Supporting Information

Metal-free sigmatropic rearrangement/cyclization/aromatization cascade reaction of hydroxy/aminophenyl propargyl alcohols with fluoroalkanesulfinyl chlorides: Synthesis of 3-fluoroalkanesulfonyl benzofurans and indoles

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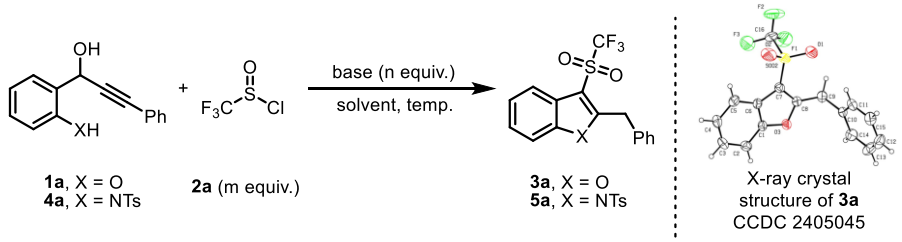
General information

All moisture or oxygen-sensitive reactions were carried out in a nitrogen atmosphere with dry solvents under anhydrous conditions, unless otherwise noted. The solvents used were purified by distillation over the drying agents indicated and were transferred under nitrogen: THF (Na), CH_2Cl_2 (CaH_2), toluene (Na), $ClCH_2CH_2Cl$ (CaH_2), CH_3CN (CaH_2). Reagents were purchased at commercial quality and used without further purification. All reactions were monitored by thin-layer chromatography carried out on 0.25 mm Rushan silica gel plates (GF254) and visualized by exposure to UV light (254 nm) or $KMnO_4$. The products were purified by column chromatography on silica gel (300−400 meshes) from Qing Dao Hai Yang Chemical Industry Company in China. ^1H NMR, ^{13}C NMR and ^{19}F NMR spectra were measured in $CDCl_3$ on a China Qone AS400 MHz instrument (resonance frequencies 400 MHz for ^1H and 100 MHz for ^{13}C) or Bruker Advance III 400 MHz instrument (resonance frequencies 400 MHz for ^1H and 100 MHz for ^{13}C), with TMS as internal standard. All chemical shifts are reported in ppm scale. The following abbreviations were used to explain the multiplicities: s = singlet, d = doublet, t = triplet, q = quartet, td = triple doublet, dt = double triplet, m = multiplet. Mass spectrometric data were obtained using a Bruker Solaril X70 high resolution mass spectrometer (samples were dissolved in CH_3OH and the ion source was ESI). The IR spectra were recorded on a PerkinElmer Spectrum TWO. The melting point were recorded on a WRS-1B digital melting-point apparatus.

Screening of reaction conditions



To a 10 mL Schlenk tube, equipped with a magnetic stir bar, was added *o*-hydroxyphenyl propargylic alcohol **1a** (45 mg, 0.2 mmol) or *o*-aminophenyl propargylic alcohol **4a** (75 mg, 0.2 mmol), base, and solvent (3 mL) followed by trifluoromethanesulfinyl chloride **2a**. The reaction mixture was heated in an oil bath at indicated temperature under a nitrogen atmosphere and monitored by TLC. Upon completion, the reaction mixture was cooled to room temperature and quenched with saturated aqueous NH_4Cl solution. The aqueous phase was extracted with EtOAc (10 mL × 3). The organic extracts were combined and washed with brine, dried over anhydrous Na_2SO_4, and evaporated under vacuum. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to give the final product.

Table S1. Screening of reaction conditions[a]

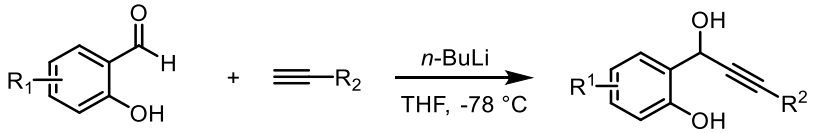
entry	X	base	solvent	m	n	temp (°C)	time (h)	yield (%)[b]
1	O	2-Me-py	THF	1.5	1.5	40	24	50
2	O	2-Me-py	DCM	1.5	1.5	40	10	60
3	O	2-Me-py	ACN	1.5	1.5	40	10	65
4	O	2-Me-py	toluene	1.5	1.5	40	3	83
5	O	2-Me-py	toluene	1.0	1.5	40	20	70
6	O	2-Me-py	toluene	1.2	1.5	40	9	79

7	O	2-Me-py	toluene	2.0	1.5	40	3	55
8	O	2-Me-py	toluene	1.5	1.0	40	3	61
9	O	2-Me-py	toluene	1.5	1.2	40	3	75
10	O	2-Me-py	toluene	1.5	2.0	40	3	79
11	O	2-Me-py	toluene	1.2	1.2	40	9	65
12	O	2-Me-py	toluene	2.0	2.0	40	9	49
13	O	2-Me-py	toluene	3.0	3.0	40	9	46
14	O	pyridine	toluene	1.5	1.5	40	10	35
15	O	2,6-lutidine	toluene	1.5	1.5	40	10	80
16	O	morpholine	toluene	1.5	1.5	40	3	41
17	O	piperidine	toluene	1.5	1.5	40	3	30
18	O	imidazole	toluene	1.5	1.5	40	3	7
19	O	DMAP	toluene	1.5	1.5	40	3	65
20	O	DABCO	toluene	1.5	1.5	40	3	85
21	O	DABCO	toluene	1.5	1.5	rt	3	70
22	O	DABCO	toluene	1.5	1.5	50	1	96
23	O	DABCO	toluene	1.5	1.5	60	1	91
24	O	/	toluene	1.5	1.5	40	3	0
25	NTs	DABCO	toluene	1.5	1.5	50	0.5	79
26	NTs	DABCO	toluene	1.5	2.0	50	0.5	88
27	NTs	DABCO	toluene	1.5	2.0	70	0.5	80

[a]Reaction conditions: compounds **1a** or **4a** (0.2 mmol), **2a** and base were stirred in solvent (3 mL) at indicated temperature; [b]Yield of the isolated product.

General procedure for the synthesis of *o*-hydroxyphenyl propargylic alcohols

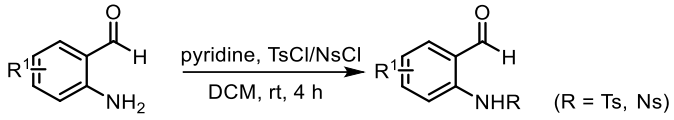
o-Hydroxyphenyl propargylic alcohols **1** were prepared according to the reported literature.[1] General synthetic route of propargylic alcohols **1** is shown below.



S1 **S2** **1**

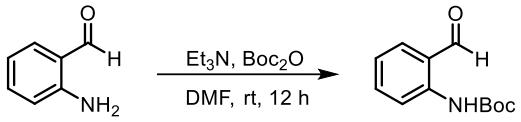
To the solution of **S2** (22 mmol, 2.2 equiv.) in dry THF (30 mL) was slowly added *n*-BuLi (22 mmol, 2.5 M in THF, 2.2 equiv.) at -78 °C under nitrogen atmosphere. The reaction mixture was stirred at this temperature for 1 h, then a solution of the corresponding salicylaldehyde **S1** (10 mmol, 1.0 equiv.) in 4 mL of THF was added dropwise via a cannula. The reaction mixture was stirred at -78 °C for another 1-1.5 h until the disappearance of the starting material indicated by TLC (thin-layer chromatography) analysis. Then the reaction mixture was quenched with saturated aqueous NH_4Cl solution and THF was removed under vacuum. The resulting aqueous phase was extracted with EtOAc (30 mL × 3). The combined organic layers were washed with water (30 mL) and brine (30 mL), dried over anhydrous Na_2SO_4, and evaporated under vacuum. The residue was purified by column chromatography (petroleum ether/EtOAc = 10/1) or by crystallization with petroleum ether to give **1**. The spectral data was in accordance with the reported data.[1]

General procedure for the synthesis of *o*-aminophenyl propargylalcohols



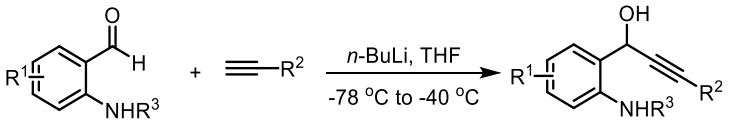
S3 **S4**

o-Aminophenyl propargylalcohols were prepared according to known procedures.[2] To an egg-shaped flask was added 2-aminobenzaldehydes **S3** (10 mmol, 1.0 equiv.), DCM (25 mL) and pyridine (13 mmol, 1.3 equiv.). Then TsCl or NsCl (12 mmol, 1.2 equiv.) was added to the above mixture under 0 °C and stirred at room temperature for about 4 h. The reaction was monitored by TLC. Upon completion, the mixture was quenched with water and extracted with DCM (30 mL × 3). The combined organic extracts were washed with water (30 mL) and brine (30 mL), dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10:1 to 5:1) to afford **S4**.



S3a **S4a**

To an egg-shaped flask was added 2-aminobenzaldehydes **S3a** (10 mmol, 1.0 equiv.), DMF (25 mL) and Et_3N (30 mmol, 3 equiv.). Then Boc_2O (12 mmol, 1.2 equiv.) was added to the above mixture under 0 °C and stirred at room temperature for 12 h. The reaction was monitored by TLC. Upon completion, the mixture was quenched with water and extracted with DCM (30 mL × 4). The combined organic extracts were washed with water (30 mL × 3) and brine (30 mL), dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 5:1) to afford **S4a**.

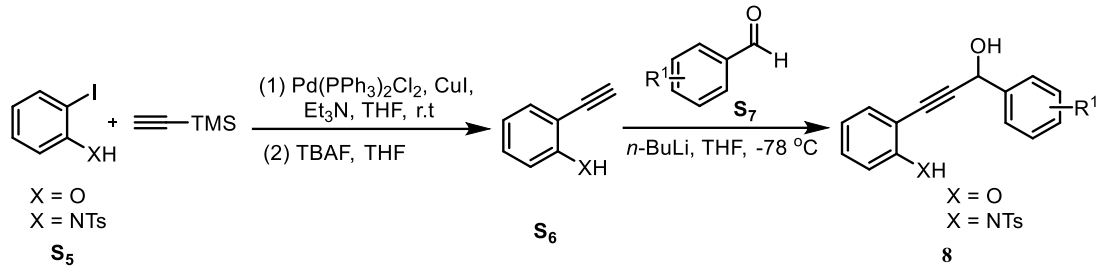


(R^3 = Ts, Ns, Boc)

S4 **S2** **4**

To a solution of **S2** (5.5 mmol, 2.2 equiv.) in THF (5 mL) was added *n*-BuLi dropwise (5.5 mmol, 2.5 M in THF, 2.2 equiv.) at -78 °C under nitrogen atmosphere. Then the mixture was stirred for 10 min at -78 °C. The mixture was warmed to -40 °C and allowed to continue for another 1 h. After that, the system was cooled down to -78 °C and a solution of **S4** (2.5 mmol, 1.0 equiv.) in THF (4 mL) was added slowly to the above mixture. The reaction was stirred for 1 h at -78 °C and warmed to room temperature while stirring for another 1 h (monitored by TLC). Upon completion, the reaction mixture was quenched with saturated NH_4Cl solution, and extracted with EtOAc (20 mL×3) after removal of THF under vacuum. The combined organic extracts were washed with water (20 mL) and brine (20 mL), dried over Na_2SO_4, and concentrated in vacuo. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10:1 to 5:1) to afford **4**. The spectral data was in accordance with the reported data.[2]

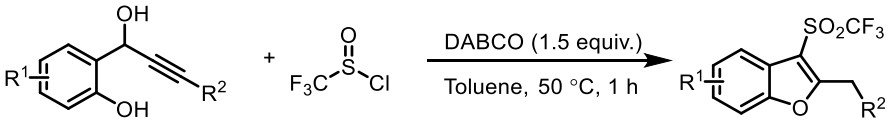
General procedure for the synthesis of 2-propynolphenol/2-propynolaniline



To a solution of compound **S5** (10.0 mmol) in THF (30 mL) and Et_3N (20.0 mmol, 2.8 mL) was added copper iodide (0.2 mmol, 0.038 g), Pd $(PPh_3)_2Cl_2$ (0.1 mmol, 0.07 g), and ethynyltrimethylsilane (12.0 mmol, 1.7 mL). The reaction was stirred at room temperature (rt) for about 18 h and the progress of the reaction was monitored by TLC. Upon completion, the solution was then filtered and concentrated under a reduced pressure.[3] The TBAF (12.0 mmol, 1.0 M in THF) was slowly added to a stirred solution of the above compound in dry THF (30 mL) at 0 ºC. The resulting mixture was then stirred at rt and the progress of the reaction was monitored by TLC. Upon completion, the reaction mixture was quenched with saturated NH_4Cl solution, and extracted with EtOAc (20 mL×3) after removal of THF under vacuum. The combined organic extracts were washed with water (20 mL) and brine (20 mL), dried over Na_2SO_4, and concentrated in vacuo. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc, 50-78%, 2 steps) to afford **S6**.

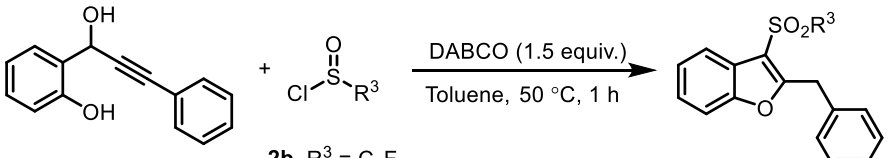
To a solution of **S6** (5.5 mmol, 2.2 equiv.) in THF (5 mL) was added *n*-BuLi dropwise (5.5 mmol, 2.5 M in THF, 2.2 equiv.) at -78 °C under nitrogen atmosphere. Then the mixture was stirred for 10 min at -78 ºC. The mixture was warmed to -40 ºC and allowed to continue for another 1 h. After that, the system was cooled down to -78 °C and a solution of **S7** (2.5 mmol, 1.0 equiv.) in THF (4 mL) was added slowly to the above mixture. The reaction was stirred for 1 h at -78 °C and warmed to room temperature while stirring for another 1 h (monitored by TLC). Upon completion, the reaction mixture was quenched with saturated NH_4Cl solution, and extracted with EtOAc (20 mL×3) after removal of THF under vacuum. The combined organic extracts were washed with water (20 mL) and brine (20 mL), dried over Na_2SO_4, and concentrated in vacuo. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 10:1) to afford **8**.

General procedure for the synthesis of 2-alkyl-3-fluoroalkanesulfonyl benzofurans



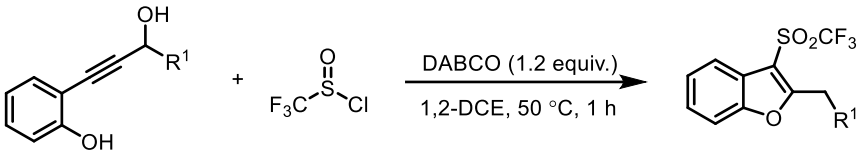
To a 10 mL Schlenk tube, equipped with a magnetic stir bar, was added *o*-hydroxyphenyl propargylic alcohols **1** (0.2 mmol), DABCO (0.3 mmol), and toluene (3 mL) followed by CF_3SOCl **2a** (0.3 mmol). The reaction mixture was stirred at 50 ºC under a nitrogen atmosphere for 1 hours and monitored by TLC. Upon completion, the reaction mixture was cooled to room temperature and quenched with saturated aqueous NH_4Cl solution. The aqueous phase was extracted with EtOAc (10 mL × 3). The organic extracts were combined and washed with brine, dried over anhydrous Na_2SO_4, and

evaporated under vacuum. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to give products **3**.



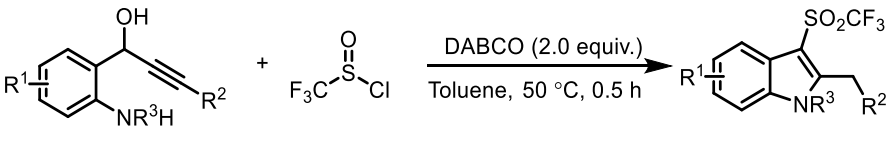
2b, $R^3 = C_4F_9$
2c, $R^3 = C_6F_{13}$
2d, R^3 = *t*-Bu
2e, R^3 = Ph

To a 10 mL Schlenk tube, equipped with a magnetic stir bar, was added *o*-hydroxyphenyl propargylic alcohols **1a** (0.2 mmol), DABCO (0.3 mmol), and toluene (3 mL) followed by **2** (0.3 mmol). The reaction mixture was stirred at 50 ºC under a nitrogen atmosphere for 1 hours and monitored by TLC. Upon completion, the reaction mixture was cooled to room temperature and quenched with saturated aqueous NH_4Cl solution. The aqueous phase was extracted with EtOAc (10 mL × 3). The organic extracts were combined and washed with brine, dried over anhydrous Na_2SO_4, and evaporated under vacuum. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to give products **3y, 3z, 3aa** and **3ab**.



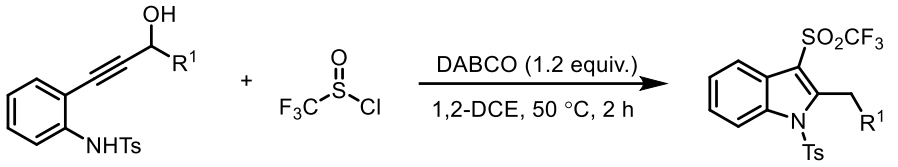
To a 10 mL Schlenk tube, equipped with a magnetic stir bar, was added *o*-hydroxyphenyl propargylic alcohols **8** (0.2 mmol), DABCO (0.24 mmol), and 1,2-DCE (3 mL) followed by CF_3SOCl **2a** (0.3 mmol). The reaction mixture was stirred at 50 ºC under a nitrogen atmosphere for 1 hours and monitored by TLC. Upon completion, the reaction mixture was cooled to room temperature and quenched with saturated aqueous NH_4Cl solution. The aqueous phase was extracted with EtOAc (10 mL × 3). The organic extracts were combined and washed with brine, dried over anhydrous Na_2SO_4, and evaporated under vacuum. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to give products **3a, 3l-3n**.

General procedure for the synthesis of 2-alkyl-3-fluoroalkanesulfonyl indoles



To a 10 mL Schlenk tube, equipped with a magnetic stir bar, was added *o*-aminophenyl propargylalcohols **4** (0.2 mmol), DABCO (0.4 mmol), and toluene (3 mL) followed by CF_3SOCl **2a** (0.3 mmol). The reaction mixture was stirred at 50 ºC under a nitrogen atmosphere for 30 min and monitored by TLC. Upon completion, the reaction mixture was cooled to room temperature and quenched with saturated aqueous NH_4Cl solution. The aqueous phase was extracted with EtOAc (10 mL × 3). The organic extracts were combined and washed with brine, dried over anhydrous Na_2SO_4, and evaporated

under vacuum. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to give products **5**.



8 **2a** **5**

To a 10 mL Schlenk tube, equipped with a magnetic stir bar, was added *o*-aminophenyl propargylalcohols **8** (0.2 mmol), DABCO (0.24 mmol), and 1,2-DCE (3 mL) followed by CF_3SOCl **2a** (0.3 mmol). The reaction mixture was stirred at 50 ºC under a nitrogen atmosphere for 2 hours and monitored by TLC. Upon completion, the reaction mixture was cooled to room temperature and quenched with saturated aqueous NH_4Cl solution. The aqueous phase was extracted with EtOAc (10 mL × 3). The organic extracts were combined and washed with brine, dried over anhydrous Na_2SO_4, and evaporated under vacuum. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to give products **5a, 5j**.

Gram-scale experiment

Scale-up preparation of 3a

1a **2a** **3a**

To a 100 mL round-bottom flask, equipped with a stir bar and condenser, was added *o*-hydroxyphenyl propargylic alcohol **1a** (1.12 g, 5.00 mmol, 1.0 equiv.), DABCO (0.84g, 7.5 mmol, 1.5 equiv.), and toluene (20 mL) followed by CF_3SOCl **2a** (0.62 ml, 7.5 mmol, 1.5 equiv.) The reaction mixture was stirred at 50 ºC under a nitrogen atmosphere for 1 hours and monitored by TLC. Upon completion, the reaction mixture was cooled to room temperature and quenched with saturated aqueous NH_4Cl solution. The aqueous phase was extracted with EtOAc (10 mL × 3). The organic extracts were combined and washed with brine, dried over anhydrous Na_2SO_4, and evaporated under vacuum. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to give product **3a** in 91% yield (1.55 g, 4.55 mmol).

Scale-up preparation of 5a

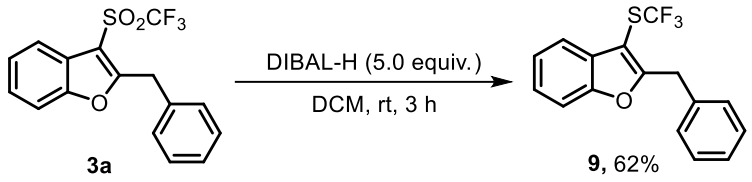
4a **2a** **5a**

To a 100 mL round-bottom flask, equipped with a stir bar and condenser, was added *o*-hydroxyphenyl propargylic alcohol **1a** (1.13 g, 3.00 mmol, 1.0 equiv.), DABCO (0.67g, 6.0 mmol, 2.0 equiv.), and toluene (20 mL) followed by CF_3SOCl **2a** (0.37 ml, 4.5 mmol, 1.5 equiv.) The reaction mixture was stirred at 50 ºC under a nitrogen atmosphere for 30 min and monitored by TLC. Upon completion, the reaction mixture was cooled to room temperature and quenched with saturated aqueous

NH_4Cl solution. The aqueous phase was extracted with EtOAc (10 mL × 3). The organic extracts were combined and washed with brine, dried over anhydrous Na_2SO_4, and evaporated under vacuum. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to give product **5a** in 82% yield (1.21 g, 2.45 mmol)

Product derivatization

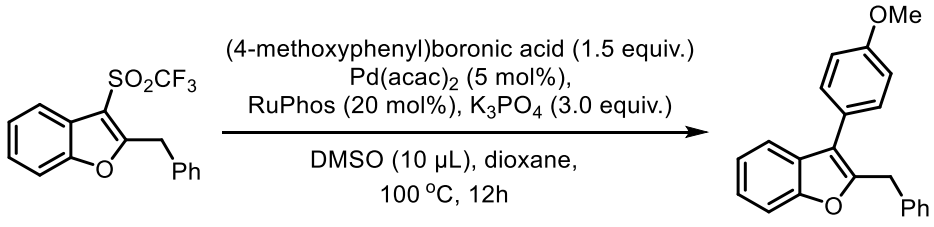
Synthesis of compound 9



3a **9,** 62%

To a solution of compound **3a** (0.4 mmol) in DCM (2 mL). The DIBAL-H (2.0 mmol, 2.0 M in hexane) was slowly added to a stirred solution of the above compound at 0 ºC. The resulting mixture was then stirred at rt and the progress of the reaction was monitored by TLC. Upon completion, the mixture was quenched with water and extracted with DCM (30 mL × 4). The combined organic extracts were washed with water (30 mL × 3) and brine (30 mL), dried over Na_2SO_4 and concentrated in vacuo. The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to afford **9**.

Synthesis of compounds 10[4]

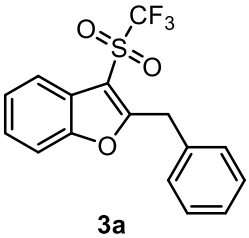


3a **10,** 82%

2-Alkyl-3-fluoroalkanesulfonyl benzofurans **3a** (0.3 mmol), (4-methoxyphenyl)boronic acid (0.45 mmol, 1.5 equiv.), $Pd(acac)_2$ (5 mol%), RuPhos (20 mol%) and K_3PO_4 (0.9 mmol, 3.0 equiv.) were weighed into a Schlenk tube, sealed, evacuated and backfilled with nitrogen 3 times. Then, 1.0 mL of anhydrous dioxane and 10 μL of DMSO were added. The solutions were stirred and heated at 100 °C for 12 h. The reaction was determined to be complete by TLC. After the reaction was cooled to room temperature, the crude mixture was filtered through a bed of Celite and washed with dichloromethane, dried over Na_2SO_4 and concentrated in vacuo.The residue was purified by column chromatography on silica gel (petroleum ether/EtOAc = 50:1) to afford **10**.

Spectra data of compounds 3a-3z, 3aa, 3ab, 5a-5v, 7, 9 and 10

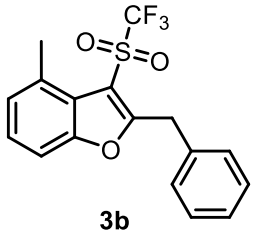
2-benzyl-3-((trifluoromethyl)sulfonyl)benzofuran (3a)



3a

Compound **3a** (96% yield, yellow solid, Melting point: 79.3 – 82.4 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 – 7.84 (m, 1H), 7.51 – 7.49 (m, 1H), 7.39−7.36 (m, 4H), 7.35 – 7.32 (m, 2H), 7.29 – 7.25 (m, 1H), 4.50 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.3, 153.6, 134.4, 129.1, 128.8, 127.4, 126.4, 125.3, 123.6, 120.6, 120.0 (q, J = 323 Hz), 111.7, 108.4, 33.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.65 (s). **IR**: $\bar{\upsilon}$ = 2953, 1298, 1165, 1087, 1062, 735 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{16}H_{11}F_3NaO_3S^+$ 363.0273; found: 363.0275.

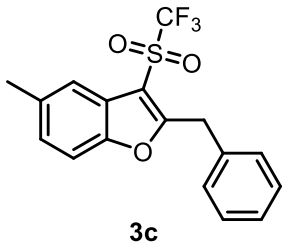
2-benzyl-4-methyl-3-((trifluoromethyl)sulfonyl)benzofuran (3b)



3b

Compound **3b** (83% yield, white solid, Melting point: 107.6 – 110.6 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.39 – 7.36 (m, 2H), 7.34 – 7.31 (m, 3H), 7.29 – 7.23 (m, 2H), 7.16 (d, J = 7.6 Hz, 1H), 4.57 (s, 2H), 2.69 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 169.7, 154.1, 134.9, 132.5, 129.2, 128.9, 128.8, 128.1, 127.4, 126.2, 121.8, 120.0 (q, J = 322 Hz), 109.5, 34.1, 21.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -78.56 (s). **IR**: $\bar{\upsilon}$ = 2971, 1366, 1199, 1120, 1062, 743 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_3S^+$ 377.0430; found: 377.0439.

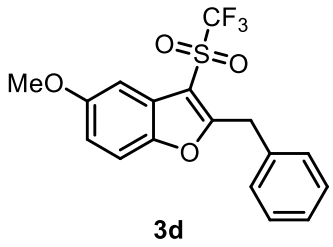
2-benzyl-5-methyl-3-((trifluoromethyl)sulfonyl)benzofuran (3c)



3c

Compound **3c** (97% yield, yellow solid, Melting point: 93.9 – 94.7 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.63 (s, 1H), 7.39 – 7.37 (m, 3H), 7.35 – 7.31 (m, 2H), 7.29 – 7.25 (m, 1H), 7.20 (d, J = 8.4 Hz, 1H), 4.48 (s, 2H), 2.46 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.2, 152.2, 135.4, 134.5, 129.2, 128.9, 127.7, 127.5, 123.8, 120.3, 120.0 (q, J = 322 Hz), 111.3, 108.2, 33.5, 21.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.70 (s). **IR**: $\bar{\upsilon}$ = 2931, 1487, 1362, 1192, 1124, 633 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_3S^+$ 377.0430; found: 377.0431.

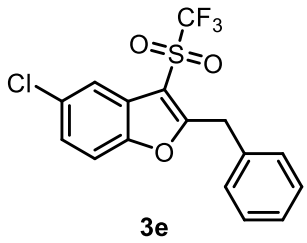
2-benzyl-5-methoxy-3-((trifluoromethyl)sulfonyl)benzofuran (3d)



3d

Compound **3d** (96% yield, yellow solid, Melting point: 60.8 – 64.0 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.39 – 7.37 (m, 3H), 7.35 – 7.31 (m, 2H), 7.29 – 7.26 (m, 2H), 6.97 (dd, J = 9.2, 2.4 Hz, 1H), 4.47 (s, 2H), 3.84 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.6, 157.8, 148.5, 134.5, 129.2, 128.9, 127.5, 124.6, 120.0 (q, J = 322 Hz), 115.7, 112.5, 108.4, 102.5, 56.0, 33.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.72 (s). **IR**: $\bar{\upsilon}$ = 3033, 1366, 1185, 1123, 1052 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_4S^+$ 393.0379; found: 393.0379.

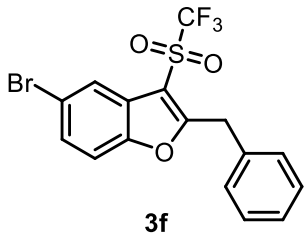
2-benzyl-5-chloro-3-((trifluoromethyl)sulfonyl)benzofuran (3e)



3e

Compound **3e** (92% yield, yellow solid, Melting point: 106.2 – 109.0 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.83 (d, *J* = 2.0 Hz, 1H), 7.43 (d, *J* = 8.8 Hz, 1H), 7.38 – 7.32 (m, 5H), 7.29 (d, *J* = 6.8 Hz, 1H), 4.49 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 169.6, 152.1, 134.0, 131.5, 129.3, 129.0, 127.7, 127.0, 125.2, 120.5, 120.0 (q, *J* = 323 Hz), 113.0, 108.4, 33.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.57 (s). **IR**: $\bar{\upsilon}$ = 2929, 1554, 1366, 1185, 1131, 750, 656 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{16}H_{10}ClF_3NaO_3S^+$ 396.9883 (100%), 398.9854 (32%); found: 396.9882, 398.9851.

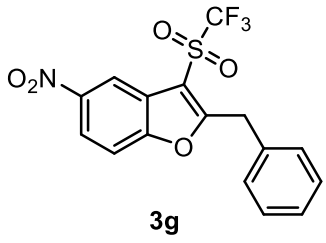
2-benzyl-5-bromo-3-((trifluoromethyl)sulfonyl)benzofuran (3f)



3f

Compound **3f** (90% yield, yellow solid, Melting point: 115.2– 116.7 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.99 (d, *J* = 2.0 Hz, 1H), 7.51 (dd, *J* = 8.8, 2.0 Hz, 1H), 7.39 – 7.32 (m, 5H), 7.31 – 7.27 (m, 1H), 4.49 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 169.3, 152.5, 134.0, 129.7, 129.2, 129.0, 127.7, 125.6, 123.4, 119.9 (q, *J* = 323 Hz), 118.9, 113.3, 108.2, 33.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.55 (s). **IR**: $\bar{\upsilon}$ = 3096 1544, 1366, 1188, 1064, 814, 603 cm^{-1}; **HRMS** (ESI) m/z: $[M + H]^+$ calcd for $C_{16}H_{11}BrF_3O_3S^+$ 418.9559 (100%), 420.9539 (97%); found: 418.9556, 420.9538.

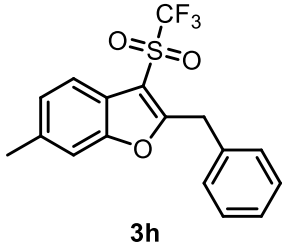
2-benzyl-5-nitro-3-((trifluoromethyl)sulfonyl)benzofuran (3g)



3g

Compound **3g** (49% yield, yellow solid, Melting point: 125.1 – 125.9 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.75 (d, *J* = 2.4 Hz, 1H), 8.34 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.66 (d, *J* = 9.2 Hz, 1H), 7.41 – 7.37 (m, 3H), 7.35 – 7.30 (m, 2H), 4.55 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 171.3, 156.0, 145.8, 133.4, 129.2, 129.1, 127.9, 124.6, 122.3, 119.8 (q, *J* = 322 Hz), 117.3, 112.7, 109.7, 33.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.35 (s). **IR**: $\bar{\upsilon}$ = 3099, 1525, 1377, 1193, 1128, 1086, 732 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{16}H_{10}F_3NNaO_5S^+$ 408.0124; found: 408.0122.

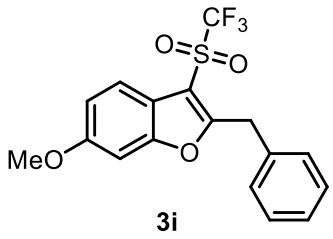
2-benzyl-6-methyl-3-((trifluoromethyl)sulfonyl)benzofuran (3h)



3h

Compound **3h** (96% yield, yellow solid, Melting point: 63.4 – 64.1 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.71 (d, *J* = 8.0 Hz, 1H), 7.36 (t, *J* = 7.6 Hz, 3H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.28– 7.24 (m, 1H), 7.20 (d, *J* = 8.0 Hz, 1H), 4.48 (s, 2H), 2.45 (s, 3H).**^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.6, 154.1, 137.2, 134.6, 129.1, 128.8, 127.4, 126.8, 121.2, 120.1, 120.0 (q, *J* = 322 Hz), 111.9, 108.4, 33.4, 21.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.73 (s). **IR**: $\bar{\upsilon}$ = 2944, 1532, 1369, 1203, 1062, 743 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_3S^+$ 377.0430; found: 377.0431.

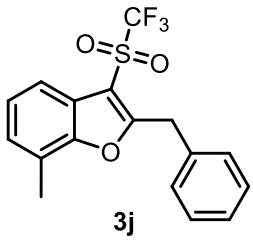
2-benzyl-6-methoxy-3-((trifluoromethyl)sulfonyl)benzofuran (3i)



3i

Compound **3i** (95% yield, yellow solid, Melting point: 52.5 – 55.9 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.69 (d, *J* = 8.8 Hz, 1H), 7.38 – 7.31 (m, 4H), 7.28 (d, *J* = 7.2 Hz, 1H), 6.99 (d, *J* = 8.4 Hz, 2H), 4.46 (s, 2H), 3.83 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.0, 159.4, 154.8, 134.7, 129.1, 128.8, 127.4, 120.8, 120.0 (q, *J* = 323 Hz), 116.7, 114.4, 108.4, 96.2, 55.8, 33.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.74 (s). **IR**: $\bar{\upsilon}$ = 3039, 1370, 1199, 1117, 1052, 711 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_4S^+$ 393.0379; found: 393.0375.

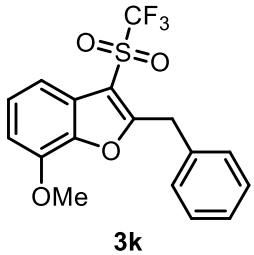
2-benzyl-7-methyl-3-((trifluoromethyl)sulfonyl)benzofuran (3j)



3j

Compound **3j** (95% yield, white solid, Melting point: 113.3 – 115.7 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.66 (d, *J* = 8.0 Hz, 1H), 7.40 (d, *J* = 6.8 Hz, 2H), 7.33 (t, *J* = 7.2 Hz, 2H), 7.29 – 7.25 (m, 2H), 7.18 (d, *J* = 7.6 Hz, 1H), 4.51 (s, 2H), 2.49 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.8, 152.8, 134.6, 129.2, 128.8, 127.4, 127.3, 125.4, 123.3, 122.2, 120.0 (q, *J* = 322 Hz), 118.1, 108.6, 33.5, 14.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.68 (s). **IR**: $\bar{\upsilon}$ = 2989, 1366, 1205, 1134, 712 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_3S^+$ 377.0430; found: 377.0433.

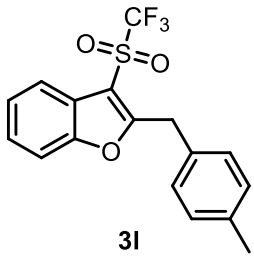
2-benzyl-7-methoxy-3-((trifluoromethyl)sulfonyl)benzofuran (3k)



3k

Compound **3k** (96% yield, white solid, Melting point: 105.6 – 107.2 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) 7.42 – 7.39 (m, 3H), 7.34 – 7.30 (m, 2H), 7.29 – 7.24 (m, 2H), 6.88 (d, *J* = 8.4 Hz, 1H), 4.50 (s, 2H), 3.97 (s, 3H).**^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.1, 145.3, 143.2, 134.5, 129.1, 128.8, 127.4, 126.3, 125.4, 119.9 (q, *J* = 322 Hz), 112.4, 108.8, 108.4, 56.1, 33.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.62 (s). **IR**: $\bar{\upsilon}$ = 3046, 1495, 1367, 1184, 1104, 778 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_4S^+$ 393.0379; found: 393.0386.

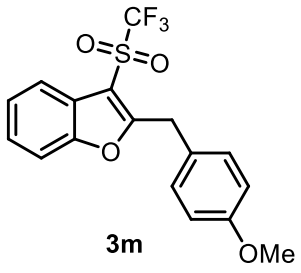
2-(4-methylbenzyl)-3-((trifluoromethyl)sulfonyl)benzofuran (3l)



3l

Compound **3l** (98% yield, yellow solid, Melting point: 73.3 – 74.8 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 – 7.84 (m, 1H), 7.51 – 7.48 (m, 1H), 7.41 – 7.36 (m, 2H), 7.28 (d, *J* = 7.6 Hz, 2H), 7.14 (d, *J* = 7.6 Hz, 2H), 4.46 (s, 2H), 2.32 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.6, 153.7, 137.2, 131.3, 129.6, 129.1, 126.4, 125.3, 123.8, 120.7, 120.0 (q, *J* = 323 Hz), 111.8, 108.3, 33.1, 21.0. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.70 (s). **IR**: $\bar{\upsilon}$ = 2956, 1554, 1366, 1189, 1117, 649 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_3S^+$ 377.0430; found: 377.0433.

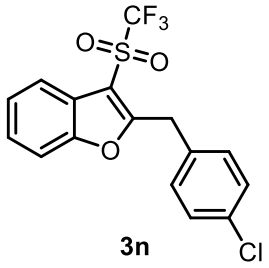
2-(4-methoxybenzyl)-3-((trifluoromethyl)sulfonyl)benzofuran (3m)



3m

Compound **3m** (97% yield, yellow solid, Melting point: 79.4 – 80.7 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.84 (dd, *J* = 4.4, 2.4 Hz, 1H), 7.50 – 7.49 (m, 1H), 7.39 – 7.37 (m, 2H), 7.31 (d, *J* = 7.6 Hz, 2H), 6.87 (d, *J* = 6.4 Hz, 2H), 4.44 (s, 2H), 3.77 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.7, 159.0, 153.7, 130.3, 126.4, 125.3, 123.8, 120.7, 120.0 (q, *J* = 323 Hz), 114.6, 114.3, 111.7, 108.1, 55.2, 32.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.72 (s). **IR**: $\bar{\upsilon}$ = 2956, 1553, 1367, 1196, 1129, 743cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_4S^+$ 393.0379; found: 393.0385.

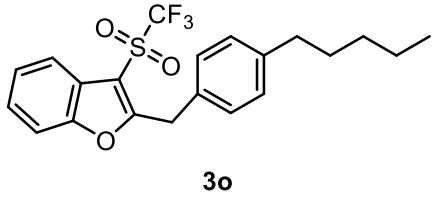
2-(4-chlorobenzyl)-3-((trifluoromethyl)sulfonyl)benzofuran (3n)



3n

Compound **3n** (95% yield, yellow solid, Melting point: 76.0 – 77.4 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 – 7.84 (m, 1H), 7.52 – 7.50 (m, 1H), 7.43 – 7.37 (m, 2H), 7.34 – 7.29 (m, 4H), 4.47 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.5, 153.7, 133.6, 132.8, 130,5, 129.0, 126.6, 125.5, 123.6, 120.7, 120.0 (q, *J* = 322 Hz), 111.8, 108.7, 32.8. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.68 (s). **IR**: $\bar{\upsilon}$ = 2925, 1555, 1365, 1188, 1130, 802, 750 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{16}H_{10}ClF_3NaO_3S^+$ 396.9883 (100%), 398.9854 (32%); found: 396.9880, 398.9856.

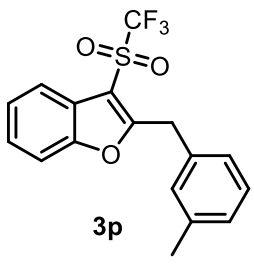
2-(4-pentylbenzyl)-3-((trifluoromethyl)sulfonyl)benzofuran (3o)



3o

Compound **3o** (82% yield, yellow solid, Melting point: 78.6 – 80.8 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 – 7.84 (m, 1H), 7.52 – 7.49 (m, 1H), 7.41 – 7.36 (m, 2H), 7.30 (d, *J* = 7.6 Hz, 2H), 7.15 (d, *J* = 7.6 Hz, 2H), 4.47 (s, 2H), 2.57 (t, *J* = 7.6 Hz, 2H), 1.63 – 1.57 (m, 2H), 1.35 – 1.26 (m, 4H), 0.88 (t, *J* = 6.8 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.6, 153.7, 142.3, 131.5, 129.1, 128.9, 126.4, 125.3, 123.8, 120.7, 120.0 (q, *J* = 322 Hz), 111.98, 108.3, 35.5, 33.1, 31.5, 31.1, 22.5, 14.0. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.70 (s). **IR**: $\bar{\upsilon}$ = 2959, 1551, 1367, 1198, 1117, 1056, 647 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{21}F_3NaO_3S^+$ 433.1056; found: 433.1058.

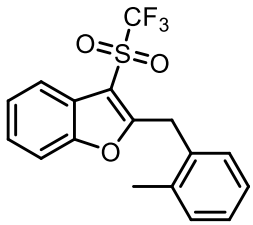
2-(3-methylbenzyl)-3-((trifluoromethyl)sulfonyl)benzofuran (3p)



3p

Compound **3p** (97% yield, yellow solid, Melting point: 60.7 – 63.8 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 – 7.84 (m, 1H), 7.52 – 7.49 (m, 1H), 7.41 – 7.36 (m, 2H), 7.21 (d, *J* = 7.6 Hz, 1H), 7.19 – 7.17 (m, 2H), 7.09 (d, *J* = 7.2 Hz, 1H), 4.46 (s, 2H), 2.34 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.4, 153.7, 138.6, 134.3, 129.9, 128.7, 128.3, 126.4, 126.2, 125.4, 123.8, 120.7, 120.0 (q, *J* = 323 Hz), 111.8, 108.5, 33.4, 21.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.68 (s). **IR**: $\bar{\upsilon}$ = 2925, 1555, 1369, 1188, 1056, 812 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_3S^+$ 377.0430; found: 377.0434.

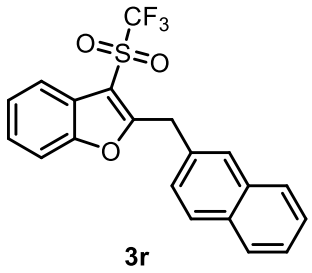
2-(2-methylbenzyl)-3-((trifluoromethyl)sulfonyl)benzofuran (3q)



3q

Compound **3q** (95% yield, yellow solid, Melting point: 117.2 – 119.6 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.88 – 7.86 (m, 1H), 7.48 – 7.45 (m, 1H), 7.40 – 7.38 (m, 2H), 7.22 – 7.20 (m, 2H), 7.19 – 7.14 (m, 2H), 4.54 (s, 2H), 2.43 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.5, 153.7, 136.7, 132.9, 130.6, 129.8, 127.7, 127.4, 126.4, 125.4, 123.8, 120.7, 120.1 (q, J = 323 Hz), 111.8, 108.7, 31.0, 19.8. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.56 (s). **IR**: $\bar{\upsilon}$ = 3058, 1547, 1372, 1185, 1132, 750 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}F_3NaO_3S^+$ 377.0430; found: 377.0433.

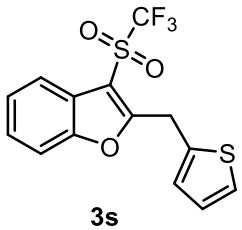
2-(naphthalen-2-ylmethyl)-3-((trifluoromethyl)sulfonyl)benzofuran (3r)



3r

Compound **3r** (76% yield, yellow solid, Melting point: 149.8 – 152.3 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 (dd, J = 5.6, 3.2 Hz, 1H), 7.82 – 7.79 (m, 4H), 7.50 – 7.44 (m, 4H), 7.38 – 7.36 (m, 2H), 4.65 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.2, 153.7, 133.5, 132.6, 131.8, 128.6, 128.1, 127.7, 127.6, 126.9, 126.5, 126.4, 126.1, 125.4, 123.7, 120.7, 120.0 (q, J = 322 Hz), 111.8., 108.6, 33.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.60 (s). **IR**: $\bar{\upsilon}$ = 3062, 1554, 1367, 1188, 1062, 820, 673 cm^{-1}; **HRMS** (ESI) m/z: $[M + H]^+$ calcd for $C_{20}H_{14}F_3O_3S^+$ 391.0610; found: 391.0609

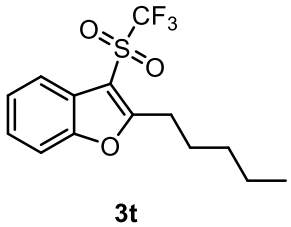
2-(thiophen-2-ylmethyl)-3-((trifluoromethyl)sulfonyl)benzofuran (3s)



3s

Compound **3s** (83% yield, yellow solid, Melting point: 74.8 – 76.1 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.87 – 7.85 (m, 1H), 7.56 – 7.52 (m, 1H), 7.45 – 7.38 (m, 2H), 7.23 (dd, J = 5.2, 1.2 Hz, 1H), 7.05 (d, J = 3.6 Hz, 1H), 6.98 – 6.96 (m, 1H), 4.71 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.8, 153.7, 135.3, 127.4, 127.2, 126.7, 125.5, 123.6, 123.3, 120.8, 119.9 (q, J = 322 Hz), 111.9., 108.4, 27.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.64 (s). **IR**: $\bar{\upsilon}$ = 2993, 1560, 1360, 1196, 1135, 693 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{14}H_9F_3NaO_3S_2^+$ 368.9837; found: 368.9836.

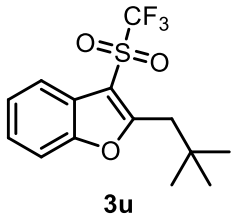
2-pentyl-3-((trifluoromethyl)sulfonyl)benzofuran (3t)



3t

Compound **3t** (81% yield, yellow oil): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.86 – 7.84 (m, 1H), 7.55 – 7.53 (m, 1H), 7.43 – 7.37 (m, 2H), 3.17 (t, J = 8.0 Hz, 2H), 1.88 – 1.80 (m, 2H), 1.42 – 1.37 (m, 4H), 0.92 (t, J = 6.8 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 171.4, 153.5, 126.1, 125.3, 123.9, 120.5, 120.0 (q, J = 322 Hz), 111.6., 108.0, 31.3, 27.6, 27.5, 22.2, 13.8. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.96 (s). **IR**: $\bar{\upsilon}$ = 2963, 1556, 1373, 1196, 1139, 749, 610 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{14}H_{15}F_3NaO_3S^+$ 343.0586; found: 343.0585.

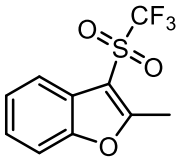
2-neopentyl-3-((trifluoromethyl)sulfonyl)benzofuran (3u)



3u

Compound **3u** (55% yield, yellow solid, Melting point: 76.2 – 78.5): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.88 – 7.86 (m, 1H), 7.57 – 7.55 (m, 1H), 7.44 – 7.38 (m, 2H), 3.10 (s, 2H), 1.12 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 169.7, 153.5, 126.3, 125.2, 123.7, 120.7, 120.0 (q, J = 323 Hz), 111.6., 109.8, 40.2, 33.2, 30.0. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.56 (s). **IR**: $\bar{\upsilon}$ = 3378, 2963, 1453, 1048, 817, 746 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{14}H_{15}F_3NaO_3S^+$ 343.0586; found: 343.0592.

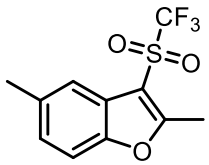
2-methyl-3-((trifluoromethyl)sulfonyl)benzofuran (3v)



3v

Compound **3v** (80% yield, yellow solid, Melting point: 71.3 – 72.7 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.84 (d, J = 8.0 Hz, 1H), 7.53 (d, J = 7.2 Hz, 1H), 7.44 – 7.38 (m, 2H), 2.83 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.6, 153.4, 126.2, 125.3, 123.9, 120.4, 120.1 (q, J = 322 Hz), 111.5, 108.5, 13.9. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -80.03 (s). **IR**: $\bar{\upsilon}$ = 2922, 1558, 1358, 1176, 1075, 749 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{10}H_7F_3NaO_3S^+$ 286.9960; found: 286.9969.

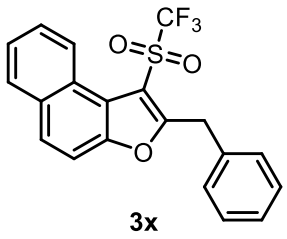
2,5-dimethyl-3-((trifluoromethyl)sulfonyl)benzofuran (3w)



3w

Compound **3w** (84% yield, yellow solid, Melting point: 78.4 – 80.6 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.62 (s, 1H), 7.40 (d, J = 8.4 Hz, 1H), 7.21 (d, J = 8.4 Hz, 1H), 2.80 (s, 3H), 2.47 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 167.6, 151.9, 135.3, 127.4, 124.0, 120.1 (q, J = 322 Hz), 120.0, 111.0., 108.1, 21.4, 13.9. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -80.06 (s). **IR**: $\bar{\upsilon}$ = 2923, 1554, 1359, 1189, 1117, 1071, 818 cm^{-1}; **HRMS** (ESI) m/z: $[M + H]^+$ calcd for $C_{11}H_{10}F_3O_3S^+$ 279.0297; found: 279.0230.

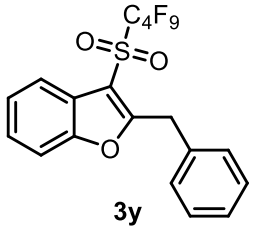
2-benzyl-1-((trifluoromethyl)sulfonyl)naphtho[2,1-*b*]furan (3x)



3x

Compound **3x** (67% yield, yellow solid, Melting point: 153.8 – 155.7 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.00 (d, J = 8.4 Hz, 1H), 7.94 (d, J = 8.4 Hz, 1H), 7.85 (d, J = 8.8 Hz, 1H), 7.66 (t, J = 8.0 Hz, 1H), 7.61 (d, J = 8.8 Hz, 1H), 7.55 (t, J = 7.6 Hz, 1H), 7.41 (d, J = 7.6 Hz, 2H), 7.34 (t, J = 7.6 Hz, 2H), 7.28 (d, J = 7.2 Hz, 1H), 4.66 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.5, 152.1, 135.1, 131.7, 129.2, 129.1, 129.0. 128.8, 127.6, 127.4, 126.4, 125.8, 125.4, 120.2 (q, J = 323 Hz), 117.8, 111.6., 110.6, 34.2. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -78.64 (s). **IR**: $\bar{\upsilon}$ = 3021, 1151, 1372, 1192, 746 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{20}H_{13}F_3NaO_3S^+$ 413.0430; found: 413.0433.

2-benzyl-3-((perfluorobutyl)sulfonyl)benzofuran (3y)

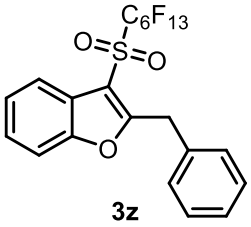


3y

Compound **3y** (54% yield, white solid, Melting point: 105.8 – 107.1 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.89 – 7.87 (m, 1H), 7.52 – 7.50 (m, 1H), 7.41 – 7.39 (m, 4H), 7.36 – 7.33 (m, 2H), 7.30 – 7.27 (m, 1H), 4.52 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.7, 153.6, 134.4, 129.2, 128.9, 127.5, 126.5, 125.4, 123.9, 120.9, 111.8, 109.7, 33.6, ^{13}C NMR for $CF_2CF_2CF_2CF_3$ could not be assigned. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -80.68 (t, J = 9.4 Hz, 3F), -113.05 – -113.13 (m, 2F), -120.95 – -121.04 (m, 2F), -125.86 – -125.95 (m, 2F). **IR**: $\bar{\upsilon}$

= 3000, 1601 1453, 1244, 1027, 834, 714 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{19}H_{11}F_9NaO_3S^+$ 153.0177; found: 153.0181.

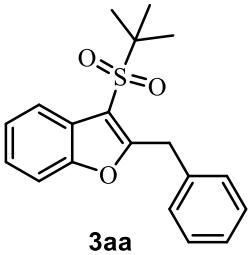
2-benzyl-3-((perfluorohexyl)sulfonyl)benzofuran (3z)



3z

Compound **3z** (46% yield, yellow oil): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.90 – 7.87 (m, 1H), 7.53 – 7.51 (m, 1H), 7.41 (d, *J* = 6.0 Hz, 4H), 7.35 (t, *J* = 7.6 Hz, 2H), 7.30 (d, *J* = 6.8 Hz, 1H), 4.52 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 168.7, 153.6, 134.4, 129.2, 128.9, 127.5, 126.5, 125.4, 123.8, 120.8, 111.8, 109.6, 33.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -80.72 – -80.80 (m, 3F), -112.87 (s, 2H), -119.97 (s, 2H), -121.68 (s, 2H), -122.67 (s, 2H), -126.09 – -126.14 (m, 2F) . **IR**: $\bar{\upsilon}$ = 2924, 1454, 1358, 1195, 1141, 871 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{11}F_{13}NaO_3S^+$ 613.0114; found: 613.0104.

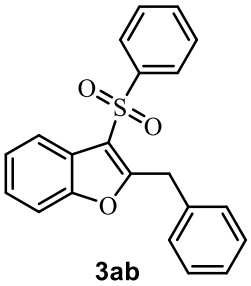
2-benzyl-3-(*tert*-butylsulfonyl)benzofuran (3aa)



3aa

Compound **3aa** (42% yield, white solid, Melting point: 95.2 – 96.5 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.89 – 7.86 (m, 1H), 7.45 – 7.43 (m, 3H), 7.33 – 7.30 (m, 4H), 7.26 – 7.25 (m, 1H), 4.48 (s, 2H), 1.43 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 163.7, 153.5, 135.6, 129.2, 128.6, 127.1, 125.8, 125.4, 124.3, 121.7, 112.6, 111.4, 61.2, 33.5, 23.4. **IR**: $\bar{\upsilon}$ = 2958, 1342, 1150, 1043, 754, 642 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{19}H_{20}NaO_3S^+$ 351.1025; found: 351.1029.

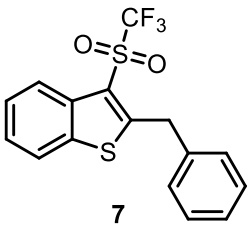
2-benzyl-3-(phenylsulfonyl)benzofuran (3ab)



3ab

Compound **3ab** (68% yield, white solid, Melting point: 157.8 – 159.2 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.91 – 7.88 (m, 3H), 7.55 – 7.51 (m, 1H), 7.45 – 7.41 (m, 3H), 7.34 – 7.27 (m, 7H), 4.59 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 161.7, 153.4, 142.1, 135.6, 133.3, 129.2, 129.0, 128.7, 127.1, 126.7, 125.5, 124.4, 124.1, 120.5, 117.9, 111.5, 33.2. **IR**: $\bar{\upsilon}$ = 3198, 2159, 1505, 1359, 1148, 1057, 756 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{16}NaO_3S^+$ 371.0712; found: 371.0715.

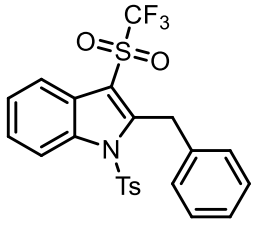
2-benzyl-3-((trifluoromethyl)sulfonyl)benzo[*b*]thiophene (7)



7

Compound **7** (66% yield, yellow solid, Melting point: 89.6 – 93.1 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.32 (d, *J* = 8.0 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.49 (t, *J* = 8.0 Hz, 1H), 7.41 (t, *J* = 7.6 Hz, 1H), 7.37 – 7.32 (m, 5H), 4.71 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 166.1, 137.4, 137.1, 136.2, 129.4, 128.9, 127.7, 126.5, 125.9, 123.6, 122.0, 120.3 (q, *J* = 323 Hz), 108.5, 36.0. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.11 (s). **IR**: $\bar{\upsilon}$ = 2923, 1554, 1359, 1189, 1117, 1071, 818 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{16}H_{11}F_3NaO_2S_2^+$ 379.0045; found: 379.0053.

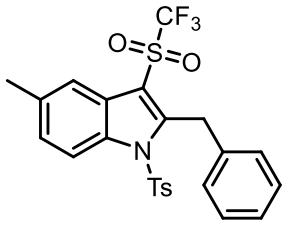
2-benzyl-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5a)



5a

Compound **5a** (88% yield, white solid, Melting point: 191.9 – 192.5 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.4 Hz, 1H), 8.07 (d, *J* = 7.6 Hz, 1H), 7.47 – 7.40 (m, 2H), 7.23 – 7.21 (m, 3H), 7.19 (d, *J* = 8.4 Hz, 2H), 7.14 – 7.11 (m, 2H), 7.01 (d, *J* = 8.0 Hz, 2H), 5.01 (s, 2H), 2.30 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 149.9, 146.2, 136.3, 135.5, 134.2, 129.0, 128.8, 128.5, 127.1, 126.7, 126.4, 125.4, 125.3, 120.7, 120.1(q, *J* = 324 Hz), 114.9, 110.6, 31.2, 21.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.38 (s). **IR**: $\bar{\upsilon}$ = 3138, 1369, 1256, 1178, 1044, 788 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{18}F_3NNaO_4S_2^+$ 516.0522; found: 516.0525.

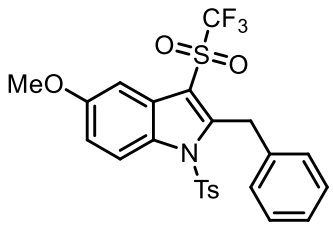
2-benzyl-5-methyl-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5b)



5b

Compound **5b** (88% yield, yellow solid, Melting point: 169.2 – 169.7 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.07 (d, *J* = 8.8 Hz, 1H), 7.85 (s, 1H), 7.26 (d, *J* = 8.8 Hz, 1H), 7.21 – 7.20 (m, 4H), 7.18 (s, 1H), 7.12 – 7.10 (m, 2H), 7.00 (d, *J* = 8.0 Hz, 2H), 4.99 (s, 2H), 2.46 (s, 3H), 2.29 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 149.7, 146.0, 136.4, 135.5, 134.3, 133.7, 129.9, 128.7, 128.5, 127.9, 127.0, 126.6, 125.5, 120.3, 120.1(q, *J* = 323 Hz), 114.5, 110.2, 31.2, 21.5, 21.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.37 (s). **IR**: $\bar{\upsilon}$ = 3031, 1362, 1215, 1196, 1088, 725, cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{20}F_3NNaO_4S_2^+$ 530.0678; found: 530.0688.

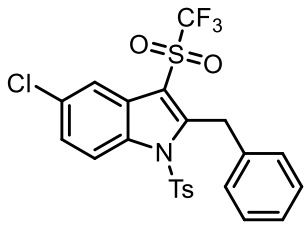
2-benzyl-5-methoxy-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5c)



5c

Compound **5c** (85% yield, yellow solid, Melting point: 154.2 – 154.9 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.09 (d, *J* = 9.6 Hz, 1H), 7.49 (d, *J* = 2.8 Hz, 1H), 7.22 – 7.19 (m, 4H), 7.17 (s, 1H), 7.13 – 7.11 (m, 2H), 7.05 (dd, *J* = 9.2, 2.8 Hz, 1H), 7.00 (d, *J* = 8.0 Hz, 2H), 4.98 (s, 2H), 3.85 (s, 3H), 2.28 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 157.7, 149.9, 146.1, 136.4, 134.2, 130.1, 129.9, 128.7, 128.5, 126.9, 126.6, 126.5, 120.1(q, *J* = 324 Hz), 116.1, 115.8, 110.0, 102.2, 55.7, 31.2, 21.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.42 (s). **IR**: $\bar{\upsilon}$ = 3031, 1468, 1355, 1196, 1083, 814 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{20}F_3NNaO_5S_2^+$ 546.0627; found: 546.0638.

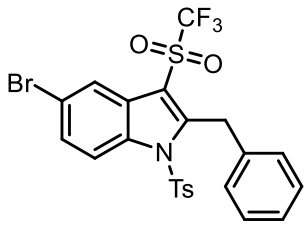
2-benzyl-5-chloro-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5d)



5d

Compound **5d** (83% yield, yellow solid, Melting point: 192.5 – 195.0 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.13 (d, *J* = 8.8 Hz, 1H), 8.05 (s, 1H), 7.41 (d, *J* = 9.2 Hz, 1H), 7.23 – 7.22(m, 3H), 7.16 (d, *J* = 8.4 Hz, 2H), 7.11 – 7.09 (m, 2H), 7.02 (d, *J* = 8.0 Hz, 2H), 4.98 (s, 2H), 2.31 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 151.0, 146.5, 136.0, 133.9, 133.8, 131.7, 130.0, 128.7, 128.6, 127.0, 126.9, 126.8, 126.5, 120.2, 120.0 (q, *J* = 323 Hz), 115.1, 110.7, 31.3, 21.6. **IR**: $\bar{\upsilon}$ = 3035, 1357, 1215, 1193, 1092, 750, 667 cm^{-1}, **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.30 (s). **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{17}ClF_3NNaO_4S_2^+$ 550.0132 (100%), 552.0103 (32%); found: 550.0140, 552.0114.

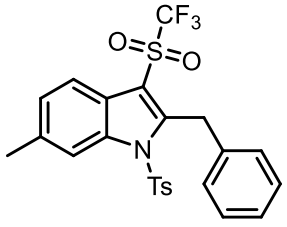
2-benzyl-5-bromo-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5e)



5e

Compound **5e** (90% yield, yellow solid, Melting point: 202.6 – 205.6 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (s, 1H), 8.08 (d, *J* = 8.8 Hz, 1H), 7.55 (d, *J* = 9.2 Hz, 1H), 7.23 – 7.22 (m, 3H), 7.16 (d, *J* = 6.4 Hz, 2H), 7.11 – 7.09 (m, 2H), 7.02 (d, *J* = 8.0 Hz, 2H), 4.98 (s, 2H), 2.31 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 150.9, 146.5, 136.0, 134.3, 133.9, 130.0, 129.6, 128.7, 128.6, 127.0, 126.9, 126.8, 123.2, 120.0(q, *J* = 323 Hz), 119.4, 116.3, 109.9, 31.2, 21.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.28 (s). **IR**: $\bar{\upsilon}$ = 3126, 1355, 1215, 1192, 1089, 738, 572cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{17}BrF_3NNaO_4S_2^+$ 593.9627 (100%), 595.9607 (97%); found: 593.9637, 595.9623.

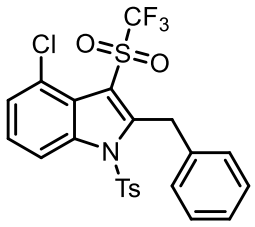
2-benzyl-6-methyl-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5f)



5f

Compound **5f** (91% yield, yellow solid, Melting point: 179.6 – 181.1 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.01 (s, 1H), 7.93 (d, *J* = 8.4 Hz, 1H), 7.24 (d, *J* = 5.6 Hz, 1H), 7.21 – 7.19 (m, 5H), 7.11 - 7.09 (m, 2H), 7.01 (d, *J* = 8.0 Hz, 2H), 4.98 (s, 2H), 2.50 (s, 3H), 2.29 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 149.1, 146.0, 136.9, 136.5, 136.0, 134.4, 129.9, 128.7, 128.5, 127.0, 126.9, 126.6, 123.0, 120.2, 120.1(q, *J* = 324 Hz), 114.8, 110.6, 31.2, 22.0, 21.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.40 (s). **IR**: $\bar{\upsilon}$ = 3035, 1358, 1216, 1192, 1082, 812 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{20}F_3NNaO_4S_2^+$ 530.0678; found: 530.0688.

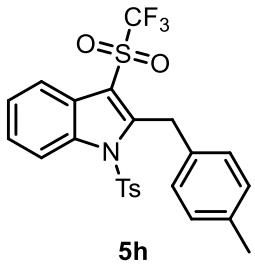
2-benzyl-4-chloro-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5g)



5g

Compound **5g** (63% yield, yellow solid, Melting point: 196.2 – 198.0 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.13 (d, *J* = 9.2 Hz, 1H), 8.05 (d, *J* = 2.0 Hz, 1H), 7.41 (dd, *J* = 9.2, 2.0 Hz, 1H), 7.23 – 7.21 (m, 3H), 7.17 (d, *J* = 8.0 Hz, 2H), 7.11 – 7.09 (m, 2H), 7.02 (d, *J* = 8.0 Hz, 2H), 4.98 (s, 2H), 2.31 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 151.0, 146.5, 136.0, 134.0, 133.9, 131.8, 130.0, 128.7, 128.6, 127.0, 126.9, 126.8, 126.5, 120.3, 120.0 (q, *J* = 324 Hz), 116.0, 110.1, 31.3, 21.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.30 (s). **IR**: $\bar{\upsilon}$ = 3035, 1354, 1215, 1192, 1091, 773, 750 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{17}ClF_3NNaO_4S_2^+$ 550.0132 (100%), 552.0103 (32%); found: 550.0139, 552.0114.

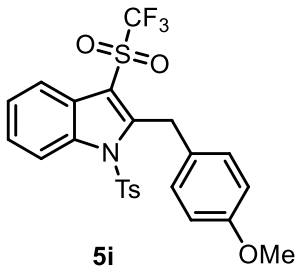
2-(4-methylbenzyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5h)



5h

Compound **5h** (86% yield, yellow solid, Melting point: 207.7 – 209.6 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (d, *J* = 8.8 Hz, 1H), 8.07 (d, *J* = 8.0 Hz, 1H), 7.47 – 7.39 (m, 2H), 7.21 (d, *J* = 8.4 Hz, 2H), 7.01 – 6.97 (m, 6H), 4.96 (s, 2H), 2.32 (s, 3H), 2.31 (s, 3H). **^{13}C NMR** (125 MHz, $CDCl_3$) δ 150.3, 146.0, 136.3, 135.6, 134.4, 133.3, 129.8, 129.1, 128.6, 127.0, 126.4, 125.4, 125.3, 120.7, 120.1 (q, *J* = 324 Hz), 114.9, 110.5, 30.8, 21.6, 21.0. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.4. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.40 (s). **IR**: $\bar{\upsilon}$ = 3031, 1360, 1211, 1170, 1089, 709 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{20}F_3NNaO_4S_2^+$ 530.0678; found: 530.0686.

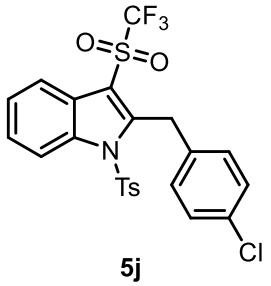
2-(4-methoxybenzyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5i)



5i

Compound **5i** (87% yield, white solid, Melting point: 178.2 – 179.8 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 8.8 Hz, 1H), 8.07 (d, *J* = 8.0 Hz, 1H), 7.47 – 7.39 (m, 2H), 7.22 (d, *J* = 8.0 Hz, 2H), 7.03 (t, *J* = 8.0 Hz, 4H), 6.74 (d, *J* = 8.4 Hz, 2H), 4.93 (s, 2H), 3.78 (s, 3H), 2.31 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 158.5, 150.4, 146.0, 135.6, 134.4, 134.2, 129.8, 128.3, 127.0, 126.4, 125.4, 125.3, 120.7, 120.1 (q, *J* = 324 Hz), 114.9, 113.9, 110.4, 55.3, 30.3, 21.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.40 (s). **IR**: $\bar{\upsilon}$ = 3039, 1360, 1212, 1173, 1086, 1029, 708 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{20}F_3NNaO_5S_2^+$ 546.0627; found: 546.0636.

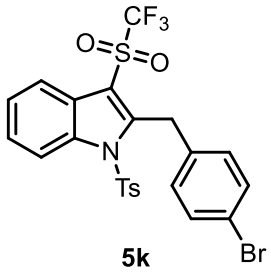
2-(4-chlorobenzyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5j)



5j

Compound **5j** (79% yield, yellow solid, Melting point: 182.4 – 184.1 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.26 (d, *J* = 8.4 Hz, 1H), 8.08 (d, *J* = 7.6 Hz, 1H), 7.50 – 7.42 (m, 2H), 7.24 (d, *J* = 8.0 Hz, 2H), 7.13 (d, *J* = 8.4 Hz, 2H), 7.05 (d, *J* = 8.0 Hz, 2H), 6.99 (d, *J* = 8.0 Hz, 2H), 4.96 (s, 2H), 2.34 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 149.0, 146.4, 135.8, 134.7, 134.5, 134.3, 132.7, 129.9, 128,5, 126.7, 126.6, 125.6, 125.1, 120.7. 120.0 (q, *J* = 323 Hz), 114.9, 110.9, 30.6, 21.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.36 (s). **IR**: ῡ = 3035, 1358, 1203, 1190, 1090, 753, 709 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{17}ClF_3NNaO_4S_2^+$ 550.0132 (100%), 552.0103 (32%); found: 550.0145, 552.0121.

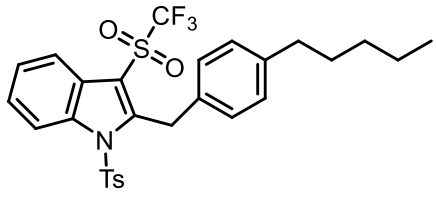
2-(4-bromobenzyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5k)



5k

Compound **5k** (71% yield, yellow solid, Melting point: 197.9 – 200.1 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.27 (d, *J* = 8.4 Hz, 1H), 8.08 (d, *J* = 8.4 Hz, 1H), 7.51 – 7.42 (m, 2H), 7.26 – 7.22 (m, 4H), 7.05 (d, *J* = 8.0 Hz, 2H), 6.92 (d, *J* = 8.0 Hz, 2H), 4.94 (s, 2H), 2.34 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 148.9, 146.4, 135.9, 135.2, 134.3, 131.5, 130.3, 129.9, 126.7, 126.6, 125.6, 125.1, 120.7, 120.6, 120.0 (q, *J* = 324 Hz), 114.9, 110.9, 30.6, 21.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.35 (s). **IR**: $\bar{\upsilon}$ = 3069, 1359, 1211, 1170, 1083, 708, 564 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{17}BrF_3NNaO_4S_2^+$ 593.9627 (100%), 595.9607 (97%); found: 593.9636, 595.9626.

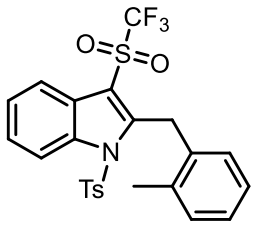
2-(4-pentylbenzyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5l)



5l

Compound **5l** (80% yield, white solid, Melting point: 178.4 – 179.9 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.17 (d, *J* = 7.6 Hz, 1H), 8.07 (d, *J* = 8.0 Hz, 1H), 7.46 – 7.39 (m, 2H), 7.19 (d, *J* = 8.4 Hz, 2H), 7.04 (s, 4H), 6.99 (d, *J* = 8.4 Hz, 2H), 4.96 (s, 2H), 2.57 (t, *J* = 7.6 Hz, 2H), 2.30 (s, 3H), 1.64 – 1,57 (m, 2H), 1.36 – 1.32 (m, 4H), 0.90 (t, *J* = 6.8 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 150.3, 146.0, 141.5, 135.5, 134.3, 133.4, 129.8, 128.7, 128.5, 127.1, 126.4, 125.4, 125.3, 120.7, 120.1 (q, *J* = 324 Hz), 114.8, 110.4, 35.5, 31.6, 31.4, 30.8, 22.6, 21.6, 14.0. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.40 (s). **IR**: $\bar{\upsilon}$ = 3035, 1358, 1215, 1192, 1082, 810 cm^{-1}; **HRMS** (ESI) m/z: $[M + H]^+$ calcd for $C_{28}H_{29}F_3NO_4S_2^+$ 564.1485; found: 564.1483.

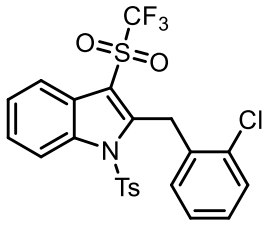
2-(2-methylbenzyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5m)



5m

Compound **5m** (80% yield, yellow solid, Melting point: 178.2 – 180.5 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29 (d, *J* = 8.4 Hz, 1H), 8.08 (d, *J* = 7.6 Hz, 1H), 7.51 – 7.42 (m, 2H), 7.25 (s, 2H), 7.21 (d, *J* = 7.6 Hz, 1H), 7.08 (t, *J* = 7.2 Hz, 1H), 7.01 (d, *J* = 8.0 Hz, 2H), 6.75 (t, *J* = 7.6 Hz, 1H), 6.30 (d, *J* = 7.6 Hz, 1H), 4.92 (s, 2H), 2.49 (s, 3H), 2.31 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 150.2, 146.2, 136.0, 135.7, 135.3, 134.1, 130.2, 129.9, 127.1, 127.0, 126.4, 126.0, 125.4, 120.6, 120.1(q, *J* = 323 Hz), 114.9, 111.0, 28.5, 21.6, 19.8. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.27 (s). **IR**: $\bar{\upsilon}$ = 3024, 1357, 1209, 1143, 1081, 746 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{20}F_3NNaO_4S_2^+$ 530.0678; found: 530.0688.

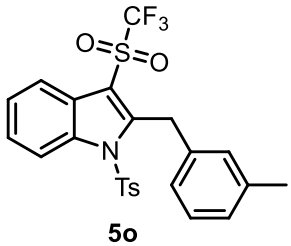
2-(2-chlorobenzyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5n)



5n

Compound **5n** (78% yield, yellow solid, Melting point: 180.2 – 184.0 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.36 (d, *J* = 8.4 Hz, 1H), 8.08 (d, *J* = 8.0 Hz, 1H), 7.53 – 7.47 (m, 3H), 7.45 (t, *J* = 7.6 Hz, 1H), 7.39 (d, *J* = 8.0 Hz, 1H), 7.13 – 7.08 (m, 3H), 6.87 (t, *J* = 7.6 Hz, 1H), 6.40 (d, *J* = 7.6 Hz, 1H), 5.03 (s, 2H), 2.31 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 148.2, 146.5, 135.9, 135.0, 134.2, 133.3, 130.1, 129.3, 128.3, 127.6, 126.9, 126.8, 126.7, 125.6, 125.1, 120.6, 120.0 (q, *J* = 323 Hz), 115.0, 111.4, 29.3, 21.6. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.22 (s). **IR**: $\bar{\upsilon}$ = 3020, 1358, 1203, 1188, 1082, 754, 709 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{23}H_{17}ClF_3NNaO_4S_2^+$ 550.0132 (100%), 552.0103 (32%); found: 550.0141, 552.0114.

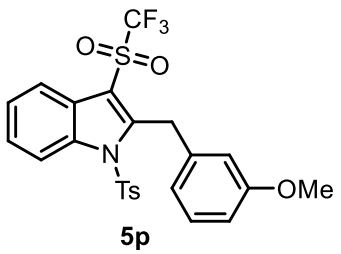
2-(3-methylbenzyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5o)



5o

Compound **5o** (85% yield, yellow solid, Melting point: 181.3 – 184.2 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 8.0 Hz, 1H), 8.08 (d, *J* = 8.0 Hz, 1H), 7.48 – 7.40 (m, 2H), 7.19 (d, *J* = 7.2 Hz, 2H), 7.11 (t, *J* = 7.6 Hz, 1H), 7.00 (t, *J* = 8.4 Hz, 3H), 6.93 (d, *J* = 7.6 Hz, 1H), 6.80 (s, 1H), 4.98 (s, 2H), 2.29 (s, 3H), 2.18 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 150.0, 146.0, 138.1, 136.1, 135.6, 134.2, 129.8, 129.2, 128.4, 127.3, 127.0, 126.4, 125.9, 125.4, 125.3, 120.6, 120.1 (q, *J* = 324 Hz), 114.9, 110.5, 31.1, 21.5, 21.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.36 (s). **IR**: $\bar{\upsilon}$ = 3024, 1360, 1192, 1173, 1086, 708 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{20}F_3NNaO_4S_2^+$ 530.0678; found: 530.0688.

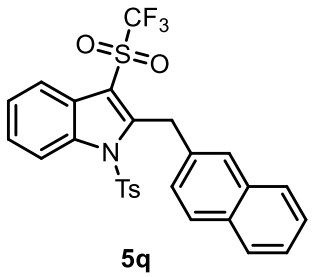
2-(3-methoxybenzyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5p)



5p

Compound **5p** (83% yield, white solid, Melting point: 195.0 – 196.8 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.23 (d, *J* = 8.8 Hz, 1H), 8.07 (d, *J* = 8.0 Hz, 1H), 7.48 – 7.40 (m, 2H), 7.25 (d, *J* = 6.8 Hz, 2H), 7.13 (td, *J* = 8.0, 2.0 Hz, 1H), 7.02 (d, *J* = 7.6 Hz, 2H), 6.75 (d, *J* = 8.4 Hz, 1H), 6.71 (d, *J* = 7.6 Hz, 1H), 6.58 (s, 1H), 4.99 (s, 2H), 3.69 (s, 3H), 2.30 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 159.7, 149.6, 146.1, 137.8, 135.6, 134.3, 129.8, 129.4, 127.0, 126.5, 125.4, 125.3, 121.1, 120.7, 120.1 (q, *J* = 323 Hz), 114.9, 114.5, 112.1, 110.7, 55.1, 31.1, 21.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.33 (s). **IR**: $\bar{\upsilon}$ = 3016, 1354, 1209, 1188, 1083, 705 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{24}H_{20}F_3NNaO_5S_2^+$ 546.0627; found: 546.0637.

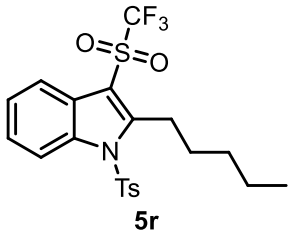
2-(naphthalen-2-ylmethyl)-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5q)



5q

Compound **5q** (70% yield, yellow solid, Melting point: 170.9 – 173.5 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.33 (d, *J* = 8.0 Hz, 1H), 8.14 (d, *J* = 7.6 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.70 (d, *J* = 8.8 Hz, 1H), 7.49 (d, *J* = 8.0 Hz, 1H), 7.46 – 7.34 (m, 4H), 7.31 (d, *J* = 8.0 Hz, 1H), 7.13 (s, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 6.55 (d, *J* = 8.0 Hz, 2H), 5.16 (s, 2H), 1.97 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 149.6, 145.9, 136.0, 134.1, 133.6, 133.2, 132.2, 129.4, 128.1, 127.6, 127.4, 127.0, 126.9, 126.6, 126.4, 126.0, 125.7, 125.5, 125.2, 120.7, 120.1 (q, *J* = 323 Hz), 115.0, 110.8, 31.3, 21.2. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.28 (s). **IR**: $\bar{\upsilon}$ = 3054, 1362 1211, 1185, 1079, 814 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{27}H_{20}F_3NNaO_4S_2^+$ 566.0678; found: 566.0688.

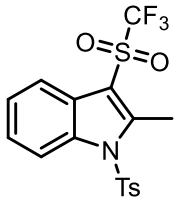
2-pentyl-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5r)



5r

Compound **5r** (80% yield, yellow solid, Melting point: 98.1 – 102.5 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.23 (d, *J* = 8.4 Hz, 1H), 7.96 (d, *J* = 7.6 Hz, 1H), 7.71 (d, *J* = 8.4 Hz, 2H), 7.44 – 7.36 (m, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 3.44 – 3.40 (m, 2H), 2.40 (s, 3H), 1.82 – 1.74 (m, 2H), 1.52 – 1.45 (m, 2H), 1.42 – 1.35 (m, 2H), 0.93 (t, *J* = 7.2 Hz, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 154.4, 146.5, 135.6, 135.1, 130.7, 130.4, 126.6, 126.1, 125.5, 120.4, 120.1 (q, *J* = 323 Hz), 114.9, 108.6, 32.1, 31.8, 26.7, 22.1, 21.7, 13.9. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.56. **IR**: $\bar{\upsilon}$ = 2963, 1363, 1215, 1181, 1086, 754, 682 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{22}F_3NNaO_4S_2^+$ 496.0835; found: 496.0840.

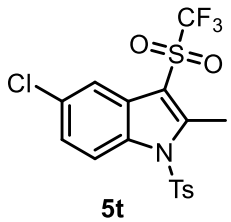
2-methyl-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5s)



5s

Compound **5s** (86% yield, white solid, Melting point: 135.0 – 137.7 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.30 (d, *J* = 8.4 Hz, 1H), 8.00 (d, *J* = 7.2 Hz, 1H), 7.77 (d, *J* = 8.4 Hz, 2H), 7.46 – 7.37 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 2.99 (s, 3H), 2.41 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 148.9, 146.7, 135.5, 134.9, 130.5, 126.8, 126.2, 125.4, 125.3, 120.3, 120.1 (q, *J* = 322 Hz), 114.5, 109.0, 21.7, 13.2. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.95 (s). **IR**: $\bar{\upsilon}$ = 2926, 1359, 1203, 1177, 1083, 710 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{14}F_3NNaO_4S_2^+$ 440.0209; found: 440.0214.

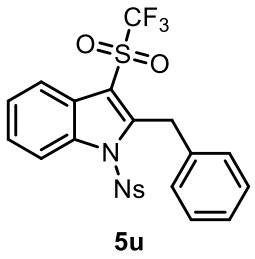
5-chloro-2-methyl-1-tosyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5t)



5t

Compound **5t** (84% yield, white solid, Melting point: 188.5 – 189.9 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 9.2 Hz, 1H), 7.97 (d, *J* = 2.0 Hz, 1H), 7.76 (d, *J* = 8.4 Hz, 2H), 7.40 (dd, *J* = 9.2, 2.4 Hz, 1H), 7.35 (d, *J* = 8.0 Hz, 2H), 2.97 (s, 3H), 2.43 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 150.0, 147.1, 134.5, 133.9, 131.6, 130.6, 126.9, 126.7, 126.6, 120.0 (q, *J* = 323 Hz), 119.9, 115.7, 108.4, 21.7, 13.3. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.87 (s). **IR**: $\bar{\upsilon}$ = 3100, 1359, 1203, 1181, 1087, 772, 671cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{17}H_{13}ClF_3NNaO_4S_2^+$ 473.9819; found: 473.9817.

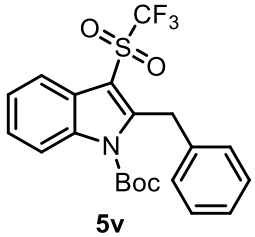
2-benzyl-1-((4-nitrophenyl)sulfonyl)-3-((trifluoromethyl)sulfonyl)-1*H*-indole (5u)



5u

Compound **5u** (83% yield, white solid, Melting point: 193.3 – 195.7 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.22 (d, *J* = 7.6 Hz, 1H), 8.13 (d, *J* = 7.6 Hz, 1H), 7.95 (d, *J* = 8.8 Hz, 2H), 7.54 – 7.46 (m, 2H), 7.37 (d, *J* = 8.8 Hz, 2H), 7.21 (d, *J* = 7.6 Hz, 1H), 7.18 – 7.14 (m, 2H), 7.05 (d, *J* = 7.2 Hz, 2H), 5.00 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 150.6, 149.5, 142.4, 135.6, 128.9, 128.7, 128.4, 128.1, 127.2, 127.1, 126.1, 125.2, 124.2, 121.2, 120.0 (q, *J* = 323 Hz), 114.5, 112.3, 31.1. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.22 (s). **IR**: $\bar{\upsilon}$ = 3114, 1532, 1363, 1211, 1188, 1092, 738, 720 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{15}F_3N_2NaO_6S_2^+$ 547.0216; found: 547.0215.

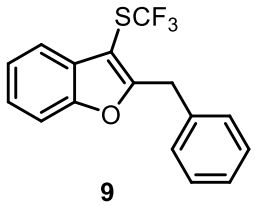
***tert*-butyl 2-benzyl-3-((trifluoromethyl)sulfonyl)-1*H*-indole-1-carboxylate (5v)**



5v

Compound **5v** (73% yield, white solid, Melting point: 138.6 – 140.2 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.10 – 8.08 (m, 1H), 8.00 – 7.98 (m, 1H), 7.45 – 7.38 (m, 2H), 7.24 (d, *J* = 7.2 Hz, 2H), 7.19 (d, *J* = 7.2 Hz, 1H), 7.03 (d, *J* = 7.6 Hz, 2H), 4.94 (s, 2H), 1.42 (s, 9H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 149.9, 148.2, 137.2, 135.5, 128.5, 127.9, 126.6, 126.0, 125.2, 124.9, 120.4, 120.0 (q, *J* = 323 Hz), 114.9, 108.9, 87.2, 31.6, 27.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -79.71 (s). **IR**: $\bar{\upsilon}$ = 3208, 2058, 1359, 1157, 1035, 709 cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{21}H_{20}F_3NNaO_4S^+$ 462.0957; found: 462.0948.

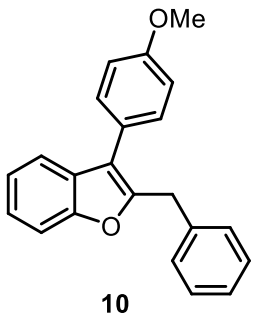
2-benzyl-3-((trifluoromethyl)thio)benzofuran (9)



9

Compound **9** (62% yield, white solid, Melting point: 83.4 – 84.3 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.72 – 7.70 (m, 1H), 7.49 – 7.47 (m, 1H), 7.36 – 7.35 (m, 6H), 7.31 – 7.28 (m, 1H), 4.37 (s, 2H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 164.3, 154.3, 136.1, 129.2, 129.1 (q, *J* = 308 Hz), 128.8, 128.7, 127.0, 125.0, 123.9, 119.8, 111.5, 99.0, 32.7. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -42.68 (s). **IR**: $\bar{\upsilon}$ = 3049, 1483, 1438, 915, 752, 680, 523cm^{-1}; **HRMS** (ESI) m/z: $[M + H]^+$ calcd for $C_{16}H_{12}F_3OS^+$ 309.0555; found: 309.0556.

2-benzyl-3-(4-methoxyphenyl)benzofuran (10)



10

Compound **10** (82% yield, white solid, Melting point: 135.5 – 136.8 °C): **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.56 (d, *J* = 7.2 Hz, 1H), 7.44 – 7.42 (m, 3H), 7.31 – 7.20 (m, 7H), 7.01 (d, *J* = 8.0 Hz, 2H), 4.19 (s, 2H), 3.85 (s, 3H). **^{13}C NMR** (100 MHz, $CDCl_3$) δ 158.9, 154.3, 152.2, 138.0, 130.2, 128.9, 128.6, 128.5, 126.5, 124.7, 123.8, 122.6, 119.7, 117.8, 114.3, 111.1, 55.3, 32.8. **IR**: $\bar{\upsilon}$ = 3034, 1549, 1367, 1159, 1024, 712, 543cm^{-1}; **HRMS** (ESI) m/z: $[M + Na]^+$ calcd for $C_{22}H_{18}NaO_2^+$ 337.1199; found: 337.1196.

Crystallography of 2-alkyl-3-fluoroalkanesulfonyl benzofuran 3a

The single crystal of **3a** that was used for the structure determination via X-ray crystallography (see below), was recrystallized from dichloromethane and petroleum ether. The supplementary

crystallographic data for the structure of **3a** has been deposited at the Cambridge Crystallographic Data Centre as CCDC 2405045.

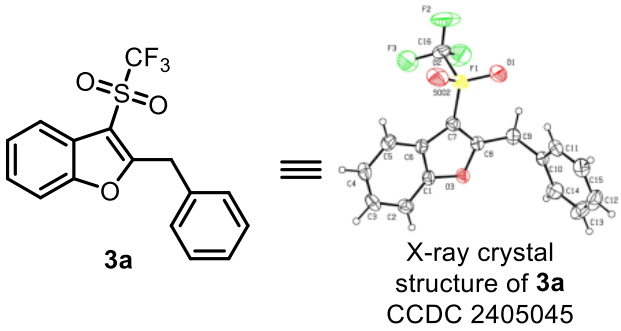


Figure S1. ORTEP drawings of **3a** (Ellipsoid contour probability level = 50%).

Table S2. Crystal data and structure refinement for **3a**

CCDC	2405045
Empirical formula	$C_{16}H_{11}F_3O_3S$
Formula weight	340.31
Temperature/K	277
Crystal system	triclinic
Space group	P 1
a/Å	9.4196(10)
b/Å	9.5749(10)
c/Å	9.8697(10)
α/°	108.212(10)
β/°	111.479(10)
γ/°	94.182(10)
Volume/Å^3	768.970(15)
Z	1
ρcalcg/cm^3	1.470
μ/mm^{-1}	2.294
F(000)	348.0
Crystal size/mm^3	0.18 × 0.15 × 0.08
Radiation	Cu Kα (λ = 1.54184)
2Θ range for data collection/°	9.952 to 169.334
Index ranges	-11 ≤ h ≤ 12, -11 ≤ k ≤ 12, -12 ≤ l ≤ 12
Reflections collected	19527
Independent reflections	5549 [R_{int} = 0.0397, R_{sigma} = 0.0218]
Data/restraints/parameters	5549/16/415
Goodness-of-fit on F^2	1.068
Final R indexes [I>=2σ (I)]	R_1 = 0.0411, wR_2 = 0.1164
Final R indexes [all data]	R_1 = 0.0436, wR_2 = 0.1200
Largest diff. peak/hole / e Å^{-3}	0.21/-0.34

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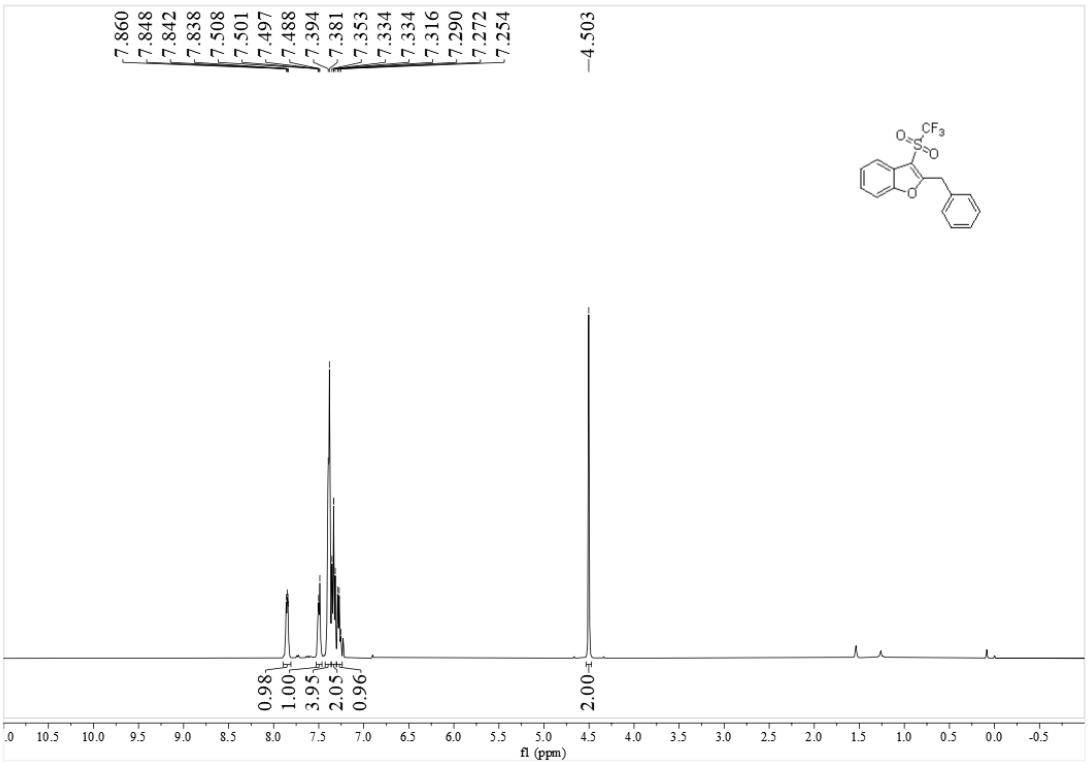
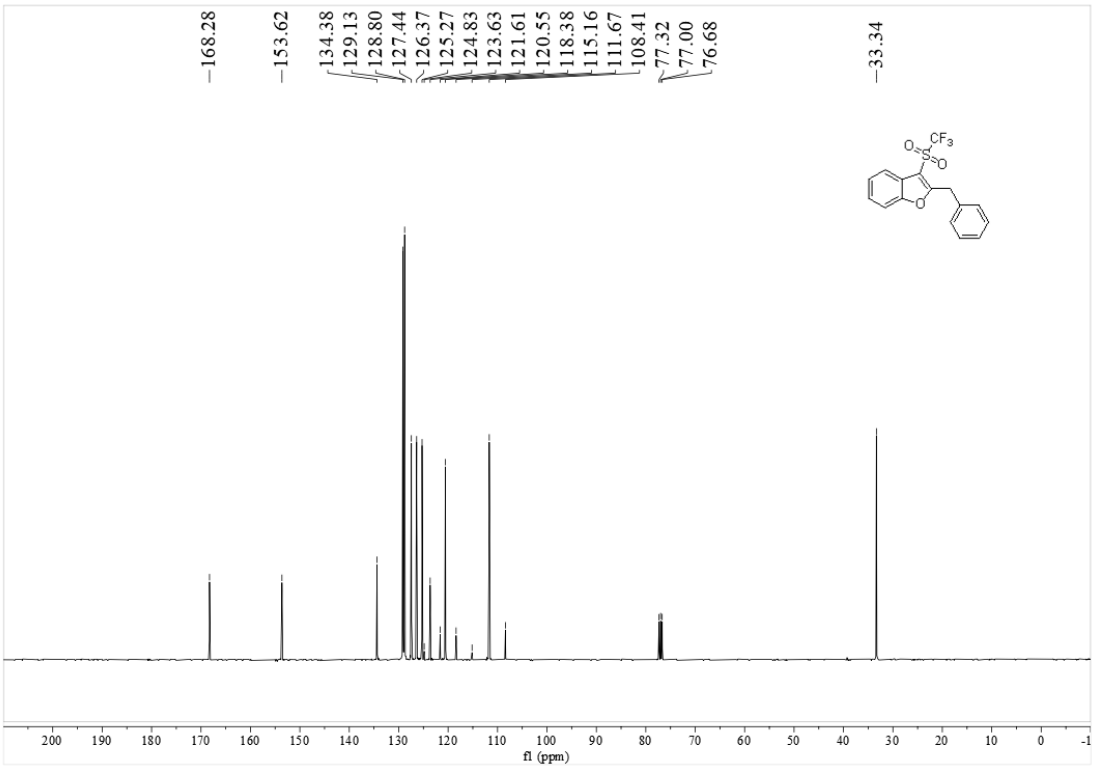
Copies of NMR spectra

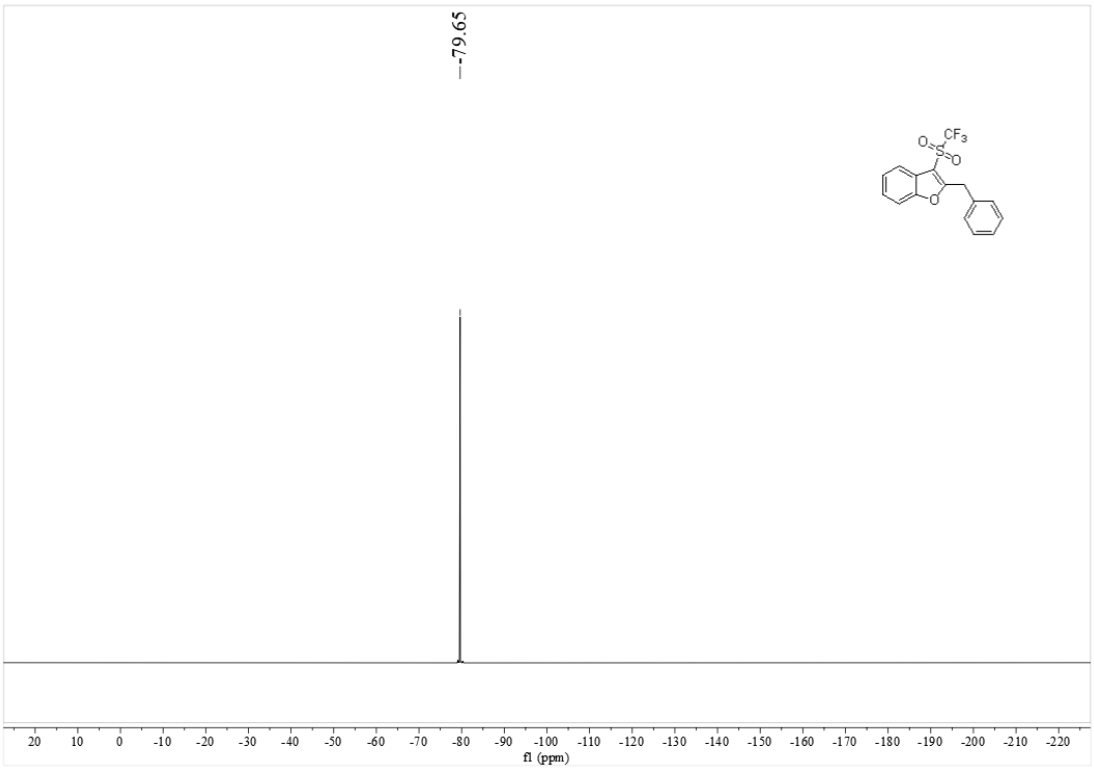
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3a**



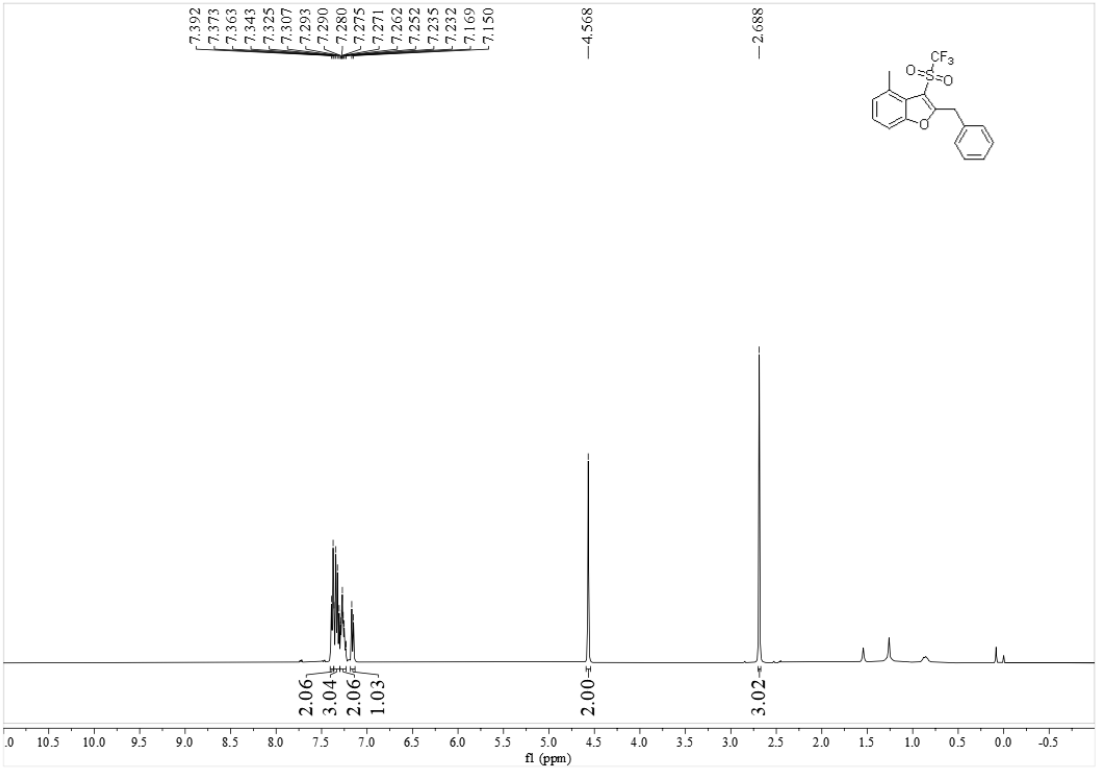
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3a**



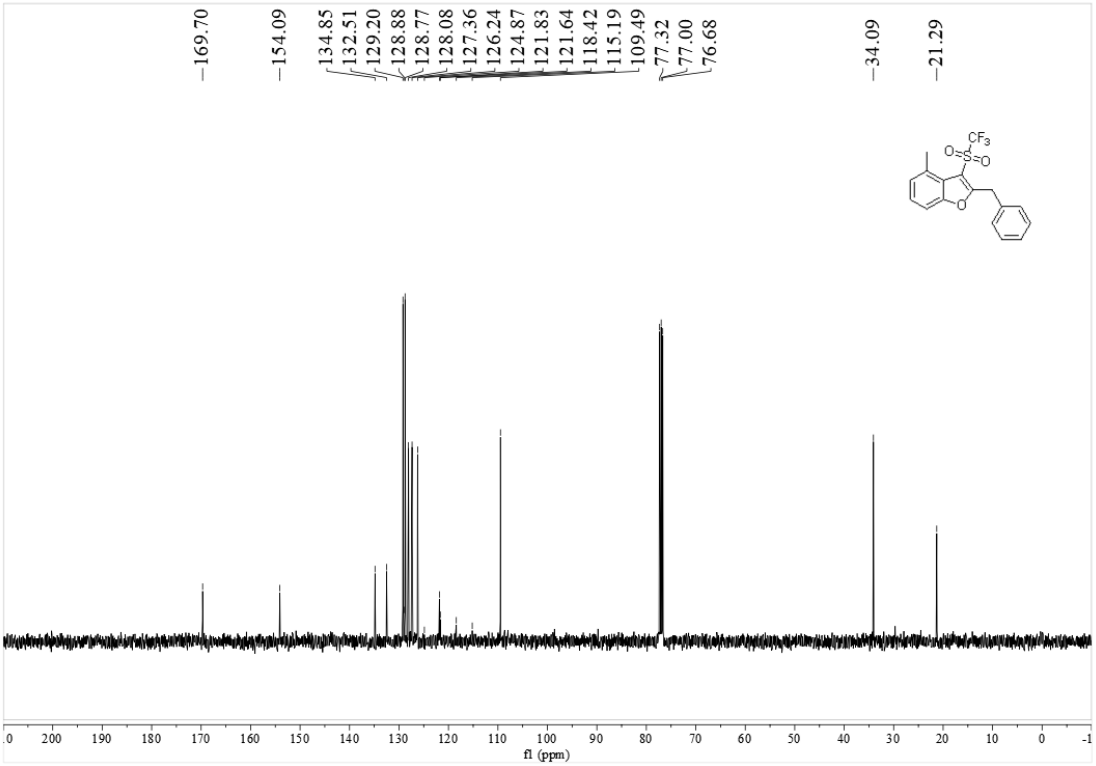
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3a**



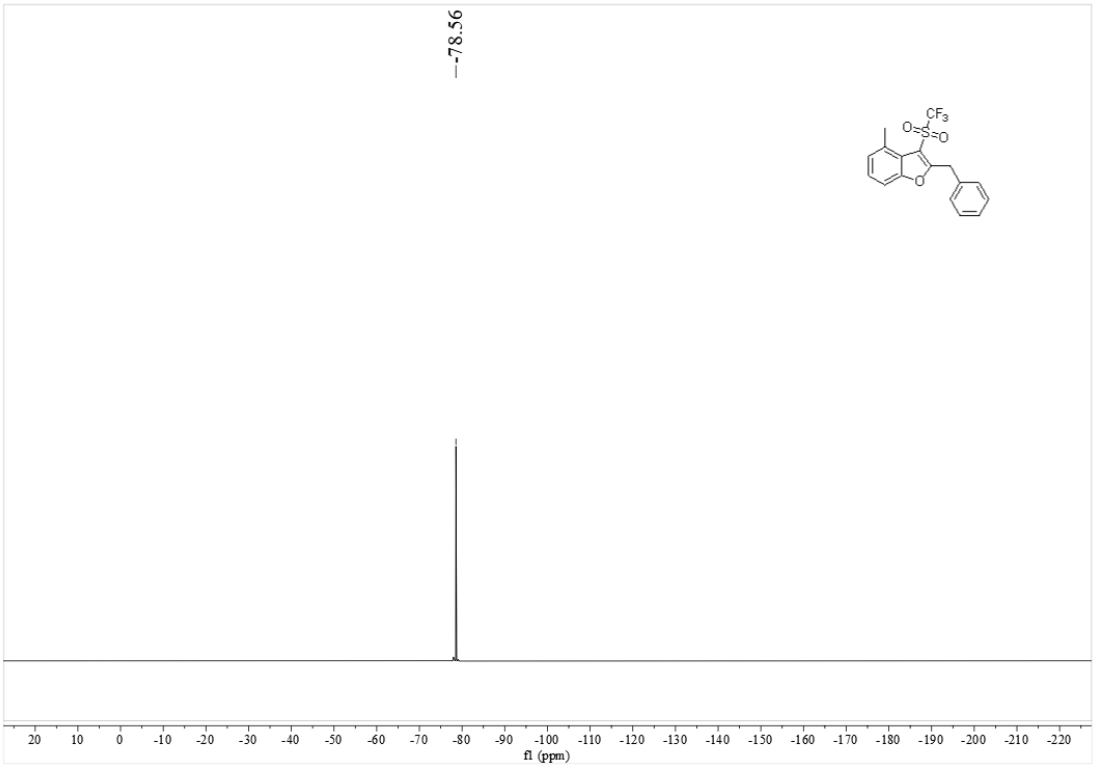
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3b**



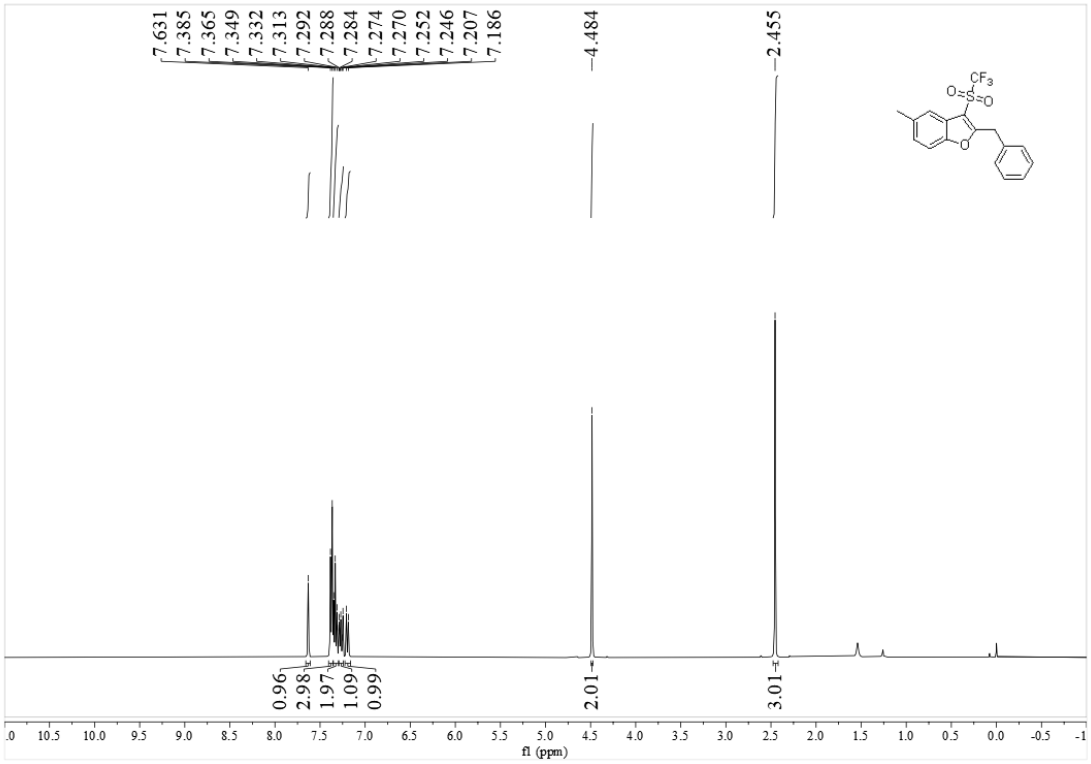
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3b**



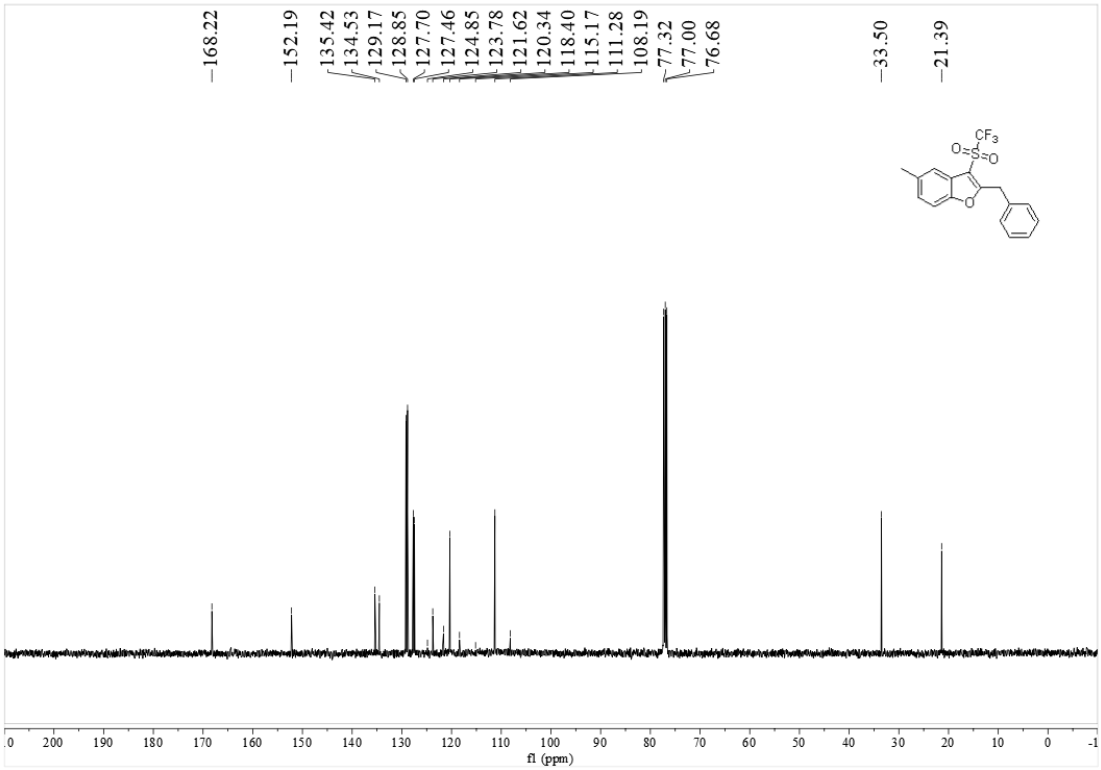
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3b**



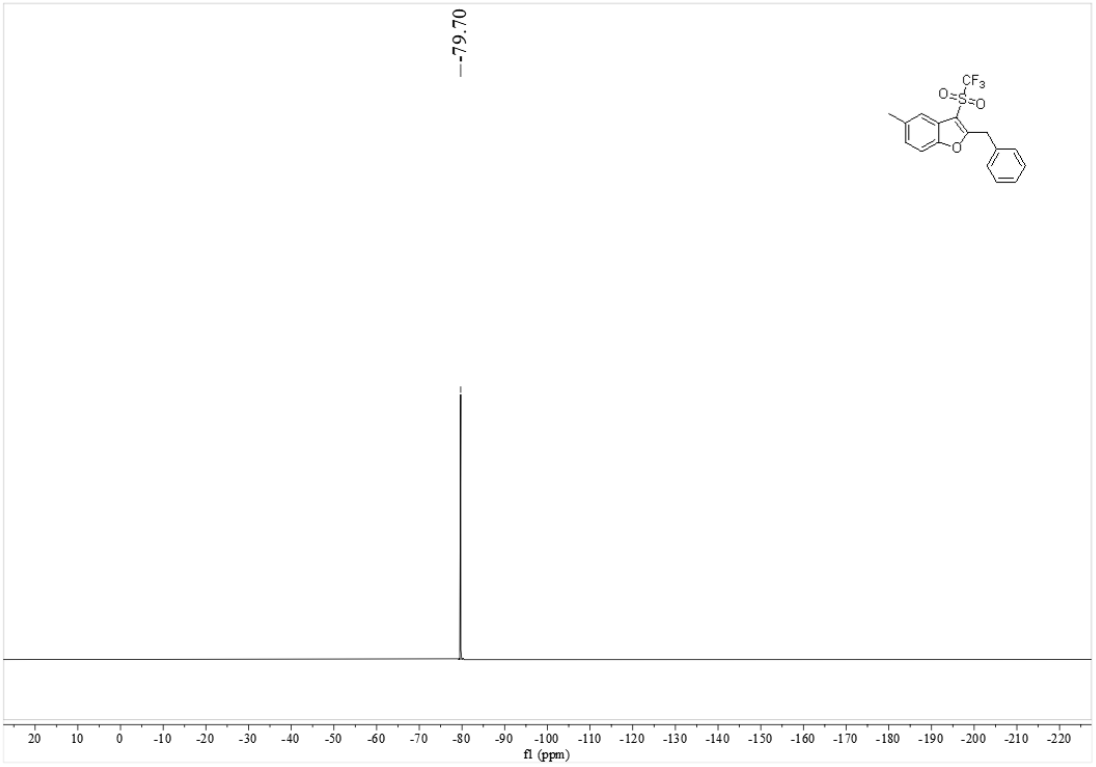
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3c**



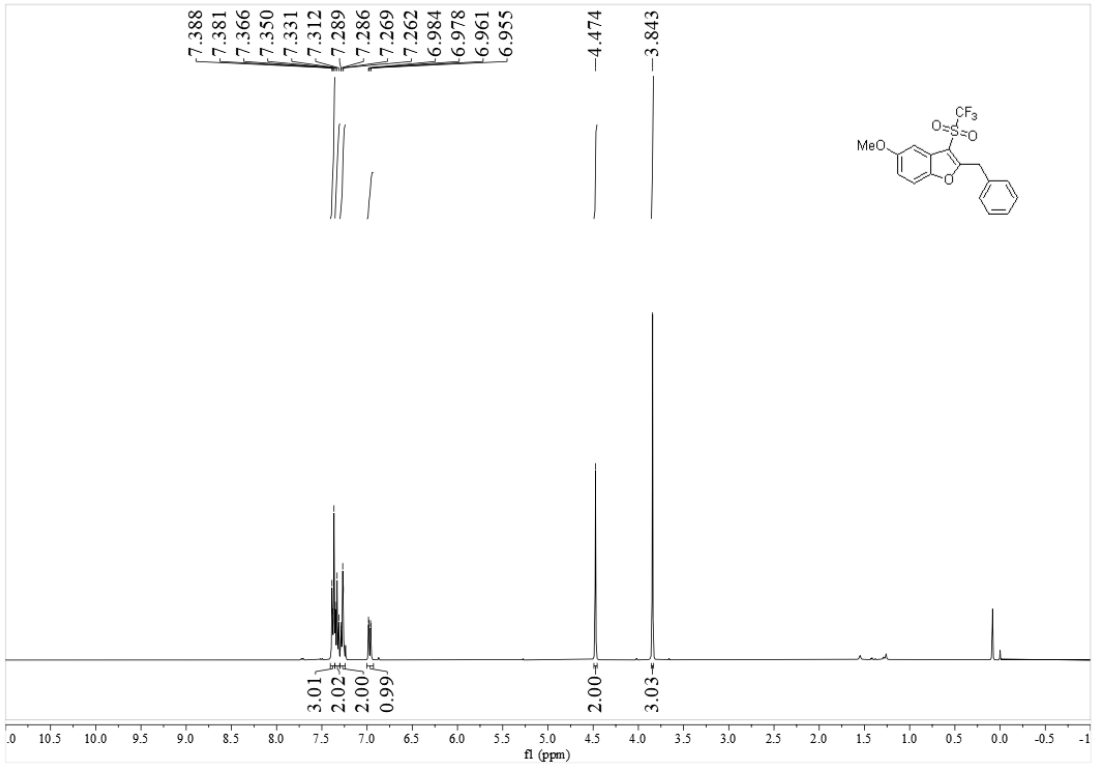
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3c**



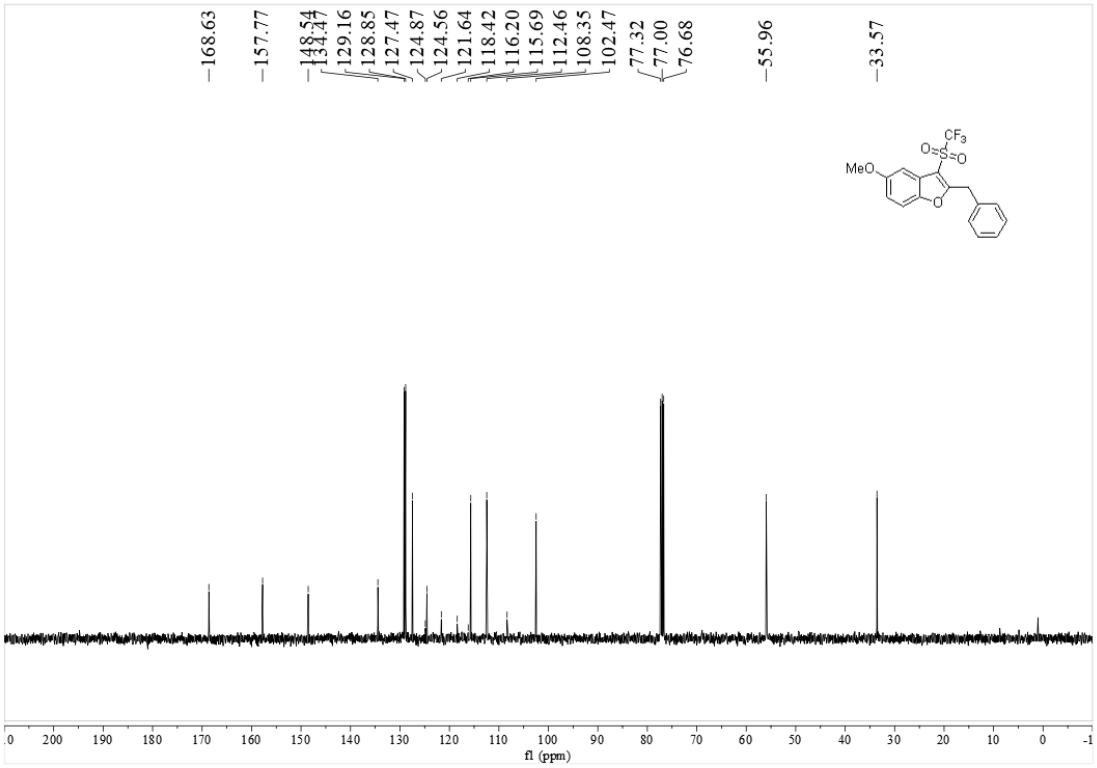
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3c**



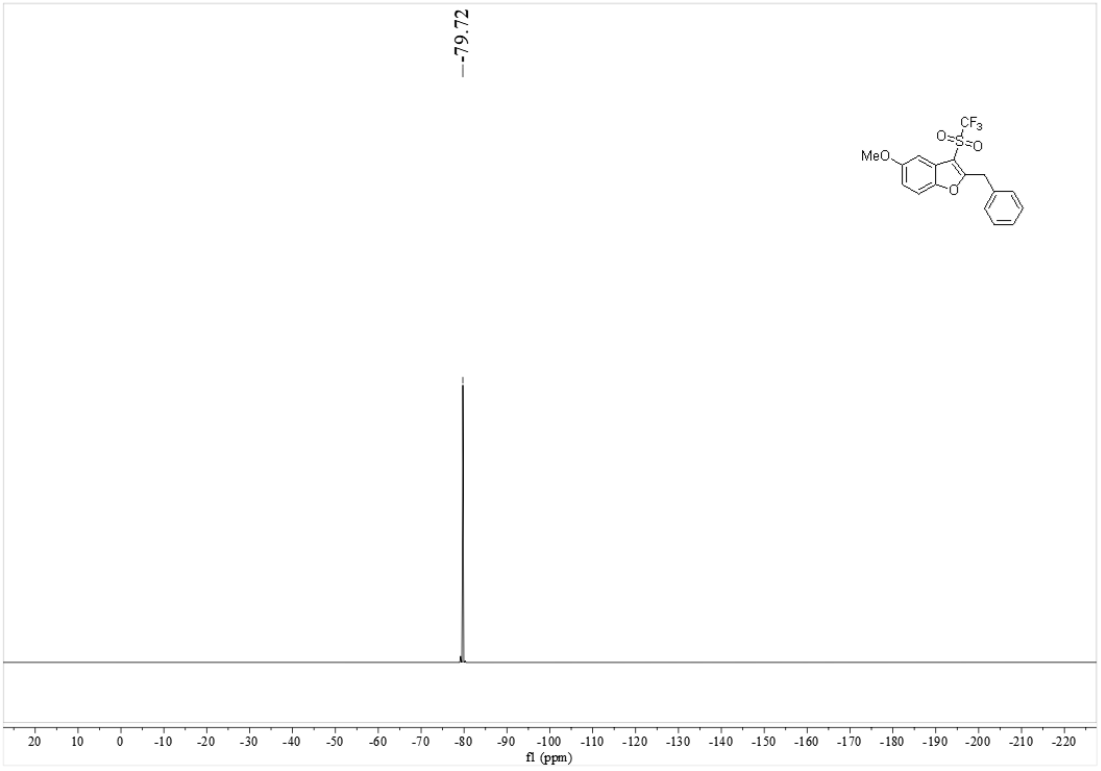
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3d**



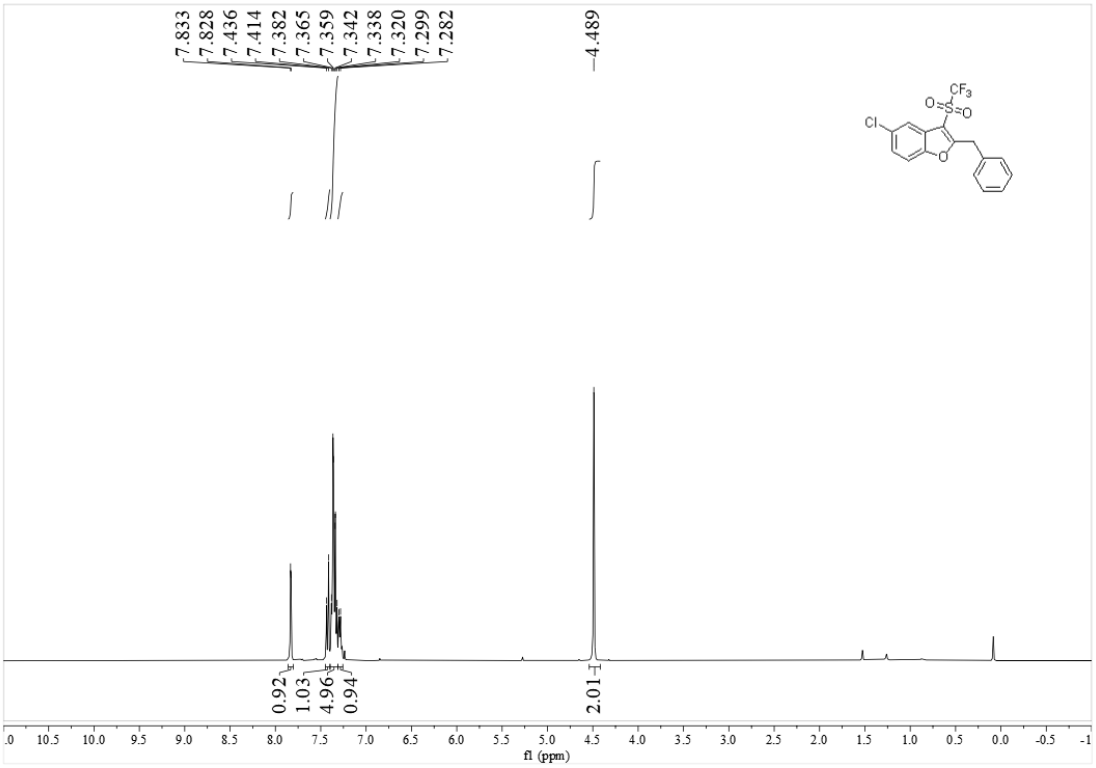
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3d**



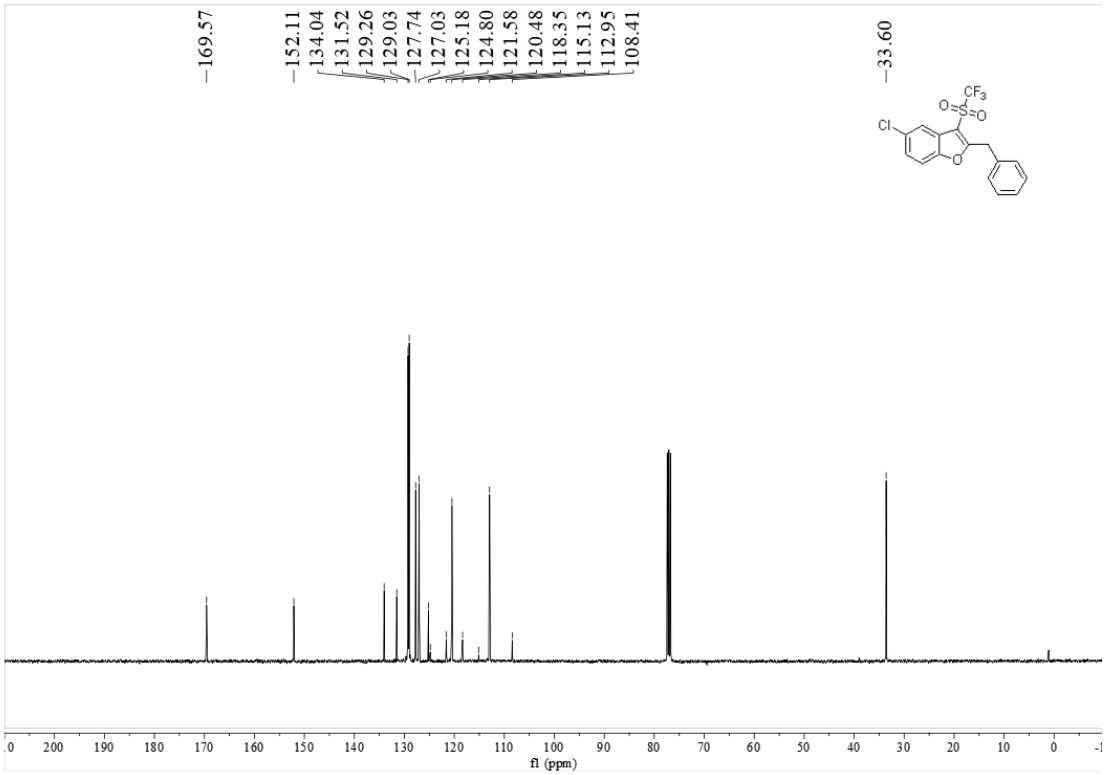
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3d**



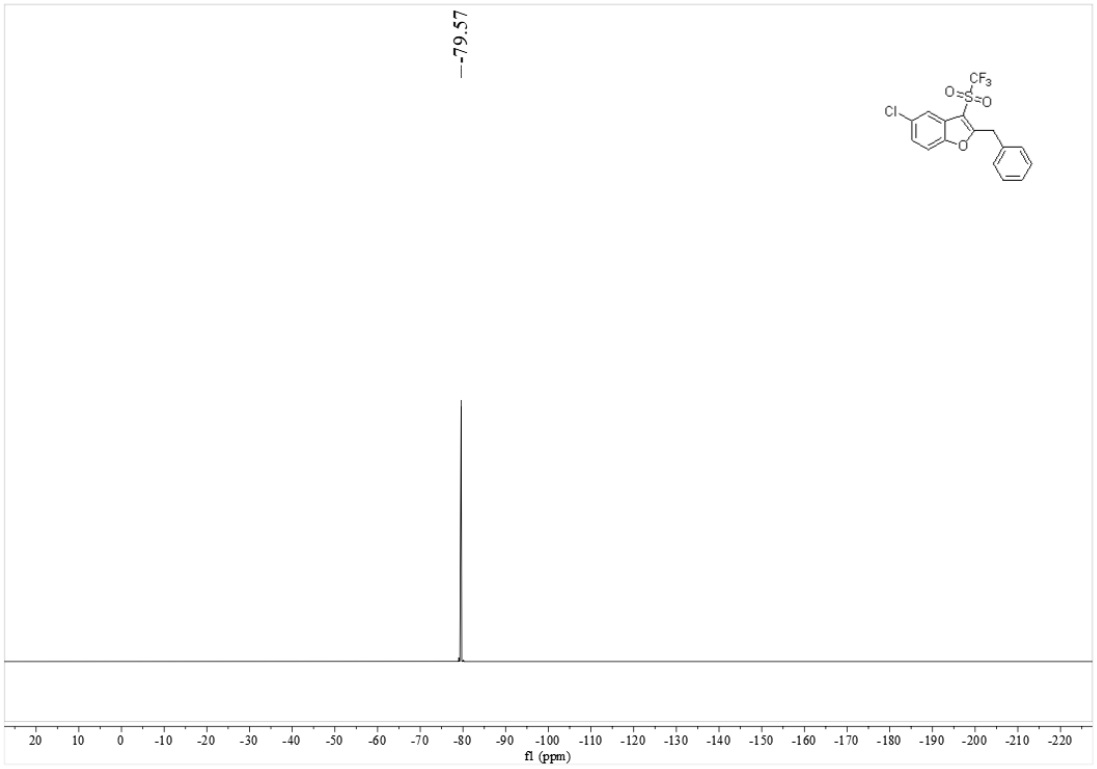
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3e**



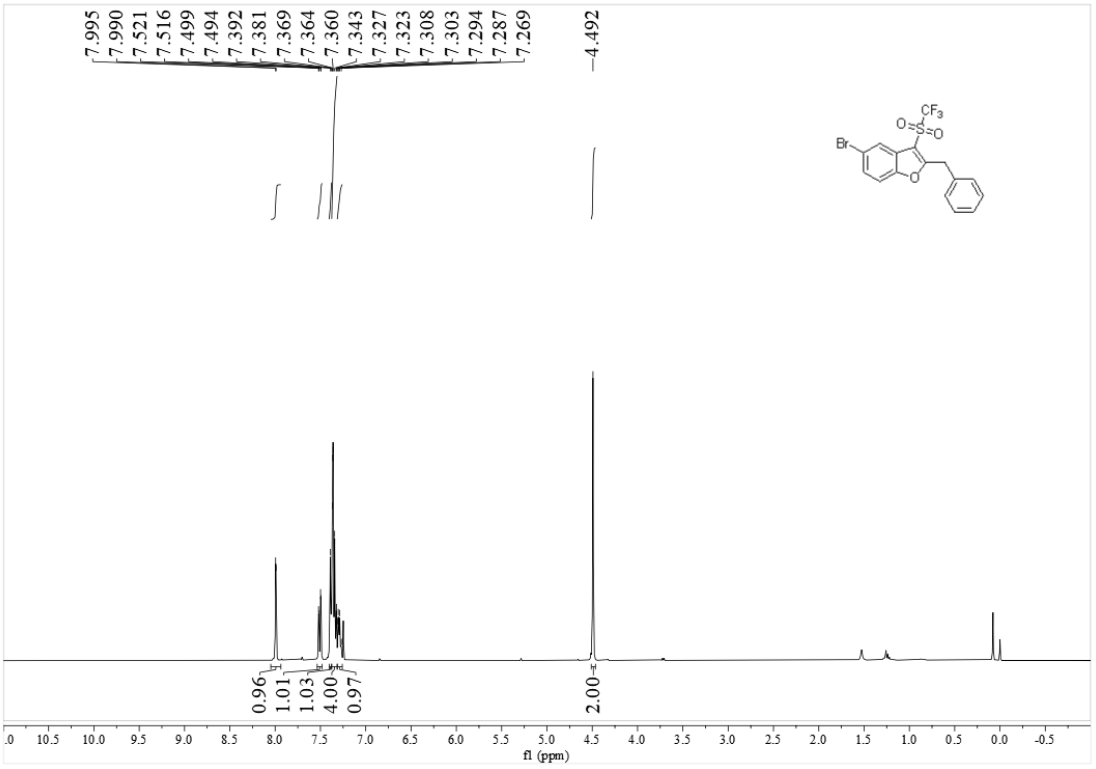
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3e**



^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3e**



^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3f**



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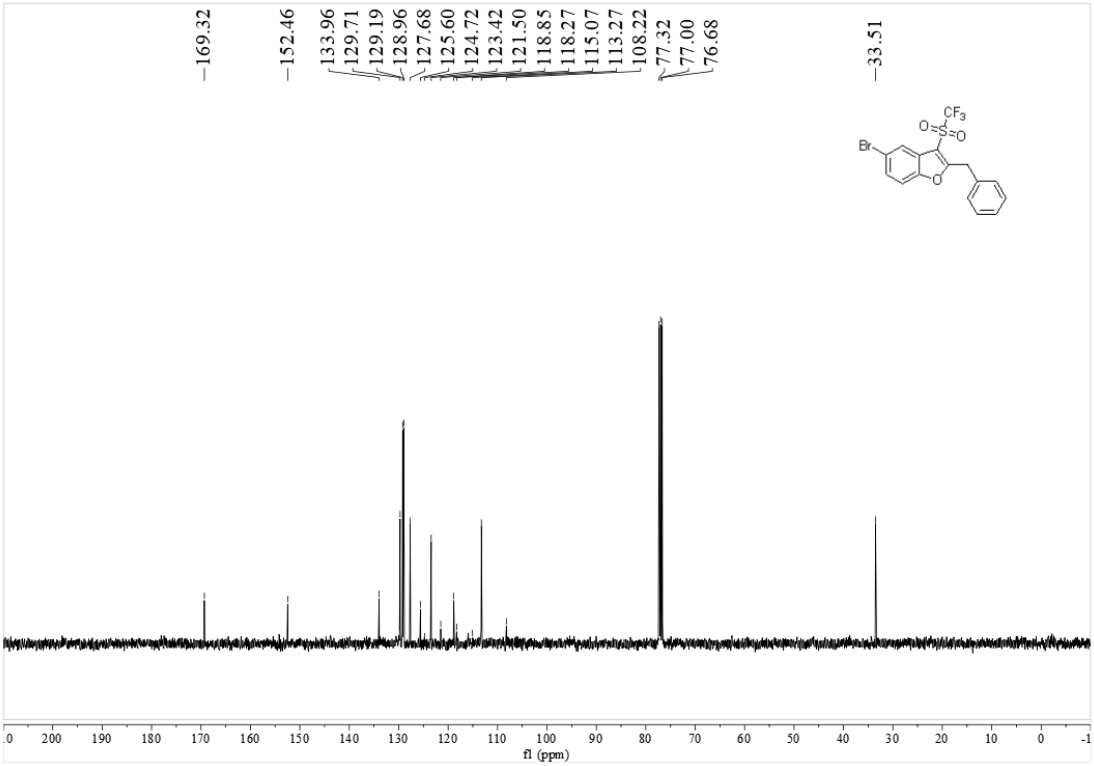
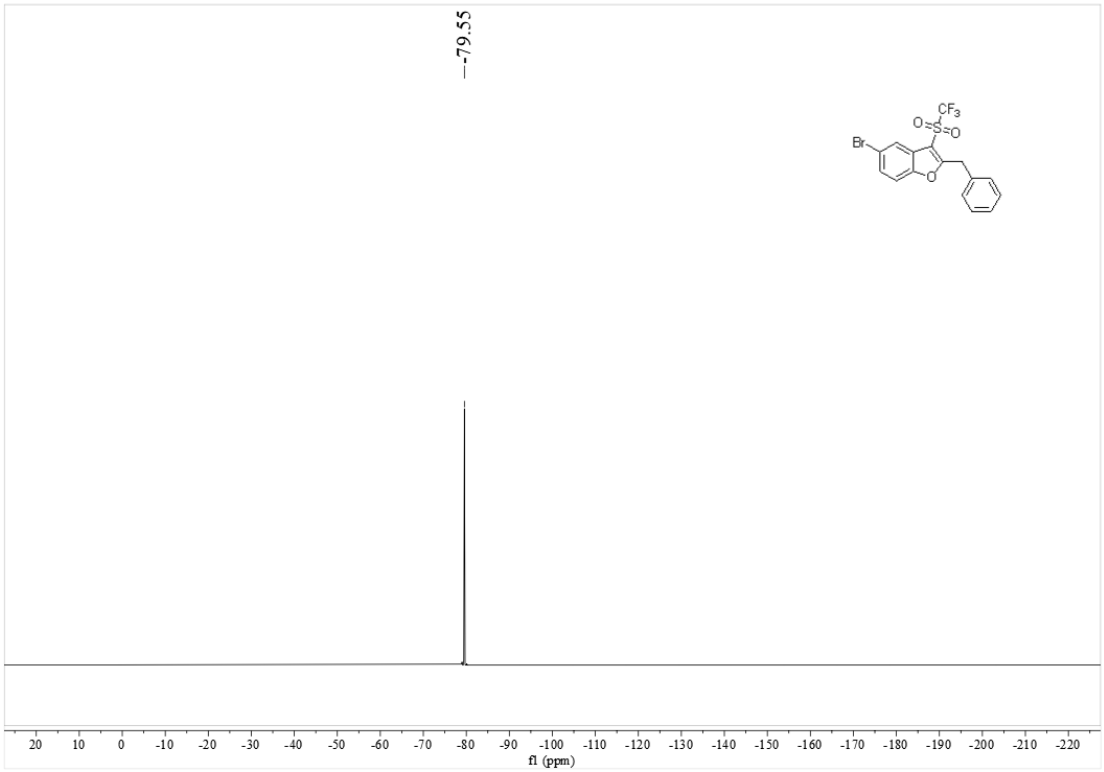
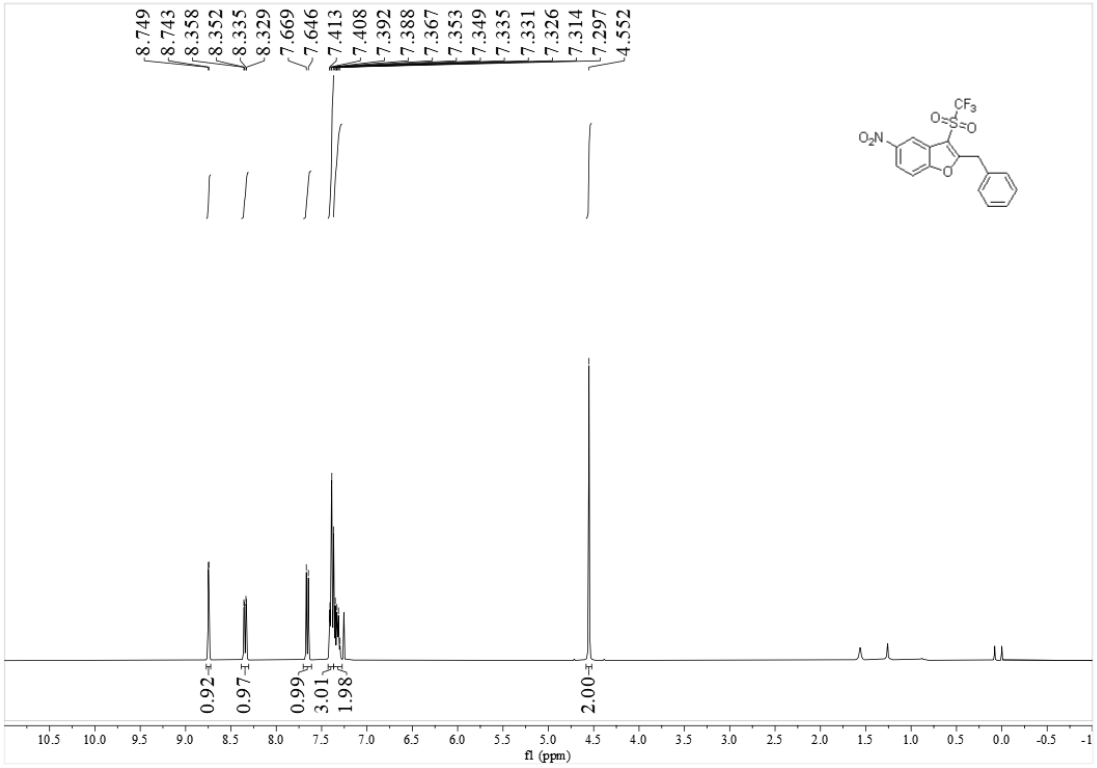


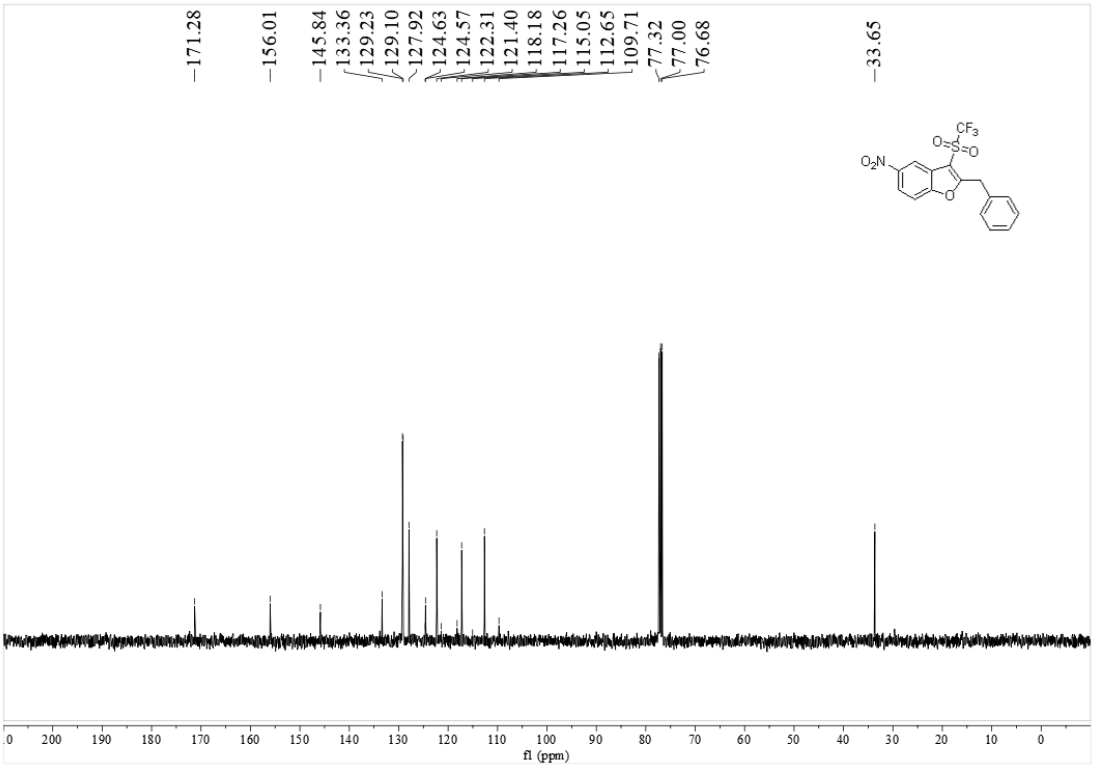
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3f**



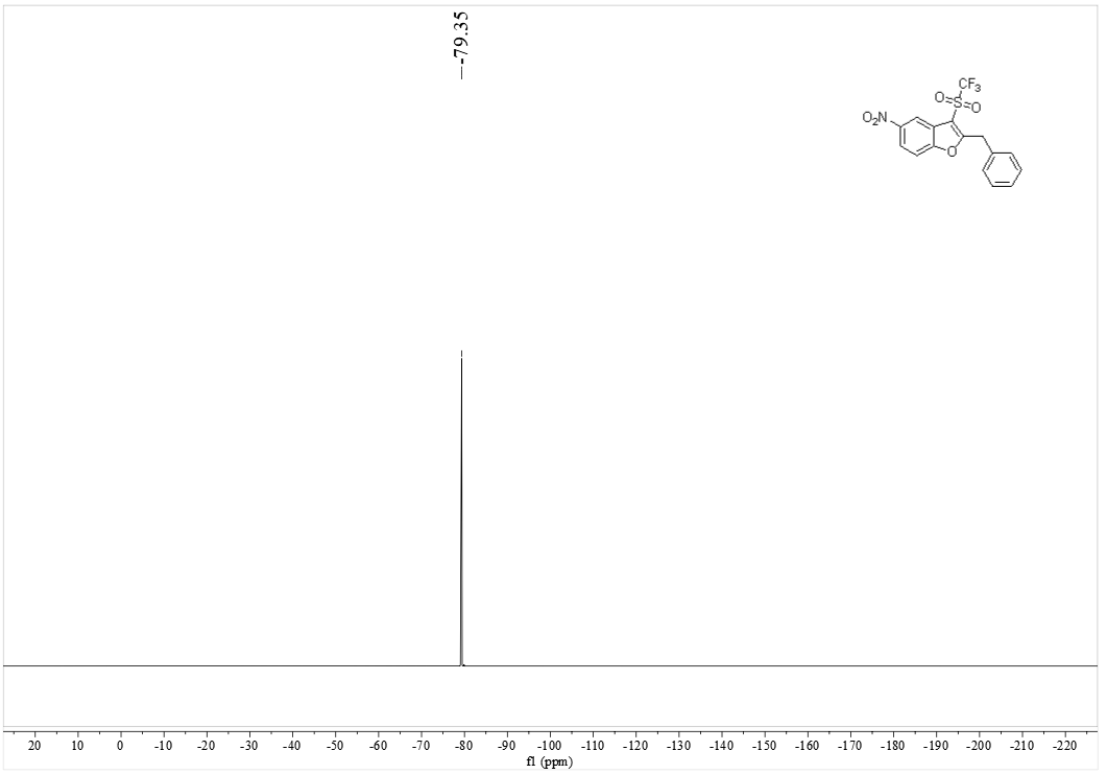
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3g**



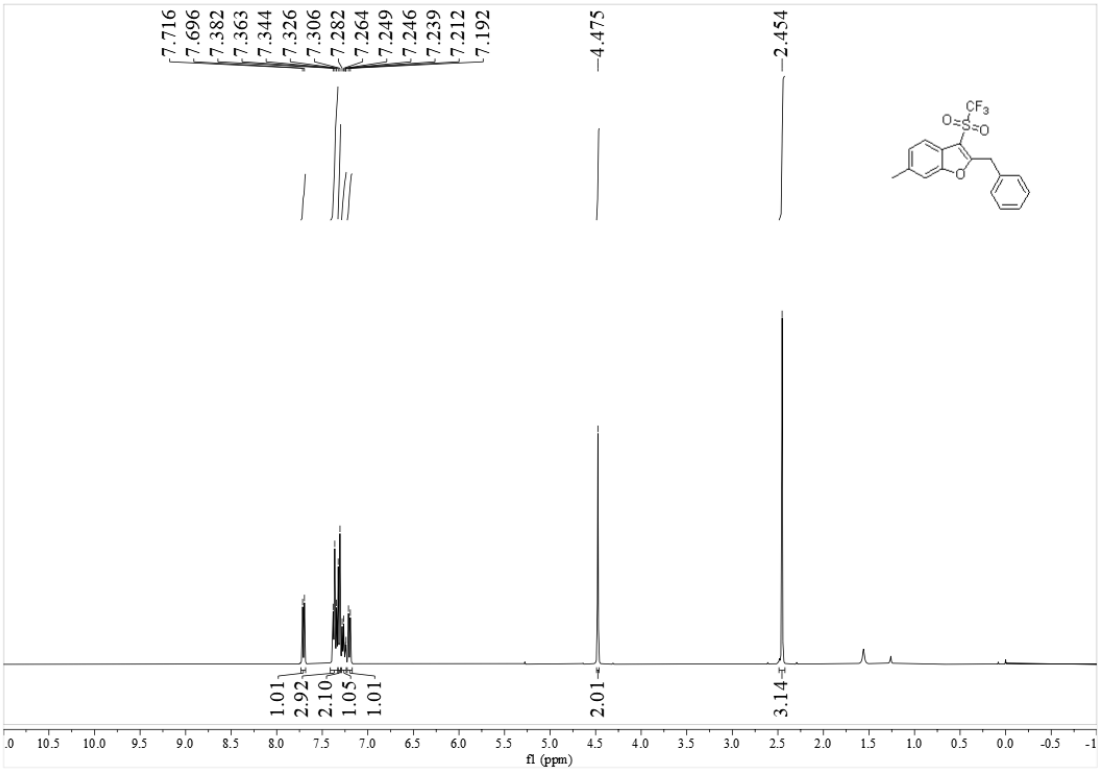
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3g**



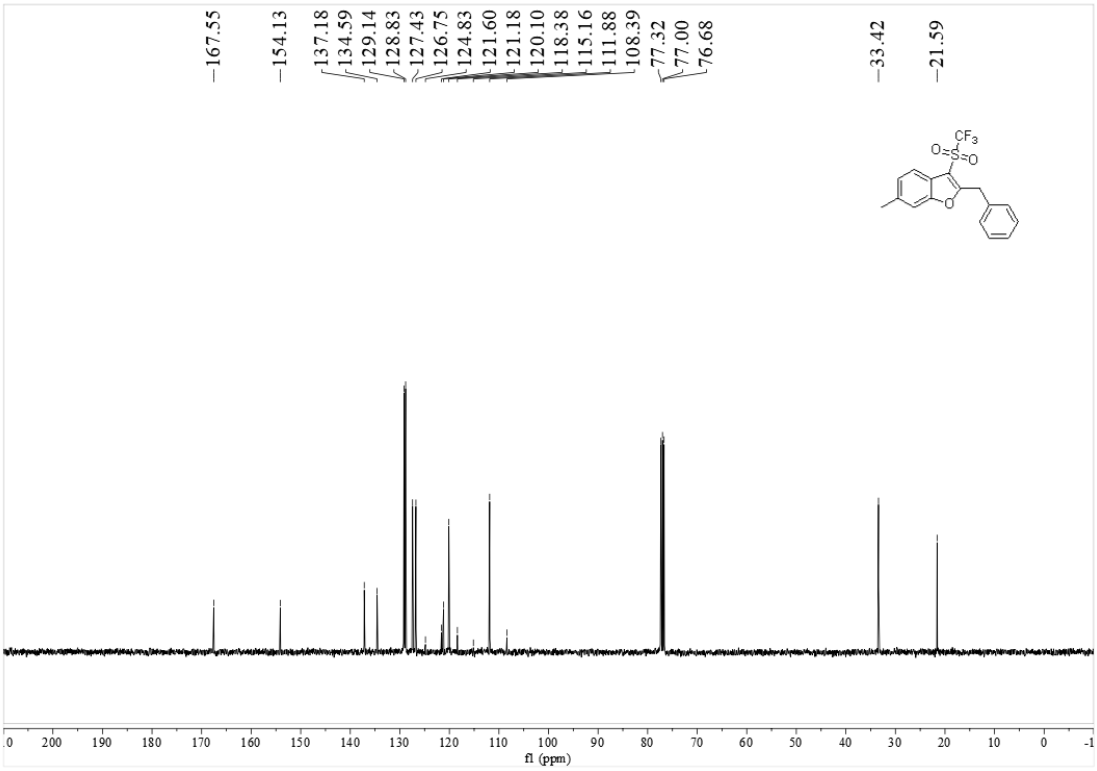
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3g**



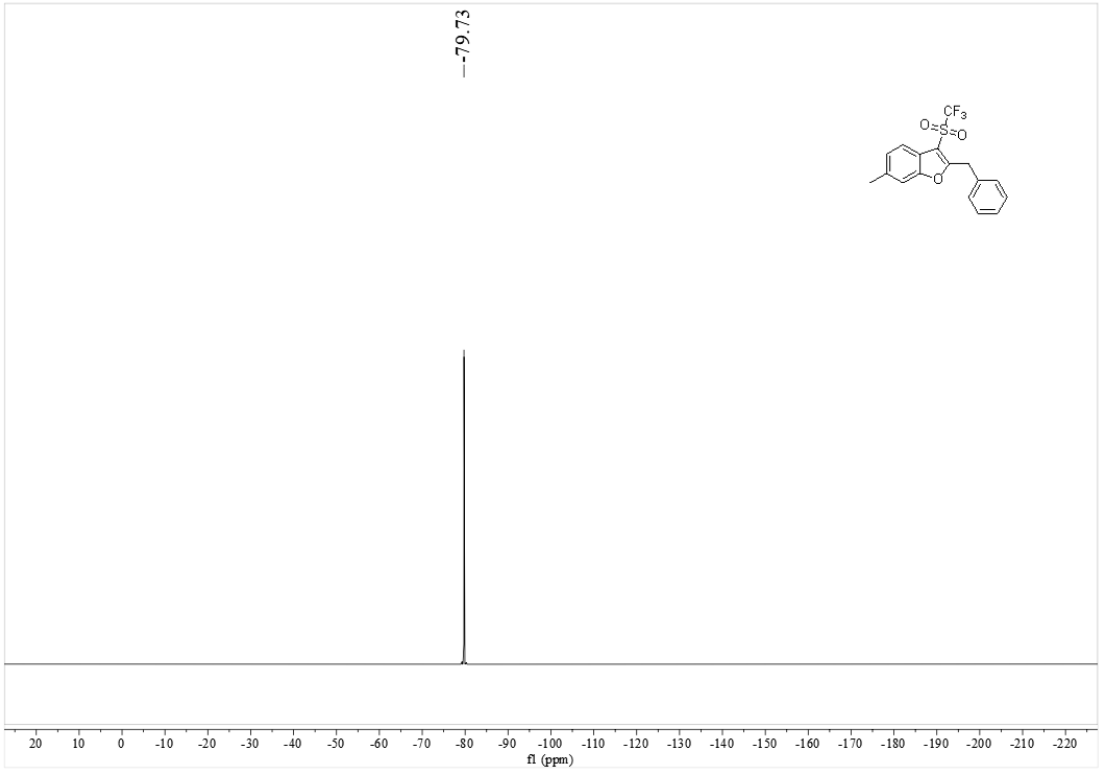
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3h**



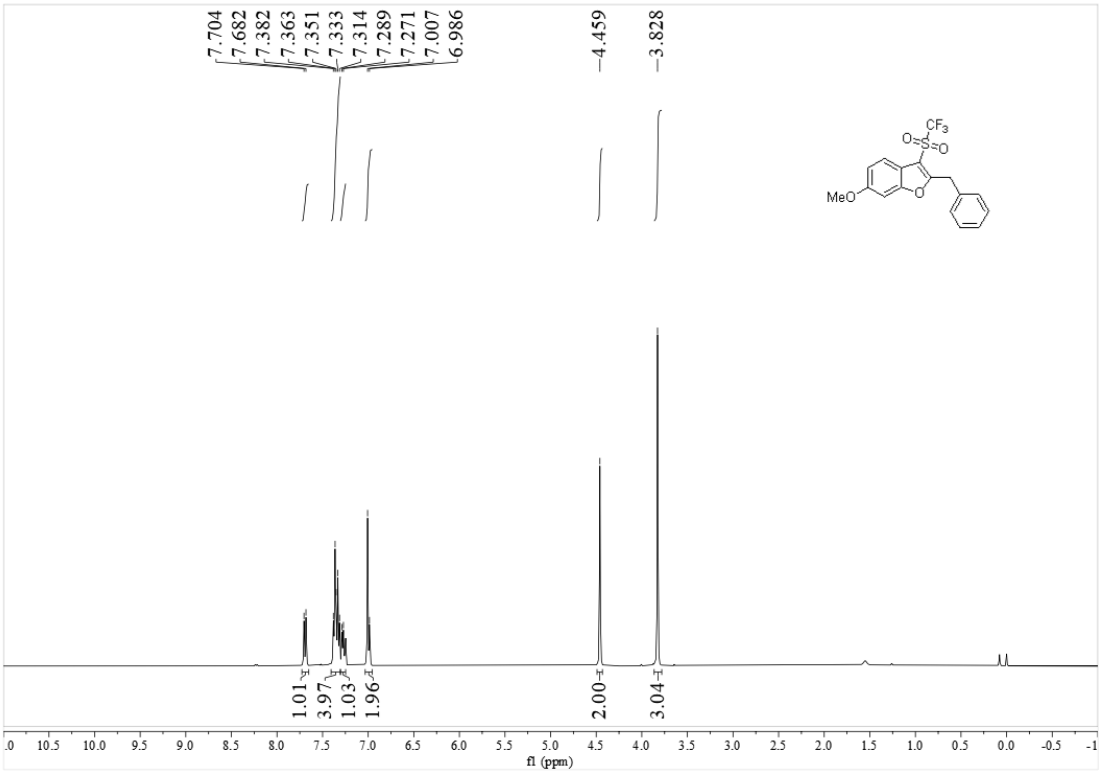
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3h**



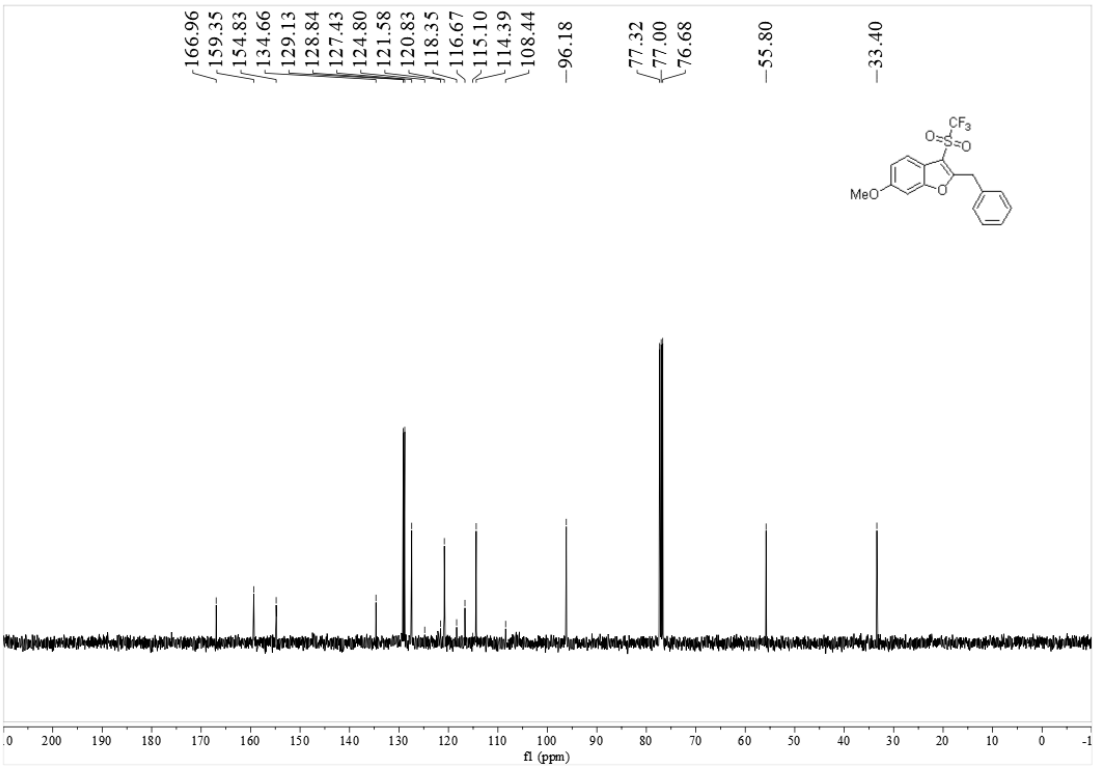
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3h**



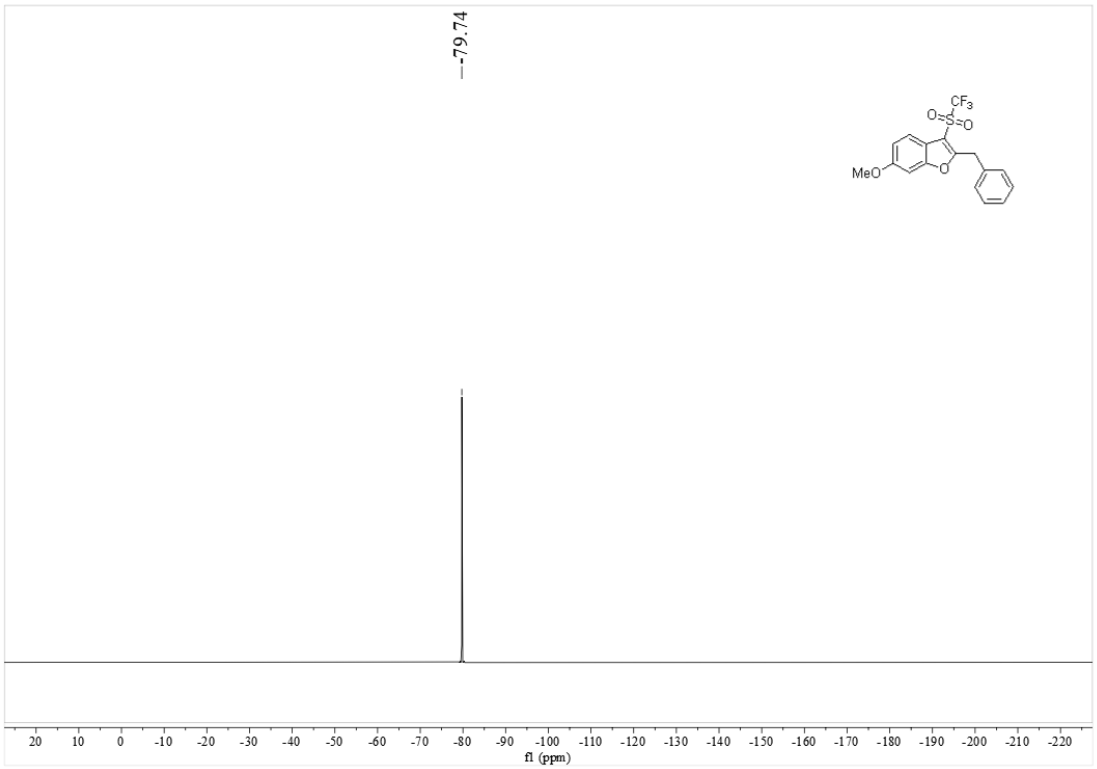
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3i**



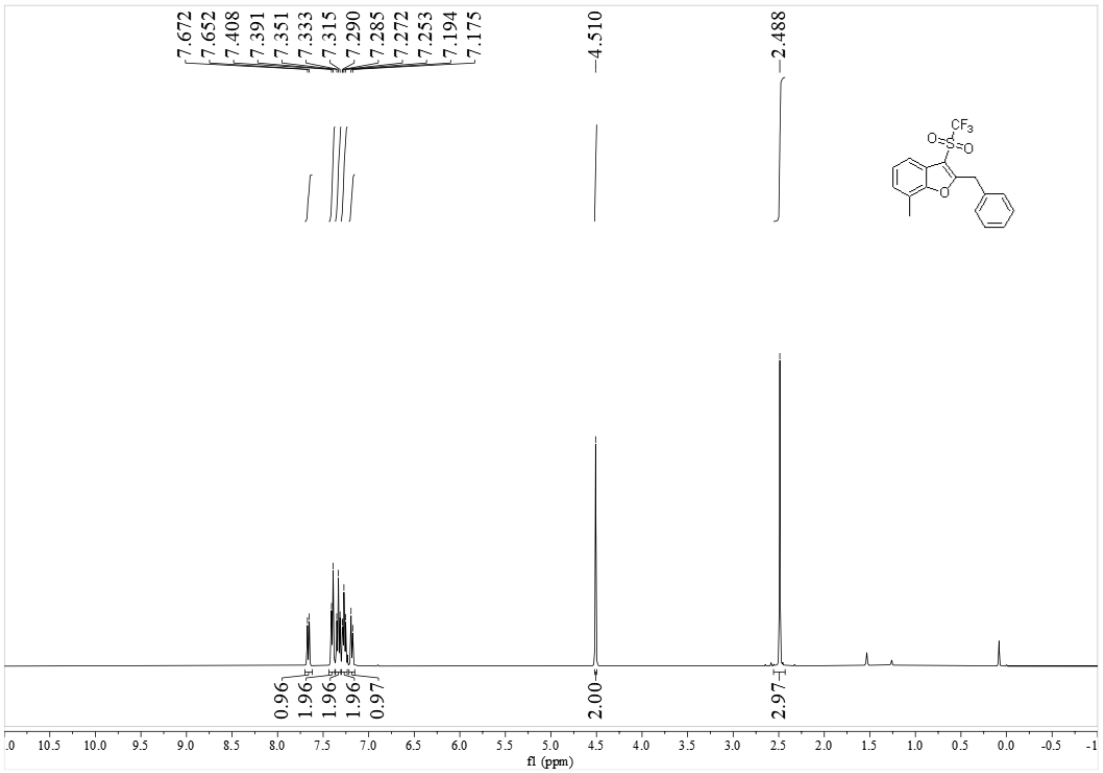
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3i**



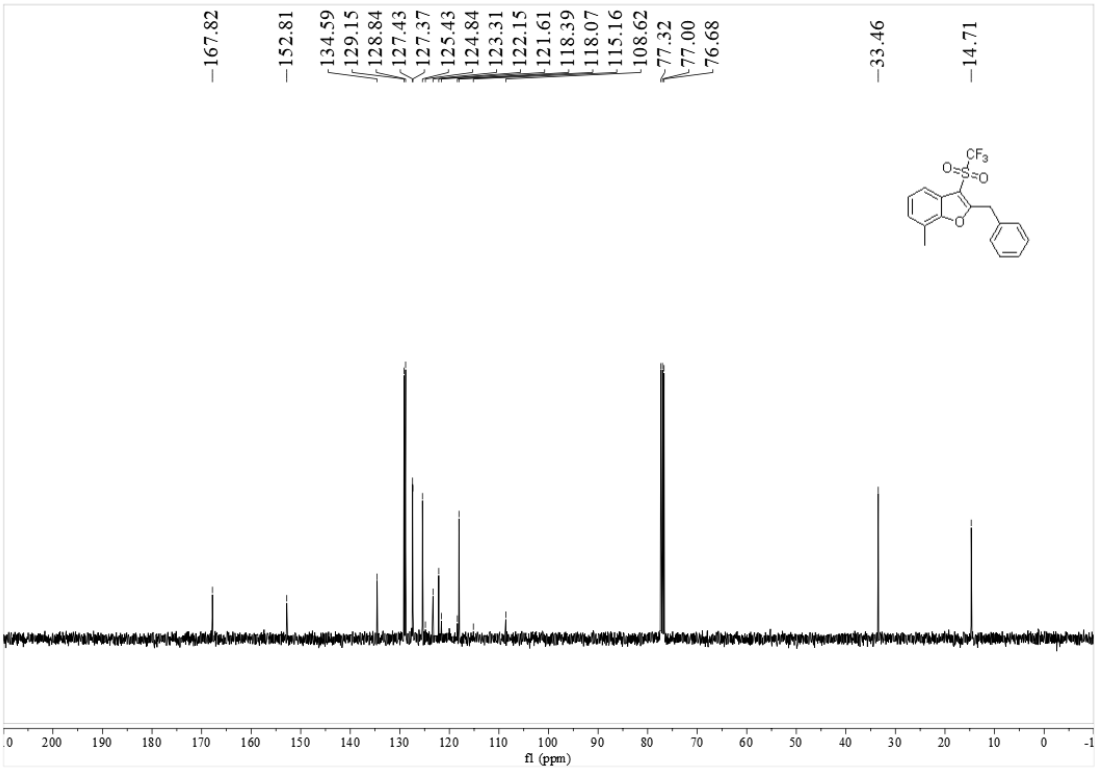
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3i**



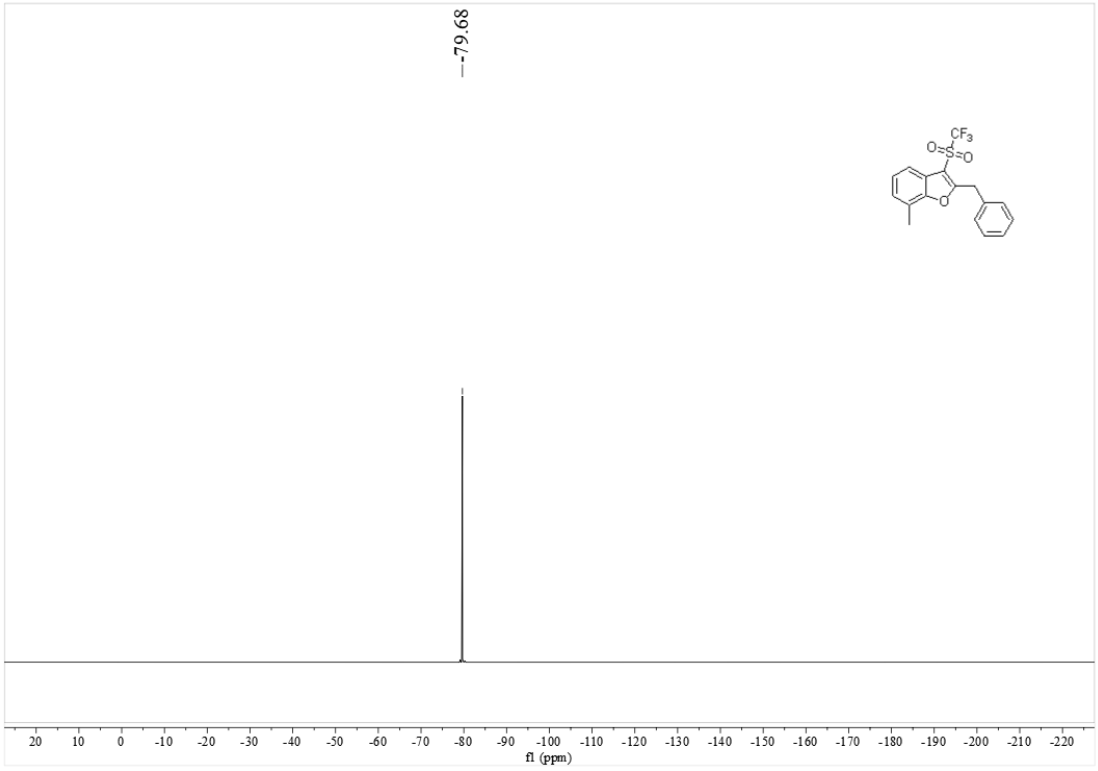
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3j**



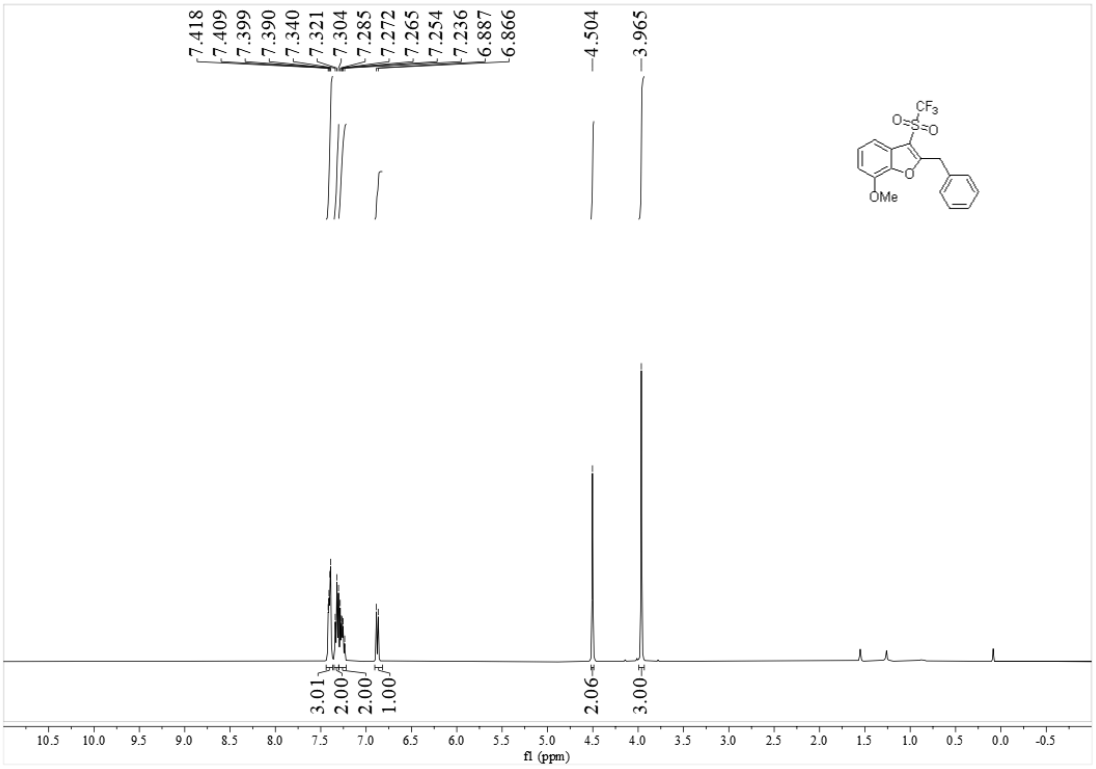
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3j**



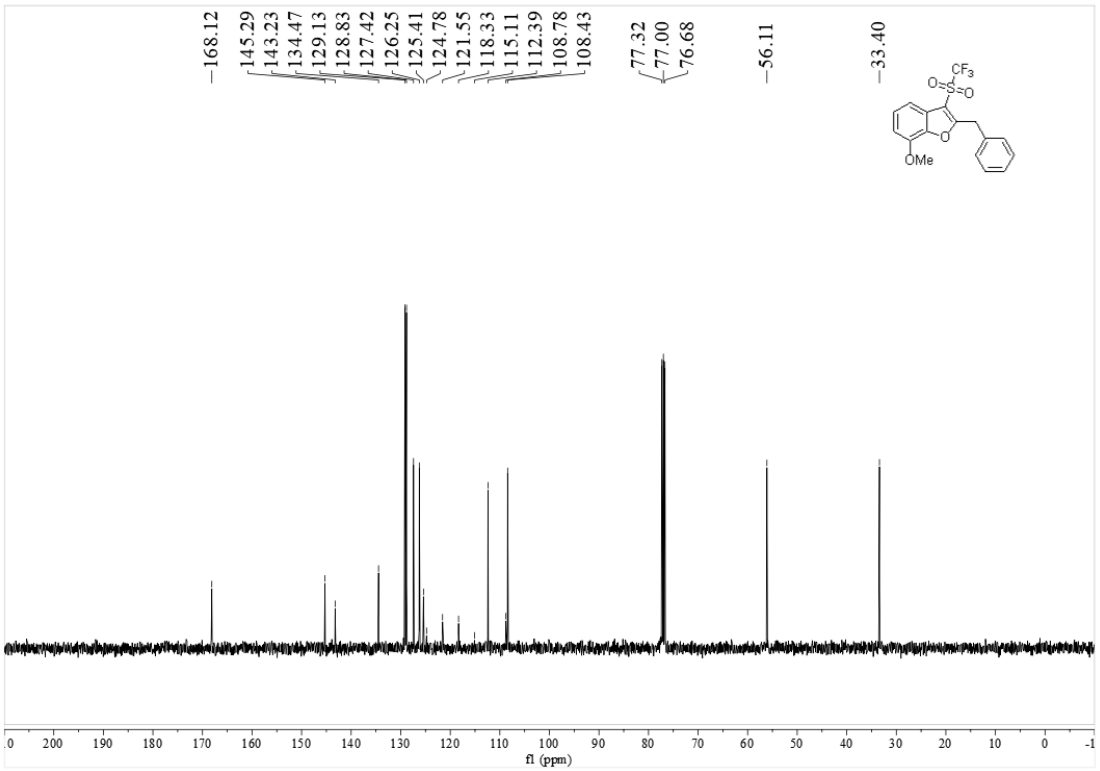
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3j**



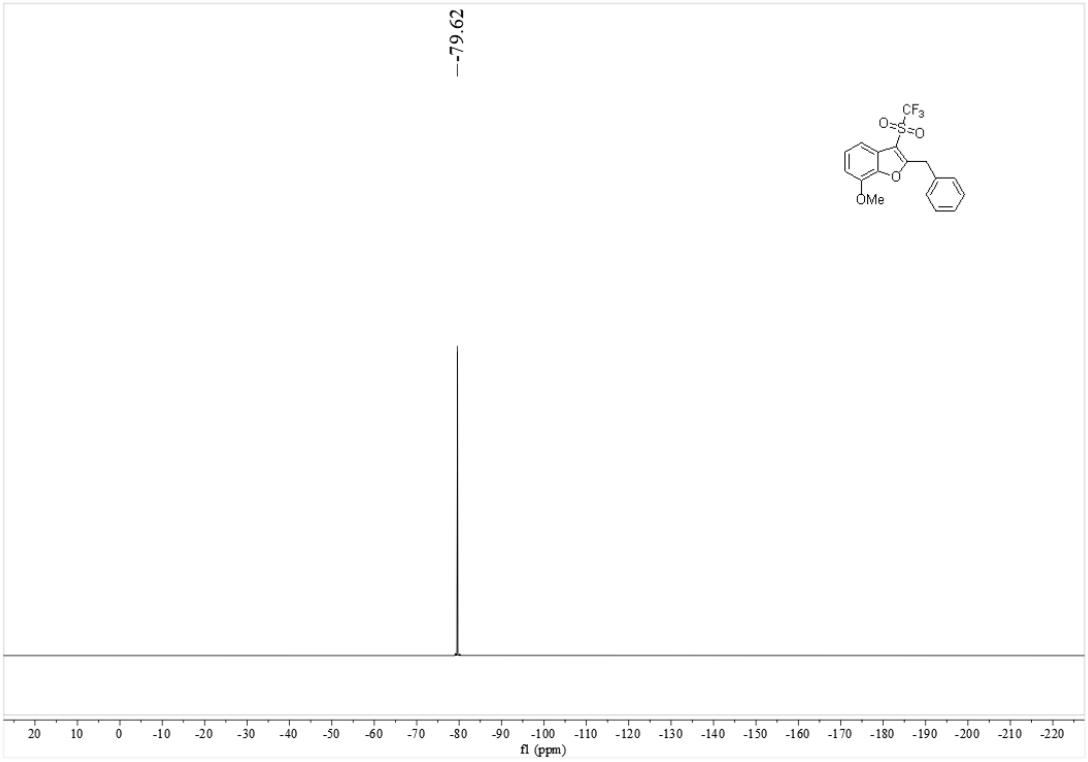
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3k**



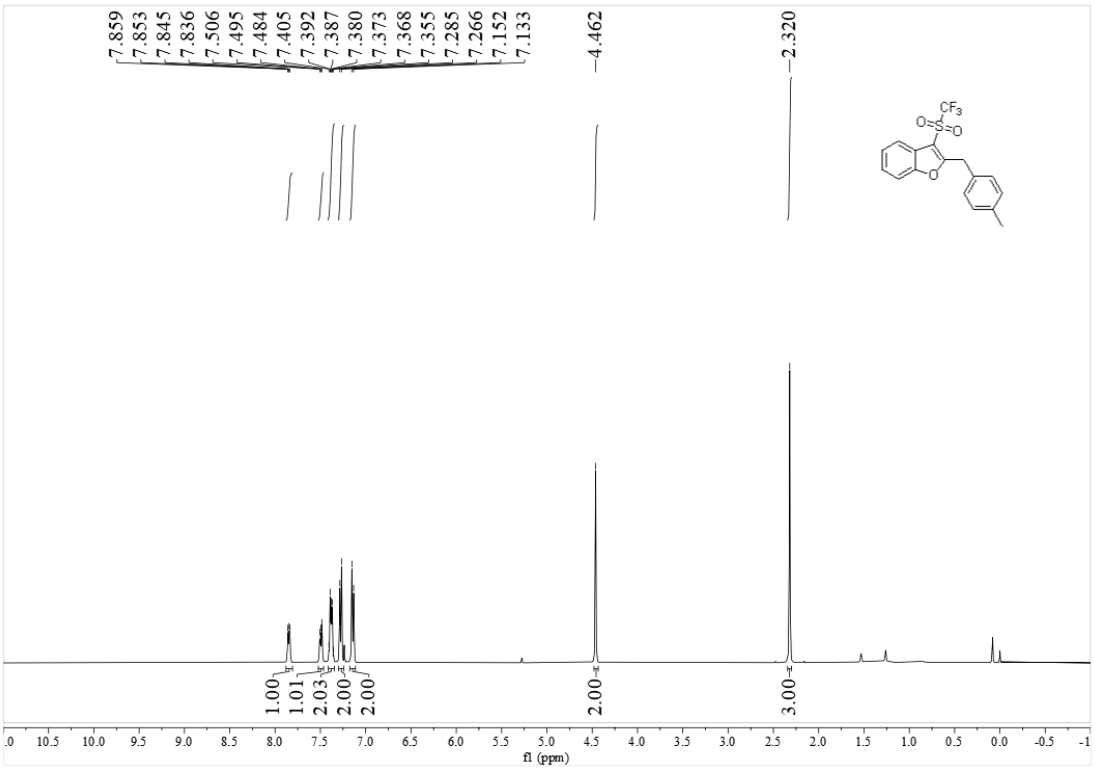
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3k**



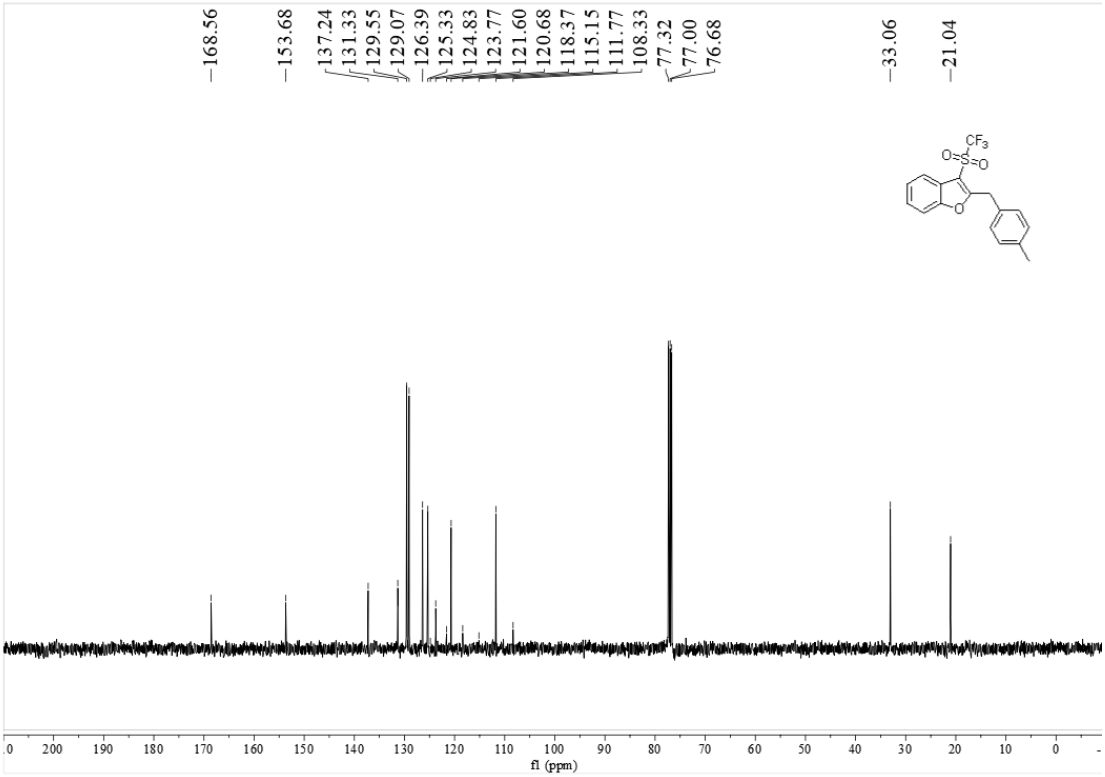
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3k**



^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3l**



^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3l**



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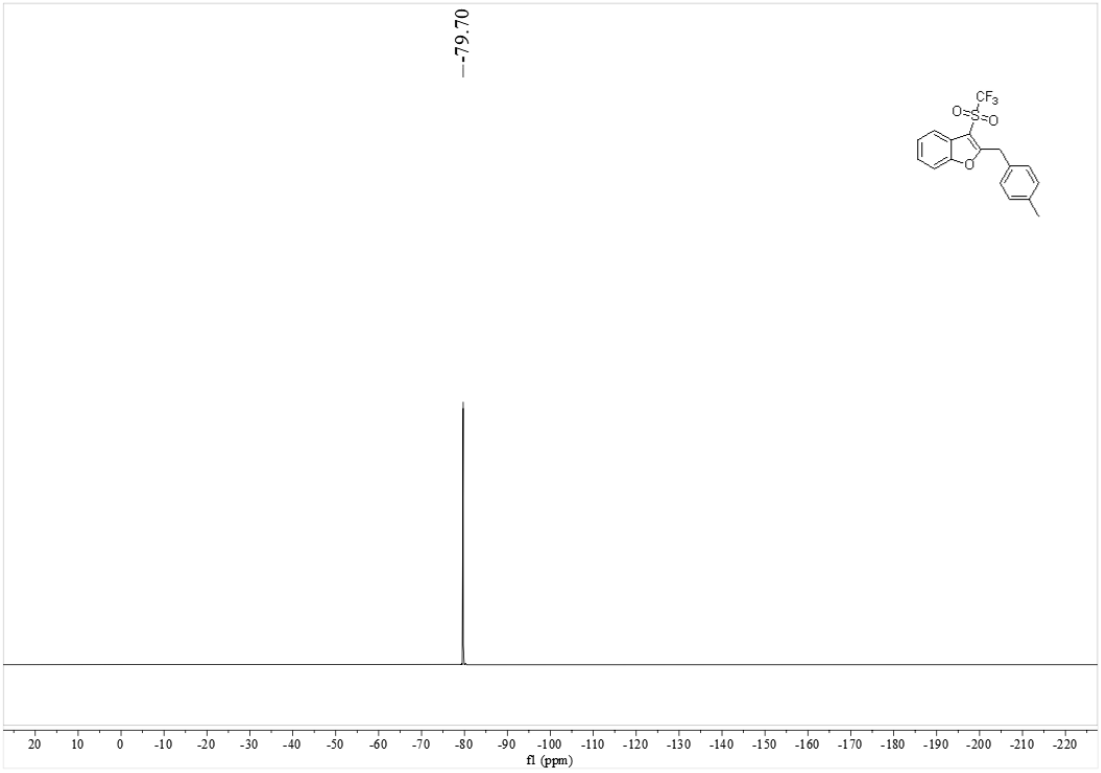
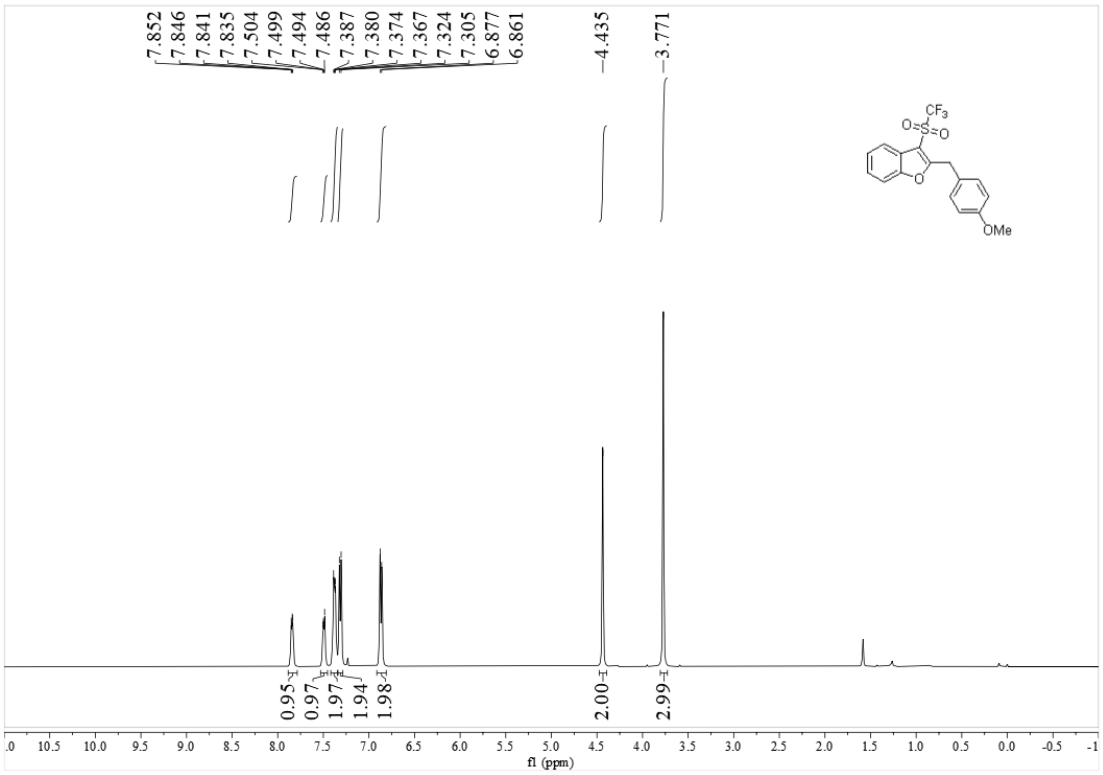
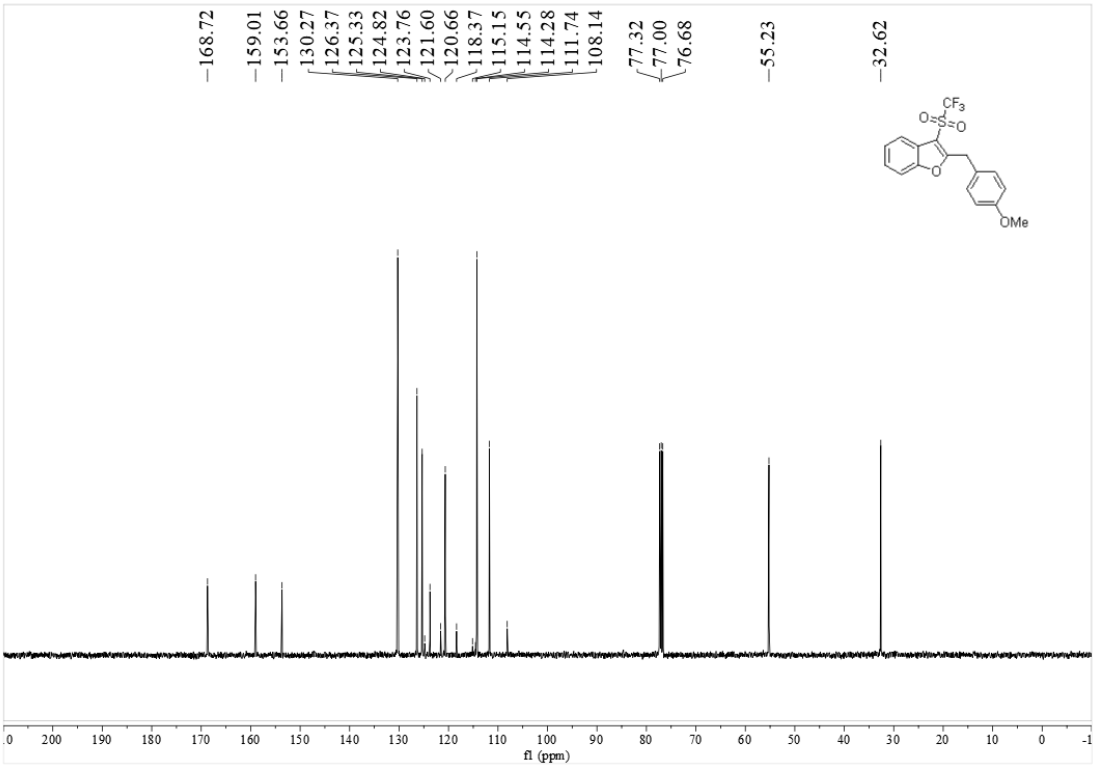


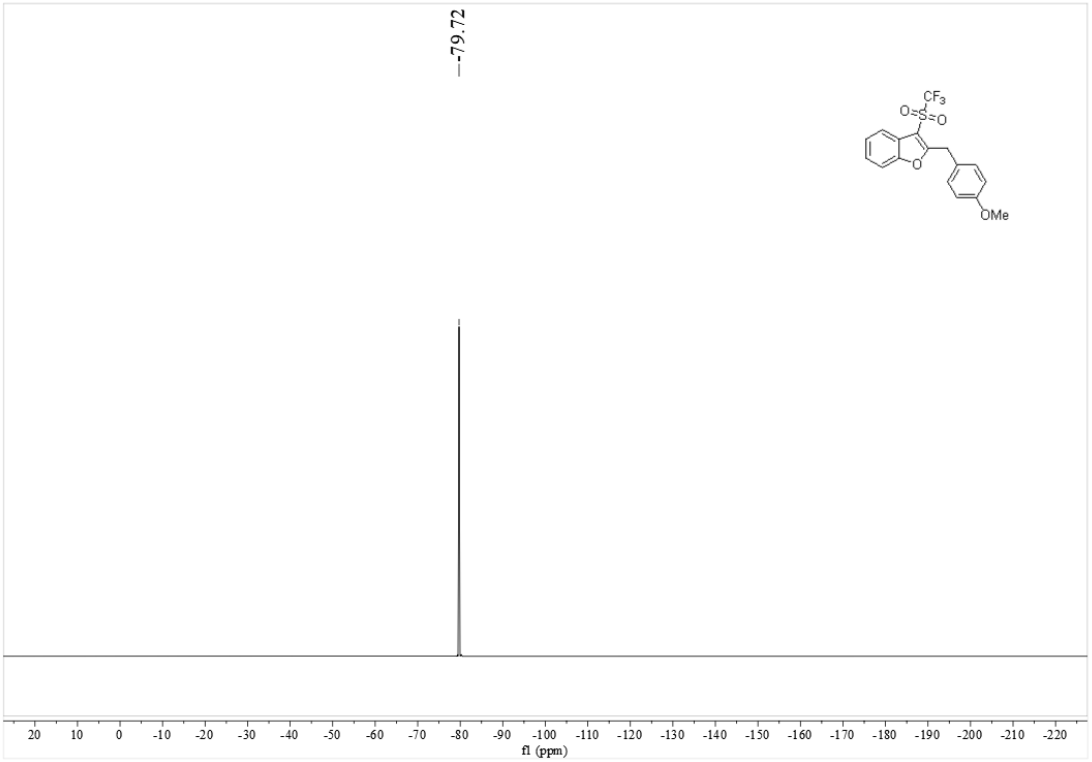
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3m**



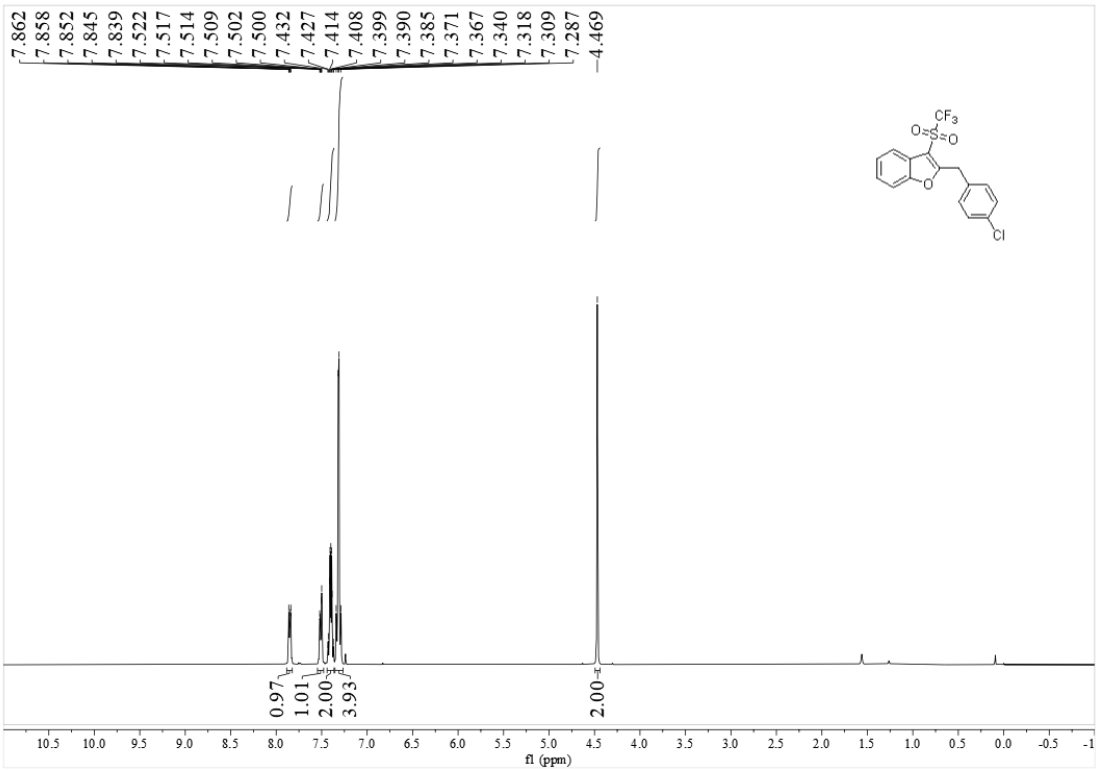
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3m**



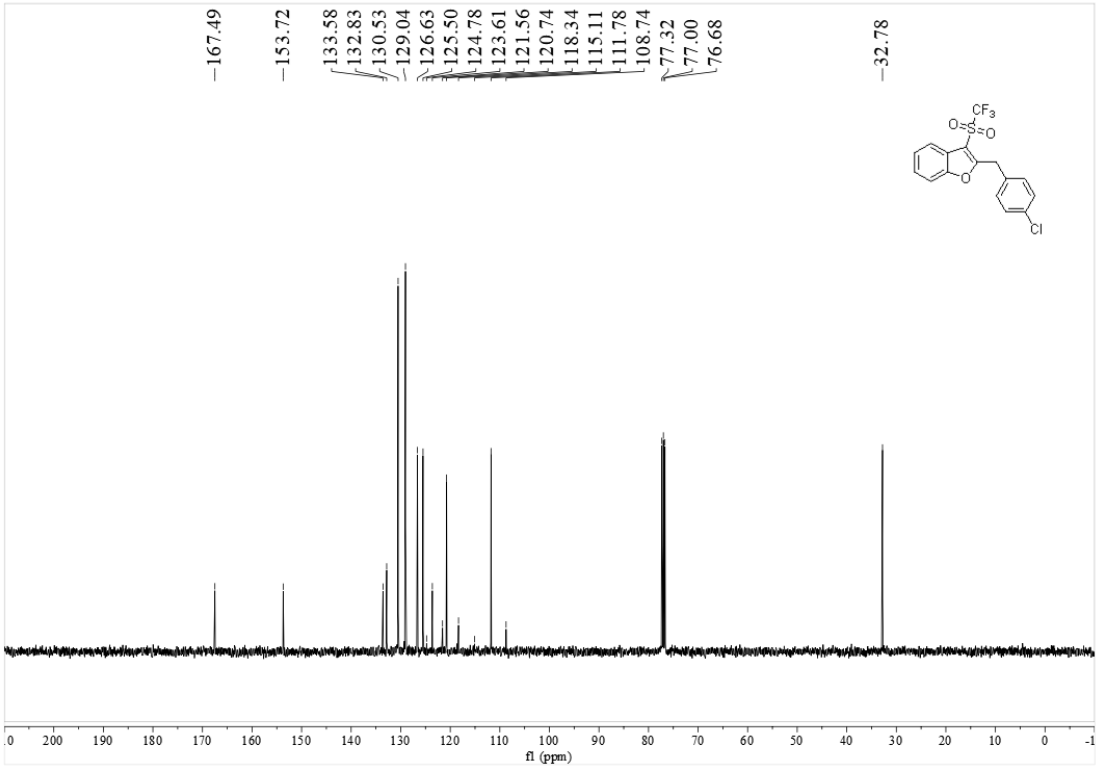
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3m**



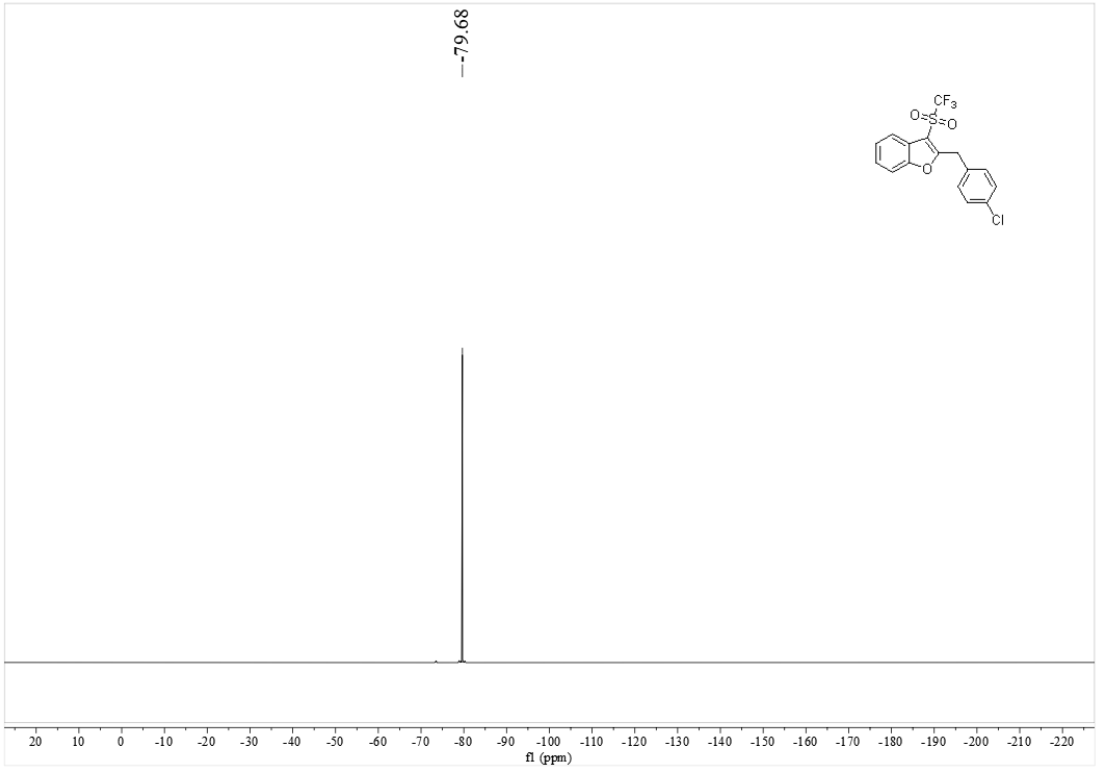
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3n**



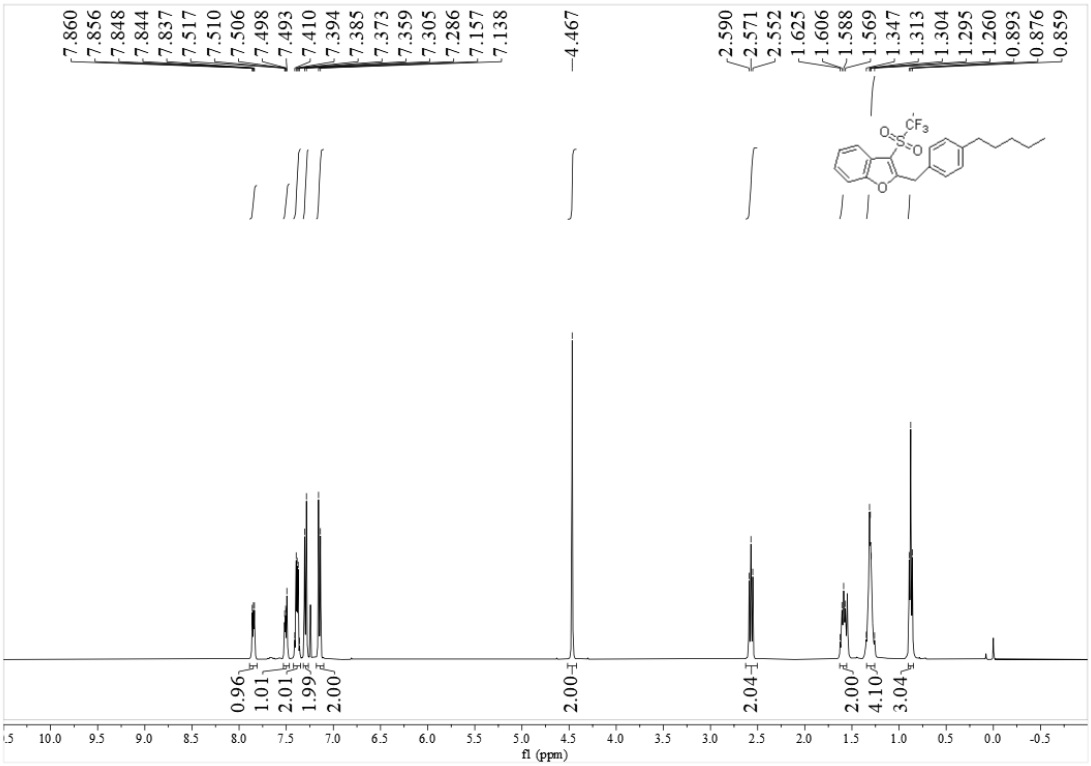
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3n**



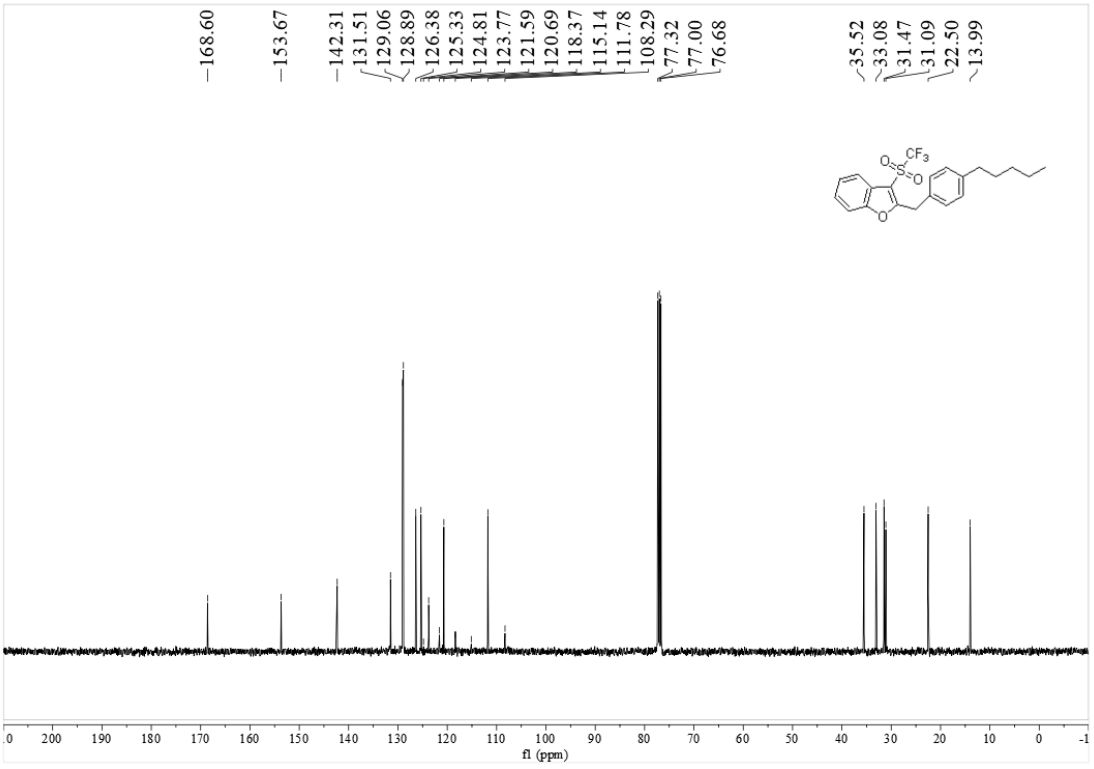
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3n**



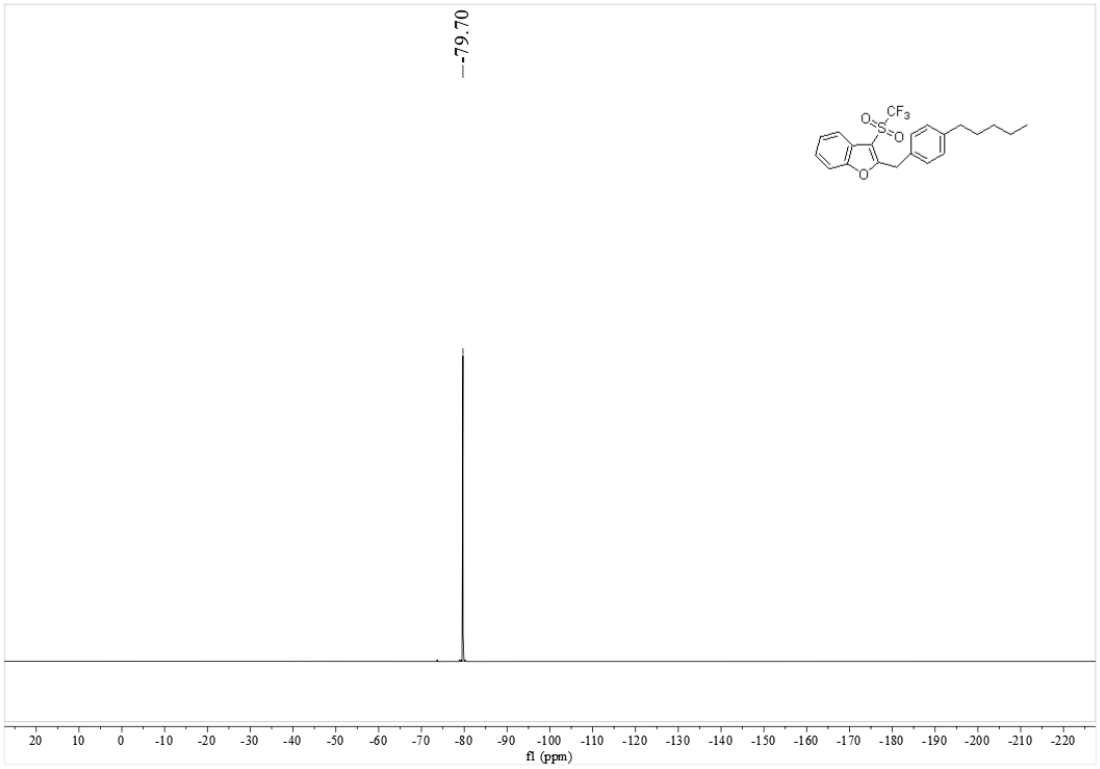
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3o**



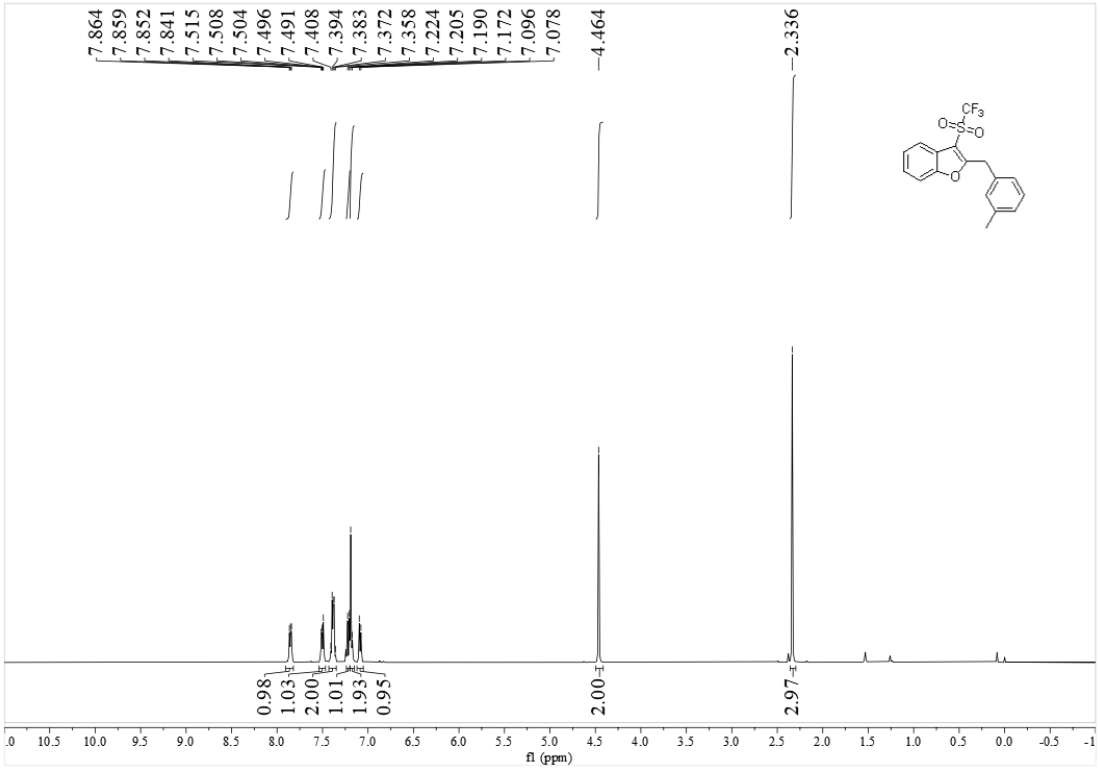
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3o**



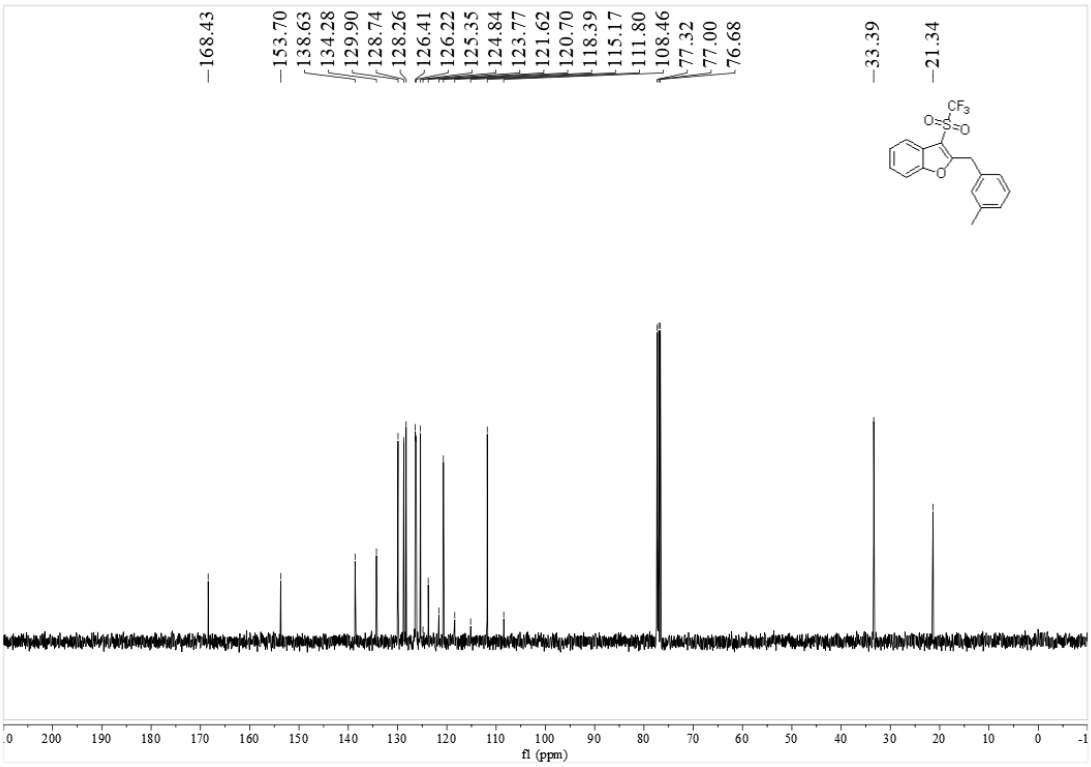
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3o**



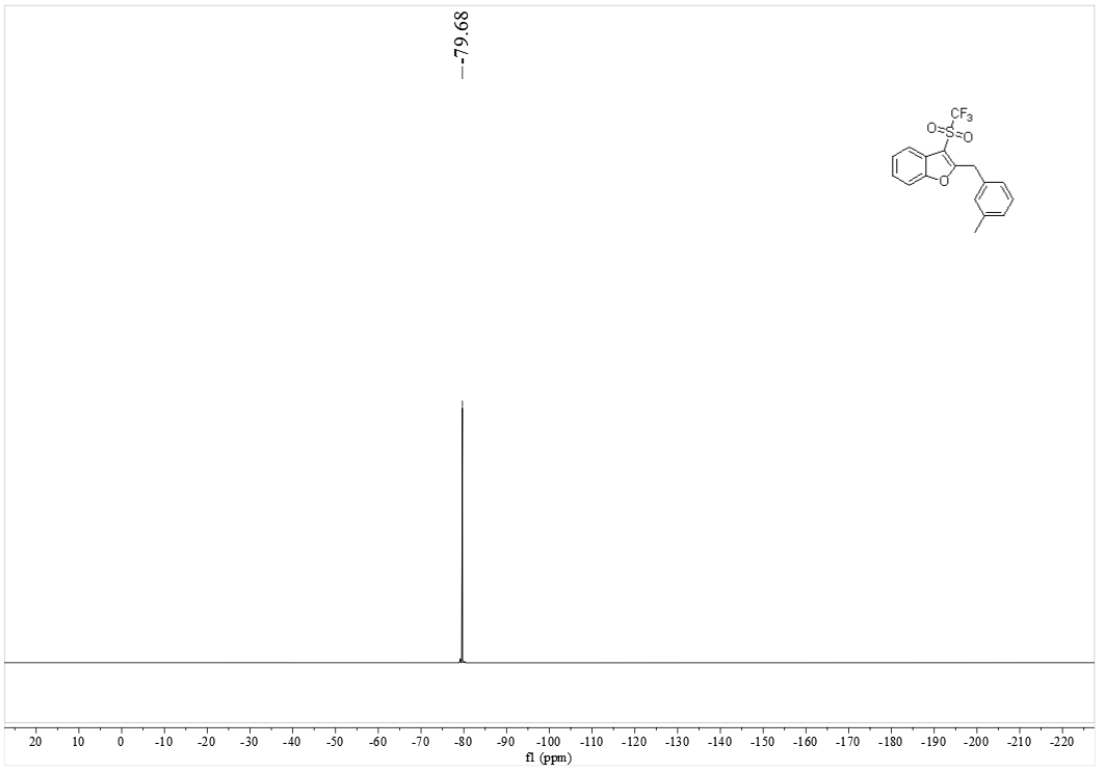
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3p**



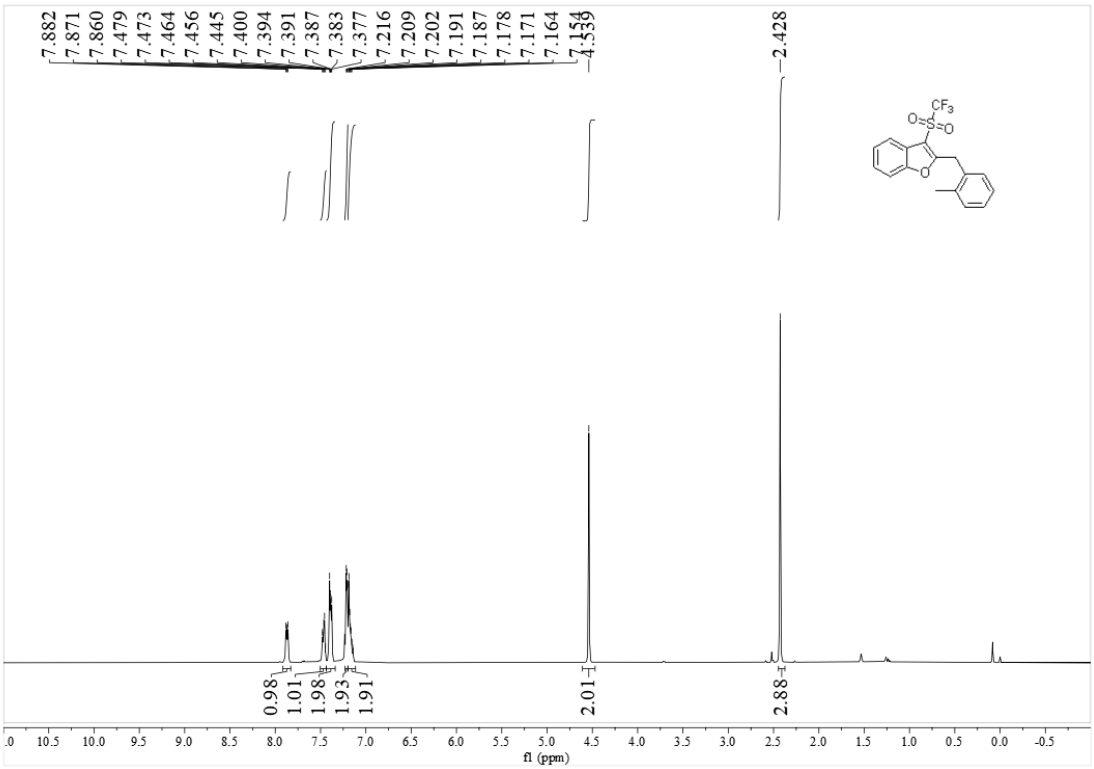
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3p**



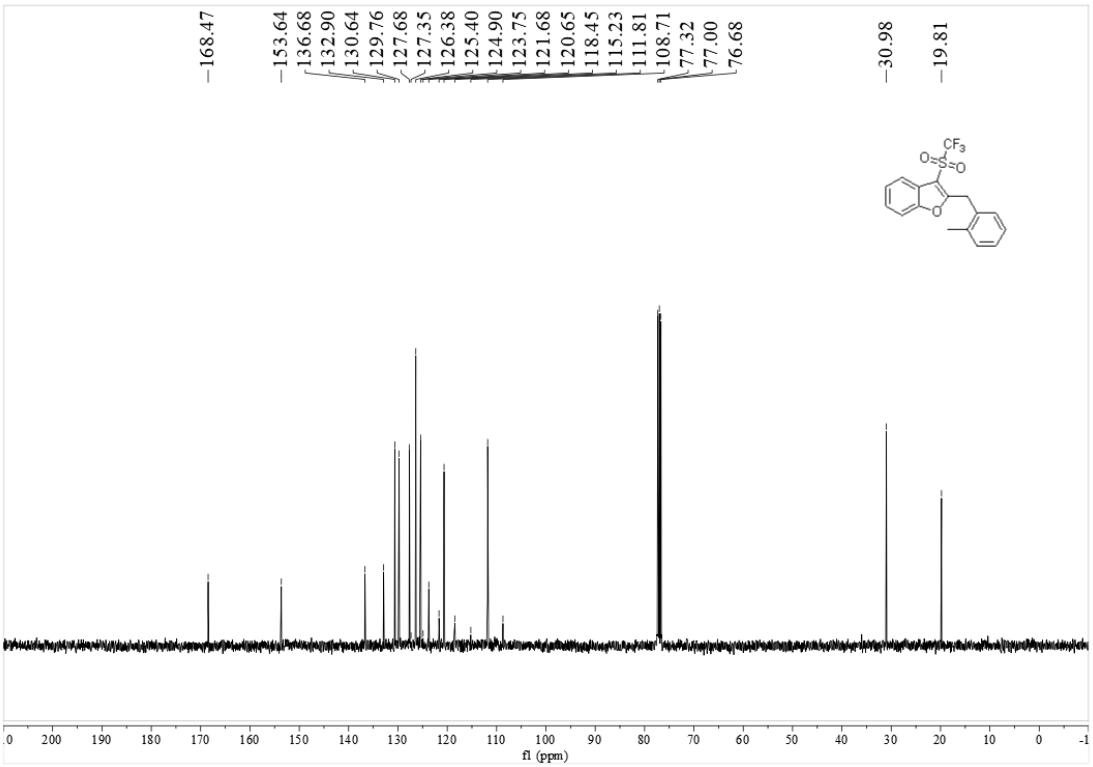
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3p**



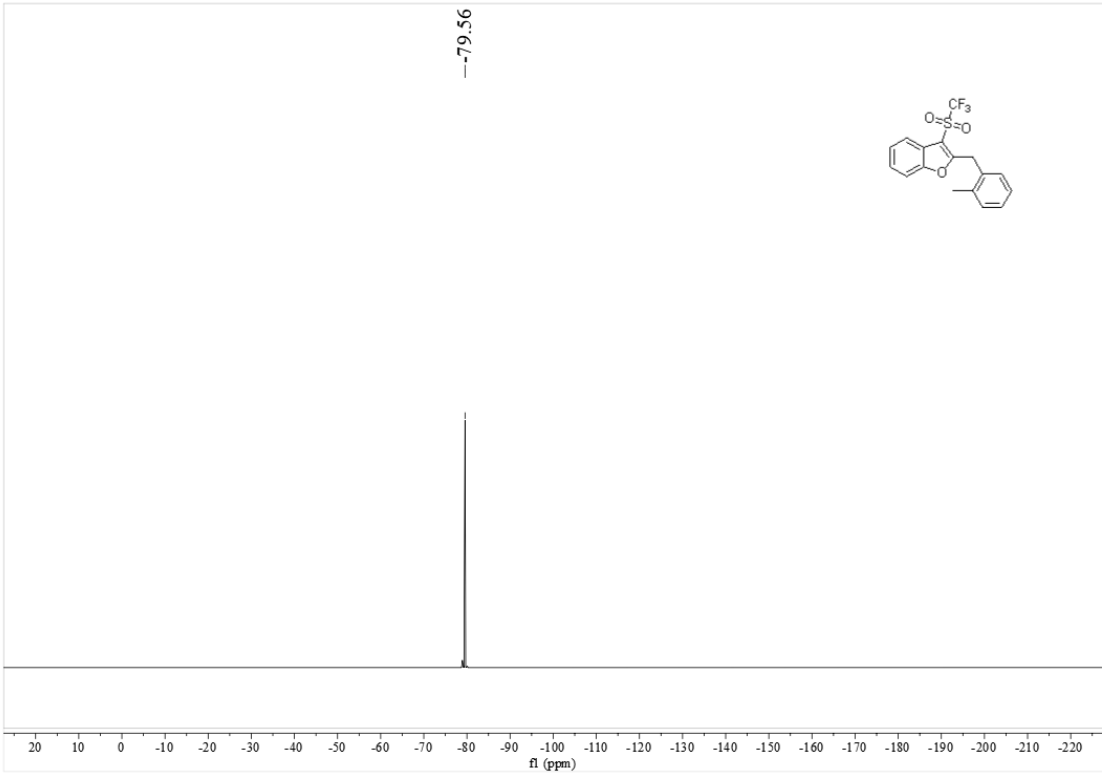
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3q**



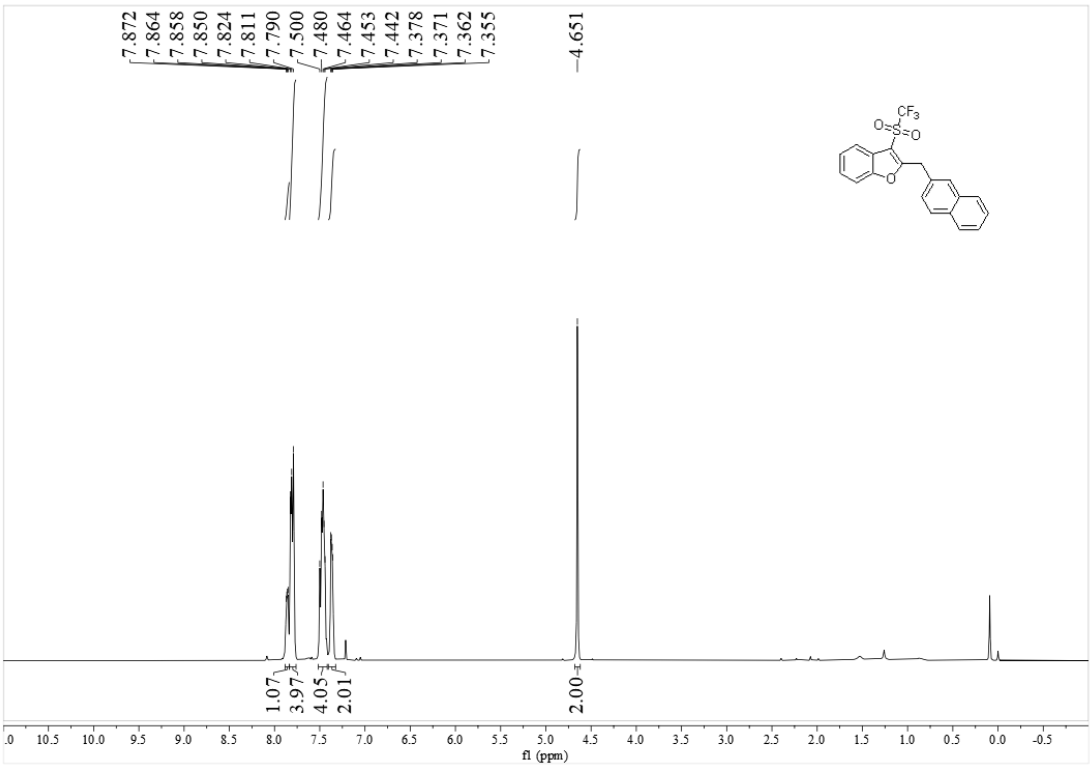
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3q**



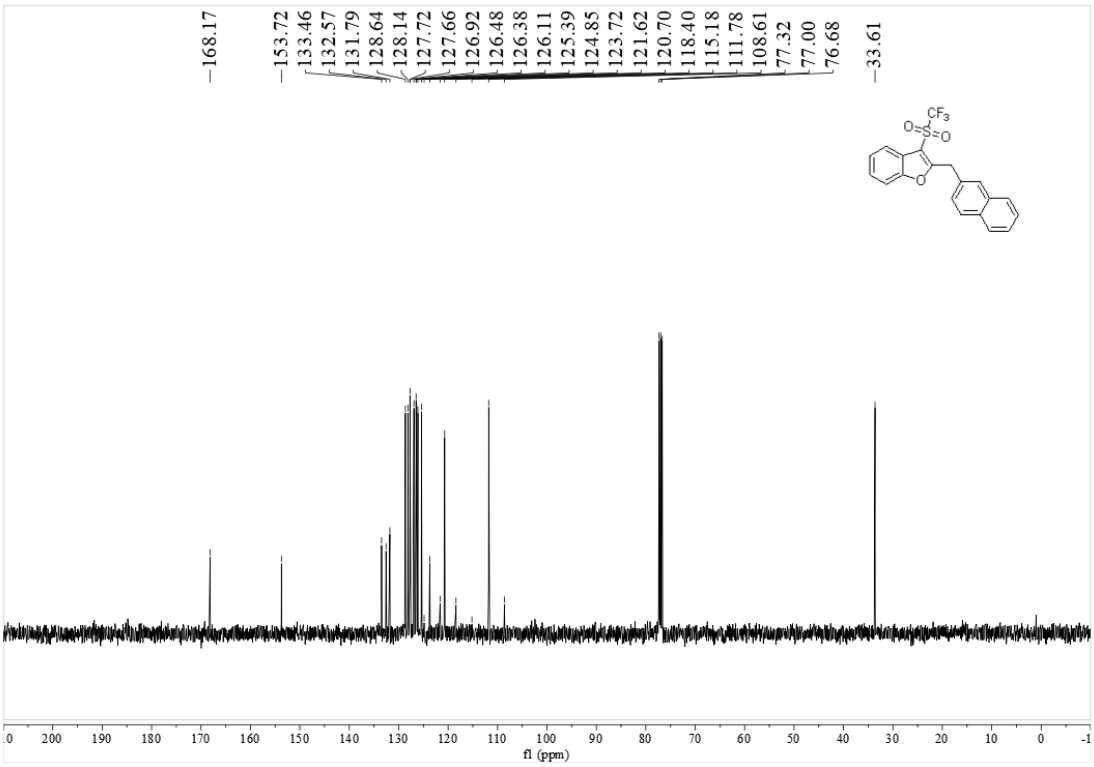
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3q**



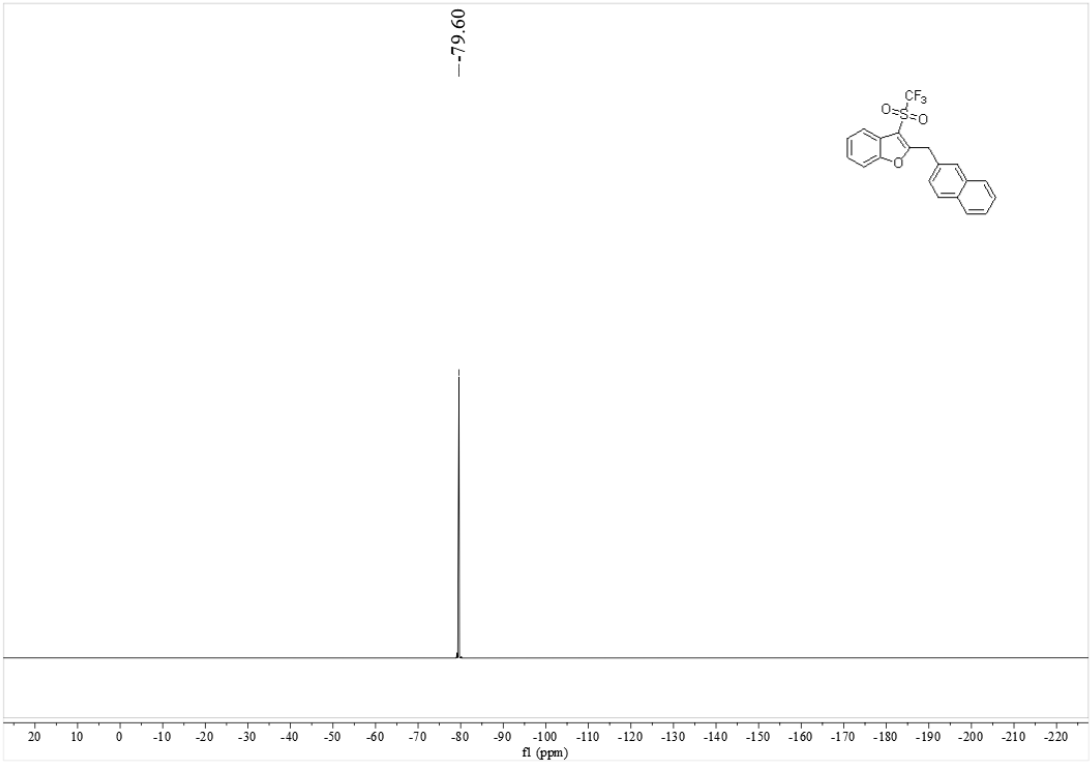
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3r**



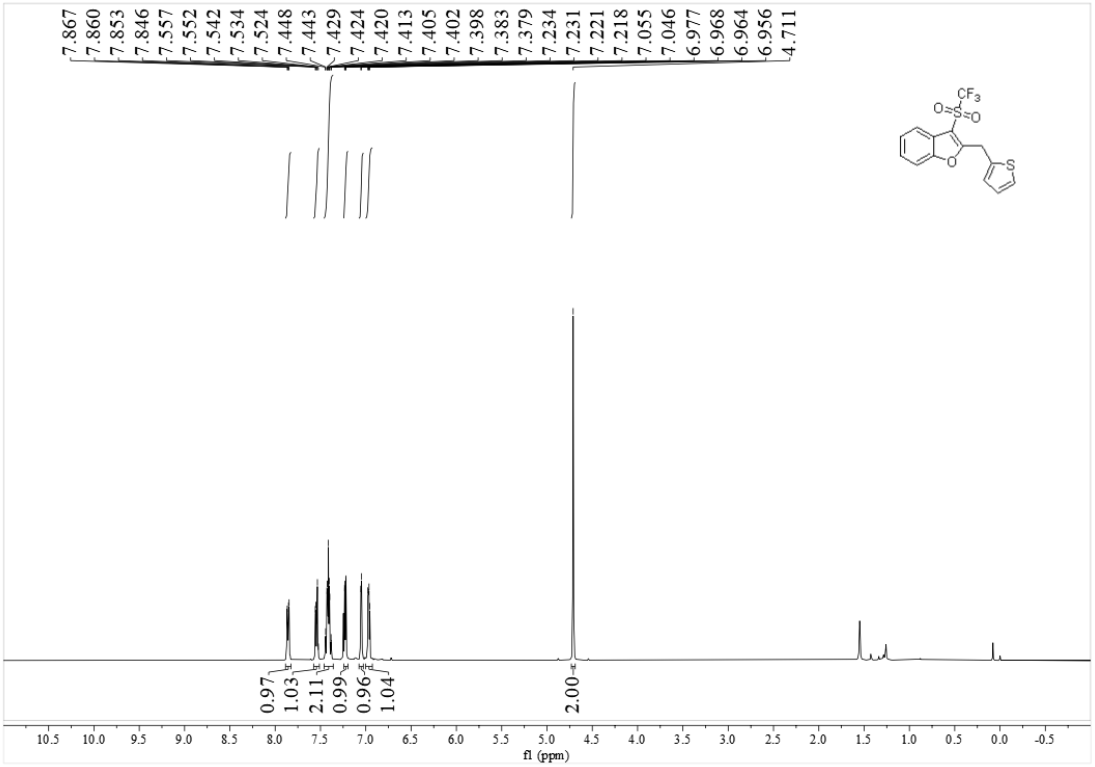
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3r**



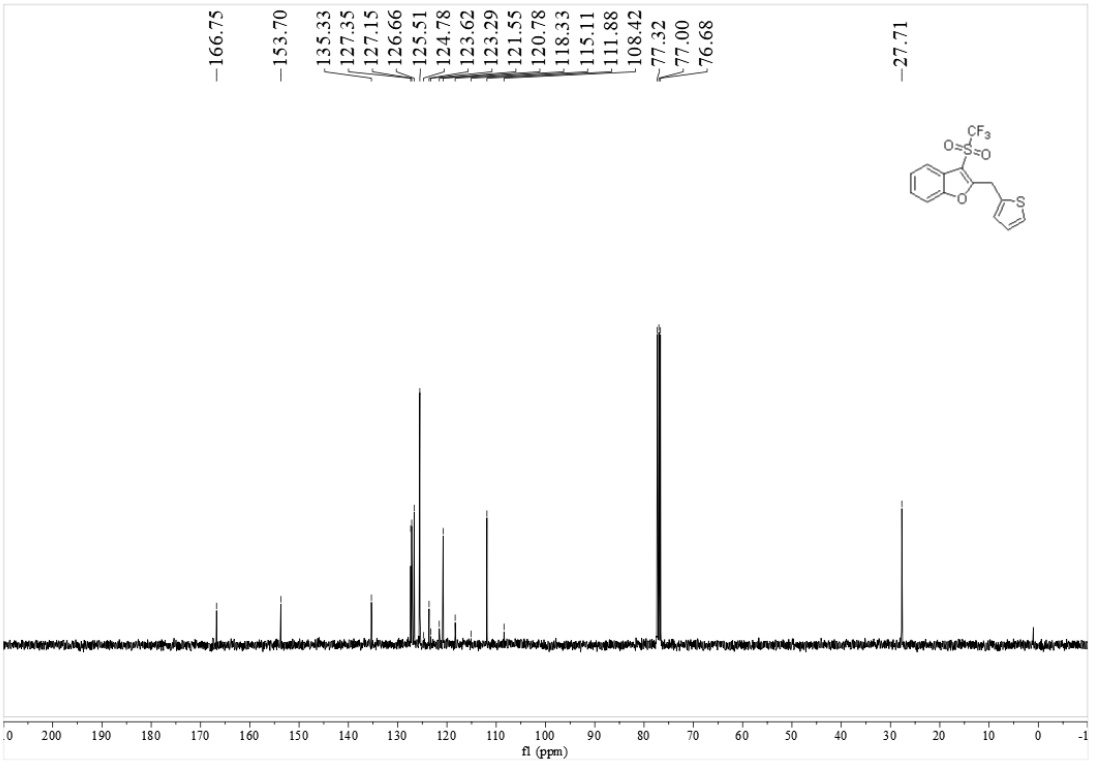
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3r**



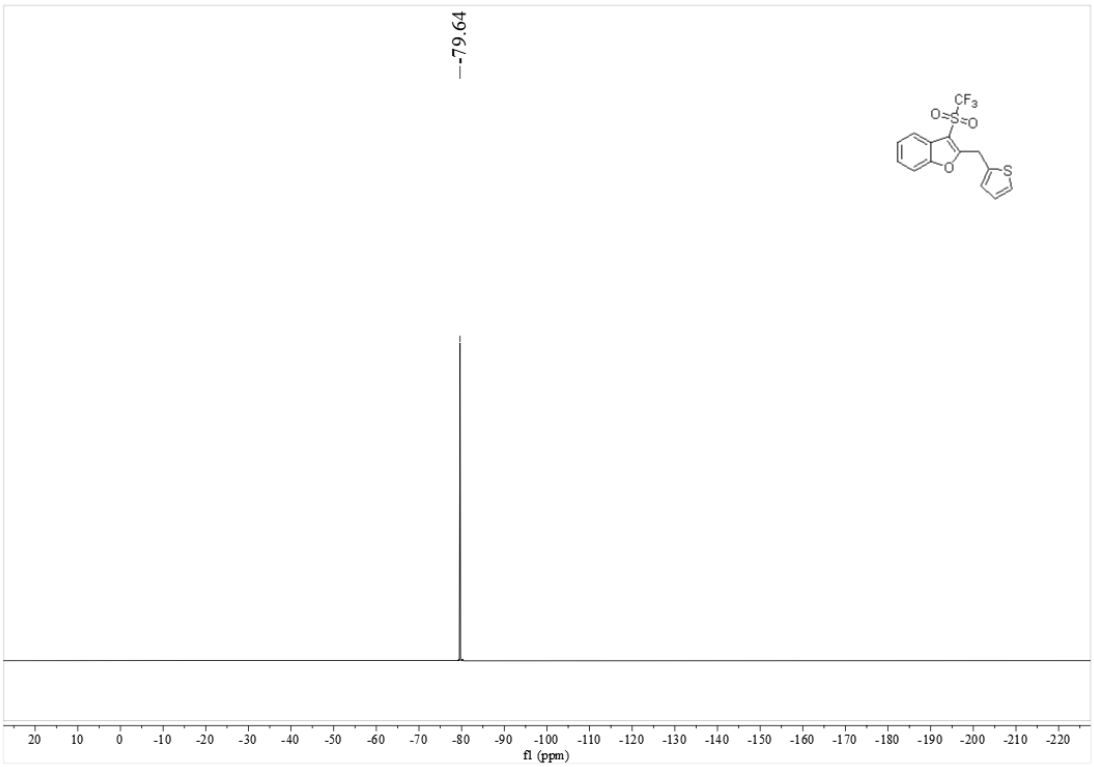
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3s**



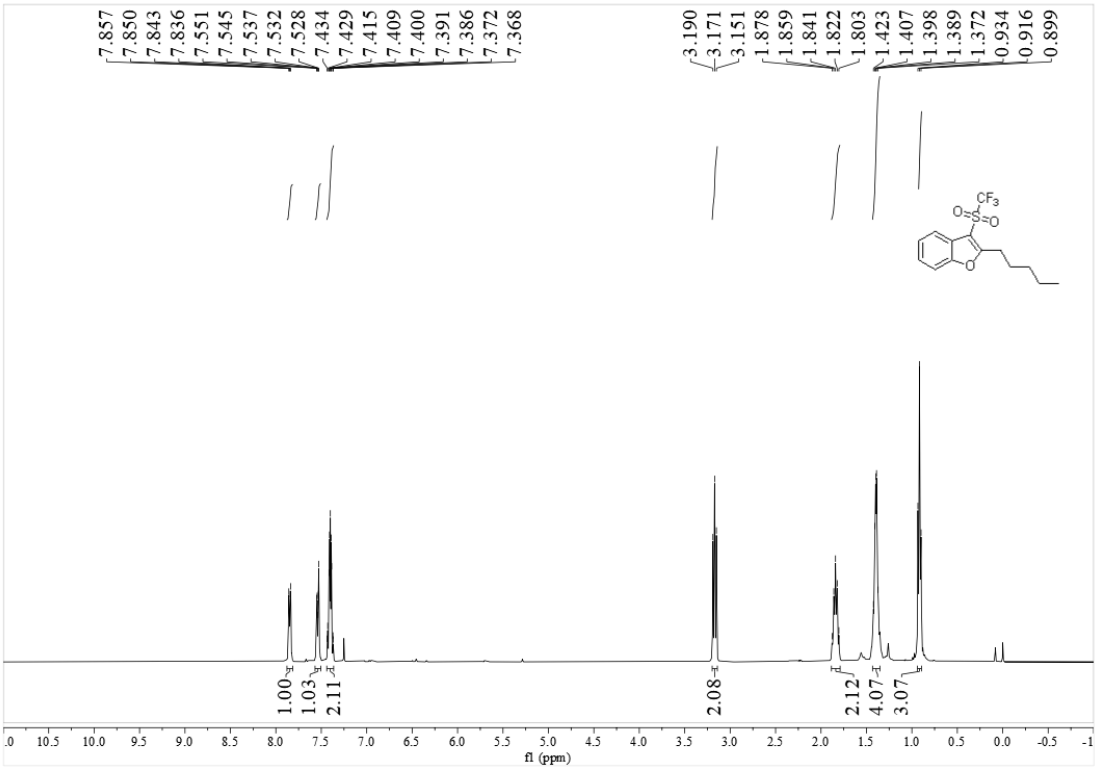
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3s**



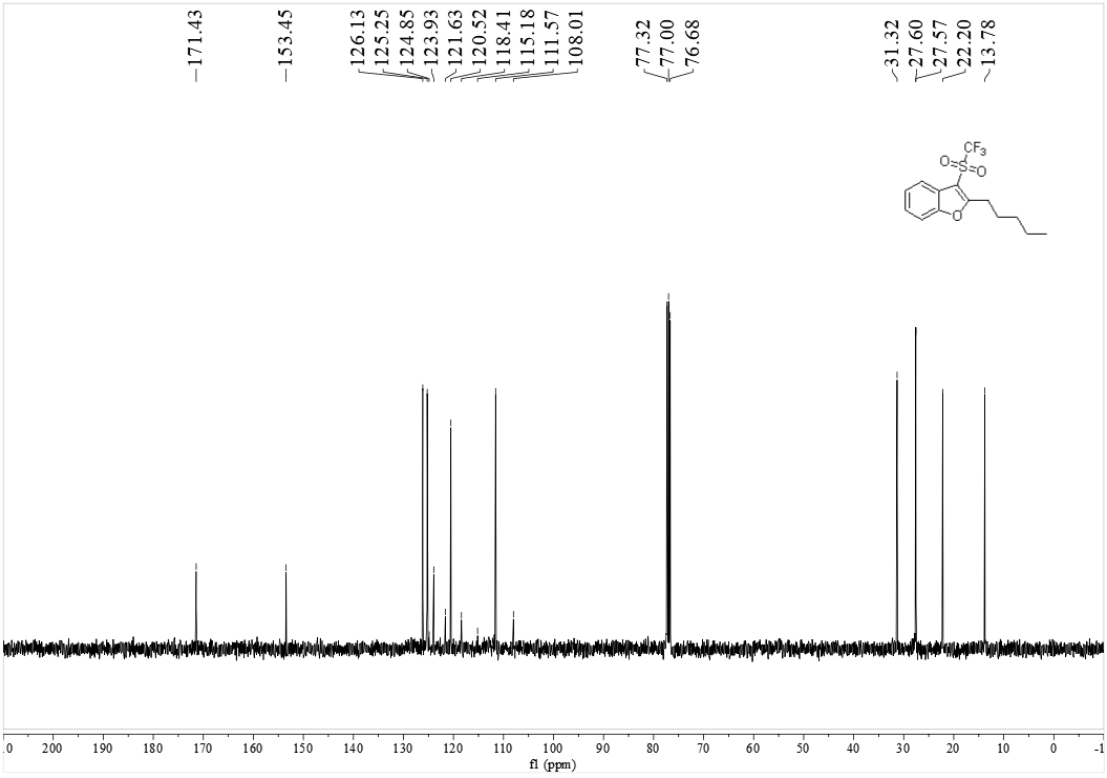
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3s**



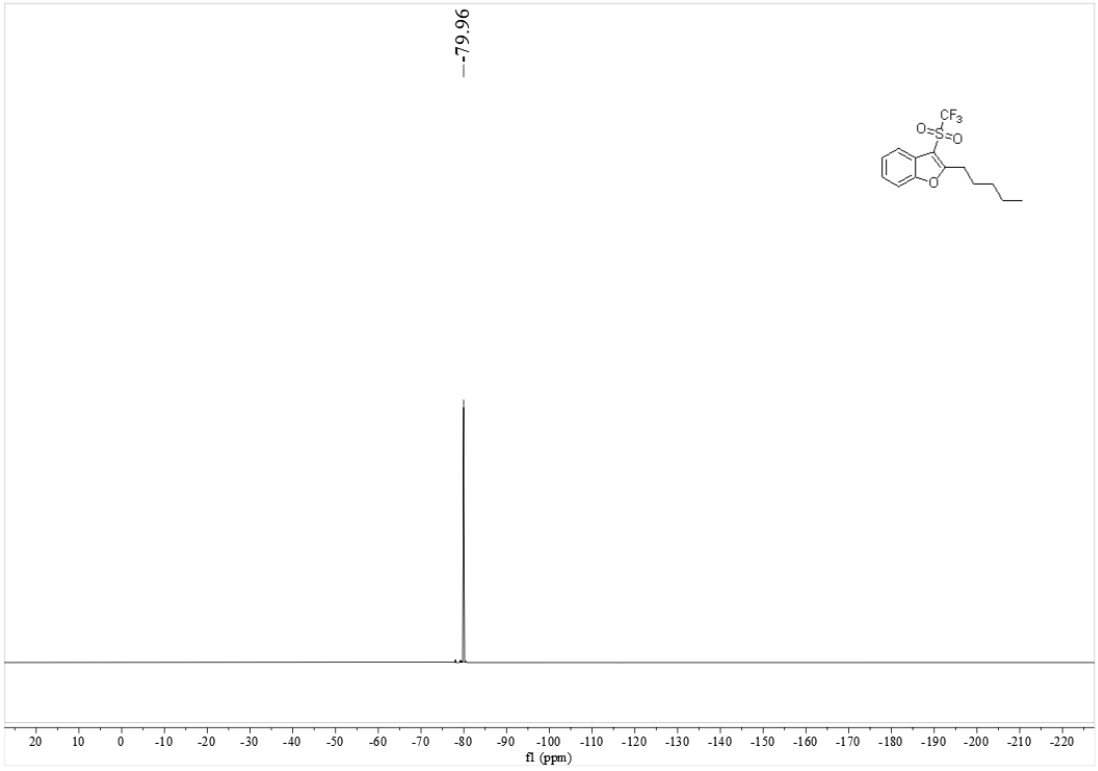
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3t**



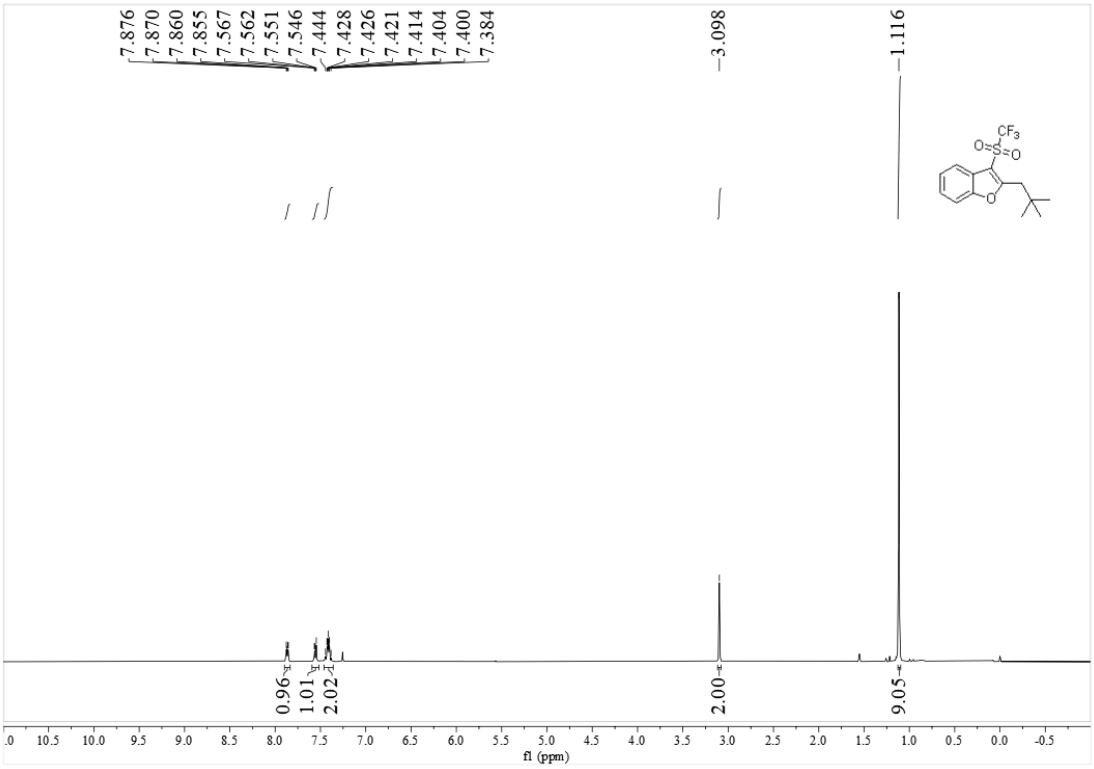
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3t**



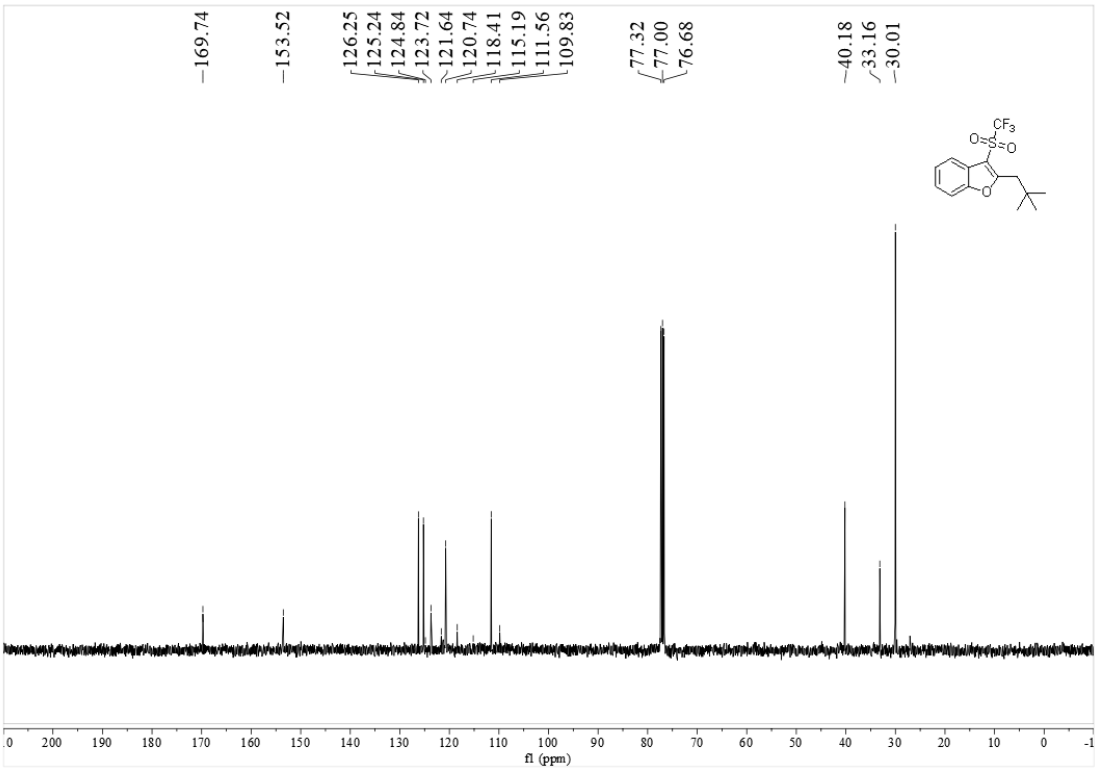
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3t**



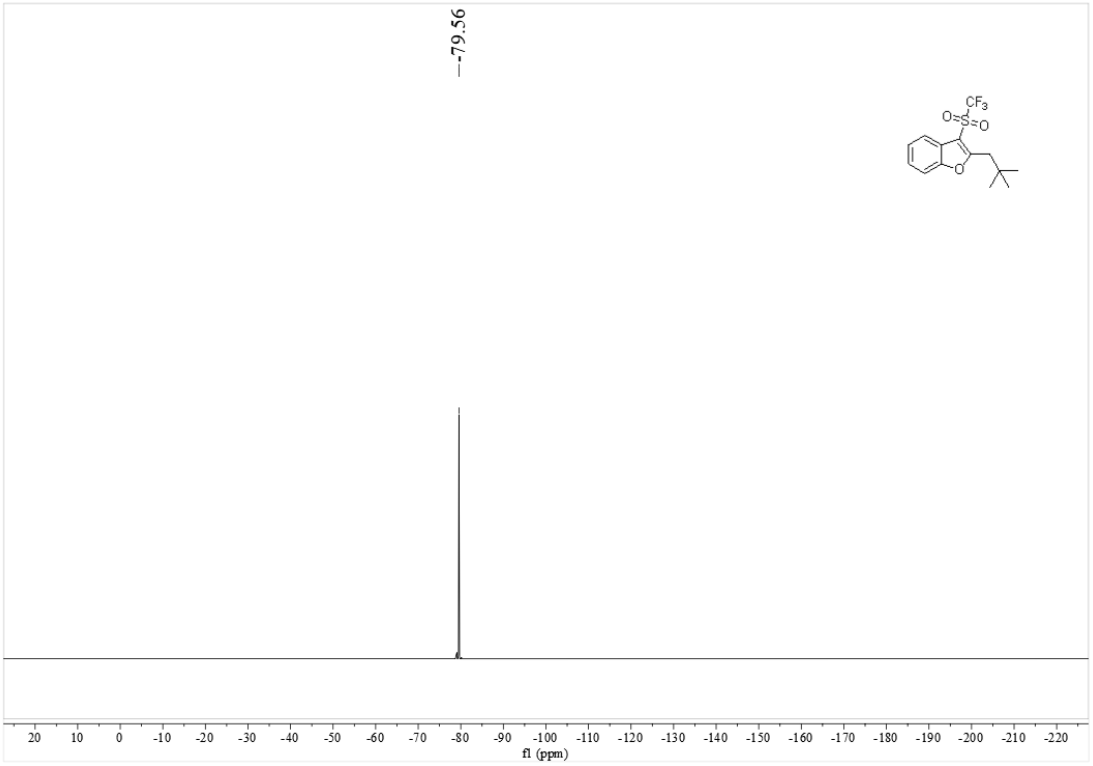
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3u**



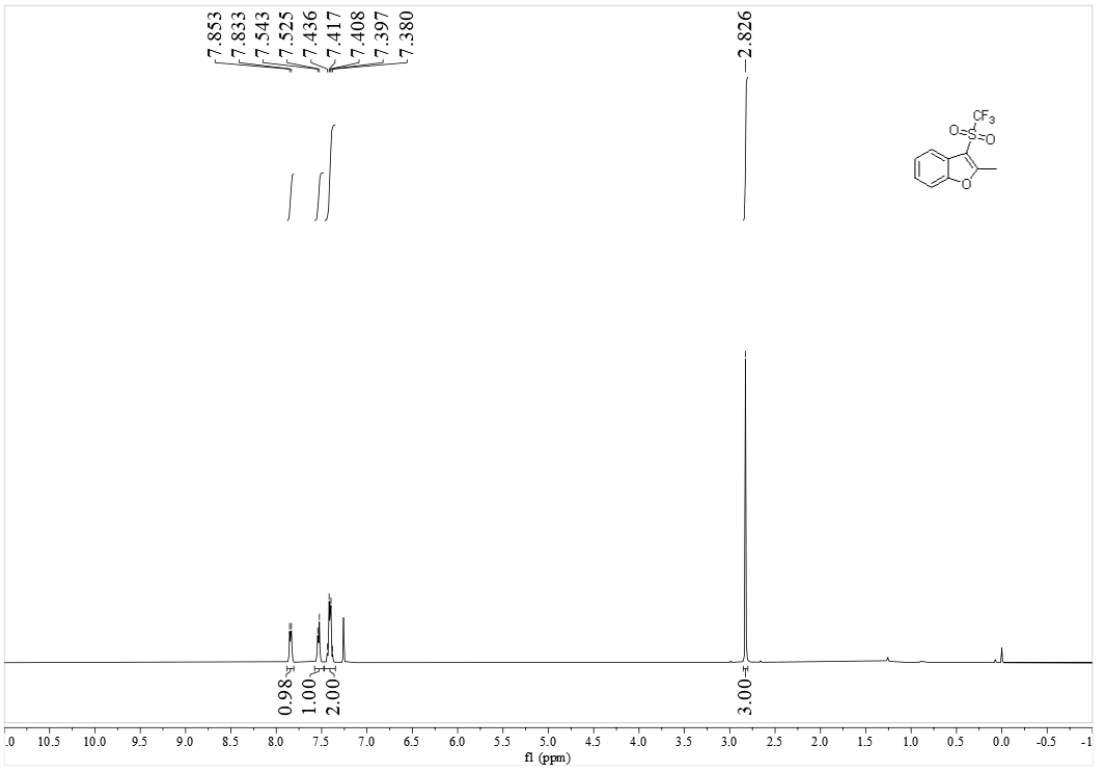
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3u**



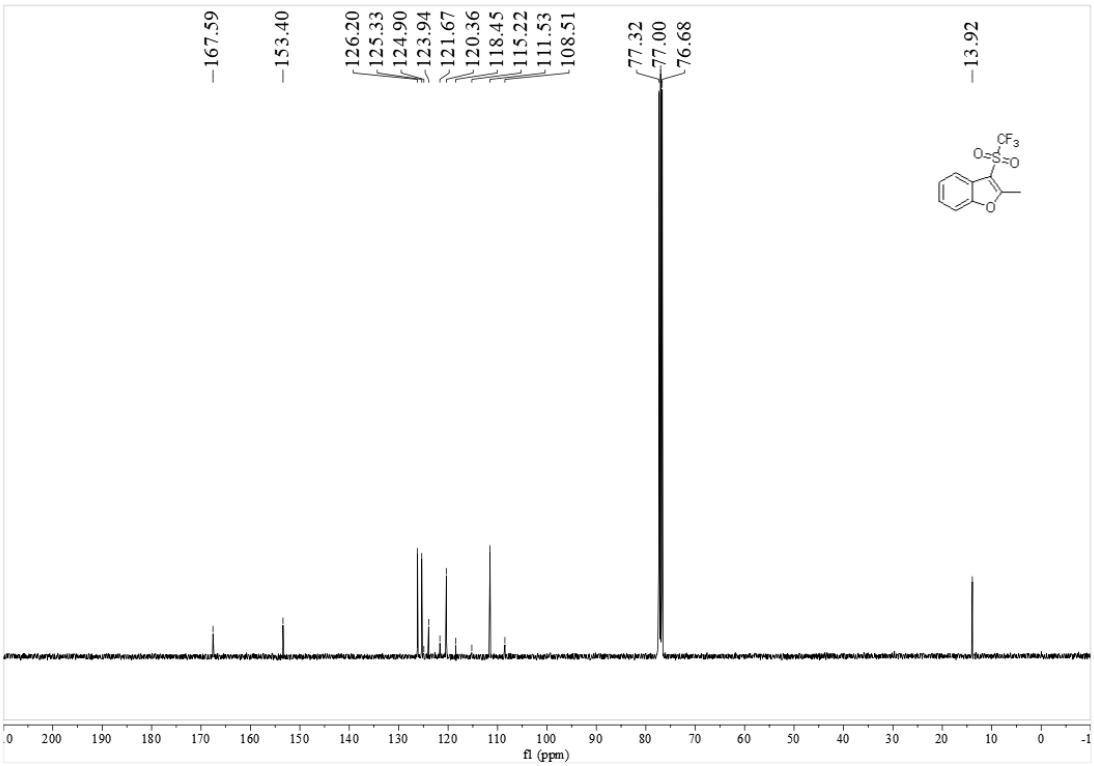
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3u**



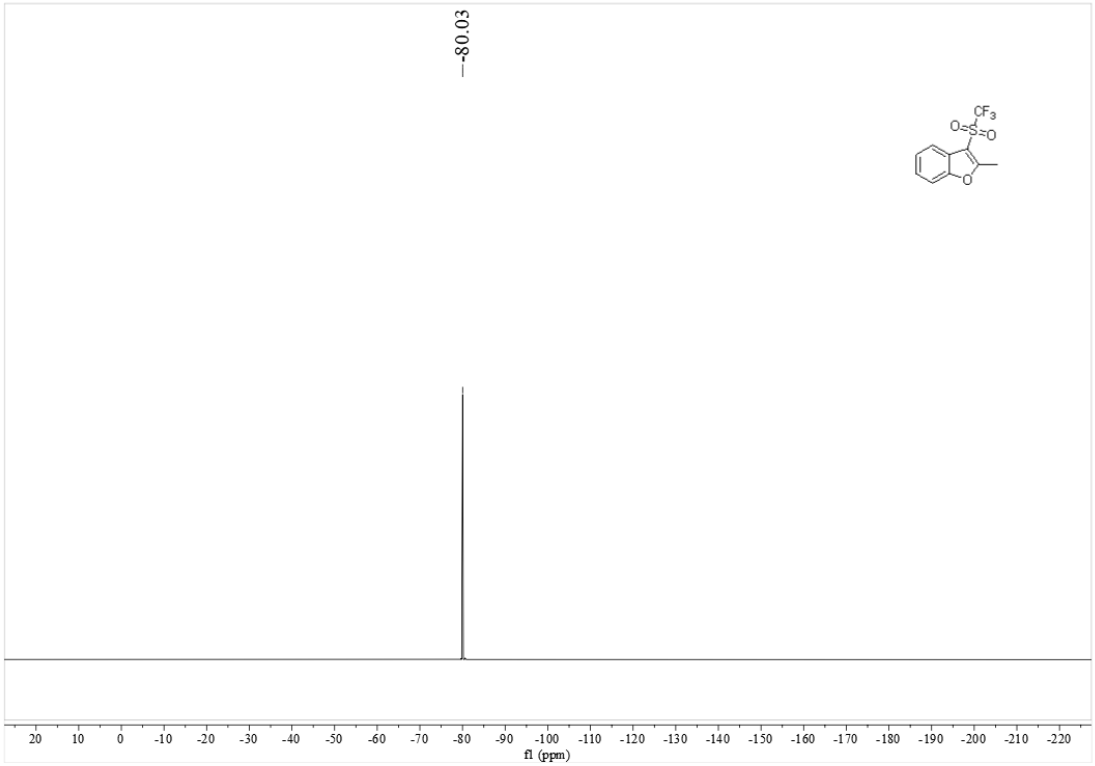
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3v**



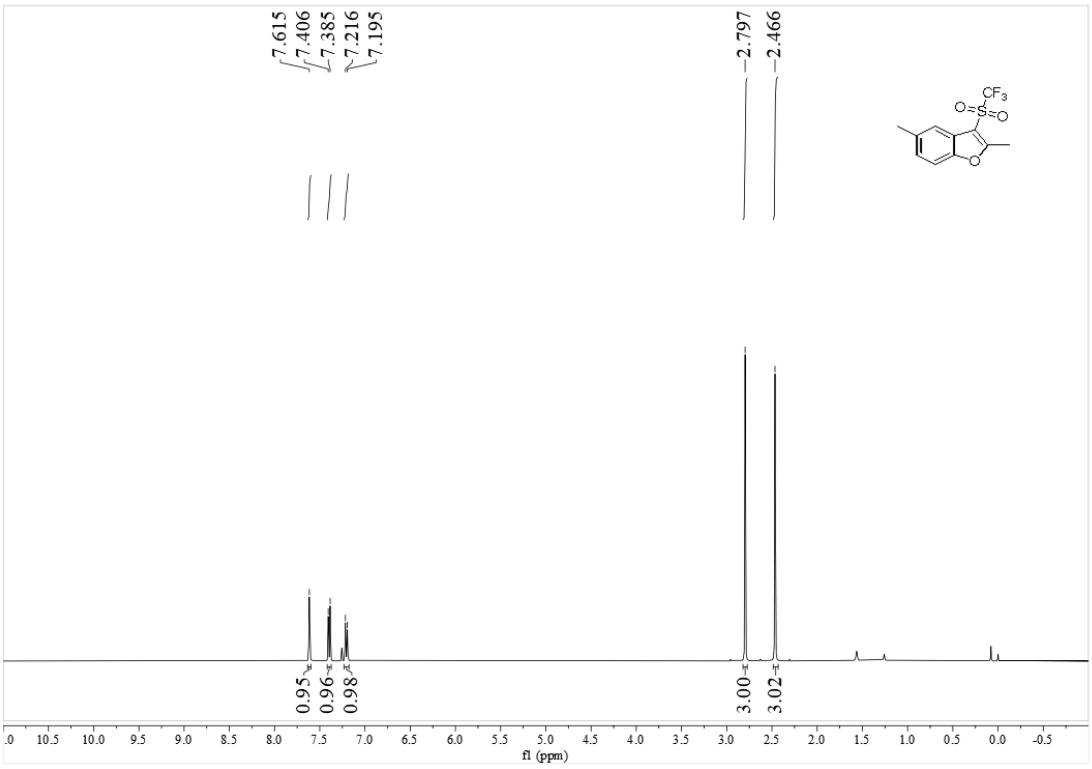
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3v**



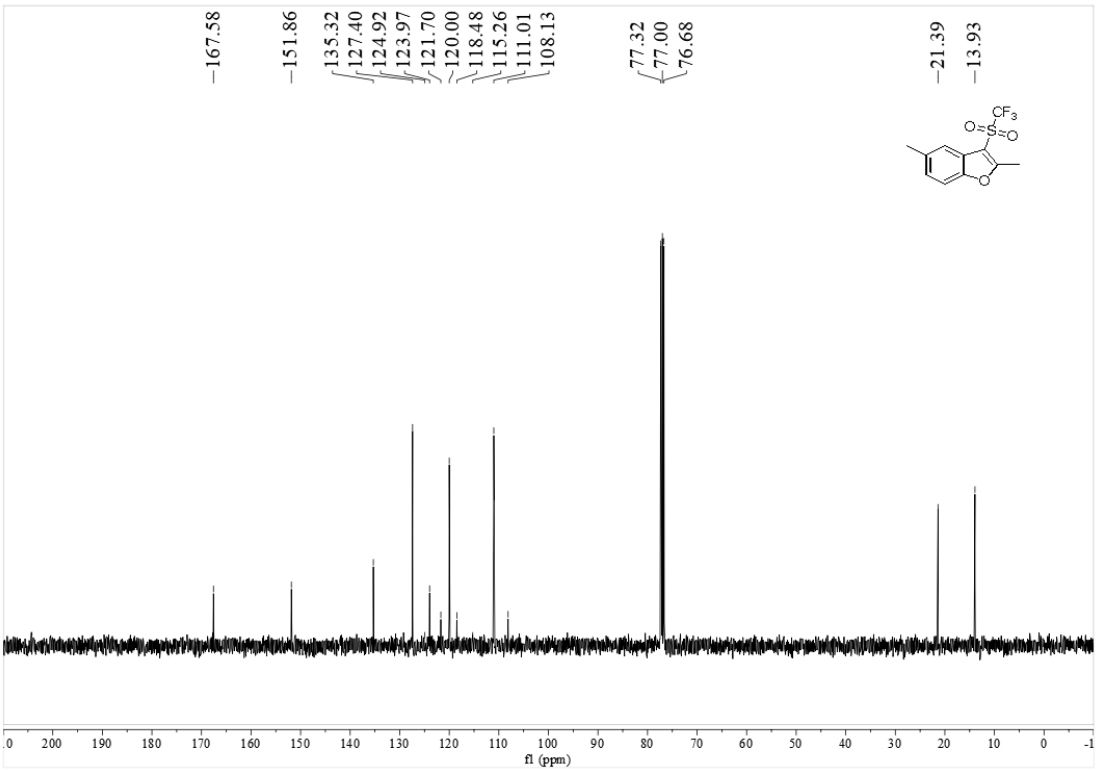
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3v**



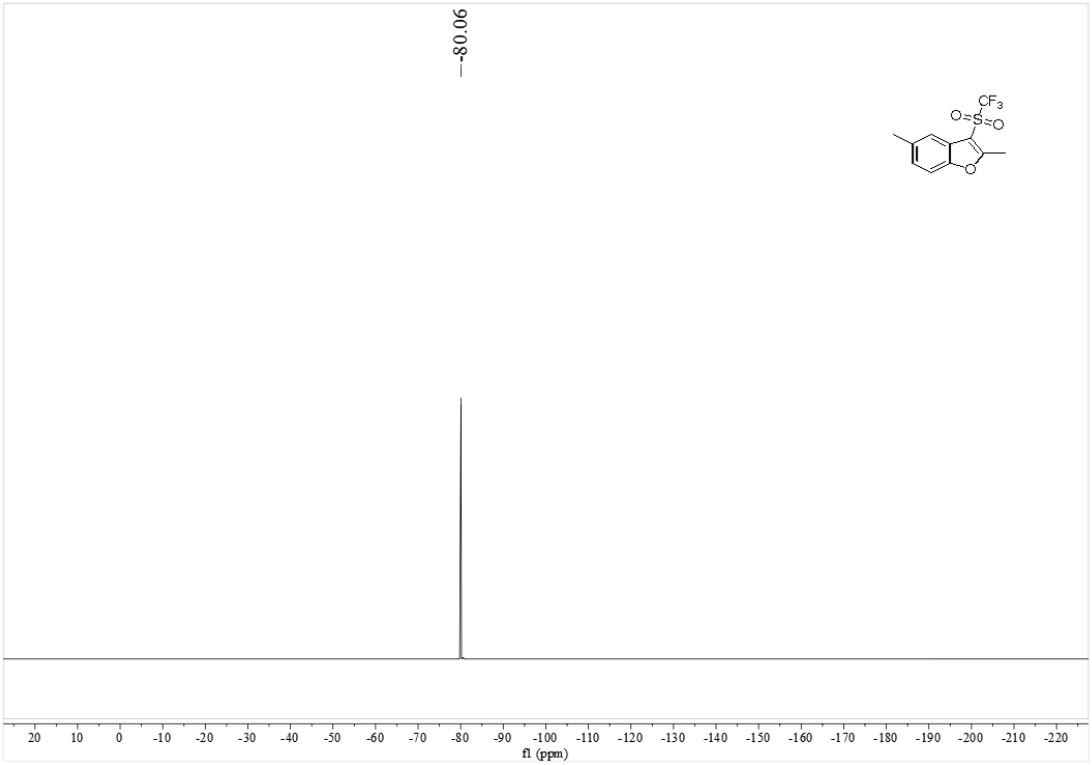
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3w**



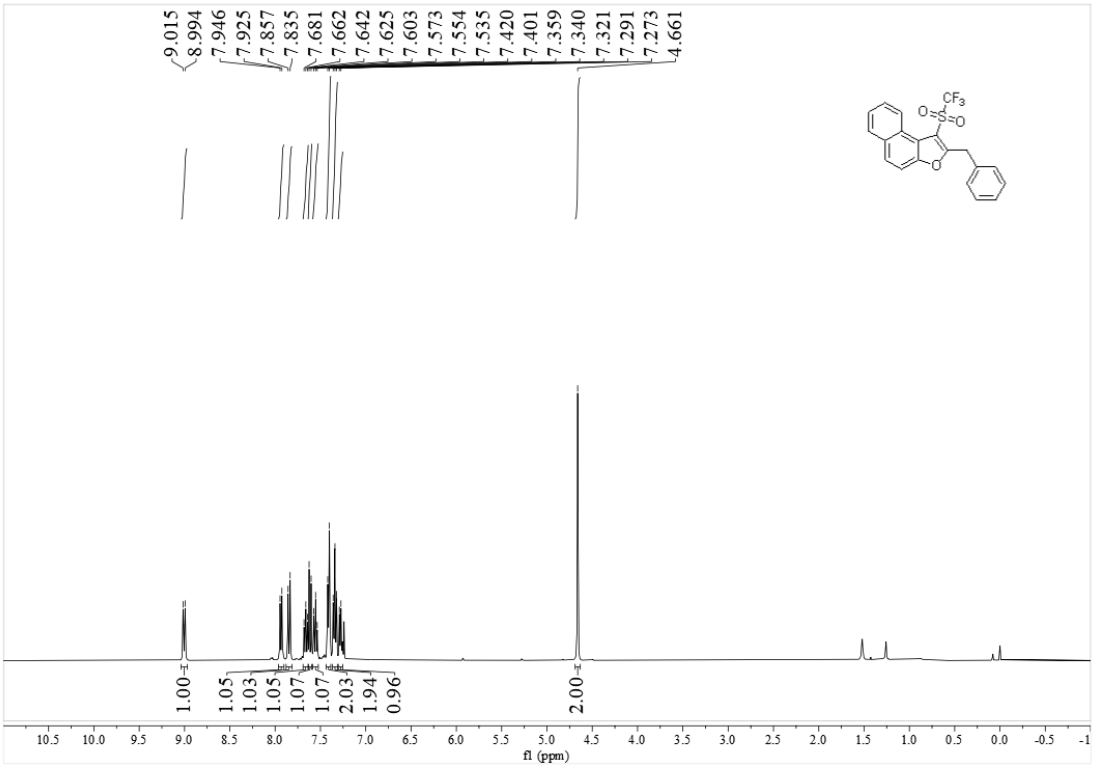
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3w**



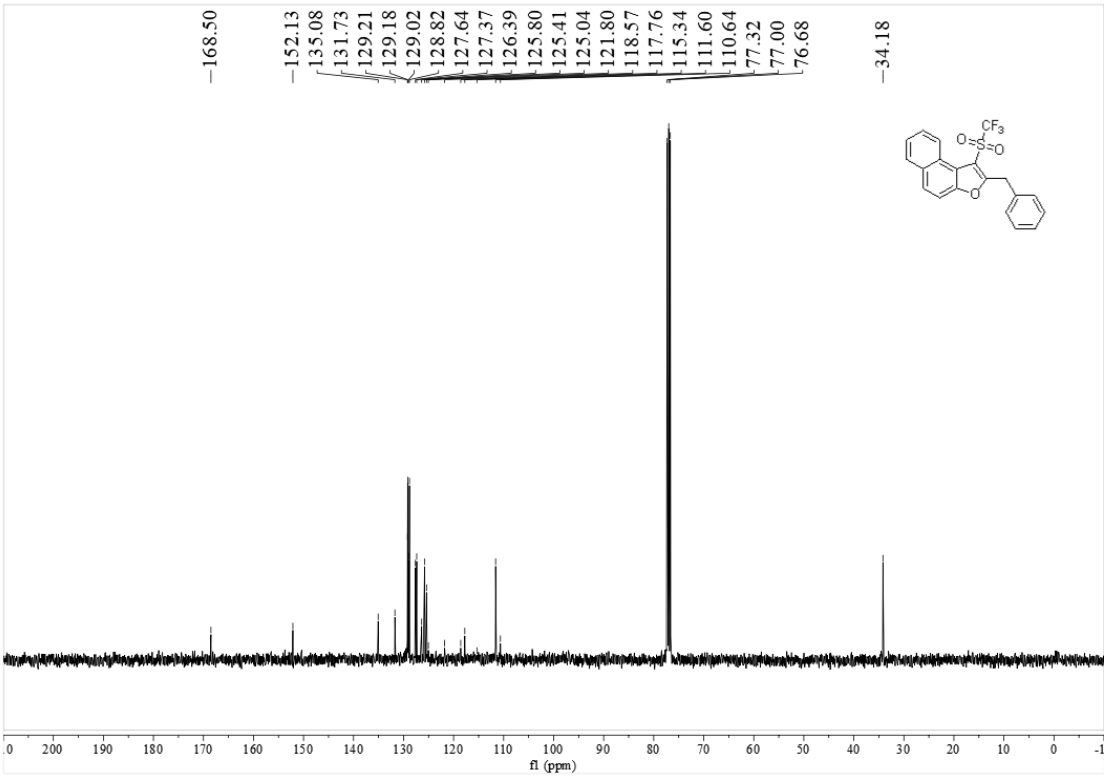
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3w**



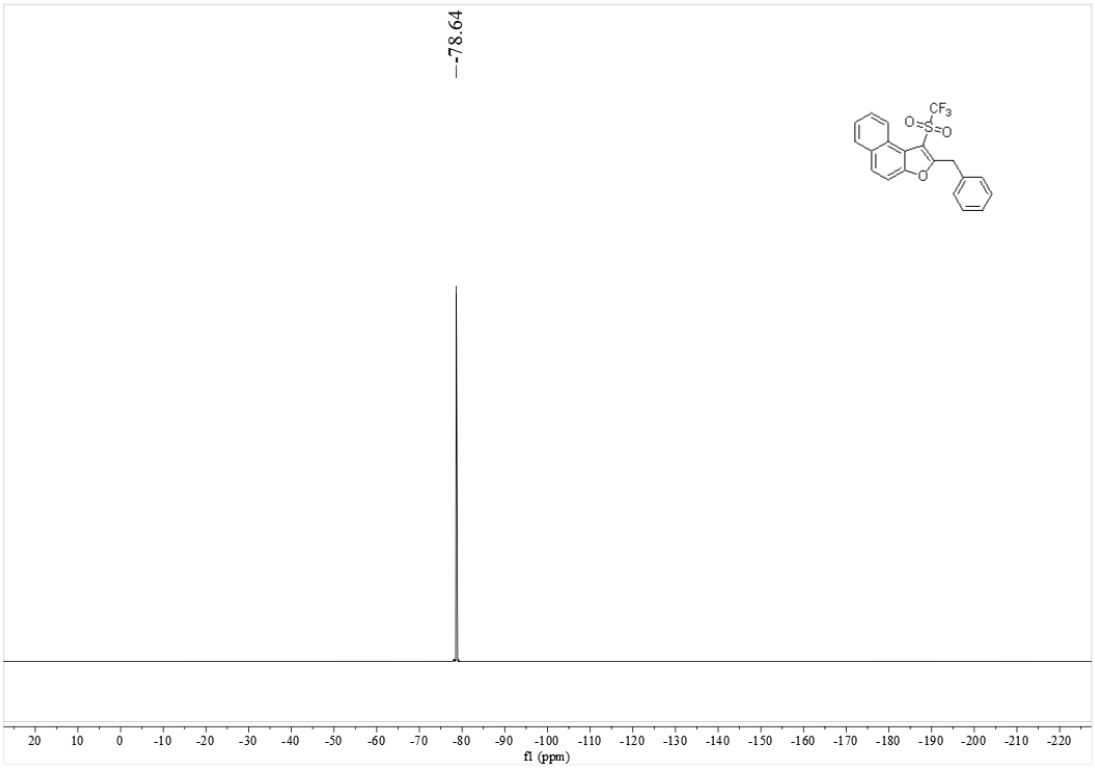
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3x**



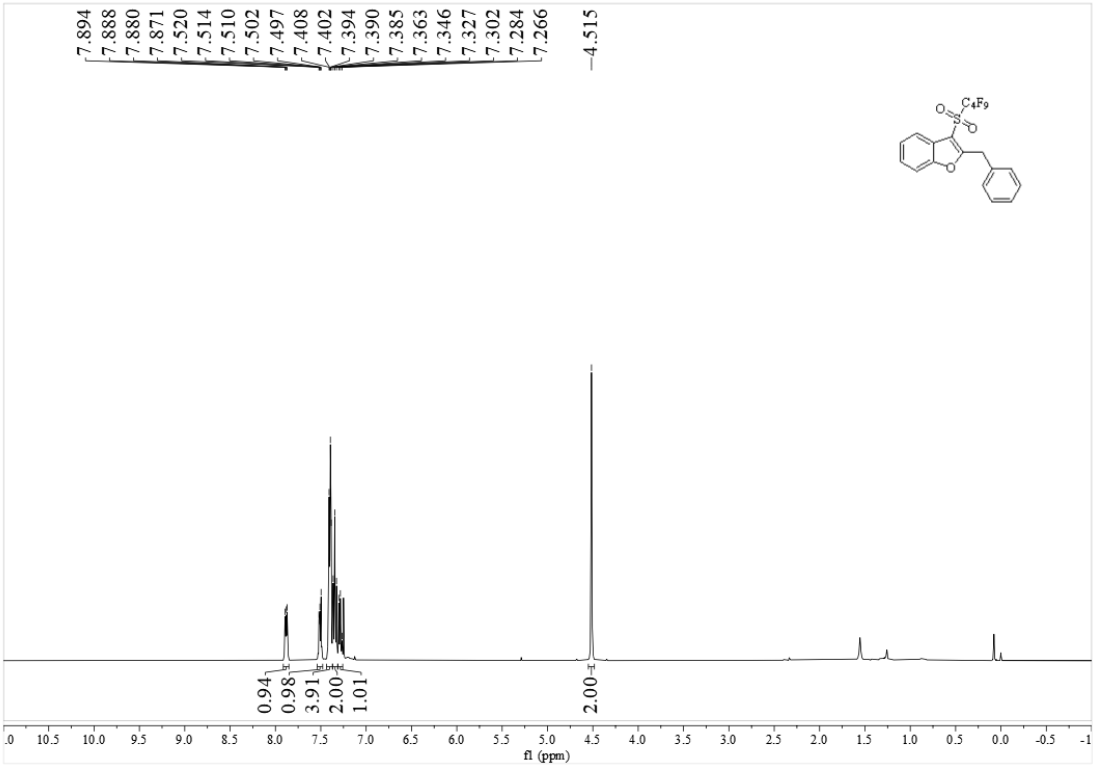
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3x**



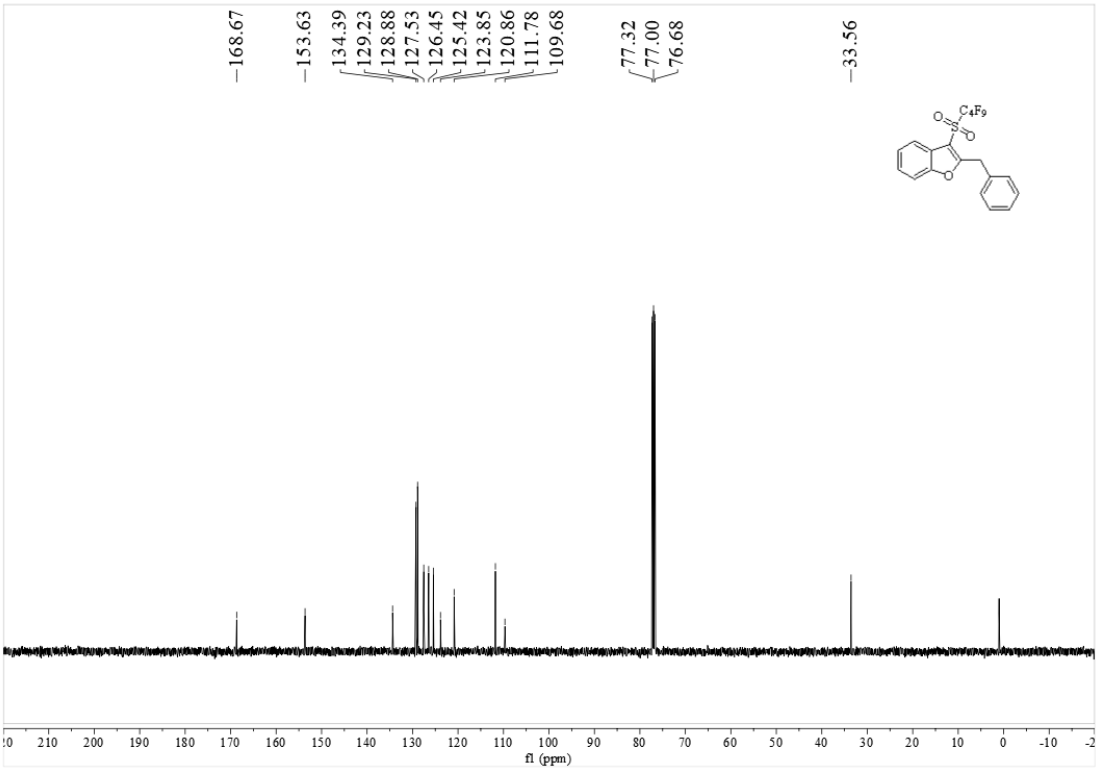
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3x**



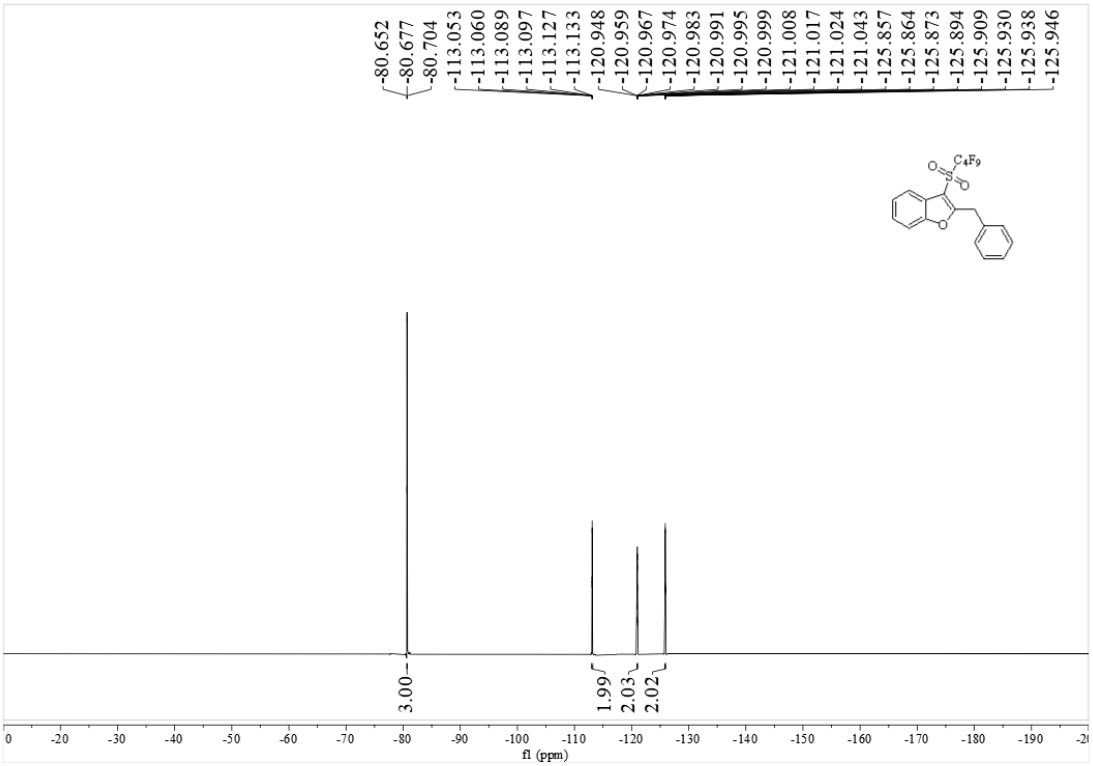
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3y**



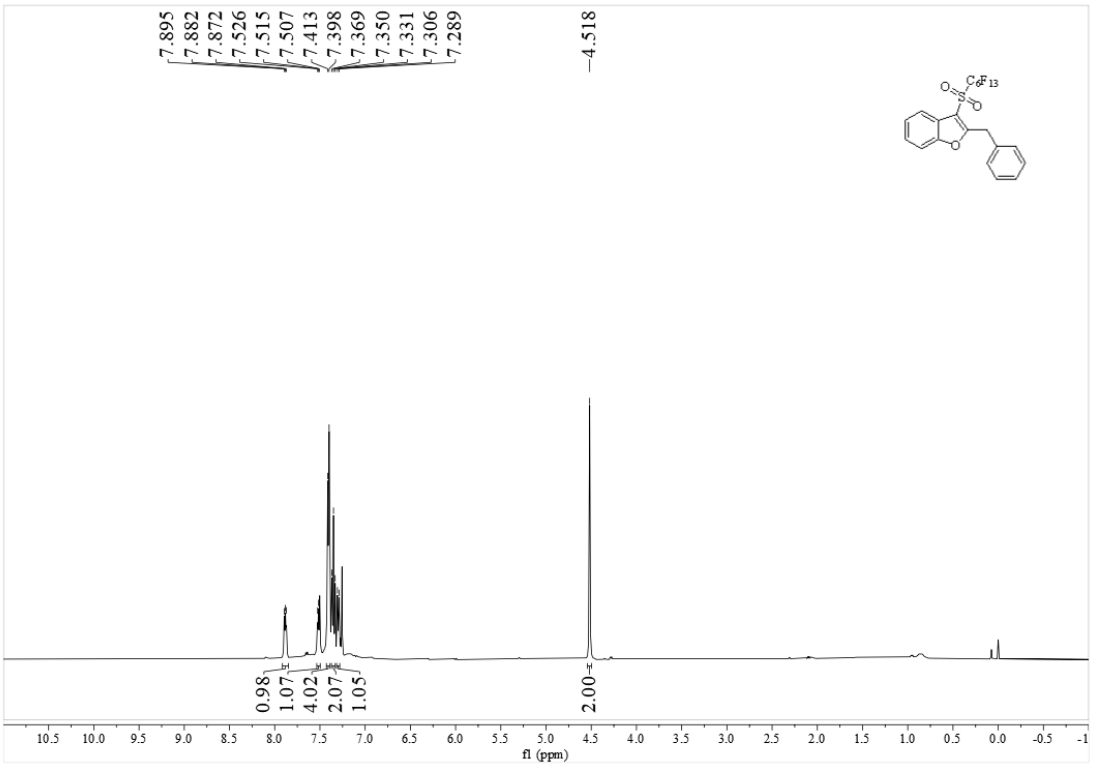
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3y**



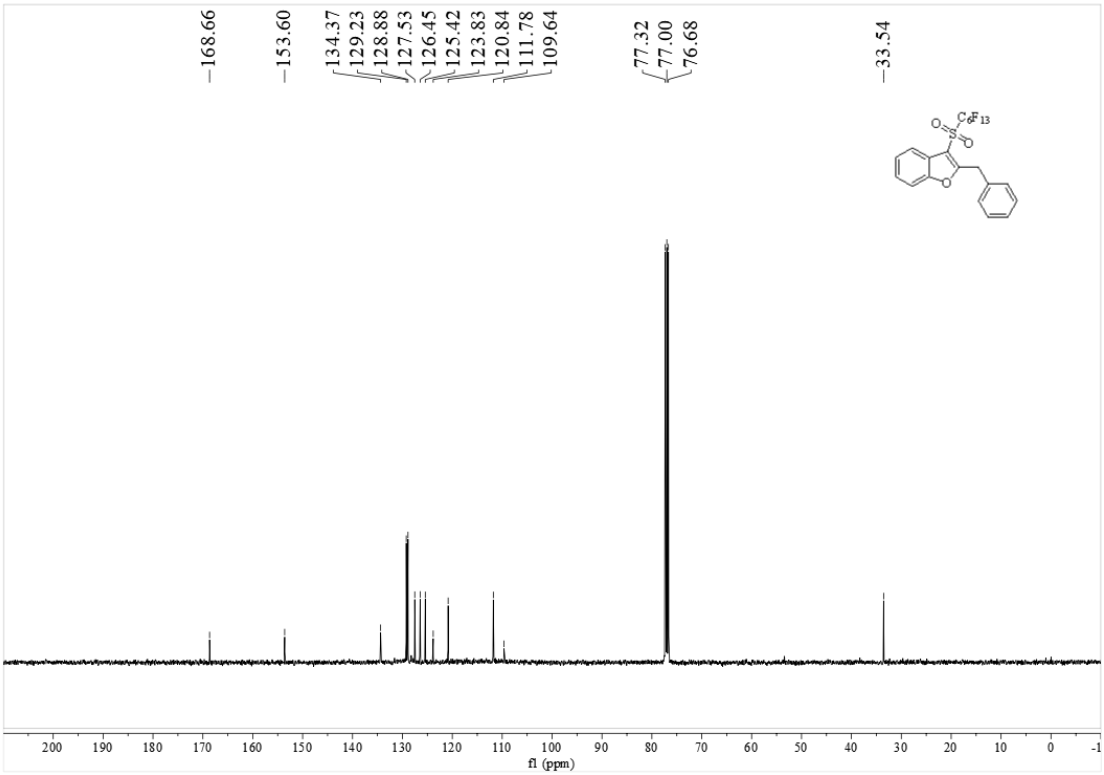
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3y**



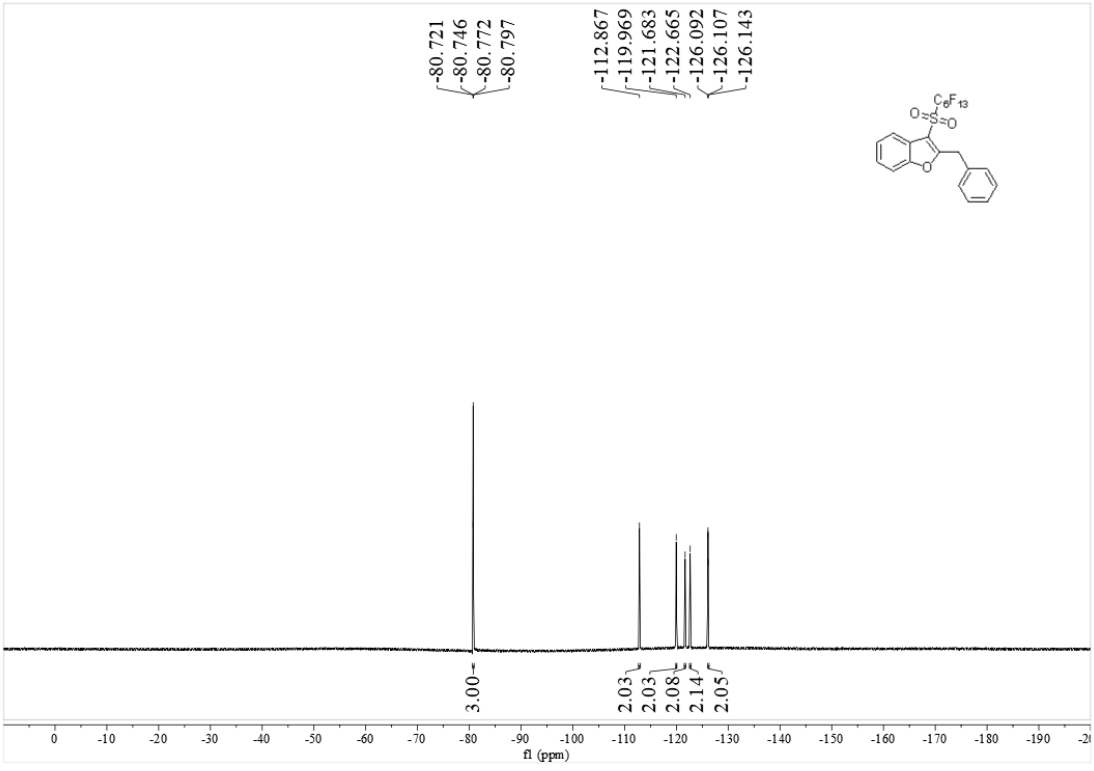
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3z**



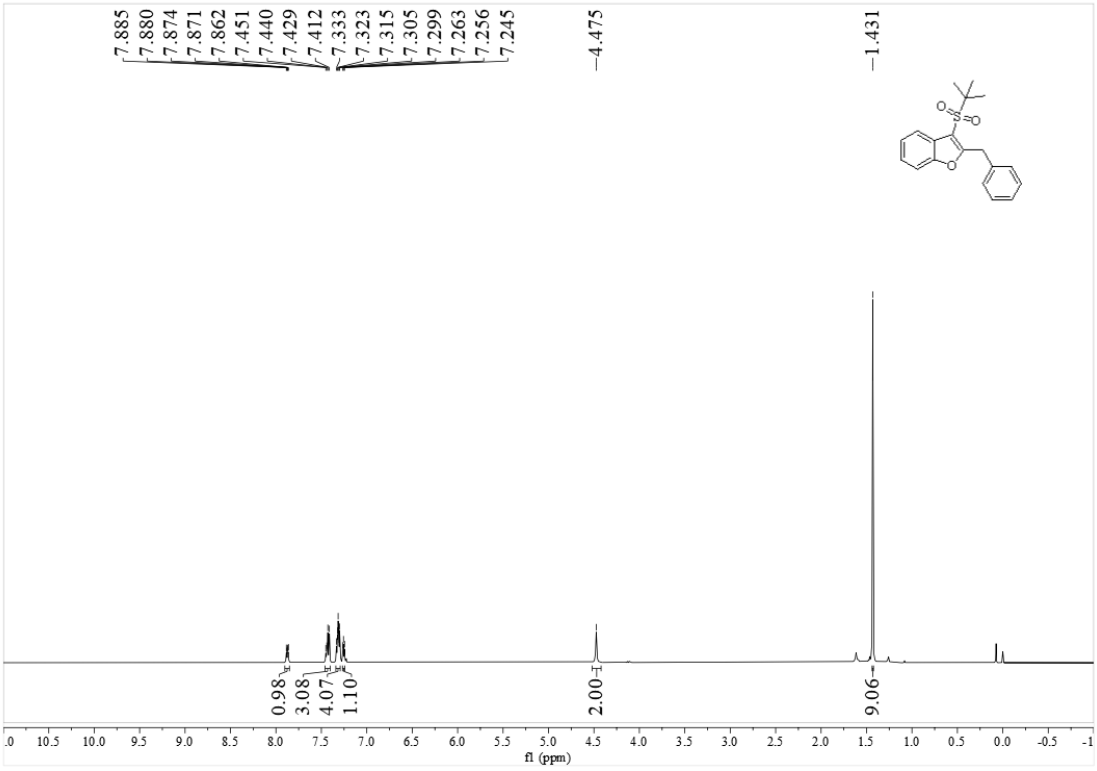
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3z**



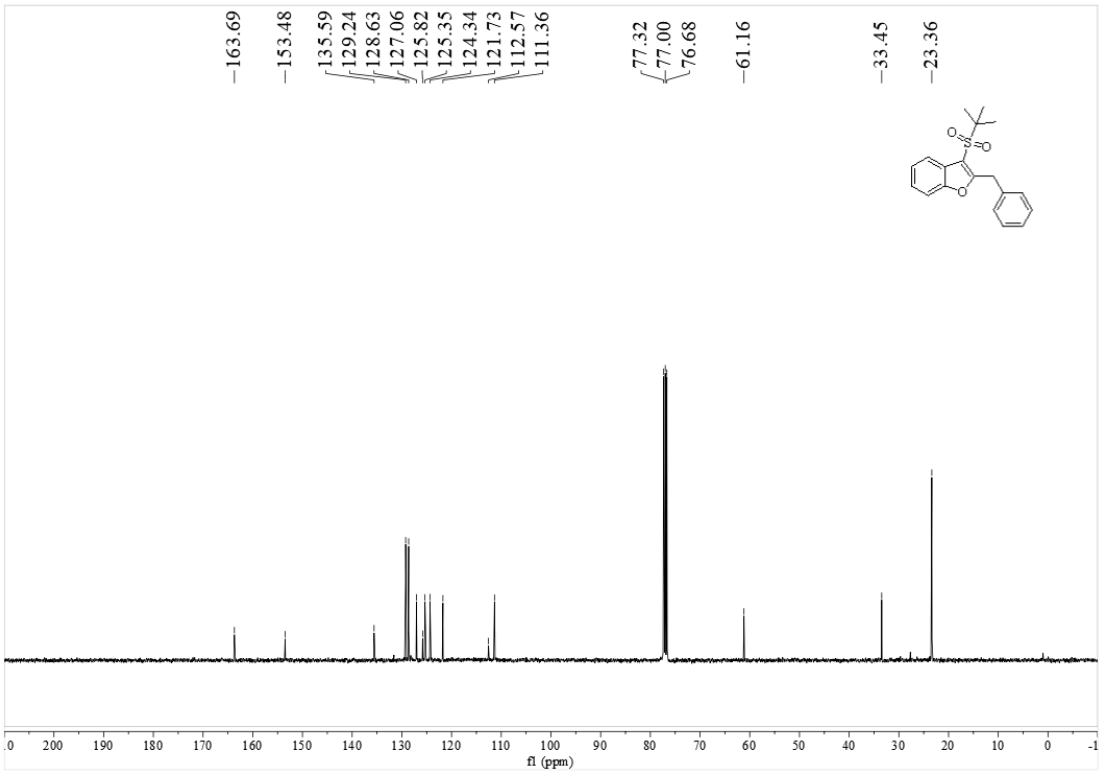
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **3z**



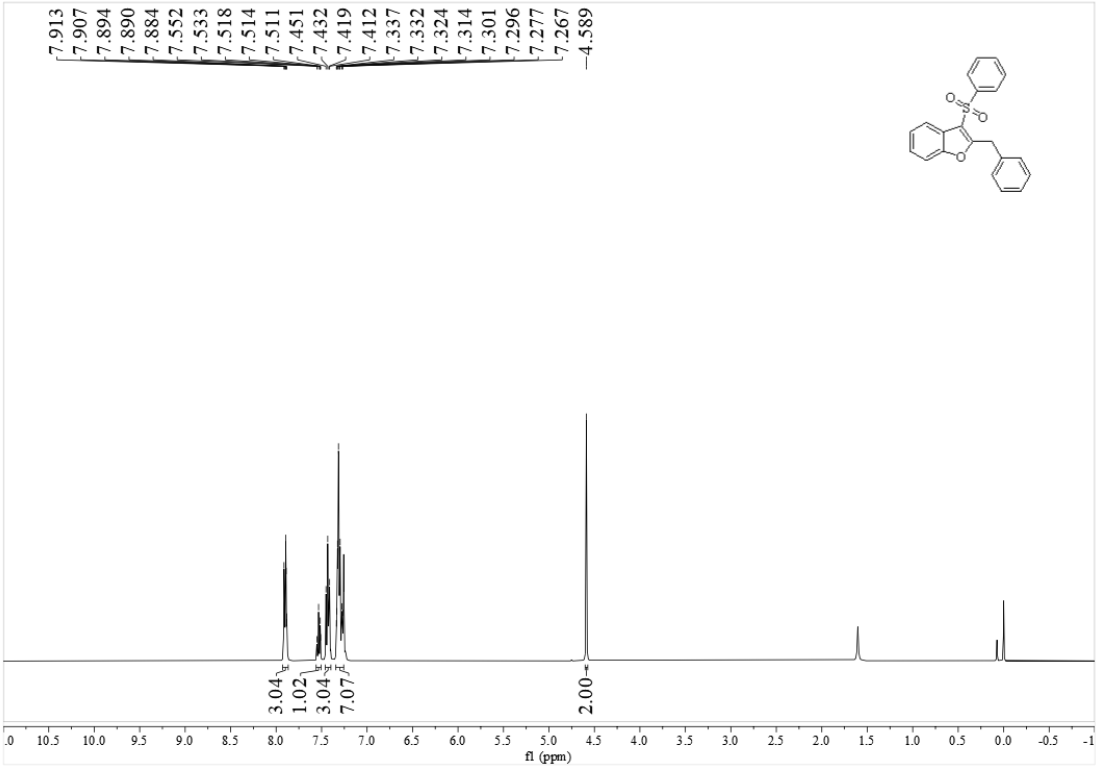
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3aa**



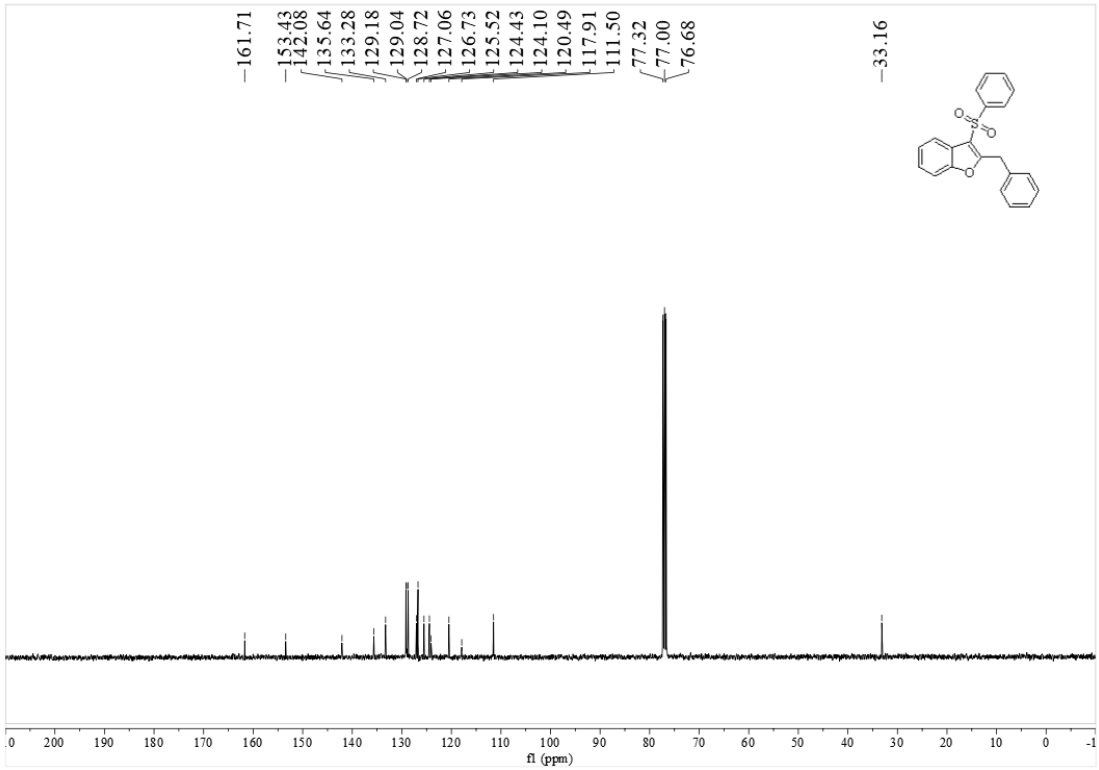
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3aa**



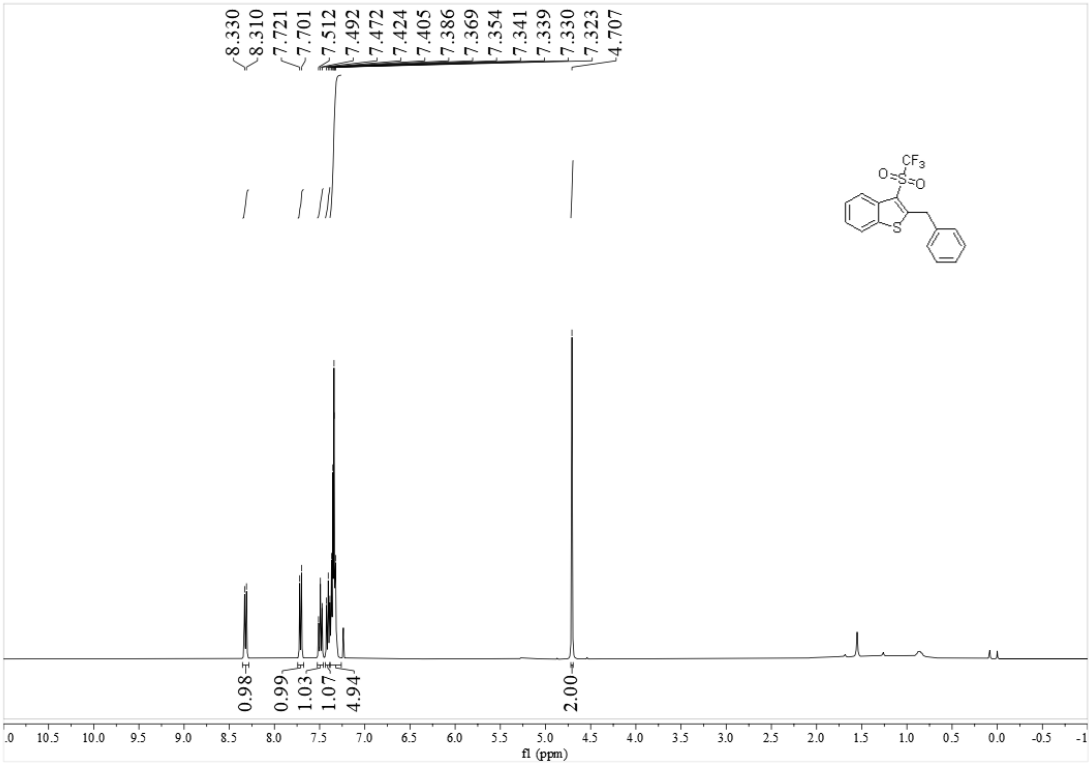
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **3ab**



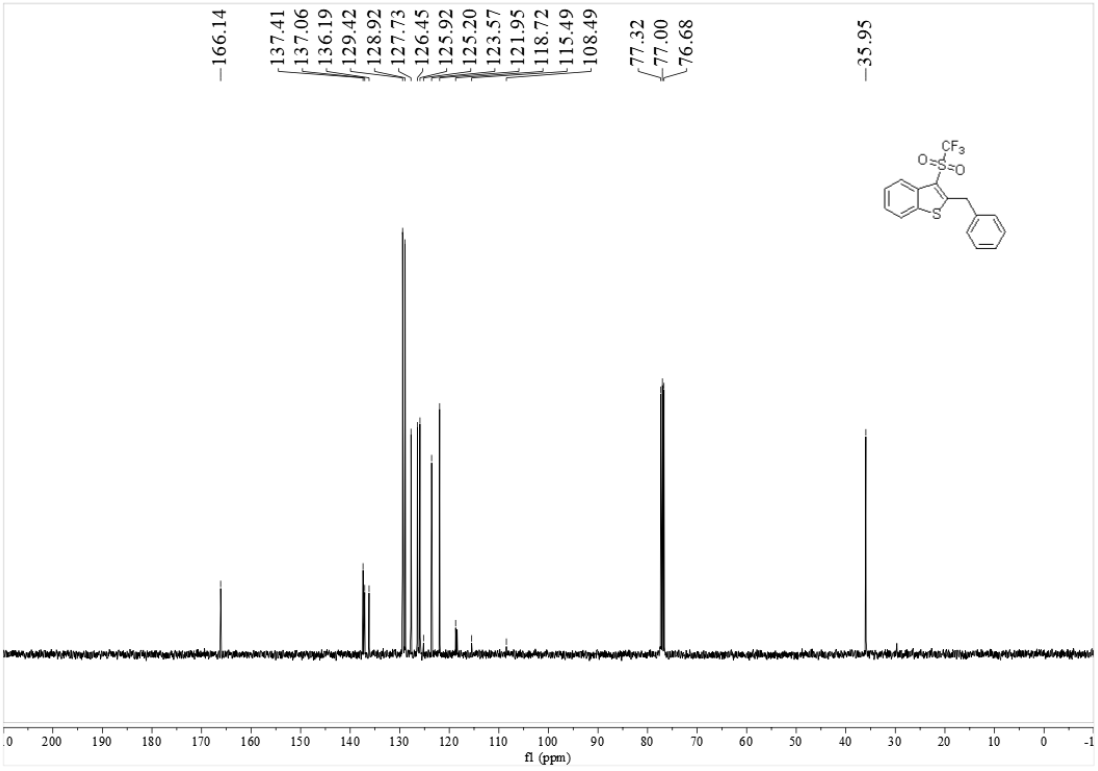
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **3ab**



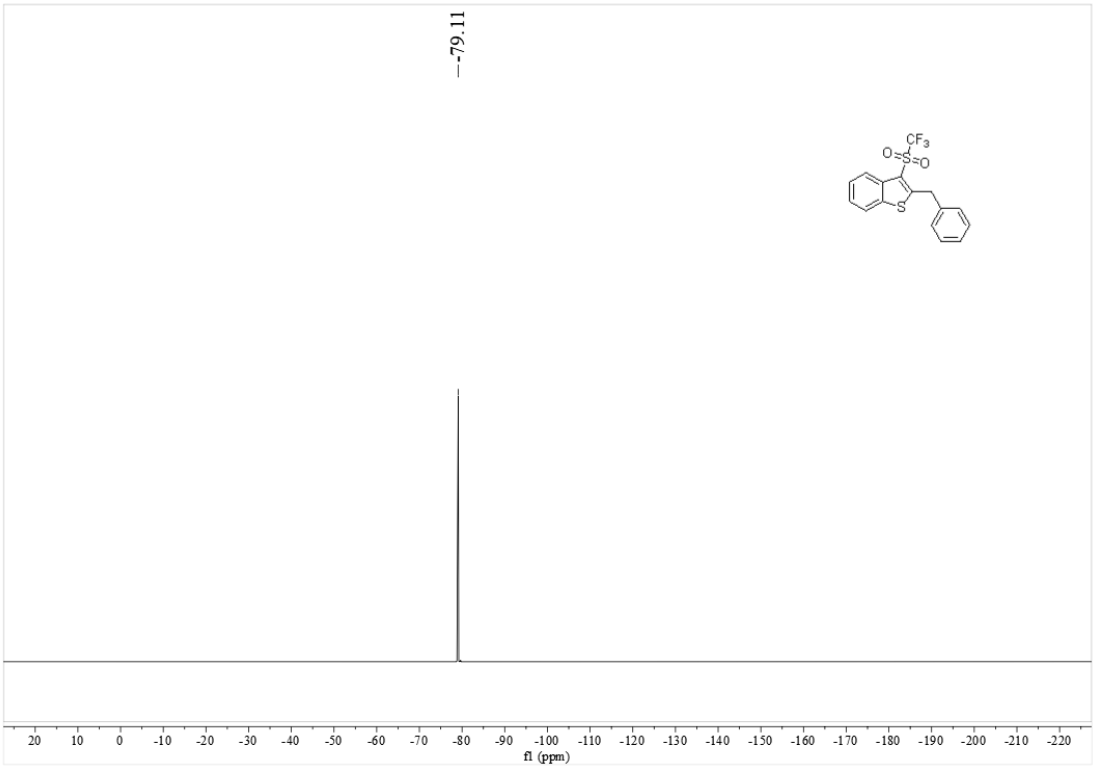
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **7**



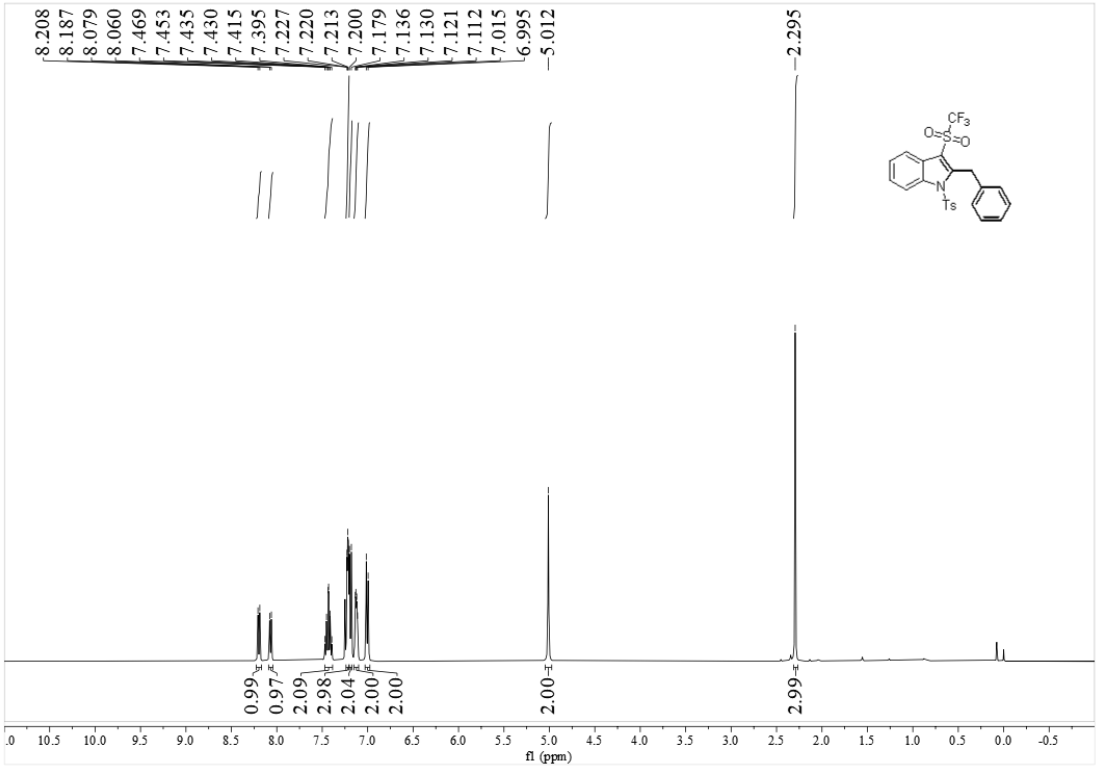
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **7**



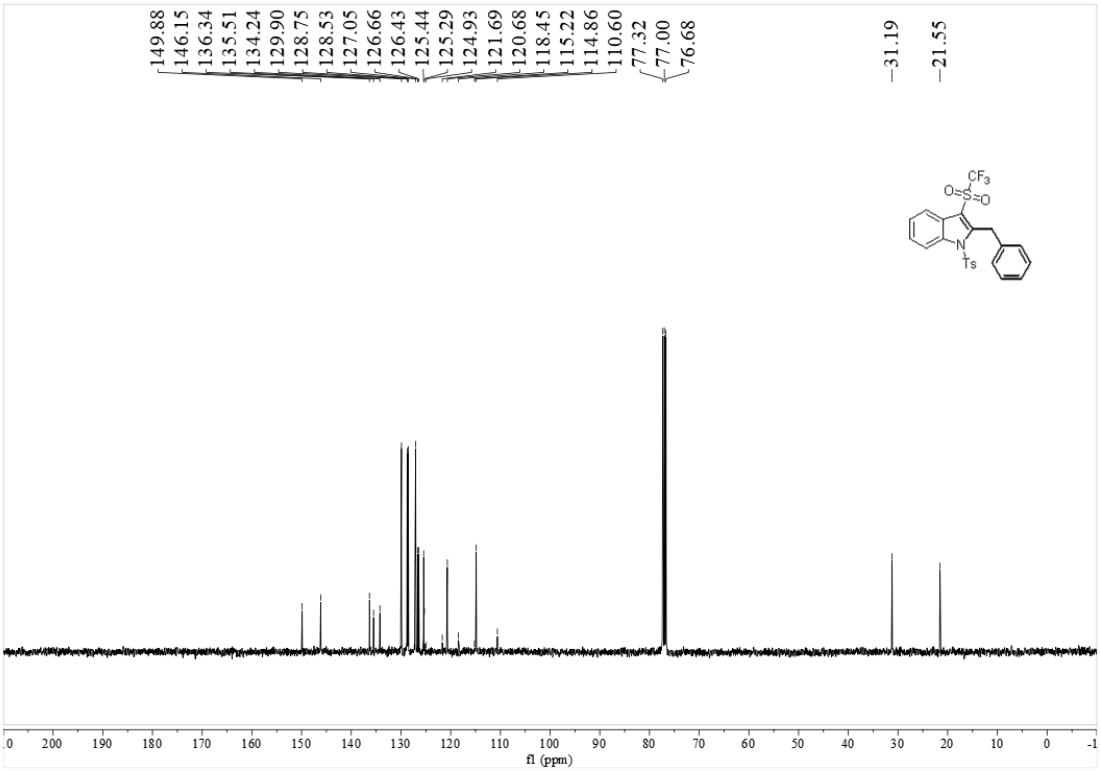
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **7**



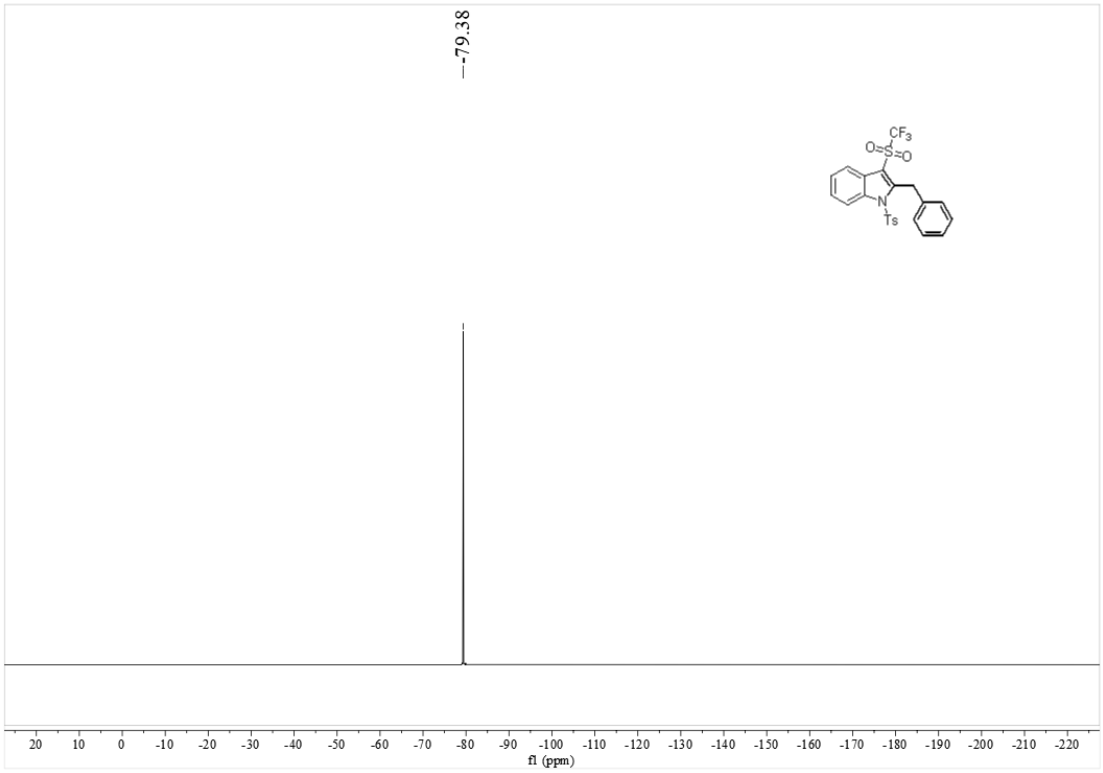
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5a**



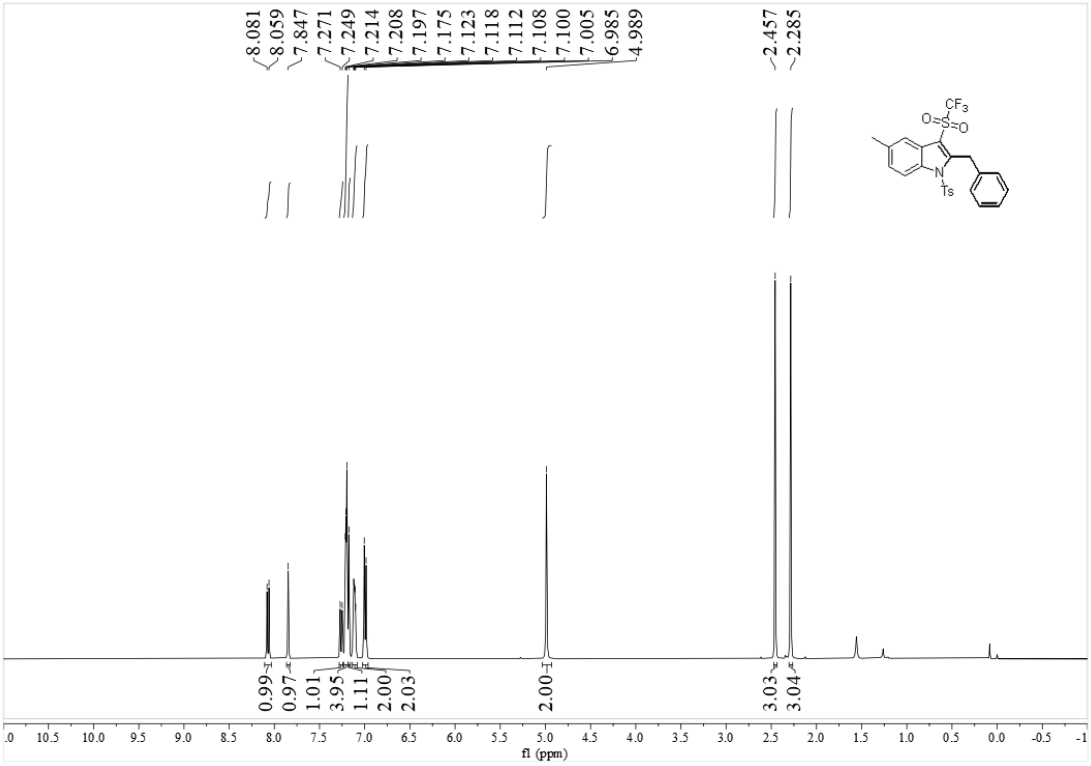
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5a**



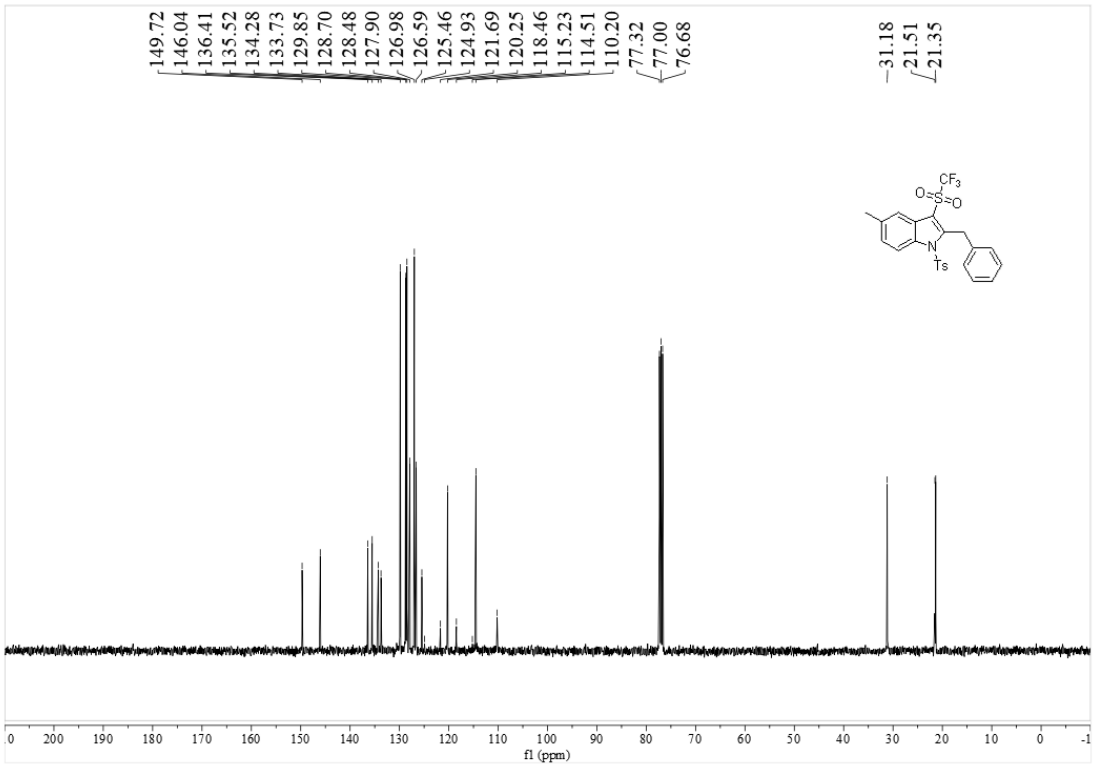
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5a**



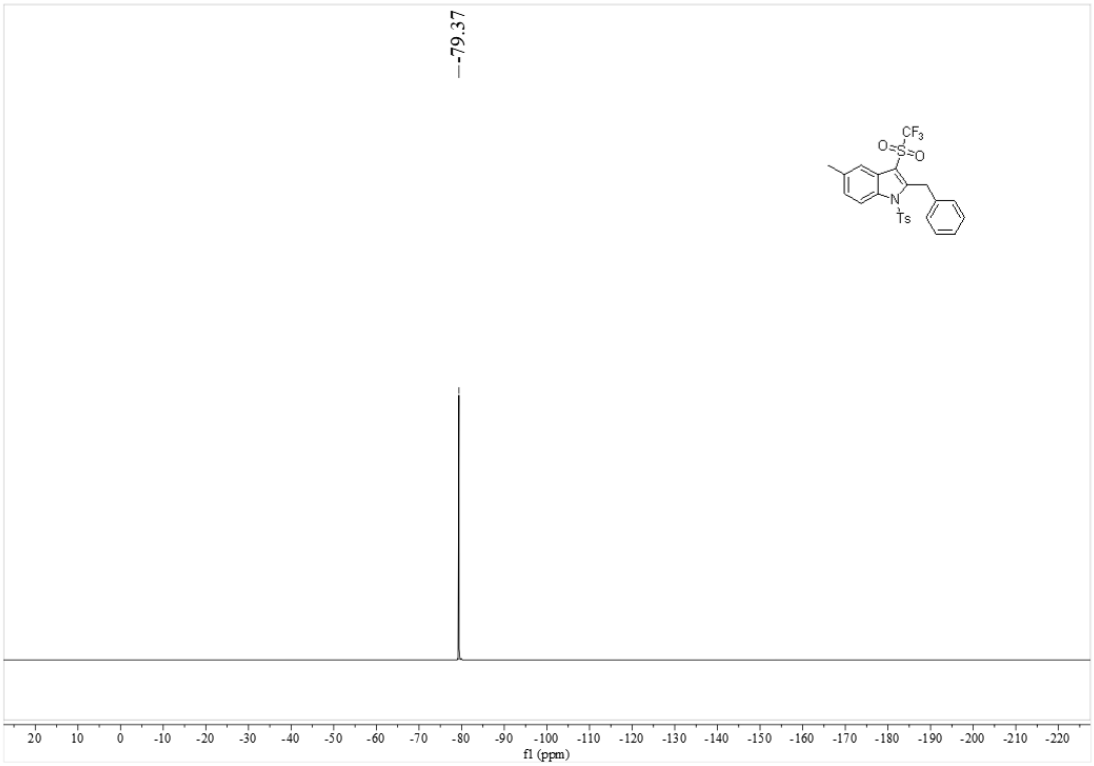
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5b**



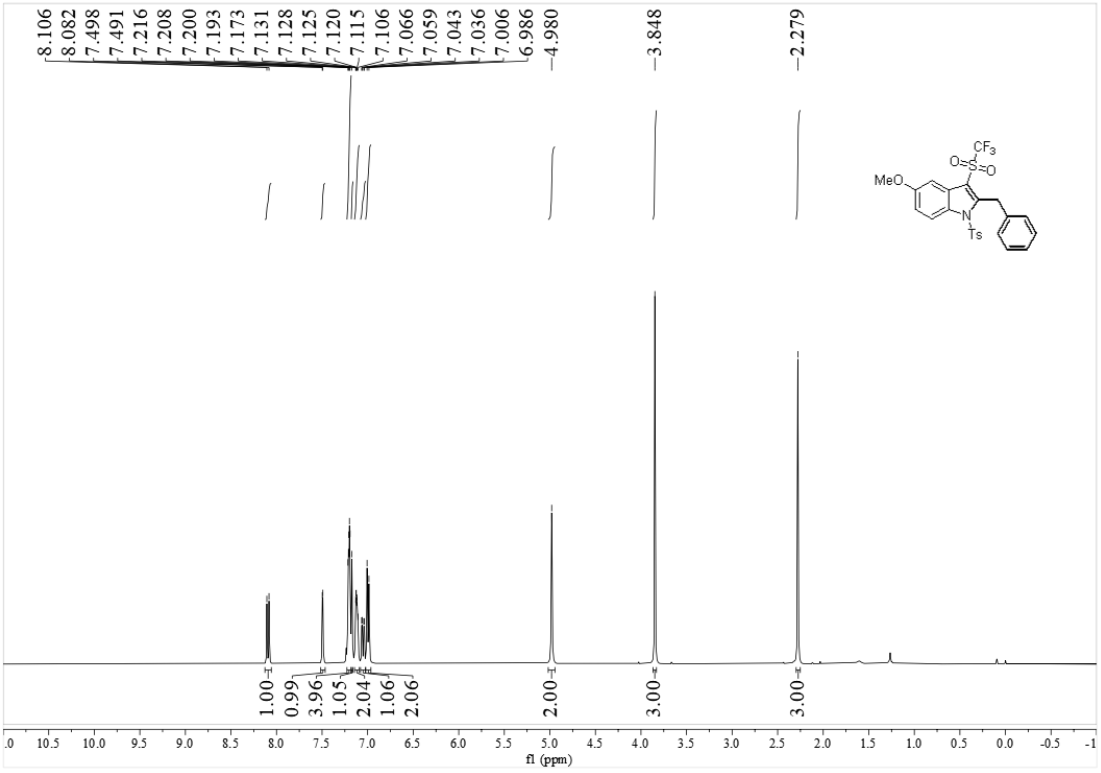
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5b**



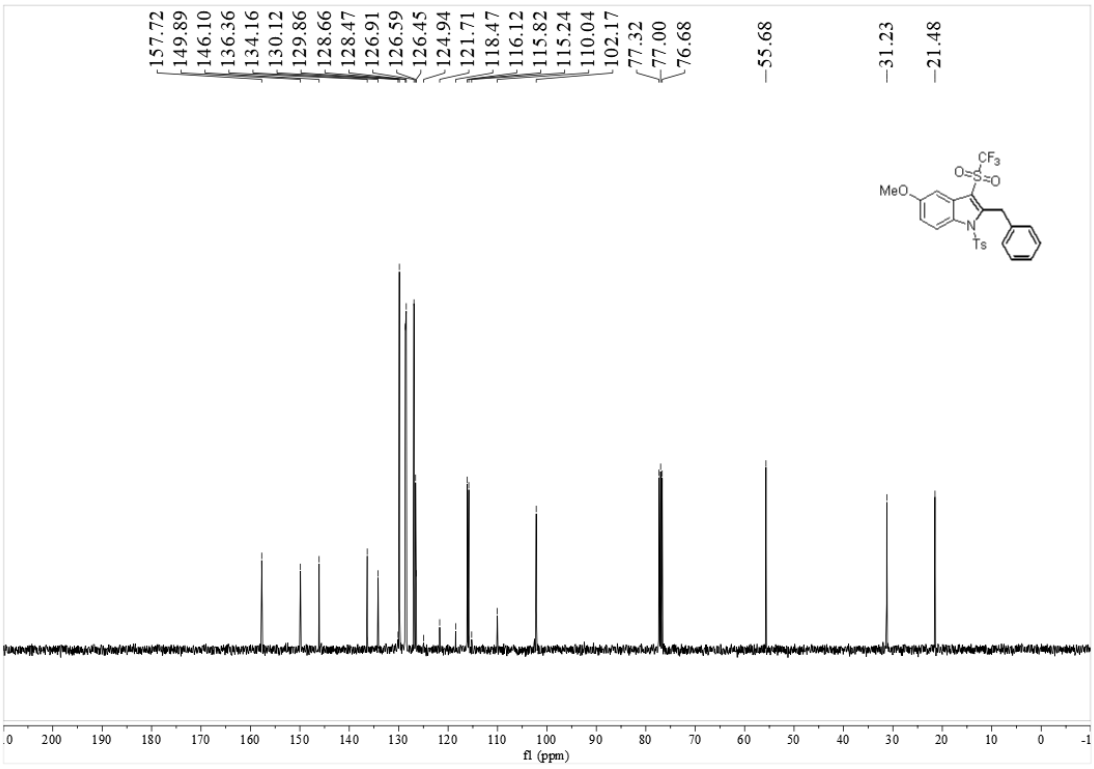
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5b**



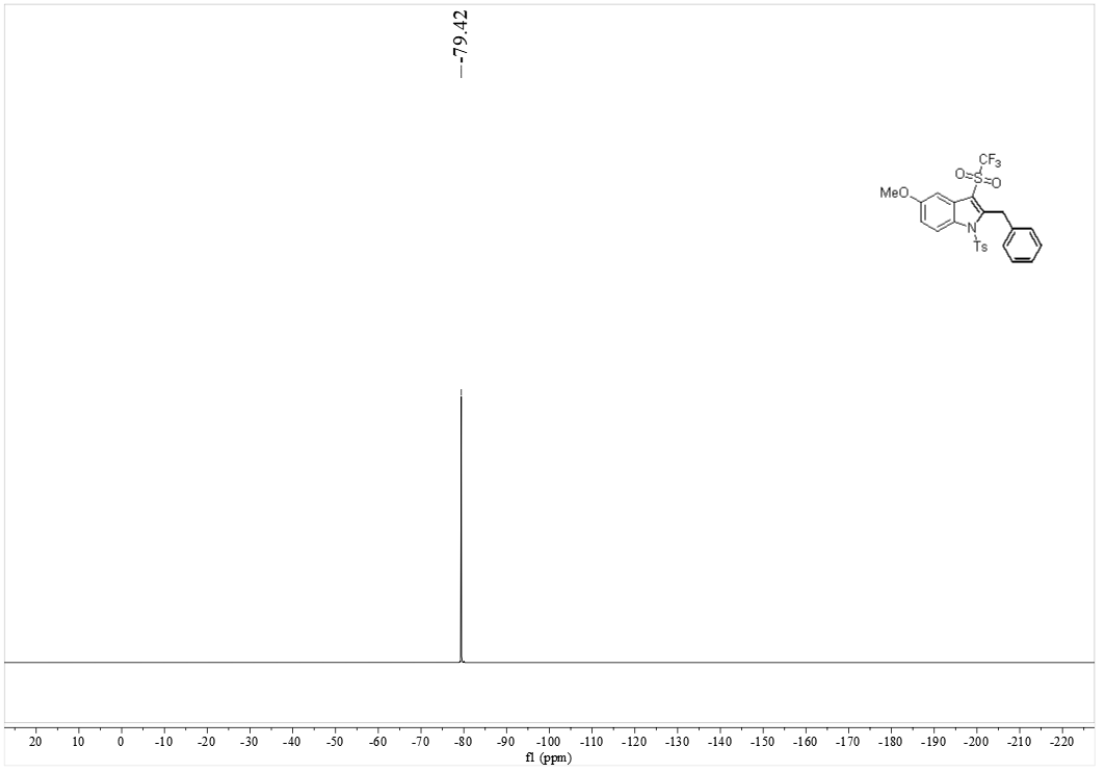
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5c**



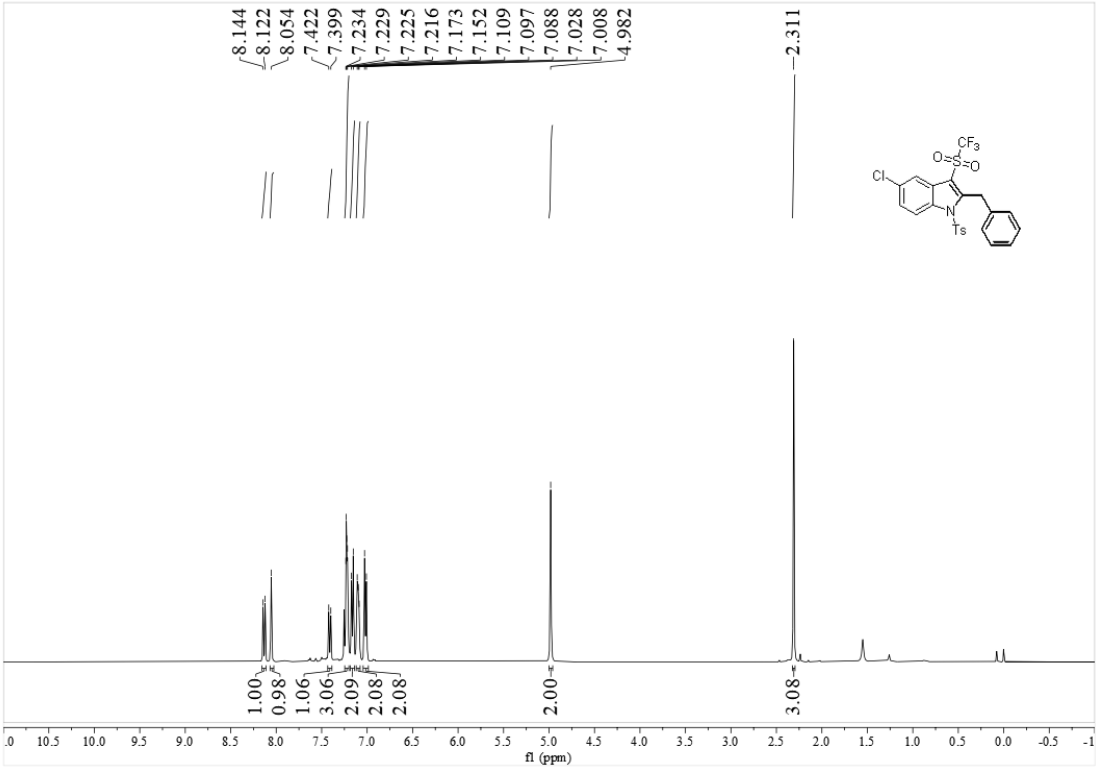
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5c**



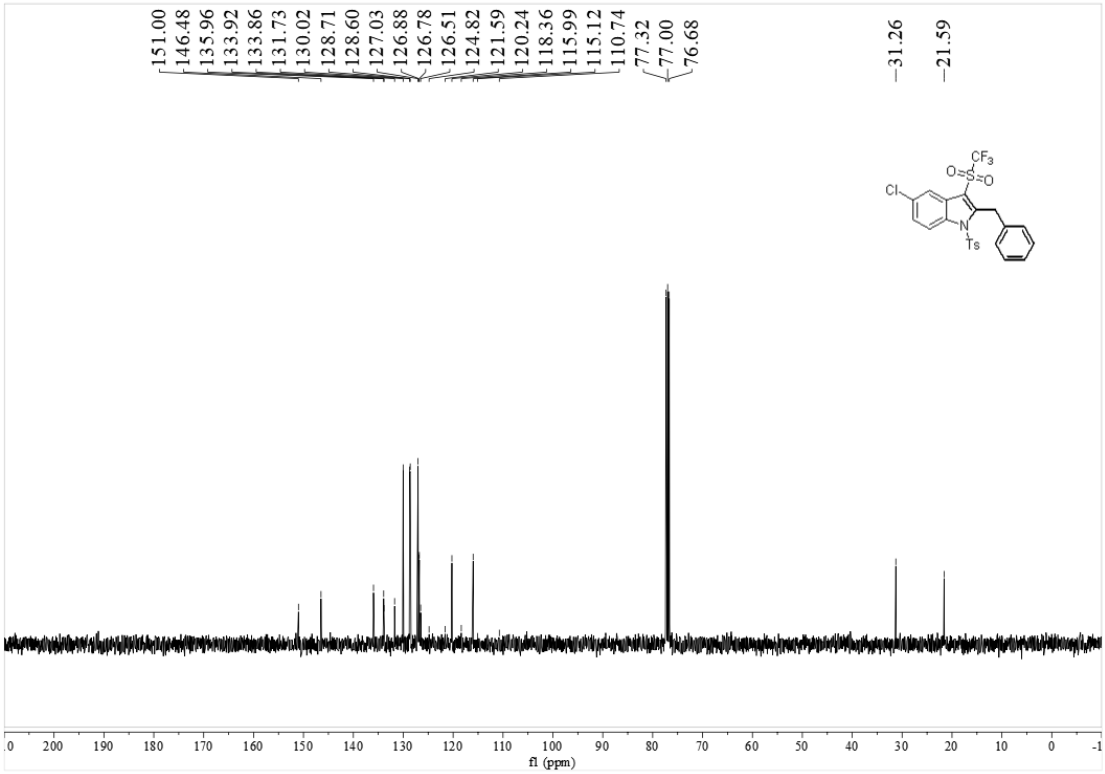
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5c**



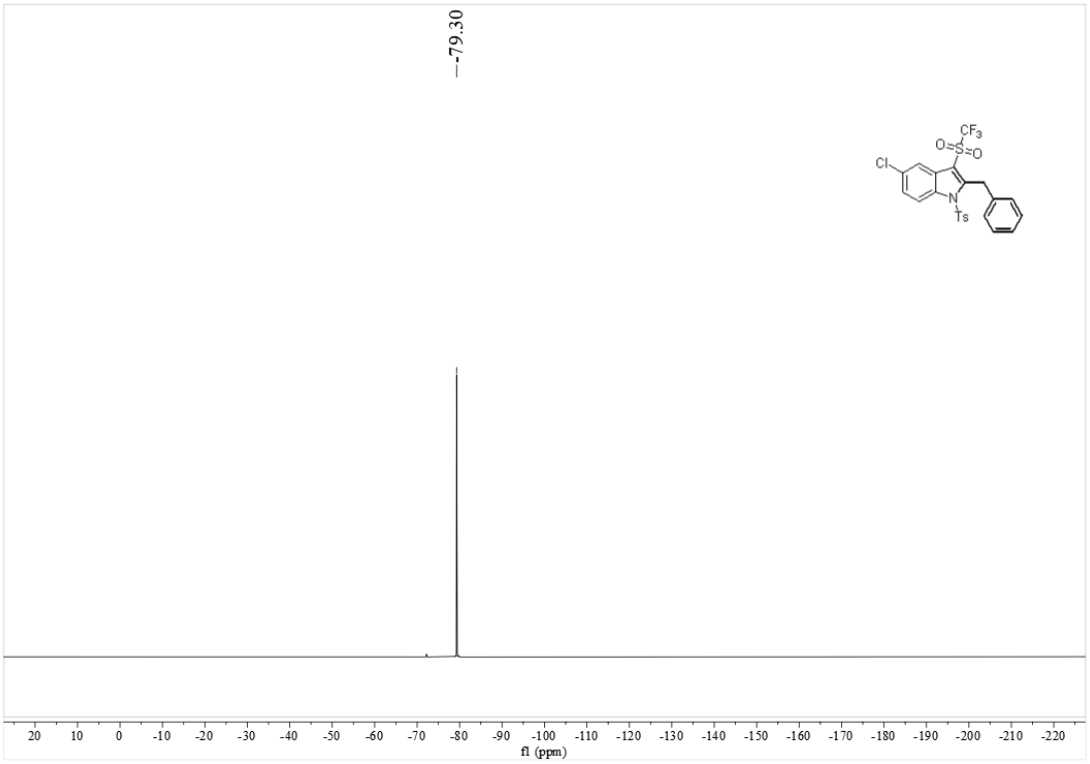
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5d**



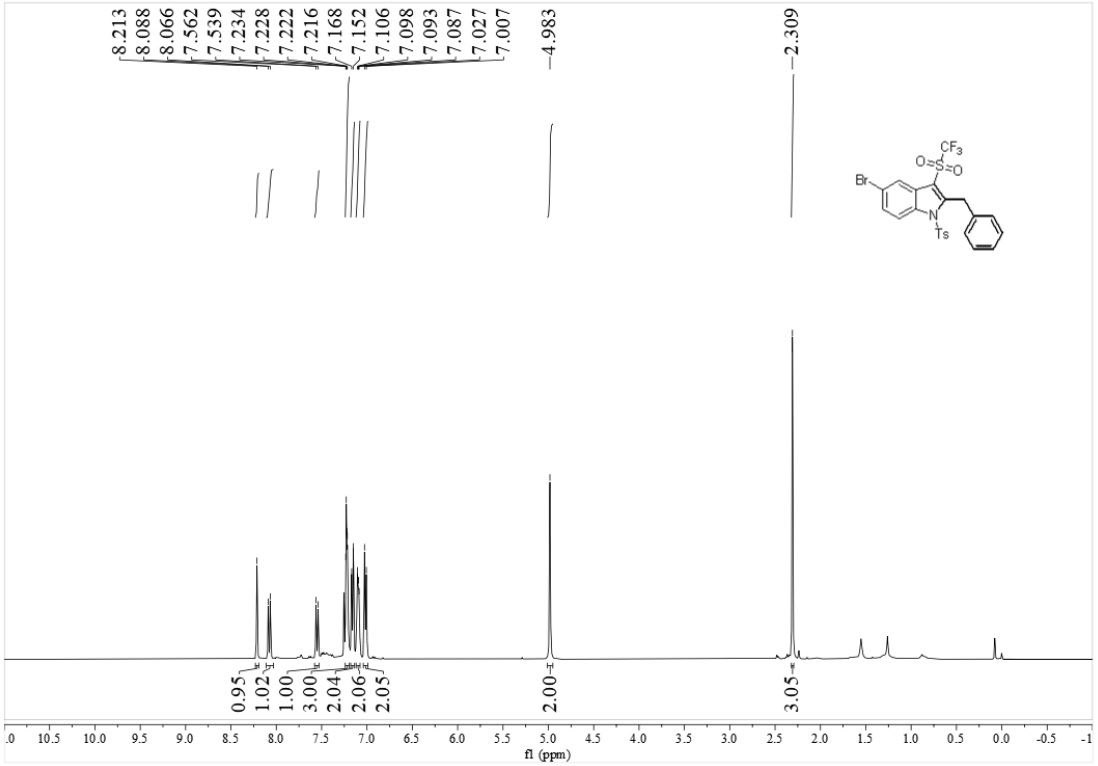
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5d**



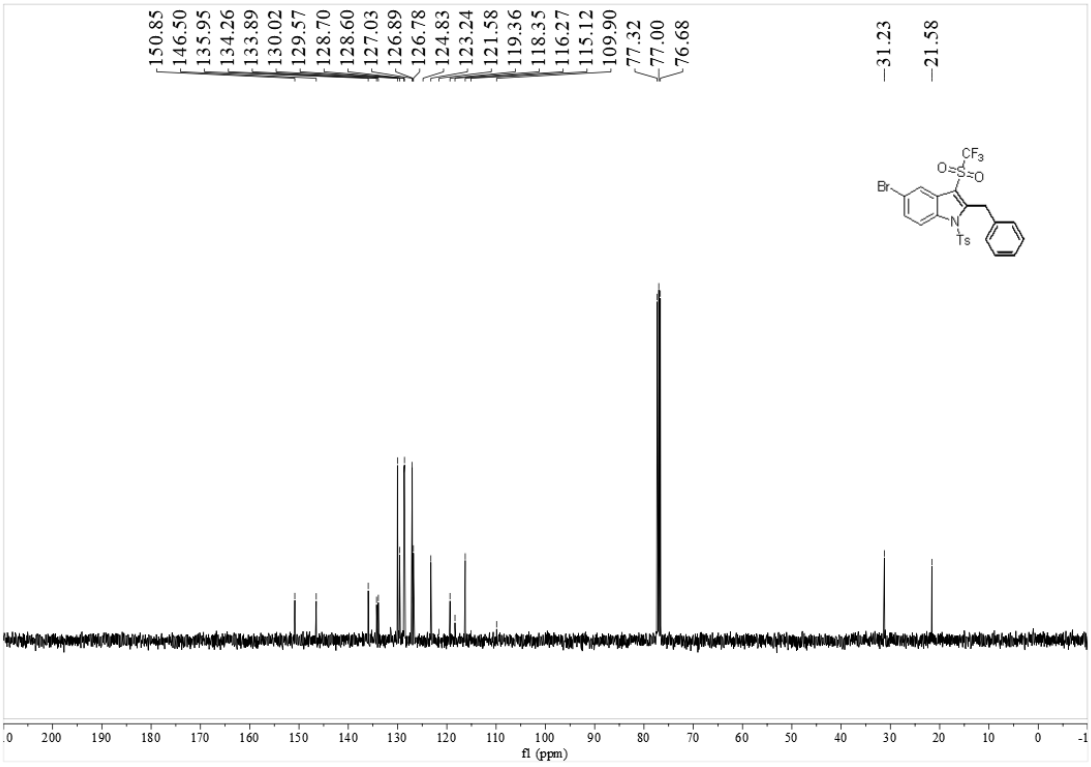
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5d**



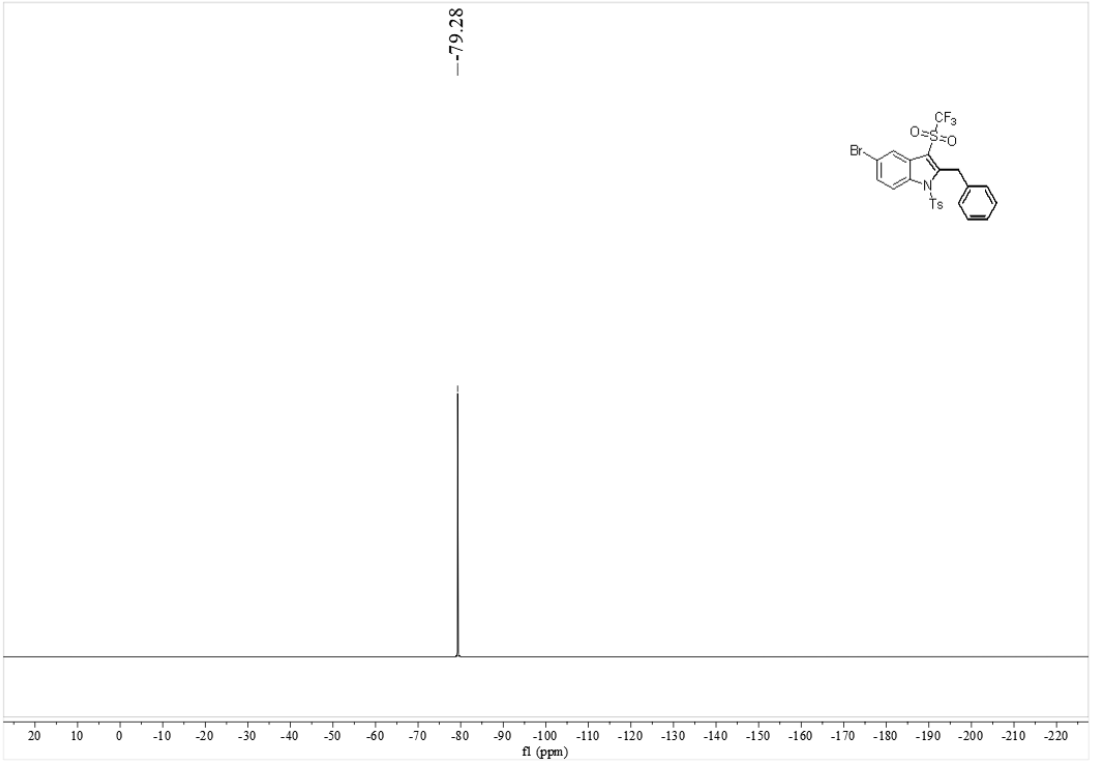
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5e**



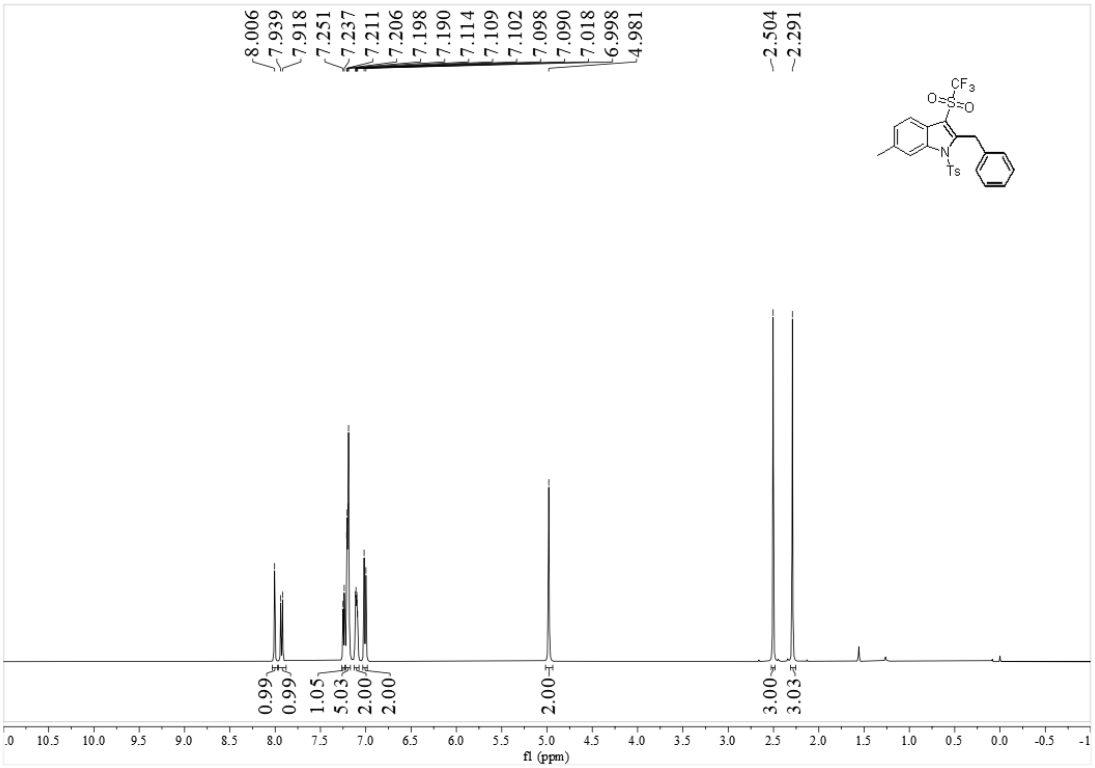
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5e**



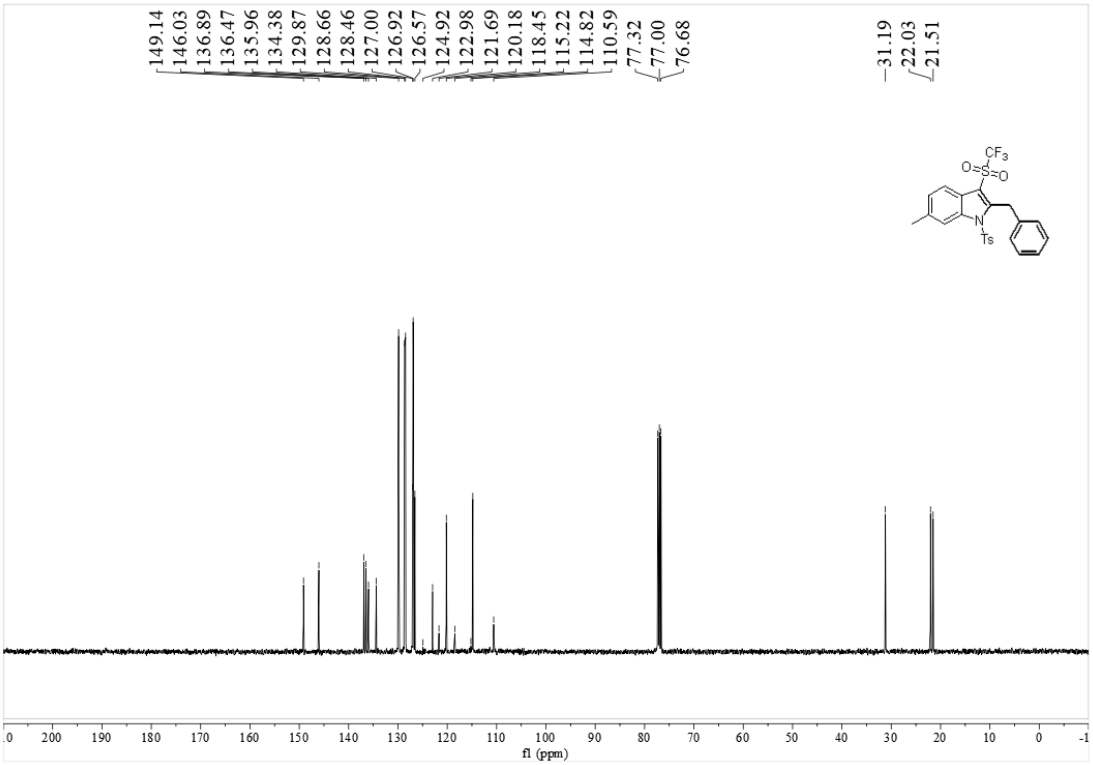
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5e**



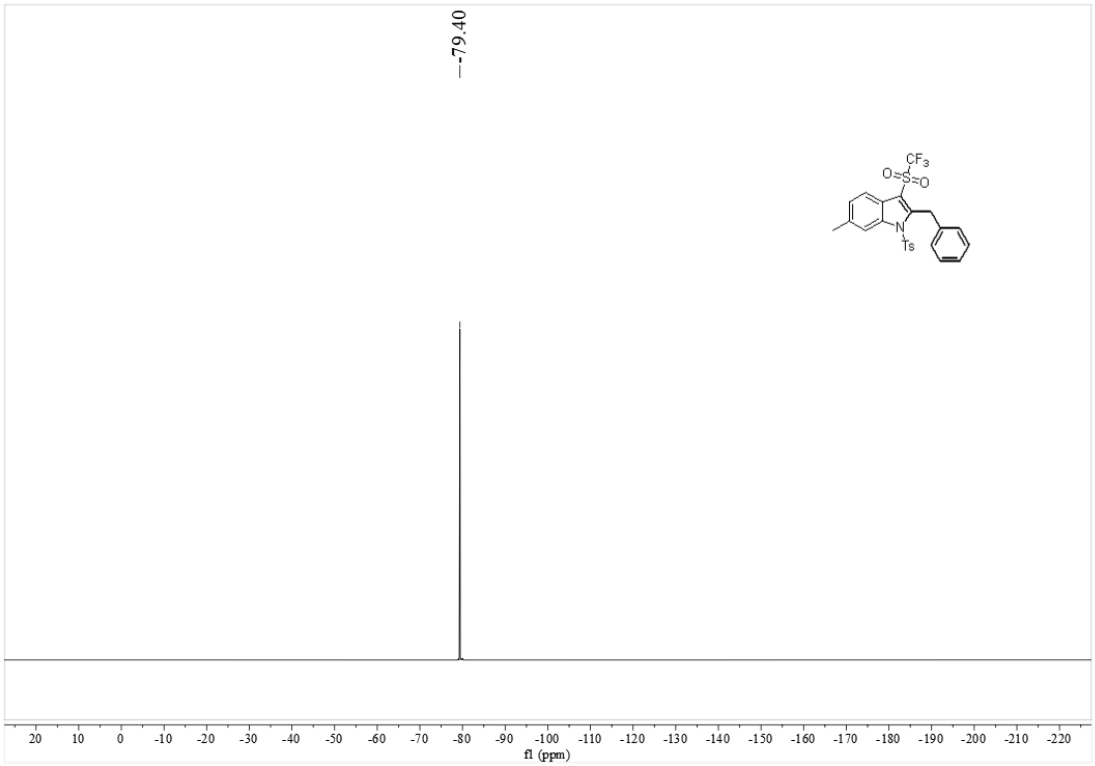
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5f**



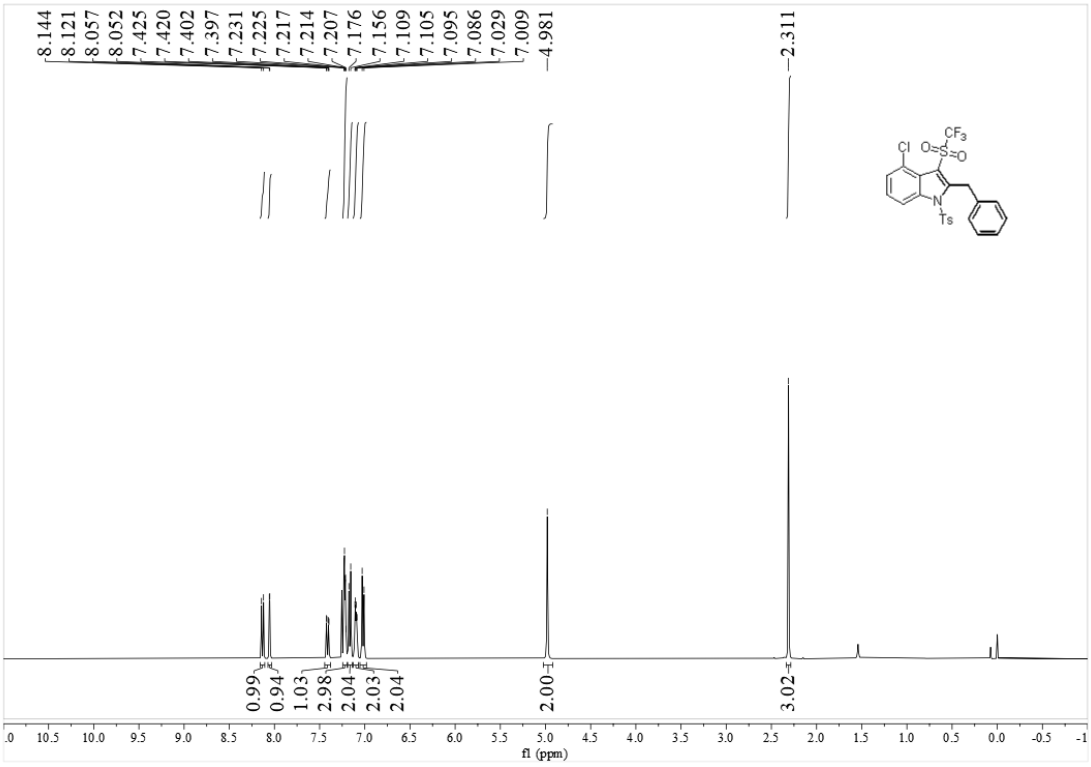
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5f**



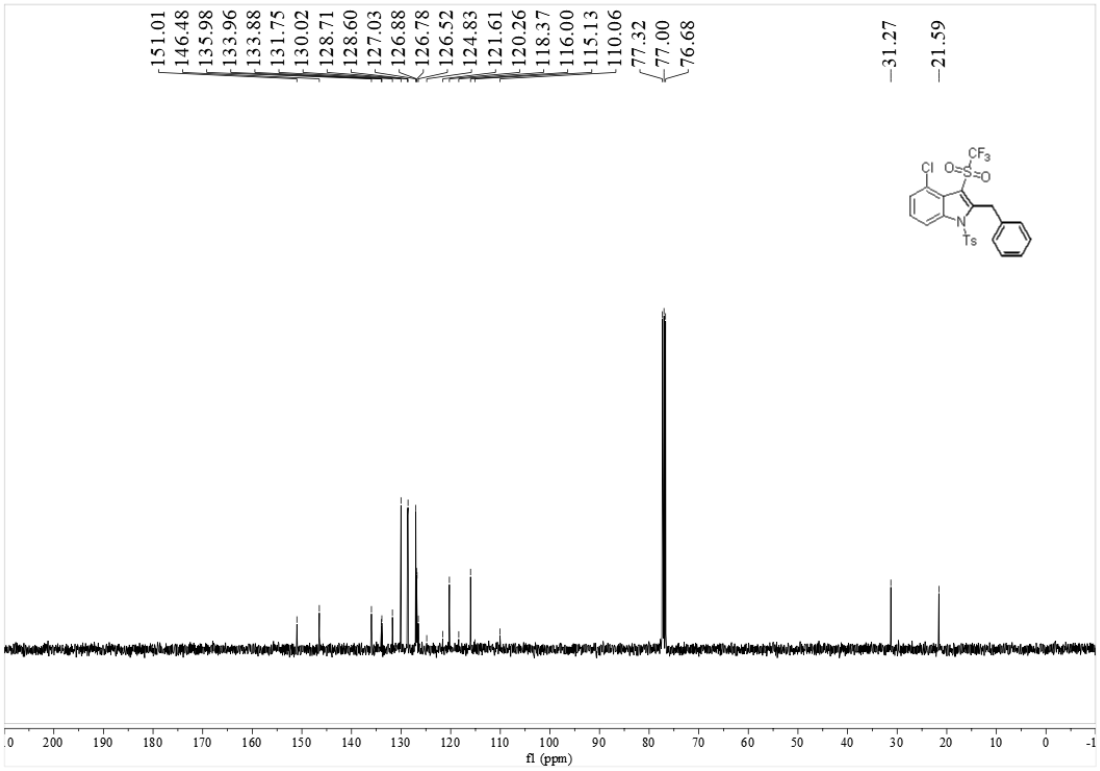
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5f**



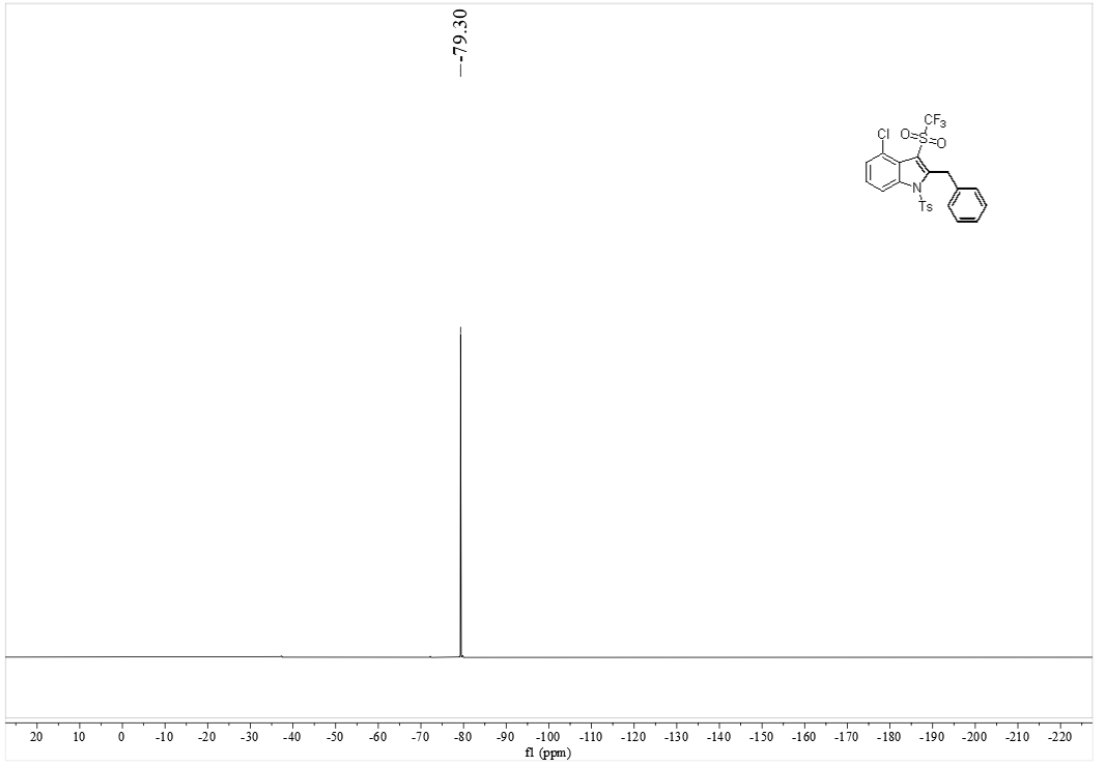
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5g**



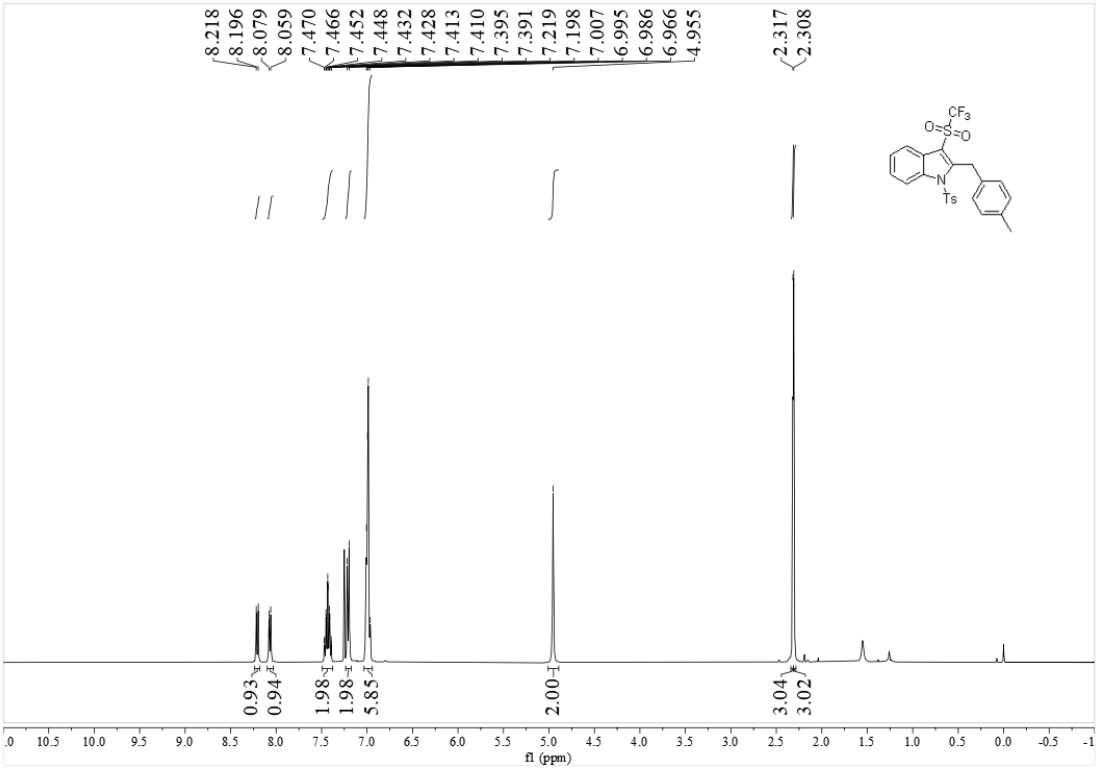
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5g**



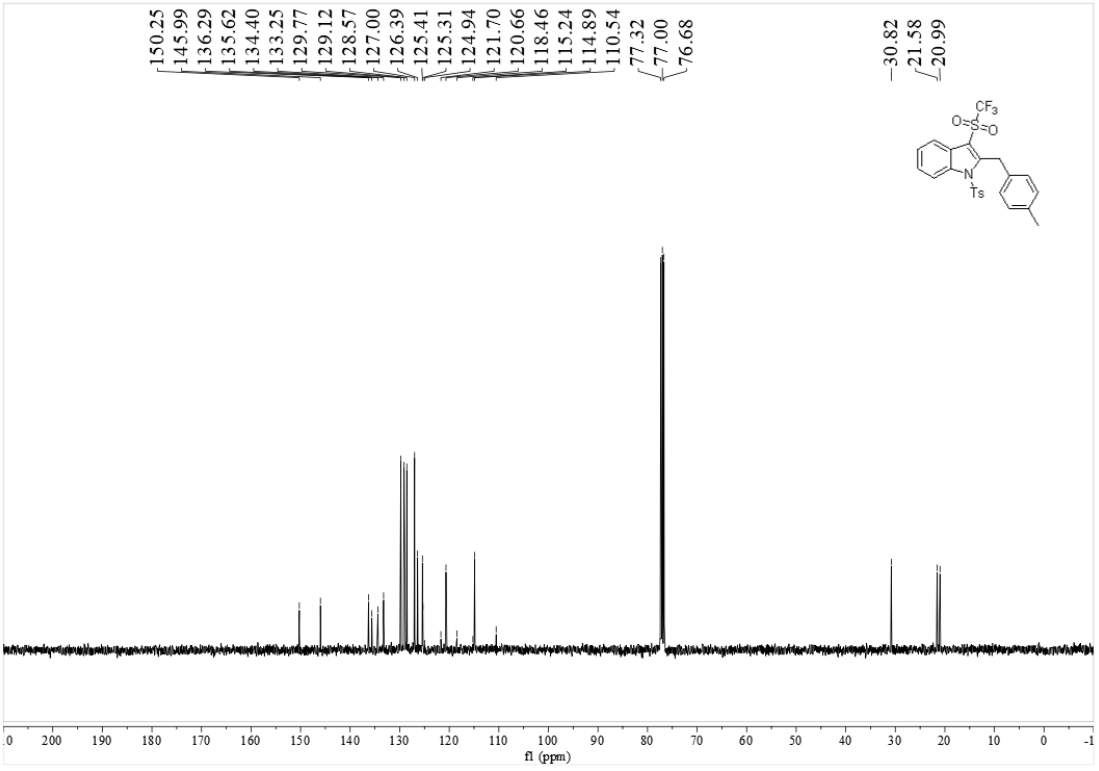
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5g**



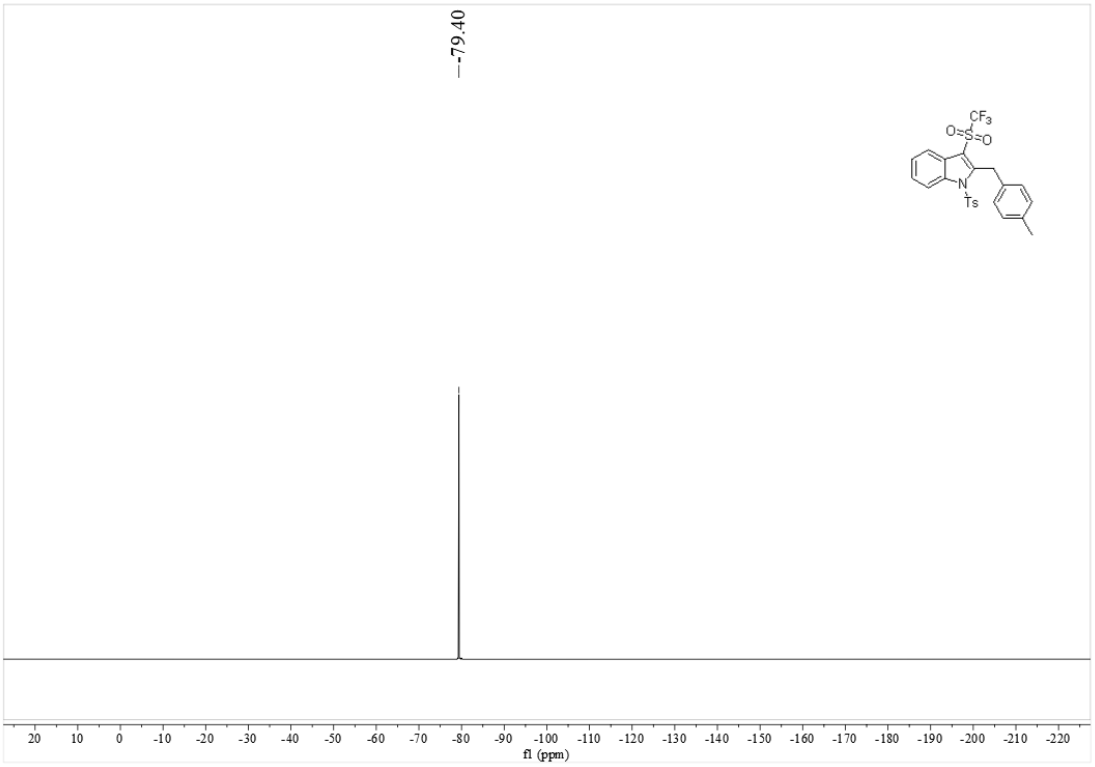
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5h**



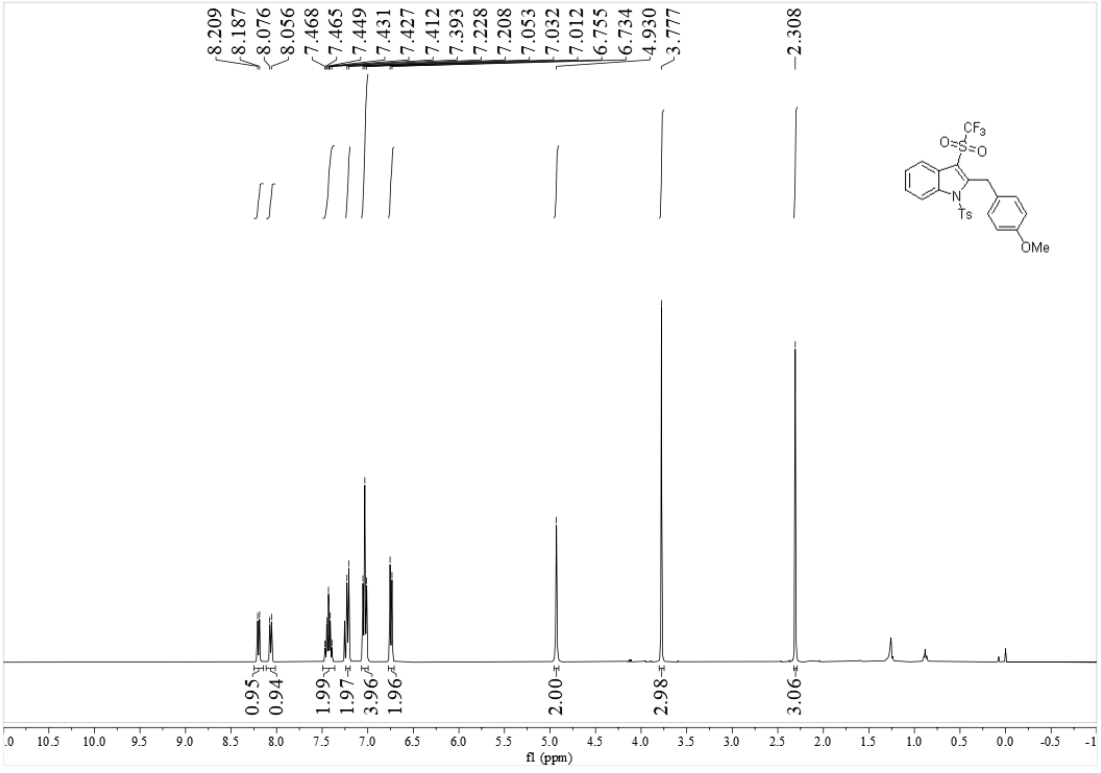
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5h**



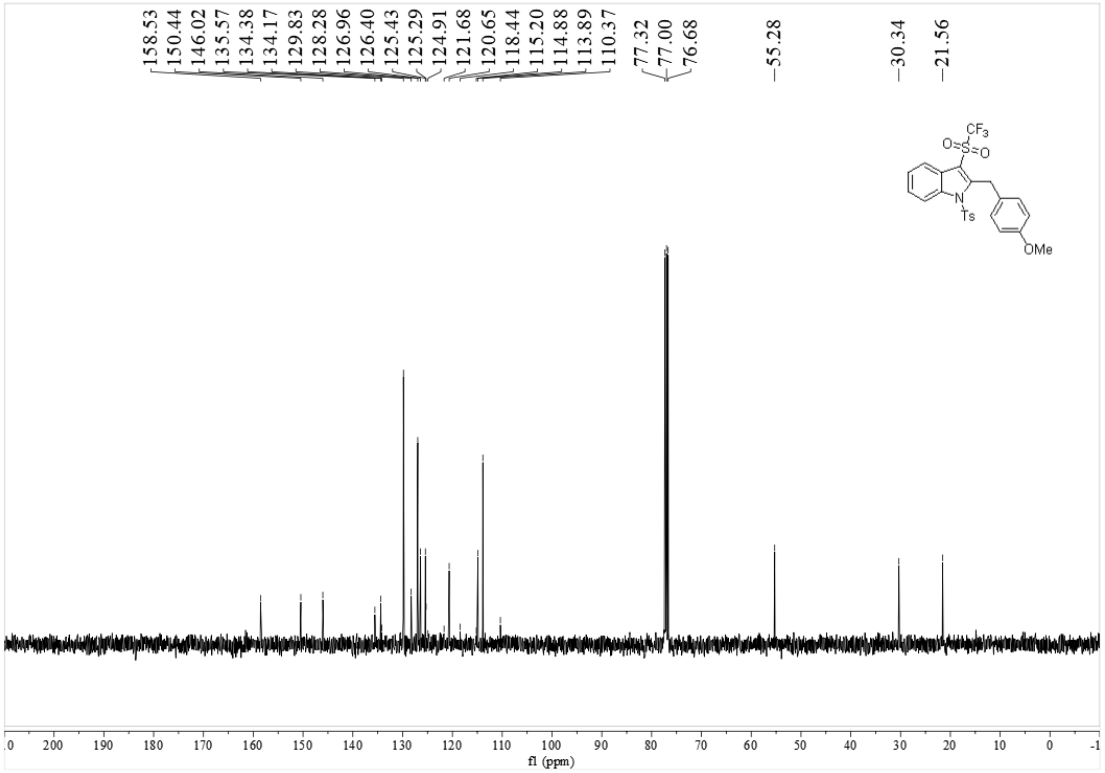
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5h**



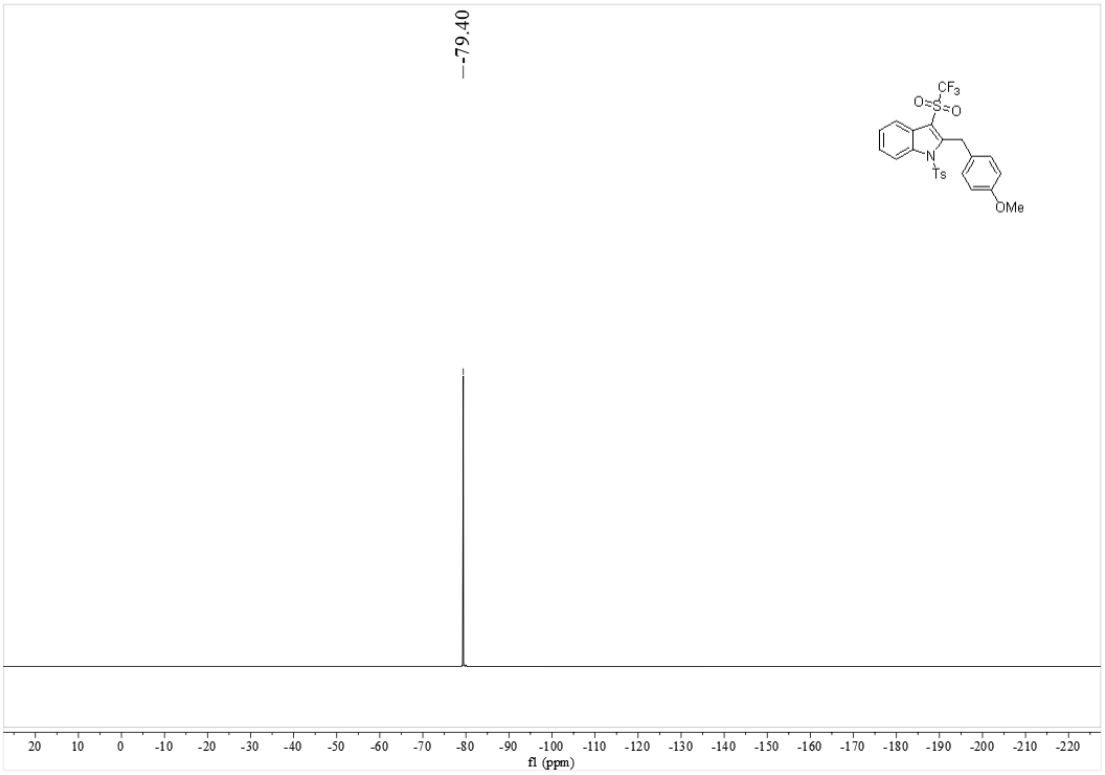
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5i**



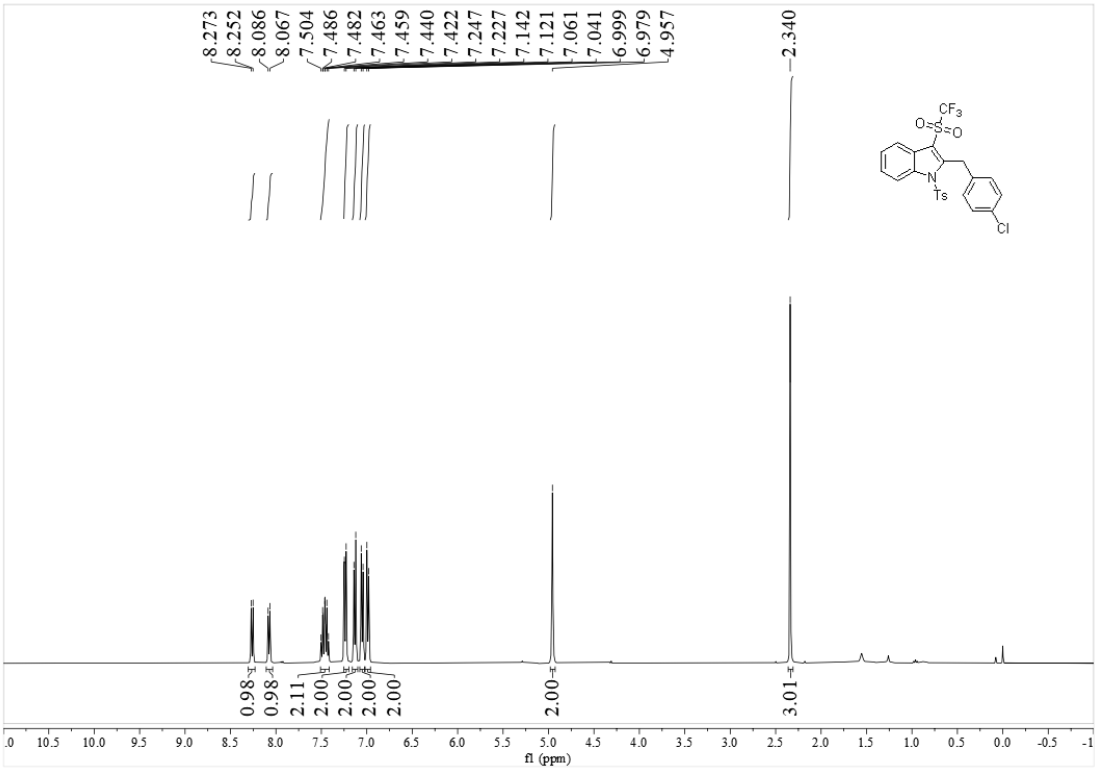
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5i**



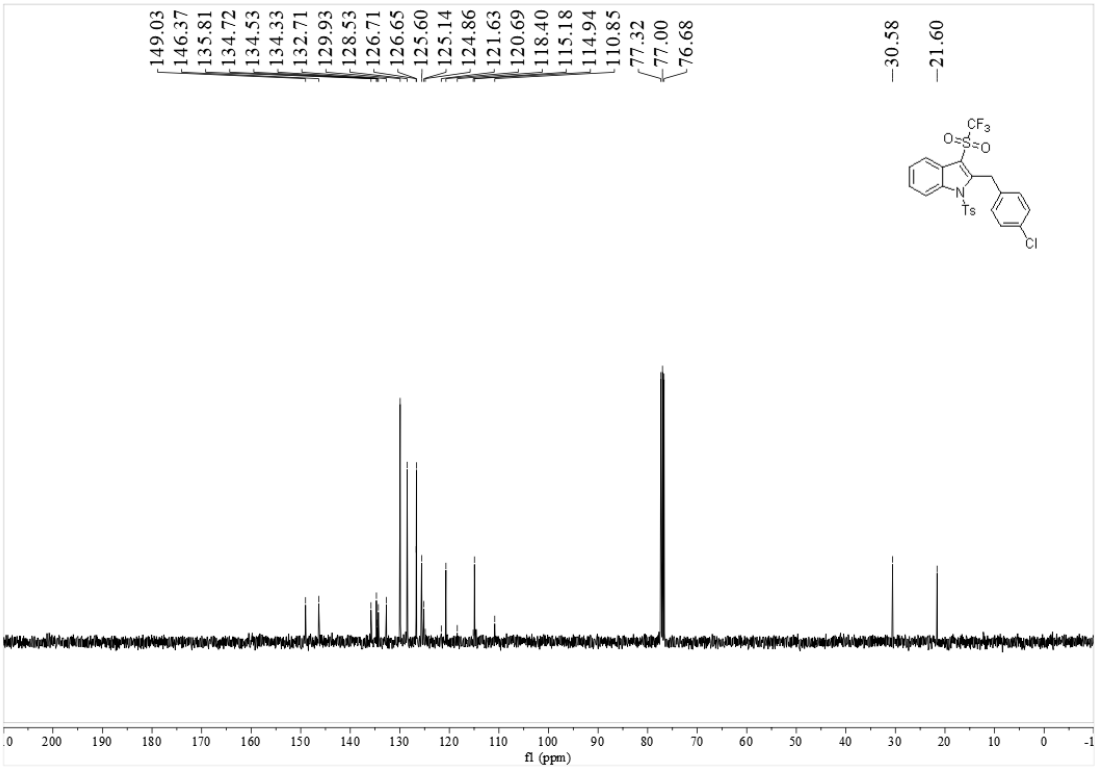
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5i**



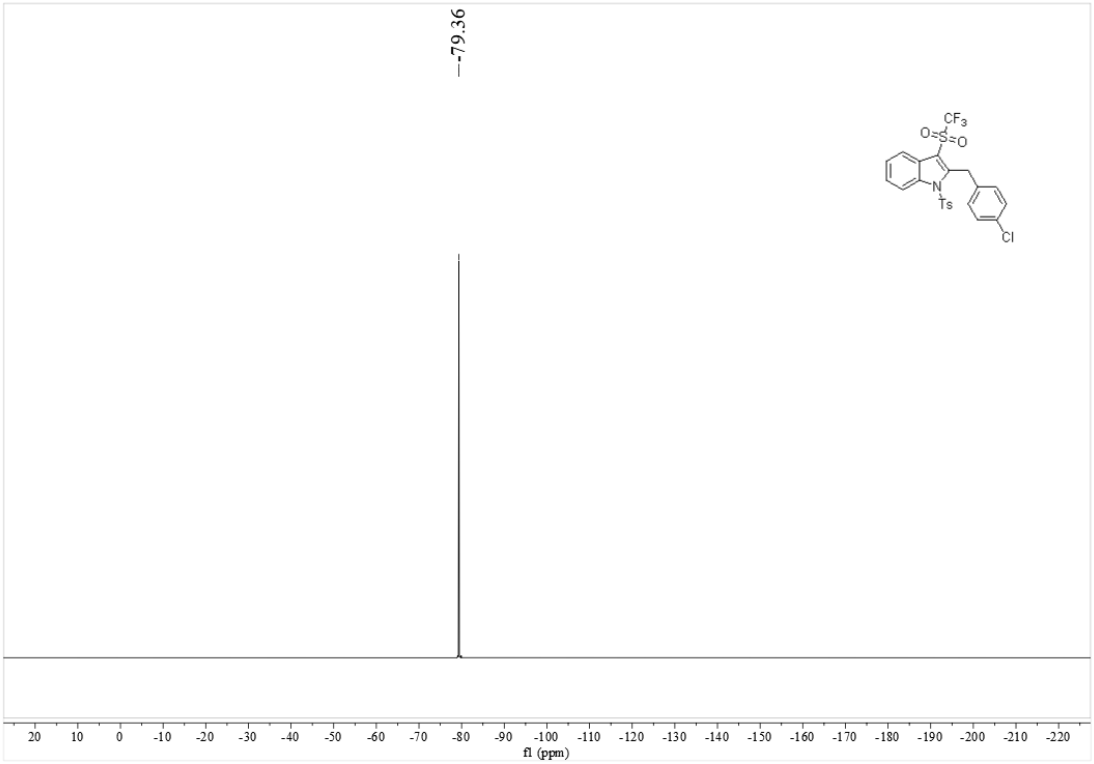
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5j**



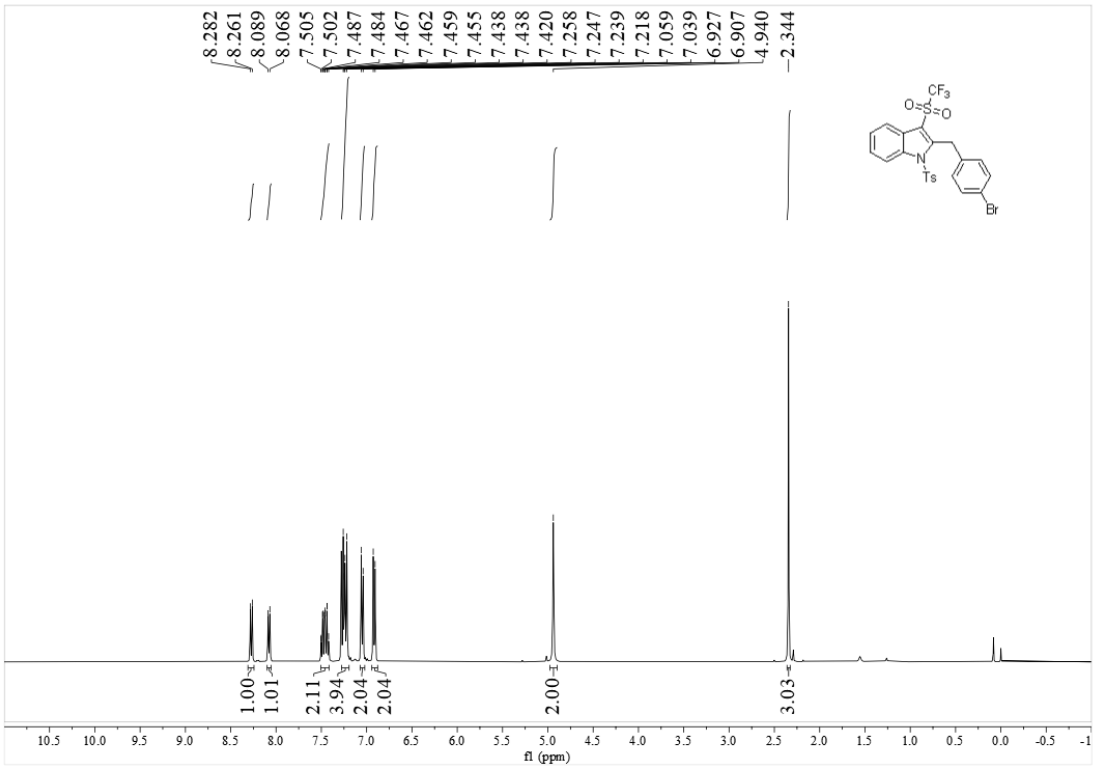
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5j**



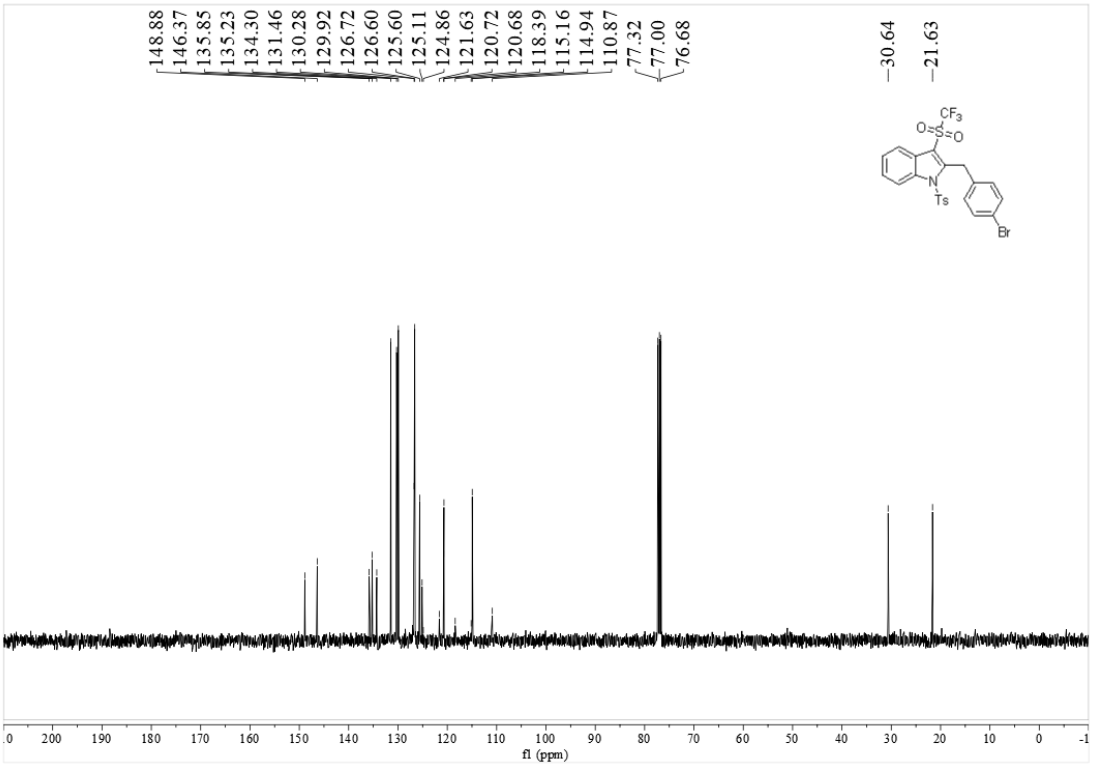
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5j**



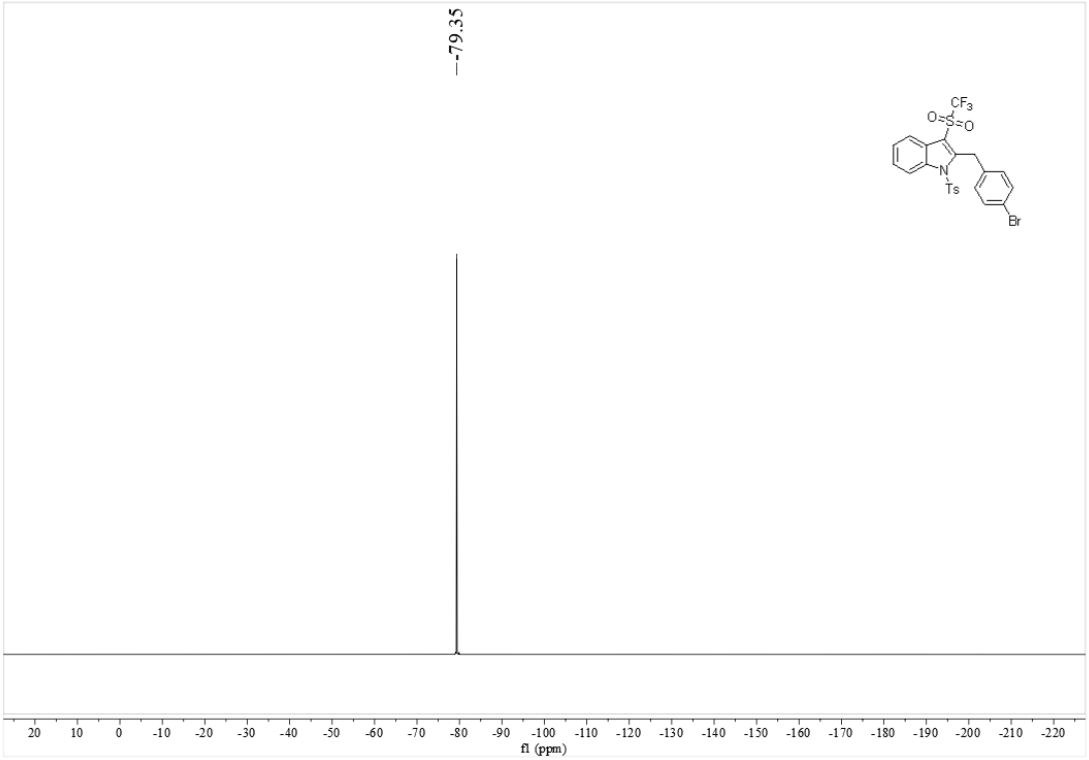
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5k**



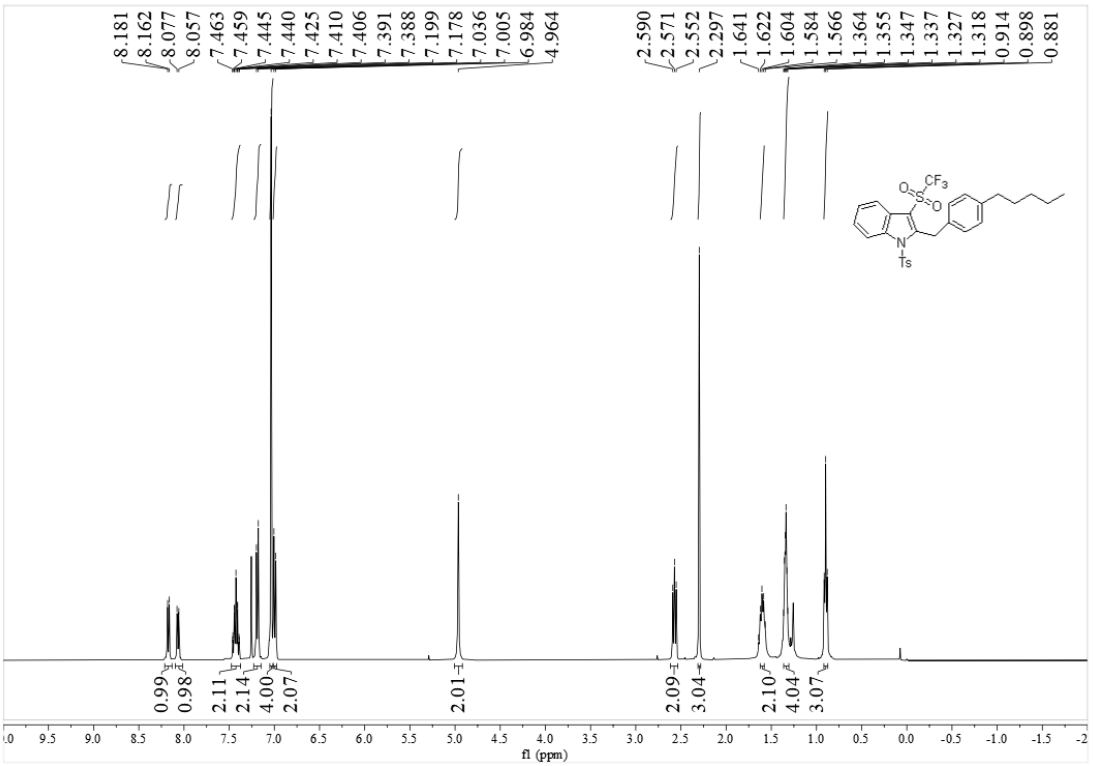
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5k**



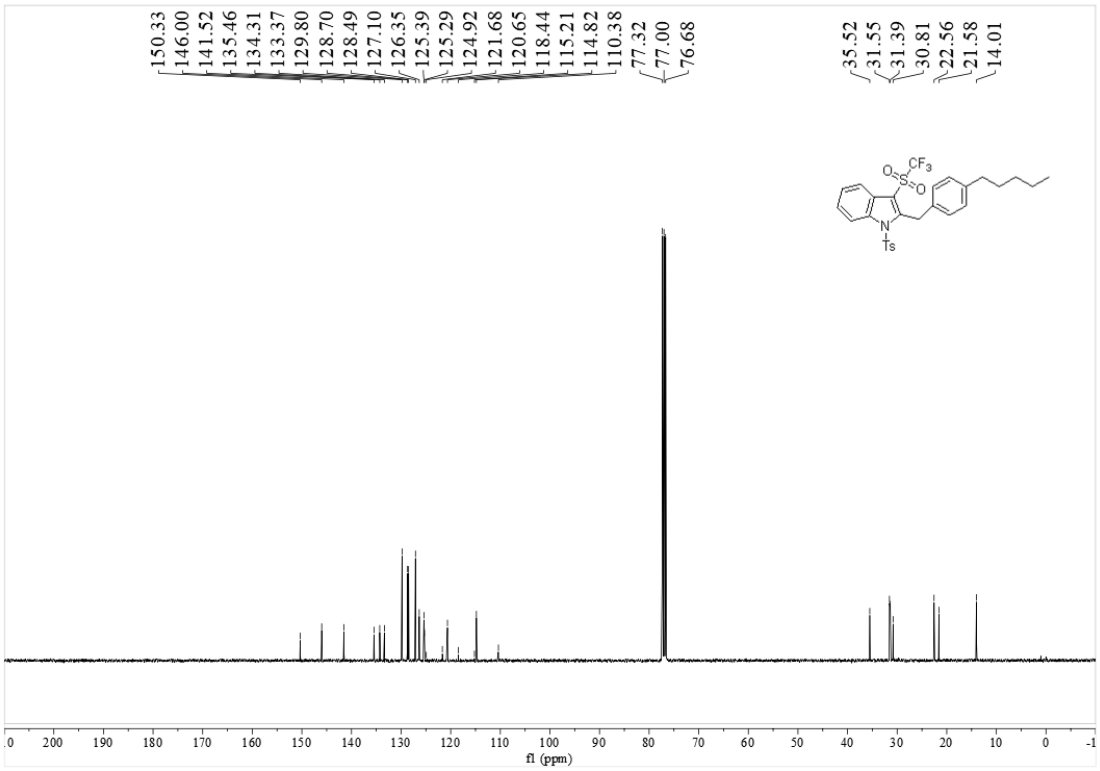
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5k**



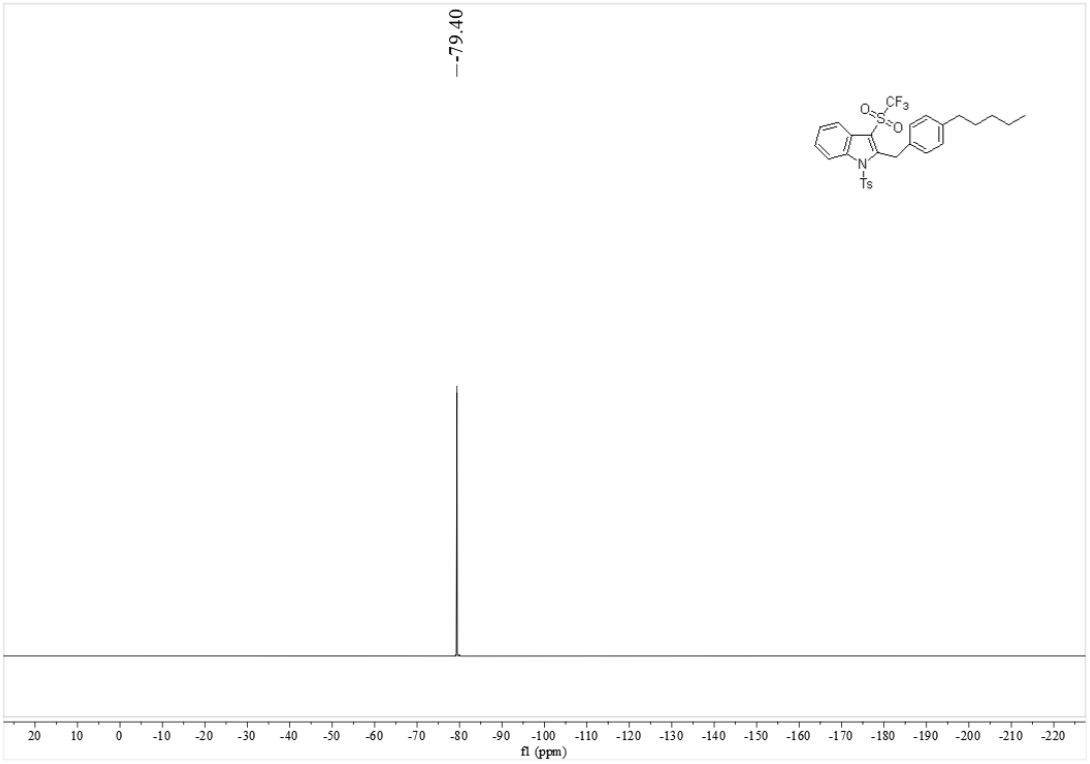
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5l**



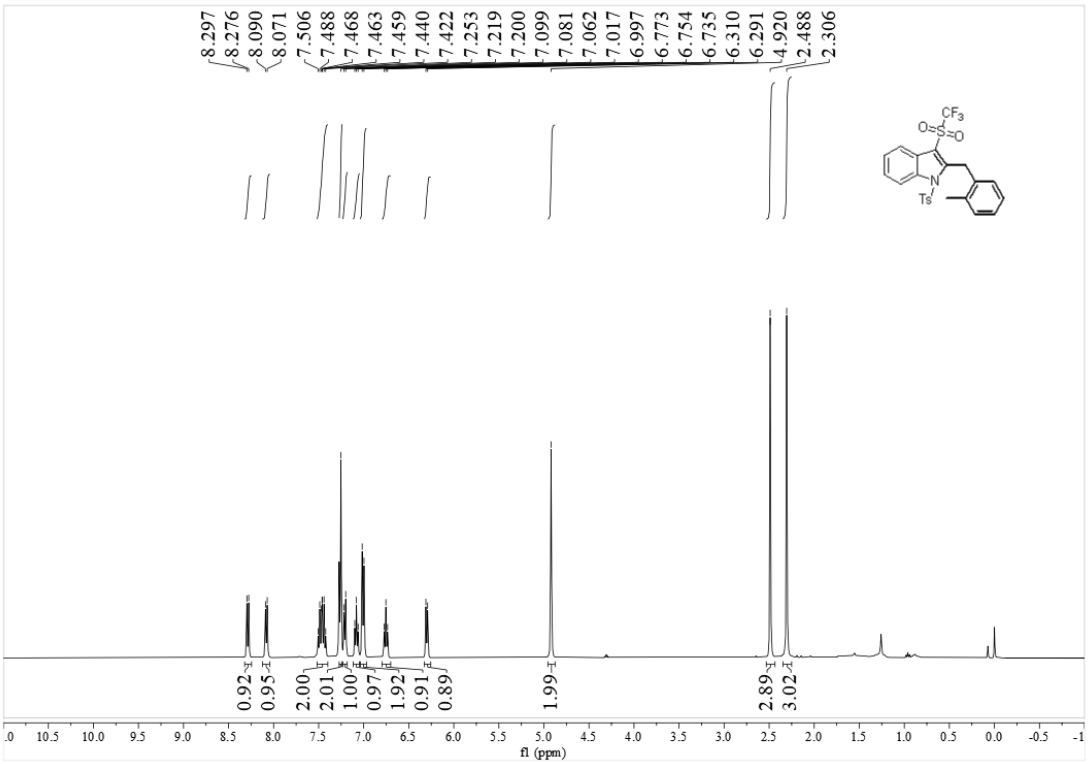
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5l**



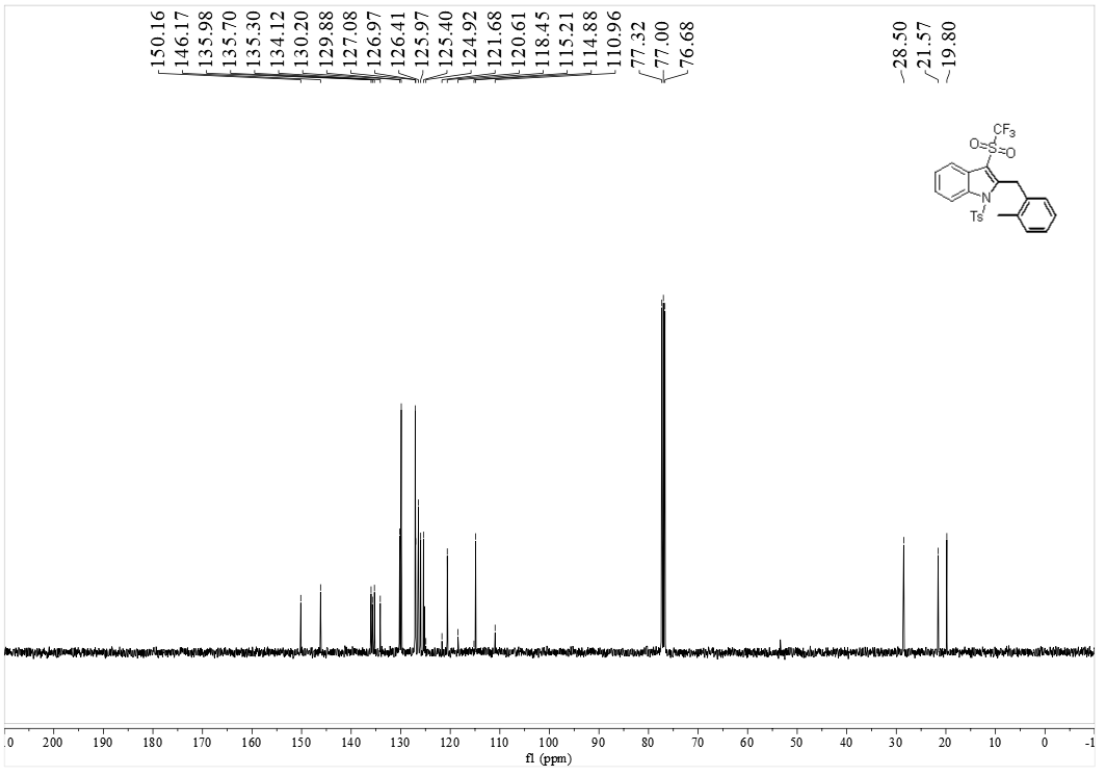
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5l**



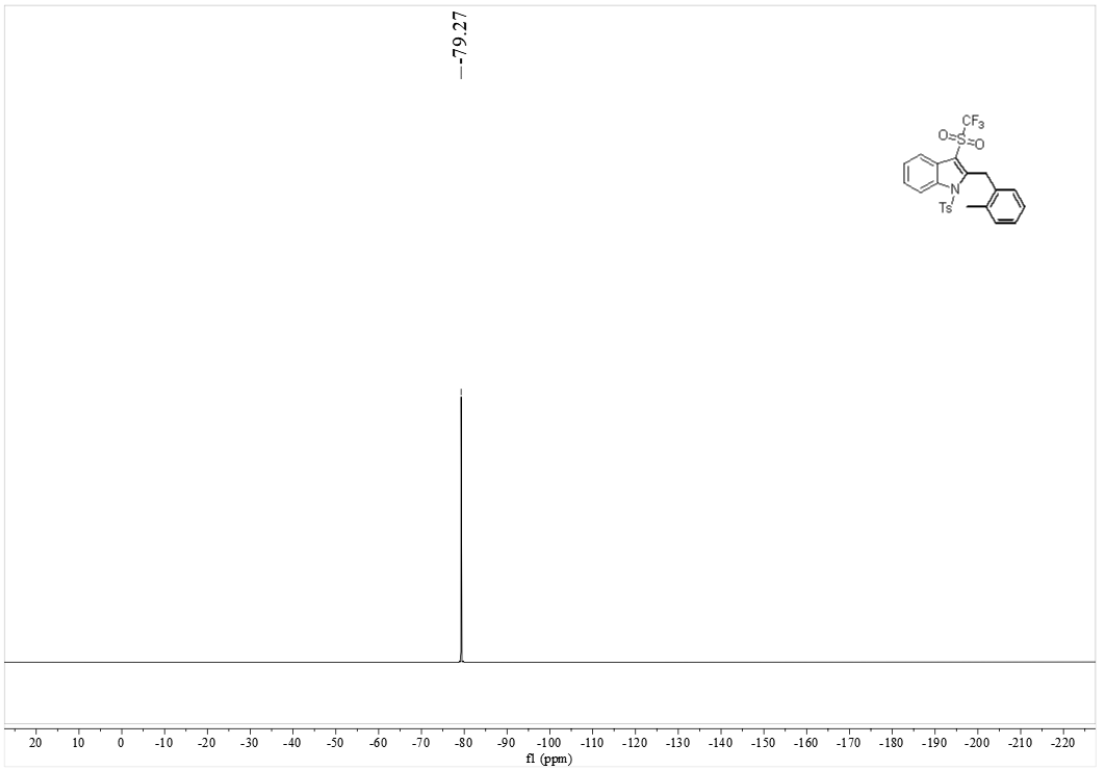
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5m**



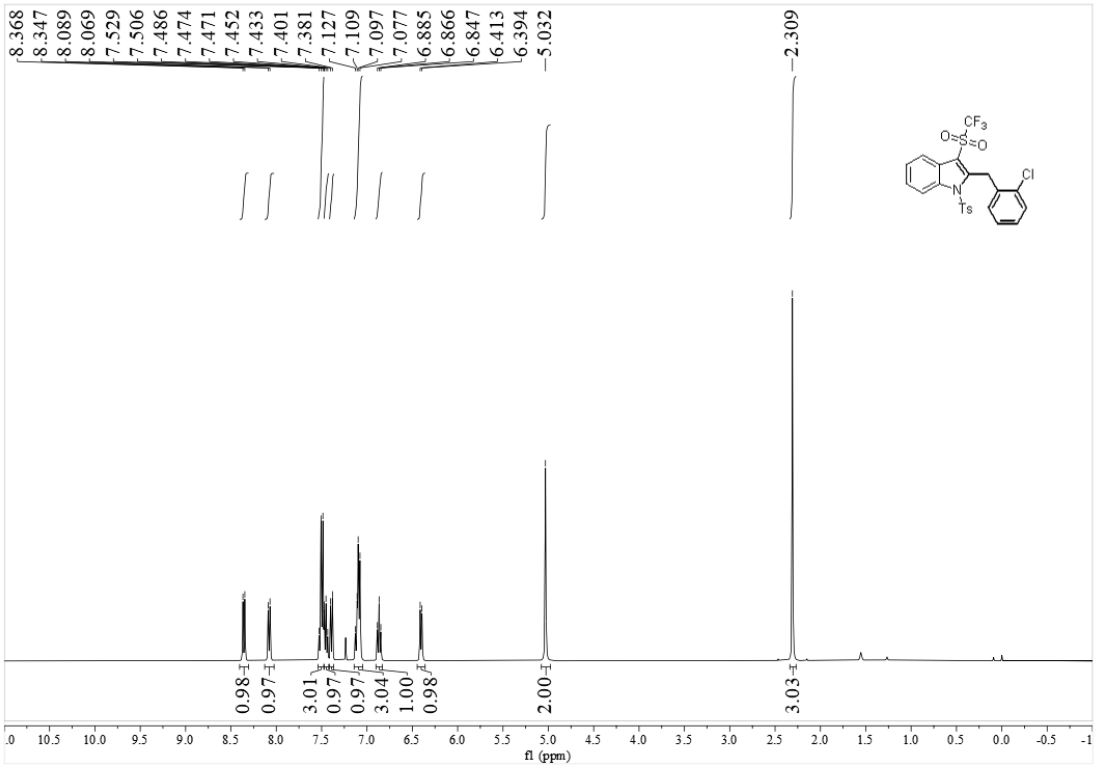
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5m**



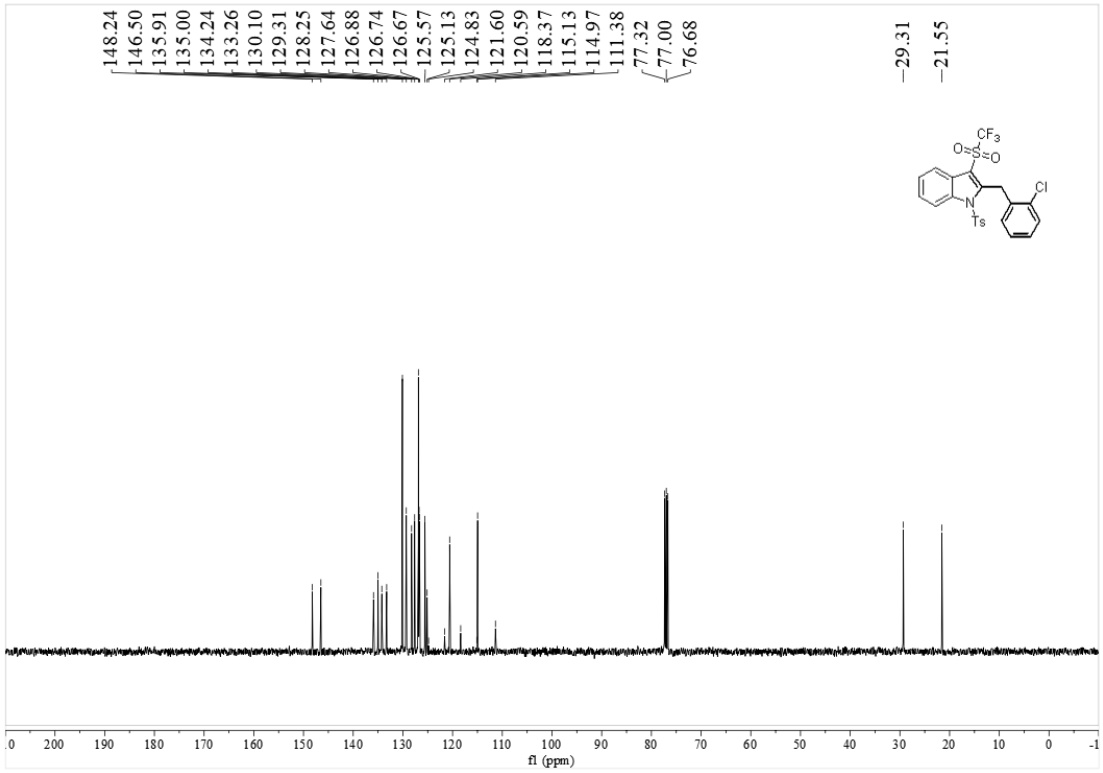
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5m**



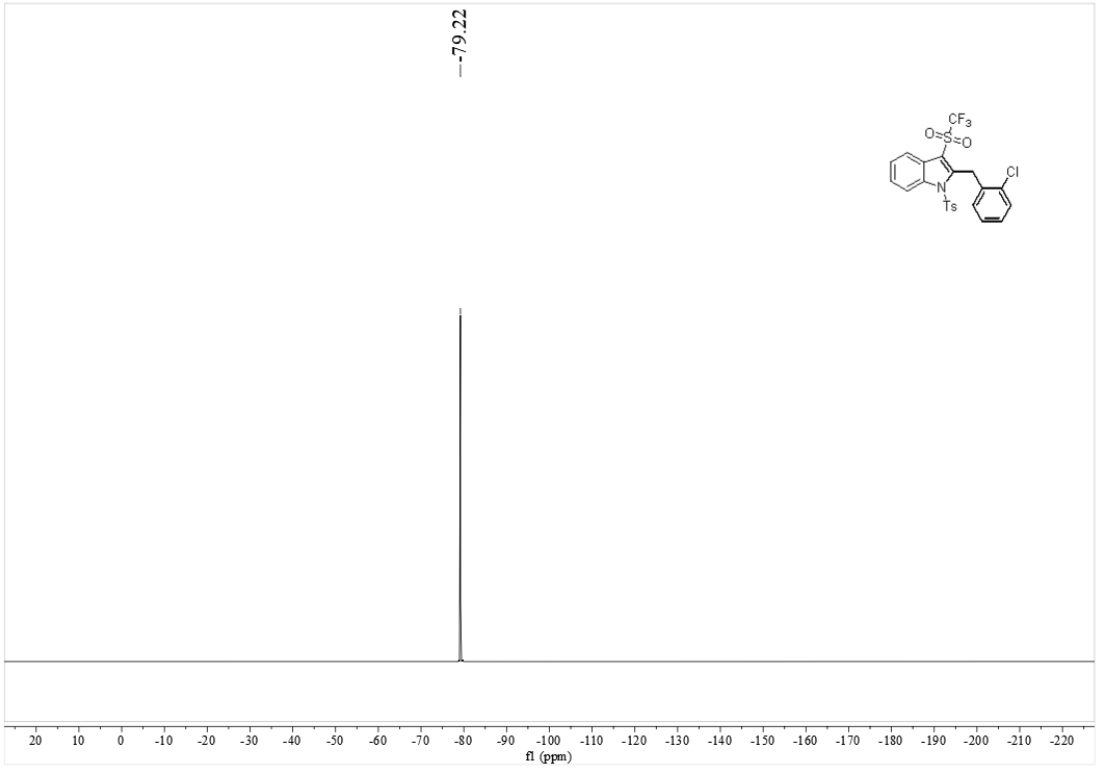
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5n**



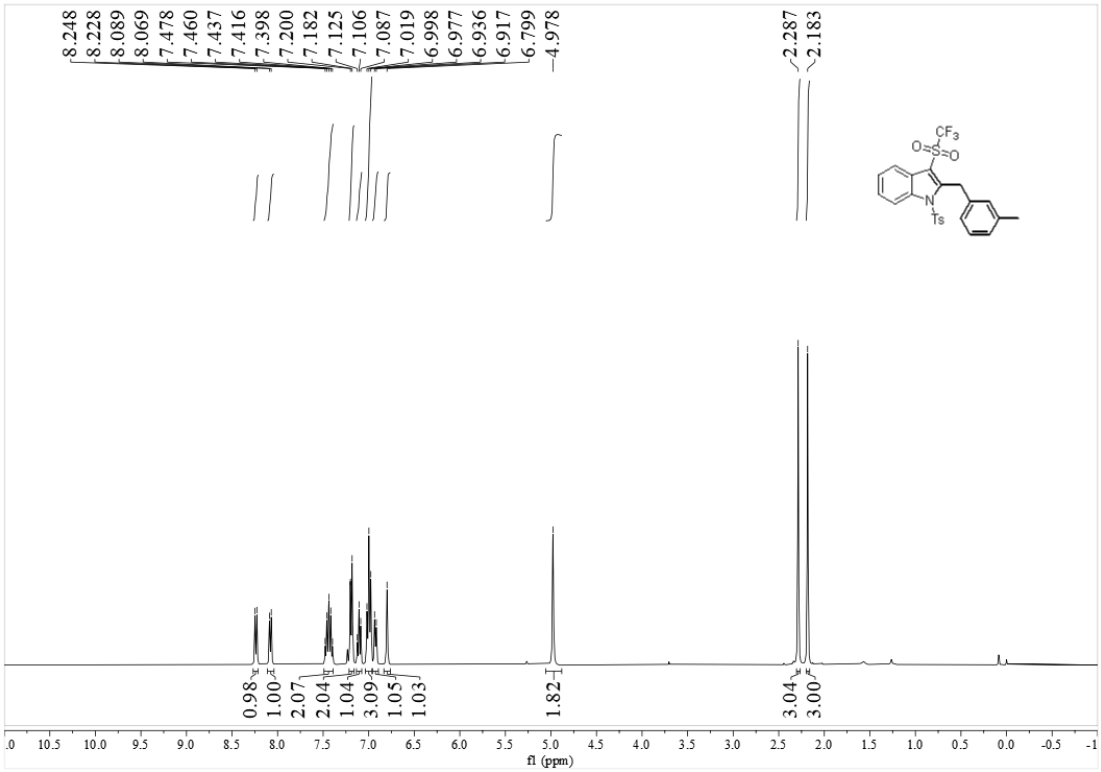
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5n**



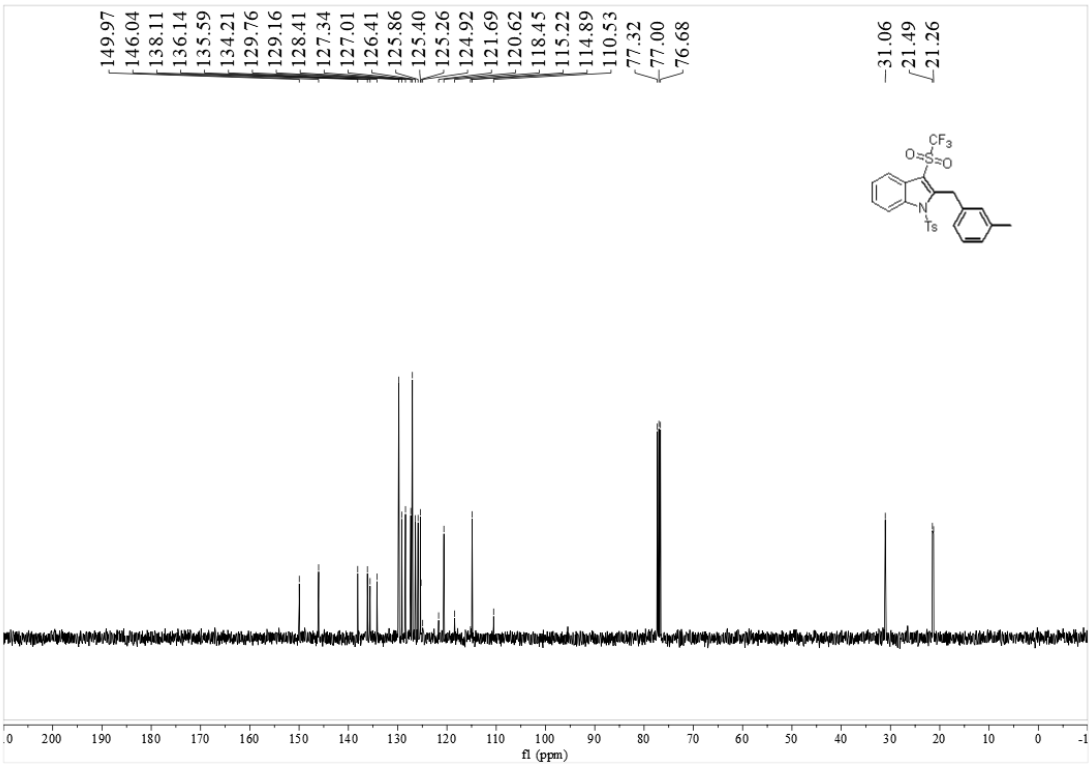
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5n**



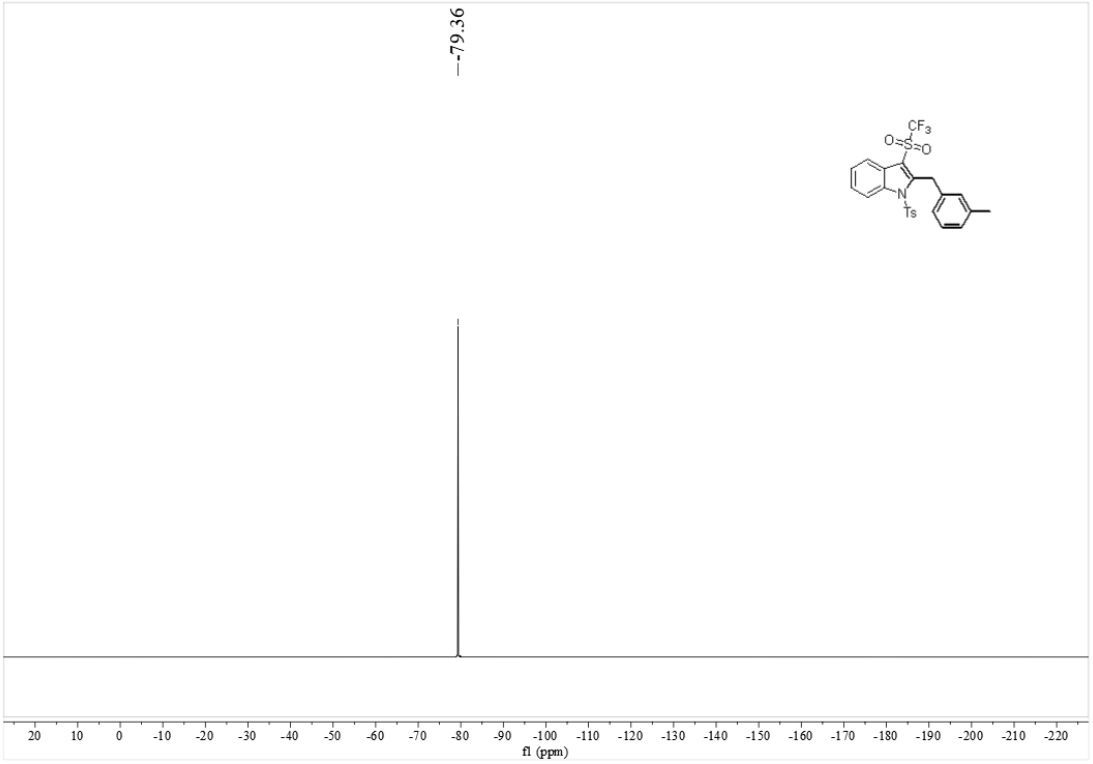
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5o**



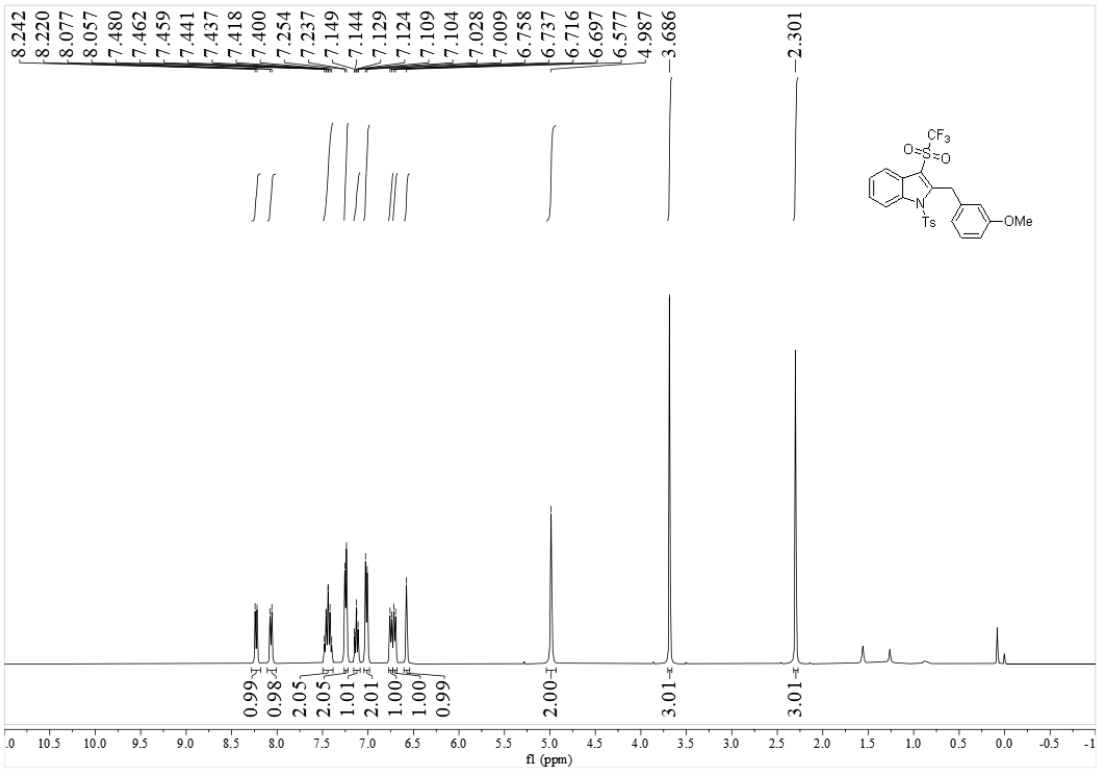
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5o**



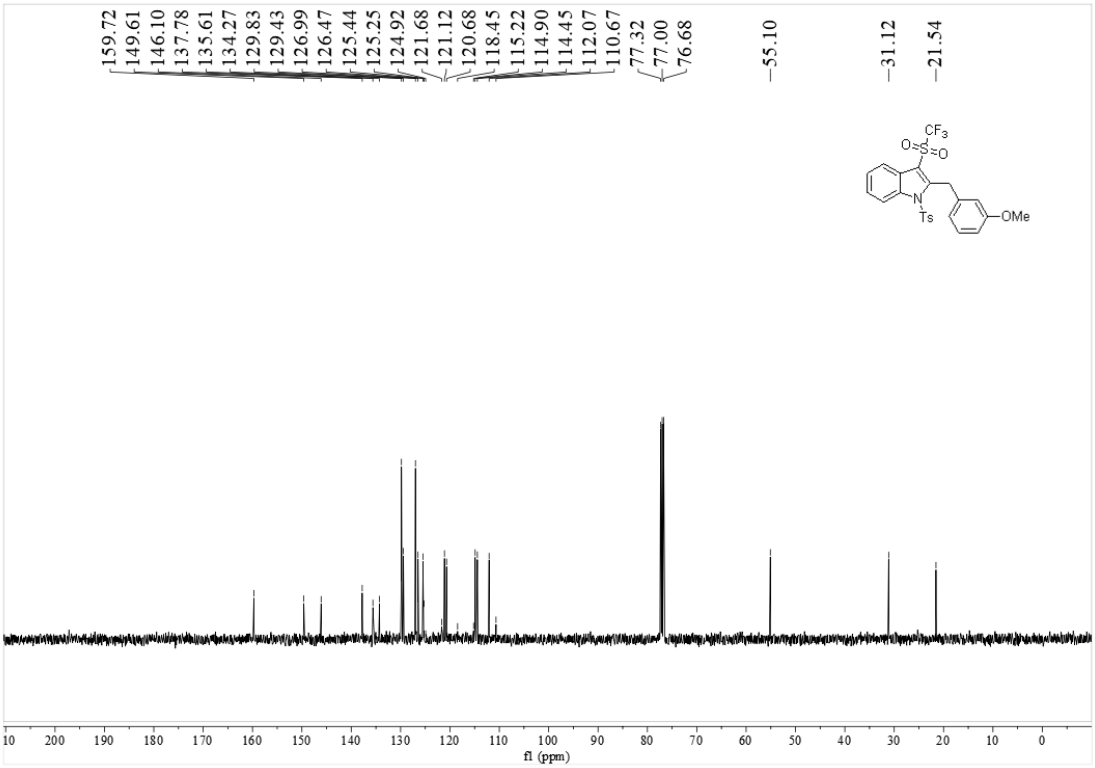
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5o**



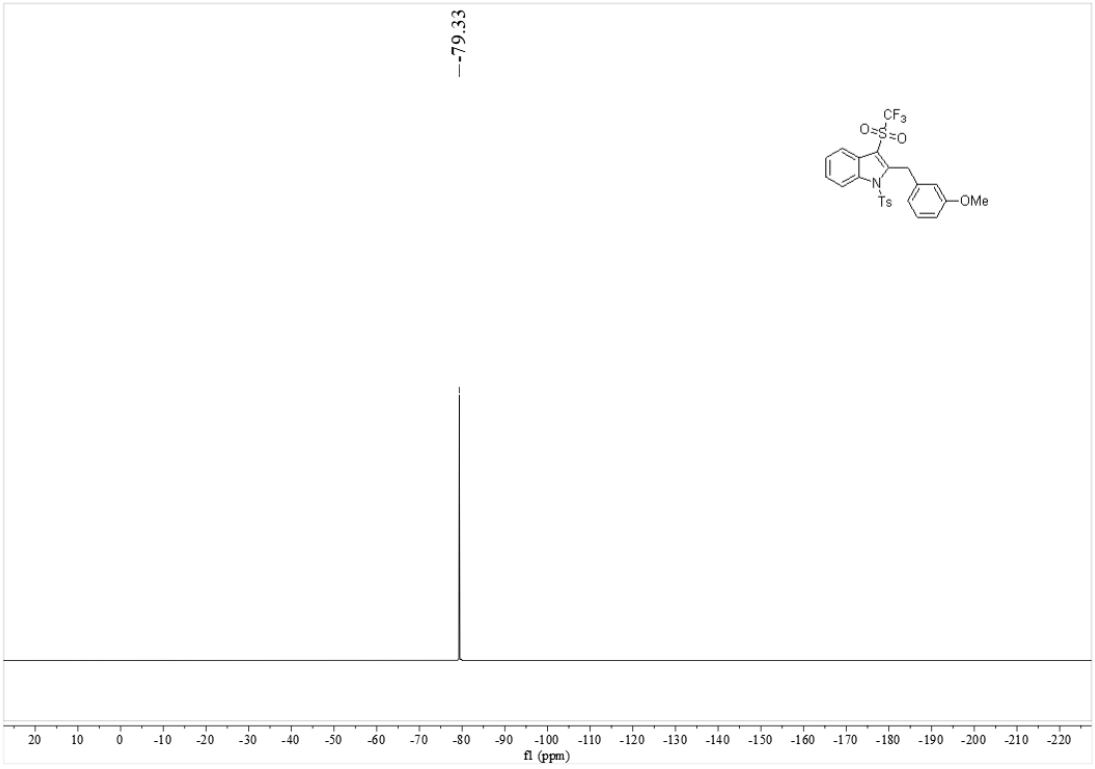
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5p**



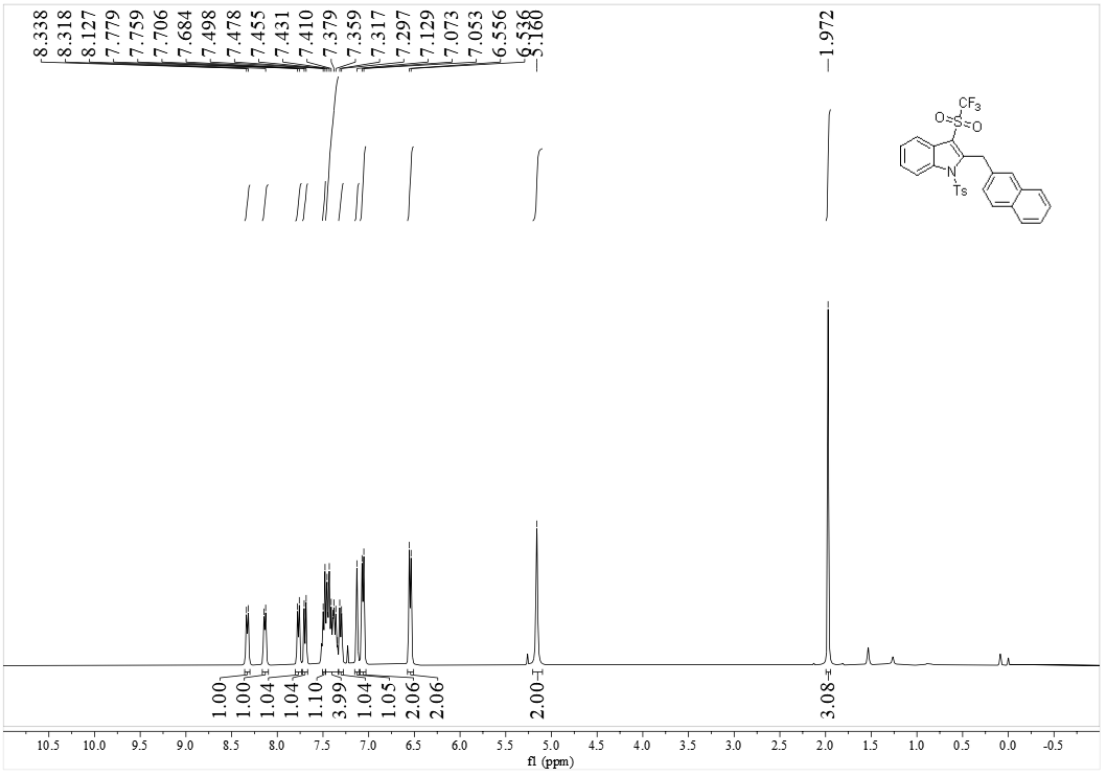
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5p**



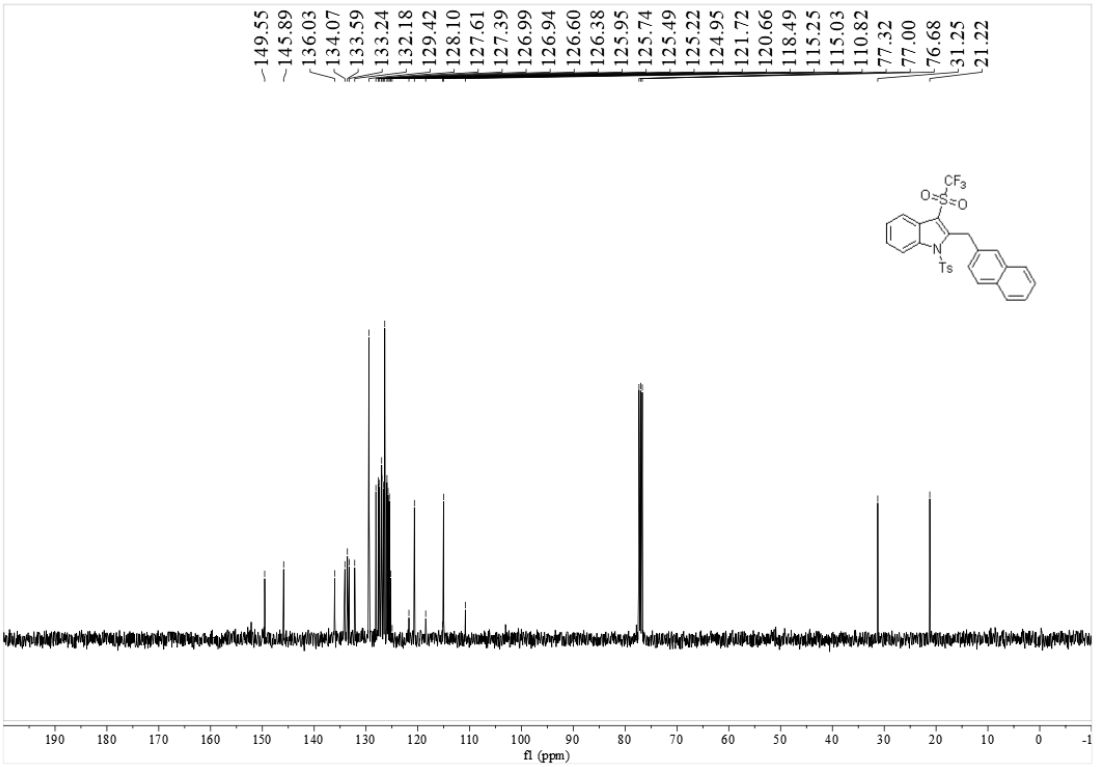
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5p**



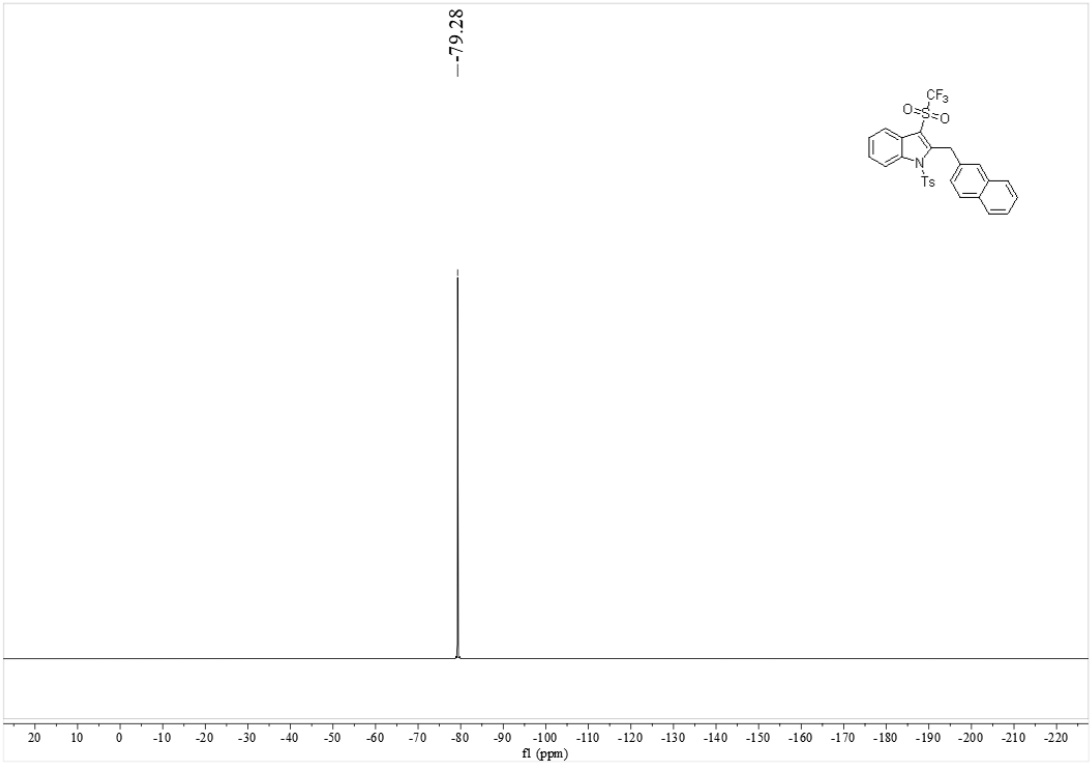
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5q**



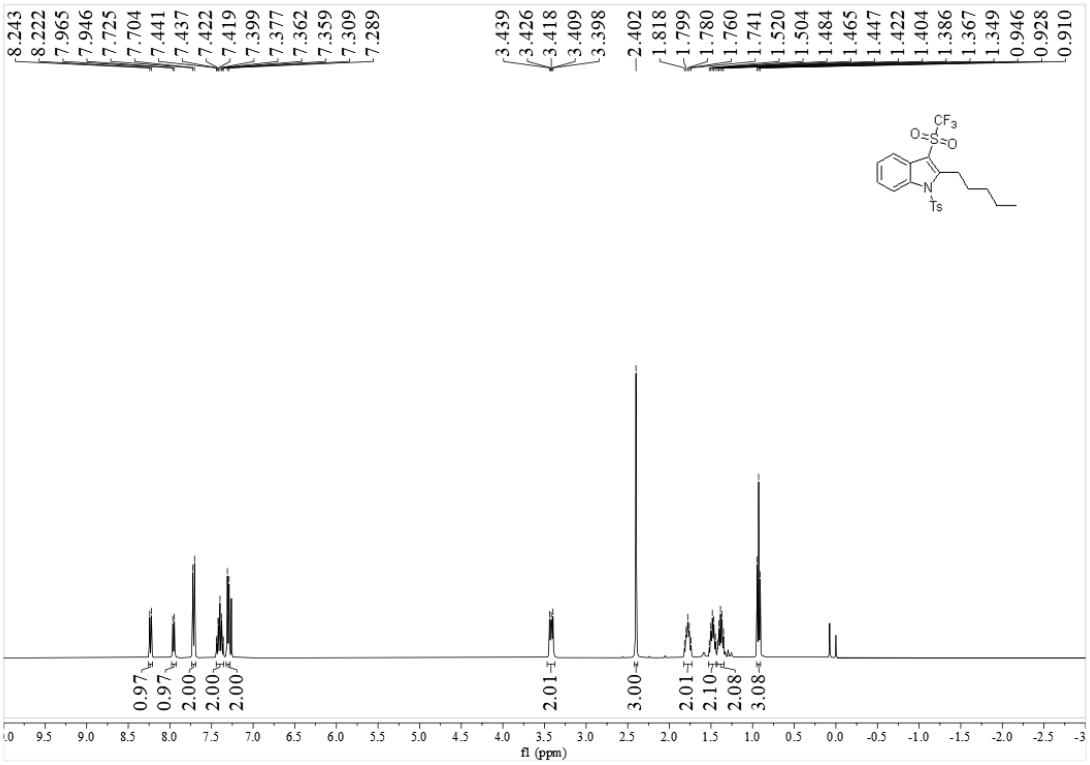
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5q**



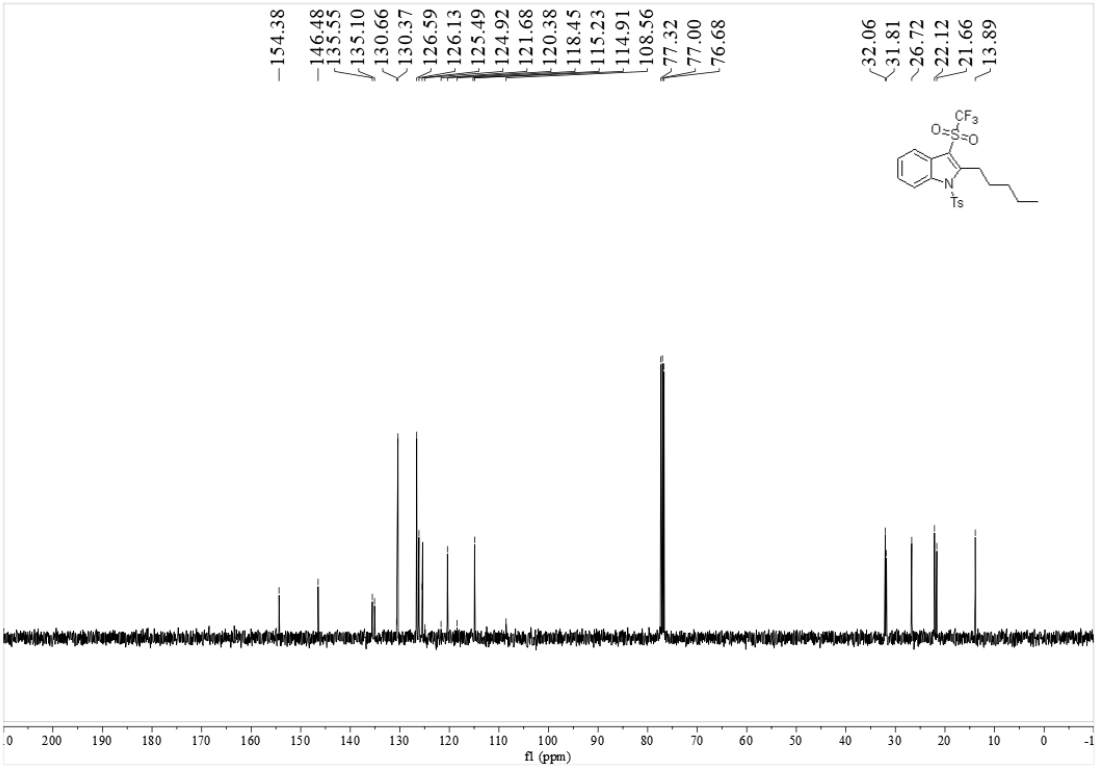
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5q**



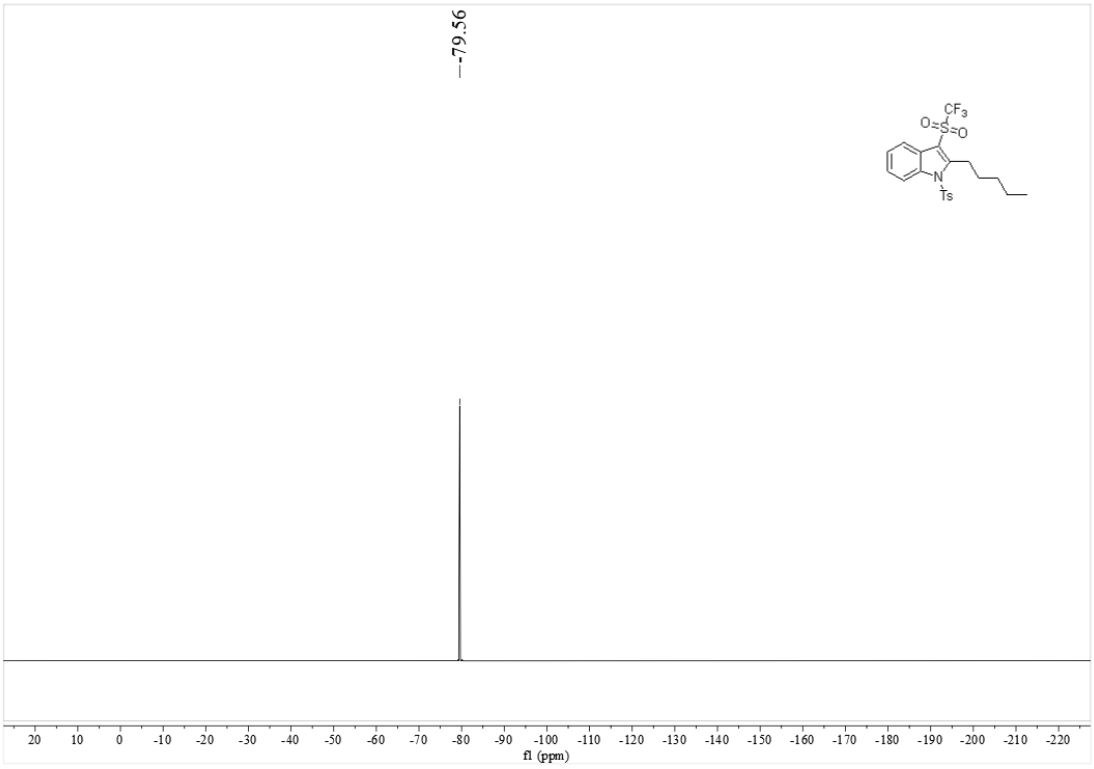
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5r**



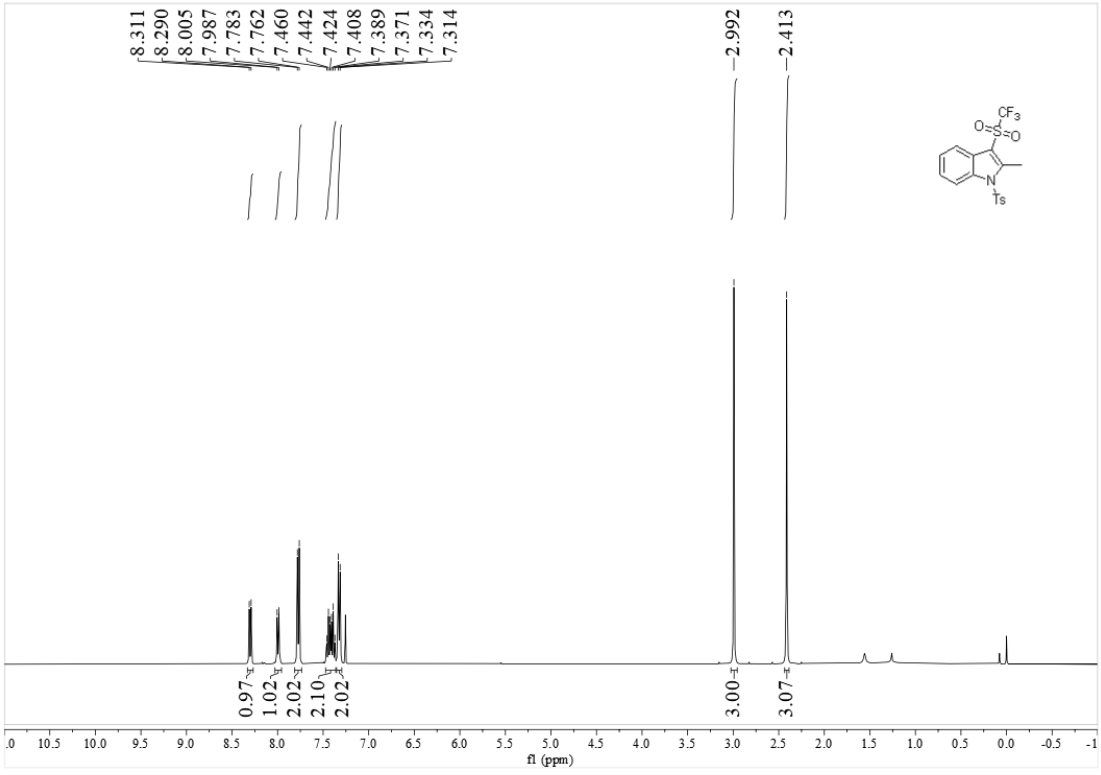
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5r**



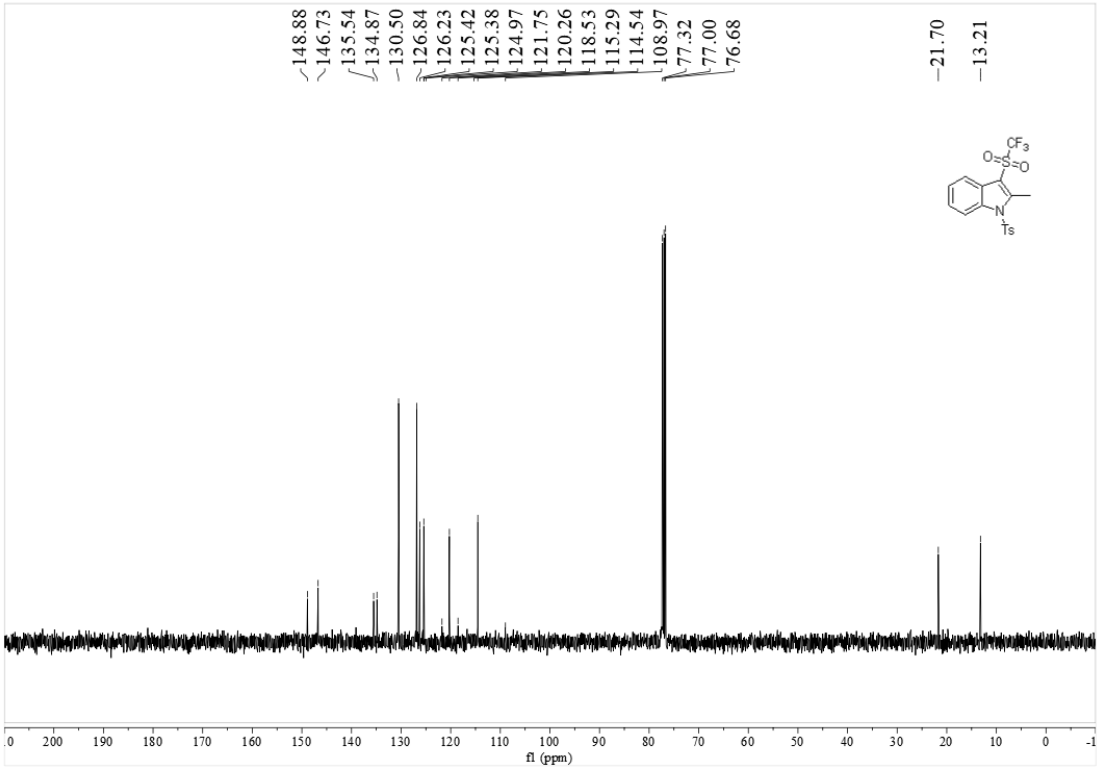
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5r**



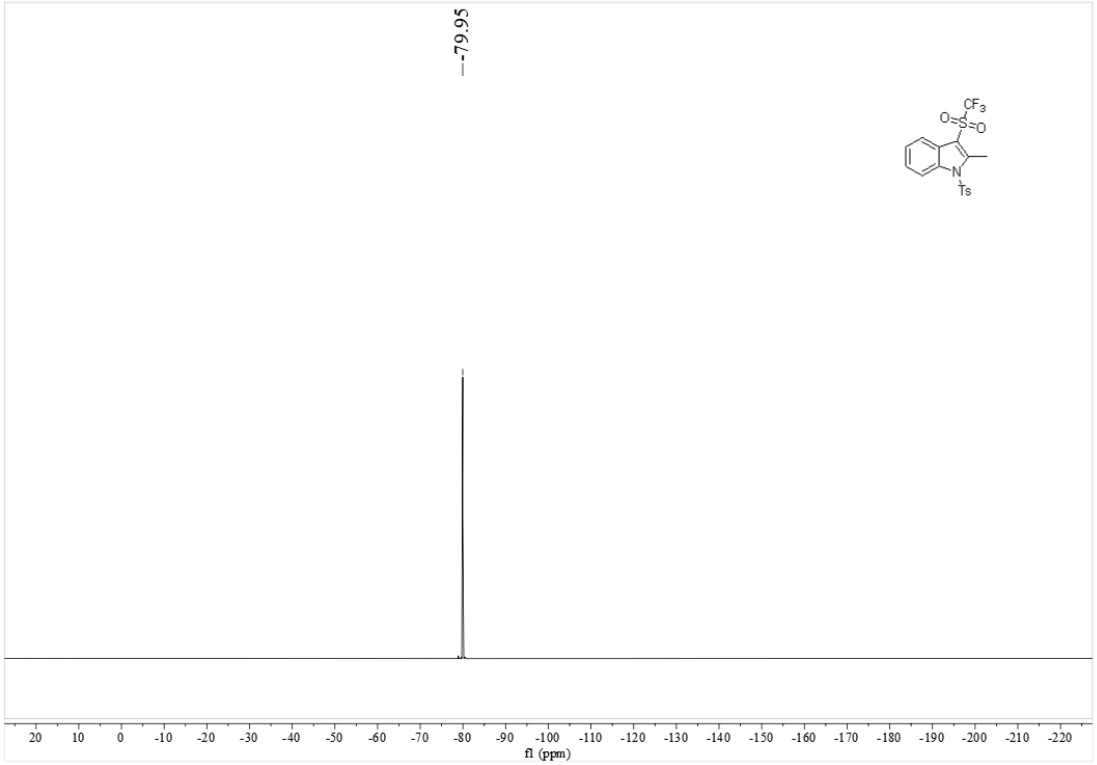
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5s**



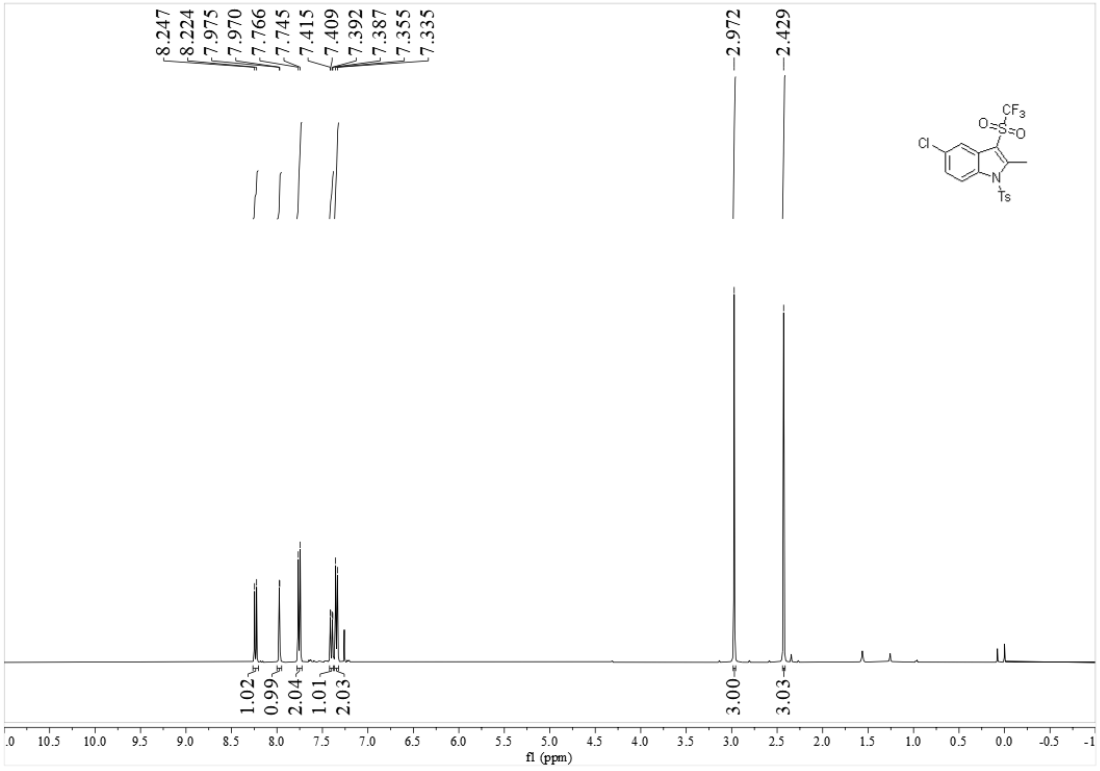
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5s**



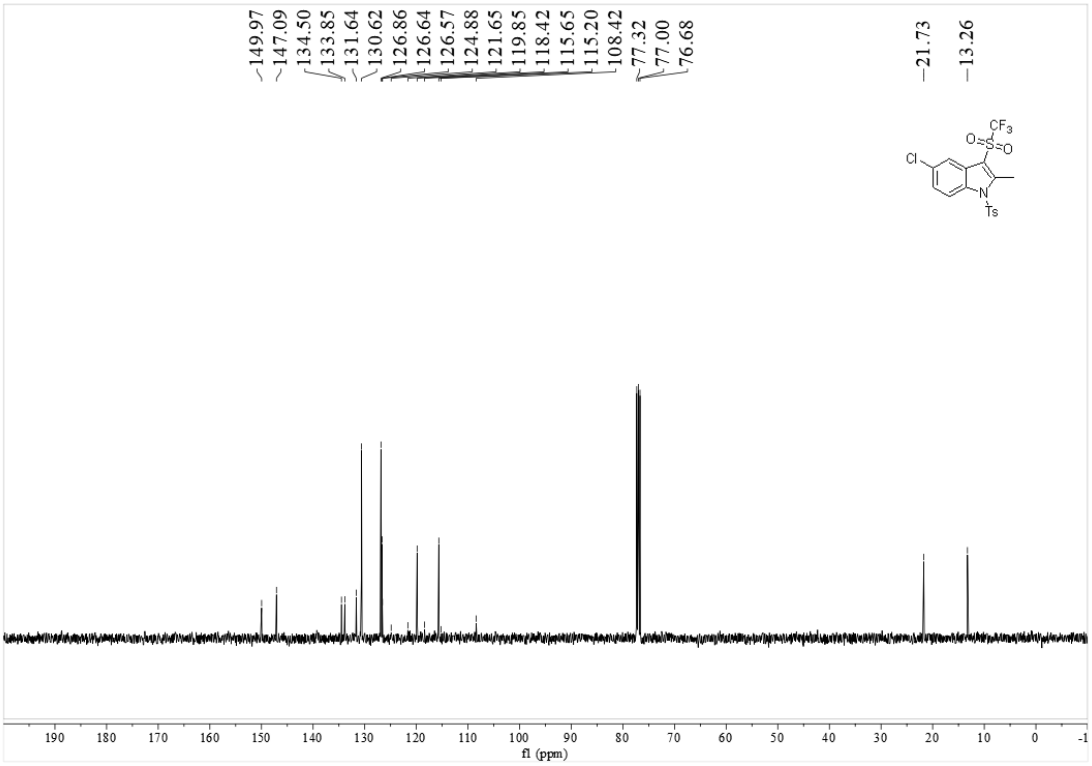
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5s**



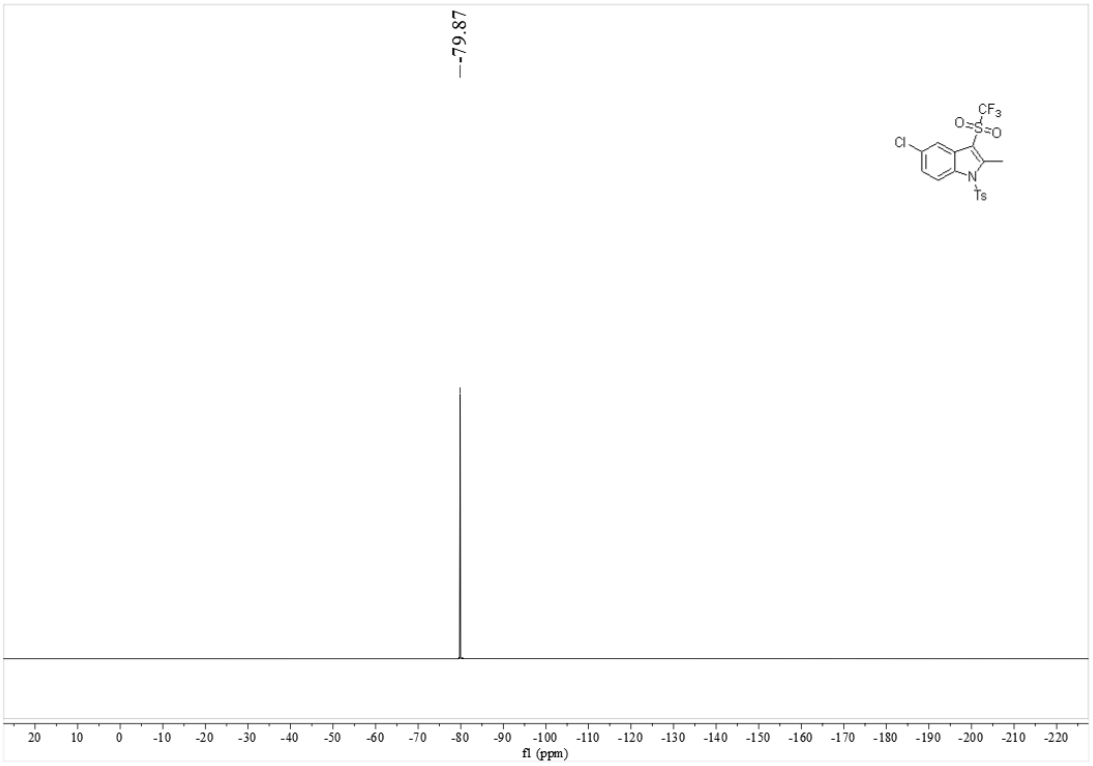
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5t**



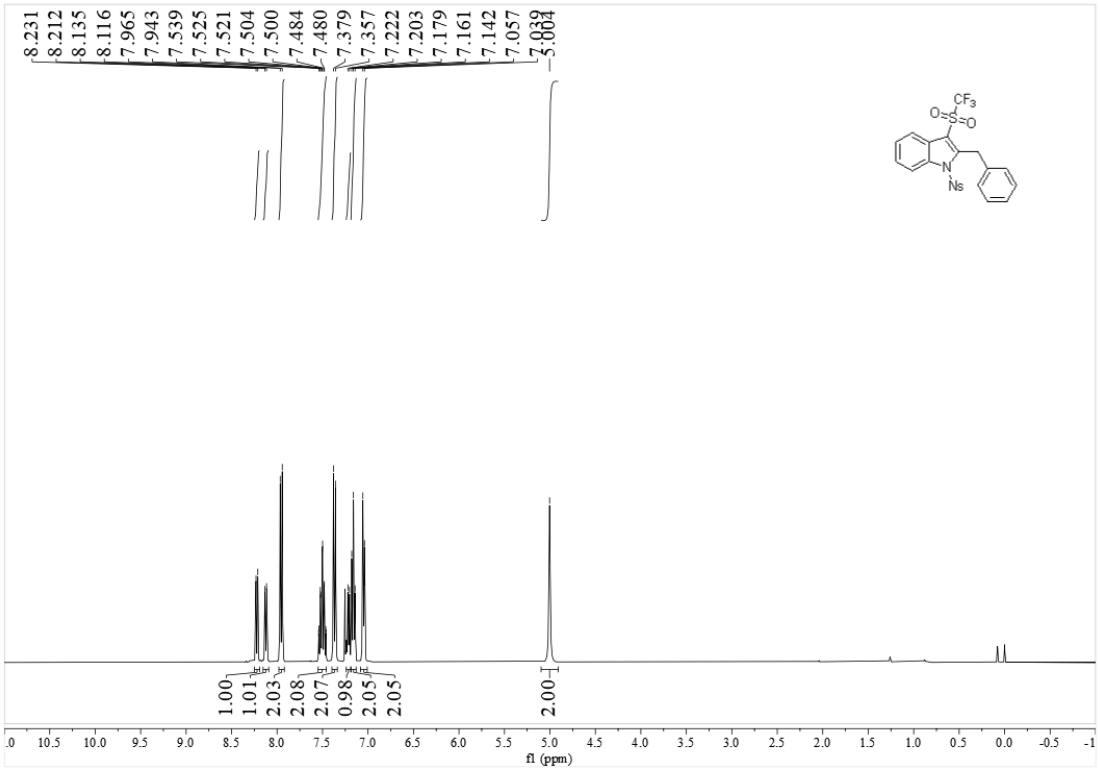
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5t**



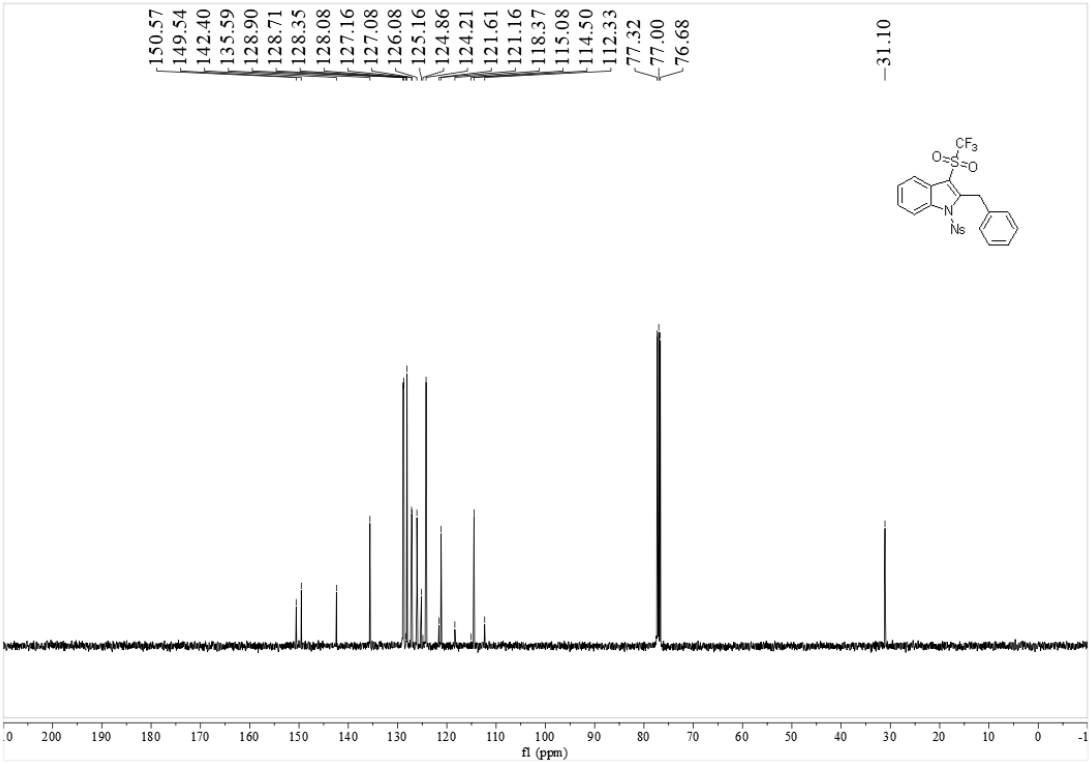
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5t**



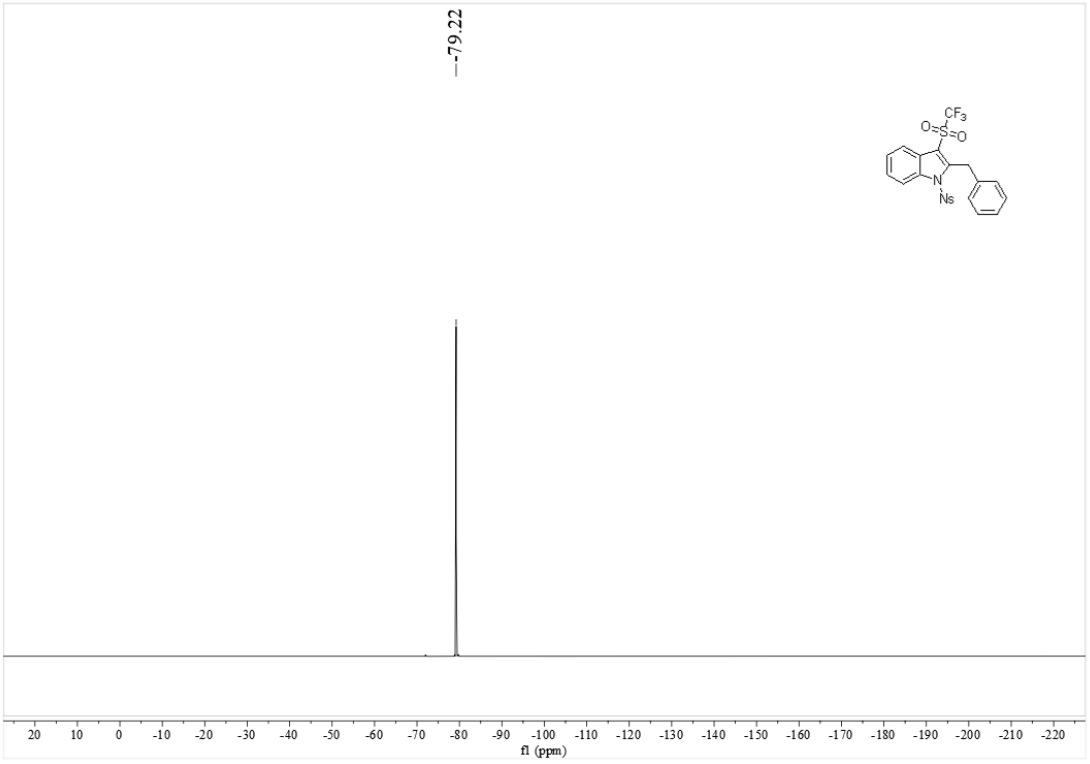
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5u**



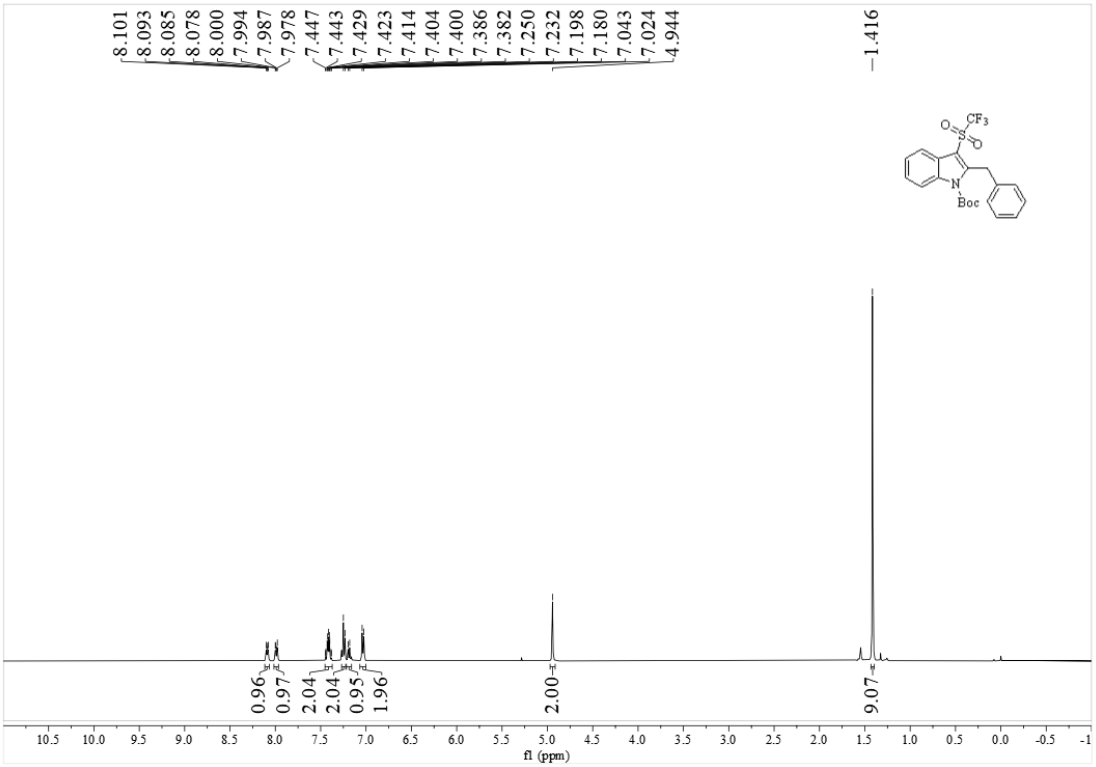
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5u**



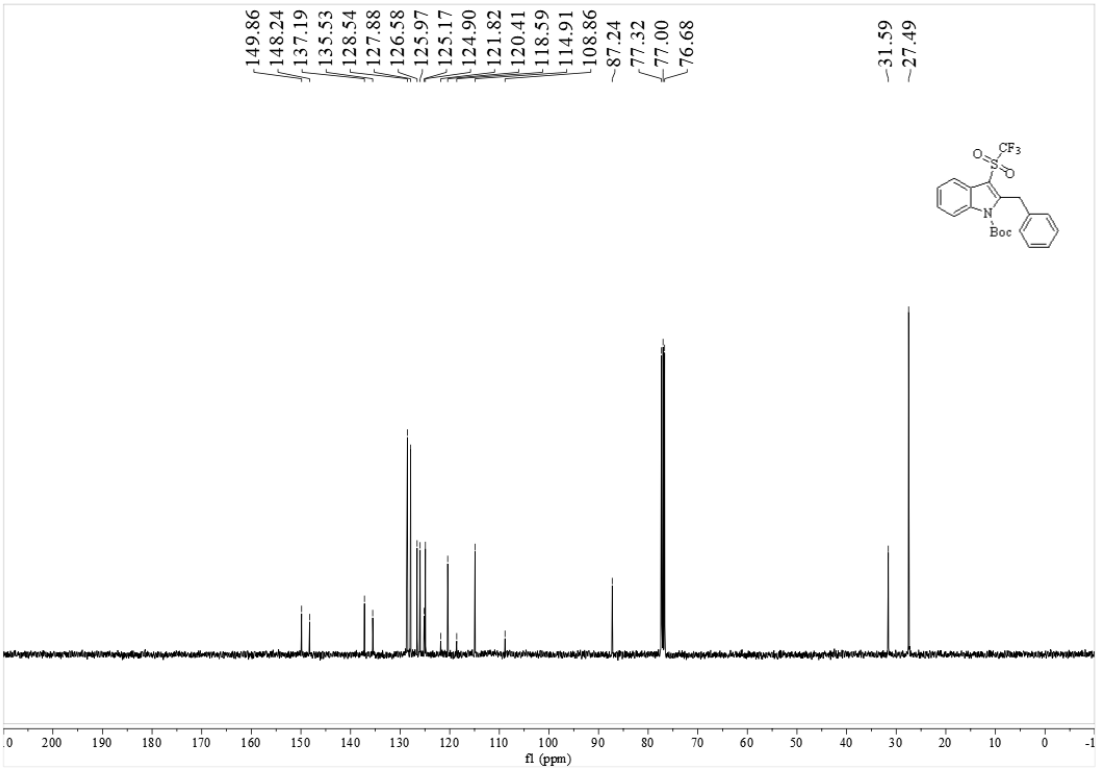
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5u**



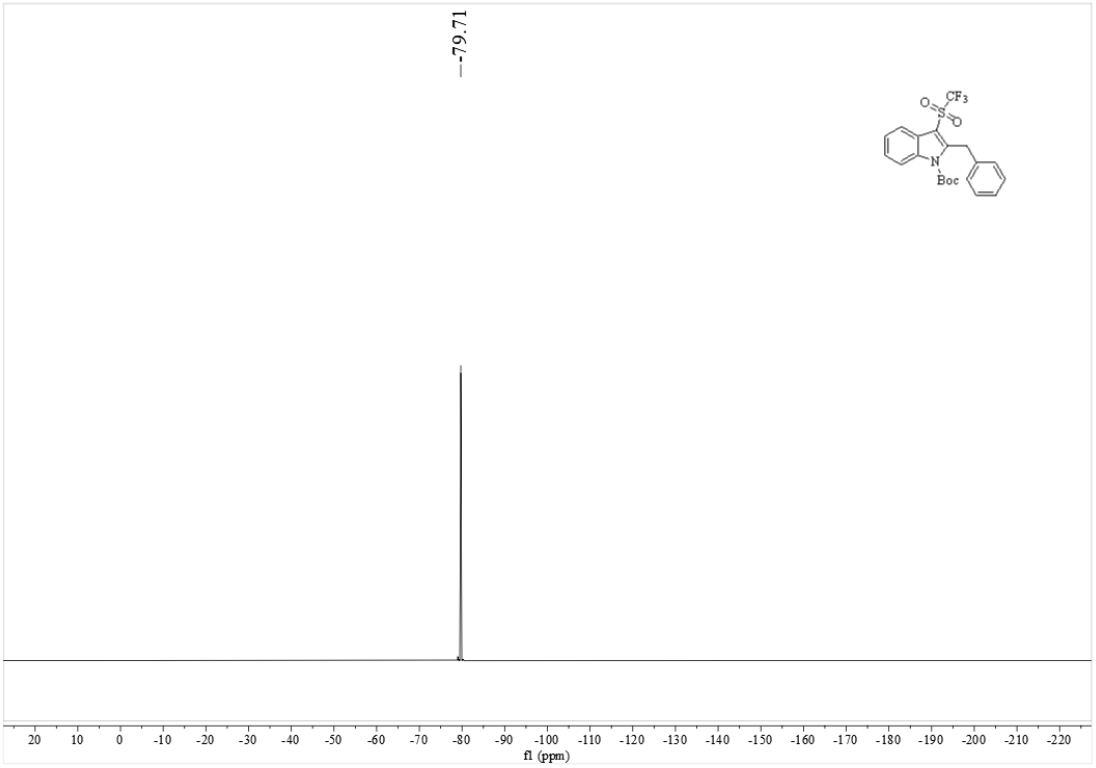
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **5v**



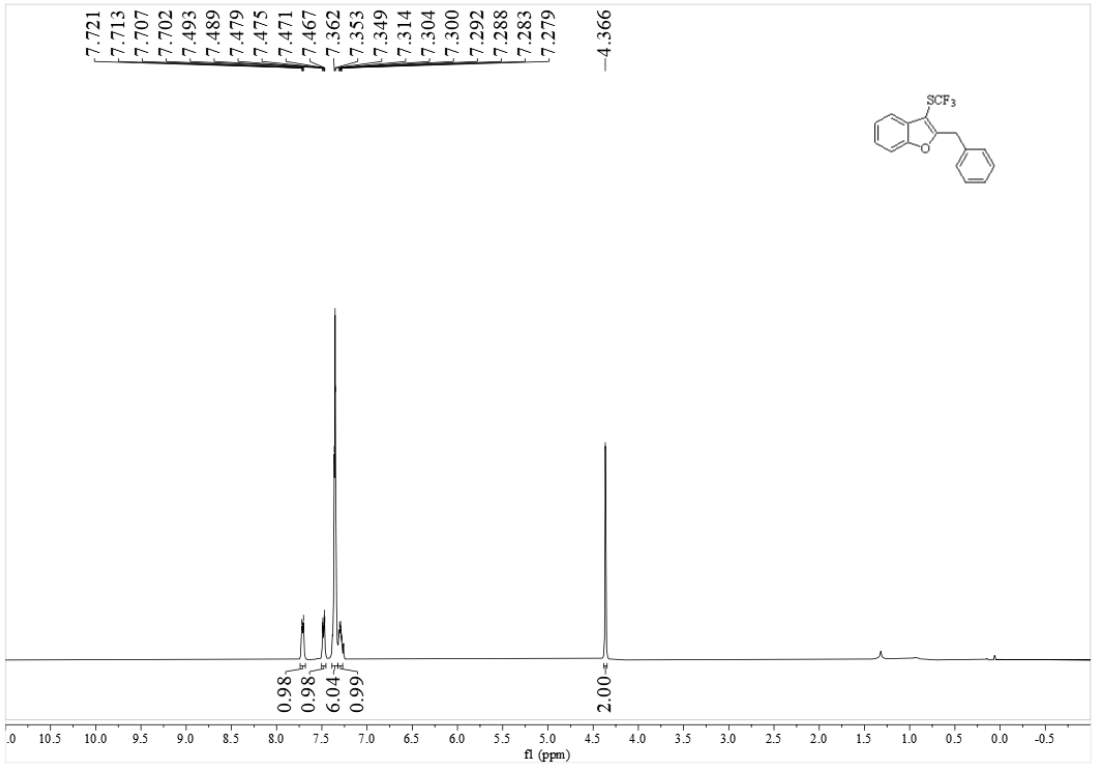
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **5v**



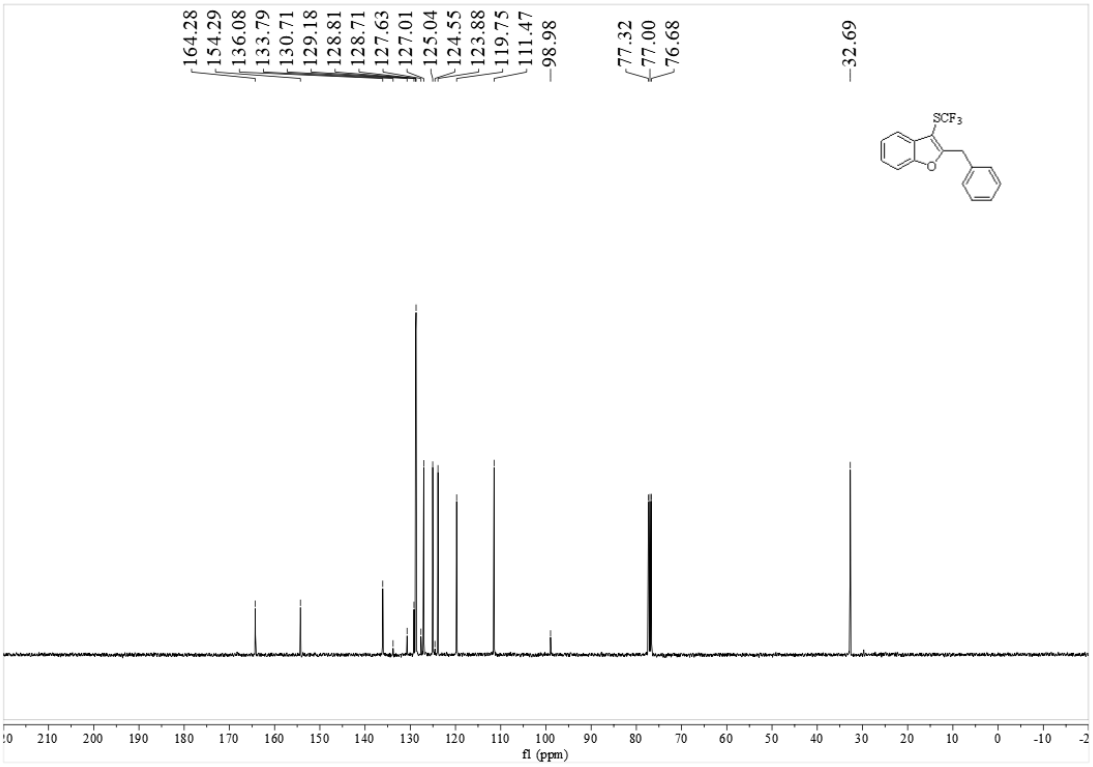
^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **5v**



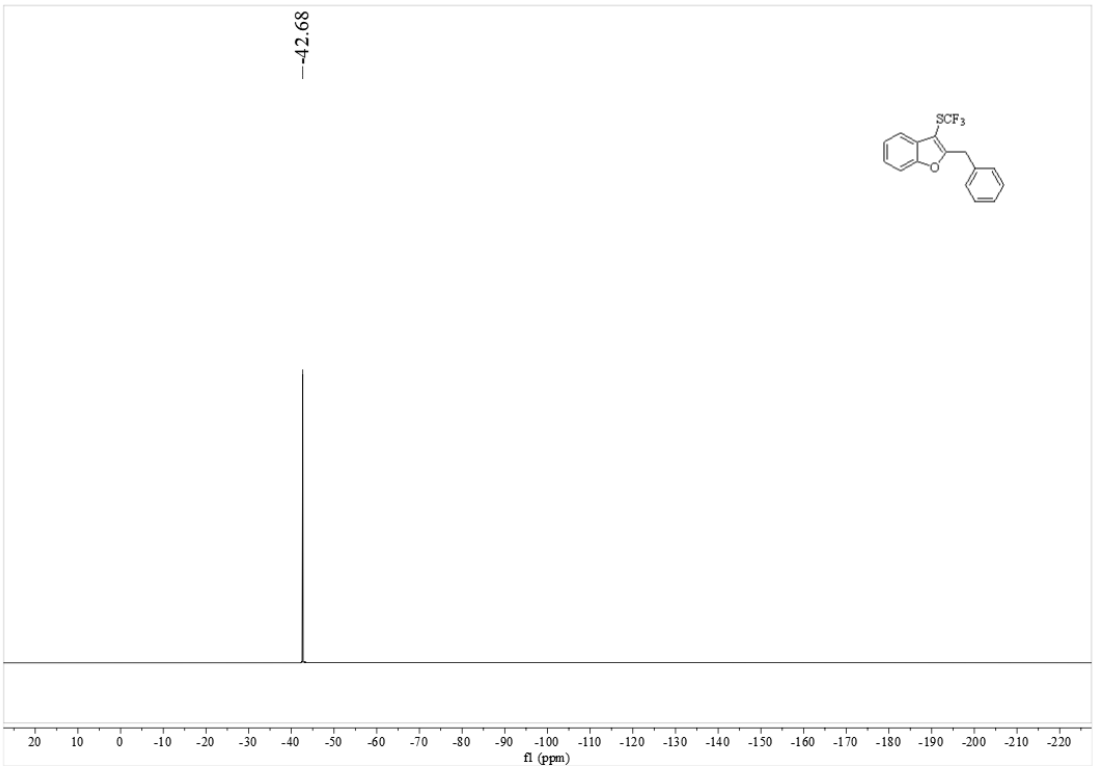
^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **9**



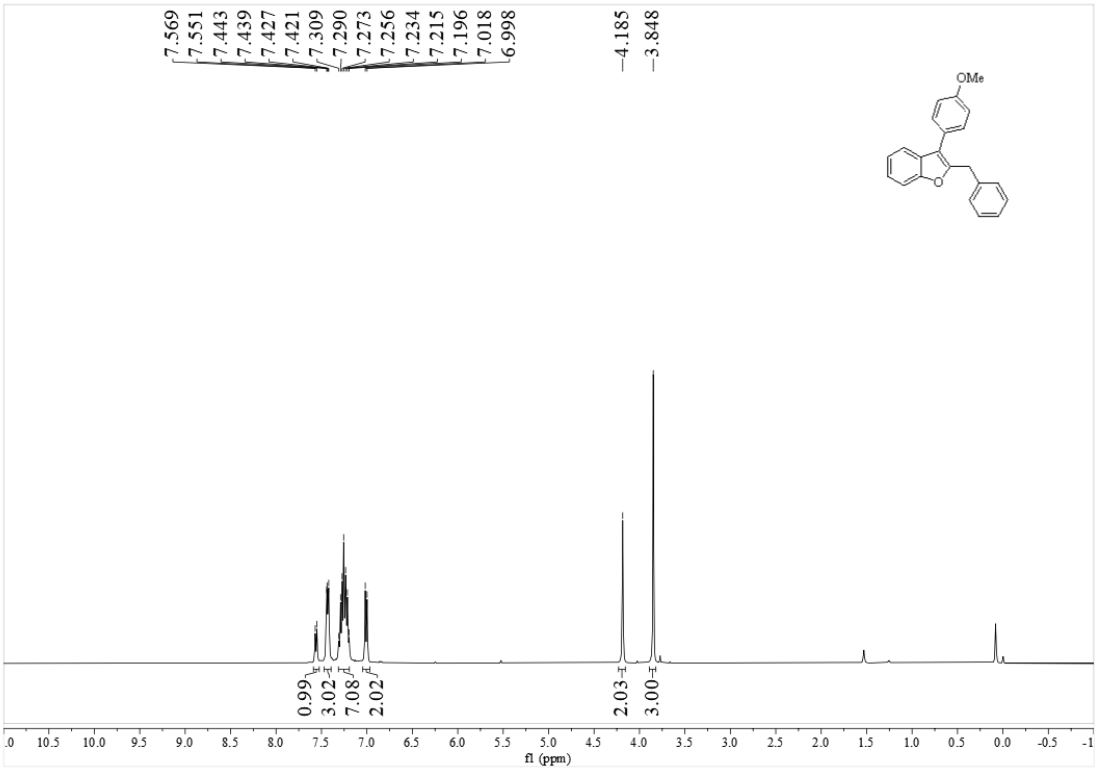
^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **9**



^{19}F NMR (376 MHz, $CDCl_3$) spectroscopy of **9**



^{1}H NMR (400 MHz, $CDCl_3$) spectroscopy of **10**



^{13}C NMR (100 MHz, $CDCl_3$) spectroscopy of **10**