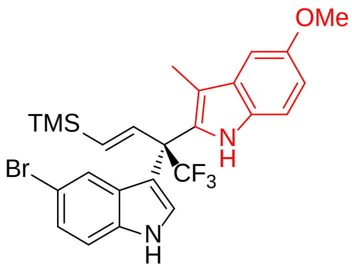
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.620	BV	0.5282	3.14515e4	885.83234	49.7417
2	16.981	VB	0.7561	3.17781e4	588.40460	50.2583

HPLC spectrum of the enantioenriched compound



信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.309	MM R	0.4197	1049.72693	41.68451	6.9926
2	17.616	MM R	0.7835	1.39622e4	297.01636	93.0074

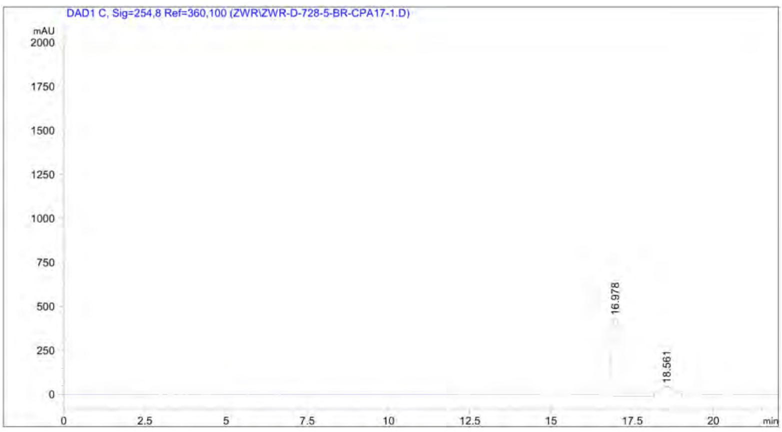


HPLC spectrum of the racemate

信号 1: DAD1 C, Sig=254,8 Ref=360,100

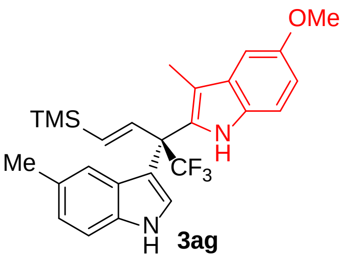
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.830	BV	0.4515	1.56597e4	511.06479	49.9001
2	18.158	VB	0.4611	1.57224e4	508.03201	50.0999

HPLC spectrum of the enantioenriched compound



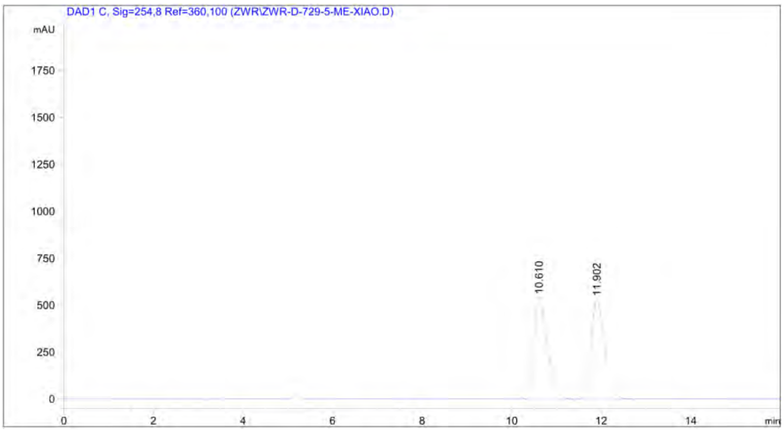
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.978	MM R	0.5373	1.42577e4	442.23758	92.5973
2	18.561	MM R	0.4350	1139.83740	43.67155	7.4027



3ag

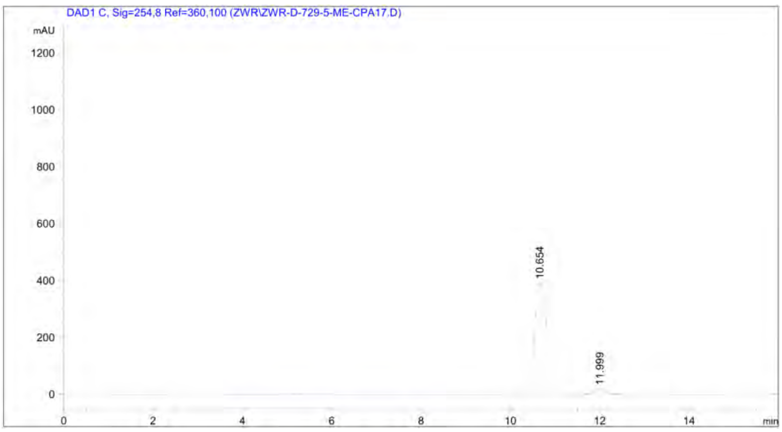
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

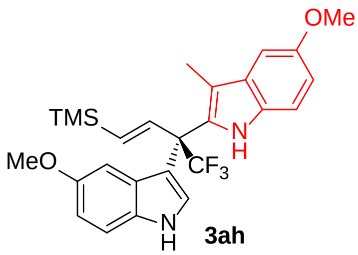
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	10.610	BB	0.3157	1.11858e4	544.41431	49.9301
2	11.902	BB	0.3230	1.12171e4	534.07703	50.0699

HPLC spectrum of the enantioenriched compound



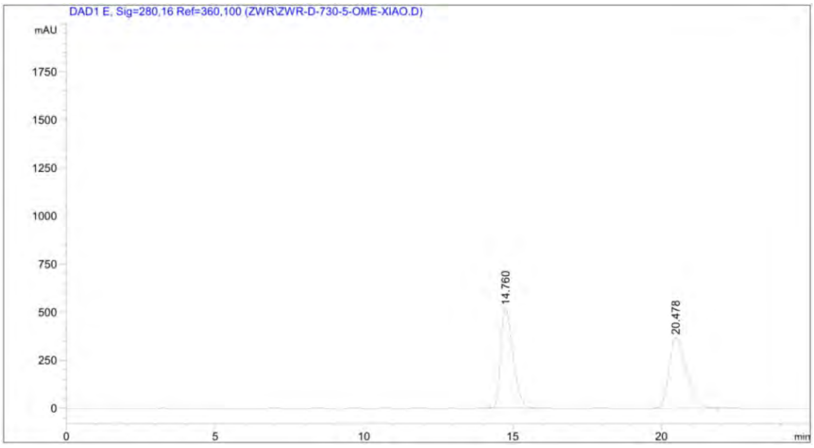
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	10.654	MM R	0.3619	8763.27832	403.59097	96.0228
2	11.999	MM R	0.2905	362.96869	20.82593	3.9772



3ah

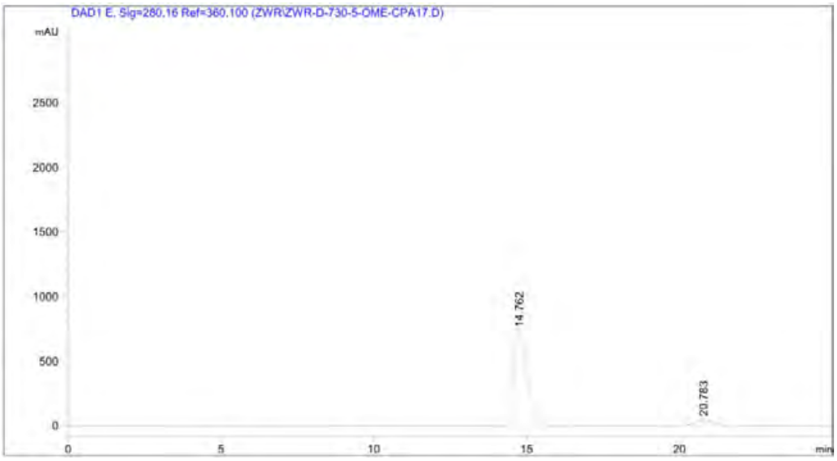
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

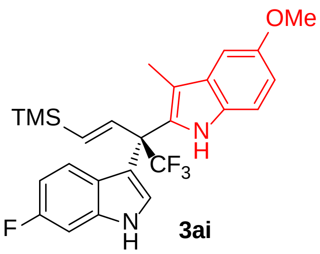
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.760	BB	0.4425	1.49472e4	521.30389	49.9776
2	20.478	BB	0.6252	1.49606e4	367.26166	50.0224

HPLC spectrum of the enantioenriched compound



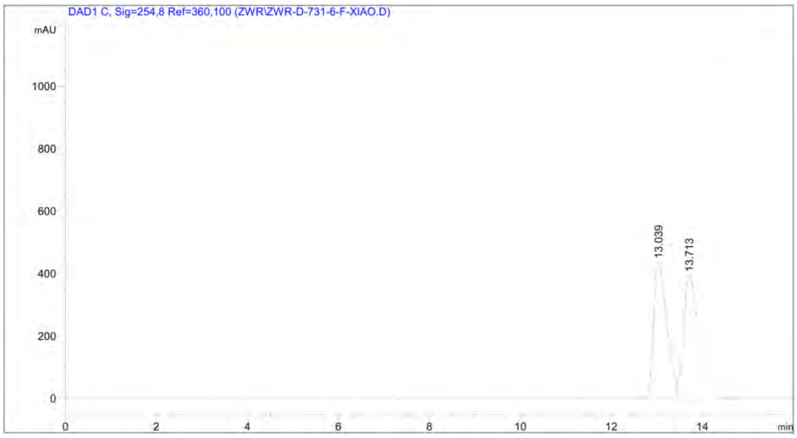
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.762	MM R	0.5211	2.34891e4	751.29974	94.2813
2	20.783	MM R	0.5575	1424.74719	42.59464	5.7187



3ai

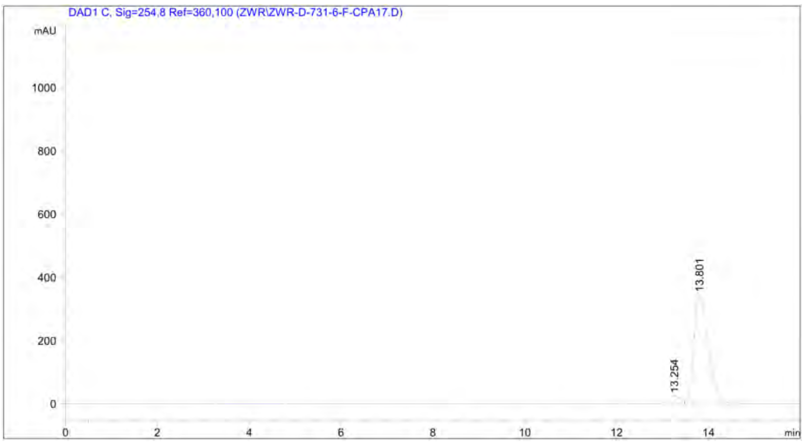
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

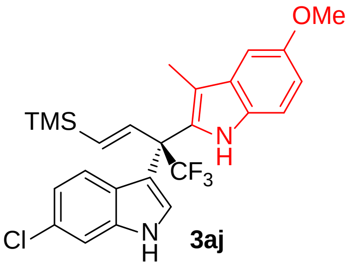
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.039	BV	0.3026	8667.82422	438.44479	49.0873
2	13.713	VB	0.3449	8990.16406	395.98444	50.9127

HPLC spectrum of the enantioenriched compound



信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.254	MM R	0.2745	361.30197	21.93702	4.5961
2	13.801	MM R	0.3648	7499.71875	342.66599	95.4039



3aj

HPLC spectrum of the racemate

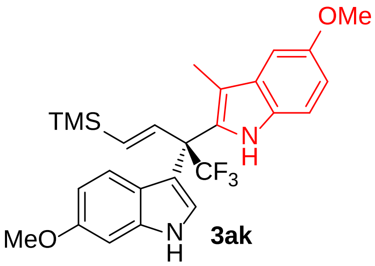
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.114	VV	0.4605	6594.54102	220.75128	49.3701
2	15.119	VB	0.5224	6762.82373	199.01604	50.6299

HPLC spectrum of the enantioenriched compound

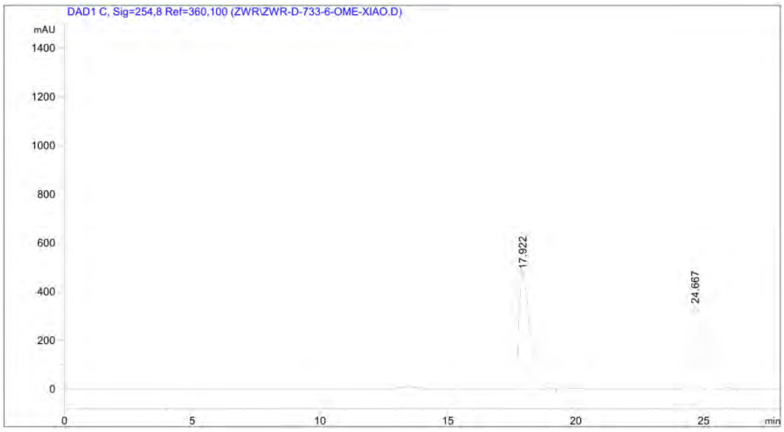
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.138	MM R	0.3566	152.70886	7.13666	4.2460
2	15.180	MM R	0.5024	3443.86572	114.25408	95.7540



3ak

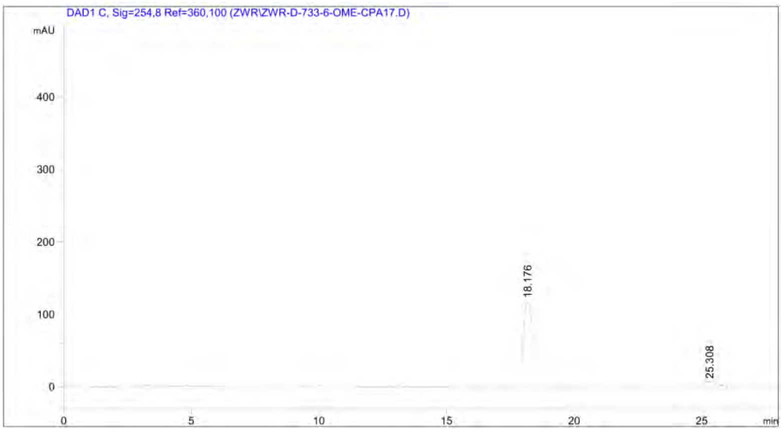
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

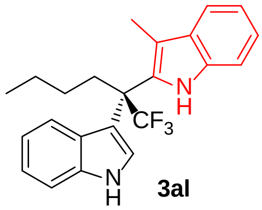
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	17.922	BB	0.4615	1.45044e4	478.70517	49.9259
2	24.667	BB	0.6430	1.45475e4	337.40710	50.0741

HPLC spectrum of the enantioenriched compound



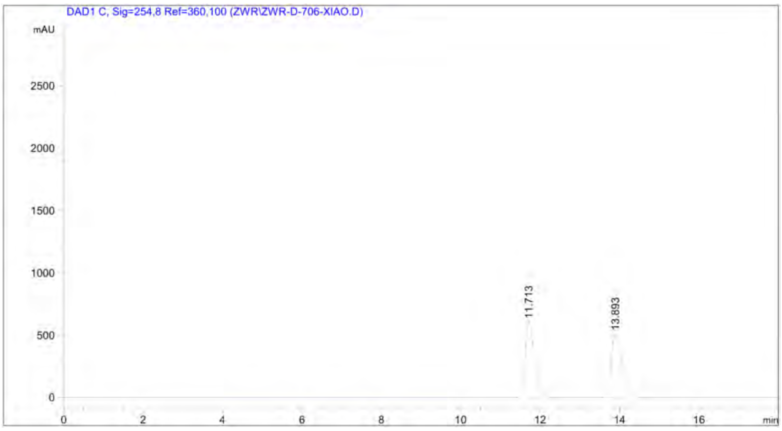
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.176	MM R	0.5185	3759.74878	120.85401	95.0575
2	25.308	MM R	0.5499	195.48825	5.92469	4.9425



3al

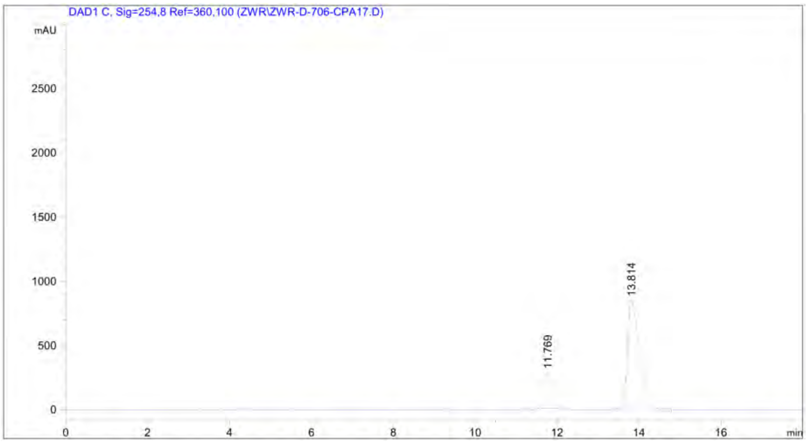
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

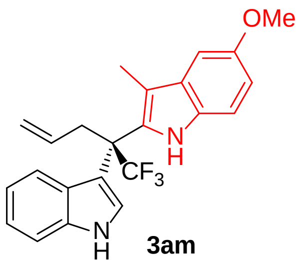
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.713	BB	0.2492	9979.28418	608.98273	49.9893
2	13.893	BB	0.2964	9983.56543	514.44531	50.0107

HPLC spectrum of the enantioenriched compound



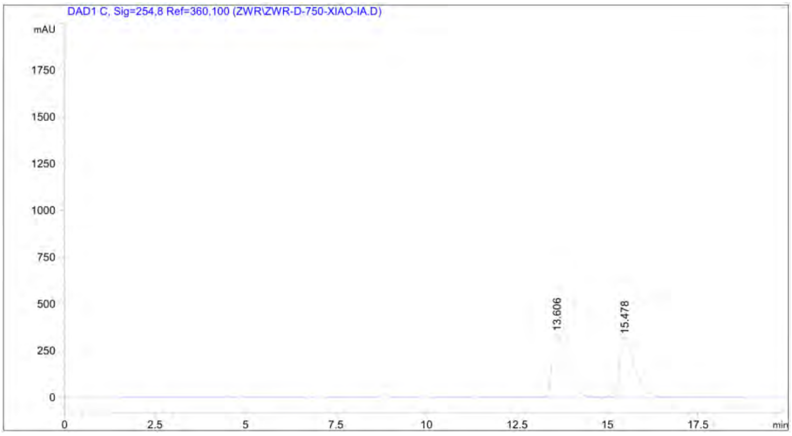
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.769	MM R	0.2474	4191.51953	282.40347	18.1997
2	13.814	MM R	0.3611	1.88392e4	869.63635	81.8003



3am

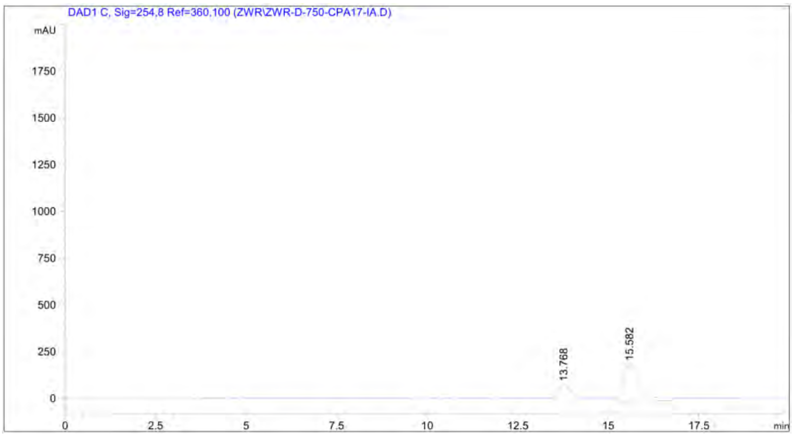
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

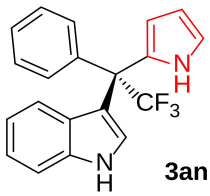
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.606	BB	0.4057	9075.98047	337.54248	49.9197
2	15.478	BB	0.4282	9105.17871	321.67676	50.0803

HPLC spectrum of the enantioenriched compound



信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.768	MM R	0.4288	1827.74768	71.04897	21.9259
2	15.582	MM R	0.5381	6508.27783	201.56952	78.0741



3an

HPLC spectrum of the racemate

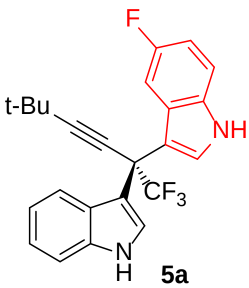
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	67.030	BB	1.1457	6881.92822	86.17657	50.1159
2	70.444	BB	1.3092	6850.10645	79.02911	49.8841

HPLC spectrum of the enantioenriched compound

信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	67.346	MM R	1.0487	231.62749	3.68107	2.1728
2	69.950	MM R	1.5382	1.04286e4	112.99296	97.8272



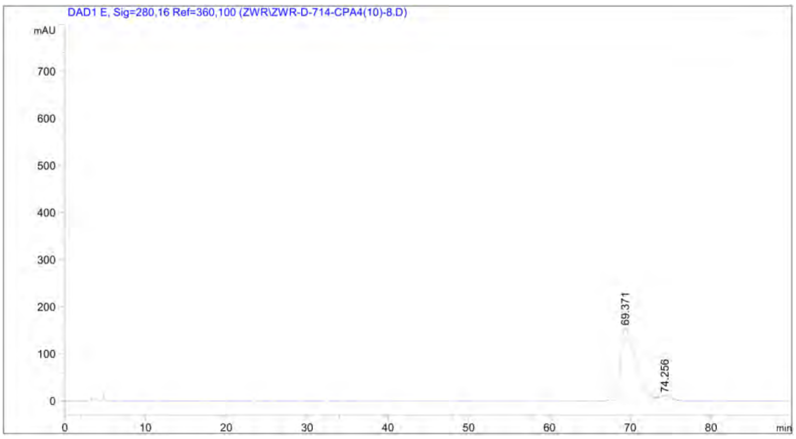
5a

HPLC spectrum of the racemate

信号 1: DAD1 E, Sig=280,16 Ref=360,100

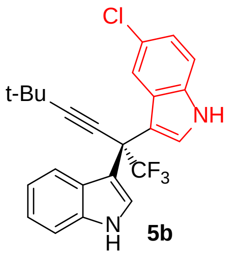
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	70.964	BV	1.5296	9197.25195	86.84063	49.4288
2	74.485	VB	1.6738	9409.81836	78.87859	50.5712

HPLC spectrum of the enantioenriched compound



信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	69.371	BB	1.8197	2.00423e4	151.08502	97.5313
2	74.256	BB	1.0563	507.31357	5.74457	2.4687



5b

HPLC spectrum of the racemate

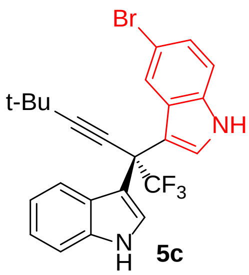
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	19.392	BB	0.6136	9205.79492	232.64905	50.0549
2	21.711	BB	0.7280	9185.60742	192.72838	49.9451

HPLC spectrum of the enantioenriched compound

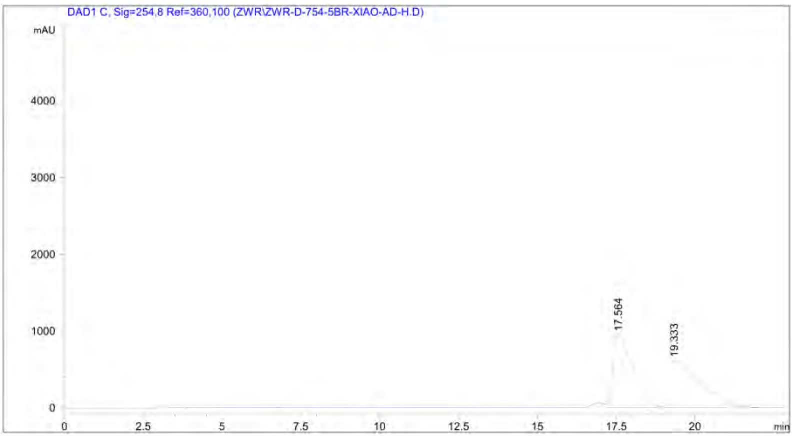
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	19.149	MM R	0.5345	1196.29089	37.30162	5.0842
2	21.029	MM R	0.9347	2.23333e4	398.20389	94.9158



5c

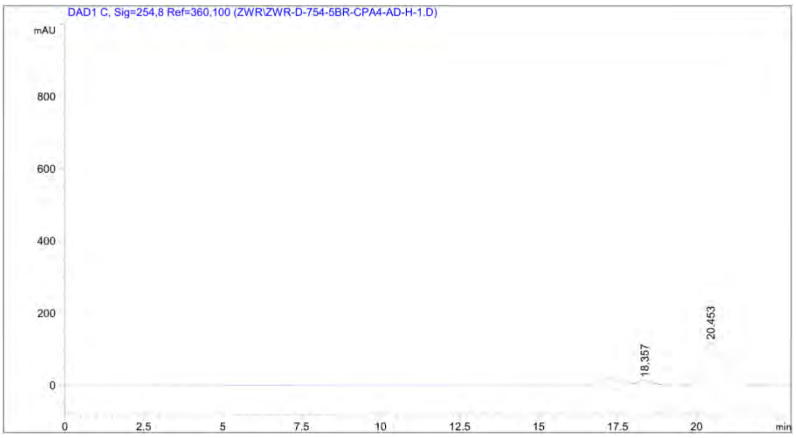
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

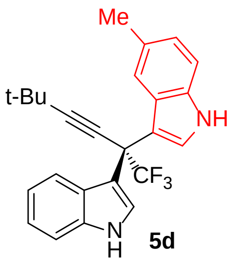
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	17.564	VV	0.6467	4.27012e4	960.38531	50.0541
2	19.333	VB	0.9502	4.26090e4	622.75806	49.9459

HPLC spectrum of the enantioenriched compound



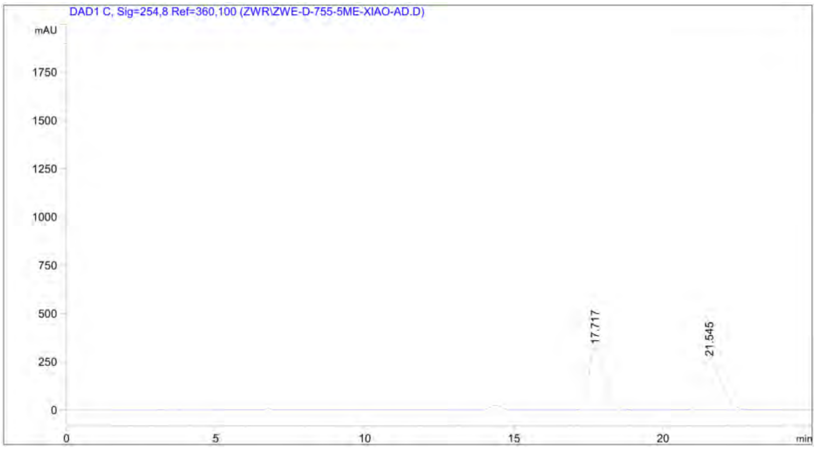
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.357	MM R	0.4792	287.12503	9.98713	4.5371
2	20.453	MM R	0.8268	6041.32617	121.78758	95.4629



5d

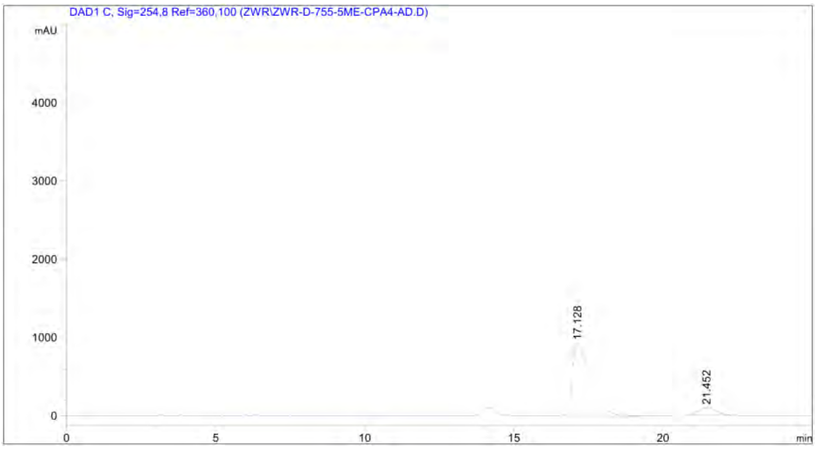
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

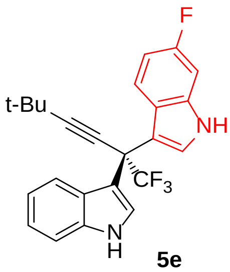
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	17.717	BB	0.5630	1.17946e4	323.74518	49.9744
2	21.545	BB	0.7002	1.18066e4	261.77628	50.0256

HPLC spectrum of the enantioenriched compound



信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	17.128	MM R	0.7292	4.11684e4	940.98553	92.1269
2	21.452	MM R	0.6343	3518.20825	92.44566	7.8731



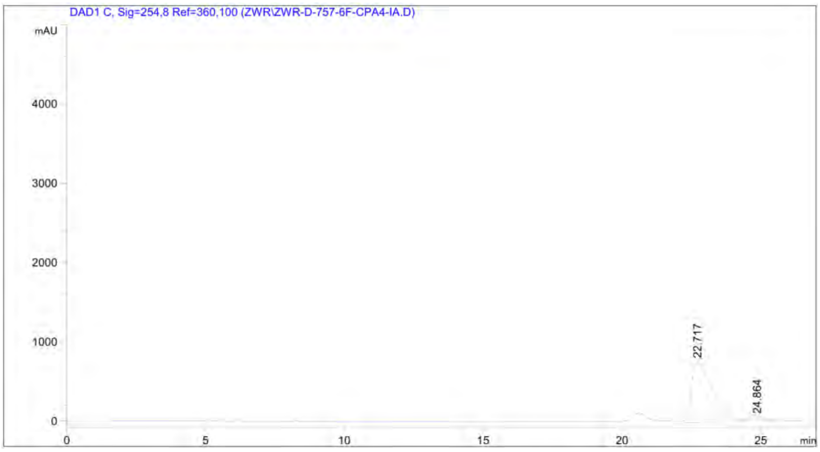
5e

HPLC spectrum of the racemate

信号 1: DAD1 C, Sig=254,8 Ref=360,100

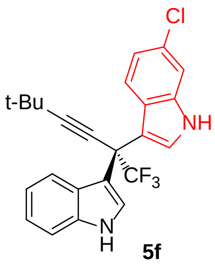
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	22.781	BV	0.6888	2.54999e4	564.77521	49.2983
2	24.358	VB	0.7514	2.62259e4	522.64429	50.7017

HPLC spectrum of the enantioenriched compound



信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	22.717	MM R	0.8824	4.08667e4	771.90985	96.8642
2	24.864	MM R	0.5956	1322.99756	37.02321	3.1358



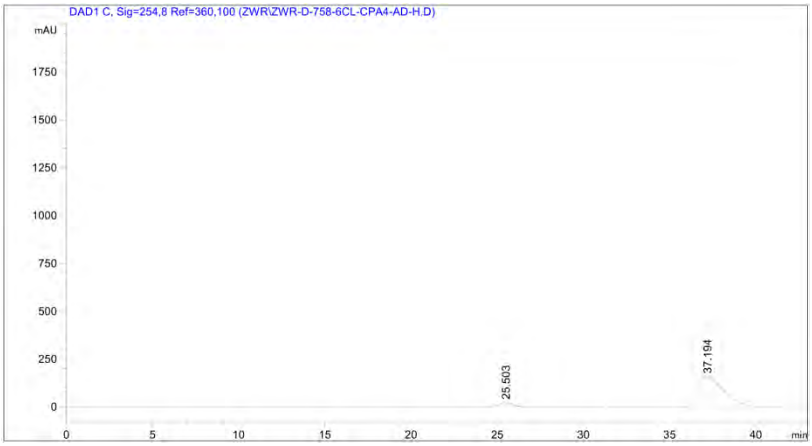
5f

HPLC spectrum of the racemate

信号 1: DAD1 C, Sig=254,8 Ref=360,100

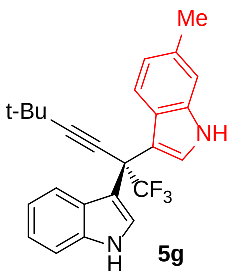
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	25.844	BB	0.8501	3739.45801	68.15457	50.3238
2	38.786	BB	1.2427	3691.33496	41.46002	49.6762

HPLC spectrum of the enantioenriched compound



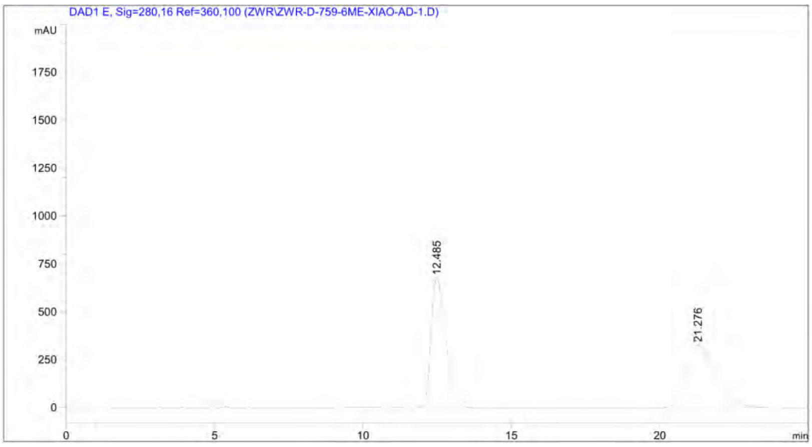
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	25.503	MM R	0.7652	874.64380	19.05029	4.8534
2	37.194	MM R	1.7298	1.71468e4	165.21155	95.1466



5g

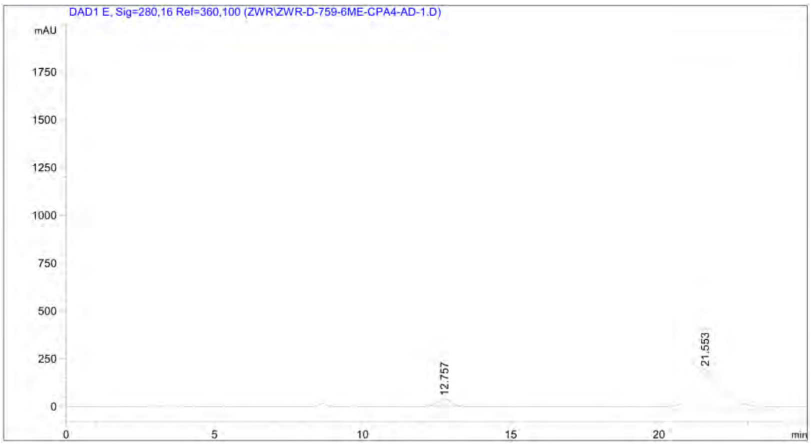
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

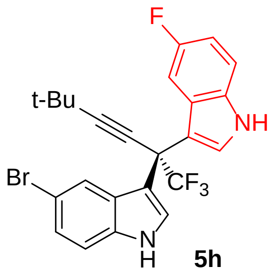
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.485	BB	0.5314	2.32841e4	676.66949	50.0602
2	21.276	BB	1.0611	2.32281e4	326.05228	49.9398

HPLC spectrum of the enantioenriched compound



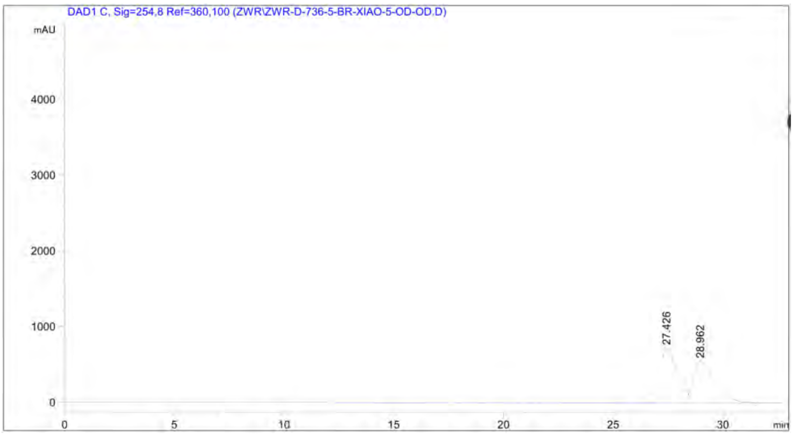
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.757	MM R	0.4746	1002.55341	35.20451	5.8720
2	21.553	MM R	1.3021	1.60709e4	205.71072	94.1280



5h

HPLC spectrum of the racemate



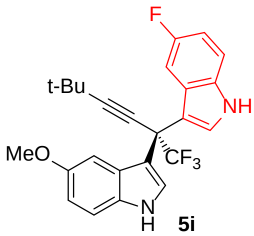
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	27.426	BV	0.7725	3.59413e4	724.80481	48.7998
2	28.962	VB	1.0134	3.77092e4	548.95789	51.2002

HPLC spectrum of the enantioenriched compound

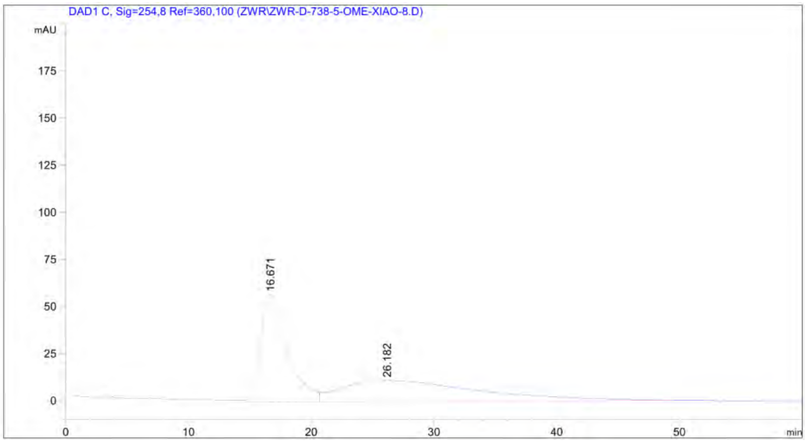
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	28.135	MM R	0.8728	7317.95752	139.74030	95.0507
2	29.982	MM R	0.7891	381.04843	8.04851	4.9493



5i

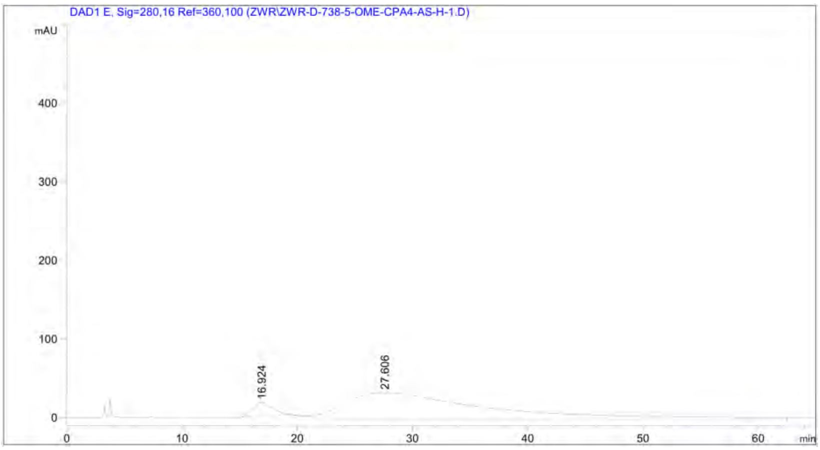
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

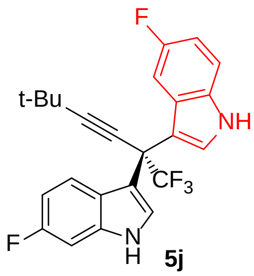
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.671	MF R	2.5462	8719.56152	57.07570	50.2560
2	26.182	FM R	12.7460	8630.71582	11.28549	49.7440

HPLC spectrum of the enantioenriched compound



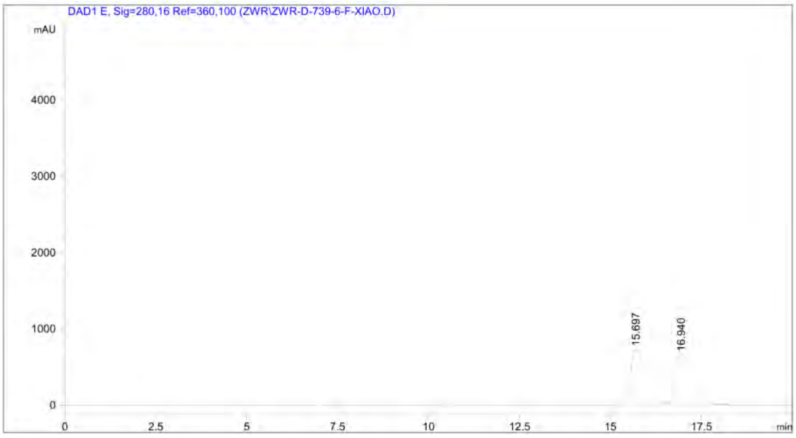
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	16.924	MM R	2.0027	2072.40088	17.24682	6.6889
2	27.606	MM R	14.3285	2.89102e4	33.62786	93.3111



5j

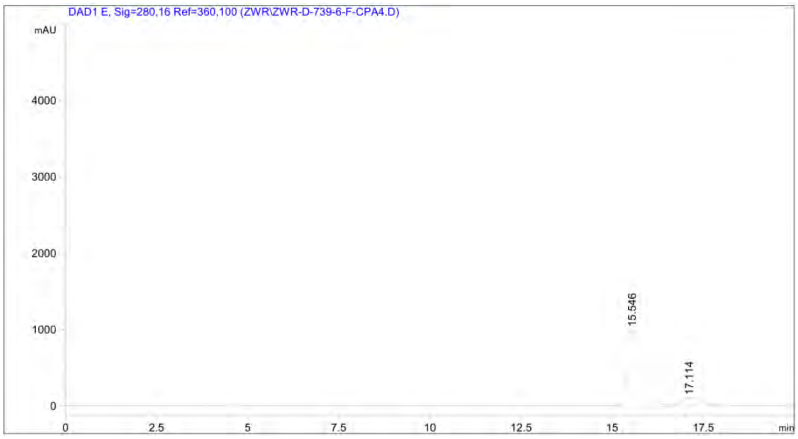
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

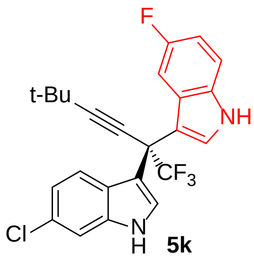
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.697	BV	0.4368	2.07434e4	731.50433	49.4176
2	16.940	VB	0.4895	2.12323e4	663.25885	50.5824

HPLC spectrum of the enantioenriched compound



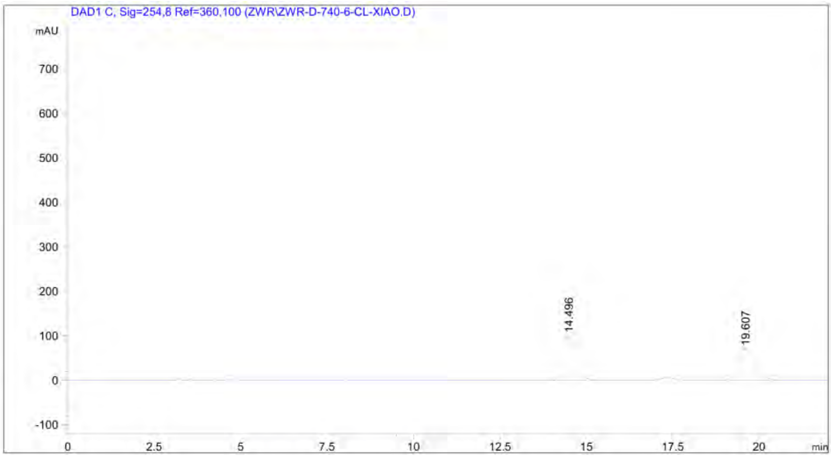
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.546	MM R	0.5122	3.09652e4	1007.50232	90.0610
2	17.114	MM R	0.6343	3417.25757	89.78741	9.9390



5k

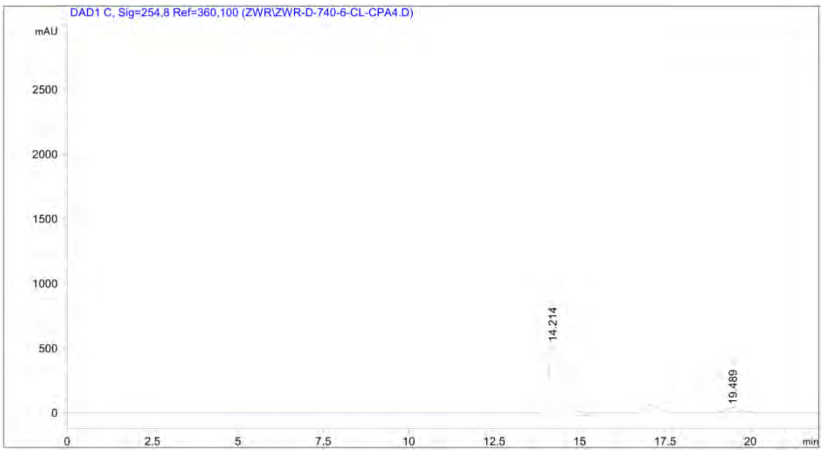
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

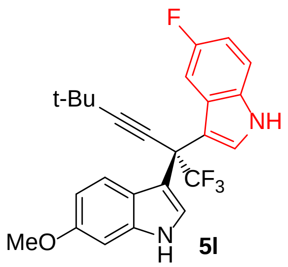
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.496	BB	0.3751	2434.25806	100.31750	50.1430
2	19.607	BB	0.5292	2420.37720	70.38205	49.8570

HPLC spectrum of the enantioenriched compound



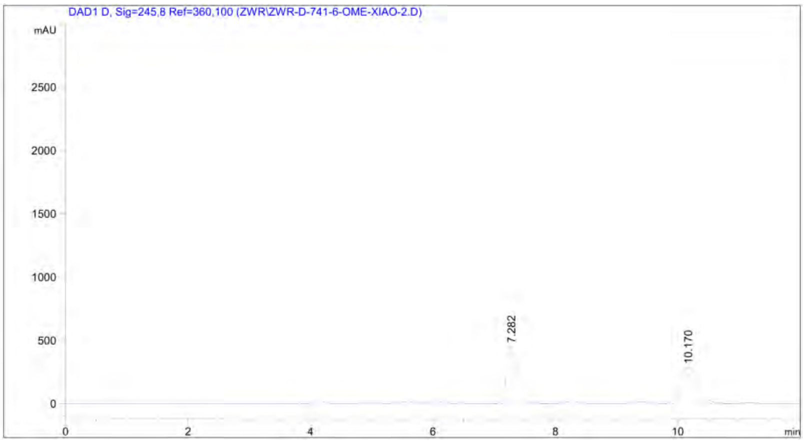
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.214	MM R	0.4650	1.52136e4	545.27246	94.0958
2	19.489	MM R	0.4544	954.59869	35.01619	5.9042



5l

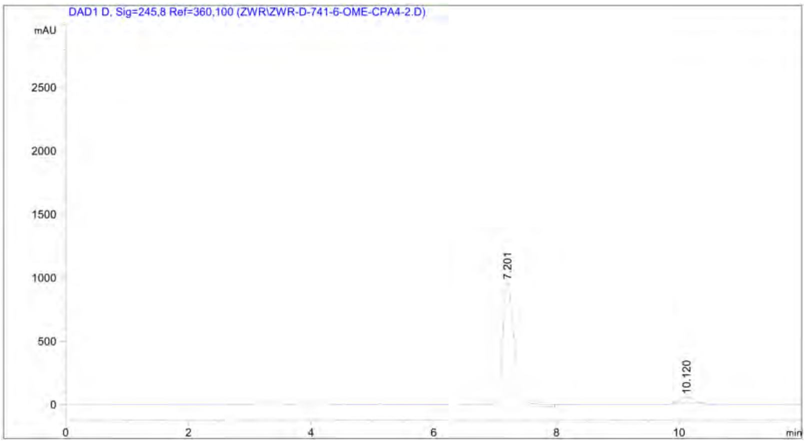
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=245,8 Ref=360,100

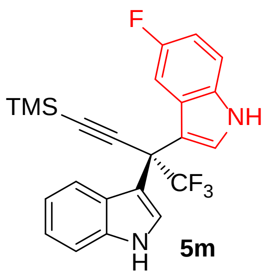
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.282	BB	0.1990	5908.49219	456.55756	50.5269
2	10.170	VB	0.3071	5785.26270	289.52731	49.4731

HPLC spectrum of the enantioenriched compound



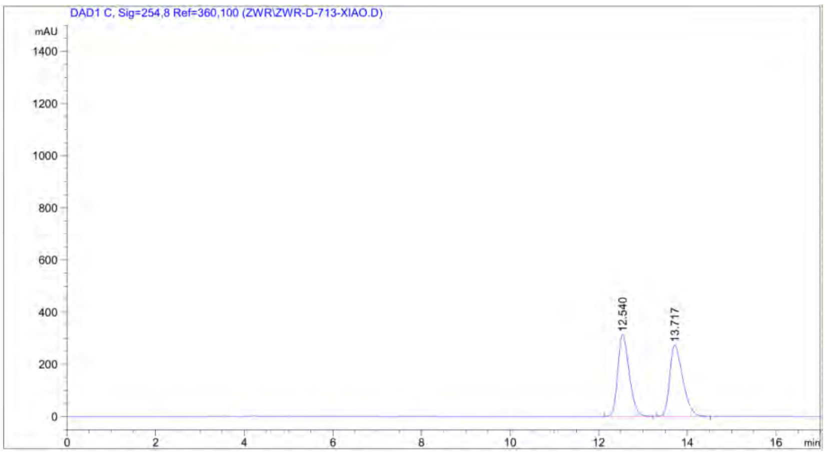
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.201	MM R	0.2240	1.31260e4	976.51343	95.1669
2	10.120	MM R	0.2440	666.61108	45.53490	4.8331



5m

HPLC spectrum of the racemate



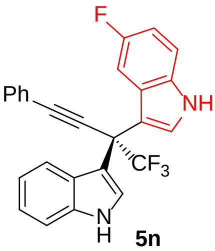
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.540	BB	0.2782	5634.81250	312.75342	49.9811
2	13.717	BB	0.3144	5639.08398	273.70560	50.0189

HPLC spectrum of the enantioenriched compound

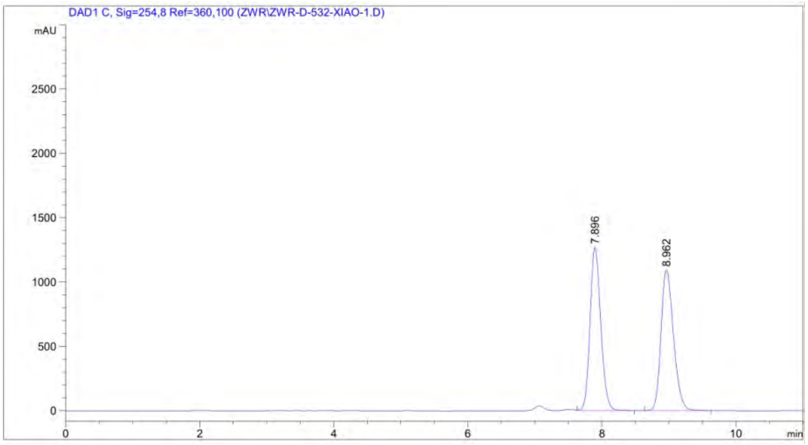
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.856	MM R	0.3008	495.39331	27.44513	5.0283
2	14.089	MM R	0.4112	9356.80469	379.24020	94.9717



5n

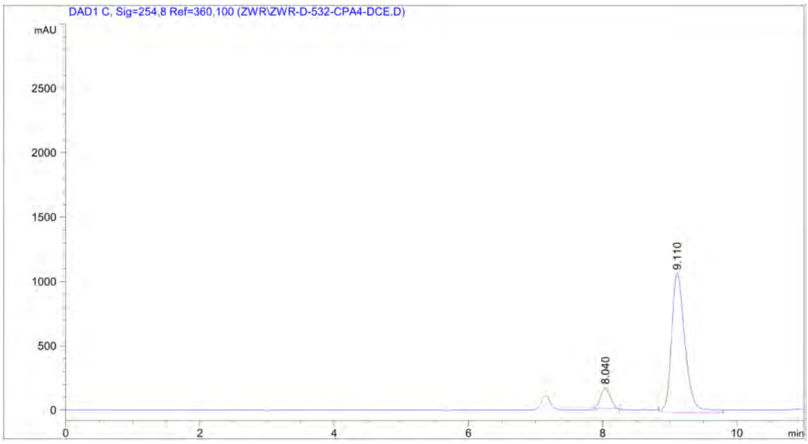
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

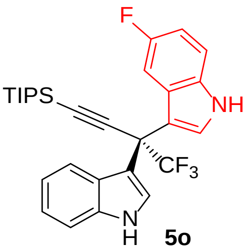
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.896	VB	0.1716	1.41250e4	1271.89893	49.8876
2	8.962	BB	0.1989	1.41887e4	1096.93530	50.1124

HPLC spectrum of the enantioenriched compound



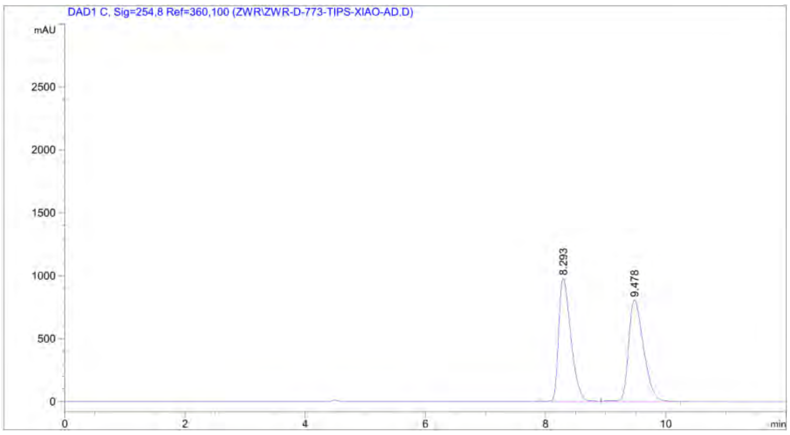
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.040	MM R	0.1679	1670.43420	165.77184	9.8836
2	9.110	MM R	0.2349	1.52307e4	1080.60571	90.1164



5o

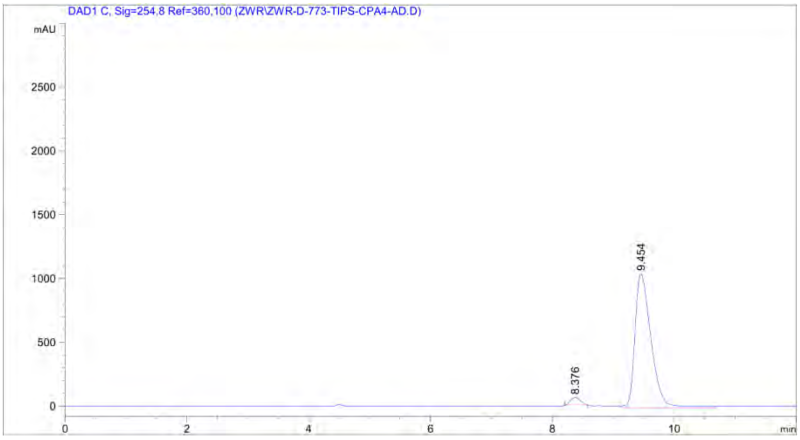
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

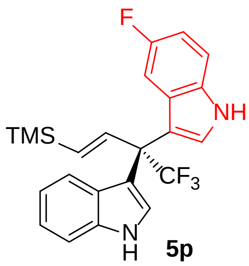
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.293	BB	0.2158	1.37367e4	978.59198	49.6689
2	9.478	BB	0.2674	1.39198e4	806.24133	50.3311

HPLC spectrum of the enantioenriched compound



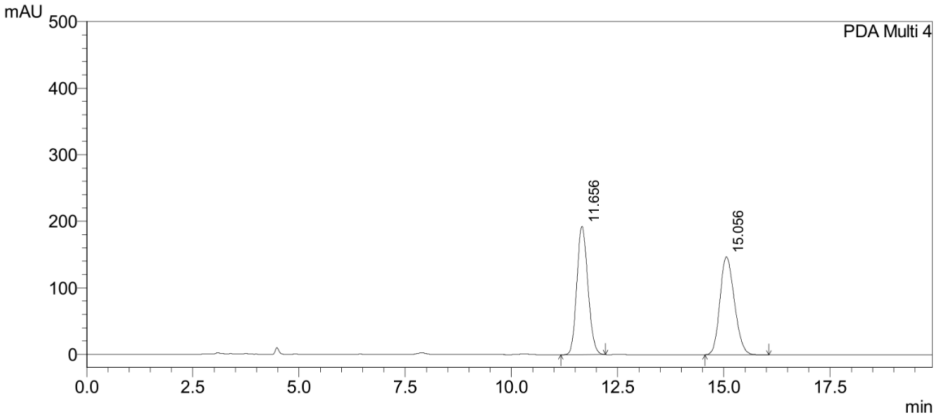
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.376	MM R	0.1800	617.25037	57.14081	3.0891
2	9.454	MM R	0.3081	1.93645e4	1047.35828	96.9109



5p

HPLC spectrum of the racemate



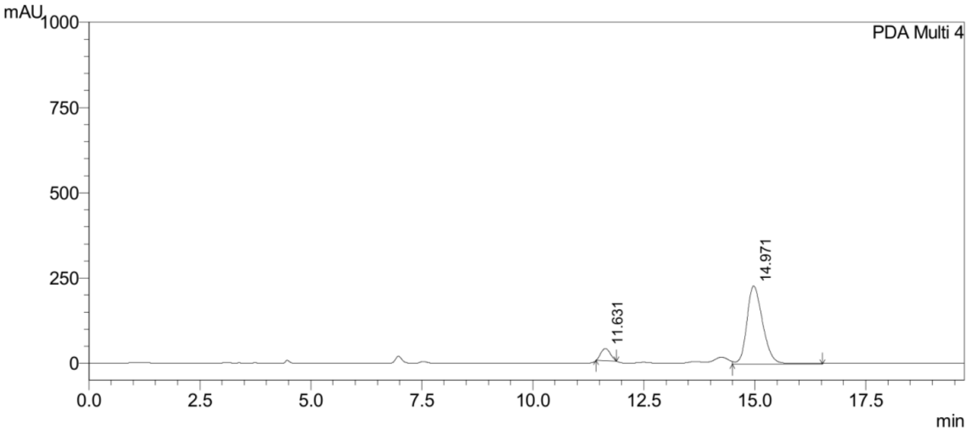
PeakTable

PDA Ch4 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.656	3499833	192932	50.061	56.705
2	15.056	3491281	147308	49.939	43.295
Total		6991113	340240	100.000	100.000

HPLC spectrum of the enantioenriched compound

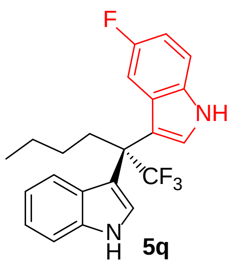
D:\ZWR\ZWR-D-774-CPA4-AD.lcd



PeakTable

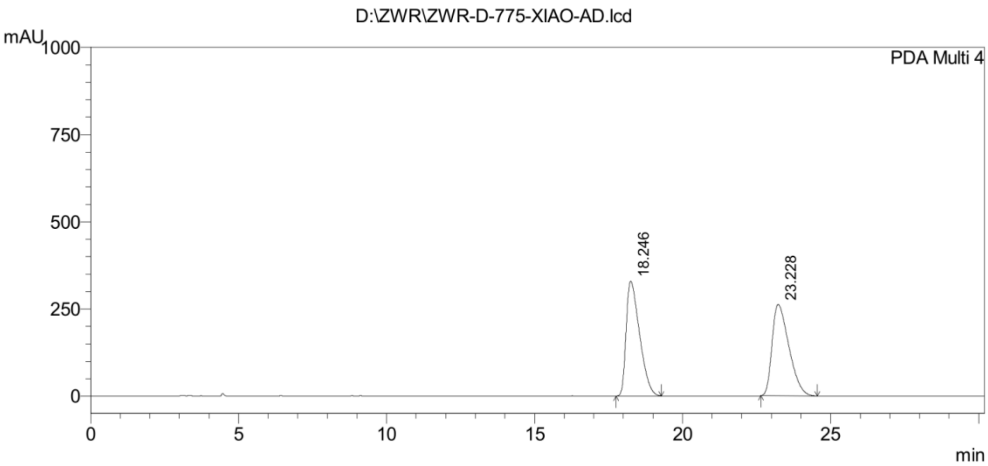
PDA Ch4 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	11.631	521145	35468	8.194	13.372
2	14.971	5839162	229776	91.806	86.628
Total		6360307	265245	100.000	100.000



5q

HPLC spectrum of the racemate

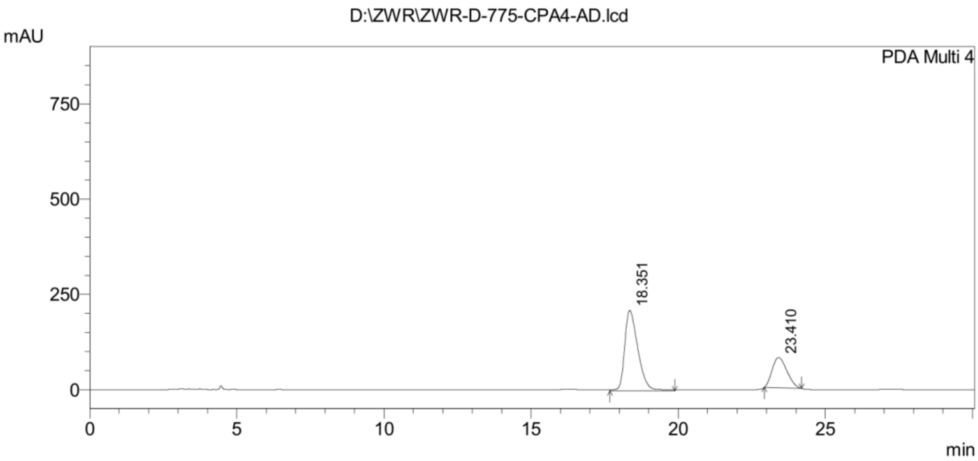


PeakTable

PDA Ch4 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.246	10380421	329331	50.009	55.672
2	23.228	10376697	262230	49.991	44.328
Total		20757118	591561	100.000	100.000

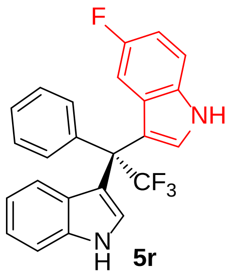
HPLC spectrum of the enantioenriched compound



PeakTable

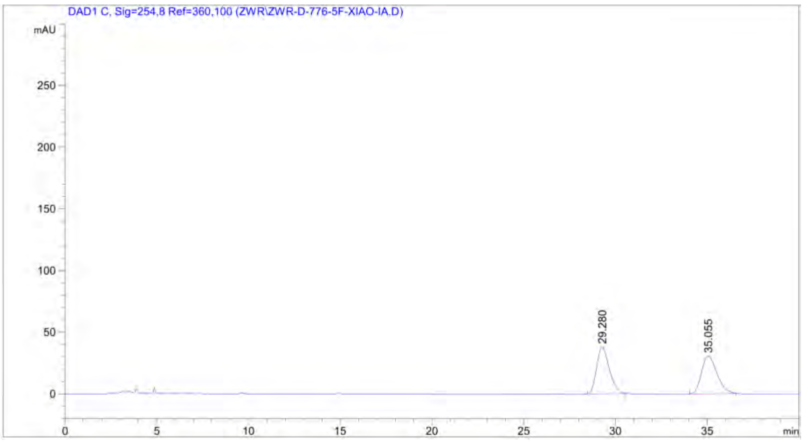
PDA Ch4 254nm 4nm

Peak#	Ret. Time	Area	Height	Area %	Height %
1	18.351	6599685	210378	70.568	72.696
2	23.410	2752503	79015	29.432	27.304
Total		9352188	289393	100.000	100.000



5r

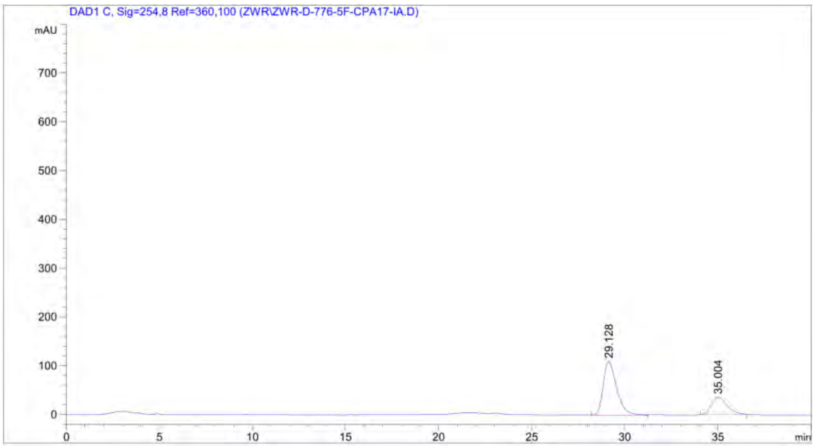
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

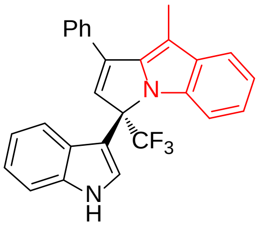
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	29.280	BB	0.7310	1819.77759	37.98116	50.1628
2	35.055	BB	0.8748	1807.96509	30.71234	49.8372

HPLC spectrum of the enantioenriched compound



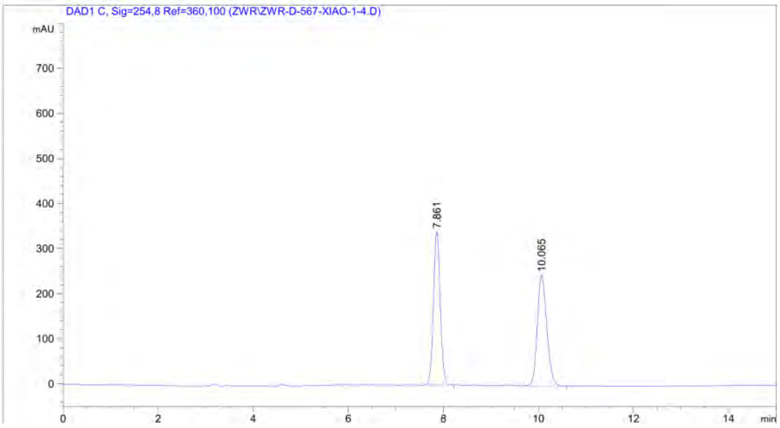
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	29.128	MM R	0.8552	5692.75244	110.94628	73.0597
2	35.004	BB	0.8880	2099.16333	35.80414	26.9403



7a

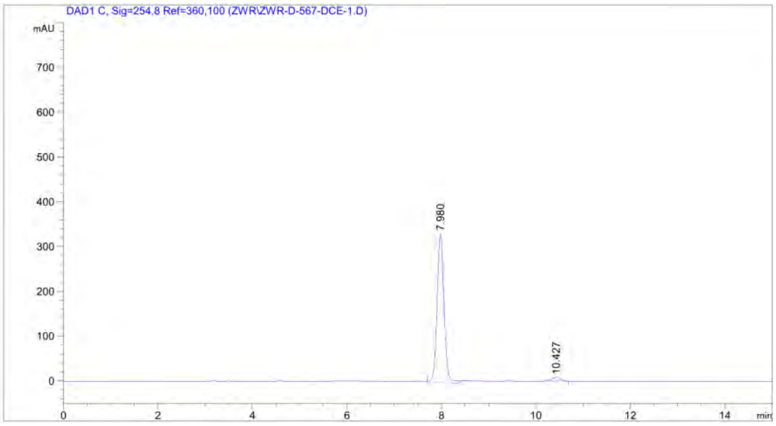
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

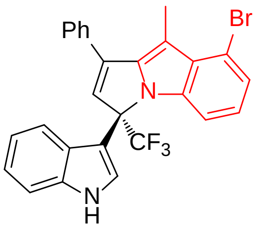
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.861	BB	0.1511	3368.35962	341.42029	49.8229
2	10.065	VB	0.2124	3392.30640	246.73032	50.1771

HPLC spectrum of the enantioenriched compound



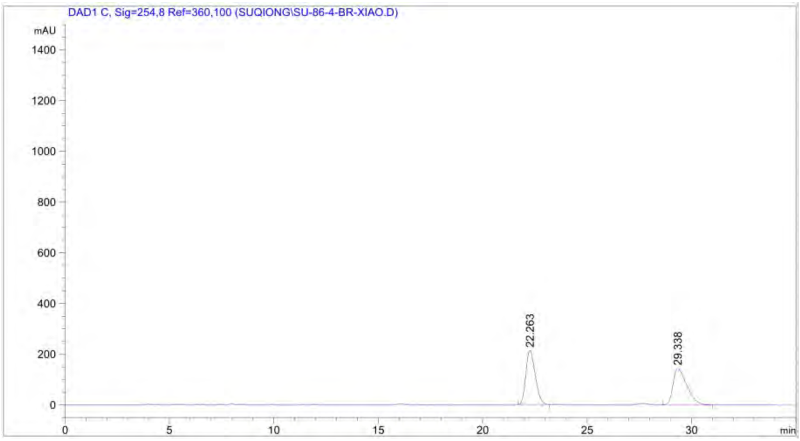
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.980	MM R	0.1708	3419.72339	333.60574	97.2579
2	10.427	MM R	0.1989	96.41719	8.07974	2.7421



7b

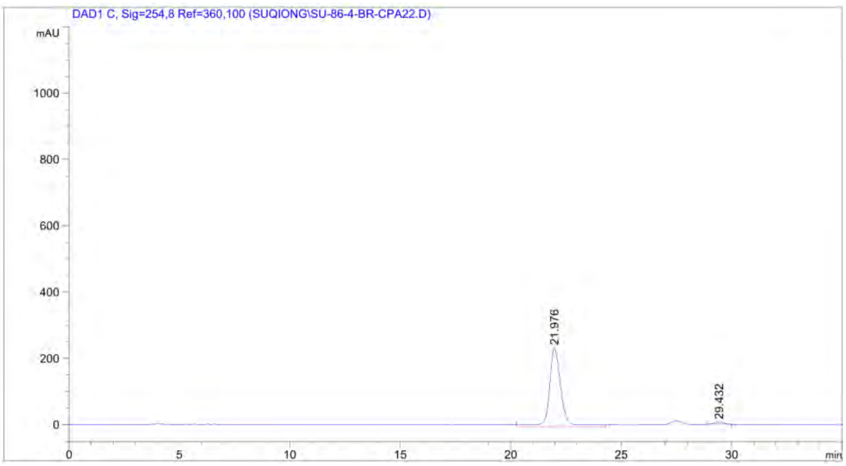
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

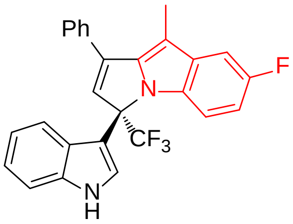
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	22.263	MM R	0.5236	6708.44092	213.51553	49.9653
2	29.338	MM R	0.7751	6717.75146	144.45026	50.0347

HPLC spectrum of the enantioenriched compound



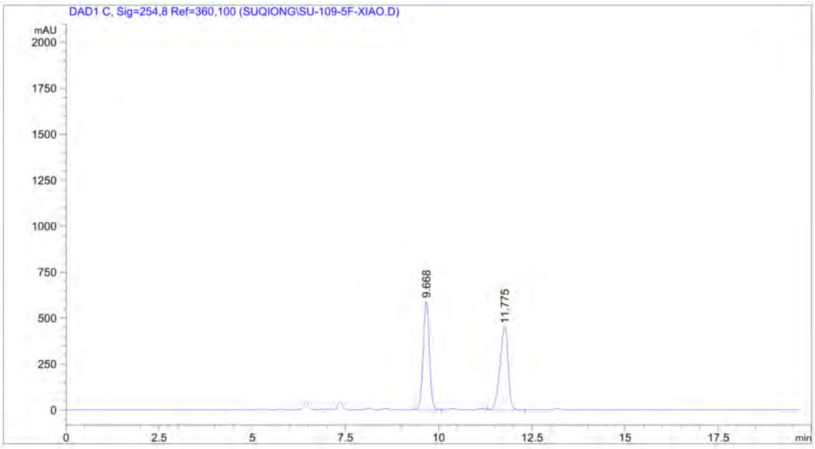
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	21.976	MM R	0.6249	8888.22754	237.04106	98.0625
2	29.432	MM R	0.5393	175.61171	5.42762	1.9375



7c

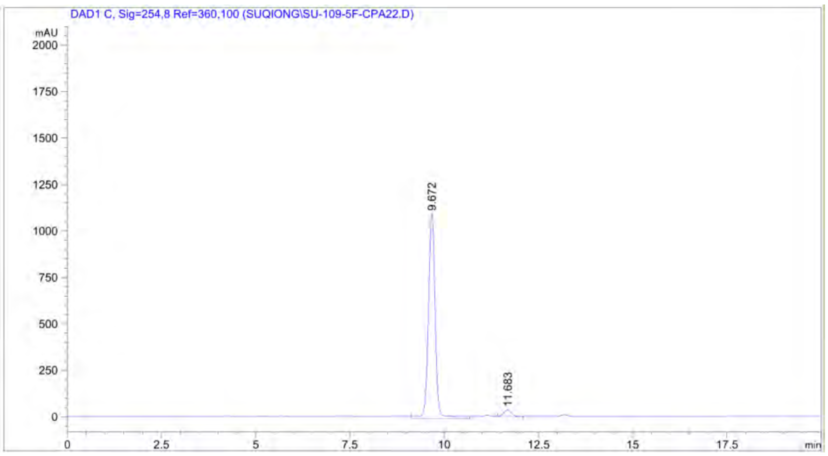
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

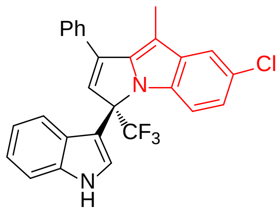
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.668	BB	0.1790	6840.48730	590.99158	49.9140
2	11.775	VB	0.2349	6864.05273	452.61148	50.0860

HPLC spectrum of the enantioenriched compound



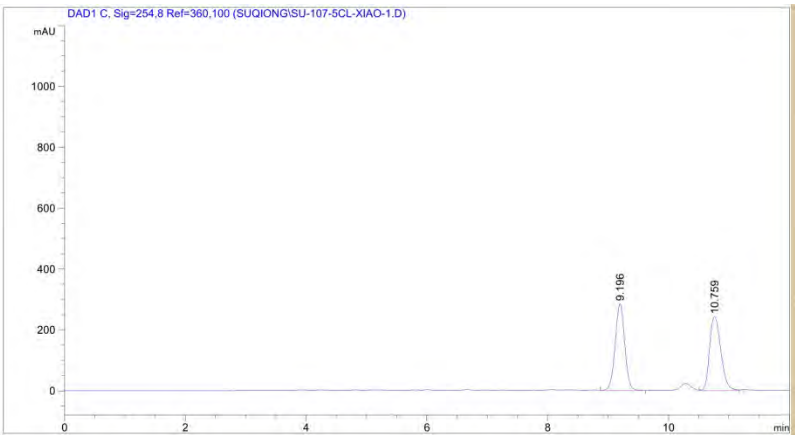
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.672	MM R	0.2112	1.39536e4	1100.90735	96.5435
2	11.683	MM R	0.2381	499.57040	34.96687	3.4565



7d

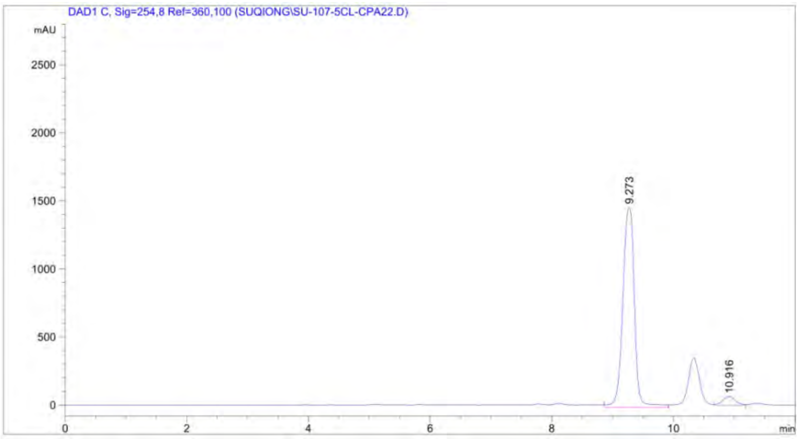
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

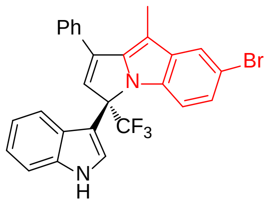
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.196	VB	0.1700	3123.61694	284.64114	49.8267
2	10.759	VV	0.2056	3145.34399	241.99323	50.1733

HPLC spectrum of the enantioenriched compound



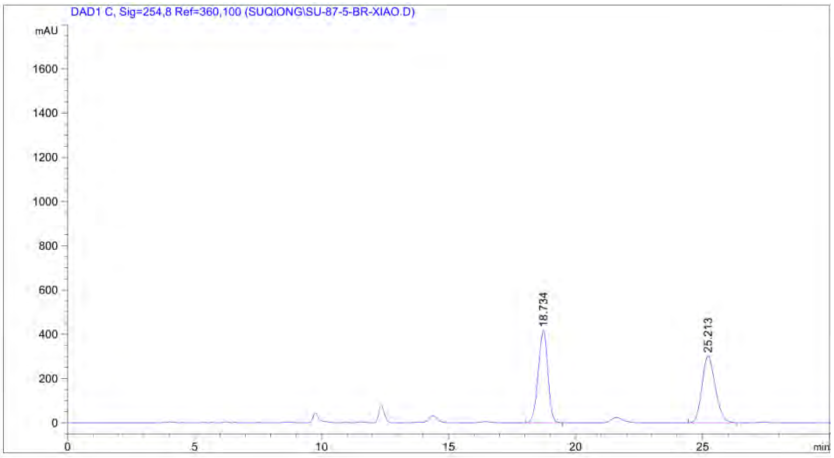
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.273	MM R	0.2203	1.94401e4	1471.01868	95.7264
2	10.916	MM R	0.2309	867.87915	62.63172	4.2736



7e

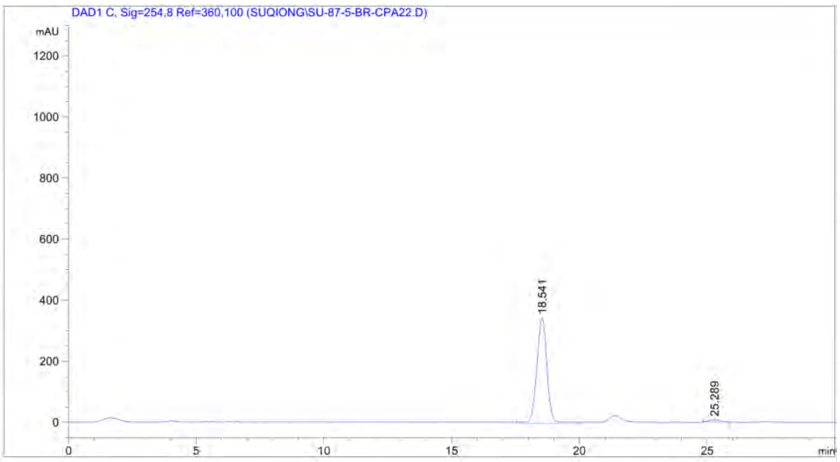
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

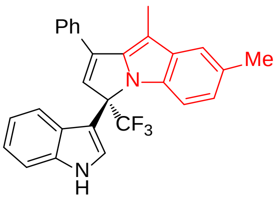
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.734	BB	0.4084	1.09563e4	417.12576	50.0378
2	25.213	BB	0.5593	1.09397e4	301.49286	49.9622

HPLC spectrum of the enantioenriched compound



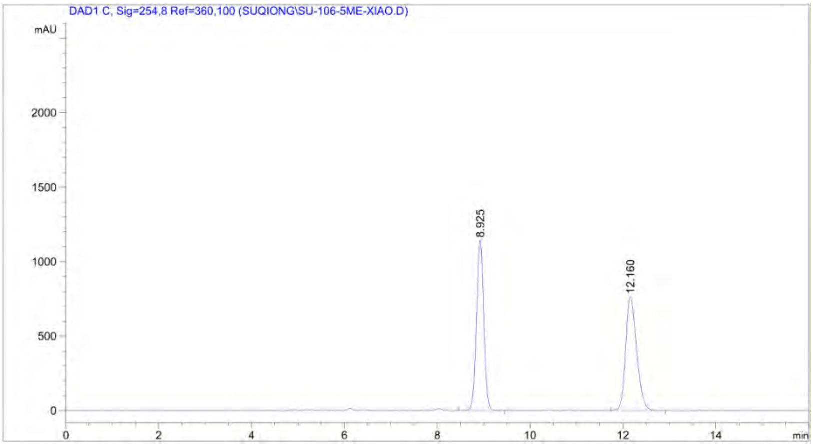
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.541	MM R	0.4636	9653.19043	347.06992	97.5499
2	25.289	MM R	0.5103	242.45728	7.91820	2.4501



7f

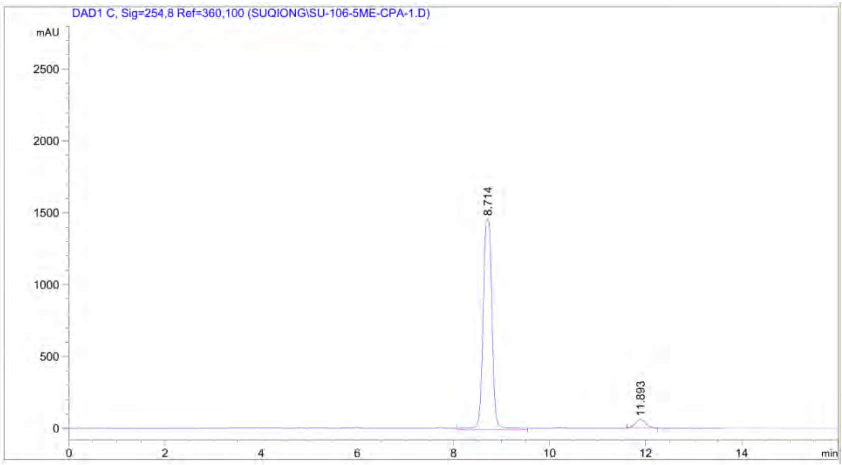
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

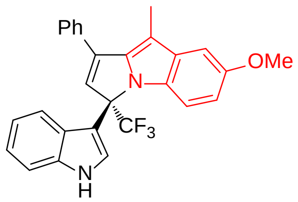
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.925	BB	0.1684	1.23632e4	1141.51733	49.5964
2	12.160	BB	0.2519	1.25644e4	763.75726	50.4036

HPLC spectrum of the enantioenriched compound



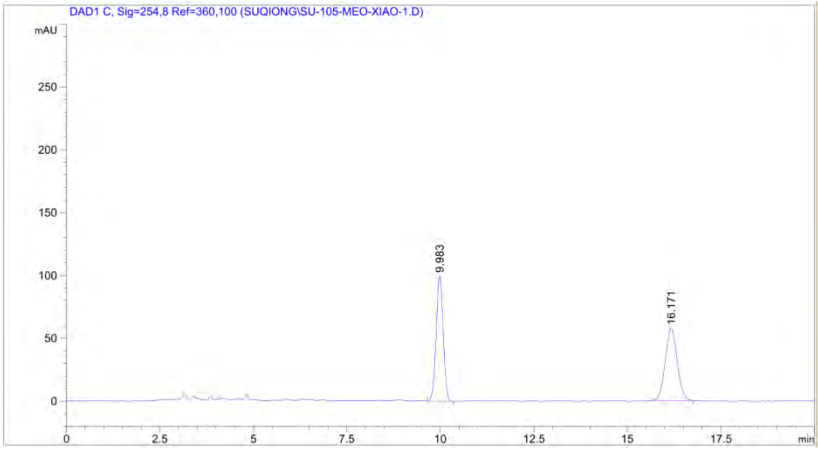
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.714	MM R	0.2160	1.90075e4	1466.49719	96.0709
2	11.893	MM R	0.2306	777.35632	56.19270	3.9291



7g

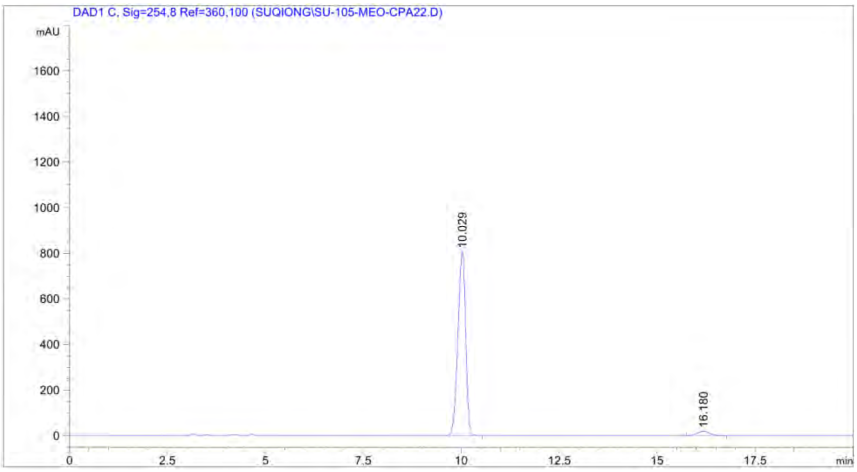
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

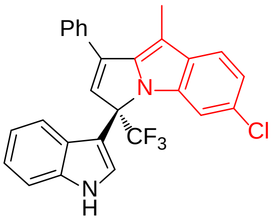
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	9.983	BB	0.2022	1302.39331	99.81444	50.2003
2	16.171	BB	0.3445	1292.00232	57.87285	49.7997

HPLC spectrum of the enantioenriched compound



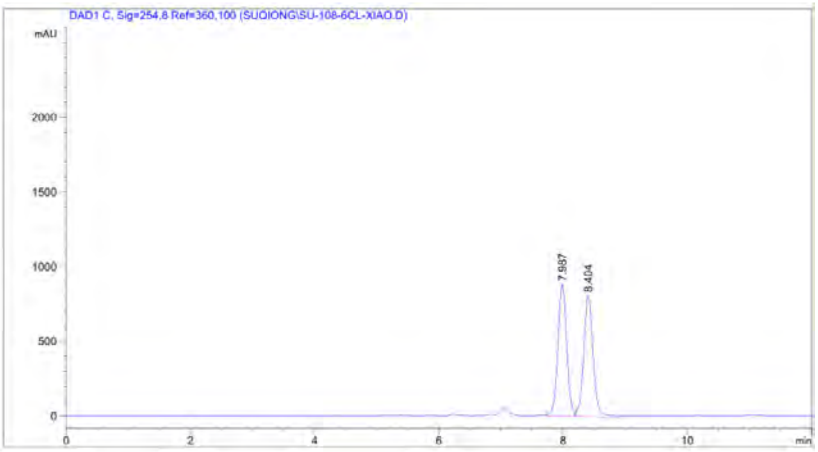
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	10.029	BB	0.2163	1.10931e4	807.19537	96.1558
2	16.180	BB	0.3480	443.48257	19.90032	3.8442



7h

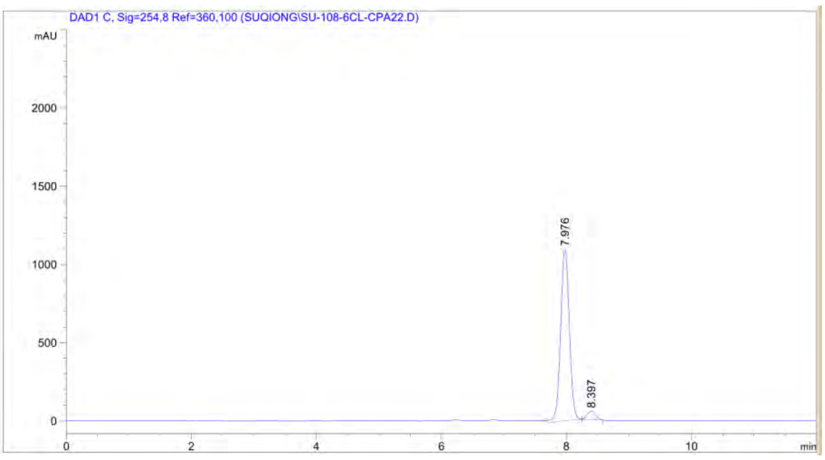
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

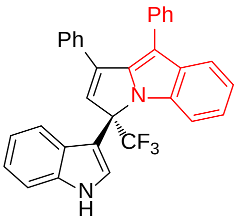
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.987	MM R	0.1638	8659.54883	881.22839	50.2208
2	8.404	MM R	0.1764	8583.41504	811.00763	49.7792

HPLC spectrum of the enantioenriched compound



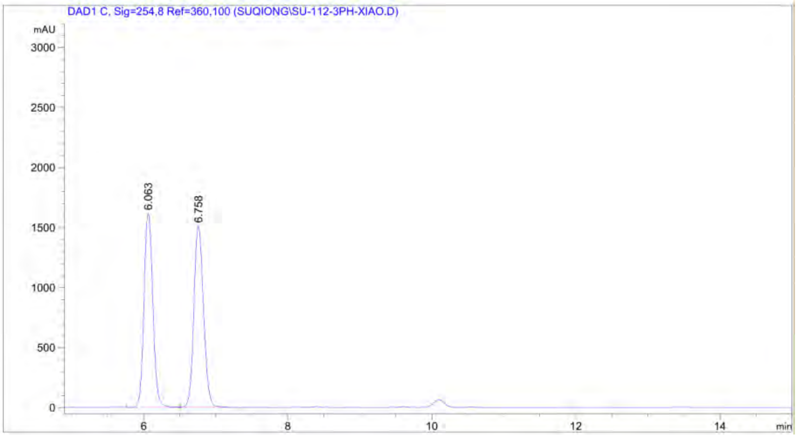
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.976	MM R	0.1612	1.06268e4	1098.55286	95.5836
2	8.397	MM R	0.1482	491.01080	55.22508	4.4164



7i

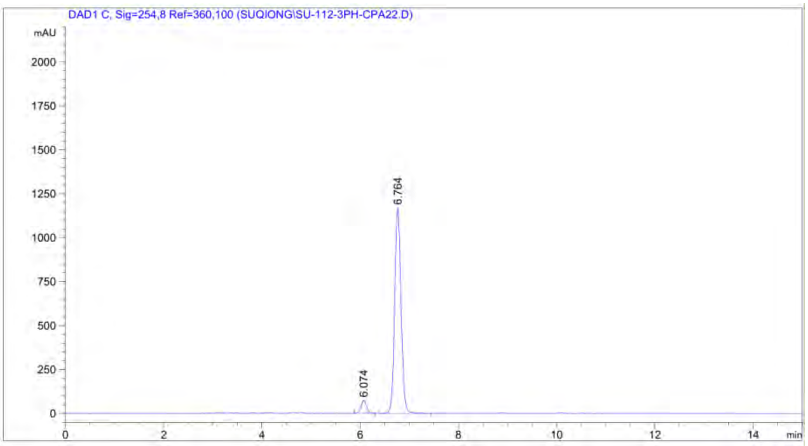
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

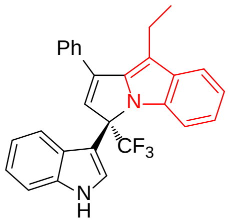
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.063	VV	0.1338	1.38553e4	1619.56653	49.4557
2	6.758	VB	0.1451	1.41603e4	1513.67639	50.5443

HPLC spectrum of the enantioenriched compound



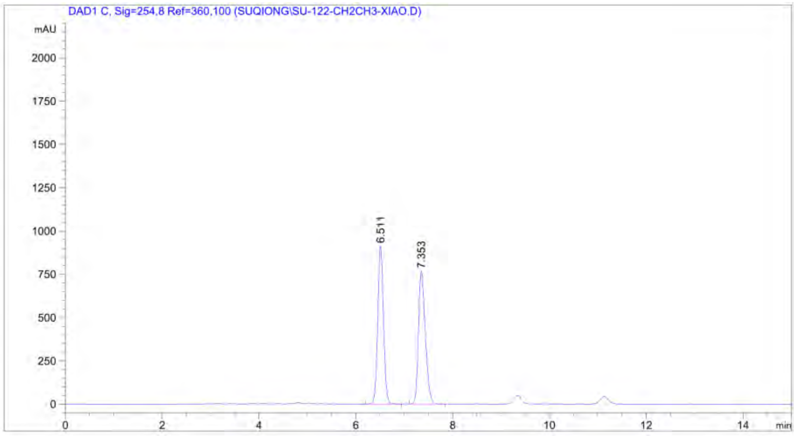
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.074	MM R	0.1315	578.20782	73.27765	5.2133
2	6.764	MM R	0.1499	1.05128e4	1169.24731	94.7867



7j

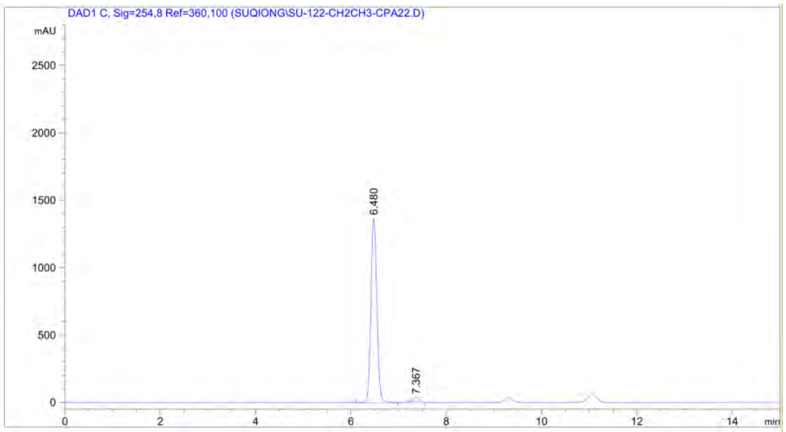
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

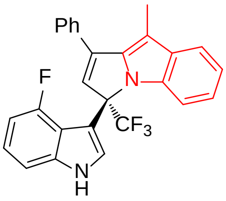
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.511	BB	0.1264	7539.24854	912.57300	49.9699
2	7.353	BB	0.1488	7548.32178	767.39862	50.0301

HPLC spectrum of the enantioenriched compound



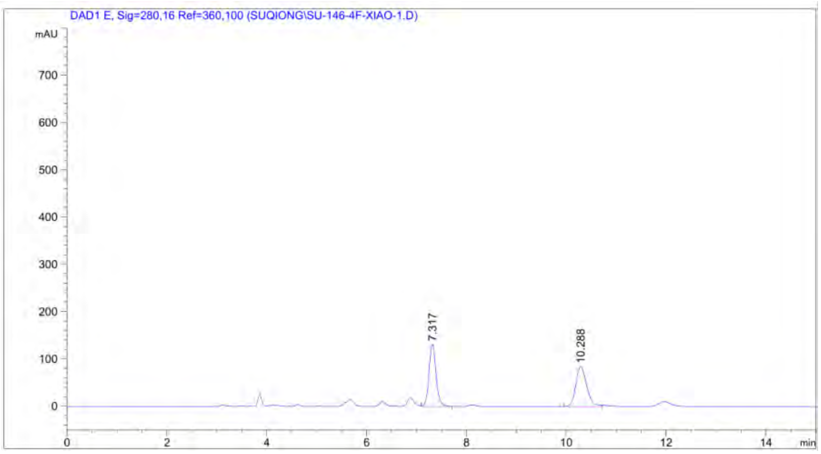
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.480	MM R	0.1455	1.19841e4	1372.34778	96.9103
2	7.367	MM R	0.1603	382.07492	39.72918	3.0897



7k

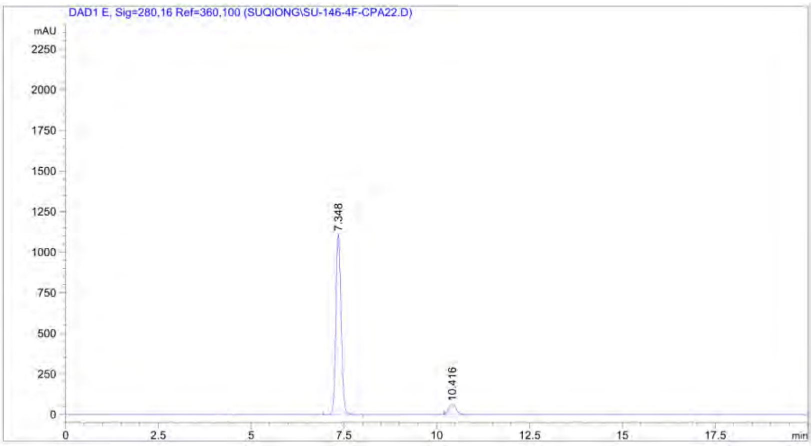
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

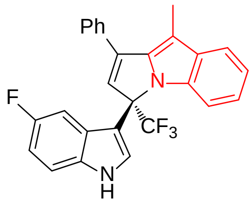
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.317	VB	0.1504	1290.82654	131.58401	50.4072
2	10.288	BB	0.2312	1269.97363	84.54660	49.5928

HPLC spectrum of the enantioenriched compound



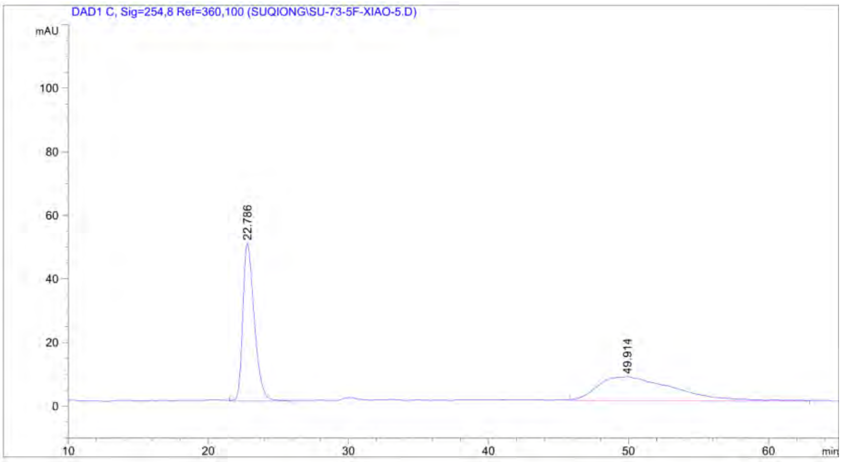
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.348	MM R	0.1631	1.08670e4	1110.23657	92.4132
2	10.416	MM R	0.2337	892.13715	63.61239	7.5868



7l

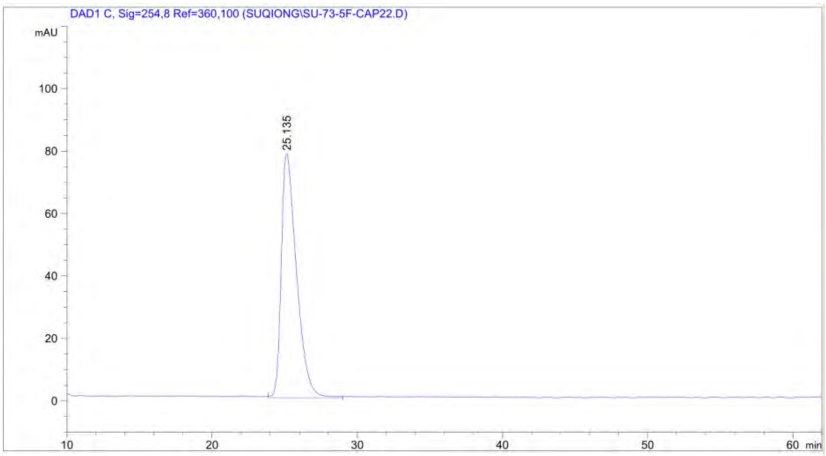
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

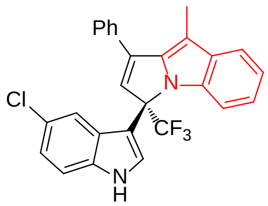
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	22.786	MM R	0.9540	2839.83716	49.61415	49.8914
2	49.914	MM R	6.3448	2852.20581	7.49229	50.1086

HPLC spectrum of the enantioenriched compound



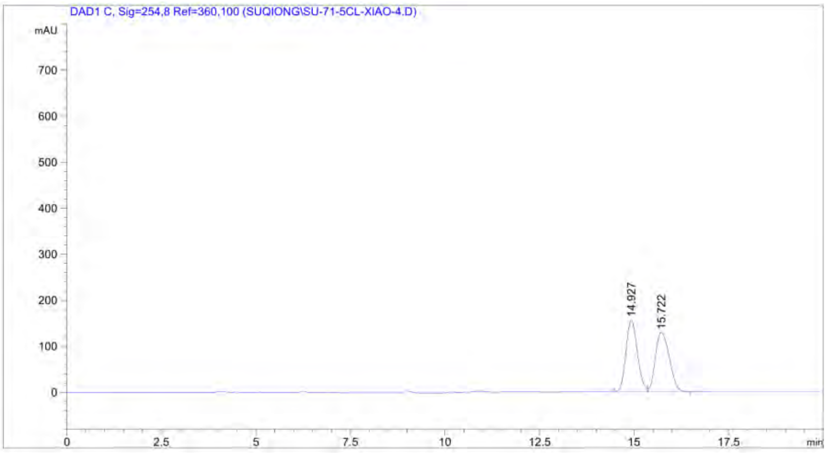
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	25.135	MM R	1.2129	5697.25537	78.28860	100.0000



7m

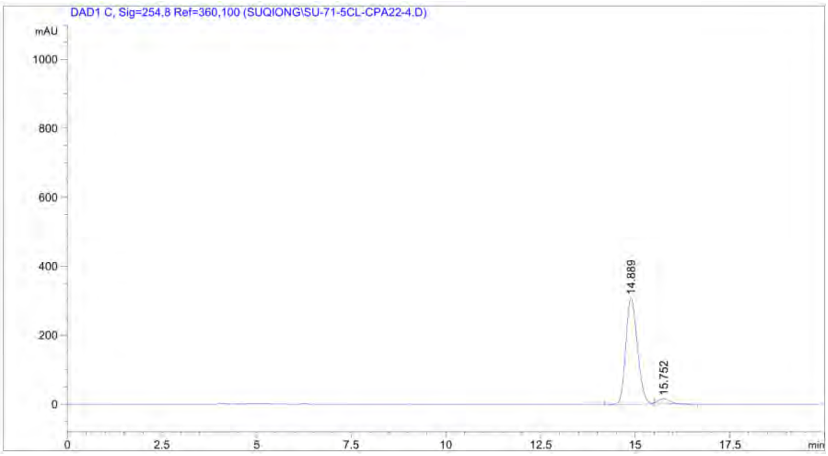
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

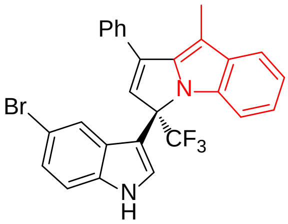
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.927	BV	0.3271	3263.37598	155.33867	49.7113
2	15.722	VB R	0.4160	3301.27832	128.39563	50.2887

HPLC spectrum of the enantioenriched compound



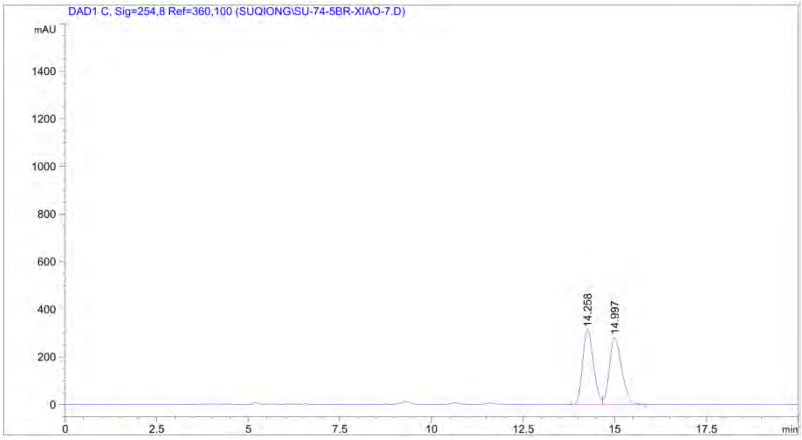
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.889	MM R	0.3585	6652.10156	309.27036	96.0208
2	15.752	MM R	0.3291	275.67303	13.96235	3.9792



7n

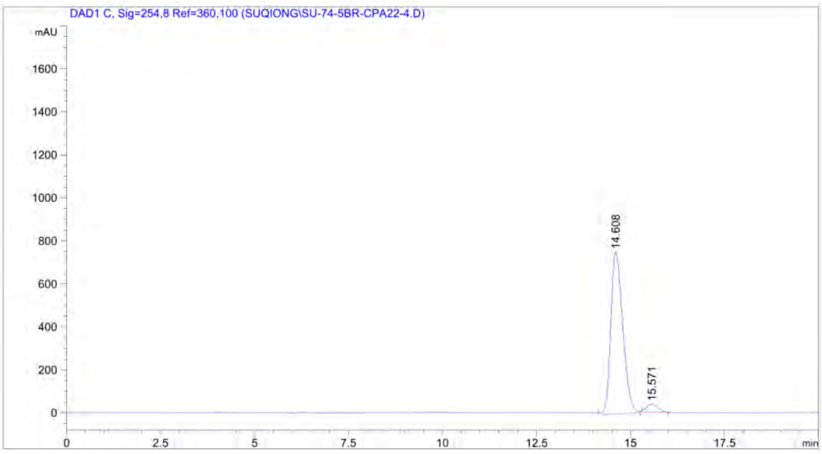
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

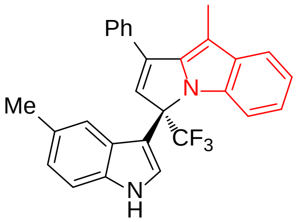
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.258	BV	0.3244	6495.99561	312.68460	49.6920
2	14.997	VB	0.3626	6576.52637	281.43228	50.3080

HPLC spectrum of the enantioenriched compound



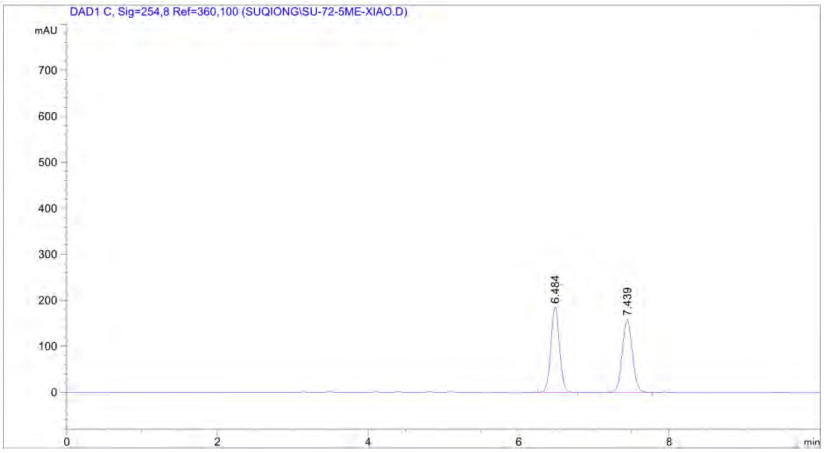
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	14.608	MM R	0.3682	1.66084e4	751.78986	95.5621
2	15.571	MM R	0.3501	771.28619	36.72207	4.4379



7o

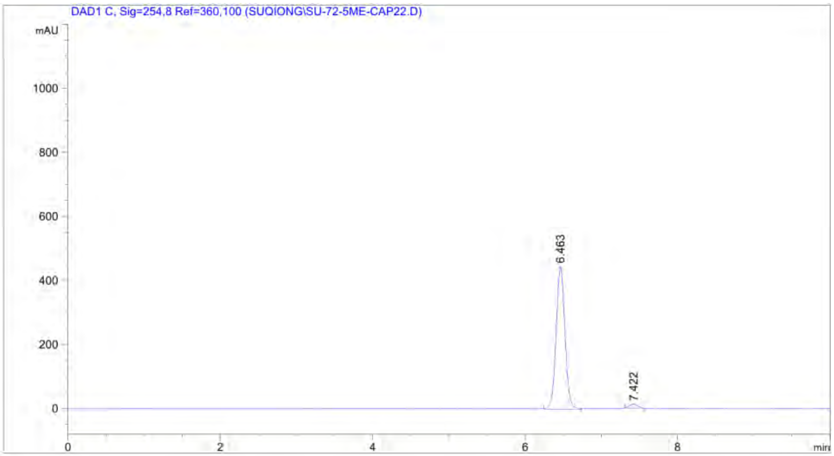
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

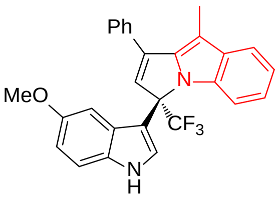
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.484	BB	0.1232	1490.23364	186.59590	50.0949
2	7.439	BB	0.1423	1484.58875	159.85577	49.9051

HPLC spectrum of the enantioenriched compound



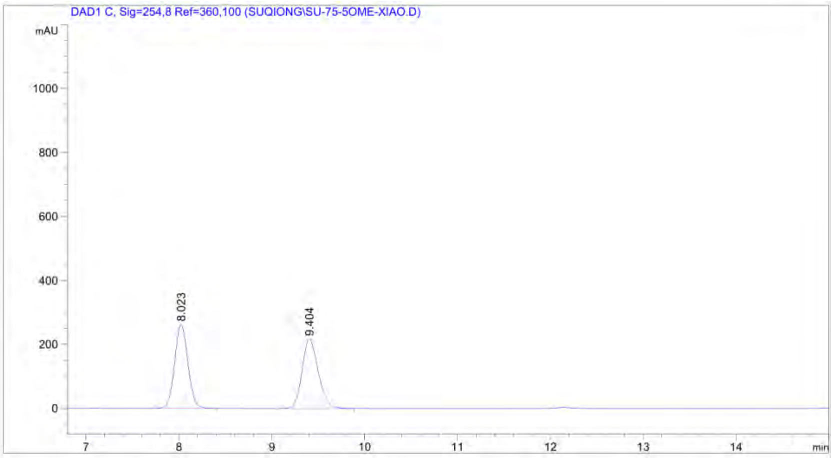
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.463	MM R	0.1340	3585.75830	446.02286	97.0062
2	7.422	MM R	0.1364	110.66398	13.51819	2.9938



7p

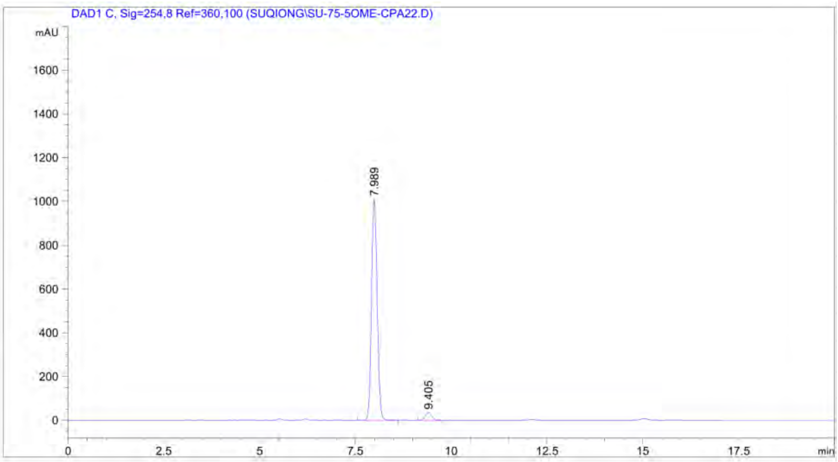
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

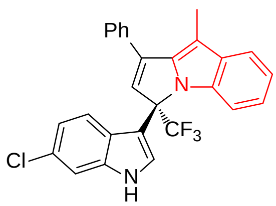
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.023	BB	0.1541	2608.31494	262.11209	49.8923
2	9.404	BB	0.1873	2619.57373	216.35403	50.1077

HPLC spectrum of the enantioenriched compound



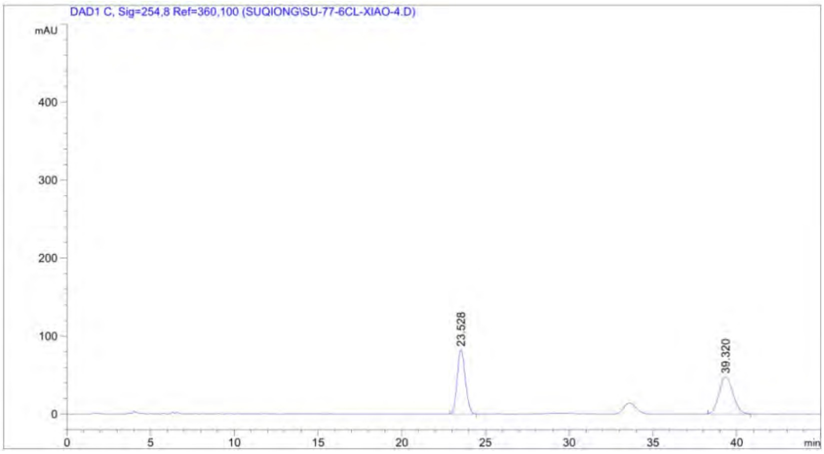
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.989	MM R	0.1738	1.05538e4	1011.82202	96.1021
2	9.405	BB	0.1837	428.06470	35.75466	3.8979



7q

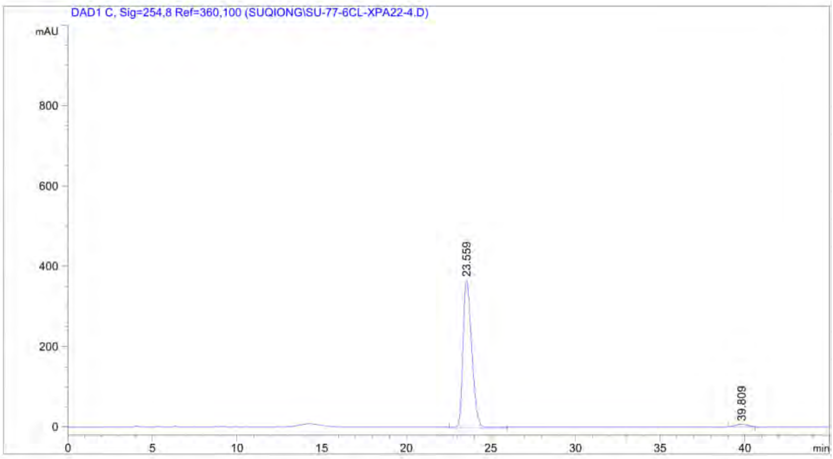
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

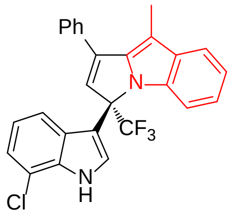
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	23.528	BB	0.5310	2790.59668	82.41557	50.2345
2	39.320	BB	0.8901	2764.54248	47.84552	49.7655

HPLC spectrum of the enantioenriched compound



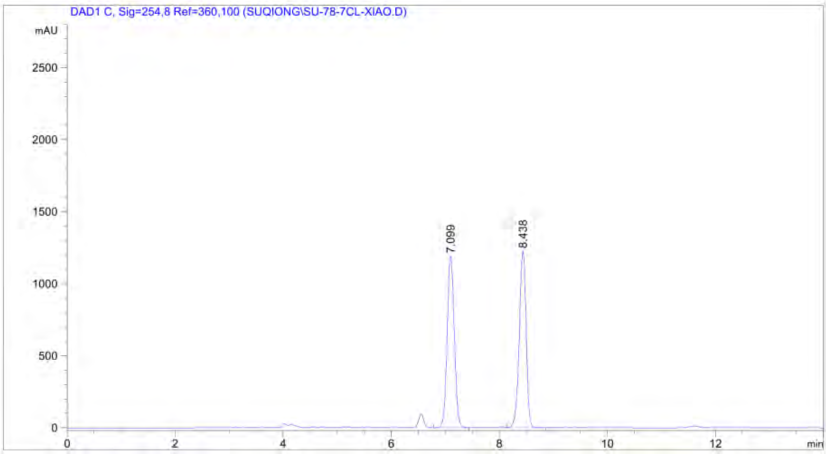
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	23.559	MM R	0.6128	1.35543e4	368.65265	97.5753
2	39.809	MM R	0.8651	336.81213	6.48879	2.4247



7r

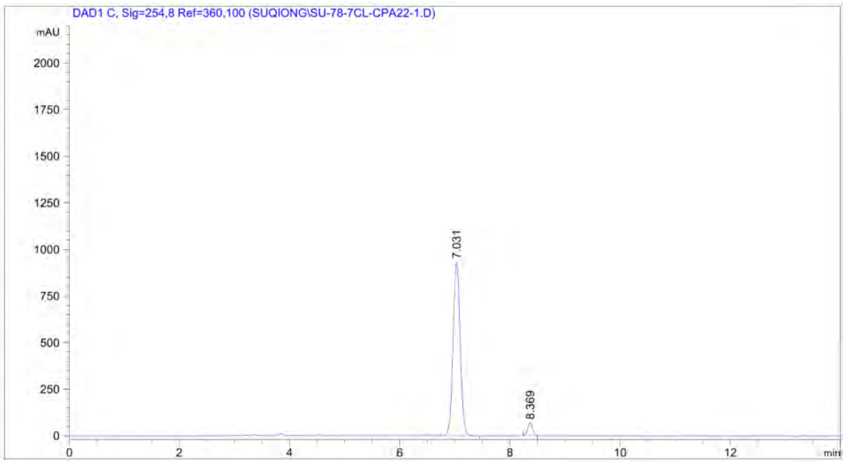
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

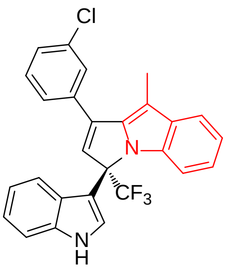
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.099	VV	0.1435	1.09715e4	1190.62939	50.2609
2	8.438	VB	0.1395	1.08575e4	1224.45117	49.7391

HPLC spectrum of the enantioenriched compound



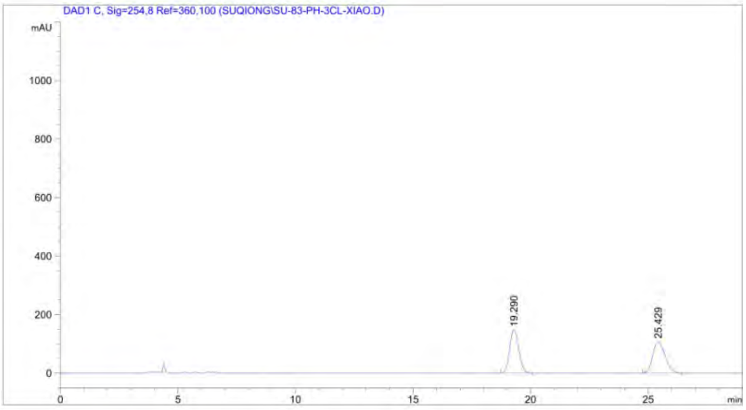
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	7.031	MM R	0.1506	8447.82227	934.90588	95.0477
2	8.369	MM R	0.1050	440.16122	69.86823	4.9523



7s

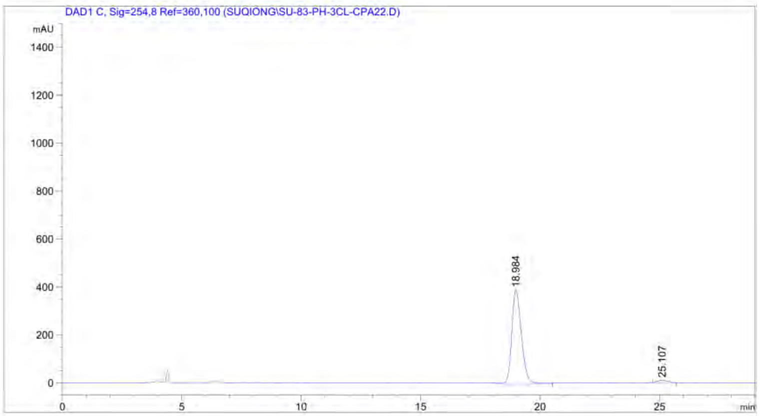
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

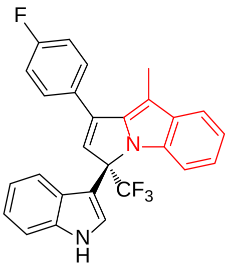
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	19.290	BB	0.4156	3978.97192	148.97433	50.0404
2	25.429	BB	0.5729	3972.54810	108.55418	49.9596

HPLC spectrum of the enantioenriched compound



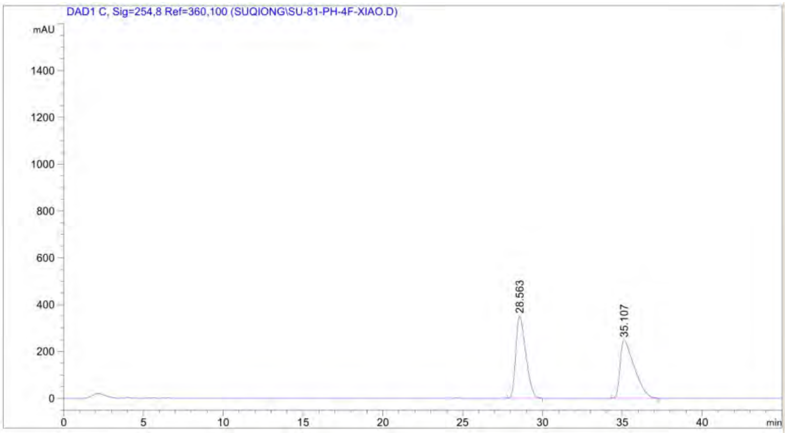
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	18.984	MM R	0.4788	1.12720e4	392.38956	97.0538
2	25.107	MM R	0.5382	342.18353	10.59705	2.9462



7t

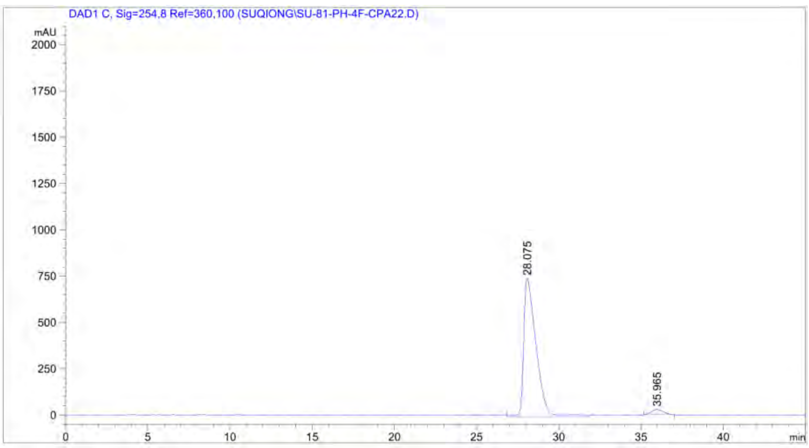
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

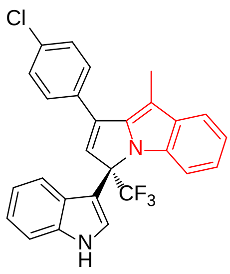
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	28.563	BB	0.6665	1.53094e4	349.85440	50.0454
2	35.107	BB	0.8946	1.52817e4	247.42220	49.9546

HPLC spectrum of the enantioenriched compound



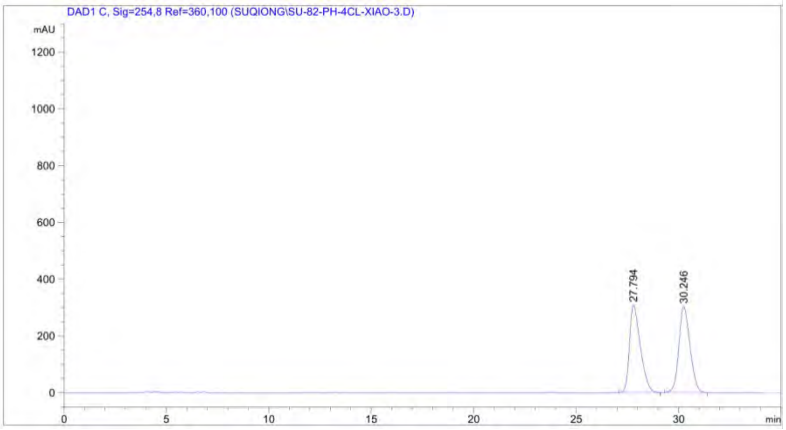
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	28.075	MM R	0.8747	3.91391e4	745.76062	96.9779
2	35.965	MM R	0.7702	1219.67957	26.39335	3.0221



7u

HPLC spectrum of the racemate



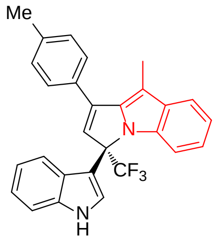
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	27.794	BB	0.5582	1.14608e4	309.36377	49.7958
2	30.246	BB	0.5815	1.15548e4	303.96811	50.2042

HPLC spectrum of the enantioenriched compound

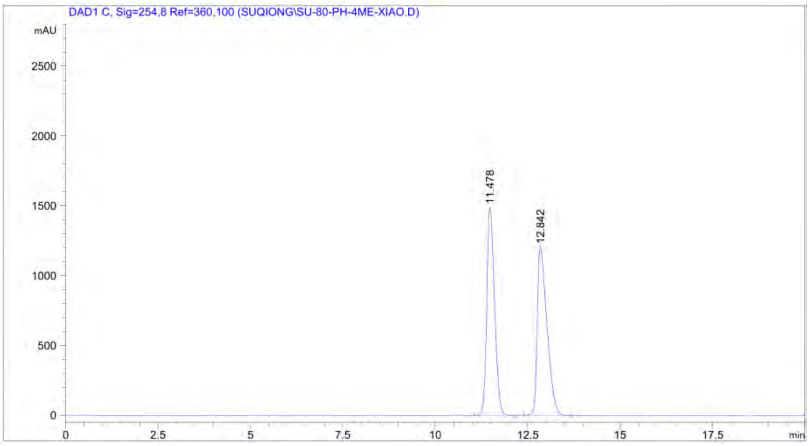
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	28.089	MM R	0.4811	675.60638	23.40498	2.9637
2	30.214	MM R	0.6879	2.21203e4	535.94647	97.0363



7v

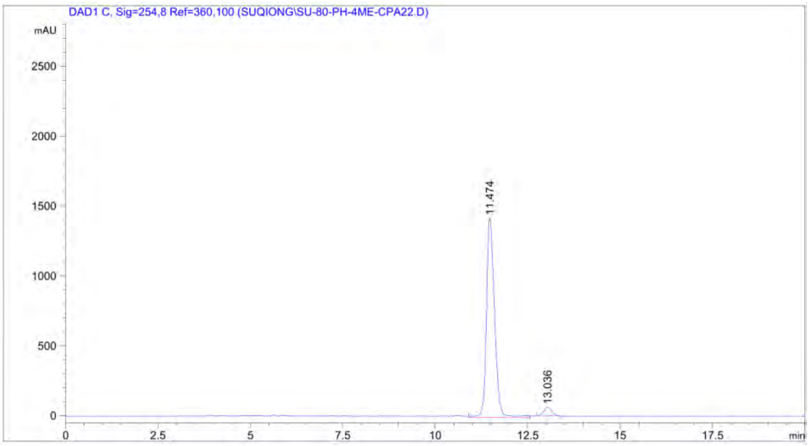
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

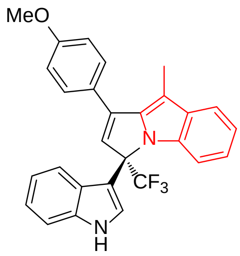
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.478	BB	0.2268	2.18604e4	1493.42297	49.7697
2	12.842	MM R	0.3042	2.20627e4	1208.96570	50.2303

HPLC spectrum of the enantioenriched compound



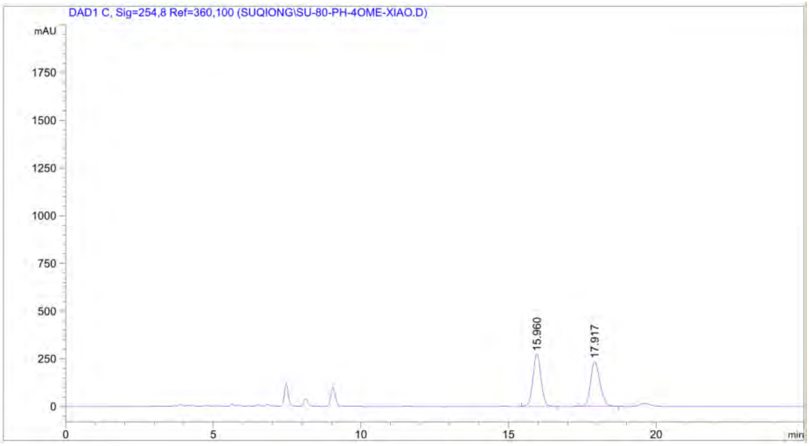
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.474	MM R	0.2550	2.18122e4	1425.41052	96.0029
2	13.036	MM R	0.2494	908.15826	60.69851	3.9971



7w

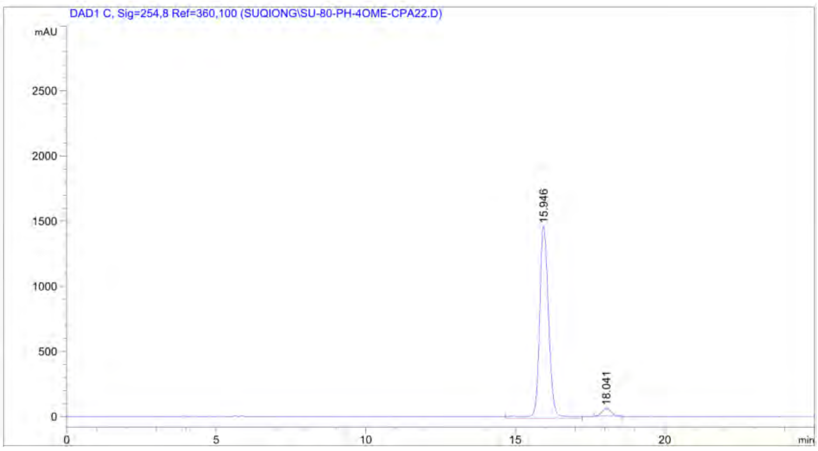
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

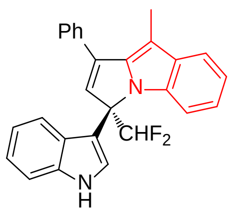
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.960	BB	0.2975	5240.27344	273.54486	50.0577
2	17.917	BB	0.3432	5228.19922	235.31403	49.9423

HPLC spectrum of the enantioenriched compound



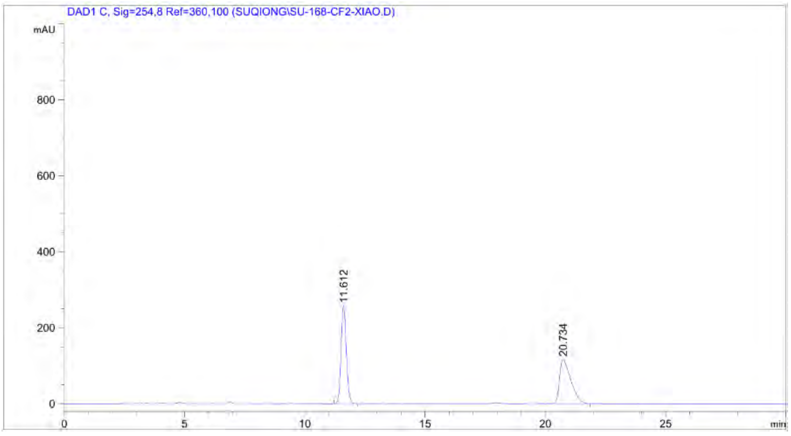
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.946	MM R	0.3551	3.13852e4	1473.01880	96.5493
2	18.041	MM R	0.3248	1121.72852	57.56024	3.4507



7x

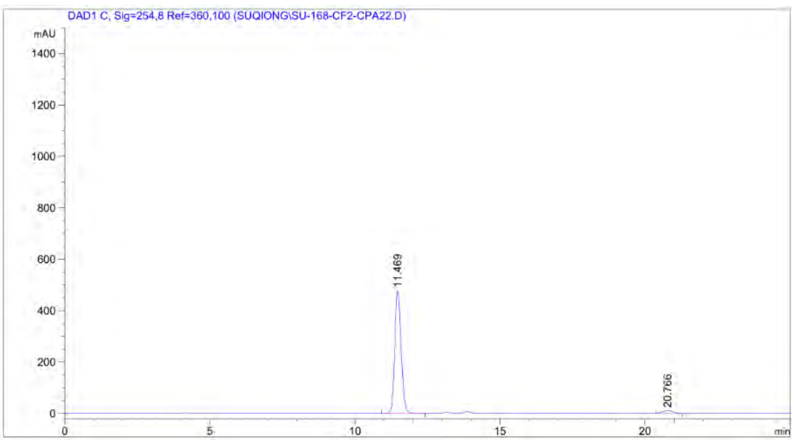
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

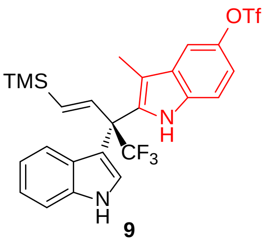
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.612	BB	0.2361	3929.65210	257.37299	50.6863
2	20.734	BB	0.4842	3823.23804	116.69958	49.3137

HPLC spectrum of the enantioenriched compound



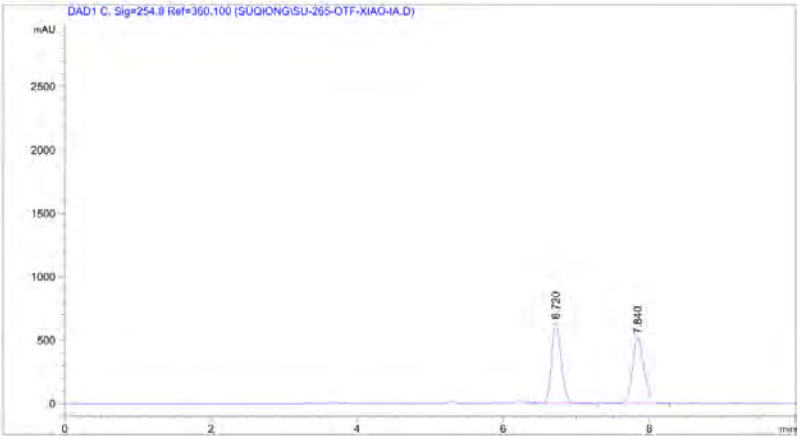
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	11.469	MM R	0.2561	7365.41211	479.30130	96.0026
2	20.766	MM R	0.4553	306.68533	11.22705	3.9974



9

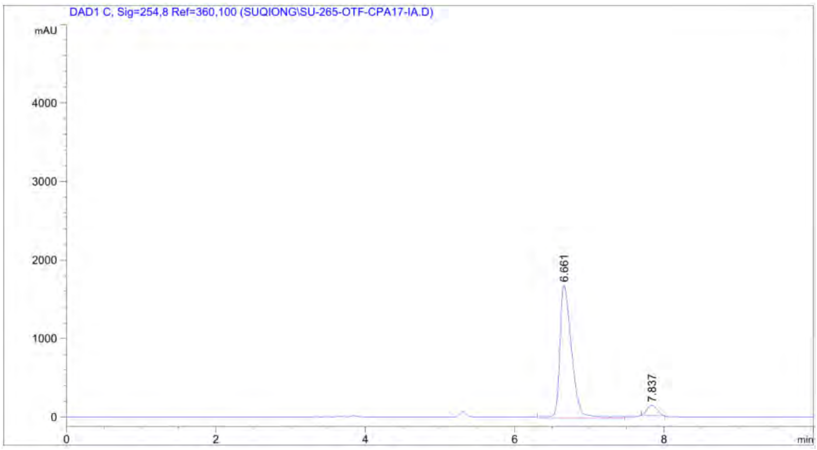
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

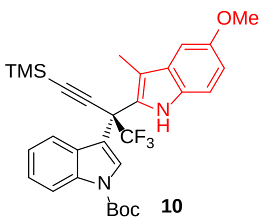
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.720	VB	0.1418	5919.94434	640.40234	50.6825
2	7.840	VB	0.1662	5760.51318	524.17725	49.3175

HPLC spectrum of the enantioenriched compound



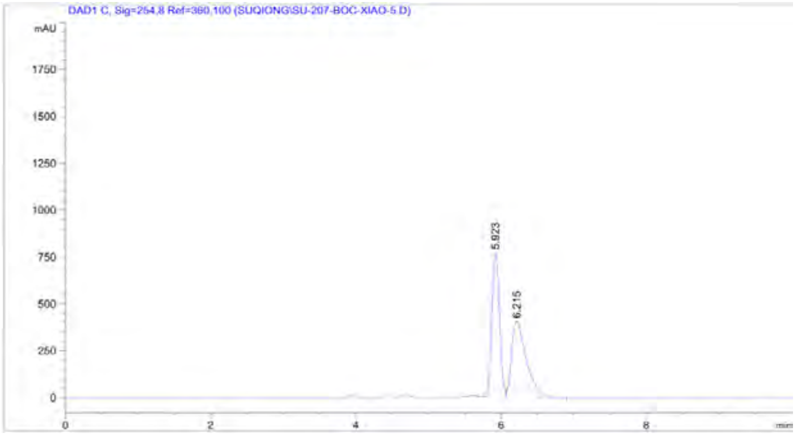
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.661	MM R	0.1839	1.86807e4	1692.97815	93.8072
2	7.837	MM R	0.1565	1233.23828	131.30882	6.1928



10

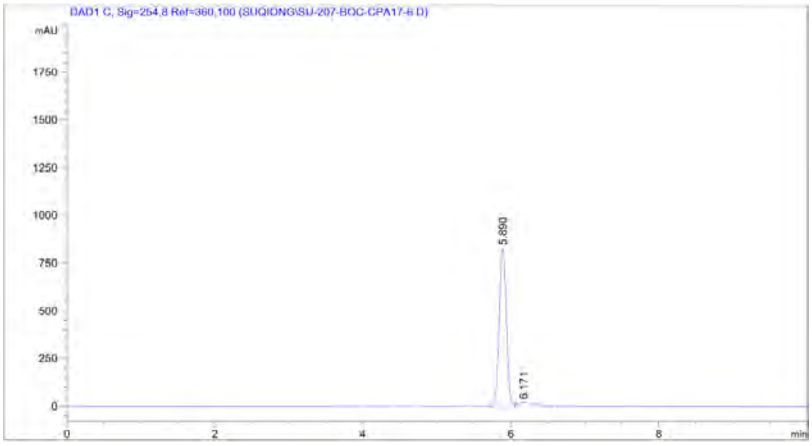
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

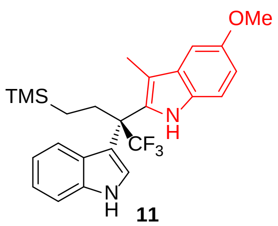
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.923	VV	0.1157	5669.83643	771.99023	49.6558
2	6.215	VB	0.2109	5748.44141	406.63214	50.3442

HPLC spectrum of the enantioenriched compound



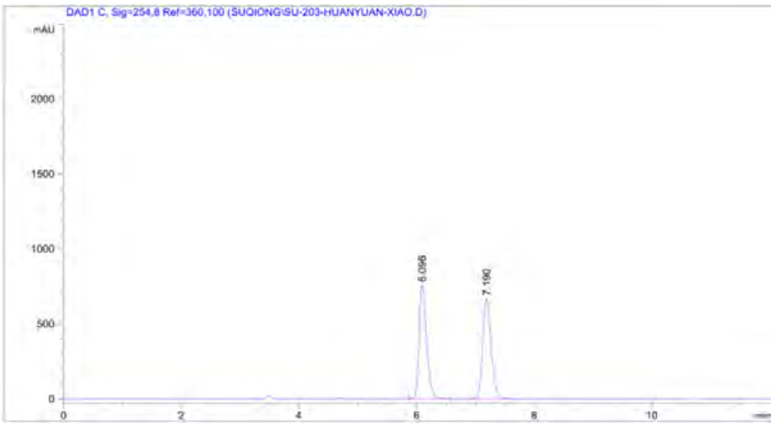
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.890	MM R	0.1118	5585.61230	832.78998	95.0047
2	6.171	MM R	0.2628	293.68759	18.62638	4.9953



11

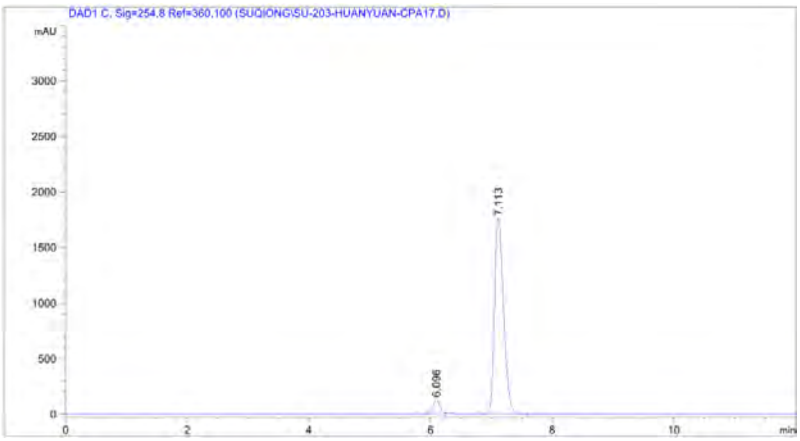
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

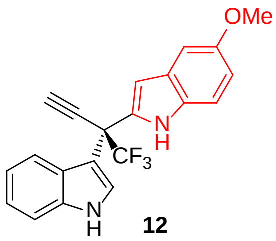
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.096	BB	0.1341	6633.90967	758.40570	49.9826
2	7.190	BB	0.1537	6638.53711	669.38824	50.0174

HPLC spectrum of the enantioenriched compound



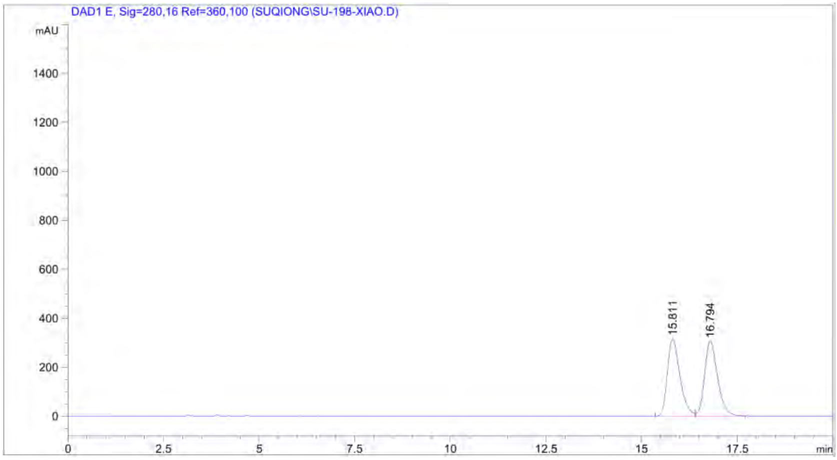
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.096	MM R	0.1293	946.74536	122.04750	4.9459
2	7.113	MM R	0.1720	1.81954e4	1762.76563	95.0541



12

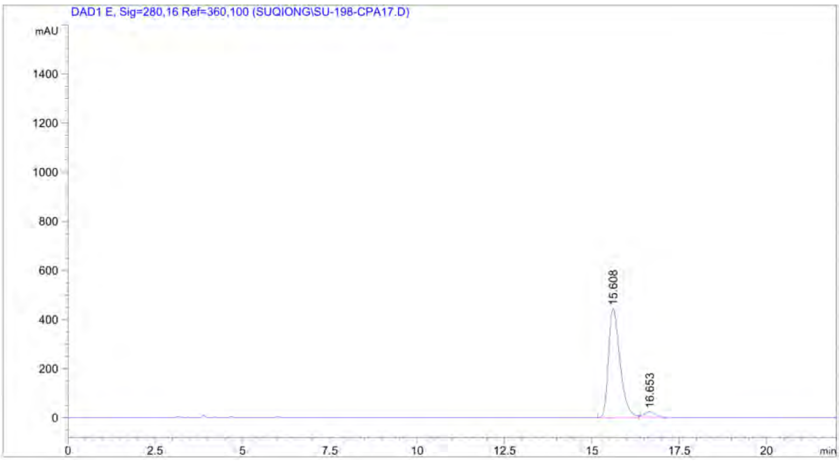
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

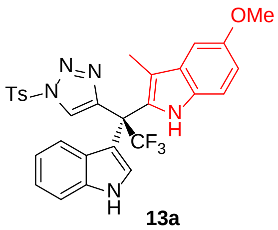
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.811	BV	0.3481	7206.50244	315.92880	49.6791
2	16.794	VB	0.3638	7299.59473	306.50861	50.3209

HPLC spectrum of the enantioenriched compound



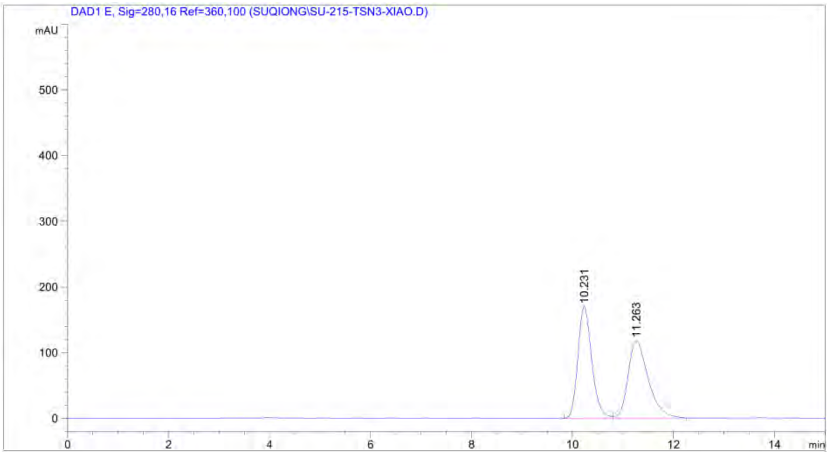
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.608	BV	0.3501	1.02169e4	444.54013	95.0921
2	16.653	MM R	0.3692	527.31067	23.80418	4.9079



13a

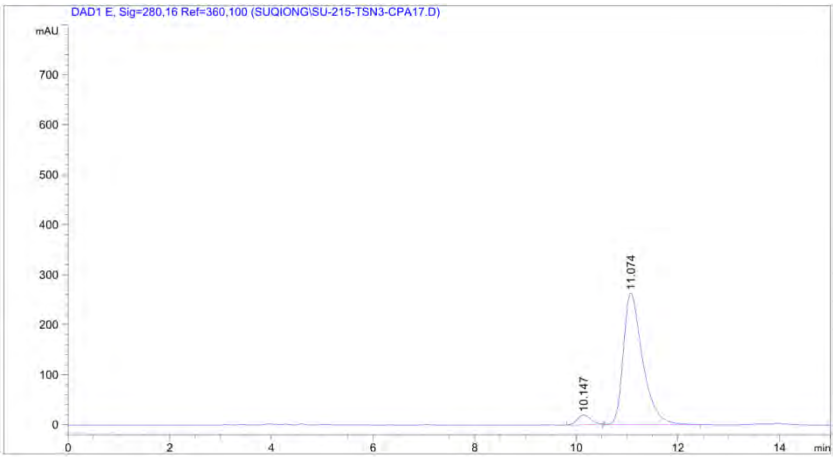
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

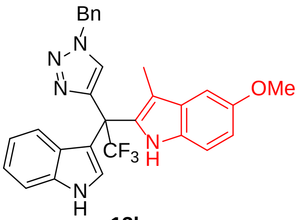
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	10.231	BV	0.2930	3247.32690	169.90356	49.7679
2	11.263	VB	0.4197	3277.61304	118.11917	50.2321

HPLC spectrum of the enantioenriched compound



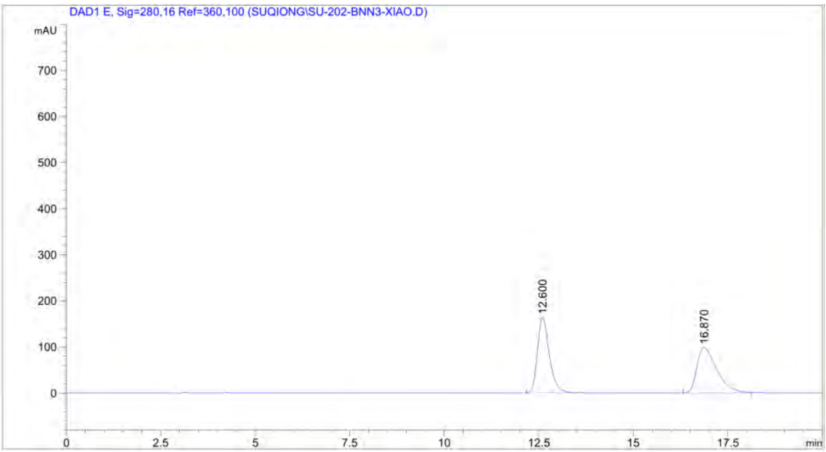
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	10.147	MM R	0.3063	353.15167	19.21571	4.8689
2	11.074	MM R	0.4378	6900.07324	262.69638	95.1311



13b

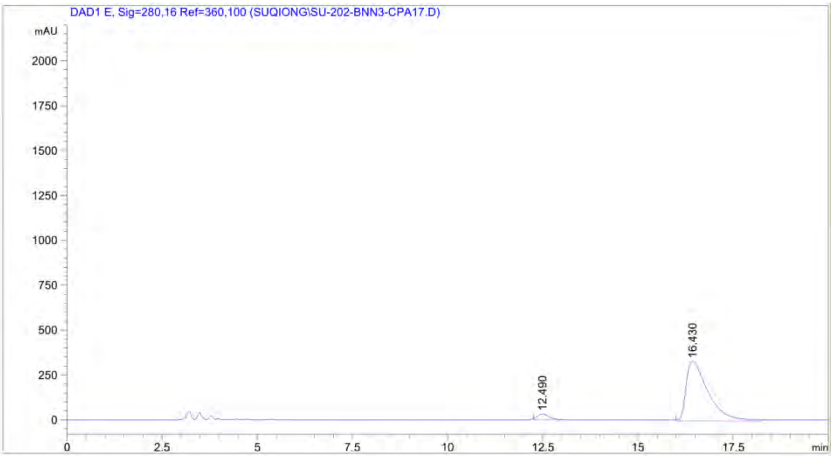
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

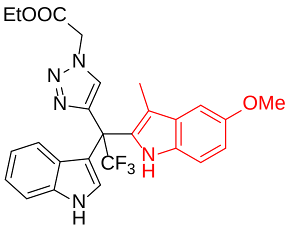
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.600	BB	0.3326	3585.33130	164.29674	50.1748
2	16.870	BB	0.5437	3560.35059	98.50208	49.8252

HPLC spectrum of the enantioenriched compound



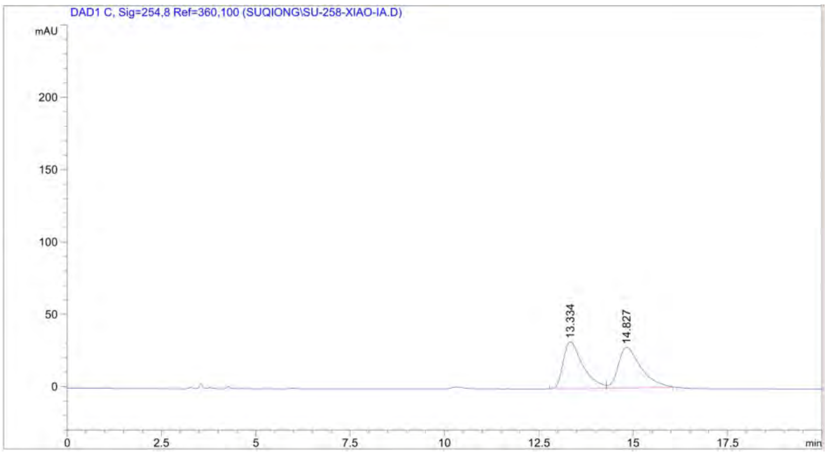
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	12.490	MM R	0.3466	683.70380	32.87796	4.8657
2	16.430	MM R	0.6697	1.33677e4	332.69107	95.1343



13c

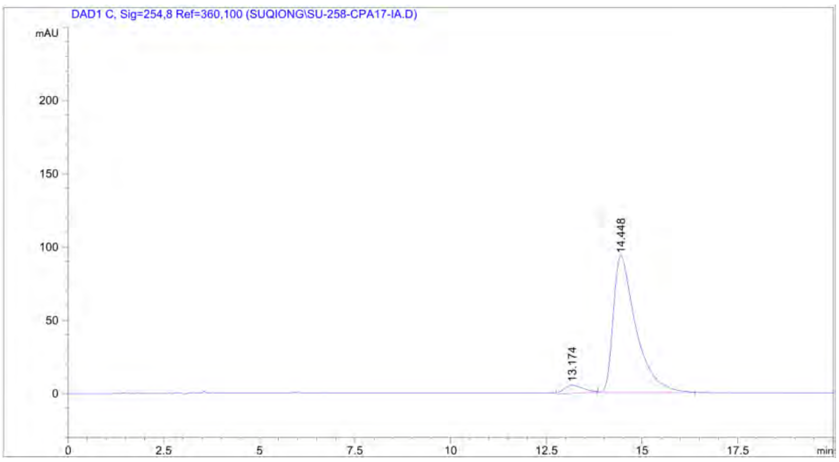
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

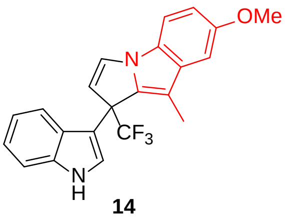
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.334	BB	0.5306	1175.22107	32.44120	49.7825
2	14.827	BB	0.6179	1185.49219	28.23277	50.2175

HPLC spectrum of the enantioenriched compound



信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.174	MM R	0.5830	198.27950	5.66837	4.9265
2	14.448	MM R	0.6875	3826.47974	92.75937	95.0735



14

HPLC spectrum of the racemate

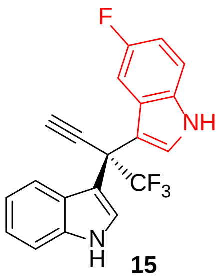
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	23.135	BB	0.7424	3554.70190	69.07317	50.5396
2	25.533	BB	0.7740	3478.79468	68.56055	49.4604

HPLC spectrum of the enantioenriched compound

信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	23.554	MM R	0.6490	462.59393	11.87952	4.7971
2	25.196	MM R	0.9122	9180.56934	167.73528	95.2029



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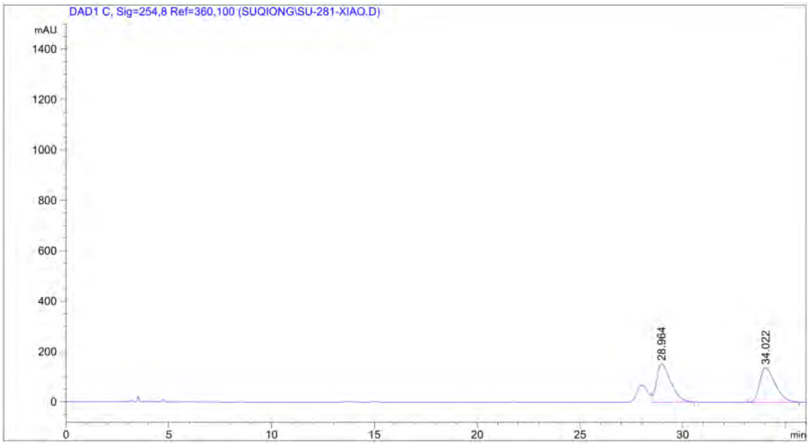
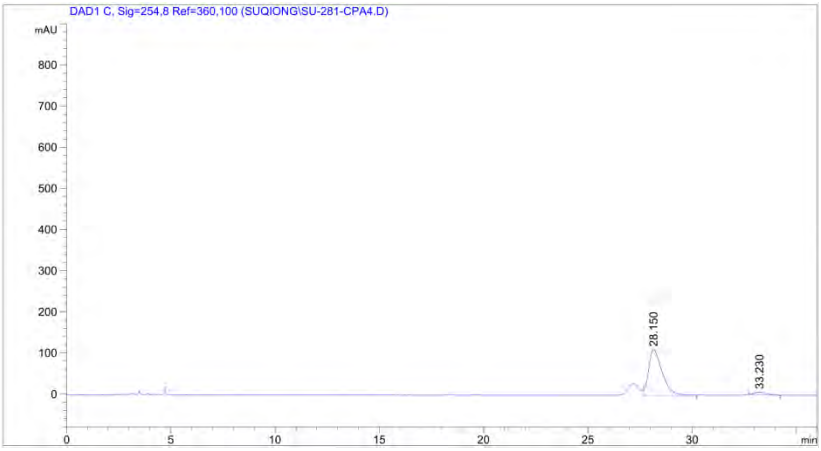
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

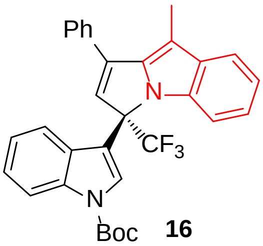
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	28.964	VB	0.7156	7387.07520	152.37549	50.6187
2	34.022	BB	0.8038	7206.48779	137.42061	49.3813

HPLC spectrum of the enantioenriched compound



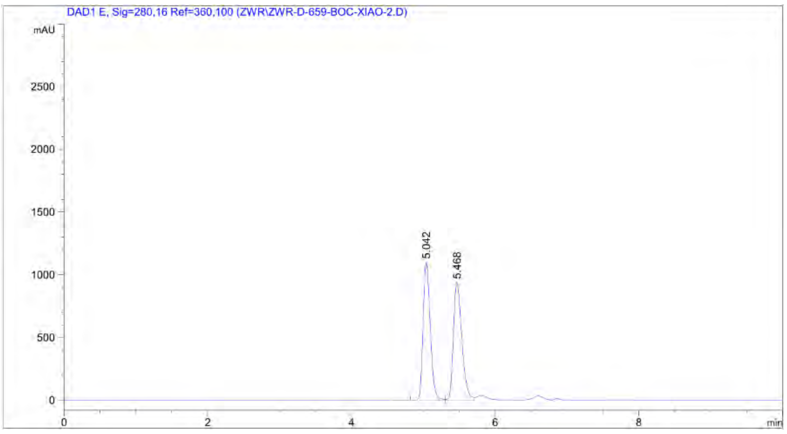
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	28.150	FM R	0.7879	5377.03174	113.73604	95.0486
2	33.230	MM R	0.7371	280.10861	6.33354	4.9514



16

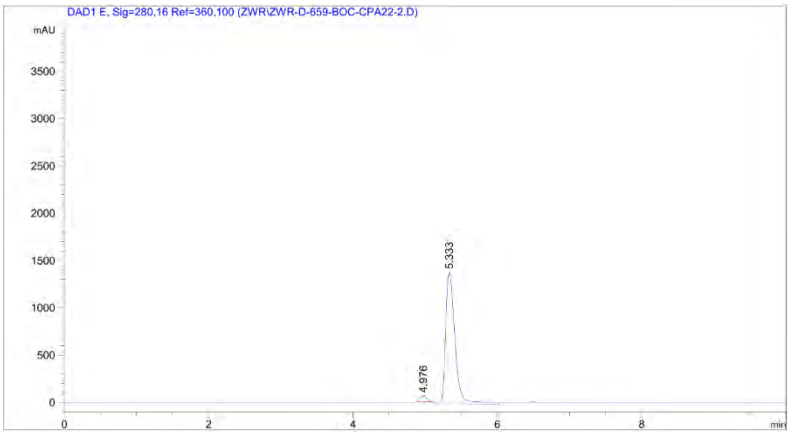
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

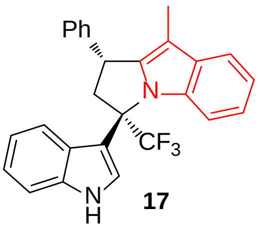
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	5.042	BV	0.1080	7558.80908	1102.51062	49.7414
2	5.468	VV	0.1267	7637.39404	940.70972	50.2586

HPLC spectrum of the enantioenriched compound



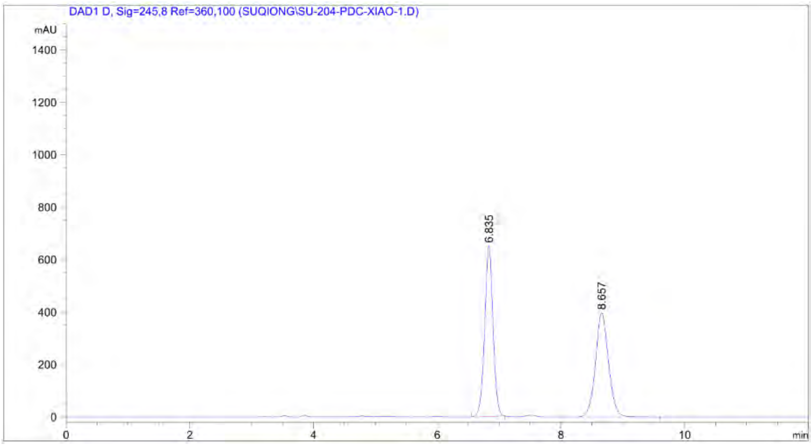
信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	4.976	MM R	0.0971	385.91965	66.26553	2.9520
2	5.333	MM R	0.1517	1.26872e4	1394.01501	97.0480



17

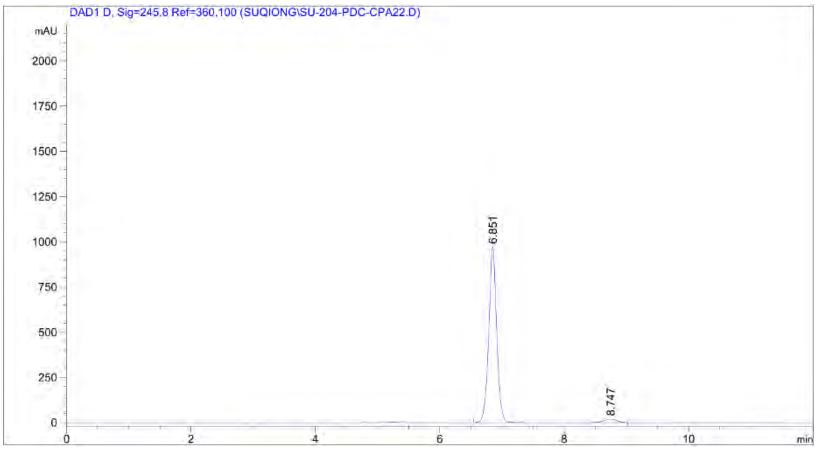
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=245,8 Ref=360,100

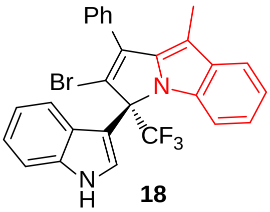
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.835	MM R	0.1561	6091.70166	650.25342	50.8839
2	8.657	MM R	0.2464	5880.05518	397.78967	49.1161

HPLC spectrum of the enantioenriched compound



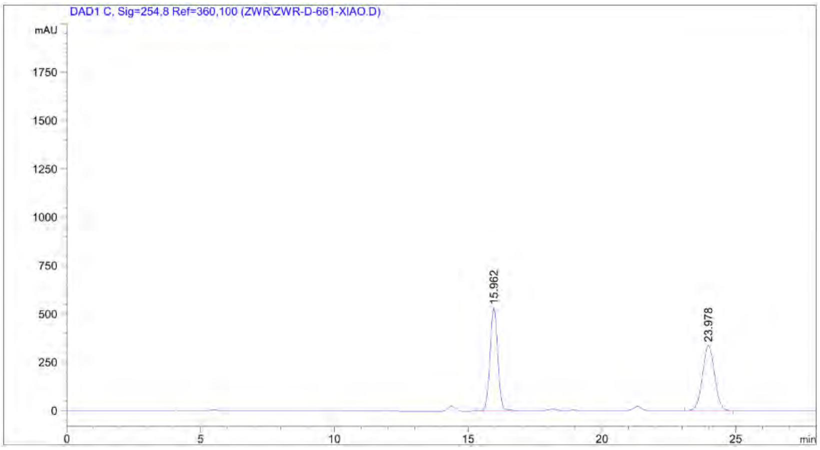
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	6.851	BB	0.1293	8601.51660	971.11609	97.0026
2	8.747	MM R	0.2339	265.79160	18.94224	2.9974



18

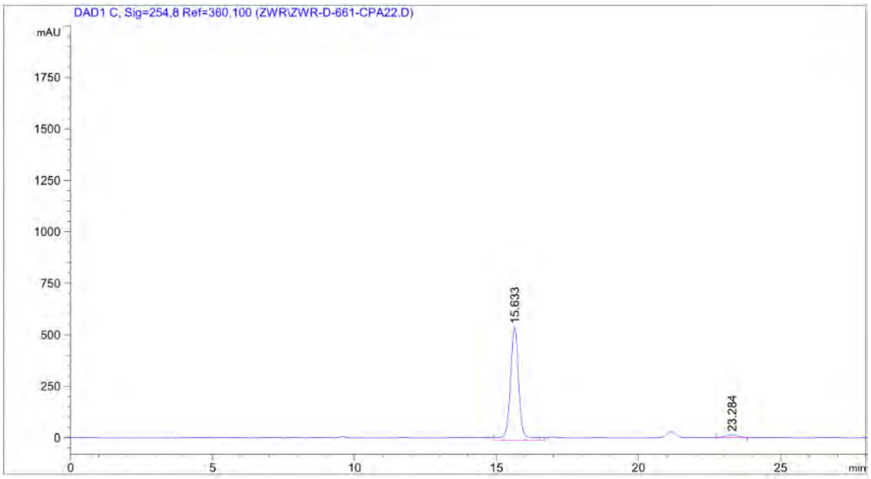
HPLC spectrum of the racemate



信号 1: DAD1 C, Sig=254,8 Ref=360,100

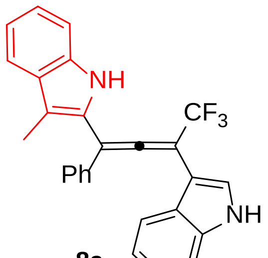
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.962	BB	0.3120	1.08009e4	534.01611	50.3372
2	23.978	BB	0.4873	1.06562e4	338.46390	49.6628

HPLC spectrum of the enantioenriched compound



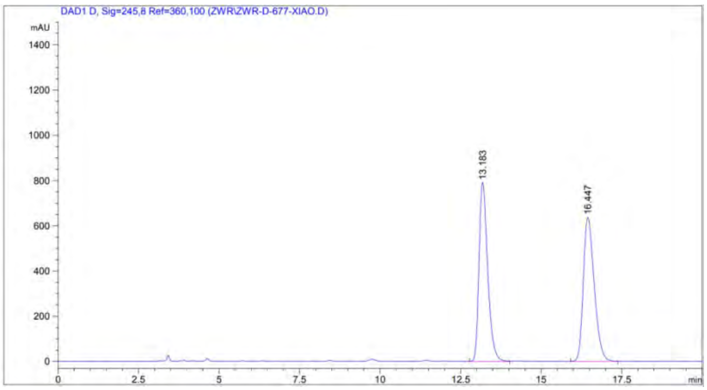
信号 1: DAD1 C, Sig=254,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	15.633	MM R	0.3523	1.16176e4	549.59070	96.9672
2	23.284	MM R	0.4888	363.35400	12.38835	3.0328



8a

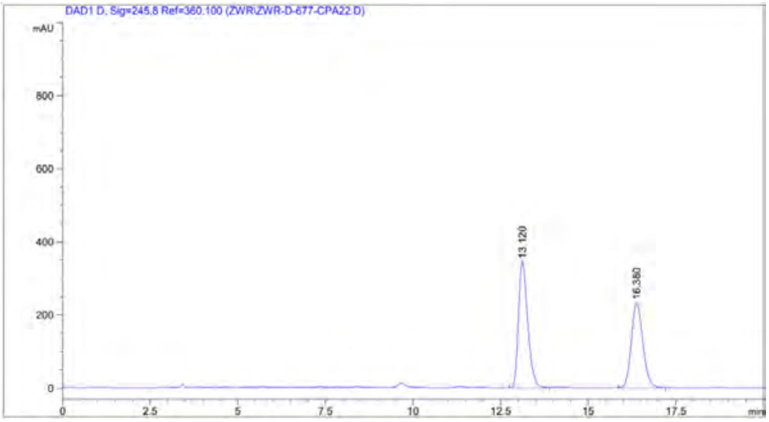
HPLC spectrum of the racemate



信号 1: DAD1 D, Sig=245,8 Ref=360,100

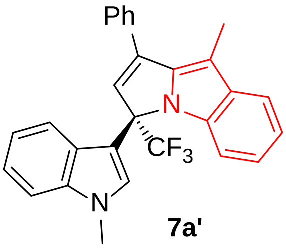
峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.183	BB	0.2884	1.48045e4	790.70453	49.6985
2	16.447	BB	0.3607	1.49841e4	636.34796	50.3015

HPLC spectrum of the enantioenriched compound



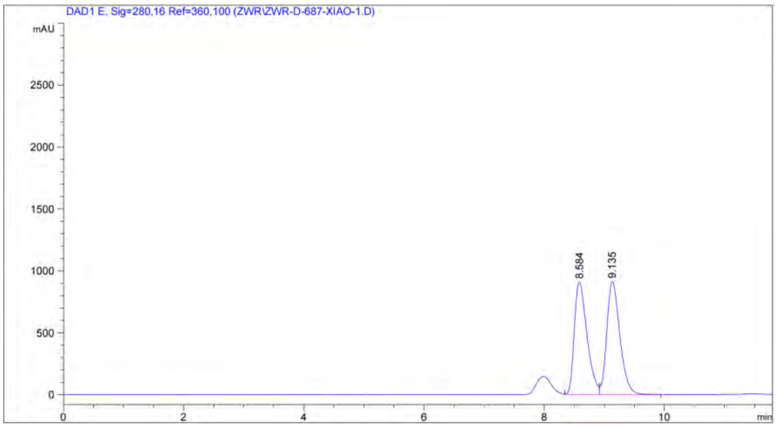
信号 1: DAD1 D, Sig=245,8 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	13.120	BB	0.2833	6390.04883	346.23212	54.4103
2	16.380	BB	0.3532	5354.13965	233.80940	45.5897



7a'

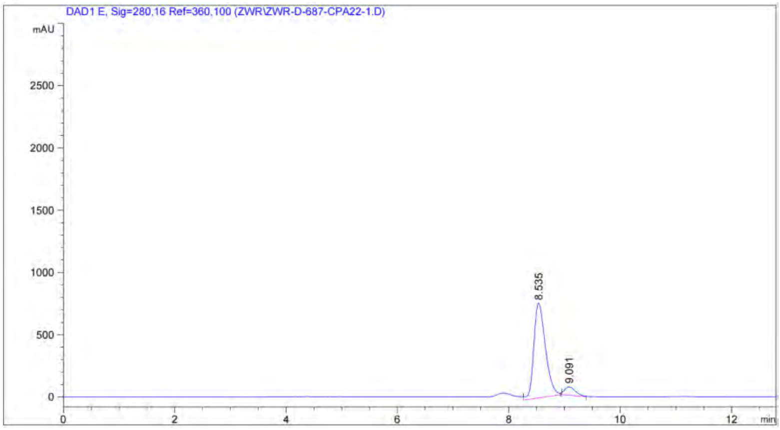
HPLC spectrum of the racemate



信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.584	VV	0.2258	1.33933e4	909.75916	49.1170
2	9.135	VB	0.2331	1.38749e4	914.09125	50.8830

HPLC spectrum of the enantioenriched compound



信号 1: DAD1 E, Sig=280,16 Ref=360,100

峰 #	保留时间 [min]	类型	峰宽 [min]	峰面积 [mAU*s]	峰高 [mAU]	峰面积 %
1	8.535	MM R	0.2421	1.10765e4	762.63831	92.3949
2	9.091	MM R	0.2234	911.71942	68.02589	7.6051