Electronic Supplementary Material (ESI) for ChemComm.

Supporting Information

Palladium-catalysed aryl/monofluoroalkylation of allenamides: Access to fluoroalkyl indoles and isoquinolones

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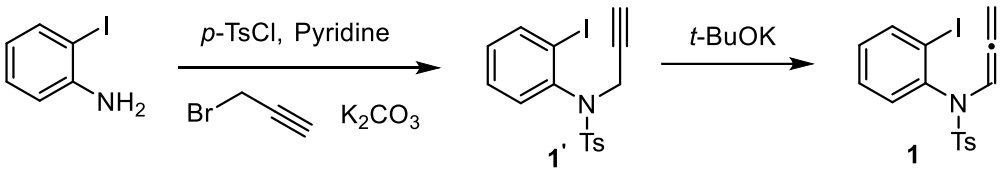
1. General Information

Unless stated otherwise, all reactions were carried out in flame-dried glassware under a dry argon atmosphere. All solvents were purified and dried according to standard methods prior to use. NMR data were obtained for ^{1}H at 400 MHz or 500 MHz, and for ^{13}C at 125 MHz or 100 MHz, and for ^{19}F at 376 MHz. Chemical shifts of ^{1}H NMR were recorded in parts per million (ppm, δ) relative to tetramethylsilane (δ = 0.00 ppm) with the solvent resonance as the internal standard (Chloroform-d: δ = 7.26 ppm). Data are reported as follows: chemical shift in ppm (δ), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet), coupling constant (Hz) and integration. Chemical shifts of ^{13}C NMR were reported in ppm with the solvent as the internal standard (Chloroform-d: δ = 77.16 ppm). High Resolution Mass measurement was performed on Agilent QTOF 6520 mass spectrometer with electron spray ionization (ESI) as the ion source. Flash column chromatography was carried out using commercially available 200-300 mesh under pressure unless otherwise indicated. Gradient flash chromatography was conducted eluting with PE/EA, they are listed as volume/volume ratios.

2. Procedures for the synthesis of substrates

2.1 Synthesis of Allenamides [1]-[2]

General Procedure 1:

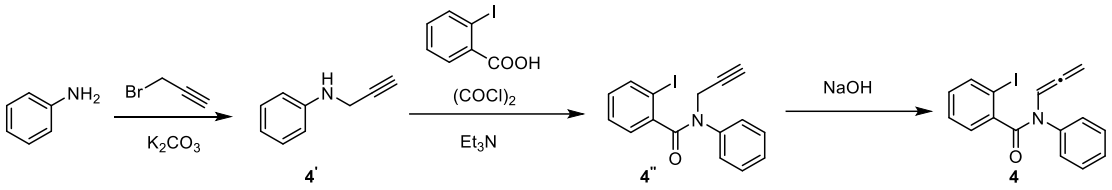


To a solution of *o*-iodoaniline (2.2 g, 10.0 mmol, 1.0 equiv) in pyridine (10.0mL) was added *p*-TsCl (2.1 g, 10.5 mmol, 1.05 equiv) at 0 °C. The reaction was stirred at room temperature for 8 h before being quenched with H_2O. The quenched mixture was extracted three times with DCM. The combined organic phase was first washed with 1.0M HCl to remove excess pyridine, and then washed with saturated aqueous $NaHCO_3$, H_2O, brine, and dried over Na_2SO_4. The filtrate was concentrated under reduced pressure and crude tosylation product was afforded without further purification.

To a solution of the crude tosylation product in DMF (20.0 mL), potassium carbonate (2.1 g, 15.0 mmol, 1.5 equiv) and 3-bromopropyne (1.8 g, 15.0 mmol, 1.5 equiv) was added. The mixture stirred in an oil bath at 60 °C for 8 h. After the reaction was complete, the mixture was filtered through CeliteTM. The filtrate was concentrated under reduced pressure and purified by flash column chromatography to give the propargyl amide **1'**.

To a solution of propargyl amide **1'** (4.1 g, 10.0 mmol, 1.0 equiv) in THF (10.0 mL) was added *t*-BuOK (0.34 g, 3.0 mmol, 0.3 equiv) at 0 °C. The reaction was stirred at room temperature for 1 h before being concentrated under reduced pressure. Subsequently, the residue was suspended in DCM and then filtered through CeliteTM. The filtrate was concentrated under reduced pressure and the crude residue was purified by flash column chromatography to give the allenamide **1**.

General Procedure 2:

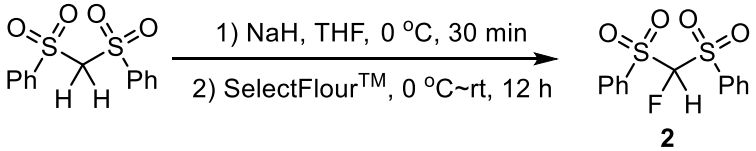


To a solution of aniline (1.9 g, 20.0 mmol, 1.0 equiv) in acetone (80.0 mL), 3-bromopropyne (2.4 g, 20.0 mmol, 1.0 equiv) and potassium carbonate (4.2 g, 30.0 mmol, 1.5 equiv) were added. Then the mixture was heated in an oil bath to reflux for 5 h. After the aniline was consumed completely, the mixture was filtered by CeliteTM and washed with DCM for twice. The crude compound **4'** was concentrated in vacuo for next step without further purification.

To a suspension of 2-iodobenzoic acid (5.0 g, 20.0 mmol, 1.0 equiv) in DCM (20.0 mL), one drop of DMF was added, then oxalyl chloride (5.1 g, 40.0 mmol, 2.0 equiv) was added dropwise at 0 °C and stirred at room temperature until the acid was completely consumed (monitored by TLC). The solvent and excess oxalyl chloride were removed by vacuum. The residue was dissolved in DCM (10.0 mL) at 0 °C. Then the crude compound **4'** was added, followed by triethylamine (2.4 g, 24.0 mmol, 1.2 equiv) in DCM (20.0 mL). After stirring at room temperature for 12 h, the reaction mixture was quenched with H_2O (50.0 mL). The organic phase was separated, dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purifed by flash column chromatography on silica gel to afford the compound **4"**.

To a solution of 2-iodo-*N*-phenyl-*N*-(prop-2-yn-1-yl)benzamide **4"** (3.6 g, 10.0 mmol, 1.0 equiv) in DMF (10.0 mL), sodium hydroxide (480 mg, 12.0 mmol, 1.2 equiv) was added. After stirring at room temperature for 12 h, DCM (50.0 mL) was added to the reaction mixture. The organic phase was washed with H_2O (25.0 mL) and aqueous lithium chloride (10 % w/w, 25.0 mL). The organic phase was then dried over Na_2SO_4, filtered, and concentrated under reduced pressure. The residue was purified by flash column chromatography to afford the allenamide **4**.

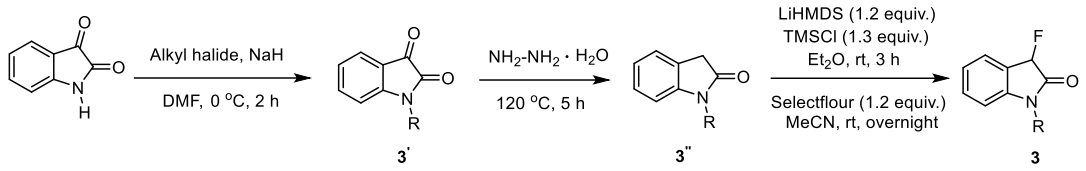
2.2 Synthesis of (Fluoromethylenedisulfonyl)dibenzene [3]



2

To a stirred solution of bis(phenylsulfonyl)methane (2.70 g, 9.0 mmol) in THF (25 ml) was slowly added NaH (60% oil dispersion, 240 mg, 6.0 mmol) at 0 °C under nitrogen atmosphere. After 30 min stirring at 0 °C, a solution of finely ground Selectfluor powerd (2.1 g, 6.0 mmol) in MeCN (5 ml) was added at 0 °C, and the mixture was stirred for 12 hours at room temperature. The reaction was quenched by addition of saturated aqueous ammonium chloride. The mixture was then extracted by EtOAc, washed with brine, and dried over anhydrous Na_2SO_4. The solvent was evaporated and the residue was purified by flash column chromatography to give **2** (1.6 g, 75%) as white solid.

2.3 Synthesis of 3-Fluorooxindole Substrates [4]-[5]



In an oven-dried round-bottom flask under argon atmosphere was charged with (67.97 mmol, 1.0 equiv) of isatin in DMF (80 mL) at 0 ºC. To this reaction mixture (81.56 mmol, 1.2 equiv) of NaH (60% in mineral oil) was added pinch-wise. After 5 minutes of stirring alkyl halide (71.37 mmol, 1.05 equiv) was added to the reaction mixture drop-wise over a period of 5 minutes. Upon completion of the reaction (monitoring by TLC), the reaction mixture was poured into ice cooled water (1 lit.) to get complete precipitation of the product. The precipitate was filter and dried under vacuum desiccator to get the desired *N*-alkylated product **3**'.

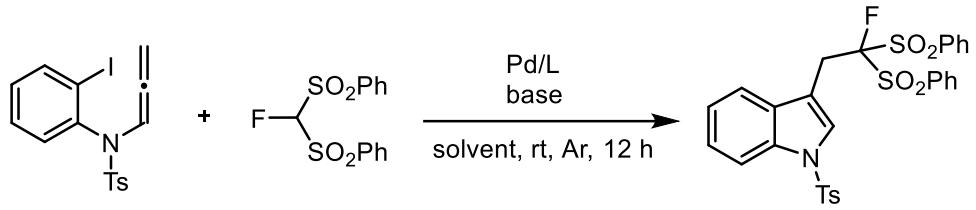
A round-bottom flask was charged with crude *N*-alkyl isatin (**3**') (65.0 mmol, 1.0 equiv) in NH_2-NH_2·H_2O (70 mL) at room temperature. The reaction mixture was then placed over a pre-heated oil bath maintaining temperature 120 ºC and stirring was continued for 5 h (TLC showed complete consumption of starting material). The reaction mixture was slowly cooled down to room temperature, diluted with 200 mL of EtOAc and treated with 15 mL of 4 (N) HCl solution at 0 ºC. The whole reaction mixture was taken in a separatory funnel and sperated out the organic layer. Aqueous layer was extracted with ethyl acetate (100 mL x 2). The combined organic layers were dried over anhydrous Na_2SO_4 and concentrated in a rotary evaporator under reduced pressure. The crude mixture was purified by flash chromatography using (EtOAc in hexanes) as eluent to afford product **3**".

Under nitrogen atmosphere, to a stirred solution of *N*-substituted-2-oxindole **3**" (10 mmol) in dry Et_2O (40 mL) was added LiHMDS (1.0 M in THF solution, 12 mmol, 12 mL) dropwise at 0 °C. The solution was allowed to warm to ambient temperature and stirred for 1 h. Then the solution was cooled to 0 °C and TMSCl (13 mmol, 1.6 mL) was added dropwise. The solution was allowed to warm to ambient temperature and stirred for another 3 h. The solvent was removed under reduced

pressure, and dry MeCN (40 mL) was charged to the flask. The solution was cooled to 0 °C again and Selectfluor (12 mmol, 4.3 g) was added to the solution in small portions. The resulting suspension was allowed to warm to ambient temperature and stirred overnight. The reaction was quenched by the addition of water (20 mL) and EtOAc (20 mL). The reaction mixture was extracted with EtOAc (20 mL × 2). The combined organic extracts were dried over anhydrous Na_2SO_4, filtered, and concentrated under reduced pressure Purification of the crude product was performed by flash column chromatography (Hexane/EtOAc) to afford 3-fluorooxindole **3**.

3. Optimization of the reaction conditions

Table S1. Optimization of the reaction conditions



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

Entry	cat	ligand	base	solvent	Time/h	Yield/%
1	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	Cs_2CO_3	DCE	12	23
2	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	Cs_2CO_3	THF	12	41
3	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	Cs_2CO_3	toluene	12	20
4	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	Cs_2CO_3	DMF	12	50
5	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	Cs_2CO_3	DCM	12	45
6	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	Cs_2CO_3	DME	12	35
7	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	Cs_2CO_3	1,4-dioxane	12	trace
8	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	Cs_2CO_3	TBME	12	40
9	$Pd(OAc)_2$	PPh_3	Cs_2CO_3	DMF	12	trace
10	$Pd(dba)_2$	PPh_3	Cs_2CO_3	DMF	12	10
11	$Pd(PPh_3)_4$	/	Cs_2CO_3	DMF	12	trace
12	$Pd_2(dba)_3$	PPh_3	Cs_2CO_3	DMF	12	0
13	$PdCl_2$	PPh_3	Cs_2CO_3	DMF	12	20
14	$Pd(PPh_3)_2Cl_2$	/	Cs_2CO_3	DMF	12	21
15	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	*t*-BuOK	DMF	12	50
16	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	*t*-BuONa	DMF	12	55
17	**$[PdCl(\eta^3\text{-allyl})]_2$**	**PPh_3**	**K_3PO_4**	**DMF**	**12**	**89**
18	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	KOH	DMF	12	35
19	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_3	Et_3N	DMF	12	trace
20	$[PdCl(\eta^3\text{-allyl})]_2$	PPh_2Cy	K_3PO_4	DMF	12	60
21	$[PdCl(\eta^3\text{-allyl})]_2$	$PPhCy_2$	K_3PO_4	DMF	12	33
22	$[PdCl(\eta^3\text{-allyl})]_2$	Sphos	K_3PO_4	DMF	12	55
23	$[PdCl(\eta^3\text{-allyl})]_2$	JohnPhos	K_3PO_4	DMF	12	35
24	$[PdCl(\eta^3\text{-allyl})]_2$	DPPP	K_3PO_4	DMF	12	25

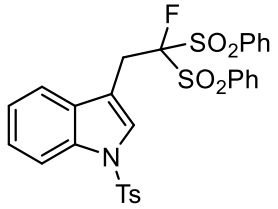
25	[PdCl(η^3-allyl)]$_2$	BINAP	K_3PO_4	DMF	12	trace
26	[PdCl(η^3-allyl)]$_2$	DPPM	K_3PO_4	DMF	12	45

[a] Reaction conditions: **1a** (0.1 mmol), **2a** (0.12 mmol), [Pd] (5 mol %), ligand (12.0 mol %), base (1 equiv), solvent (2.0 mL), rt, under an Ar atmosphere for 12 h, sealed tube. Yields of isolated products.

4. General procedure for the preparation of products

To a flame-dried Schlenk tube were added **1a** (0.10 mmol, 1.0 equiv.), **2a** (0.12 mmol, 1.2 equiv.), [PdCl(η^3-allyl)]$_2$ (1.8 mg, 0.005 mmol, 5 mol %), PPh_3 (3.1 mg, 0.012 mmol, 12 mol%), K_3PO_4 (21.2 mg, 0.10 mmol, 1.0 equiv.) and DMF (2.0 mL) under a nitrogen atmosphere. After stirring in an oil bath at 30 °C for 12 h, the solvent was evaporated under reduced pressure, and the obtained residue was purified by flash column chromatography on silica-gel (eluent: petroleum ether /ethyl acetate=5:1) to afford the corresponding products.

5. Characterization data

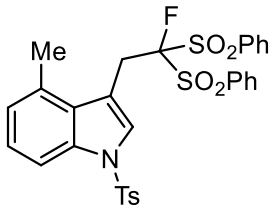


3a

7-fluoro-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-tosyl-1*H*-indole (3a)

53.1 mg, 89% yield, yellow solid, MP = 170-171 °C, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.83 (d, J = 8.4 Hz, 1H), 7.74-7.72 (m, 6H), 7.60 (t, J = 7.5 Hz, 2H), 7.38 (t, J = 7.8 Hz, 4H), 7.30 (s, 1H), 7.26-7.19 (m, 4H), 7.12 (t, J = 7.5 Hz, 1H), 3.98 (s, 1H), 3.92 (s, 1H), 2.32 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 145.2, 135.2, 135.2, 134.3, 130.6 (d, $J_{F\text{-}C}$ = 1.7 Hz), 130.5, 130.0, 128.9, 127.0, 127.0, 127.0, 124.8, 123.3, 119.7 (d, $J_{F\text{-}C}$ = 3.2 Hz), 115.4 (d, $J_{F\text{-}C}$ = 271.3 Hz), 113.3, 110.8 (d, $J_{F\text{-}C}$ = 2.3 Hz), 25.4 (d, $J_{F\text{-}C}$ = 18.6 Hz), 21.6. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.6.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{24}FNO_6S_3^+$: 598.0750; found: 598.0755.

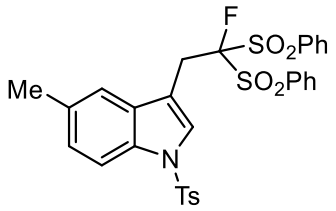


3b

3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-4-methyl-1-tosyl-1*H*-indole (3b)

34.8 mg, 57% yield, yellow solid, MP = 187-188 °C, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent;; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.71-7.69 (m, 7H), 7.66-7.62 (m, 2H), 7.40 (t, J = 8.0 Hz, 4H), 7.25 (d, J = 2.7 Hz, 1H), 7.20 (d, J = 8.1 Hz, 2H), 7.15 (t, J = 7.9 Hz, 1H), 6.94 (d, J = 7.3 Hz, 1H), 4.25 (s, 1H), 4.19 (s, 1H), 2.58 (s, 3H), 2.32 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 145.2, 135.8, 135.3, 135.2, 134.5, 131.6, 130.6 (d, J_{F-C} = 1.5 Hz), 130.0, 128.9, 128.8, 127.1, 127.0 (d, J_{F-C} = 4.7 Hz), 125.9, 124.8, 116.3 (d, J_{F-C} = 272.0 Hz), 111.3, 110.4, 26. (d, J_{F-C} = 17.2 Hz), 21.7, 20.4 (d, J_{F-C} = 2.2 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -142.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{27}FNO_6S_3^+$: 612.0979; found: 612.0974.

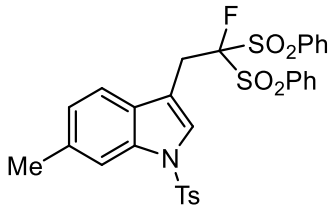


3c

3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-5-methyl-1-tosyl-1*H*-indole (3c)

42.8 mg, 70% yield, yellow solid, MP = 189-190 °C, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.75-7.70 (m, 7H), 7.65-7.61 (m, 2H), 7.43-7.39 (m, 4H), 7.24 (s, 1H), 7.20 (d, J = 8.1 Hz, 2H), 7.06 (dd, J_1 = 8.6 Hz, J_2 = 2.0 Hz, 1H), 6.86 (s, 1H), 3.93 (s, 1H), 3.88 (s, 1H), 2.32 (s, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 145.1, 135.4, 135.3, 133.0, 132.6, 130.9, 130.8 (d, J_{F-C} = 1.7 Hz), 130.0, 128.9, 127.2, 127.2, 127.0, 126.3, 119.5 (d, J_{F-C} = 2.8 Hz), 115.4 (d, J_{F-C} = 2.5 Hz), 113.1, 110.6 (d, J_{F-C} = 271.1 Hz), 25.4 (d, J_{F-C} = 18.7 Hz), 21.7, 21.5. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.9.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{27}FNO_6S_3^+$: 612.0979; found: 612.0971.

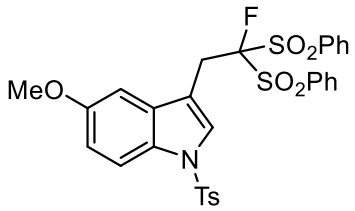


3d

3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-6-methyl-1-tosyl-1*H*-indole (3d)

34.2 mg, 56% yield, yellow solid, MP = 192-193 °C, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.70 (d, *J* = 8.2 Hz, 7H), 7.66-7.61 (m, 2H), 7.42-7.38 (m, 4H), 7.24 (d, *J* = 2.8 Hz, 1H), 7.20 (d, *J* = 8.1 Hz, 2H), 7.15 (t, *J* = 7.9 Hz, 1H), 6.95 (d, *J* = 7.3 Hz, 1H), 4.25 (s, 1H), 4.19 (s, 1H), 2.58 (s, 3H), 2.32 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 145.2, 135.8, 135.3, 135.2, 134.5, 131.6, 130.6 (d, J_{F-C} = 1.7 Hz), 130.0, 129.6, 128.9, 127.1, 127.0 (d, J_{F-C} = 4.7 Hz), 125.9, 124.8, 116.3 (d, J_{F-C} = 272.2 Hz), 111.3, 110.4, 26.1 (d, J_{F-C} = 17.2 Hz), 21.7, 20.4 (d, J_{F-C} = 2.2 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -142.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{27}FNO_6S_3^+$: 612.0979; found: 612.0983.

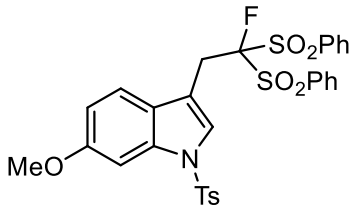


3e

3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-5-methoxy-1-tosyl-1*H*-indole (3e)

37.6 mg, 60% yield, yellow solid, MP = 162-163 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.73-7.68 (m, 7H), 7.65-7.60 (m, 2H), 7.42-7.39 (m, 4H), 7.21 (d, *J* = 8.2 Hz, 2H), 7.14 (d, *J* = 1.3 Hz, 1H), 6.87 (dd, J_1 = 9.2 Hz, J_2 = 2.4 Hz, 1H), 6.77 (t, *J* = 2.0 Hz, 1H), 3.94 (s, 1H), 3.88 (s, 1H), 3.78 (s, 3H), 2.33 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.5, 145.2, 135.3, 135.3, 131.7, 130.7, 130.7, 130.0, 129.0, 128.9, 127.6, 127.6, 126.9, 115.5 (d, J_{F-C} = 271.1 Hz), 114.2 (d, J_{F-C} = 2.6 Hz), 110.8 (d, J_{F-C} = 2.2 Hz), 102.1 (d, J_{F-C} = 3.0 Hz), 55.9, 25.4 (d, J_{F-C} = 18.6 Hz), 21.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.9.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{27}FNO_7S_3^+$: 628.0928; found: 628.0937.

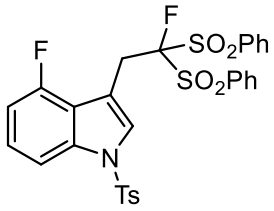


3f

3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-6-methoxy-1-tosyl-1*H*-indole (3f)

35.7 mg, 57% yield, yellow solid, MP = 173-174 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.74-7.69 (m, 6H), 7.64-7.60 (m, 2H), 7.43-7.39 (m, 4H), 7.35 (d, J = 2.3 Hz, 1H), 7.22 (d, J = 8.0 Hz, 2H), 7.11 (s, 1H), 7.09 (d, J = 1.8 Hz, 1H), 6.77 (dd, J_1 = 8.8 Hz, J_2 = 2.4 Hz, 1H), 3.92 (s, 1H), 3.86 (s, 1H), 3.84 (s, 3H), 2.34 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 158.2, 145.2, 135.4, 135.2, 130.7 (d, J_{F-C} = 1.5 Hz) 130.1, 128.9, 127.0, 125.7, 125.7, 124.5, 120.4 (d, J_{F-C} = 3.2 Hz), 115.5 (d, J_{F-C} = 271.2 Hz), 112.4, 110.9 (d, J_{F-C} = 2.5 Hz), 97.6, 55.9, 25.5 (d, J_{F-C} = 18.9 Hz), 21.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.8.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{27}FNO_7S_3^+$: 628.0928; found: 628.0924.

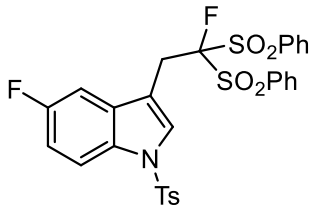


3g

4-fluoro-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-tosyl-1*H*-indole (3g)

35.1 mg, 57% yield, yellow solid, MP = 184-185 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.81 -7.79 (m, 4H), 7.78-7.75 (m, 2H), 7.64-7.59 (m, 3H), 7.47 (d, J = 1.6 Hz, 1H), 7.45-7.41 (m, 4H), 7.24 (d, J = 8.0 Hz, 2H), 7.18 -7.13 (m, 1H), 6.78 (dd, J_1 = 10.6 Hz, J_2 = 8.4 Hz, 1H), 4.06 (s, 1H), 4.00 (s, 1H), 2.34 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 156.4 (d, J_{F-C} = 250.4 Hz), 145.5, 136.4 (d, J_{F-C} = 9.0 Hz), 135.5, 135.2, 134.9, 130.8 (d, J_{F-C} = 1.4 Hz), 130.1, 129.0, 127.6, 127.2, 125.6 (d, J_{F-C} = 7.7 Hz), 119.2 (d, J_{F-C} = 18.2 Hz), 115.4 (d, J_{F-C} = 273.1 Hz), 109.5 (d, J_{F-C} = 3.9 Hz), 109.1 (d, J_{F-C} = 19.4 Hz), 108.5, 26.4 (d, J_{F-C} = 17.6 Hz), 21.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.5, -168.2.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{24}F_2NO_6S_3^+$: 616.0728; found: 616.0732.

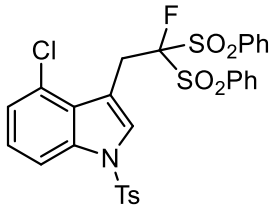


3h

5-fluoro-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-tosyl-1*H*-indole (3h)

30.8 mg, 50% yield, yellow solid, MP = 179-180 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.79-7.71 (m, 7H), 7.66-7.61 (m, 2H), 7.44-7.39 (m, 5H), 7.23 (d, J = 8.1 Hz, 2H), 6.98-6.93 (m, 1H), 6.73-6.70 (m, 1H), 3.90 (s, 1H), 3.85 (s, 1H), 2.34 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 145.8, 135.4, 135.2, 134.9, 133.6, 133.0, 130.8 (d, J_{F-C} = 1.7 Hz), 130.3, 129.7, 129.0, 127.1, 126.9, 124.5 (d, J_{F-C} = 273.3 Hz), 120.4 (d, J_{F-C} = 3.5 Hz), 120.1 (d, J_{F-C} = 3.6 Hz), 115.2 (d, J_{F-C} = 271.0 Hz), 110.8 (d, J_{F-C} = 3.6 Hz), 25.6 (d, J_{F-C} = 18.9 Hz), 21.8. ^{19}F NMR (376 MHz, $CDCl_3$) δ -61.1, -140.6.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{24}F_2NO_6S_3^+$: 616.0728; found: 616.0733.

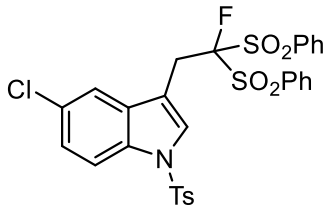


3i

4-chloro-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-tosyl-1*H*-indole (3i)

52.3 mg, 83% yield, yellow solid, MP = 174-175 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84-7.82 (m, 4H), 7.78-7.74 (m, 3H), 7.65-7.60 (m, 3H), 7.46-7.42 (m, 4H), 7.23 (d, J = 8.1 Hz, 2H), 7.14 (t, J = 8.0 Hz, 1H), 7.08 (dd, J_1 = 7.8 Hz, J_2 = 1.2 Hz, 1H), 4.34 (s, 1H), 4.29 (s, 1H), 2.33 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 145.6, 135.7, 135.5, 135.3, 134.8, 130.8, 130.2, 129.0, 128.9 (d, J_{F-C} = 4.1 Hz), 127.3, 126.9, 126.6, 125.2, 124.8, 115.8 (d, J_{F-C} = 274.6 Hz), 112.2, 109.9 (d, J_{F-C} = 1.6 Hz), 25.8 (d, J_{F-C} = 17.1 Hz), 21.8. ^{19}F NMR (376 MHz, $CDCl_3$) δ -143.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{24}ClFNO_6S_3^+$: 632.0433; found: 632.0431 .

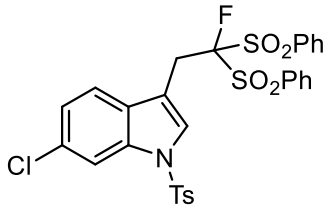


3j

5-chloro-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-tosyl-1*H*-indole (3j)

50.5 mg, 80% yield, yellow solid, MP = 169-170 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00-7.98 (m, 1H), 7.78-7.72 (m, 7H), 7.67-7.60 (m, 2H), 7.46-7.42 (m, 4H), 7.24 (d, J = 8.1 Hz, 2H), 7.17 (dd, J_1 = 2.2 Hz, J_2 = 0.5 Hz, 1H), 6.89 (t, J = 1.9 Hz, 1H), 3.90 (s, 1H), 3.84 (s, 1H), 2,34 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 145.6, 135.5, 135.1, 132.8, 131.9, 130.8 (d, J_{F-C} = 1.6 Hz), 130.2, 129.6, 129.0, 128.8, 127.1, 125.1, 119.4, 114.9 (d, J_{F-C} = 243.4 Hz), 114.5, 110.5, 25.7 (d, J_{F-C} = 18.8 Hz), 21.7. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.5.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{24}ClFNO_6S_3^+$: 632.0438; found: 632.0433.

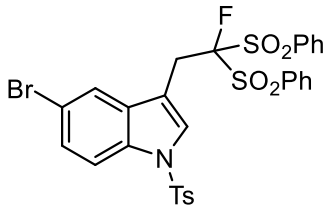


3k

6-chloro-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-tosyl-1*H*-indole (3k)

50.5 mg, 80% yield, yellow solid, MP = 216-217 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.85 (d, J = 1.6 Hz, 1H), 7.75-7.71 (m, 6H), 7.66-7.61 (m, 2H), 7.44-7.40 (m, 4H), 7.27 (s, 2H), 7.25 (s, 1H), 7.15-7.09 (m, 2H), 3.93 (s, 1H), 3.88 (s, 1H), 2.36 (s, 3H).^{13}C NMR (101 MHz, $CDCl_3$) δ 145.6, 135.9, 135.4, 135.2, 134.7, 131.0, 130.7 (d, J_{F-C} = 1.7 Hz), 130.3, 129.6, 129.0, 127.6, 127.1, 124.1, 120.7 (d, J_{F-C} = 3.3 Hz), 115.3 (d, J_{F-C} = 271.1 Hz), 113.5, 110.8 (d, J_{F-C} = 2.5 Hz), 25.5 (d, J_{F-C} = 18.7 Hz), 21.8. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{24}ClFNO_6S_3^+$: 632.0438; found: 632.0430.

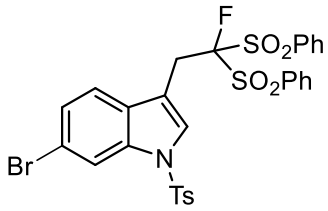


3l

5-bromo-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-tosyl-1*H*-indole (3l)

56.0 mg, 83% yield, brown solid, MP = 176-177 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.00-7.98 (m, 1H), 7.78-7.75 (m, 4H), 7.74-7.72 (m, 2H), 7.67-7.60 (m, 3H), 7.46-7.42 (m, 4H), 7.31 (dd, J_1 = 8.8 Hz, J_2 = 2.0 Hz, 1H), 7.24 (d, J = 8.4 Hz, 2H), 7.04 (t, J = 1.9 Hz, 1H), 3.89 (s, 1H), 3.84 (s, 1H), 2.35 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 145.6, 135.9, 135.5, 135.1, 133.1, 132.4, 130.9 (d, J_{F-C} = 1.7 Hz), 130.3, 130.2, 129.6, 129.0, 128.7, 127.8, 127.1, 122.4 (d, J_{F-C} = 3.9 Hz), 115.9 (d, J_{F-C} = 211.8 Hz), 110.4 (d, J_{F-C} = 2.9 Hz), 25.7 (d, J_{F-C} = 18.6 Hz), 21.8. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.5.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{24}BrFNO_6S_3^+$: 675.9928; found: 675.9921.

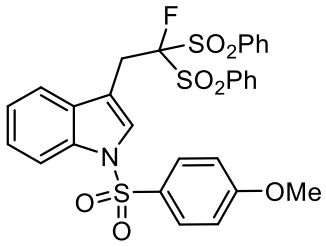


3m

6-bromo-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-tosyl-1*H*-indole (3m)

50.6 mg, 75% yield, brown solid, MP = 221-222 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.01 (d, J = 1.6 Hz, 1H), 7.99 (dd, J_1 = 7.0 Hz, J_2 = 2.0 Hz, 1H), 7.74-7.71 (m, 5H), 7.66-7.60 (m, 3H), 7.44-7.40 (m, 4H), 7.27-7.23 (m, 3H), 7.07 (dd, J_1 = 8.4 Hz, J_2 = 2.0 Hz, 1H), 3.93 (s, 1H), 3.88 (s, 1H), 2.35 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 145.6, 135.9, 135.4, 135.2, 135.0, 130.7 (d, J_{F-C} = 1.7 Hz), 130.3, 129.6, 129.0, 127.6 (d, J_{F-C} = 1.9 Hz), 127.0, 126.7, 121.1 (d, J_{F-C} = 3.5 Hz), 118.6, 116.6, 115.2 (d, J_{F-C} = 271.3 Hz), 110.8 (d, J_{F-C} = 2.5 Hz), 25.5 (d, J_{F-C} = 18.9 Hz), 21.8. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{24}BrFNO_6S_3^+$: 675.9928; found: 675.9934.

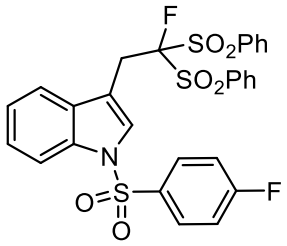


3n

3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-((4-methoxyphenyl)sulfonyl)-1*H*-indole (3n)

37.4 mg, 61% yield, yellow solid, MP = 187-188 ºC, R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.84-7.78 (m, 3H), 7.72 (d, *J* = 7.9 Hz, 4H), 7.61 (t, *J* = 7.5 Hz, 2H), 7.40 (t, *J* = 7.8 Hz, 4H), 7.27-7.20 (m, 3H), 7.13 (t, *J* = 7.5 Hz, 1H), 6.89-6.86 (m, 2H), 3.97 (s, 1H), 3.91 (s, 1H), 3.78 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.0, 135.3, 135.2, 134.4, 130.7 (d, J_{F-C} = 1.6 Hz), 130.6, 129.7, 129.3, 128.9, 127.1, 124.8, 123.3, 119.8, 114.6, 113.3, 110.7, 55.8, 25.5 (d, J_{F-C} = 18.4 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{25}FNO_7S_3^+$: 614.0772; found: 614.0780.

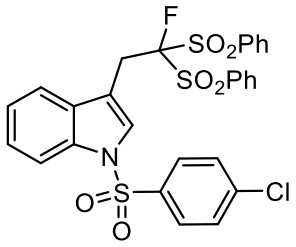


3o

3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-((4-fluorophenyl)sulfonyl)-1*H*-indole (3o)

39,7 mg, 66% yield, yellow solid, MP = 156-157 ºC, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.90-7.82 (m, 3H), 7.76-7.73 (m, 4H), 7.66-7.61 (m, 2H), 7.44-7.40 (m, 4H), 7.32 (d, *J* = 1.2 Hz, 1H), 7.29-7.25 (m, 1H), 7.22-7.13 (m, 2H), 7.12-7.07 (m, 2H), 3.96 (s, 1H), 3.90 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 165.9 (d, J_{F-C} = 258.5 Hz), 135.3, 135.3, 134.4, 134.2 (d, J_{F-C} = 3.3 Hz), 130.7, 130.7, 129.9 (d, J_{F-C} = 9.7 Hz), 129.0, 127.1 (d, J_{F-C} = 1.9 Hz), 125.1, 123.6, 119.9 (d, J_{F-C} = 3.2 Hz), 116.8 (d, J_{F-C} = 23.0 Hz), 114.0, 113.3, 111.6 (d, J_{F-C} = 2.7 Hz), 25.5 (d, J_{F-C} = 28.6 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -102.4, -141.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{28}H_{22}F_2NO_6S_3^+$: 602.0572; found: 602.0579.

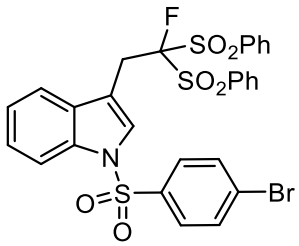


3p

1-((4-chlorophenyl)sulfonyl)-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1*H*-indole (3p)

52.4 mg, 85% yield, yellow solid, MP = 194-195 °C, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.80-7.77 (m, 4H), 7.68-7.64 (m, 3H), 7.50-7.45 (m, 8H), 7.37-7.34 (m, 2H), 7.29 (s, 1H), 4.03 (s, 1H), 3.98 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.3, 138.1 (d, J_{F-C} = 28.6 Hz), 135.4, 135.4, 134.2, 132.8, 132.3, 132.2, 130.8 (d, J_{F-C} = 1.5 Hz), 129.6, 129.1, 128.8, 128.1, 127.4, 126.2, 123.2, 105.8, 29.0 (d, J_{F-C} = 17.7 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{28}H_{22}ClFNO_6S_3^+$: 618.0276; found: 618.0273.

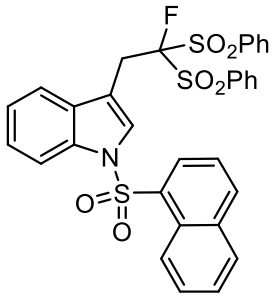


3q

1-((4-bromophenyl)sulfonyl)-3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1*H*-indole (3q)

57.5 mg, 87% yield, brown solid, MP = 201-202 °C, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (d, J = 7.9 Hz, 1H), 7.82 (d, J = 8.3 Hz, 1H), 7.74 (d, J = 8.0 Hz, 4H), 7.70 (d, J = 8.6 Hz, 2H), 7.64 (t, J = 7.6 Hz, 3H), 7.55 (d, J = 8.6 Hz, 2H), 7.43 (t, J = 7.8 Hz, 4H), 7.31 (s, 1H), 7.20-7.14 (m, 1H), 3.96 (s, 1H), 3.90 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 137.0, 135.9, 135.3, 134.4, 132.8, 130.8, 130.3, 129.6, 129.0, 128.4, 127.1, 125.2, 123.7, 120.0 (d, J_{F-C} = 3.0 Hz), 115.3 (d, J_{F-C} = 271.4 Hz), 113.4, 111.8 (d, J_{F-C} = 2.7 Hz), 25.5 (d, J_{F-C} = 18.6 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.1.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{28}H_{21}BrFNO_6S_3^+$: 661.9698; found: 661.9699.

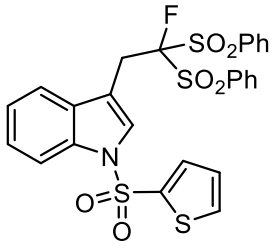


3r

3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-(naphthalen-1-ylsulfonyl)-1*H*-indole (3r)

57.6 mg, 91% yield, yellow solid, MP = 121-122 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.49 (d, J = 1.9 Hz, 1H), 7.96-7.91 (m, 2H), 7.83 (m, 2H), 7.76-7.71 (m, 5H), 7.63 -7.55 (m, 4H), 7.40-7.35 (m, 5H), 7.27-7.34 (m, 1H), 7.18-7.09 (m, 2H), 3.98 (s, 1H), 3.92 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 135.4, 135.2, 135.0, 134.4, 132.0, 130.7, 130.7, 129.9, 129.7, 128.9, 128.8, 128.0, 128.0, 127.2 (d, J_{F-C} = 2.0 Hz), 125.0, 123.4, 121.6, 119.8 (d, J_{F-C} = 3.1 Hz), 115.4 (d, J_{F-C} = 271.2 Hz), 113.4, 111.1 (d, J_{F-C} = 2.6 Hz), 25.4 (d, J_{F-C} = 18.8 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.9.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{32}H_{25}FNO_6S_3^+$: 634.0823; found: 634.0814.

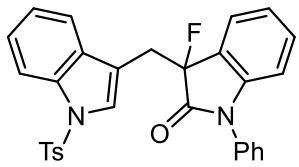


3s

3-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-1-(thiophen-2-ylsulfonyl)-1*H*-indole (3s)

53.0 mg, 90% yield, yellow solid, MP = 171-172 °C, R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.87 (d, J = 8.3 Hz, 1H), 7.74-7.72 (m, 4H), 7.66 (dd, J_1 = 3.8 Hz, J_2 = 1.6 Hz, 1H), 7.64-7.59 (m, 2H), 7.55 (dd, J_1 = 5.0 Hz, J_2 = 1.6 Hz, 1H), 7.40 (t, J = 7.8 Hz, 4H), 7.21-7.16 (m, 2H), 7.21 (s, 1H), 7.18 (t, J = 7.5 Hz, 1H), 7.01-6.99 (m, 1H), 3.98 (s, 1H), 3.93 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 138.2, 135.3, 134.3, 133.9, 133.5, 130.7, 130.7, 128.9, 127.8, 126.7 (d, J_{F-C} = 2.1 Hz), 125.1, 123.7, 120.0 (d, J_{F-C} = 3.2 Hz), 115.4 (d, J_{F-C} = 271.1 Hz), 113.5, 111.6, 111.6, 25.4 (d, J_{F-C} = 18.6 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.8.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{26}H_{21}FNO_6S_4^+$: 590.0230; found: 590.0223.

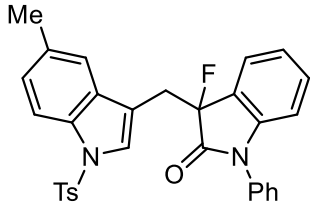


3t

3-fluoro-1-phenyl-3-((1-tosyl-1*H*-indol-3-yl)methyl)indolin-2-one (3t)

44.8 mg, 88% yield, yellow solid, MP = 137-138 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (d, *J* = 8.3 Hz, 1H), 7.60-7.51 (m, 4H), 7.38-7.27 (m, 4H), 7.25-7.16 (m, 2H), 7.13-7.02 (m, 4H), 6.90-6.80 (m, 2H), 6.57 (d, *J* = 7.9 Hz, 1H), 3.71-3.52 (m, 2H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.1 (d, J_{F-C} = 20.9 Hz), 145.0, 144.2 (d, J_{F-C} = 5.1 Hz), 135.1, 134.7, 133.2, 131.2 (d, J_{F-C} = 2.7 Hz), 130.6, 129.9, 129.7, 128.5, 127.6, 126.8, 126.3, 125.7 (d, J_{F-C} = 13.3 Hz), 125.2, 125.0, 123.7 (d, J_{F-C} = 2.5 Hz), 123.4, 120.2, 113.8 (d, J_{F-C} = 9.9 Hz), 113.4, 110.0, 92.8 (d, J_{F-C} = 191.1 Hz), 31.4 (d, J_{F-C} = 31.0 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -155.14.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{24}FN_2O_3S^+$: 511.1486; found: 511.1481.

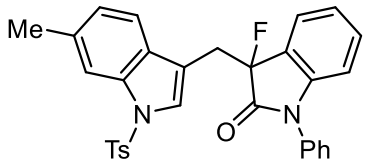


3u

3-fluoro-3-((5-methyl-1-tosyl-1*H*-indol-3-yl)methyl)-1-phenylindolin-2-one (3u)

35.6 mg, 68% yield, yellow solid, MP = 79-80 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-d) δ 7.81 (d, *J* = 8.5 Hz, 1H), 7.57 (d, *J* = 8.3 Hz, 2H), 7.37-7.35 (m, 3H), 7.29 (s, 1H), 7.28-7.18 (m, 2H), 7.15-7.07 (m, 3H), 7.07-7.01 (m, 2H), 6.92-6.90 (m, 2H), 6.59 (d, *J* = 8.0 Hz, 1H), 3.77-3.43 (m, 2H), 2.35 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.1 (d, J_{F-C} = 20.9 Hz), 144.8, 144.3 (d, J_{F-C} = 1.7 Hz), 135.2, 133.3, 133.1 (d, J_{F-C} = 2.3 Hz), 131.2 (d, J_{F-C} = 2.7 Hz), 130.9, 129.9, 129.7, 128.5, 127.7, 126.8, 126.4, 126.3, 125.8 (d, J_{F-C} = 1.3 Hz), 125.3, 125.1, 123.6 (d, J_{F-C} = 2.4 Hz), 120.1 (d, J_{F-C} = 1.3 Hz), 113.7 (d, J_{F-C} = 9.4 Hz), 113.2, 110.0, 92.9 (d, J_{F-C} = 190.9 Hz), 31.5 (d, J_{F-C} = 30.8 Hz), 21.7, 21.5; ^{19}F NMR (376 MHz, Chloroform-d) δ -155.1.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{26}FN_2O_3S^+$: 525.1643; found: 525.1634.

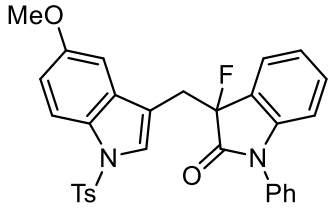


3v

3-fluoro-3-((6-methyl-1-tosyl-1*H*-indol-3-yl)methyl)-1-phenylindolin-2-one (3v)

33.5 mg, 64% yield, yellow solid, MP = 93-94 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.86 (d, *J* = 8.3 Hz, 1H), 7.64 (d, *J* = 8.3 Hz, 2H), 7.47 (t, *J* = 7.4 Hz, 2H), 7.40 (t, *J* = 7.4 Hz, 1H), 7.36-7.27 (m, 1H), 7.20-7.17 (m, 3H), 7.14-7.11 (m, 3H), 6.96-6.88 (m, 3H), 6.79 (d, *J* = 8.0 Hz, 1H), 3.91-3.65 (m, 2H), 2.46 (s, 3H), 2.29 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 172.1 (d, J_{F-C} = 20.9 Hz), 145.0, 144.2 (d, J_{F-C} = 5.2 Hz), 135.1 (d, J_{F-C} = 5.0 Hz), 133.4, 131.8, 131.4 (d, J_{F-C} = 2.7 Hz), 129.89, 129.85, 129.2, 128.6, 126.9, 126.4, 125.8, 125.78 (d, J_{F-C} = 1.6 Hz), 125.6, 125.3, 125.1, 124.8, 123.7 (d, J_{F-C} = 2.3 Hz), 114.6 (d, J_{F-C} = 6.7 Hz), 111.6, 110.1, 92.4 (d, J_{F-C} = 189.6 Hz), 32.5 (d, J_{F-C} = 30.9 Hz), 21.7, 20.4; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -154.86.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{26}FN_2O_3S^+$: 525.1643; found: 525.1648.

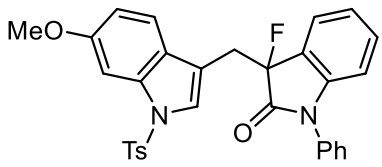


3w

3-fluoro-3-((5-methoxy-1-tosyl-1*H*-indol-3-yl)methyl)-1-phenylindolin-2-one (3w)

33.5 mg, 72% yield, yellow solid, MP = 93-94 °C, R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.81 (d, *J* = 9.0 Hz, 1H), 7.54 (d, *J* = 8.4 Hz, 2H), 7.37-7.28 (m, 3H), 7.23 (d, *J* = 7.9 Hz, 2H), 7.15-7.03 (m, 3H), 7.01 (s, 1H), 6.97 (d, *J* = 2.4 Hz, 1H), 6.92-6.81 (m, 3H), 6.57 (d, *J* = 7.9 Hz, 1H), 3.73 (s, 3H), 3.67-3.51 (m, 2H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.1 (d, J_{F-C} = 20.9 Hz), 156.5, 144.9, 144.2 (d, J_{F-C} = 5.1 Hz), 135.1, 133.3, 131.6, 131.2 (d, J_{F-C} = 2.6 Hz), 129.9, 129.7, 129.4, 128.5, 126.8, 126.5 (d, J_{F-C} = 1.4 Hz), 126.3, 125.2, 123.7 (d, J_{F-C} = 2.3 Hz), 114.7, 114.4, 113.8 (d, J_{F-C} = 9.9 Hz), 110.0, 102.1 (d, J_{F-C} = 1.5 Hz), 92.9 (d, J_{F-C} = 191.1 Hz), 55.8, 31.6 (d, J_{F-C} = 31.1 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -155.18.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{26}FN_2O_4S^+$: 541.1592; found: 541.1586.

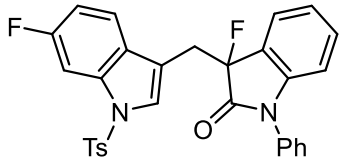


3x

3-fluoro-3-((6-methoxy-1-tosyl-1*H*-indol-3-yl)methyl)-1-phenylindolin-2-one (3x)

32.4 mg, 60% yield, yellow solid, MP = 111-112 ºC , R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.56 (d, *J* = 8.4 Hz, 2H), 7.46 (d, *J* = 2.2 Hz, 1H), 7.40-7.35 (m, 4H), 7.25-7.17 (m, 2H), 7.10 (d, *J* = 8.2 Hz, 2H), 7.05-7.02 (m, 1H), 6.96 (s, 1H), 6.91-6.88 (m, 2H), 6.82 (dd, *J* = 8.7, 2.3 Hz, 1H), 6.58 (d, *J* = 8.0 Hz, 1H), 3.86 (s, 3H), 3.67-3.49 (m, 2H), 2.29 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.2, 158.2, 145.0, 144.3 (d, J_{F-C} = 5.2 Hz), 135.8, 135.2, 133.3, 131.2 (d, J_{F-C} = 2.7 Hz), 129.9, 129.8, 128.5, 126.8, 126.3, 125.2, 125.1, 124.49, 124.45, 123.7 (d, J_{F-C} = 2.4 Hz), 120.8 (d, J_{F-C} = 1.1 Hz), 113.9 (d, J_{F-C} = 9.6 Hz), 112.5, 110.0, 97.9, 92.8 (d, J_{F-C} = 190.8 Hz), 55.9, 31.5 (d, J_{F-C} = 30.9 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -154.73.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{26}FN_2O_4S^+$: 541.1592; found: 541.1594.

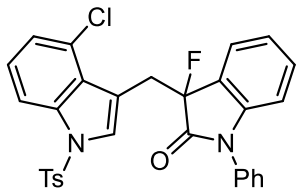


3y

3-fluoro-3-((6-fluoro-1-tosyl-1*H*-indol-3-yl)methyl)-1-phenylindolin-2-one (3y)

41.2 mg, 78% yield, yellow solid, MP = 139-140 ºC , R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.67-7.64 (m, 1H), 7.57 (d, *J* = 8.4 Hz, 2H), 7.48-7.45 (m, 1H), 7.40-7.32 (m, 3H), 7.29-7.27 (m, 1H), 7.25-7.21 (m, 1H), 7.13 (d, *J* = 8.0 Hz, 2H), 7.09-7.01 (m, 2H), 6.97-6.92 (m, 1H), 6.85 (dd, *J* = 7.5, 2.1 Hz, 2H), 6.58 (d, *J* = 7.9 Hz, 1H), 3.69-3.48 (m, 2H), 2.30 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 171.9 (d, J_{F-C} = 20.9 Hz), 162.0 (d, J_{F-C} = 243.4 Hz), 145.3, 144.3 (d, J_{F-C} = 5.12 Hz), 134.9, 134.8, 133.2, 131.3 (d, J_{F-C} = 3.4 Hz), 130.1, 129.8, 128.6, 126.9, 126.2, 126.0 (q, J_{F-C} = 1.3 Hz), 125.2, 125.0, 123.8 (d, J_{F-C} = 2.4 Hz), 121.3 (d, J_{F-C} = 11.3 Hz), 113.7 (d, J_{F-C} = 10.6 Hz), 112.0 (d, J_{F-C} = 24.1 Hz), 110.0, 100.9 (d, J_{F-C} = 28.2 Hz), 92.8 (d, J_{F-C} = 191.1 Hz), 31.3 (d, J_{F-C} = 31.2 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-d) δ -116.0, -155.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{23}F_2N_2O_3S^+$: 529.1392; found: 529.1394.

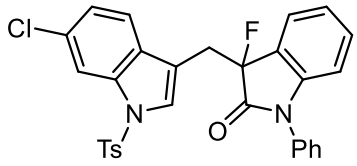


3z

3-((4-chloro-1-tosyl-1*H*-indol-3-yl)methyl)-3-fluoro-1-phenylindolin-2-one (3z)

28.2 mg, 52% yield, yellow solid, MP = 95-96 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (d, *J* = 7.7 Hz, 1H), 7.69 (d, *J* = 8.4 Hz, 2H), 7.59-7.48 (m, 3H), 7.47-7.34 (m, 3H), 7.26-7.14 (m, 4H), 7.09 (d, *J* = 7.2 Hz, 1H), 6.84 (d, *J* = 4.6 Hz, 2H), 6.77 (d, *J* = 7.9 Hz, 1H), 4.03-3.90 (m, 2H), 2.33 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.1 (d, J_{F-C} = 20.9 Hz), 145.5, 144.1 (d, J_{F-C} = 5.2 Hz), 136.2, 134.8, 133.6, 132.4, 131.2 (d, J_{F-C} = 2.2 Hz), 130.1, 129.9, 128.6, 127.5, 127.3 (d, J_{F-C} = 1.9 Hz), 127.0, 126.5, 125.5, 125.4, 125.2 (d, J_{F-C} = 18.9 Hz), 124.9, 123.5 (d, J_{F-C} = 2.7 Hz), 114.3 (d, J_{F-C} = 5.5 Hz), 112.6, 110.1, 92.1 (d, J_{F-C} = 191.2 Hz), 31.3 (d, J_{F-C} = 31.1 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-d) δ -154.9.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{23}ClFN_2O_3S^+$: 545.1096; found: 545.1100.

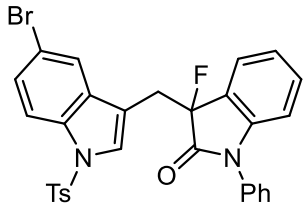


3aa

3-((6-chloro-1-tosyl-1*H*-indol-3-yl)methyl)-3-fluoro-1-phenylindolin-2-one (3aa)

41.8 mg, 77% yield, yellow solid, MP = 139-140 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.96 (d, *J* = 1.7 Hz, 1H), 7.58 (d, *J* = 8.4 Hz, 2H), 7.44 (d, *J* = 8.5 Hz, 1H), 7.37 (d, *J* = 7.0 Hz, 3H), 7.30-7.25 (m, 1H), 7.22-7.12 (m, 4H), 7.09-7.01 (m, 2H), 6.89-6.86 (m, 2H), 6.59 (d, *J* = 7.9 Hz, 1H), 3.68-3.50 (m, 2H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 171.9 (d, J_{F-C} = 20.9 Hz), 145.4, 144.3 (d, J_{F-C} = 5.1 Hz), 135.0, 134.9, 133.2, 131.4 (d, J_{F-C} = 26.5 Hz), 131.1, 130.1, 129.8, 129.1, 128.6, 126.9, 126.25, 126.23, 125.2, 124.9, 124.2, 123.8 (d, J_{F-C} = 2.43 Hz), 121.1 (d, J_{F-C} = 14.9 Hz), 113.64, 113.56, 110.1, 92.7 (d, J_{F-C} = 191.1 Hz), 31.3 (d, J_{F-C} = 31.0 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-d) δ -154.9.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{23}ClFN_2O_3S^+$: 545.1096; found: 545.1092.

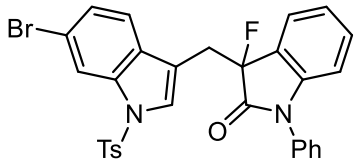


3ab

3-((5-bromo-1-tosyl-1*H*-indol-3-yl)methyl)-3-fluoro-1-phenylindolin-2-one (3ab)

48.2 mg, 82% yield, yellow solid, MP = 86-87 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.82 (d, *J* = 8.8 Hz, 1H), 7.64-7.53 (m, 3H), 7.47-7.41 (m, 2H), 7.40-7.36 (m, 2H), 7.28 (d, *J* = 7.8 Hz, 1H), 7.18 (s, 1H), 7.14 (d, *J* = 8.2 Hz, 3H), 7.07-6.97 (m, 3H), 6.64 (d, *J* = 7.9 Hz, 1H), 3.69-3.40 (m, 2H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 171.8 (d, J_{F-C} = 20.8 Hz), 145.4, 144.2 (d, J_{F-C} = 5.1 Hz), 134.9, 133.4 (d, J_{F-C} = 23.8 Hz), 132.4, 131.4 (d, J_{F-C} = 2.3 Hz), 130.1, 129.8, 128.6, 128.0, 127.0, 126.8, 126.3, 125.1, 125.3, 124.9, 123.7 (d, J_{F-C} = 2.4 Hz), 123.0 (d, J_{F-C} = 1.8 Hz), 117.1, 115.0, 113.3 (d, J_{F-C} = 8.9 Hz), 110.1, 92.6 (d, J_{F-C} = 191.2 Hz), 31.3 (d, J_{F-C} = 26.8 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -154.77.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{23}BrFN_2O_3S^+$: 589.0591; found: 589.0581.

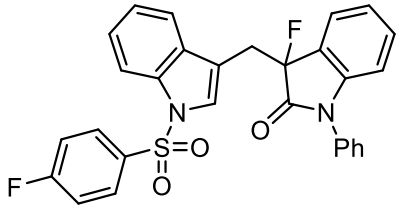


3ac

3-((6-bromo-1-tosyl-1*H*-indol-3-yl)methyl)-3-fluoro-1-phenylindolin-2-one (3ac)

45.8 mg, 78% yield, yellow solid, MP = 95-96 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.12 (d, *J* = 1.5 Hz, 1H), 7.59 (d, *J* = 8.4 Hz, 2H), 7.40-7.26 (m, 4H), 7.31-7.28 (m, 1H), 7.23-7.10 (m, 4H), 7.09-7.01 (m, 2H), 6.89-6.87 (m, 2H), 6.59 (d, *J* = 7.9 Hz, 1H), 3.68-3.48 (m, 2H), 2.31 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 171.9 (d, J_{F-C} = 20.8 Hz), 145.4, 144.2 (d, J_{F-C} = 5.3 Hz), 135.3, 134.9, 133.2 (d, J_{F-C} = 2.1 Hz), 131.3, 130.1, 129.8, 129.4, 128.6, 127.6, 126.8, 126.2, 125.1, 125.0, 124.8, 123.8 (d, J_{F-C} = 2.5 Hz), 121.4 (d, J_{F-C} = 1.4 Hz), 118.7, 116.5, 113.7 (d, J_{F-C} = 9.8 Hz), 110.0, 92.6 (d, J_{F-C} = 191.3 Hz), 31.3 (d, J_{F-C} = 31.3 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -154.94.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{23}BrFN_2O_3S^+$: 589.0591; found: 589.0586.

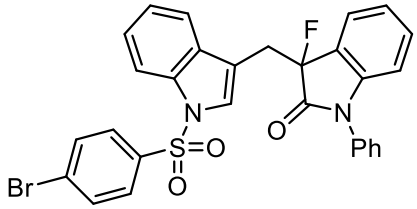


3ad

3-fluoro-3-((1-((4-fluorophenyl)sulfonyl)-1*H*-indol-3-yl)methyl)-1-phenylindolin-2-one (3ad)

40.1 mg, 78% yield, yellow solid, MP = 148-149 ºC, R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (d, *J* = 8.3 Hz, 1H), 7.72-7.68 (m, 2H), 7.59-7.49 (m, 2H), 7.40-7.29 (m, 4H), 7.25-7.17 (m, 2H), 7.12-7.02 (m, 2H), 7.01-6.93 (m, 2H), 6.87-6.85 (m, 2H), 6.59 (d, *J* = 8.4 Hz, 1H), 3.70-3.56 (m, 2H); ^{13}C NMR (101 MHz, Chloroform-d) δ 171.9 (d, J_{F-C} = 20.9 Hz), 165.6 (d, J_{F-C} = 258.1 Hz), 144.3 (d, J_{F-C} = 5.1 Hz), 134.7, 134.1 (d, J_{F-C} = 3.2 Hz), 133.2, 131.3 (d, J_{F-C} = 2.6 Hz), 130.7, 129.8, 129.7, 129.6, 128.6, 126.9, 126.3 (d, J_{F-C} = 35.9 Hz), 125.6 (d, J_{F-C} = 1.4 Hz), 125.3, 125.2, 123.7, 120.4, 116.7 (d, J_{F-C} = 22.7 Hz), 114.3 (d, J_{F-C} = 9.9 Hz), 113.4, 110.0, 92.6 (d, J_{F-C} = 191.0 Hz), 31.3 (d, J_{F-C} = 27.9 Hz); ^{19}F NMR (376 MHz, Chloroform-d) δ -102.5, -154.8.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{21}F_2N_2O_3S^+$: 515.1235; found: 515.1234.

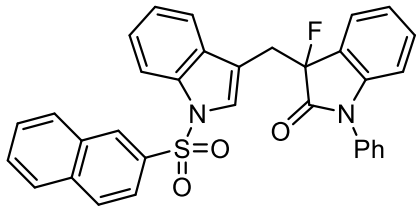


3ae

3-((1-((4-bromophenyl)sulfonyl)-1*H*-indol-3-yl)methyl)-3-fluoro-1-phenylindolin-2-one (3ae)

45.9 mg, 80% yield, yellow solid, MP = 133-134 ºC , R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (d, *J* = 8.3 Hz, 1H), 7.55-7.50 (m, 3H), 7.45-7.33 (m, 6H), 7.32-7.28 (m, 1H), 7.25-7.19 (m, 2H), 7.10-7.01 (m, 2H), 6.85-6.83 (m, 2H), 6.57 (d, *J* = 8.4 Hz, 1H), 3.67-3.61 (m, 2H); ^{13}C NMR (101 MHz, Chloroform-d) δ171.9 (d, J_{F-C} = 20.8 Hz), 144.3 (d, J_{F-C} = 5.1 Hz), 137.0, 134.7, 133.2, 132.6, 131.3 (d, J_{F-C} = 2.7 Hz), 130.7, 129.8, 129.2, 128.7, 128.2, 126.2, 125.5 (d, J_{F-C} = 1.4 Hz), 125.33, 125.25, 125.2, 123.8, 123.7 (d, J_{F-C} = 2.4 Hz), 120.4 (d, J_{F-C} = 10.0 Hz), 114.6 (d, J_{F-C} = 10.1 Hz) 113.4, 109.9, 92.6 (d, J_{F-C} = 191.0 Hz), 31.3 (d, J_{F-C} = 31.2 Hz); ^{19}F NMR (376 MHz, Chloroform-d) δ -154.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{21}BrFN_2O_3S^+$: 575.0435; found: 575.0437.

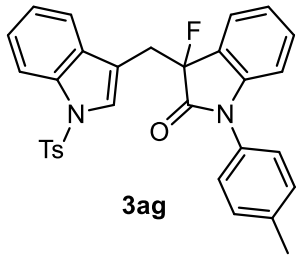


3af

3-fluoro-3-((1-(naphthalen-2-ylsulfonyl)-1*H*-indol-3-yl)methyl)-1-phenylindolin-2-one (3af)

42.6 mg, 78% yield, yellow solid, MP = 110-111 °C, R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.41 (d, *J* = 1.6 Hz, 1H), 8.06 (d, *J* = 8.3 Hz, 1H), 7.93-7.86 (m, 1H), 7.78-7.73 (m, 1H), 7.67-7.57 (m, 3H), 7.56-7.47 (m, 2H), 7.36-7.28 (m, 1H), 7.28-7.25 (m, 3H), 7.24-7.15 (m, 2H), 7.16-7.07 (m, 2H), 6.94 (t, *J* = 7.5 Hz, 1H), 6.83-6.76 (m, 2H), 6.37 (d, *J* = 7.9 Hz, 1H), 3.72-3.55 (m, 2H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.0 (d, J_{F-C} = 20.9 Hz), 144.2 (d, J_{F-C} = 5.2 Hz), 135.2, 135.0, 134.8, 133.2, 131.9, 131.2 (d, J_{F-C} = 2.6 Hz), 130.6, 129.8, 129.63, 129.56, 129.5, 128.6, 128.4, 128.0, 127.9, 126.8, 126.1, 125.7 (d, J_{F-C} = 1.8 Hz), 125.13, 125.07, 123.6 (d, J_{F-C} = 2.4 Hz), 123.5, 121.3, 120.3 (d, J_{F-C} = 0.9 Hz), 114.0 (d, J_{F-C} = 39.9 Hz), 113.5, 109.8, 92.7 (d, J_{F-C} = 191.1 Hz), 31.3 (d, J_{F-C} = 31.2 Hz); ^{19}F NMR (376 MHz, Chloroform-d) δ -155.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{33}H_{24}FN_2O_3S^+$: 547.1486; found: 547.1491.

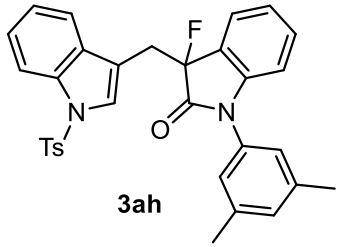


3ag

3-fluoro-1-(*p*-tolyl)-3-((1-tosyl-1*H*-indol-3-yl)methyl)indolin-2-one (3ag)

41.9 mg, 80% yield, yellow solid, MP = 114-115 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (d, *J* = 8.3 Hz, 1H), 7.58-7.53 (m, 3H), 7.33-7.25 (m, 1H), 7.25-7.18 (m, 3H), 7.20-7.12 (m, 2H), 7.13-7.06 (m, 2H), 7.03 (t, *J* = 7.5 Hz, 2H), 6.73 (d, *J* = 8.2 Hz, 2H), 6.55 (d, *J* = 7.9 Hz, 1H), 3.71-3.53 (m, 2H), 2.36 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.1 (d, J_{F-C} = 20.9 Hz), 144.8, 144.4 (d, J_{F-C} = 5.4 Hz), 138.4, 135.1, 134.6, 131.1 (d, J_{F-C} = 2.8 Hz), 130.54, 130.46, 130.3, 129.8, 126.7, 126.1, 125.6 (d, J_{F-C} = 1.4 Hz), 125.0, 124.9, 123.5 (d, J_{F-C} = 2.4 Hz), 123.3, 120.1, 113.7, 113.8, 113.3, 109.8, 92.9 (d, J_{F-C} = 191.0 Hz), 31.3 (d, J_{F-C} =30.7 Hz), 21.5, 21.2; ^{19}F NMR (376 MHz, Chloroform-*d*) δ -155.20.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{26}FN_2O_3S^+$: 525.1643; found: 525.1649.

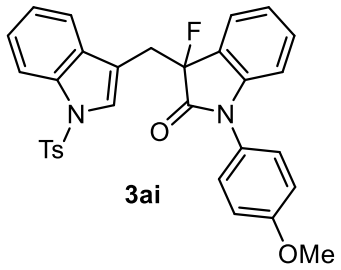


3ah

1-(3,5-dimethylphenyl)-3-fluoro-3-((1-tosyl-1*H*-indol-3-yl)methyl)indolin-2-one (3ah)

30.1 mg, 56% yield, yellow solid, MP = 63-64 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.92 (d, *J* = 8.3 Hz, 1H), 7.58-7.52 (m, 3H), 7.34-7.26 (m, 2H), 7.25-7.15 (m, 2H), 7.12-6.98 (m, 4H), 6.94 (s, 1H), 6.51 (d, *J* = 7.9 Hz, 1H), 6.31 (s, 2H), 3.75-3.58 (m, 2H), 2.26 (s, 3H), 2.19 (s, 6H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.2(d, J_{F-C} = 20.9 Hz) , 144.9, 144.5(d, J_{F-C} = 5.2 Hz), 139.5, 135.1, 134.7, 132.9, 131.2(d, J_{F-C} = 2.5 Hz), 130.6, 130.3, 129.8, 126.8, 125.7(d, J_{F-C} = 1.4 Hz), 125.2, 125.1, 124.9, 124.7, 124.0, 123.6(d, J_{F-C} = 2.1 Hz), 123.4, 120.3, 113.6(d, J_{F-C} = 10.6 Hz), 113.3, 110.0, 92.8 (d, J_{F-C} = 191.8 Hz), 31.5 (d, J_{F-C} = 31.2 Hz), 21.6, 21.2; ^{19}F NMR (376 MHz, Chloroform-d) δ -156.6.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{32}H_{28}FN_2O_3S^+$: 539.1799; found: 539.1804.

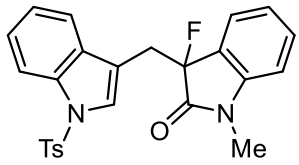


3ai

3-fluoro-1-(4-methoxyphenyl)-3-((1-tosyl-1*H*-indol-3-yl)methyl)indolin-2-one (3ai)

40.5 mg, 75% yield, yellow solid, MP = 76-77 °C, R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.93 (d, *J* = 8.3 Hz, 1H), 7.58-7.49 (m, 3H), 7.34-7.26 (m, 1H), 7.23-7.17 (m, 2H), 7.14-6.98 (m, 5H), 6.84 (d, *J* = 8.9 Hz, 2H), 6.73 (d, *J* = 8.8 Hz, 2H), 6.51 (d, *J* = 7.9 Hz, 1H), 3.81 (s, 3H), 3.71-3.52 (m, 2H), 2.29 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.3 (d, J_{F-C} = 20.8 Hz), 159.5, 145.0, 144.8 (d, J_{F-C} = 5.0 Hz), 135.2, 134.7, 131.2 (d, J_{F-C} = 2.7 Hz), 130.6, 129.9, 128.2, 127.7, 126.9, 125.8, 125.7, 125.1, 125.0, 123.6, 123.4, 120.2 (d, J_{F-C} = 10.3 Hz), 115.0, 113.8 (d, J_{F-C} = 9.9 Hz), 113.4, 109.9, 92.7 (d, J_{F-C} = 191.0 Hz), 55.6, 31.3 (d, J_{F-C} = 31.1 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-d) δ -155.4.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{26}FN_2O_4S^+$: 541.1592; found: 541.1583.

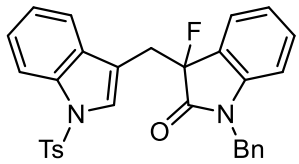


3aj

3-fluoro-1-methyl-3-((1-tosyl-1*H*-indol-3-yl)methyl)indolin-2-one (3aj)

20.1 mg, 45% yield, yellow solid, MP = 69-70 °C, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.94 (d, *J* = 8.3 Hz, 1H), 7.66 (d, *J* = 8.3 Hz, 2H), 7.47 (d, *J* = 7.9 Hz, 1H), 7.34-7.14 (m, 6H), 6.90 (d, *J* = 4.7 Hz, 2H), 6.71 (d, *J* = 7.8 Hz, 1H), 3.66-3.60 (m, 10.0 Hz, 1H), 3.34-3.24 (m, 1H), 3.04 (s, 3H), 2.34 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.7 (d, J_{F-C} = 20.9 Hz), 145.0, 144.1 (d, J_{F-C} = 5.3 Hz), 135.3, 134.8, 131.3 (d, J_{F-C} = 2.5 Hz), 130.9, 130.0, 126.9, 125.83, 125.82, 125.2, 124.9, 123.3, 123.1 (d, J_{F-C} = 2.5 Hz), 120.2 (d, J_{F-C} = 2.2 Hz), 114.4 (d, J_{F-C} = 7.2 Hz), 113.5, 108.7, 92.4 (d, J_{F-C} = 189.3 Hz), 30.6 (d, J_{F-C} = 30.0 Hz), 26.3, 21.7; ^{19}F NMR (376 MHz, Chloroform-d) δ -155.6.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{25}H_{22}FN_2O_3S^+$: 449.1330; found: 449.1328.

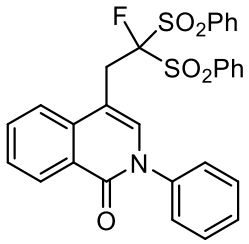


3ak

1-benzyl-3-fluoro-3-((1-tosyl-1*H*-indol-3-yl)methyl)indolin-2-one (3ak)

34.1 mg, 65% yield, yellow solid, MP = 147-148 °C, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.91 (d, *J* = 8.3 Hz, 1H), 7.54 (d, *J* = 7.9 Hz, 1H), 7.46 (d, *J* = 8.4 Hz, 2H), 7.29 (d, *J* = 7.2 Hz, 1H), 7.26-7.24 (m, 1H), 7.21-7.12 (m, 2H), 7.11 (s, 1H), 7.04-7.01 (m, 4H), 6.93 (t, *J* = 7.6 Hz, 2H), 6.57 (d, *J* = 7.5 Hz, 2H), 6.47 (d, *J* = 7.9 Hz, 1H), 4.94 (d, *J* = 15.8 Hz, 1H), 4.40 (d, *J* = 15.8 Hz, 1H), 3.70-3.58 (m, 2H), 2.25 (s, 3H); ^{13}C NMR (101 MHz, Chloroform-d) δ 172.8 (d, J_{F-C} = 20.9 Hz), 144.9, 143.6 (d, J_{F-C} = 5.6 Hz), 135.1, 134.7, 134.5, 131.3 (d, J_{F-C} = 2.9 Hz), 130.6, 129.9, 128.7, 127.6, 126.8, 126.5, 126.3(d, J_{F-C} = 1.3 Hz), 125.6, 125.2 (d, J_{F-C} = 18.7 Hz), 123.5, 123.3 (d, J_{F-C} = 2.5 Hz), 120.2, 113.5, 113.4, 109.9, 92.7 (d, J_{F-C} = 188.9 Hz), 44.0, 30.8(d, J_{F-C} = 31.3 Hz), 21.7; ^{19}F NMR (376 MHz, Chloroform-d) δ -152.5.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{26}FN_2O_3S^+$: 525.1643; found: 525.1647.

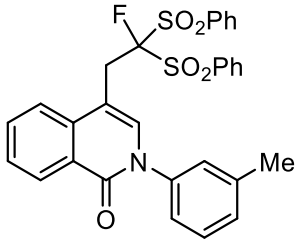


5a

4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-2-phenylisoquinolin-1(2*H*)-one (5a)

48.7 mg, 89% yield, yellow solid, MP = 147-148 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.79-7.77 (m, 4H), 7.66-7.61 (m, 2H), 7.58-7.56 (m, 1H), 7.52-7.40 (m, 7H), 7.41-7.37 (m, 3H), 7.32 - 7.29 (m, 2H), 4.04 (s, 1H), 3.99 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.4, 140.8, 136.8, 135.8, 135.3, 132.6, 130.8, 130.7, 129.4, 129.0, 128.7, 128.3, 127.2, 126.7, 126.3, 123.2 (d, J_{F-C} = 4.1 Hz), 116.0 (d, J_{F-C} = 272.8 Hz), 105.3 (d, J_{F-C} = 1.4 Hz), 28.9 (d, J_{F-C} = 18.0 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.2.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{24}FNO_5S_2^+$: 548.0923; found: 548,0928.

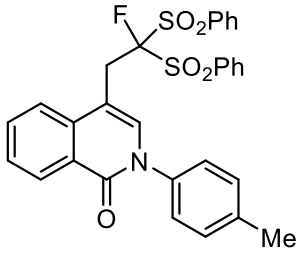


5b

4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-2-(m-tolyl)isoquinolin-1(2*H*)-one (5b)

40.4 mg, 72% yield, yellow solid, MP = 150-151 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.79-7.76 (m, 4H), 7.66-7.61 (m, 2H), 7.58-7.54 (m, 1H), 7.49-7.43 (m, 5H), 7.39-7.35 (s, 1H), 7.31 (dd, J_1 = 8.0 Hz, J_2 = 2.8 Hz, 1H), 7.27 (s, 1H), 7.22 (d, J = 8.0 Hz, 1H), 7.19-7.14 (m, 2H), 4.04 (s 1H), 3.99 (s,1H), 2.4 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.8, 141.2, 139.9, 137.2, 136.4, 135.9, 135.7, 132.9, 131.2, 129.6 (d, J_{F-C} = 11.9 Hz), 129.4, 129.1, 127.7, 127.6, 126.8, 124.1, 123.6 (d, J_{F-C} = 4.1 Hz), 116.5 (d, J_{F-C} = 272.8 Hz), 105.6, 29.4 (d, J_{F-C} = 18.0 Hz), 21.9. ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.2.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{25}FNO_5S_2^+$: 562.1153; found: 562.1157.

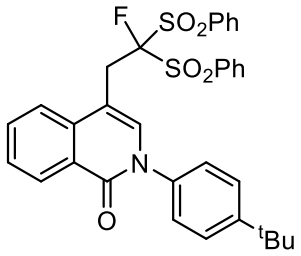


5c

4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-2-(p-tolyl)isoquinolin-1(2*H*)-one (5c)

42.1 mg, 75% yield, yellow solid, MP = 183-184 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (dd, J_1 =8.0 Hz, J_2 = 1.6 Hz, 1H), 7.79-7.76 (m, 4H), 7.66-7.62 (m, 2H), 7.58-7.54 (m, 1H), 7.48-7.43 (m, 5H), 7.32-7.23 (m, 6H), 4.04 (s, 1H), 3.98 (s, 1H), 2.41 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.5, 138.4, 138.3, 136.8, 136.1, 135.5, 135.3, 132.5, 130.8 (d, J_{F-C} = 1.8 Hz), 130.0, 129.0, 128.7, 127.1, 126.4, 126.4, 123.2 (d, J_{F-C} = 4.1 Hz), 116.1 (d, J_{F-C} = 272.8 Hz), 105.2 , 28.9 (d, J_{F-C} = 17.9 Hz), 21.3. ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.1.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{25}FNO_5S_2^+$: 562.1153; found: 562.1148.

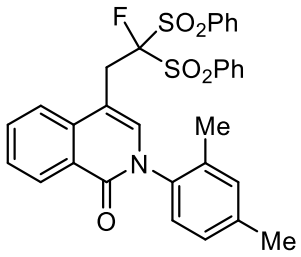


5d

2-(4-(tert-butyl)phenyl)-4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)isoquinolin-1(2*H*)-one (5d)

54.3 mg, 90% yield, yellow solid, MP = 201-202 ºC, R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.77 (m, 4H), 7.68-7.60 (m, 2H), 7.56-7.54 (m, 1H), 7.53-7.41 (m, 7H), 7.34-7.27 (m, 4H), 4.04 (s, 1H), 3.98 (s, 1H), 1.36 (s, 9H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.5, 151.3, 138.2, 136.8, 136.1, 135.4, 135.3, 132.5, 130.8, 130.8, 129.0, 127.1, 126.4, 126.1, 123.2 (d, J_{F-C}=4.1 Hz), 116.1 (d, J_{F-C}=272.7 Hz), 105.2, 105.2, 34.8, 31.4, 28.9 (d, J_{F-C}=17.8 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{33}H_{31}FNO_5S_2^+$: 604.1622; found: 604.1631.

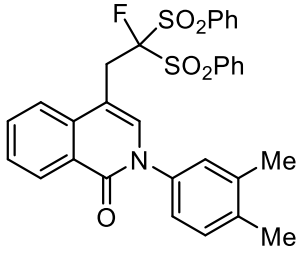


5e

2-(2,4-dimethylphenyl)-4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)isoquinolin-1(2*H*)-one (5e)

48.9 mg, 85% yield, yellow solid, MP = 106-107 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.45 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.92-7.74(m, 4H), 7.73-7.60 (m, 2H), 7.56 (m, 1H), 7.51-7.41 (m, 5H), 7.31-7.24 (m, 1H), 7.21 (d, J = 7.8 Hz, 1H), 7.18-7.12 (m, 2H), 6.94(d, J = 1.7 Hz, 1H), 4.21-3.73 (m, 2H), 2.35 (s, 3H), 2.09 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.3, 139.9, 137.2, 137.0, 136.2, 135.4, 135.2, 132.5, 132.2, 131.1, 130.9 (d, J_{F-C} = 1.4 Hz), 129.9, 129.1 (d, J_{F-C} = 4.2 Hz), 128.7, 128.0, 127.0, 126.4, 123.2(d, J_{F-C} = 4.0 Hz), 115.8 (d, J_{F-C} = 273.2 Hz), 105.1 (d, J_{F-C} = 1.8 Hz), 29.0 (d, J_{F-C} =17.9 Hz), 21.0, 17.4. ^{19}F NMR (376 MHz, $CDCl_3$) δ -142.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{27}FNO_5S_2^+$: 576.1309; found: 576.1302.

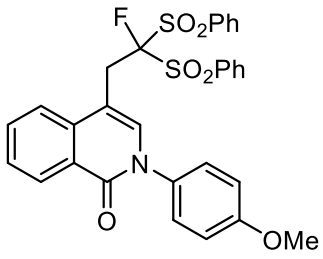


5f

2-(3,4-dimethylphenyl)-4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)isoquinolin-1(2*H*)-one (5f)

48.9 mg, 85% yield, yellow solid, MP = 194-195 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (dd, J_1 = 8.0 Hz, J_2 = 1.2 Hz, 1H), 7.79-7.75 (m, 4H), 7.66-7.60 (m, 2H), 7.56(m, 1H), 7.49-7.41 (m, 6H), 7.32 (dd, J_1 = 2.1 Hz, J_2 = 0.7 Hz, 1H), 7.24 (d, J = 7.9 Hz, 1H), 7.12 (d, J = 2.3 Hz, 1H), 7.06 (dd, J_1 = 8.0 Hz, J_2 = 2.4 Hz, 1H), 4.04 (s, 1H), 4.00 (s, 1H), 2.31 (s, 6H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.5, 138.6, 137.9, 137.0, 136.8, 136.1, 135.5, 135.3, 132.4, 130.8, 130.8 (d, J_{F-C} = 1.8 Hz), 129.0, 128.7, 127.6, 127.1, 126.4, 123.8, 123.2(d, J_{F-C} = 4.2 Hz), 116.2 (d, J_{F-C} = 272.6 Hz), 105.0, 28.9 (d, J_{F-C} = 18.0 Hz), 20.1, 19.6. ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.9.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{27}FNO_5S_2^+$: 576.1309; found: 576.1306.

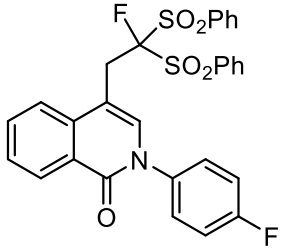


5g

4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-2-(4-methoxyphenyl)isoquinolin-1(2*H*)-one (5g)

47.9 mg, 83% yield, yellow solid, MP = 174-175 °C, R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (dd, J_1 = 7.8 Hz, J_2 = 1.6 Hz, 1 H), 7.79-7.76 (m, 4H), 7.66-7.62 (m, 2H), 7.57-7.53 (m, 1H), 7.48-7.43 (m, 5H), 7.30-7.26 (m, 4H), 7.00-6.98 (m, 2H), 4.03 (s 1H), 3.98 (s,1H), 3.85 (s,3H). ^{13}C NMR (101 MHz, $CDCl_3$) 161.6, 159.3, 136.8, 136.2, 135.4, 135.3, 133.8, 132.5, 130.8, 129.0, 128.7, 127.7, 127.1, 126.3, 123.1 (d, J_{F-C} = 4.1 Hz), 116.1 (d, J_{F-C} = 272.9 Hz), 114.7, 105.1 (d, J_{F-C} = 1.5 Hz), 55.7, 29.0 (d, J_{F-C} = 17.9 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.2.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{25}FNO_6S_2^+$: 578.1102; found: 578.1109.

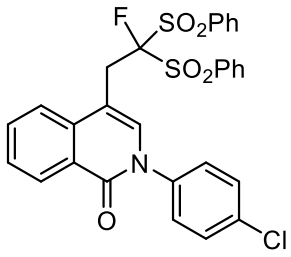


5h

4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-2-(4-fluorophenyl)isoquinolin-1(2*H*)-one (5h)

51.4 mg, 91% yield, yellow solid, MP = 162-163 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.80-7.77 (m, 4H), 7.68-7.64 (m, 2H), 7.58-7.54 (m, 1H), 7.50-7.44 (m, 5H), 7.39-7.35 (m, 2H), 7.30 (s, 1H), 7.24 (dd, J_1 = 7.6 Hz, J_2 = 3.2 Hz, 1H), 7.20-7.15 (m, 2H), 4.03 (s 1H), 3.98 (s,1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 162.1 (d, J_{F-C} = 249.1 Hz), 161.5, 136.8 (d, J_{F-C} = 3.2 Hz), 136.2, 135.8, 133.1, 131.2, 131.2, 129.5, 129.2, 128.5 (d, J_{F-C} = 8.8 Hz) 127.7, 126.7, 123.2 (d, J_{F-C} = 4.1 Hz), 116.4 (d, J_{F-C} = 23.0 Hz), 115.9 (d, J_{F-C} = 273.1 Hz), 106.0, 106.0, 29.0 (d, J_{F-C} = 18.0 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -113.0, -141.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{22}F_2NO_5S_2^+$: 566.0902; found: 566.0904.

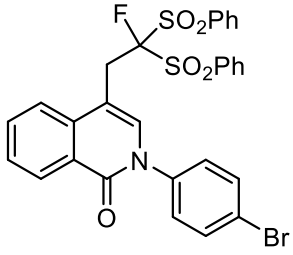


5i

2-(4-chlorophenyl)-4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)isoquinolin-1(2*H*)-one (5i)

11.6 mg, 20% yield, yellow solid, MP = 189-190 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.42 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.80-7.77 (m, 4H), 7.70-7.62 (m, 2H), 7.56 (m, 1H), 7.47 (m, 8H), 7.40-7.32 (m, 2H), 7.29 (s, 1H), 4.03 (s, 1H), 4.00 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.3, 139.3, 138.6, 136.8, 135.5, 135.4 (d, J_{F-C}=3.7 Hz), 134.5, 134.2, 132.8, 130.8, 129.1, 128.8, 128.5 (d, J_{F-C}=227.3 Hz), 128.1 126.2, 123.2, 117.3, 105.8, 29.0 (d, J_{F-C}=18.0 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.7.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{22}ClFNO5_6S_2^+$: 582.0606; found: 582.0609.

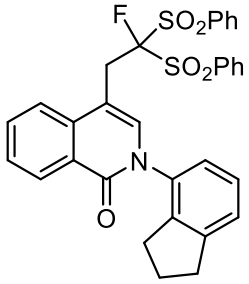


5j

2-(4-bromophenyl)-4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)isoquinolin-1(2*H*)-one (5j)

21.3 mg, 34% yield, brown solid, MP = 179-180 ºC, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.80-7.77 (m, 4H), 7.70-7.63 (m, 3H), 7.63-7.59 (m, 2H), 7.51-7.41 (m, 6H), 7.30-7.27 (m, 3H), 4.03 (s, 1H), 3.97 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.3, 139.8, 136.8, 135.4, 135.4, 132.8, 132.6, 130.8, 129.1, 128.8, 128.4, 127.4, 126.2, 123.2 (d, J_{F-C}=3.9 Hz), 122.1, 105.8, 29.0 (d, J_{F-C}=17.9 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.8.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{22}BrFNO_5S_2^+$: 626.0101; found: 626.0108.

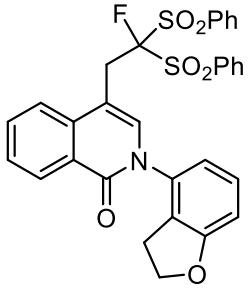


5k

2-(2,3-dihydro-1H-inden-4-yl)-4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)isoquinolin-1(2*H*)-one (5k)

20.5 mg, 35% yield, yellow solid, MP = 169-170 ºC, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.41 (d, *J* = 8.0 Hz, 1H), 7.77 (d, *J* = 8.5 Hz, 4H), 7.64 (t, *J* = 7.5 Hz, 2H), 7.59-7.51 (m, 1H), 7.49-7.39 (m, 5H), 7.35-7.28 (m, 3H), 7.20 (m, 1H), 7.08 (dd, J_1 = 8.0 Hz, J_2 = 2.0 Hz, 1H), 4.04 (s, 1H), 4.00 (s, 1H), 2.96 (q, *J* = 7.0 Hz, 4H), 2.13 (m, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.6, 145.7, 144.7, 139.0, 136.8, 136.3, 135.5, 135.3, 132.4, 132.1, 130.8, 129.2, 129.0, 128.7, 127.1, 126.4, 124.4, 123.9 (d, J_{F-C} =238.3 Hz), 123.2 (d, J_{F-C} =4.3 Hz), 105.4 , 33.1, 32.7, 29.0 (d, J_{F-C} =17.9 Hz), 25.8. ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.0.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{32}H_{27}FNO_5S_2^+$: 588.1309; found: 533.1308.



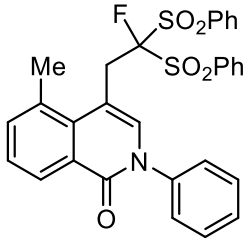
5l

2-(2,3-dihydrobenzofuran-4-yl)-4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)isoquinolin-1(2*H*)-one (5l)

50.1 mg, 85% yield, yellow solid, MP = 123-124 ºC, R_f = 0.4 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.40 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.78-7.76 (m, 4H), 7.64 (t, *J* = 7.5 Hz, 2H), 7.56-7.51 (m, 1H), 7.45 (t, *J* = 7.9 Hz, 5H), 7.29 (s, 1H), 7.27-7.24 (m, 1H), 7.21 (d, *J* = 2.2 Hz, 1H), 7.04 (dd, J_1 = 8.6 Hz, J_2 = 2.0 Hz, 1H), 6.84 (d, *J* = 8.4 Hz, 1H), 4.63 (t, *J* = 8.7 Hz, 2H), 4.02 (s, 1H), 3.97 (s, 1H), 3.26 (t, *J* = 8.7 Hz, 2H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.8, 159.9, 136.8, 136.4, 135.3 (d, J_{F-C} = 8.7 Hz), 133.6, 132.4, 130.8, 130.7, 129.0, 128.7, 128.3, 127.0, 126.4, 126.3, 123.6, 123.1 (d, J_{F-C} = 4.3 Hz), 116.0 (d, J_{F-C} = 272.8 Hz),

109.7, 105.0 (d, J_{F-C} = 1.4 Hz), 72.0, 29.8, 29.0 (d, J_{F-C} = 18.2 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -141.2.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{31}H_{25}FNO_6S_2^+$: 590.1102; found: 590.1110.

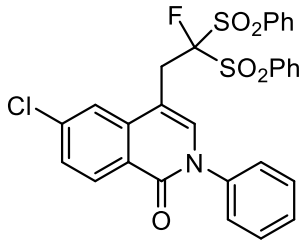


5m

4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-5-methyl-2-phenylisoquinolin-1(2*H*)-one (5m)

56.0 mg, 83% yield, yellow solid, MP = 211-212 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.33 (dd, J_1 = 8.0 Hz, J_2 = 1.6 Hz, 1H), 7.68-7.61 (m, 6H), 7.49-7.36 (m, 9H), 7.28-7.27 (m, 2H), 7.18 (d, J = 1.6 Hz, 1H), 4.42 (s, 1H), 4.36 (s, 1H), 2.70 (s, 3H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 161.4, 140.6, 137.0, 136.0, 136.0 (d, J_{F-C} = 3.0 Hz), 135.8, 135.2, 134.0, 130.5 (d, J_{F-C} = 1.6 Hz), 129.4, 129.0, 128.3, 128.2, 127.4, 127.0, 126.4, 117.2 (d, J_{F-C} = 272.8 Hz), 104.9, 31.3 (d, J_{F-C} = 16.0 Hz), 24.5 (d, J_{F-C} = 3.9 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -140.9.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{30}H_{25}FNO_5S_2^+$: 562.1153; found: 562.1148.

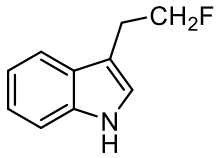


5n

6-chloro-4-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-2-phenylisoquinolin-1(2*H*)-one (5n)

26.7 mg, 46% yield, yellow solid, MP = 212-213 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 2 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.35 (d, J = 8.6 Hz, 1H), 7.88-7.78 (m, 4H), 7.68 (t, J = 7.6 Hz, 2H), 7.53-7.48 (m, 6H), 7.44-7.39 (m, 5H), 7.11 (t, J = 2.4 Hz, 1H), 3.95 (s, 1H), 3.90 (s, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 160.9, 140.6, 139.3, 138.3, 137.5, 135.6, 135.2, 130.8, 130.8, 129.5, 129.3, 128.5, 127.6, 126.7, 124.7, 122.8 (d, J_{F-C} = 4.7 Hz), 115.5 (d, J_{F-C} = 273.1 Hz), 104.5 (d, J_{F-C} = 1.8 Hz), 29.3 (d, J_{F-C} = 18.2 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -142.1.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{22}ClFNO_5S_2^+$: 582.0606; found: 582.0600.

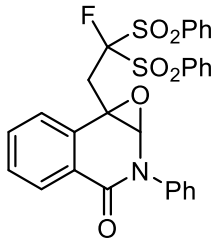


6

3-(2-fluoroethyl)-1*H*-indole (6)

8.4 mg, 51% yield, white solid, MP = 307-308 °C, R_f = 0.5 (eluted with petroleum ether/ ethyl acetate = 5 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 10 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.02 (s, 1H), 7.61 (d, J = 7.8 Hz, 1H), 7.39-7.37 (m, 1H), 7.24-7.20 (m, 1H), 7.17-7.13 (m, 1H), 7.10 (d, J = 2.2 Hz, 1H), 4.76 (t, J = 6.7 Hz, 1H), 4.64 (t, J = 6.7 Hz, 1H), 3.24-3.20 (m, 1H), 3.18-3.15 (m, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 136.3, 127.5, 122.5, 122.3, 119.6, 118.8, 111.4, 111.3, 84.0 (d, J_{F-C} = 16.9 Hz), 26.7 (d, J_{F-C} = 21.5 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -213.2.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{10}H_{11}FN^+$: 164.0870; found: 164.0874.



7

7b-(2-fluoro-2,2-bis(phenylsulfonyl)ethyl)-2-phenyl-1a,7b-dihydrooxireno[2,3-c]isoquinolin-3(2*H*)-one (7)

29.3 mg, 52% yield, yellow solid, MP = 111-112 °C, R_f = 0.6 (eluted with petroleum ether/ ethyl acetate = 1 : 1), purified by flash column chromatography on silica gel using petroleum ether / ethyl acetate = 3 : 1 as eluent; ^{1}H NMR (400 MHz, $CDCl_3$) δ 7.99 (dd, J_1 = 7.8 Hz, J_2 = 1.6 Hz, 1H), 7.86 (d, J = 7.9 Hz, 2H), 7.75 (t, J = 7.5 Hz, 1H), 7.69-7.67 (m, 2H), 7.64-7.51 (m, 5H), 7.50-7.43 (m, 2H), 7.42-7.37 (m, 3H), 7.27-7.23 (m, 1H), 7.21 (dd, J_1 = 7.4 Hz, J_2 = 1.6 Hz, 1H), 6.89 (s, 1H), 4.84 (s, 1H), 3.56 (dd, J_1 = 16.8 Hz, J_2 = 13.6 Hz, 1H), 3.33 (dd, J_1 = 18.8 Hz, J_2 = 13.6 Hz, 1H). ^{13}C NMR (101 MHz, $CDCl_3$) δ 164.7, 163.4, 140.4, 135.9, 135.9, 134.7, 133.7, 131.4, 130.1, 129.6, 129.3, 128.8, 127.9, 126.2, 125.6, 115.7 (d, J_{F-C} = 5.6 Hz), 88.0, 72.3, 38.5 (d, J_{F-C} = 16.6 Hz). ^{19}F NMR (376 MHz, $CDCl_3$) δ -145.8.

HRMS (ESI) *m/z*: $[M+H]^+$ calcd for $C_{29}H_{23}FNO_6S_2^+$: 564.0945; found: 564.0935.

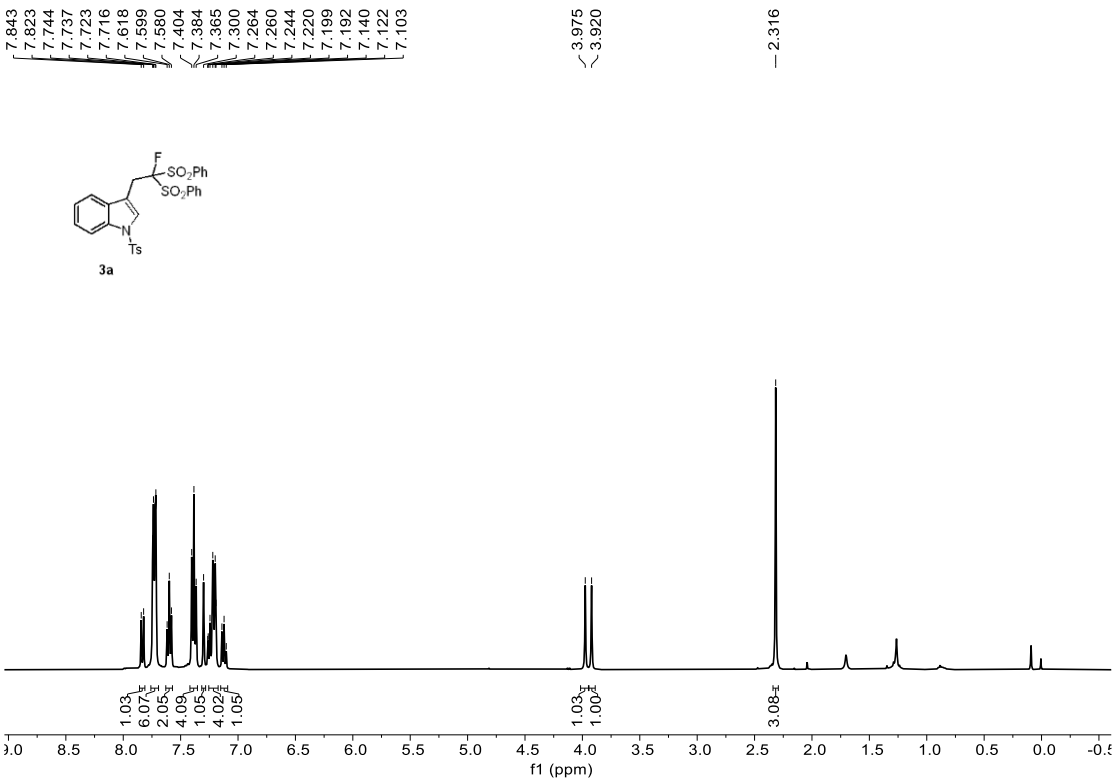
6. References

[1] M.-G. Braun, M. H. Katcher, A. G. Doyle, *Chem. Sci.*, **2013**, *4*, 1216-1220.

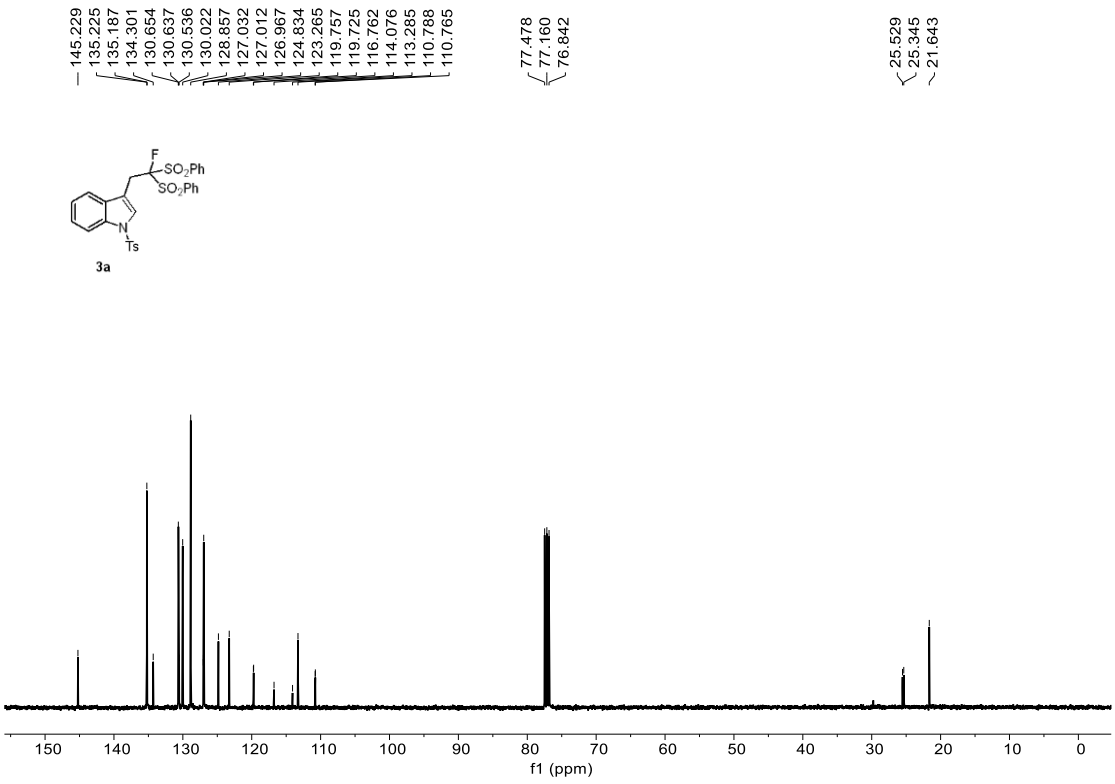
[2] X. Chen, G. Qiu, R. Liu, D. Chen, Z. Chen, *Org. Chem. Front.*, **2020**, *7*, 890-895.
[3] C. Ni, L. Zhang, J. Hu, *J. Org. Chem.* **2008**, *73*, 5699–5713.
[4] S. Ghosh, S. Chaudhuri, A. Bisai, *Org. Lett.* **2015**, *17*, 1373−1376.
[5] Y. Jin, M. Chen, S. Ge, J. F. Hartwig, *Org. Lett.* **2017**, *19*, 1390−1393.

7. Copies of NMR spectra

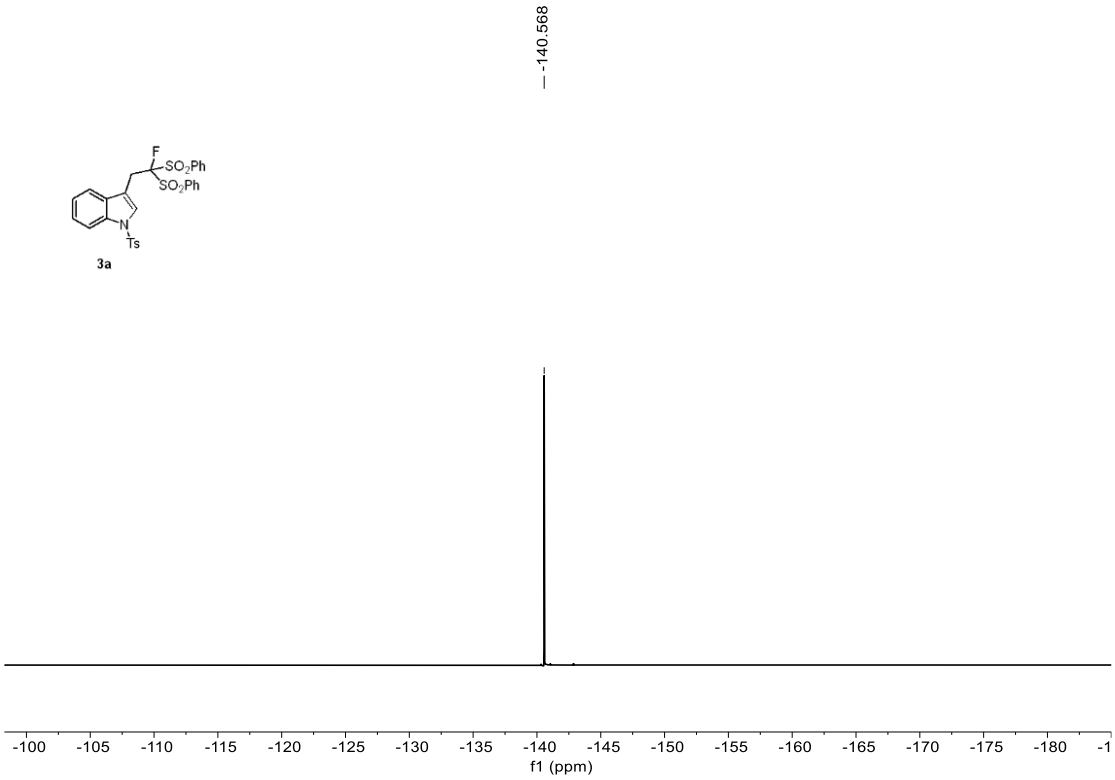
^{1}H NMR spectra of **3a** (400 MHz, $CDCl_3$)



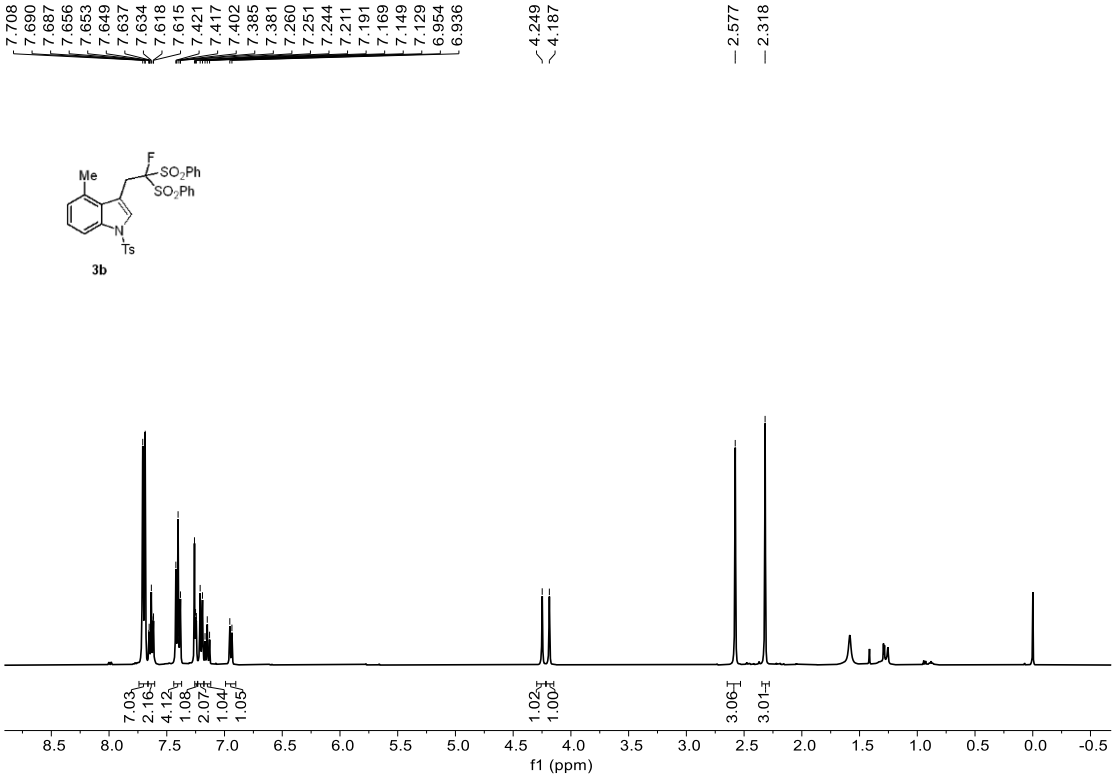
^{13}C NMR spectra of **3a** (101 MHz, $CDCl_3$)



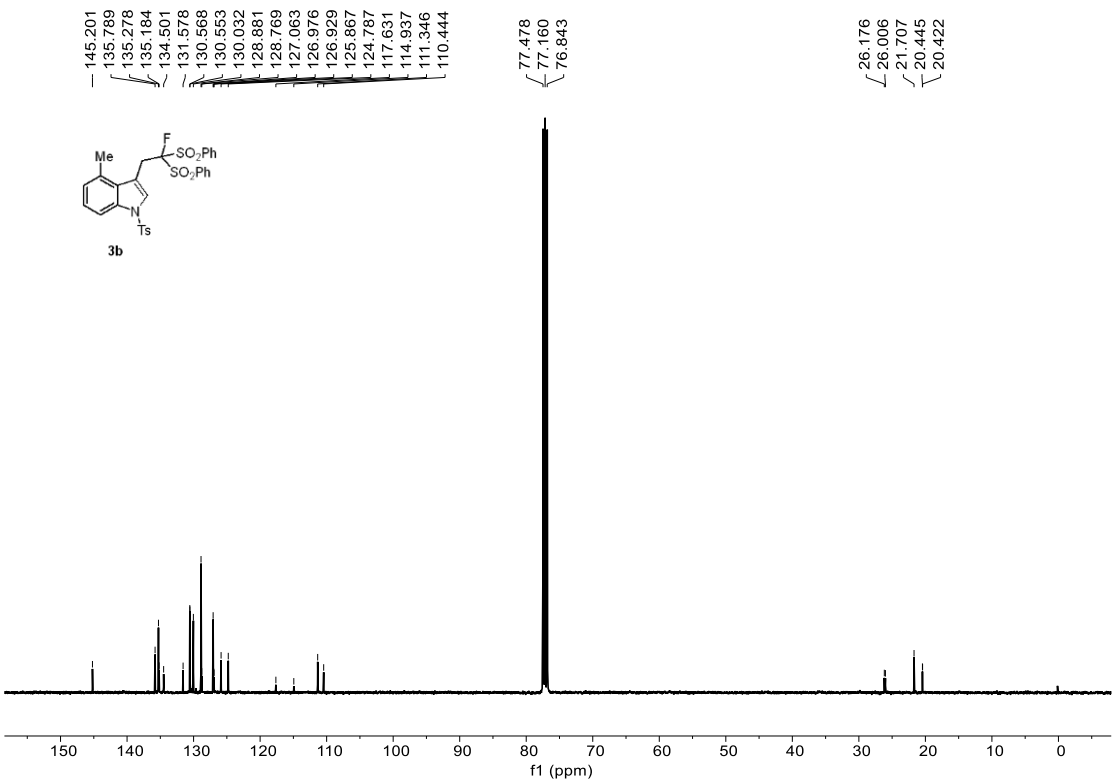
^{19}F NMR spectra of **3a** (376 MHz, $CDCl_3$)



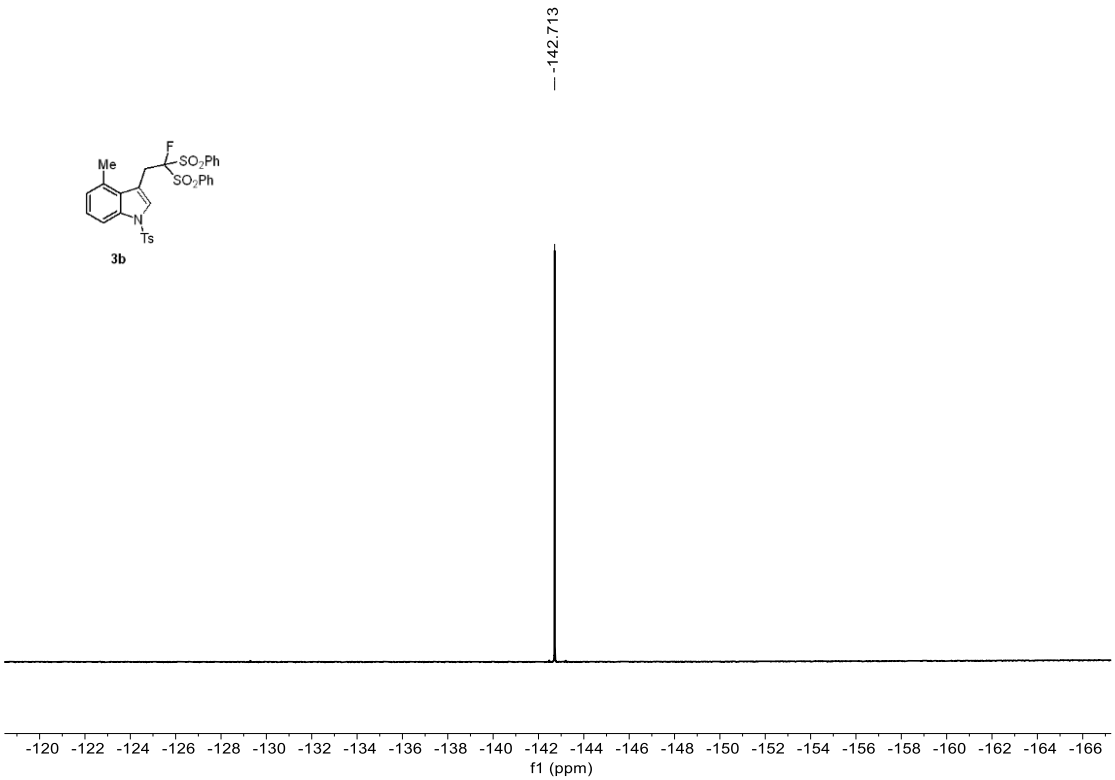
^{1}H NMR spectra of **3b** (400 MHz, $CDCl_3$)



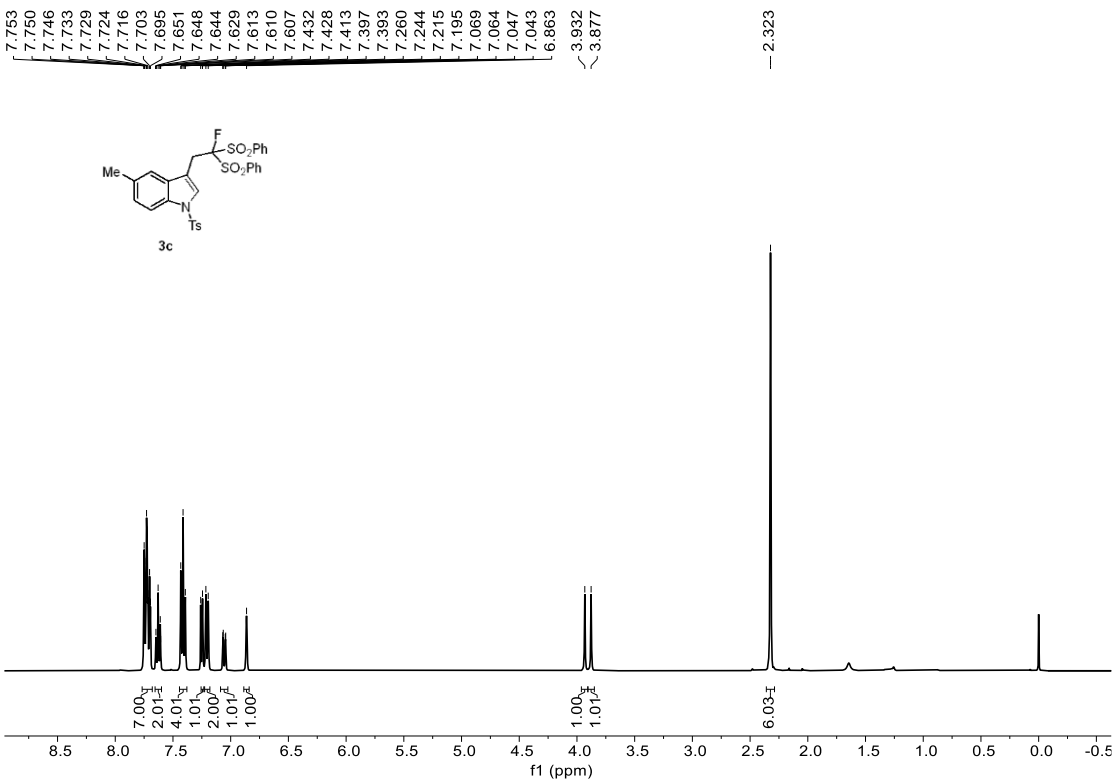
^{13}C NMR spectra of **3b** (101 MHz, $CDCl_3$)



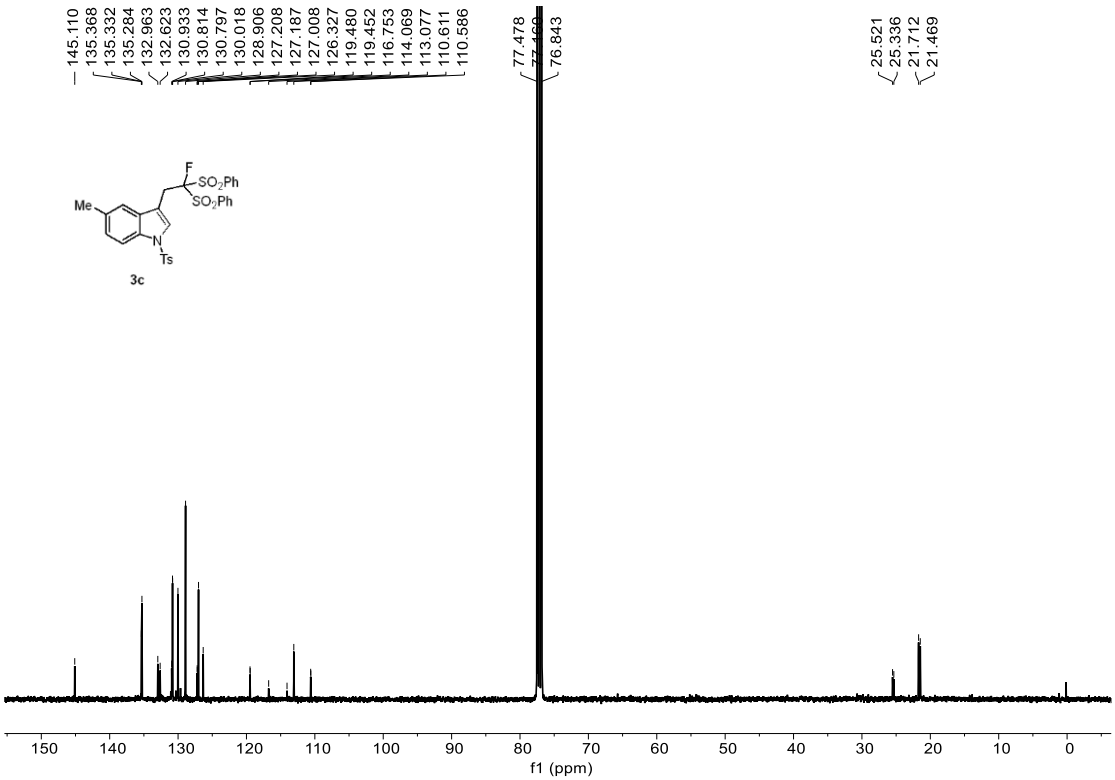
^{19}F NMR spectra of **3b** (376 MHz, $CDCl_3$)



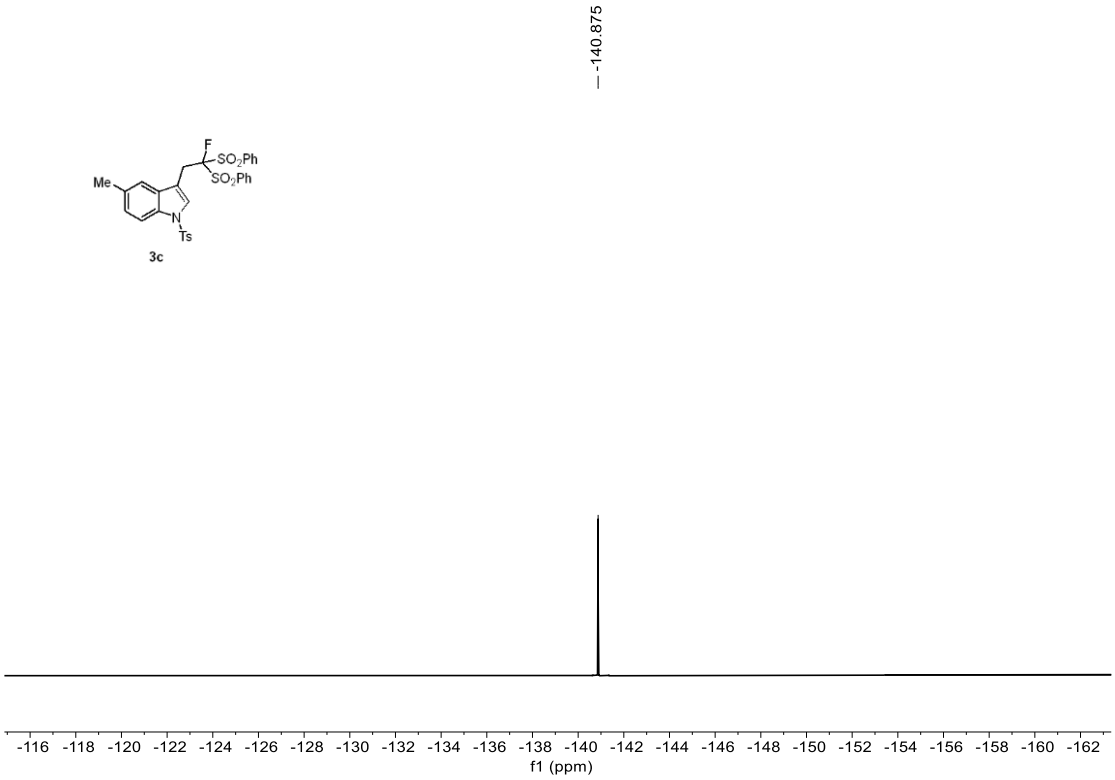
^{1}H NMR spectra of **3c** (400 MHz, $CDCl_3$)



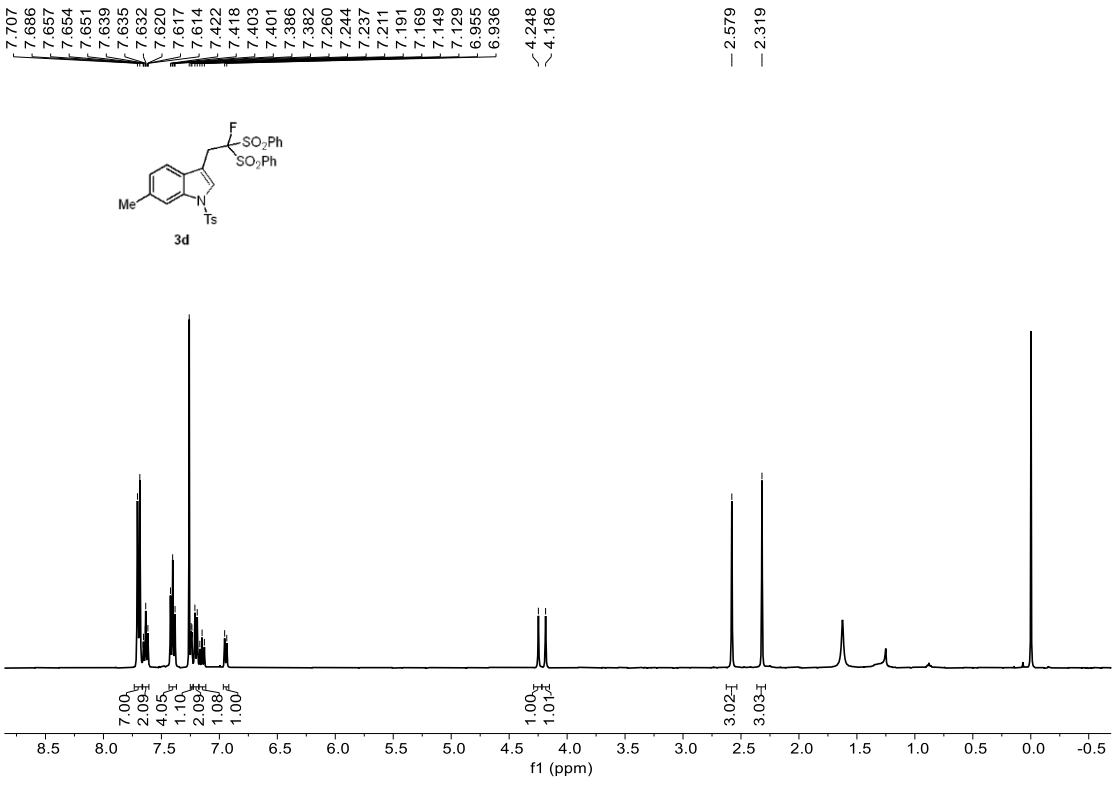
^{13}C NMR spectra of **3c** (101 MHz, $CDCl_3$)



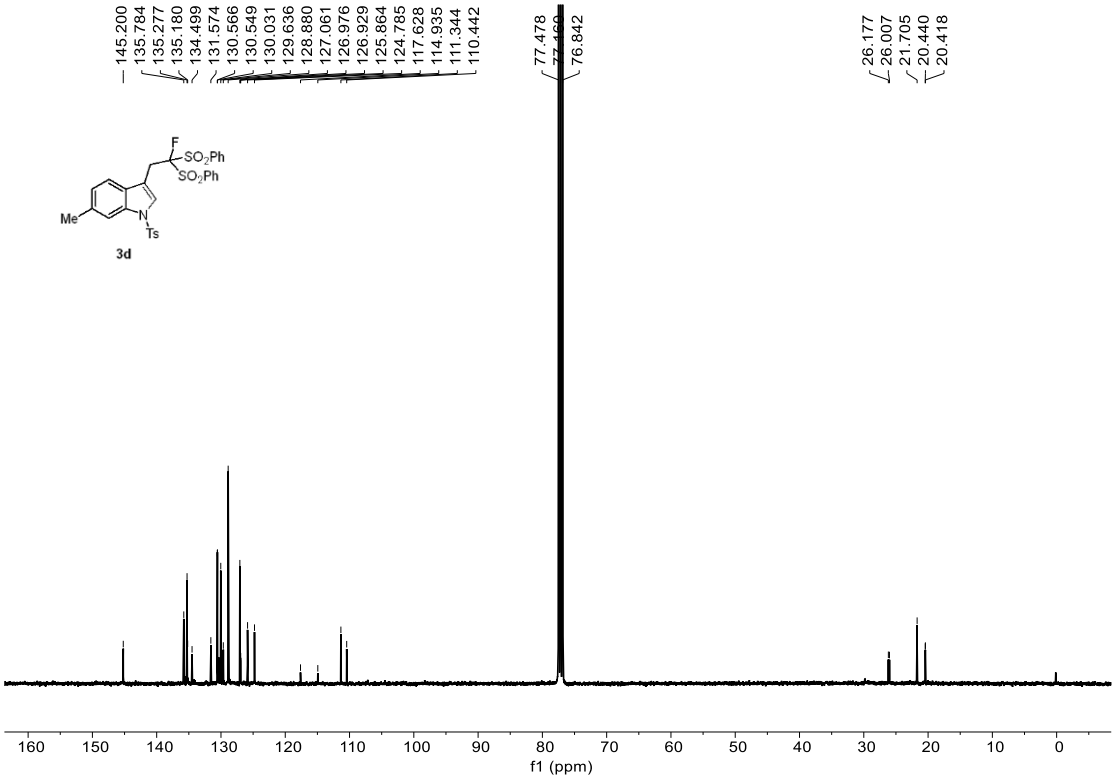
^{19}F NMR spectra of **3c** (376 MHz, $CDCl_3$)



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



^{13}C NMR spectra of **3d** (101 MHz, $CDCl_3$)



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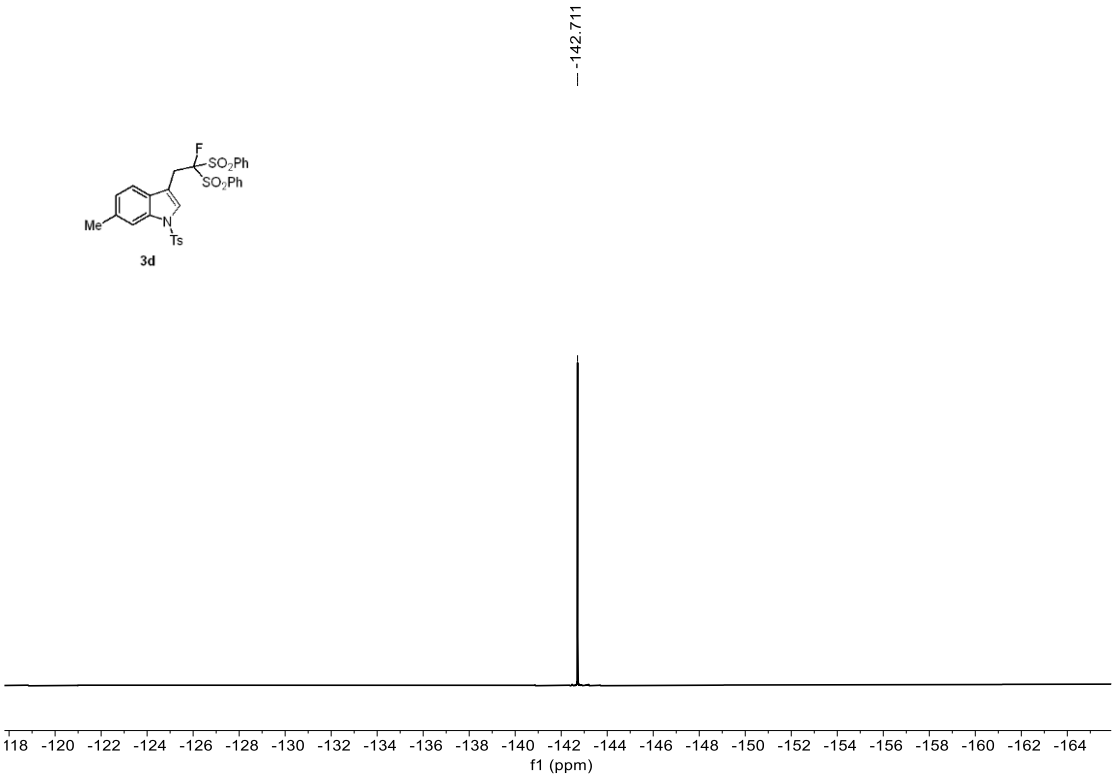
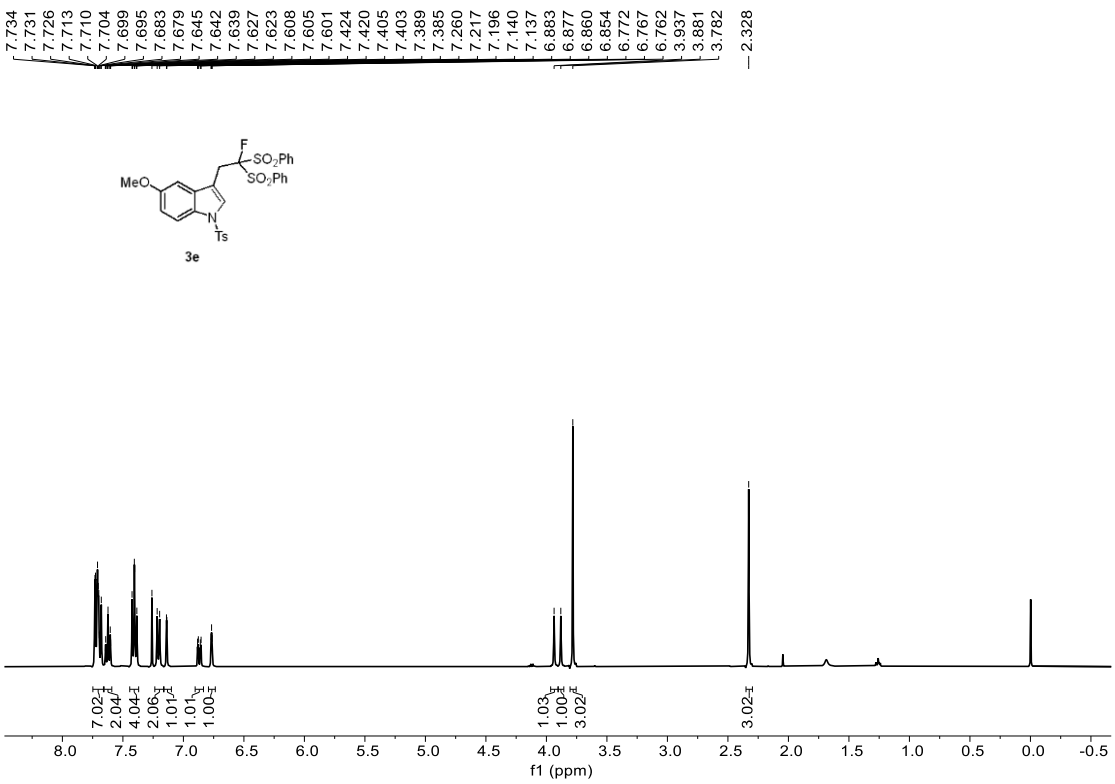
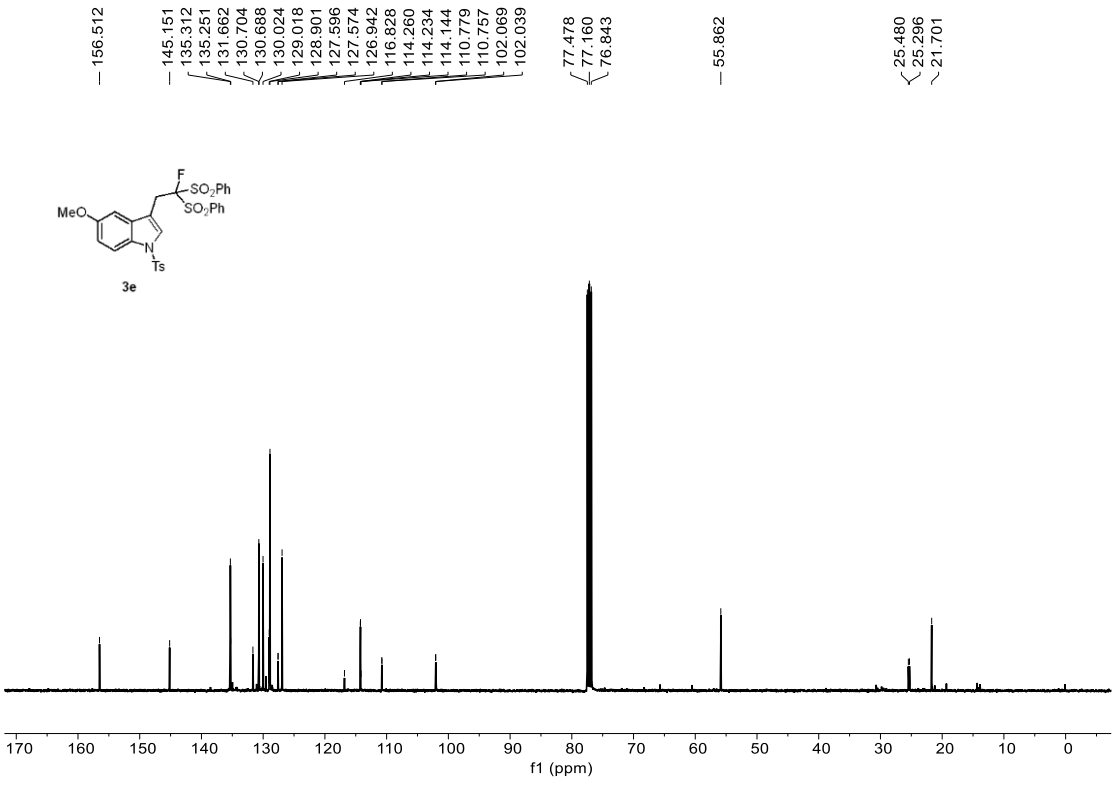


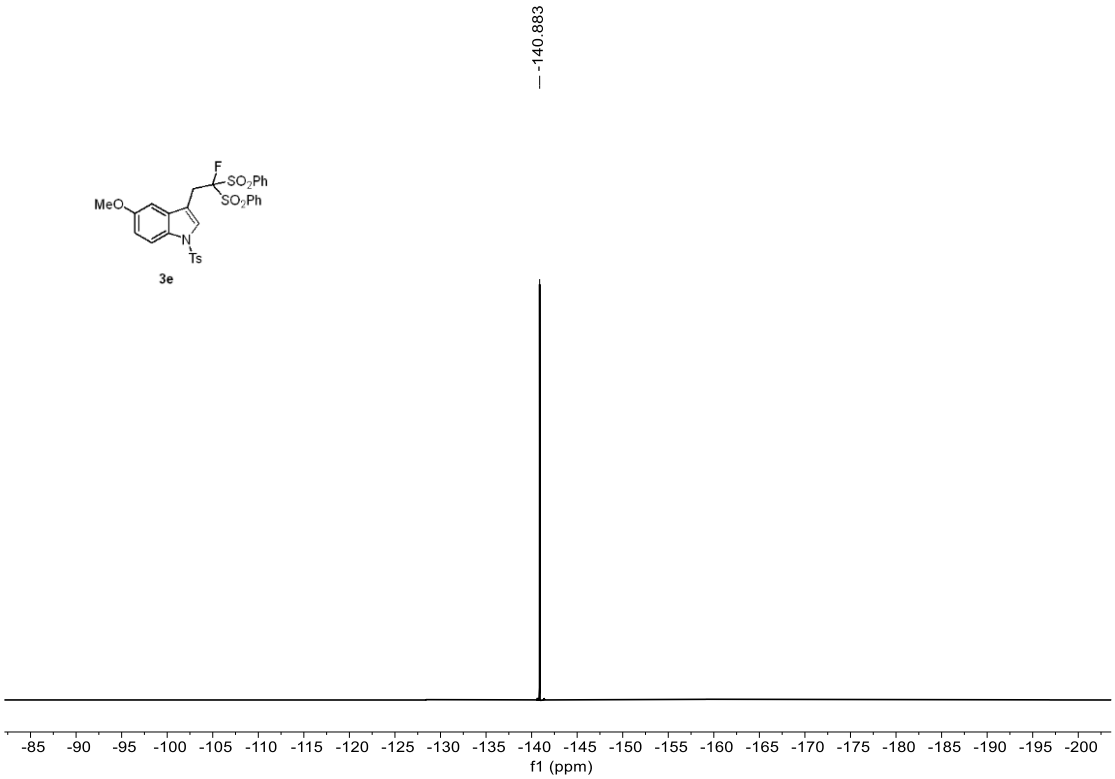
^{1}H NMR spectra of **3e** (400 MHz, $CDCl_3$)



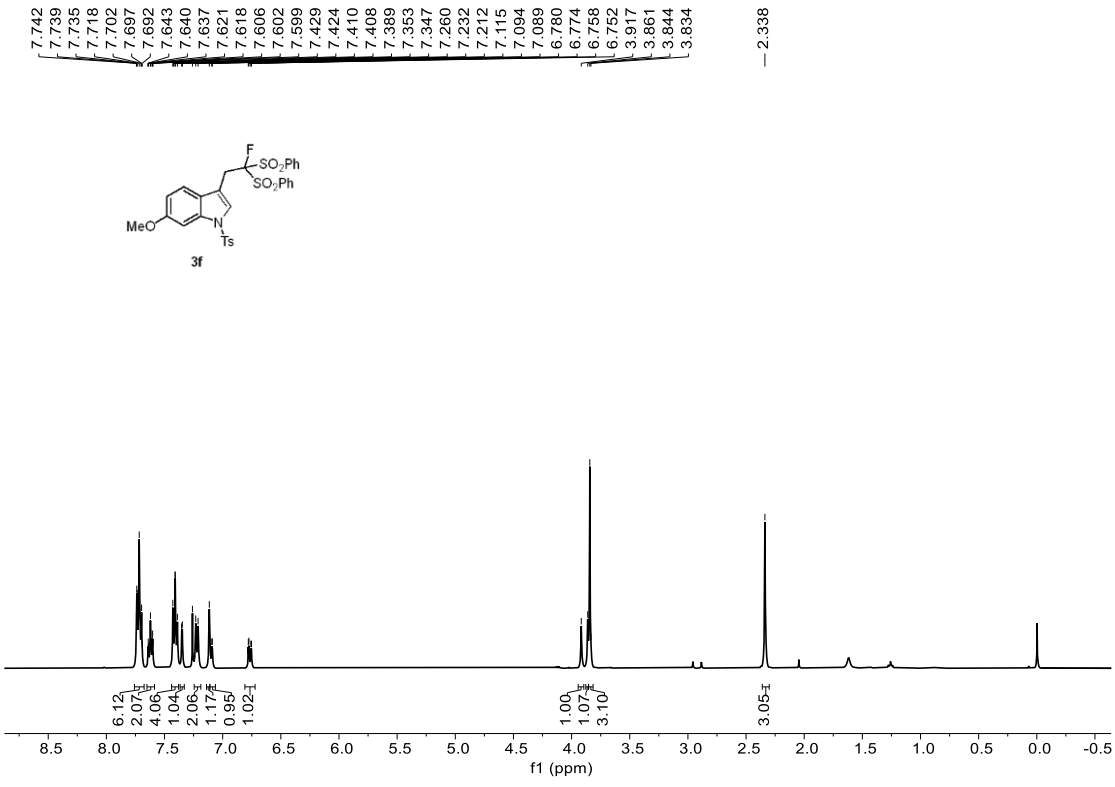
^{13}C NMR spectra of **3e** (101 MHz, $CDCl_3$)



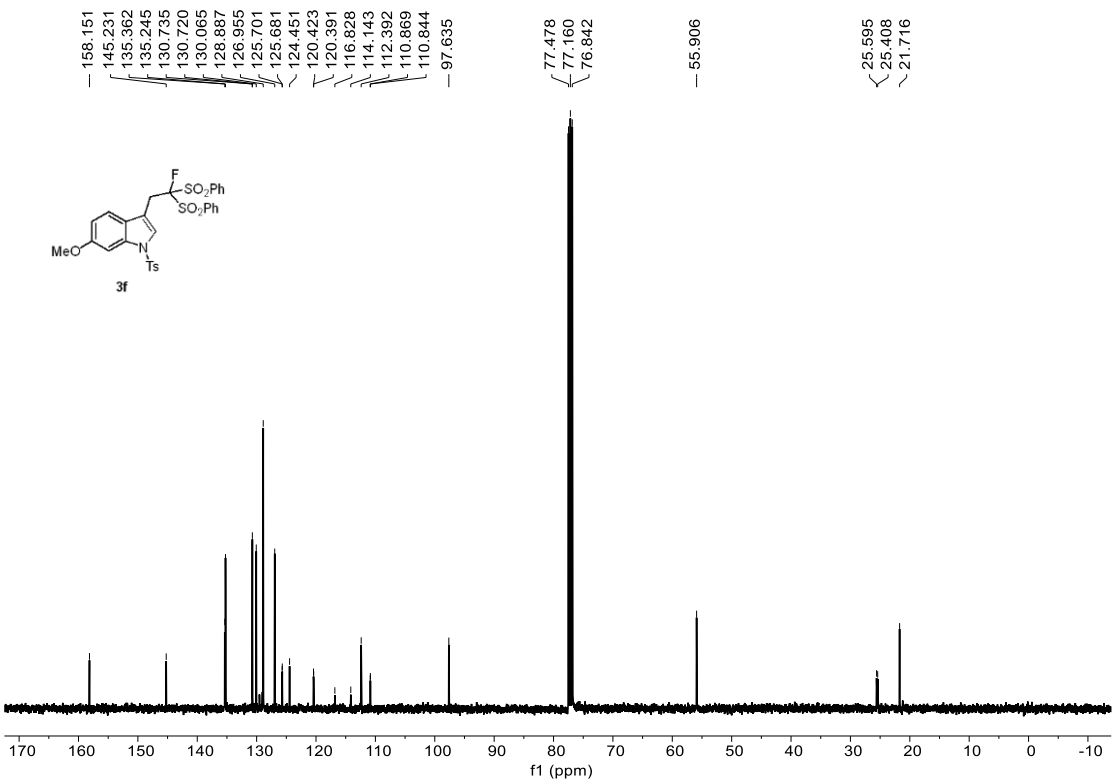
^{19}F NMR spectra of **3e** (376 MHz, $CDCl_3$)



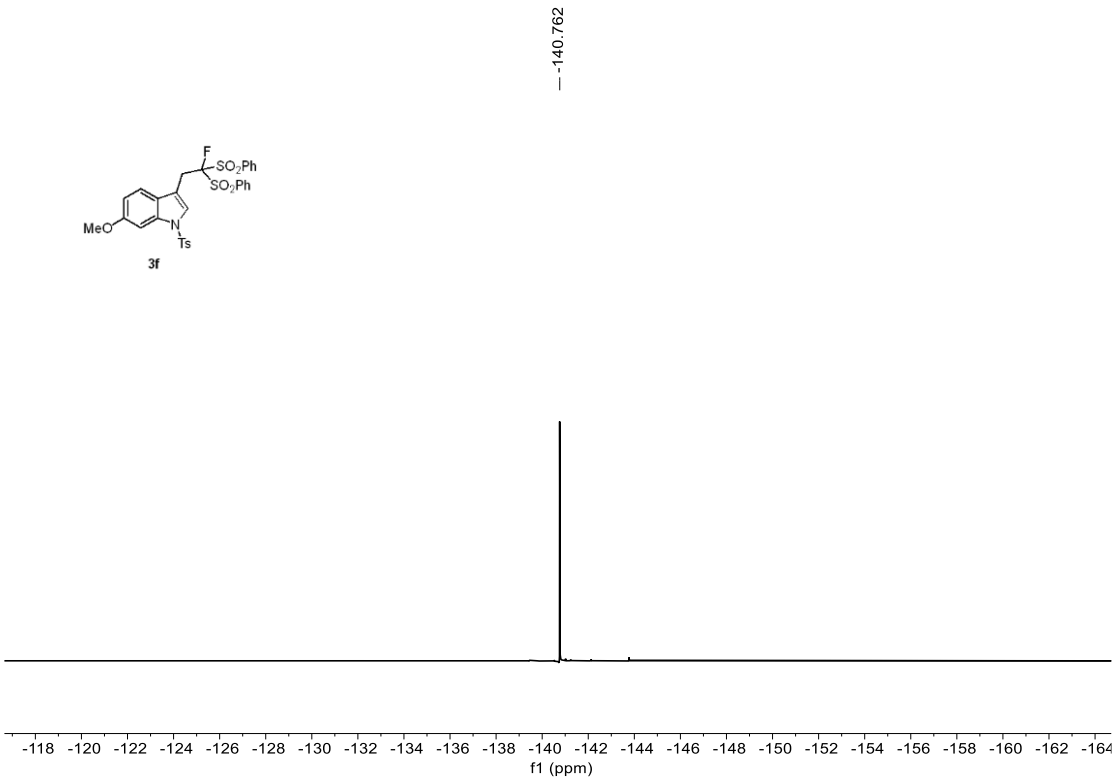
^{1}H NMR spectra of **3f** (400 MHz, $CDCl_3$)



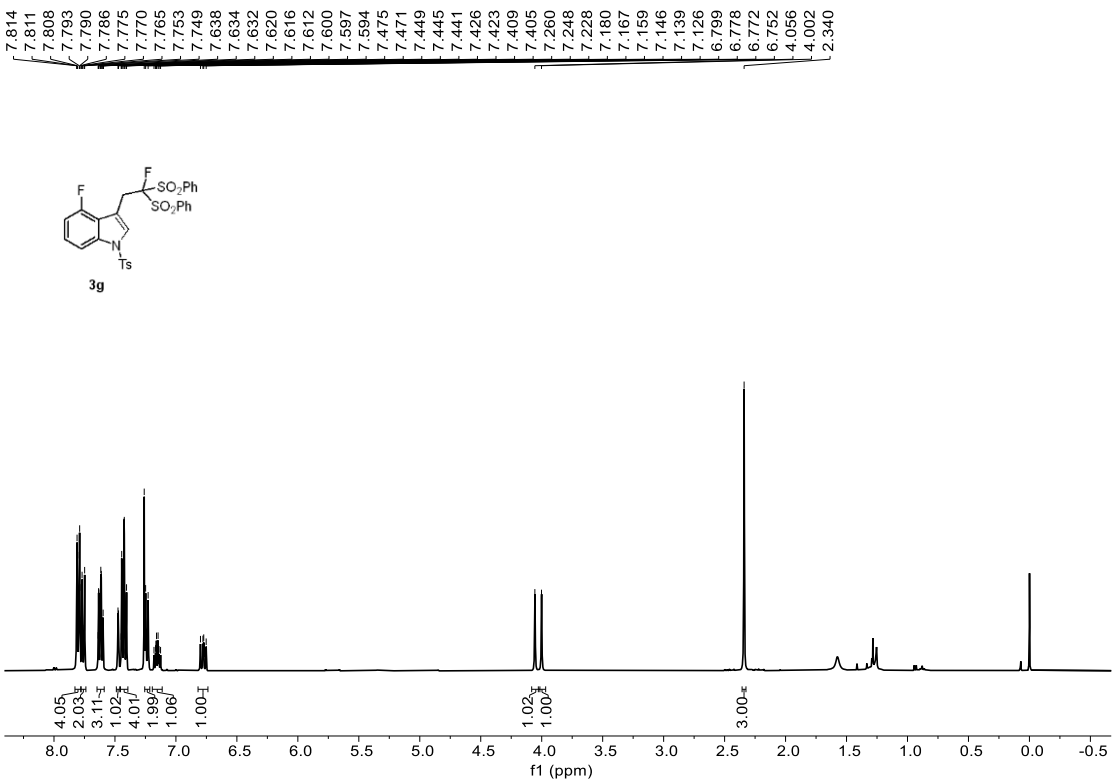
^{13}C NMR spectra of **3f** (101 MHz, $CDCl_3$)



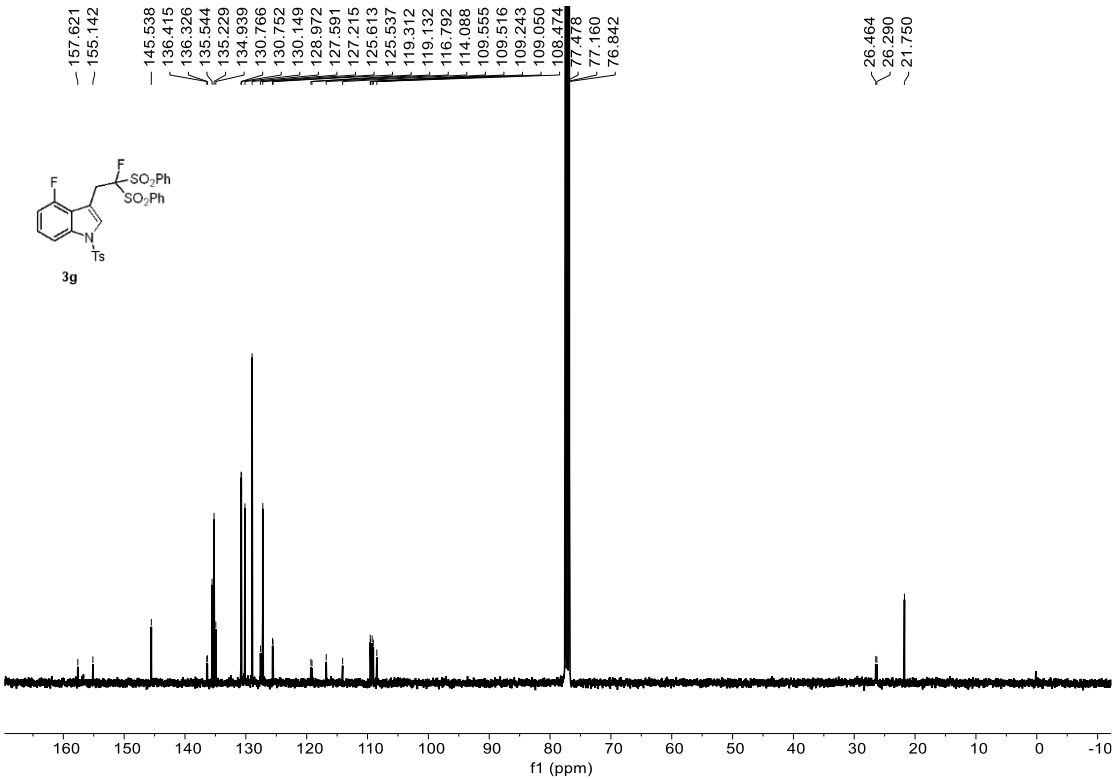
^{19}F NMR spectra of **3f** (376 MHz, $CDCl_3$)



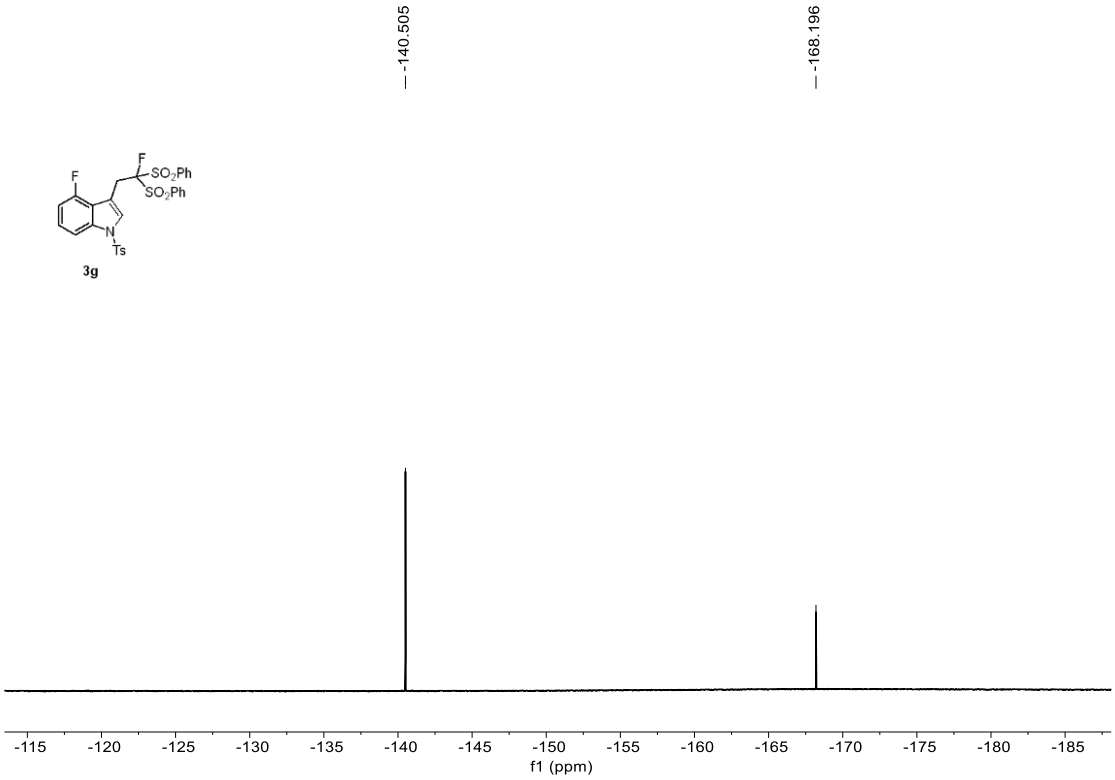
^{1}H NMR spectra of **3g** (400 MHz, $CDCl_3$)



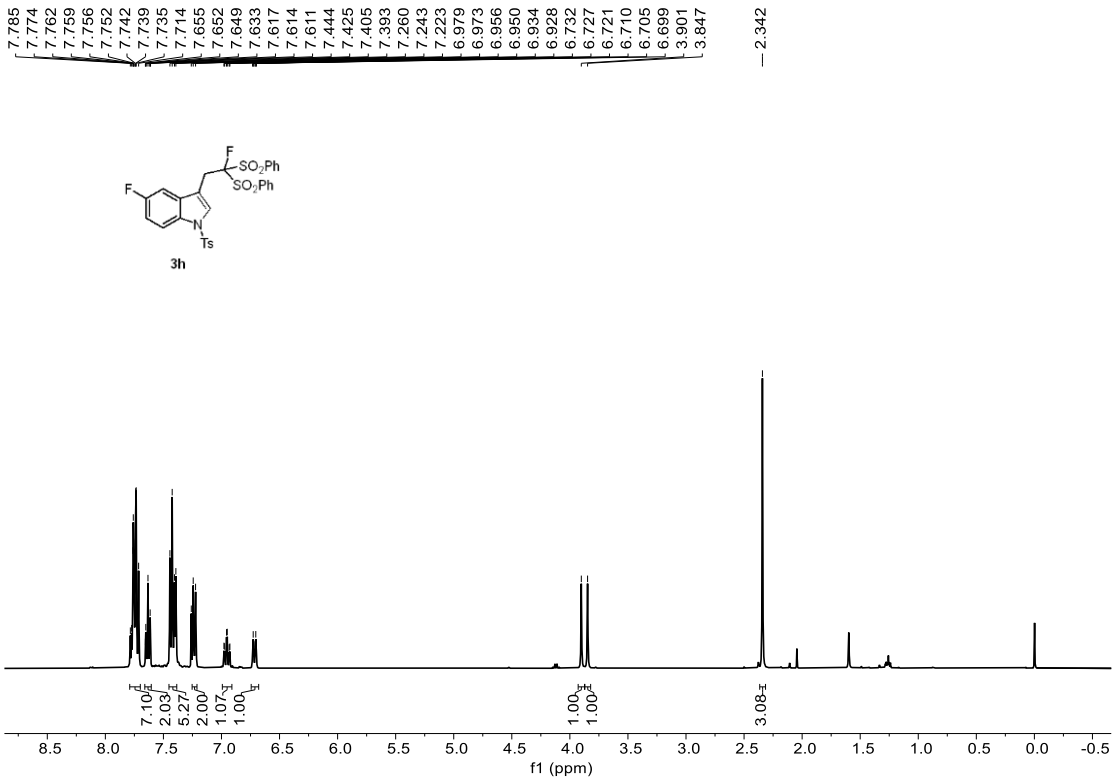
^{13}C NMR spectra of **3g** (101 MHz, $CDCl_3$)



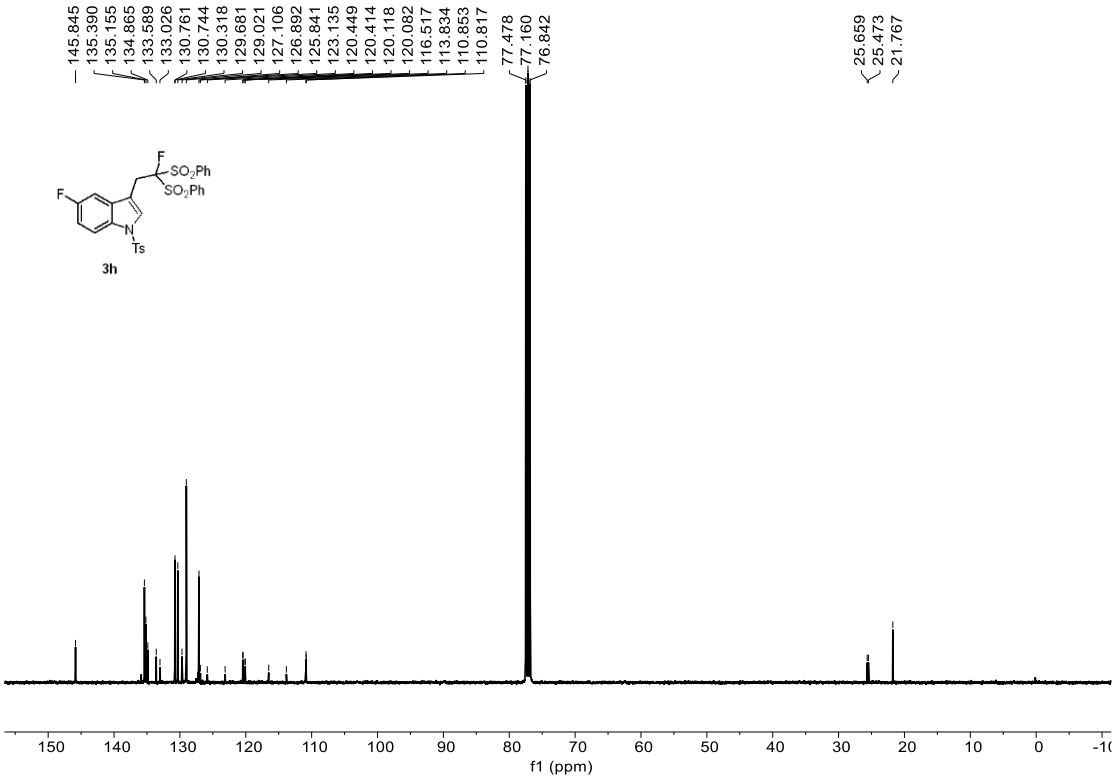
^{19}F NMR spectra of **3g** (376 MHz, $CDCl_3$)



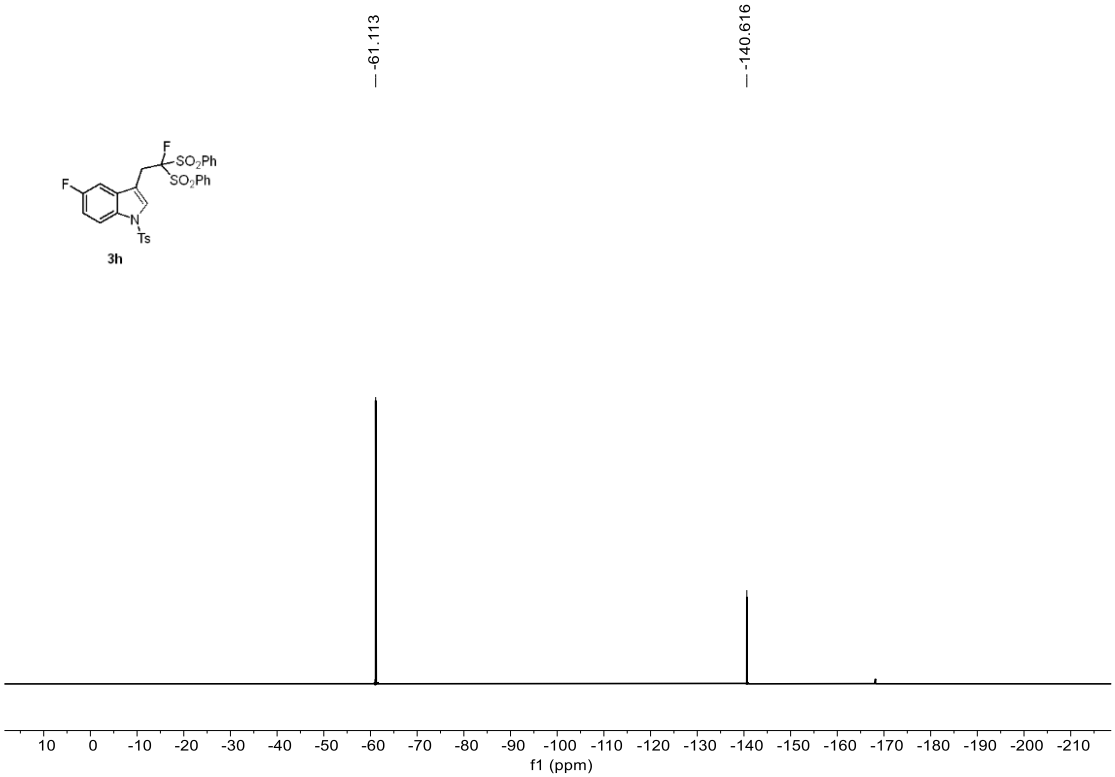
^{1}H NMR spectra of **3h** (400 MHz, $CDCl_3$)



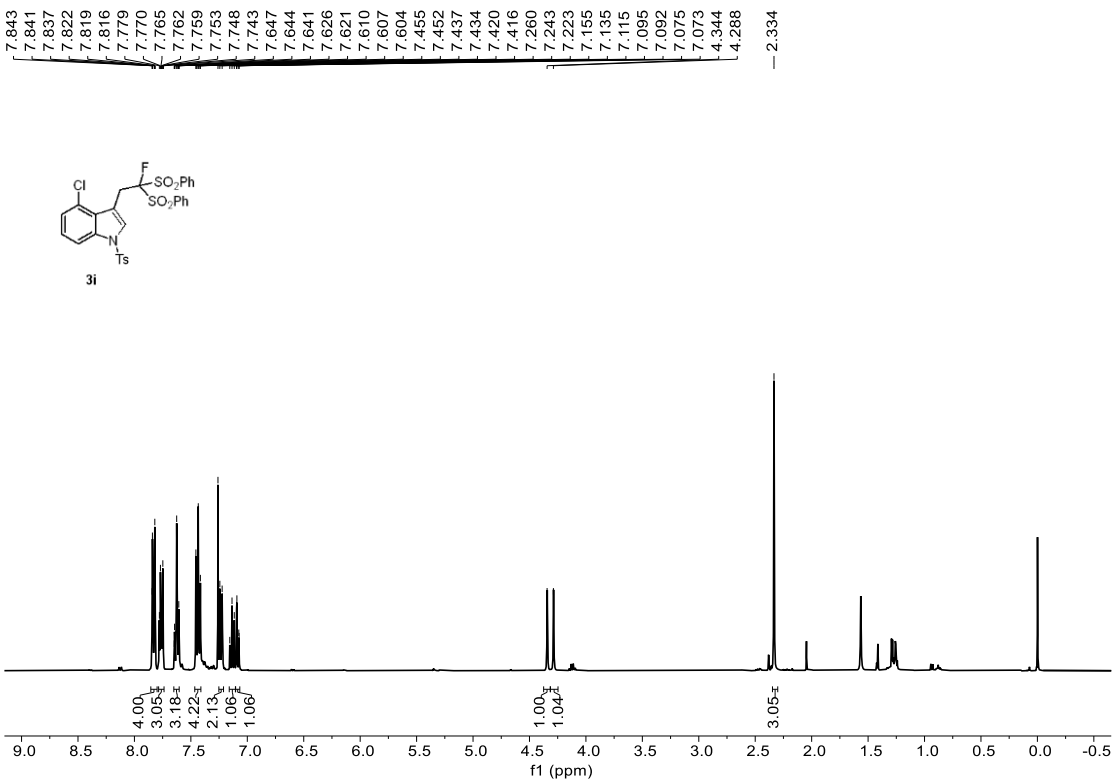
^{13}C NMR spectra of **3h** (101 MHz, $CDCl_3$)



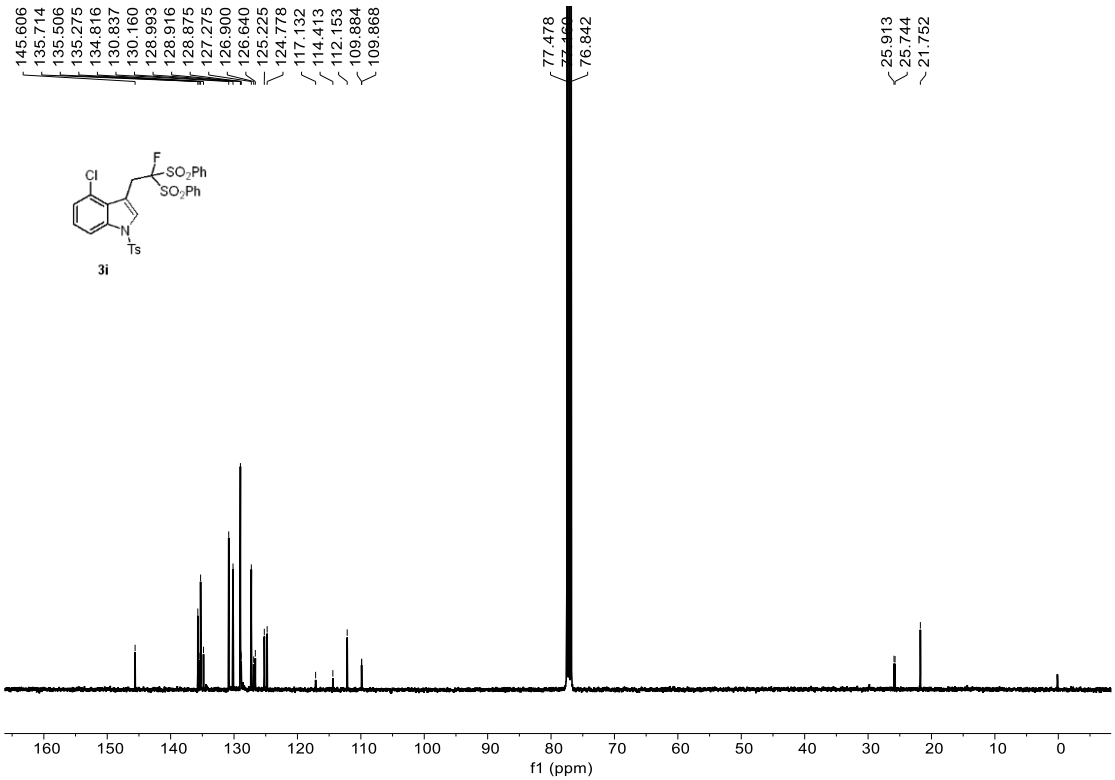
^{19}F NMR spectra of **3h** (376 MHz, $CDCl_3$)



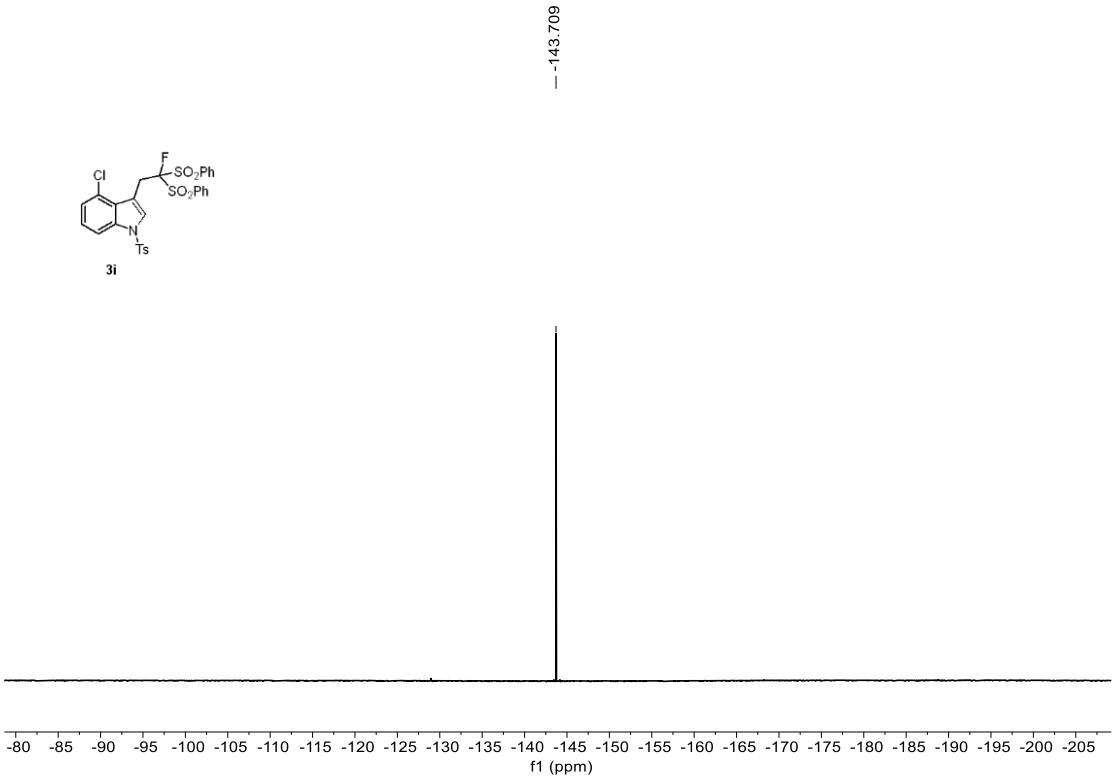
^{1}H NMR spectra of **3i** (400 MHz, $CDCl_3$)



^{13}C NMR spectra of **3i** (101 MHz, $CDCl_3$)



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



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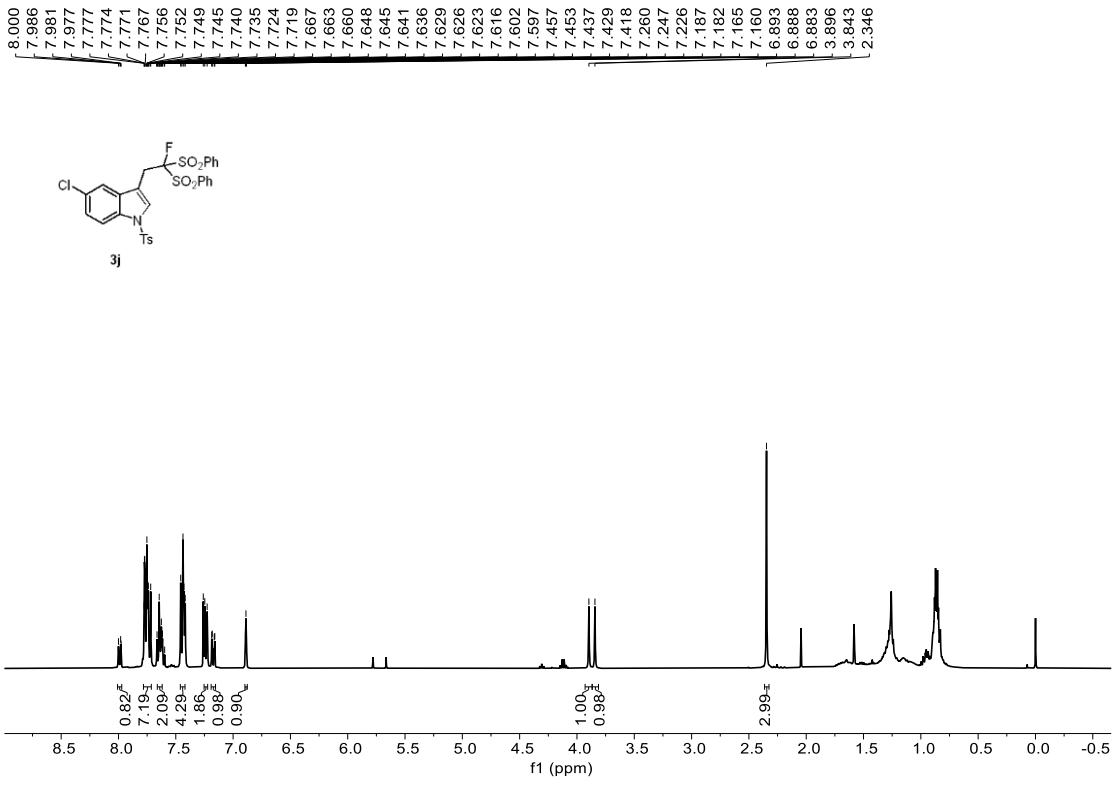

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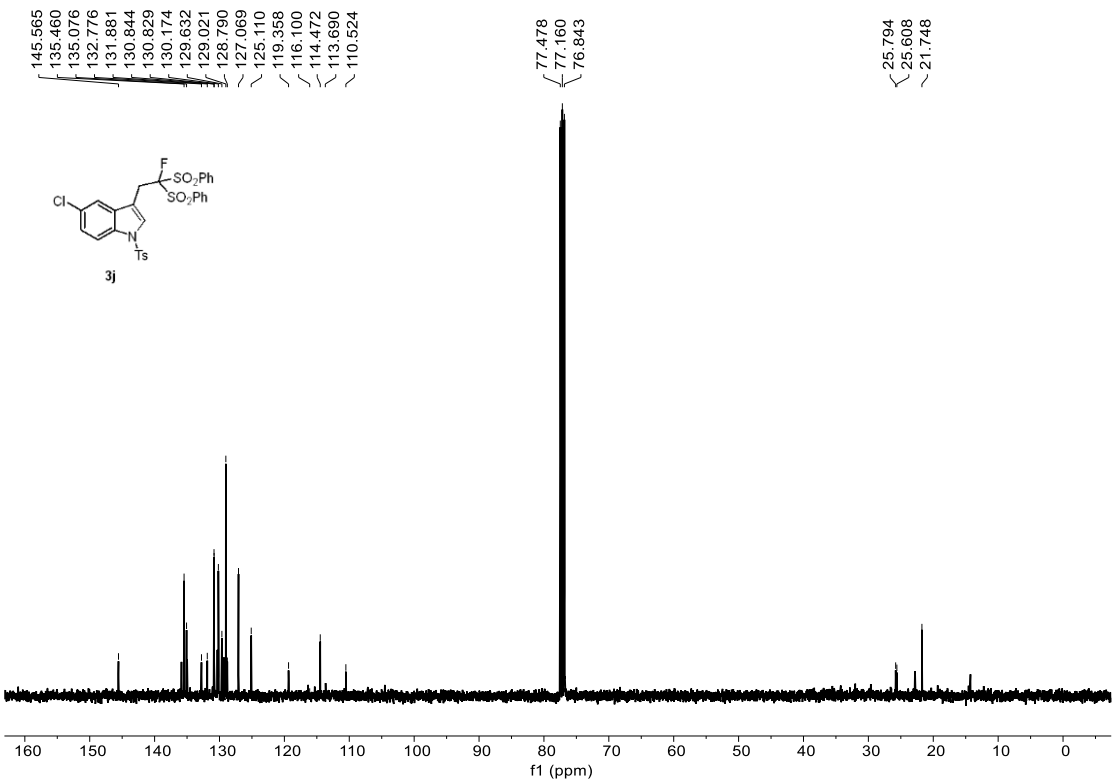
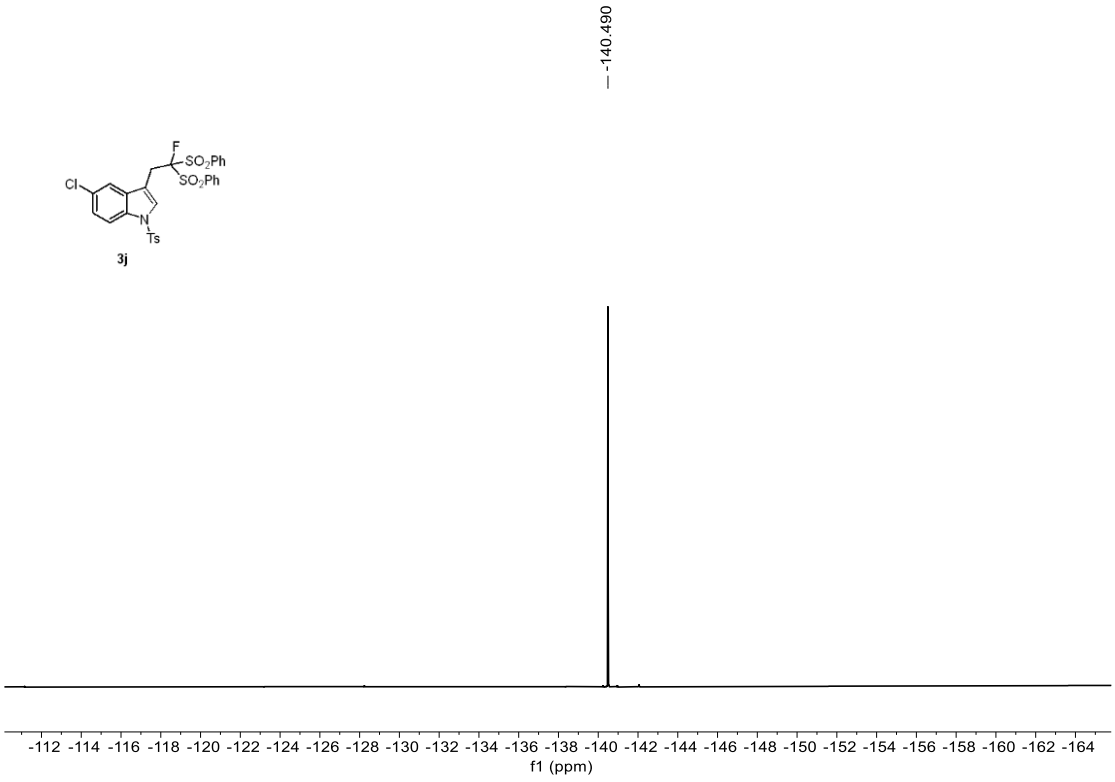


^{19}F NMR spectra of **3j** (376 MHz, $CDCl_3$)



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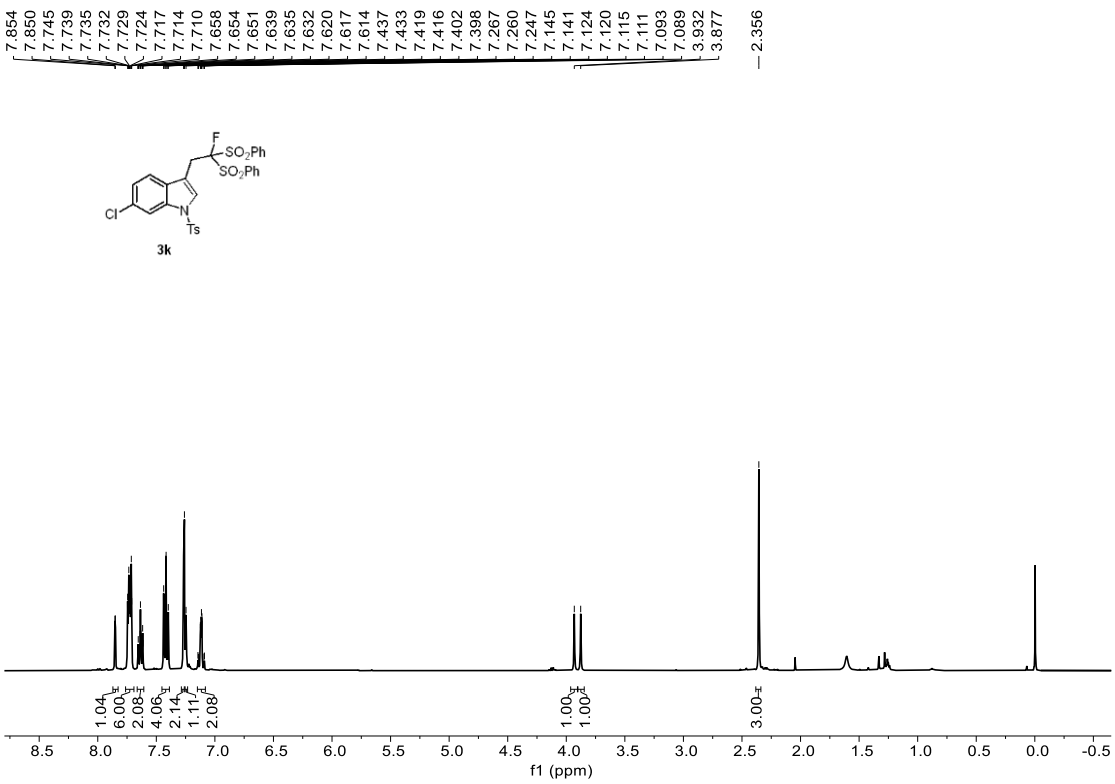
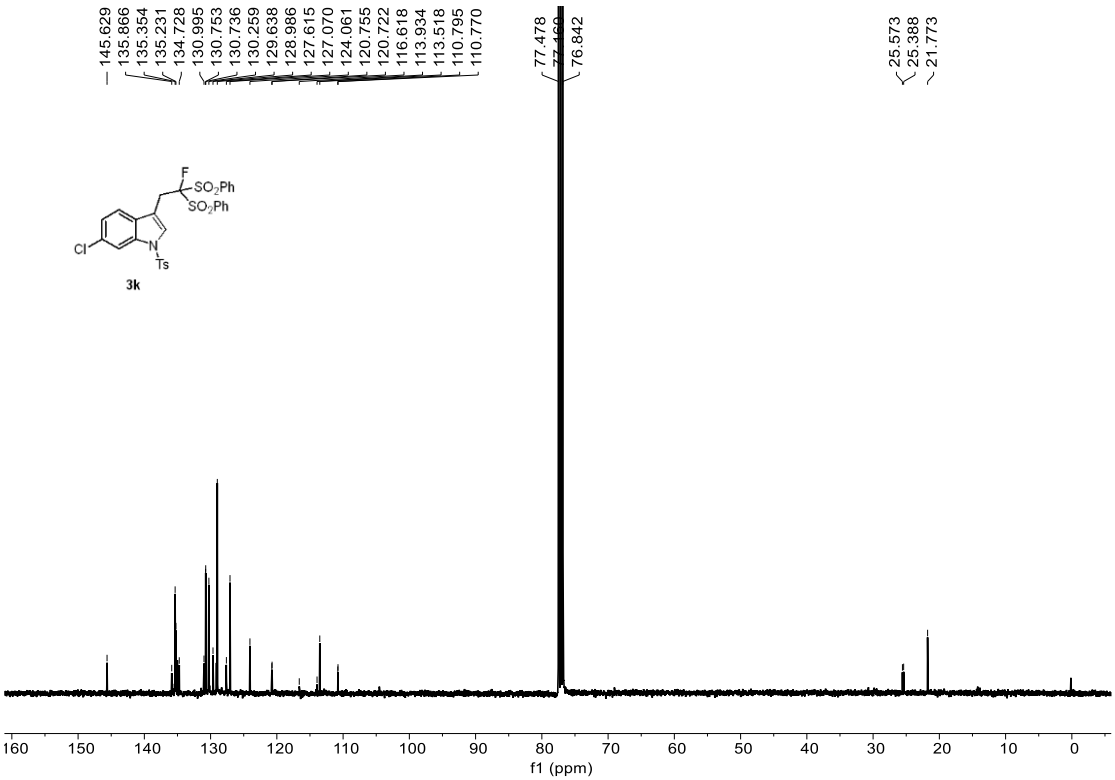


^{13}C NMR spectra of **3k** (101 MHz, $CDCl_3$)



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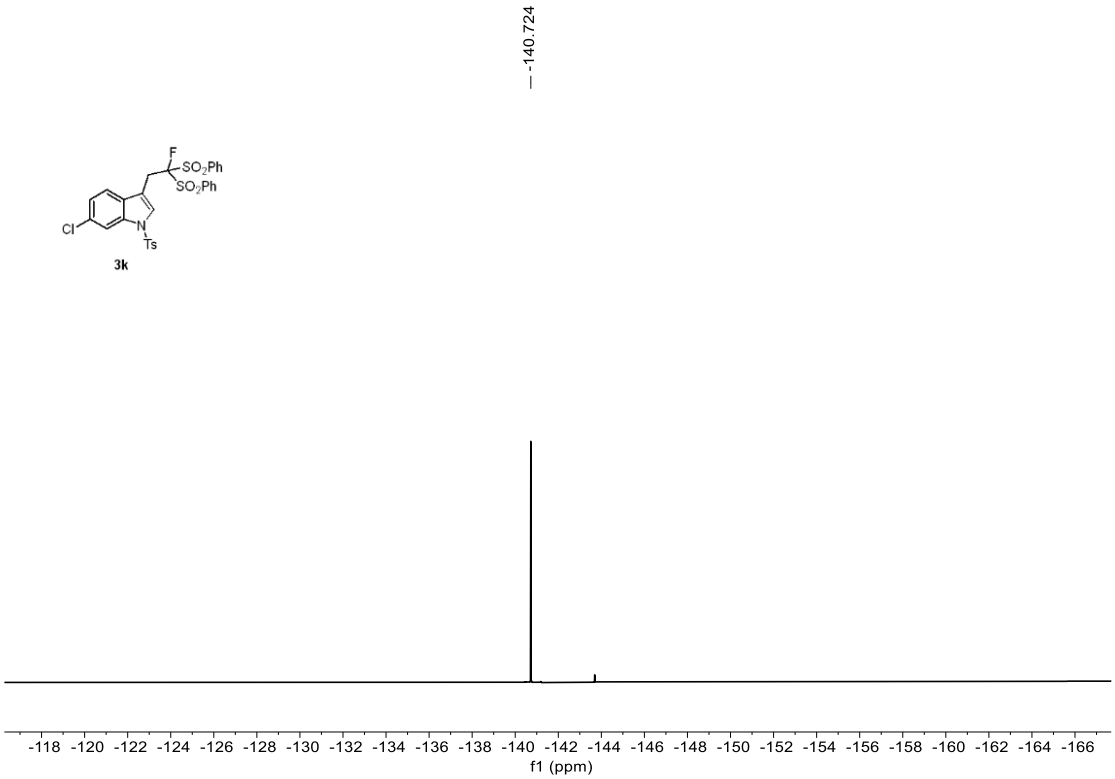

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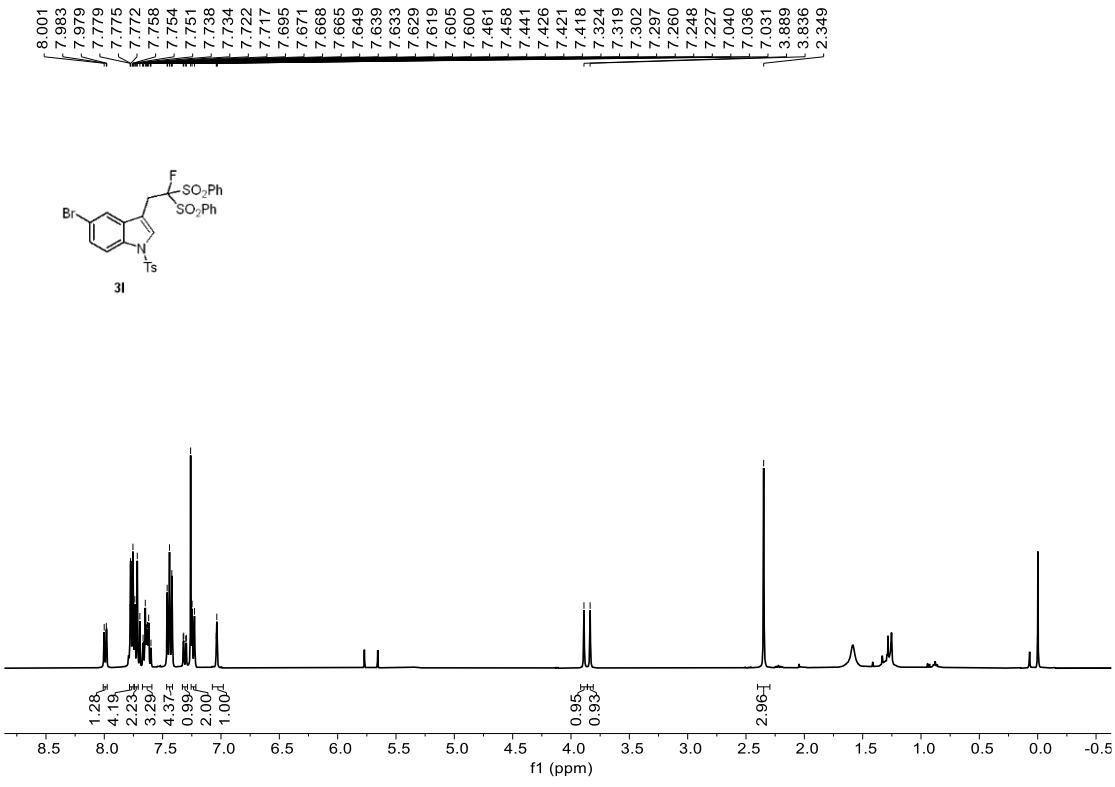

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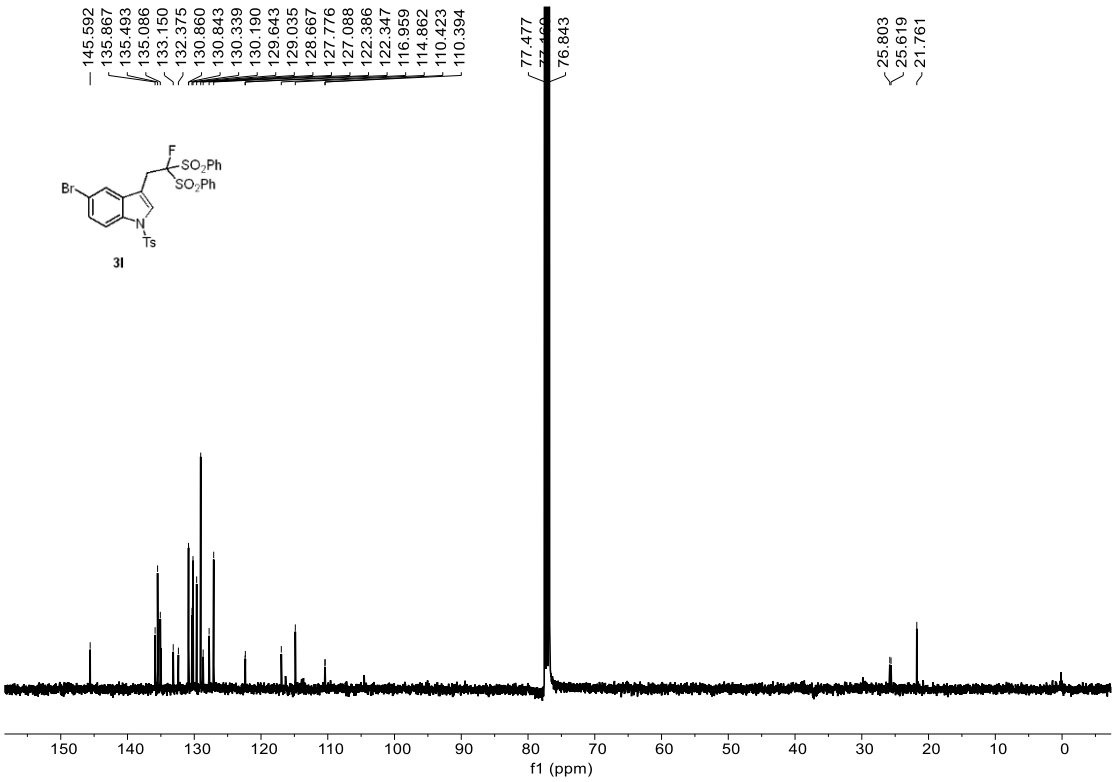
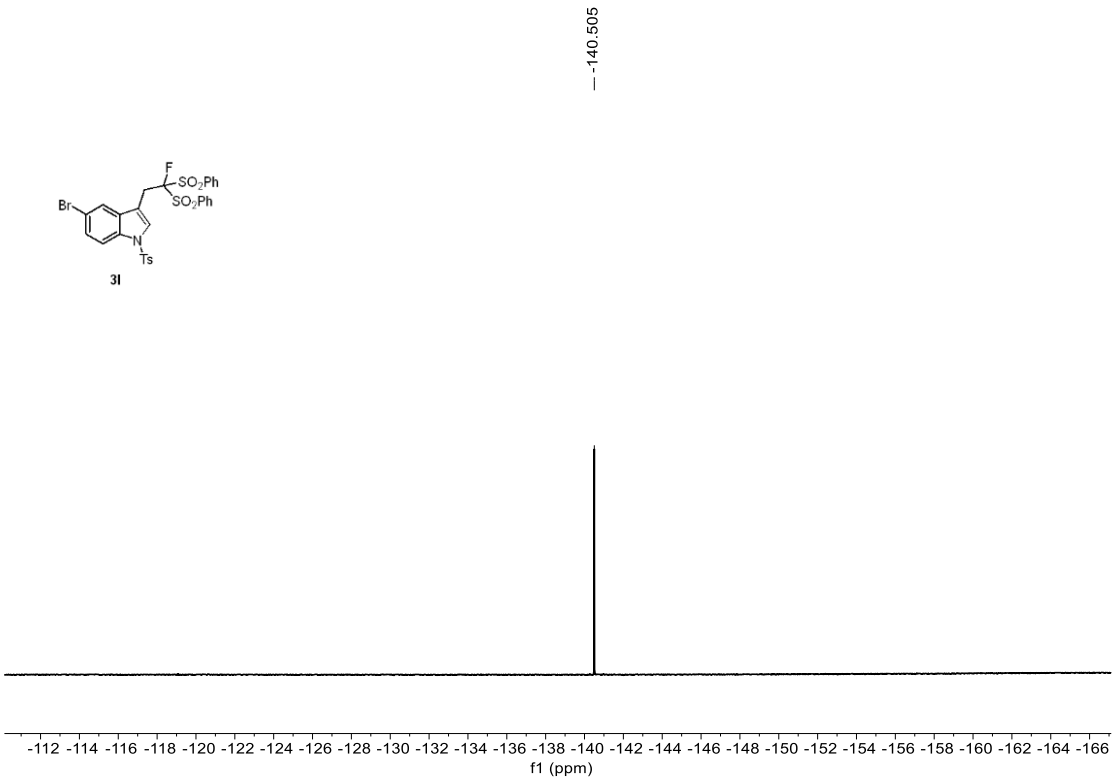


^{19}F NMR spectra of **3l** (376 MHz, $CDCl_3$)



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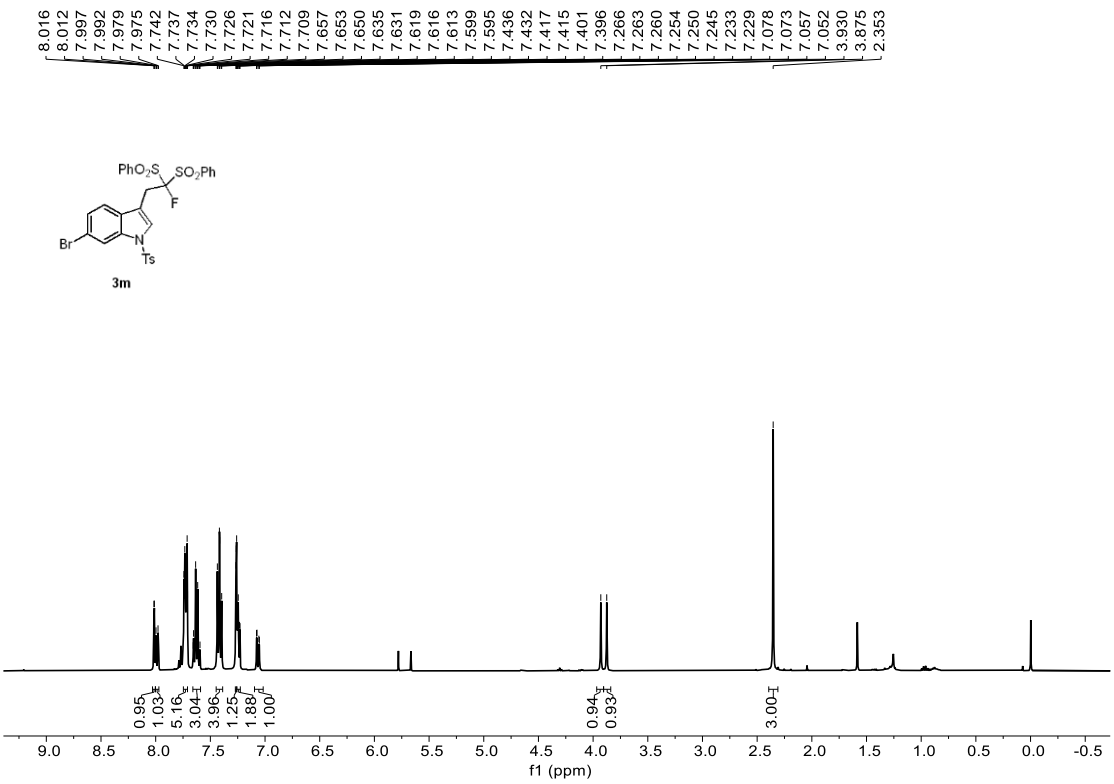
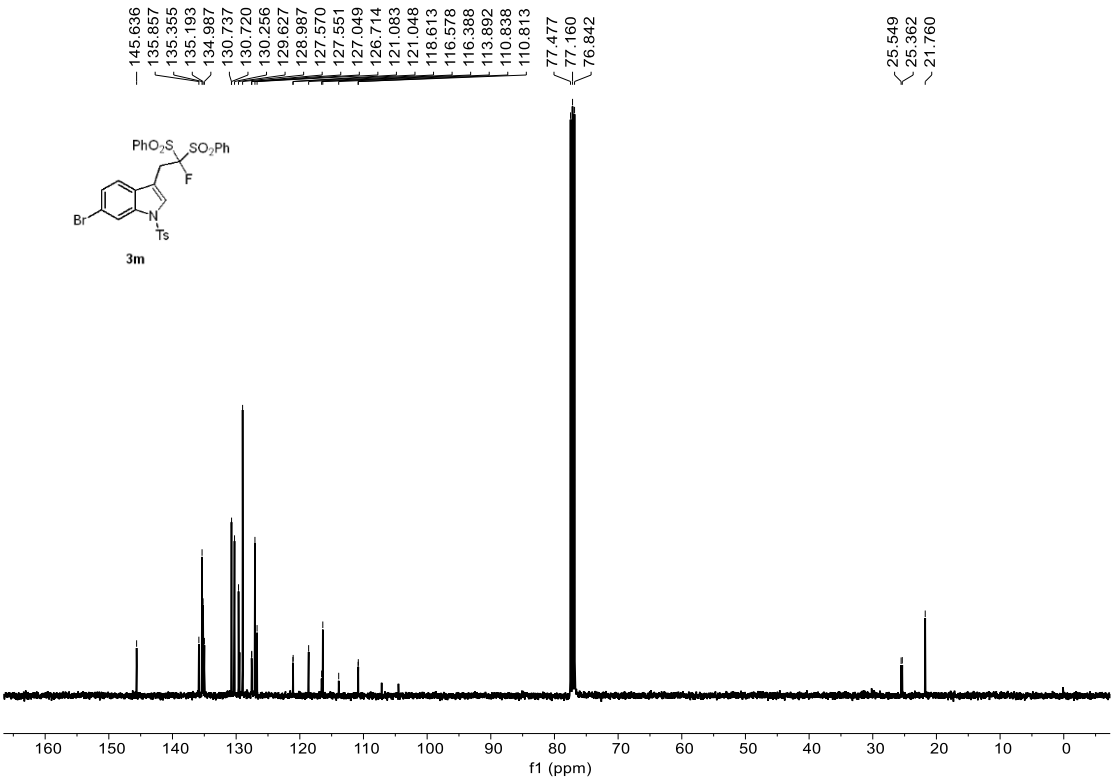


^{13}C NMR spectra of **3m** (101 MHz, $CDCl_3$)



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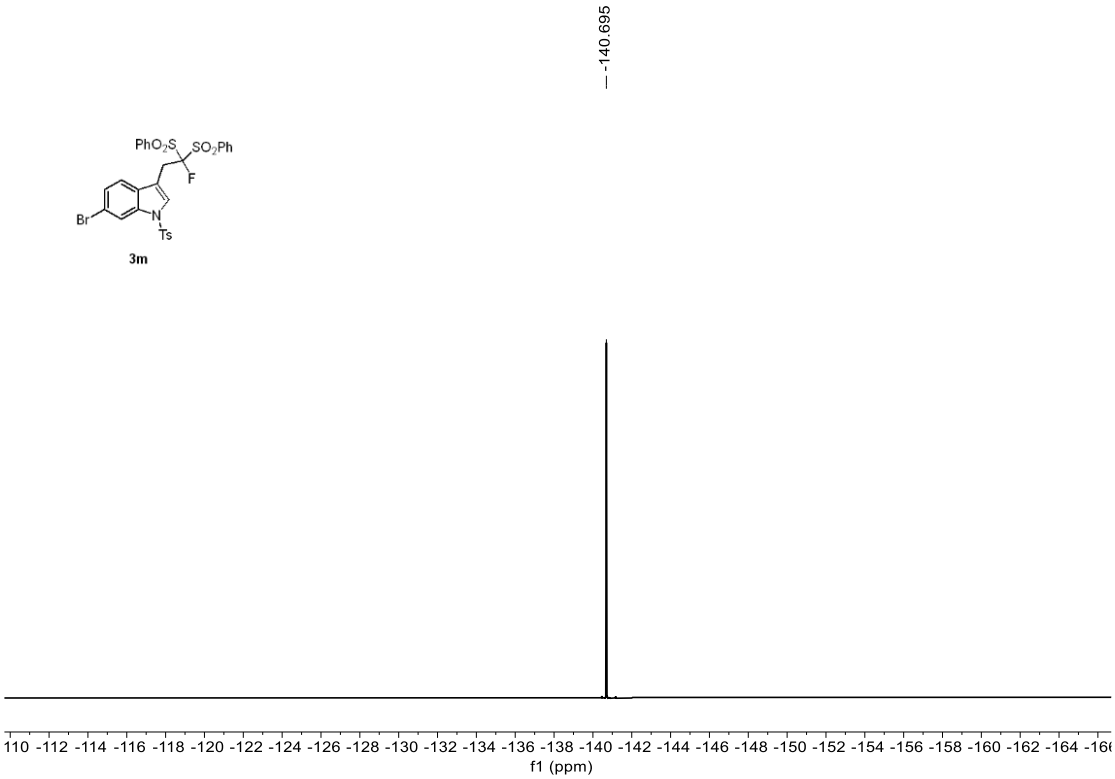

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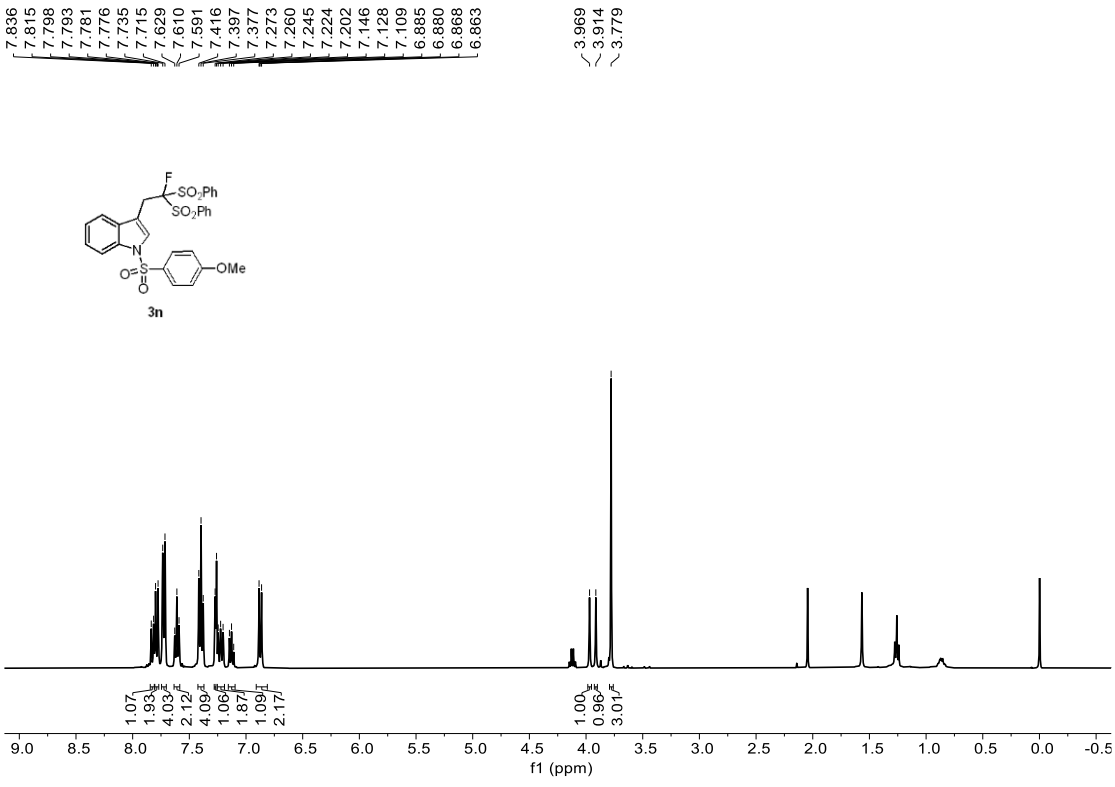
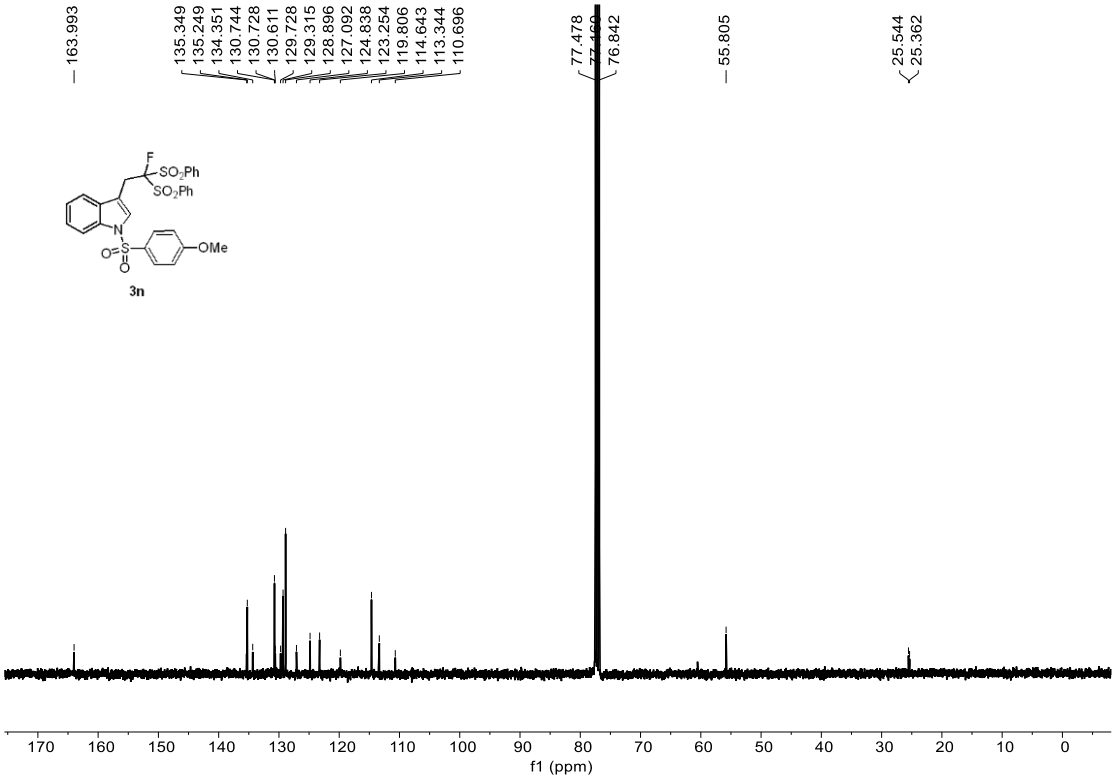


^{13}C NMR spectra of **3n** (101 MHz, $CDCl_3$)



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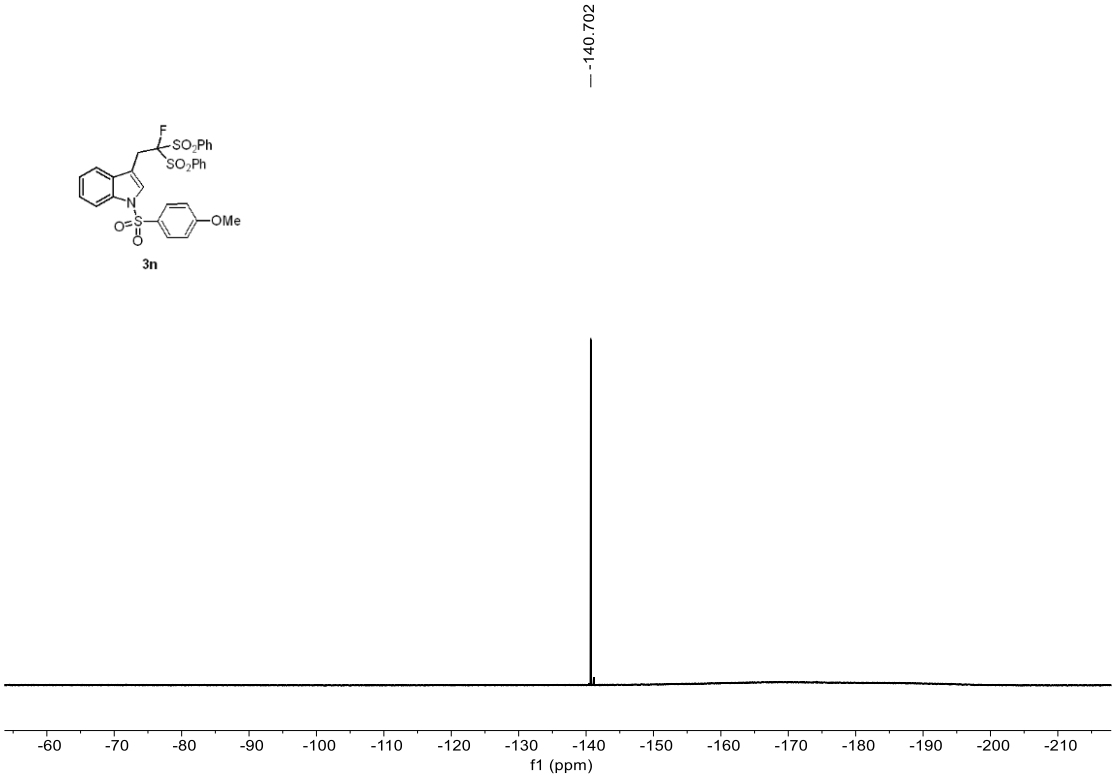

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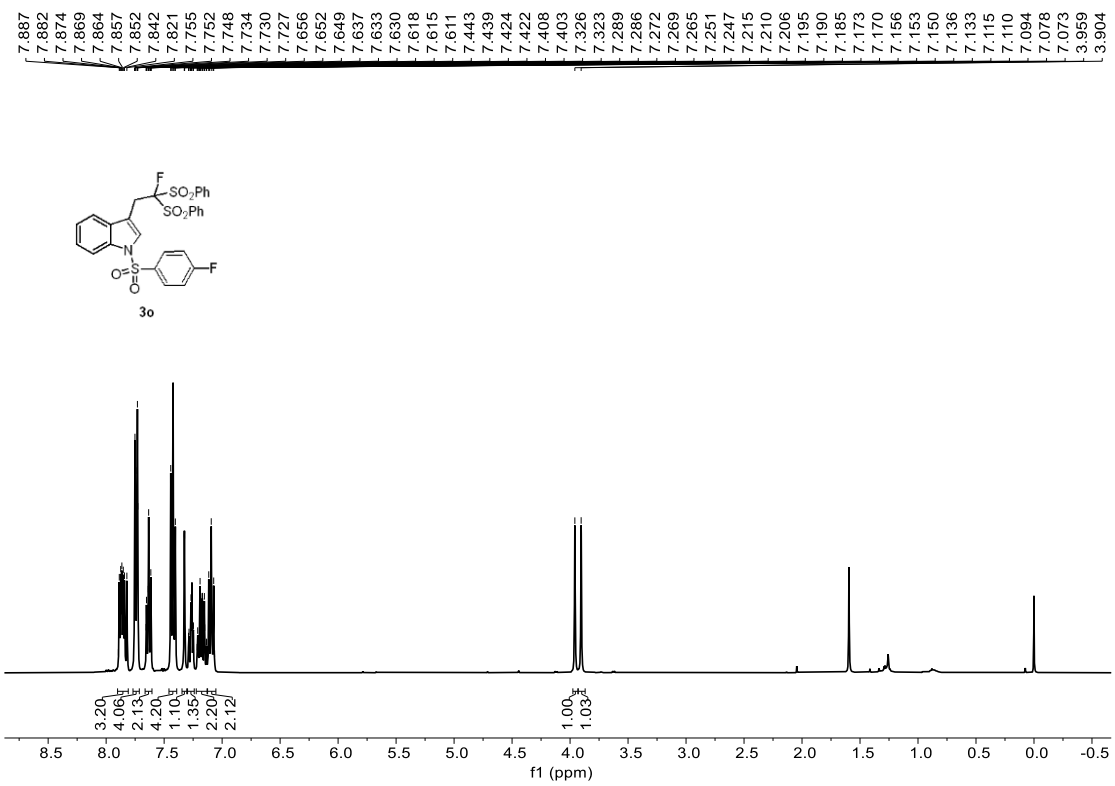

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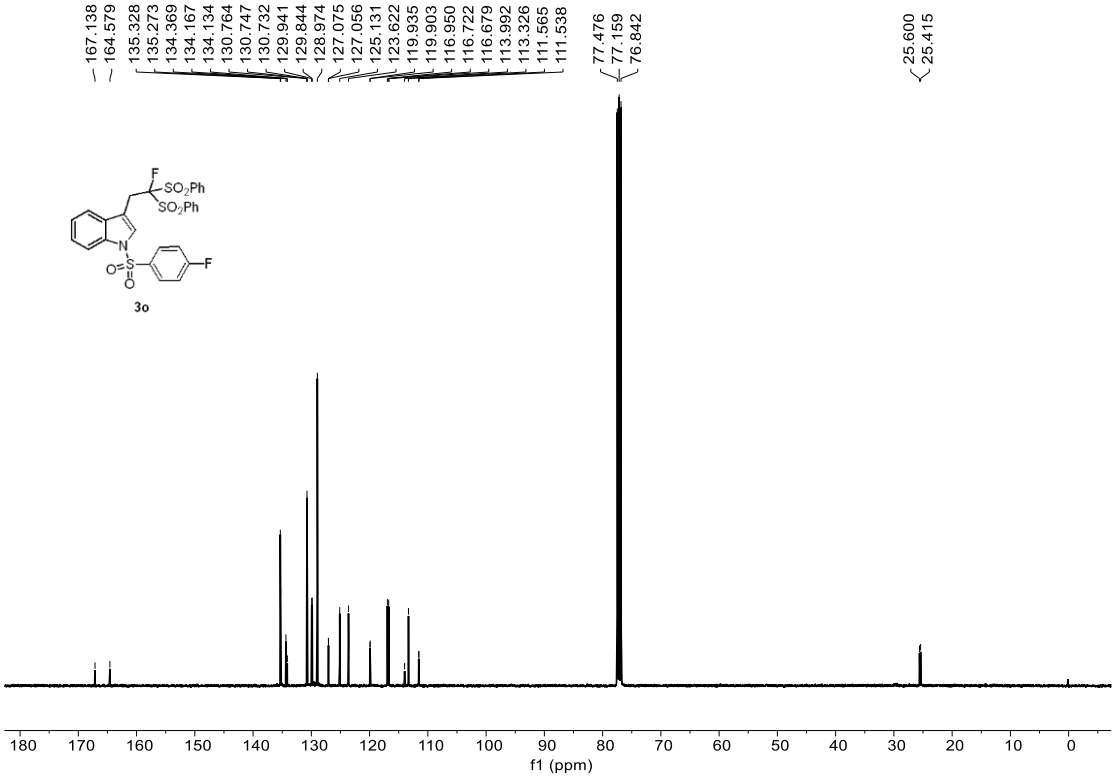

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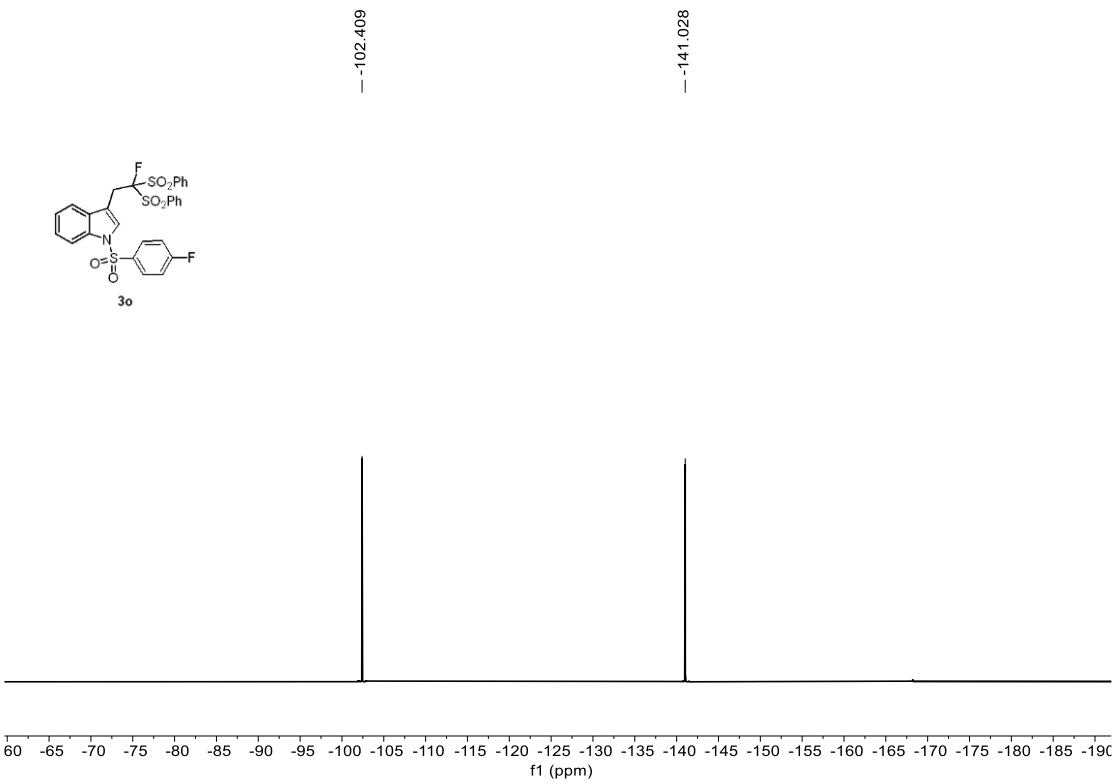

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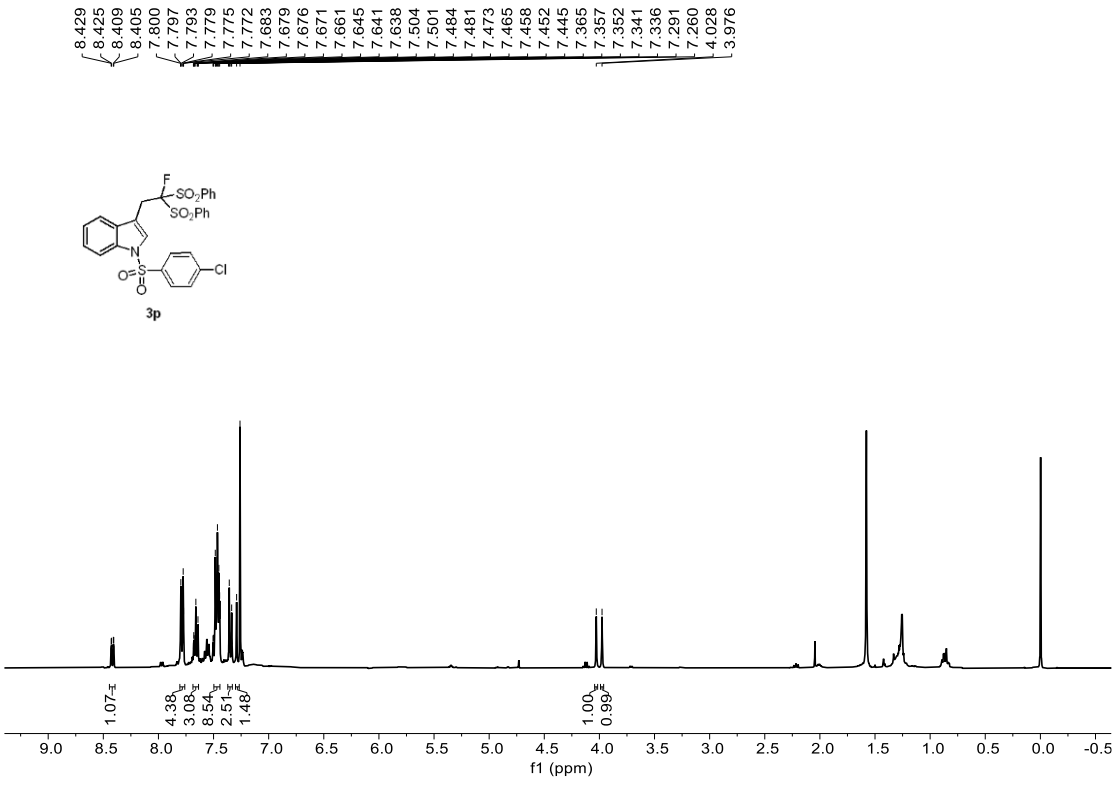

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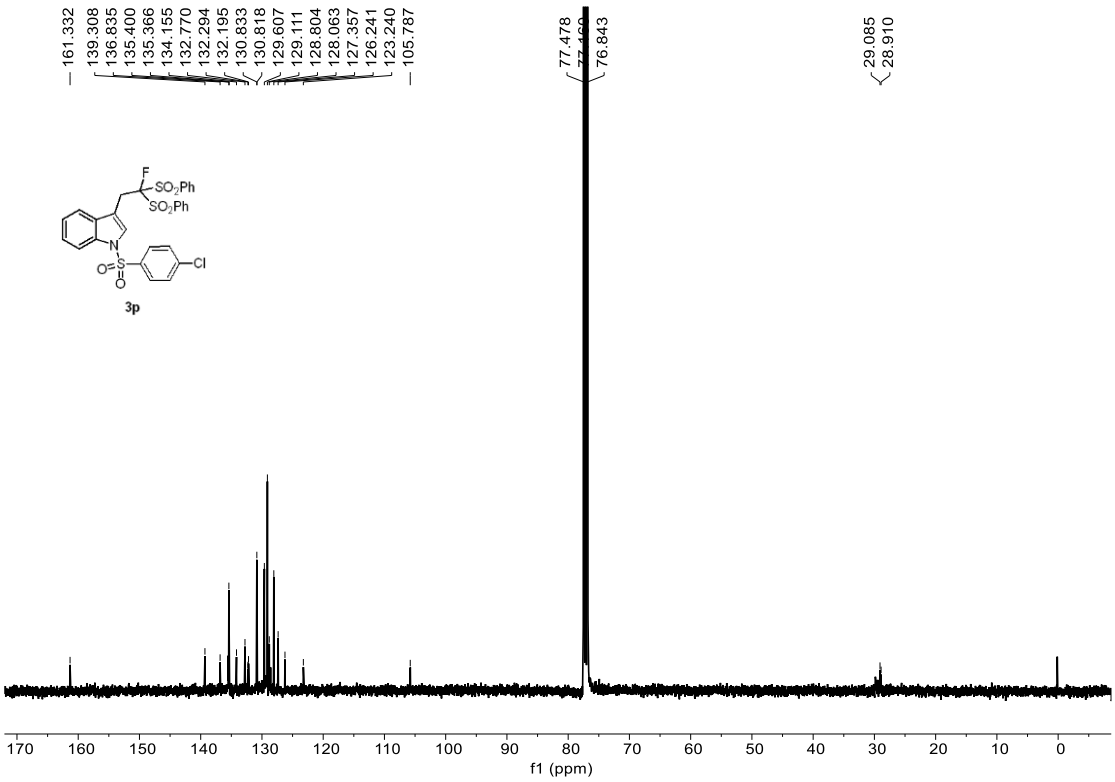

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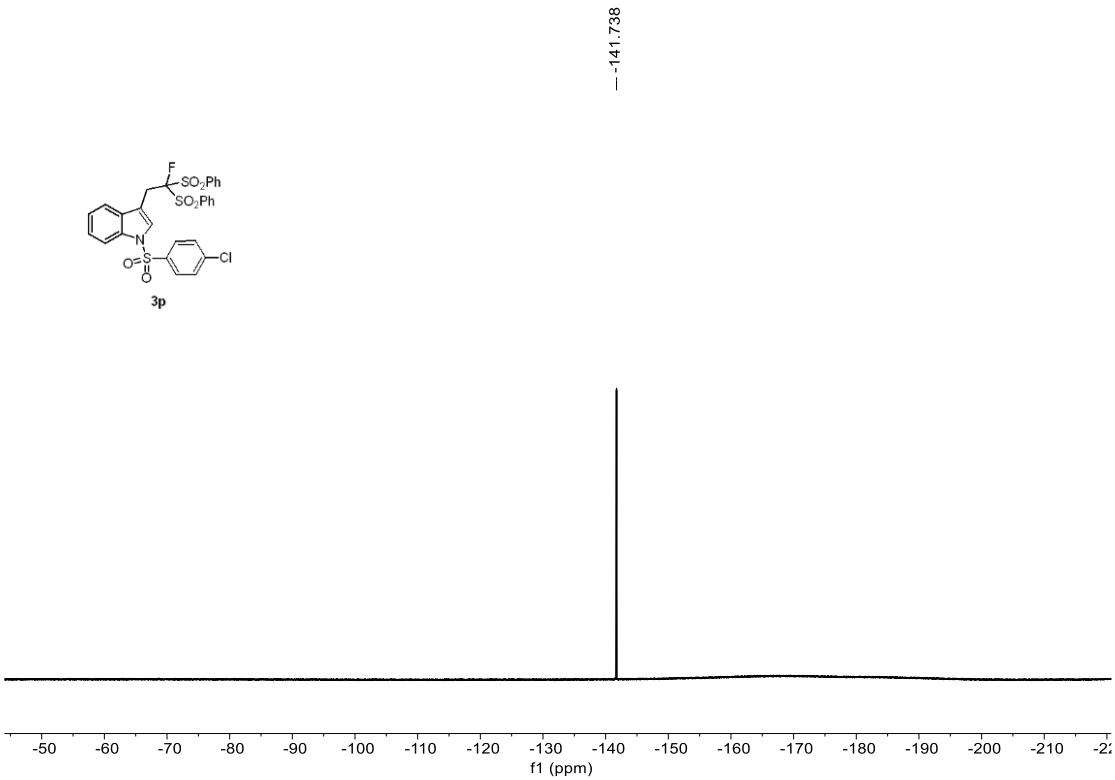

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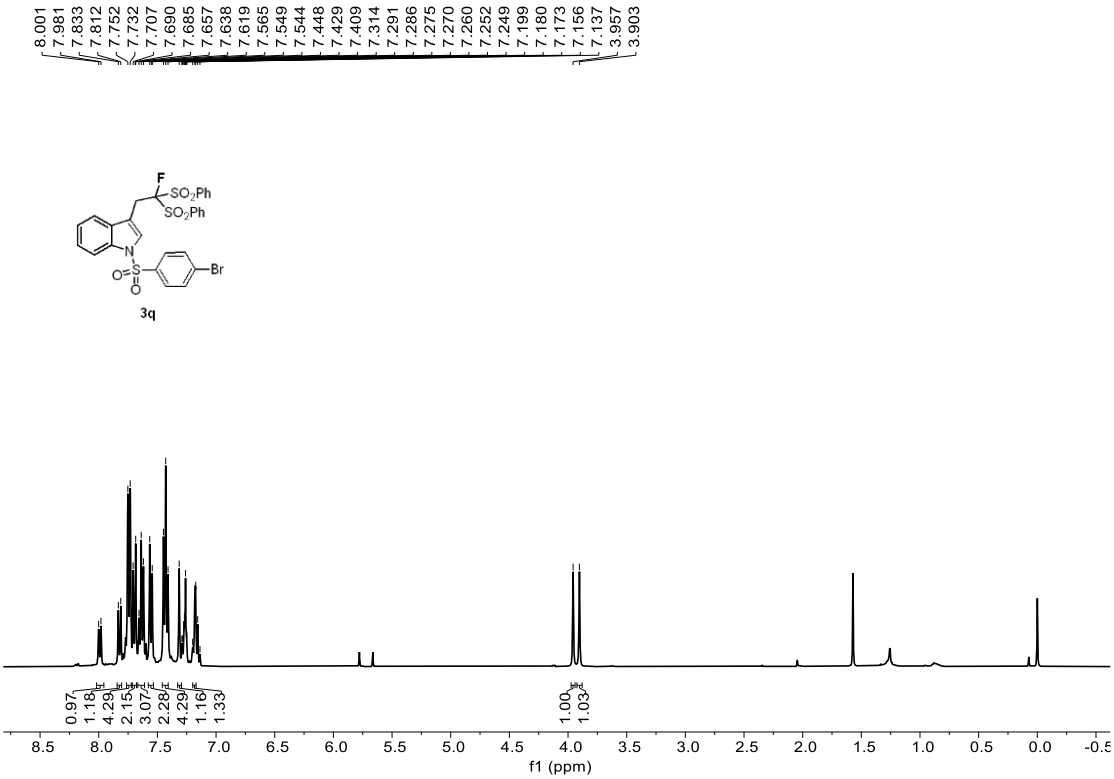
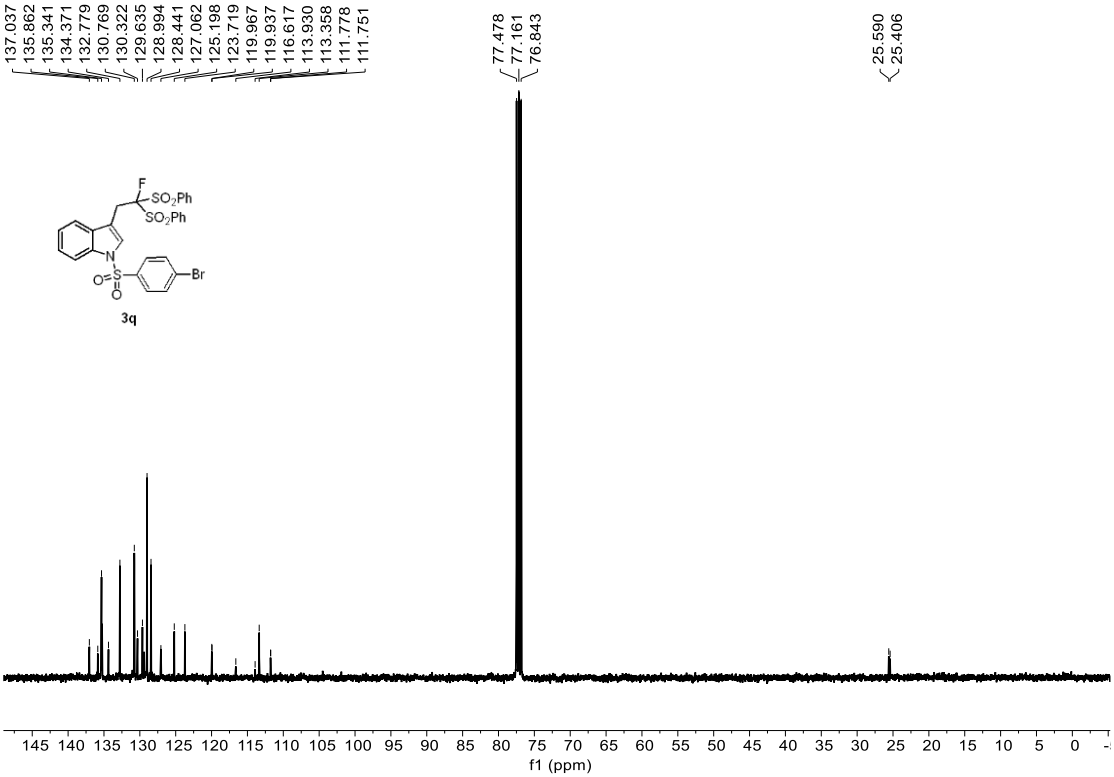


^{13}C NMR spectra of **3q** (101 MHz, $CDCl_3$)



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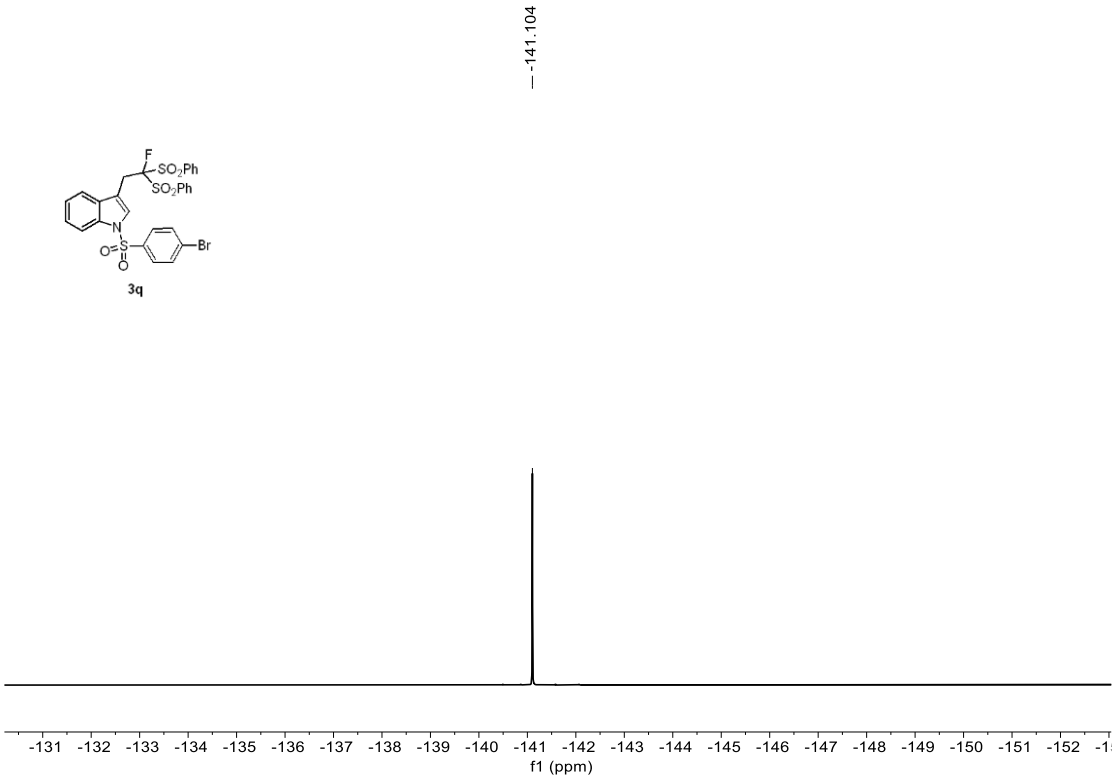
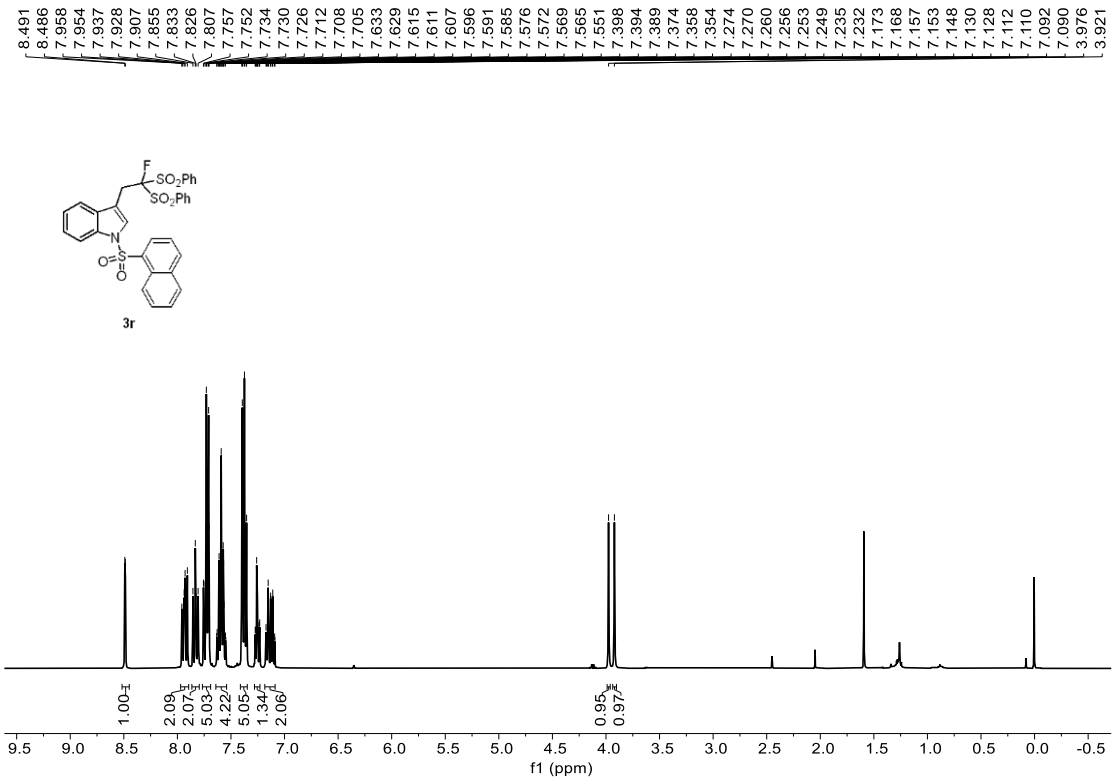
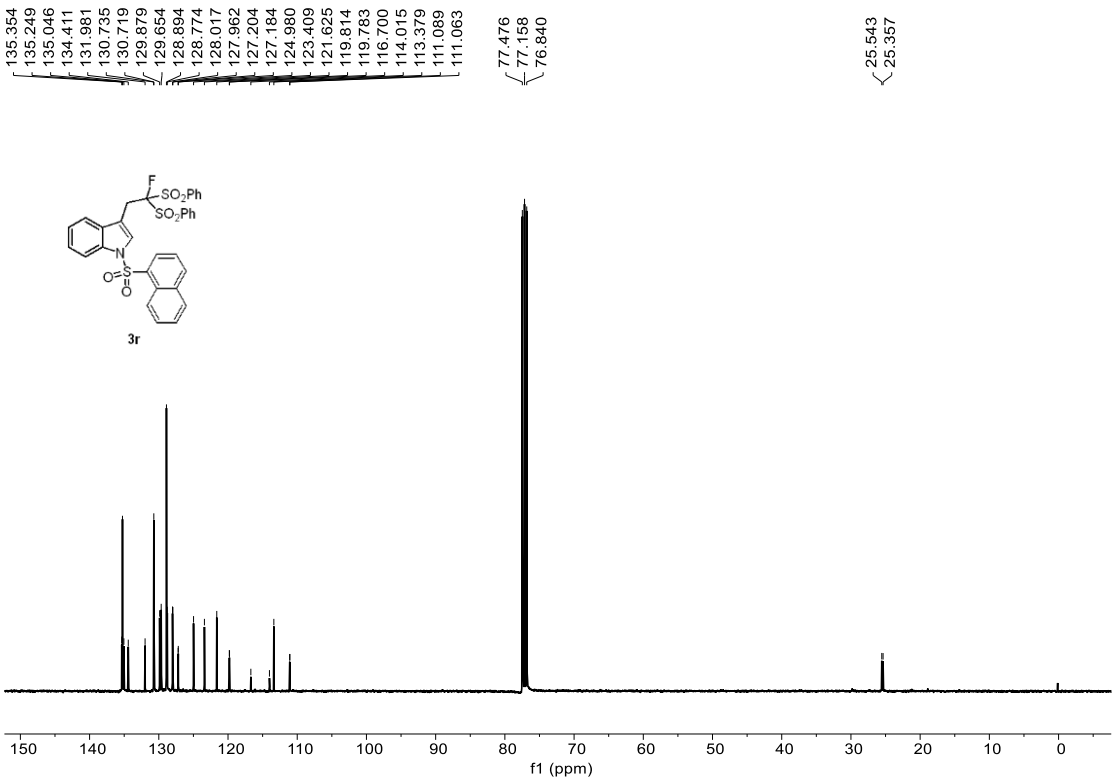


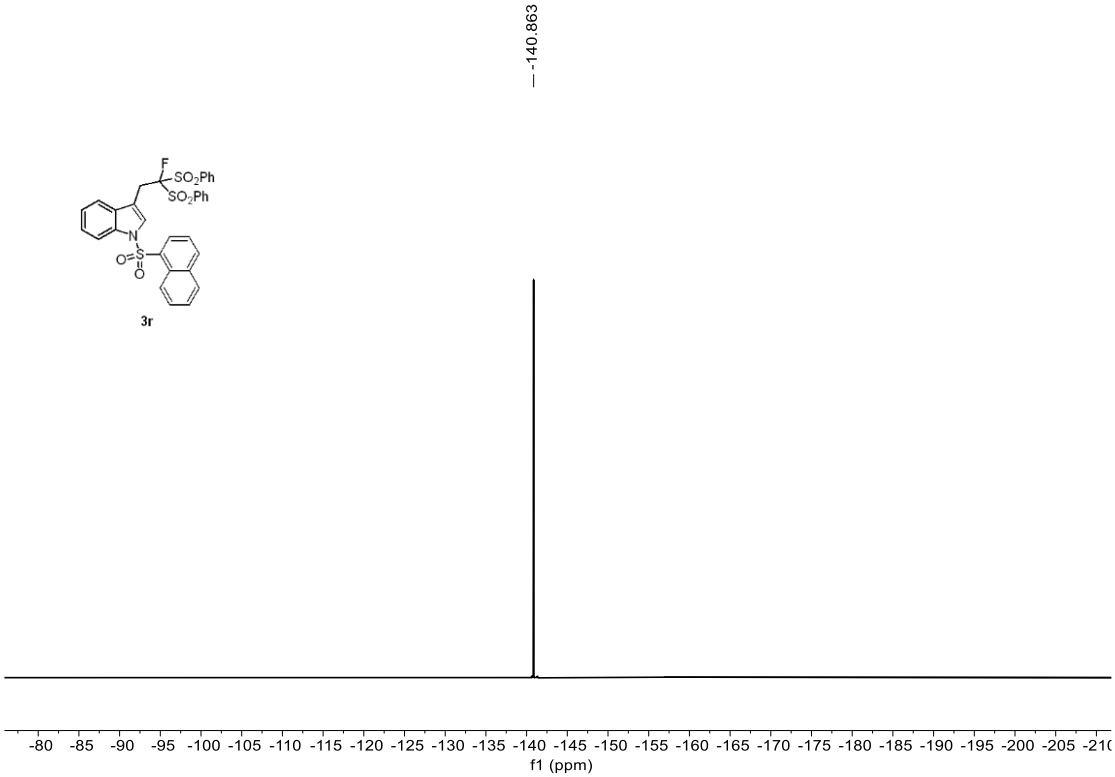
^{1}H NMR spectra of **3r** (400 MHz, $CDCl_3$)



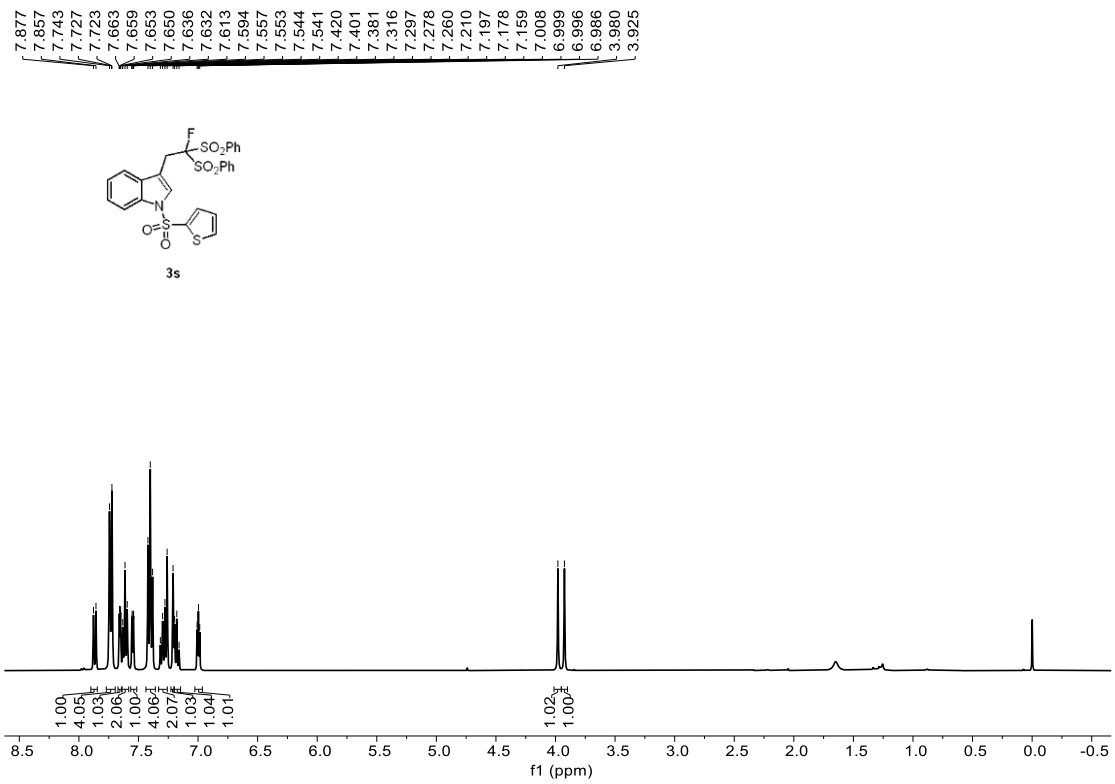
^{13}C NMR spectra of **3r** (101 MHz, $CDCl_3$)



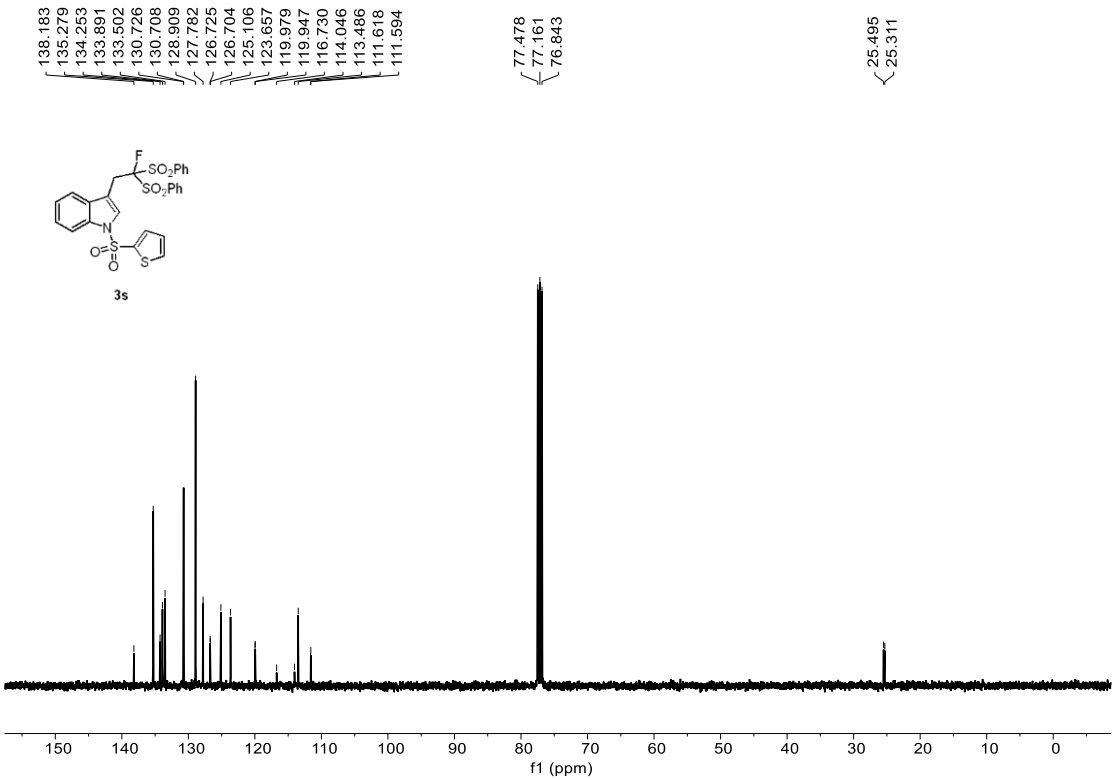
^{19}F NMR spectra of **3r** (376 MHz, $CDCl_3$)



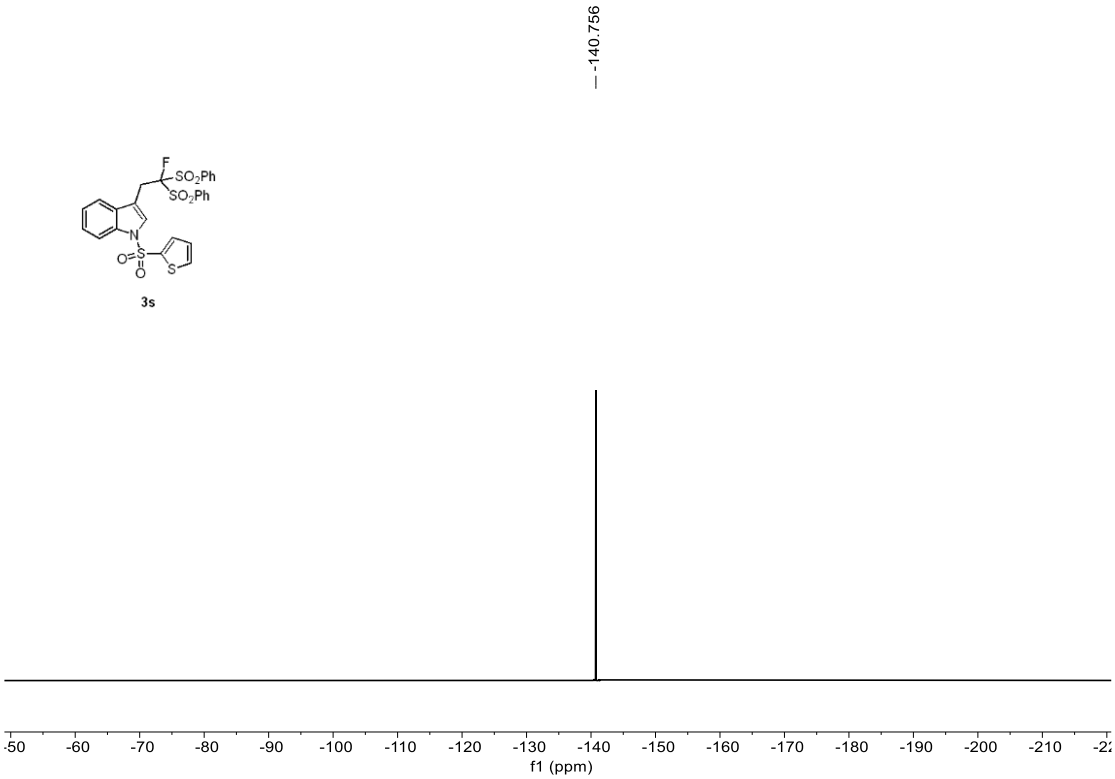
^{1}H NMR spectra of **3s** (400 MHz, $CDCl_3$)



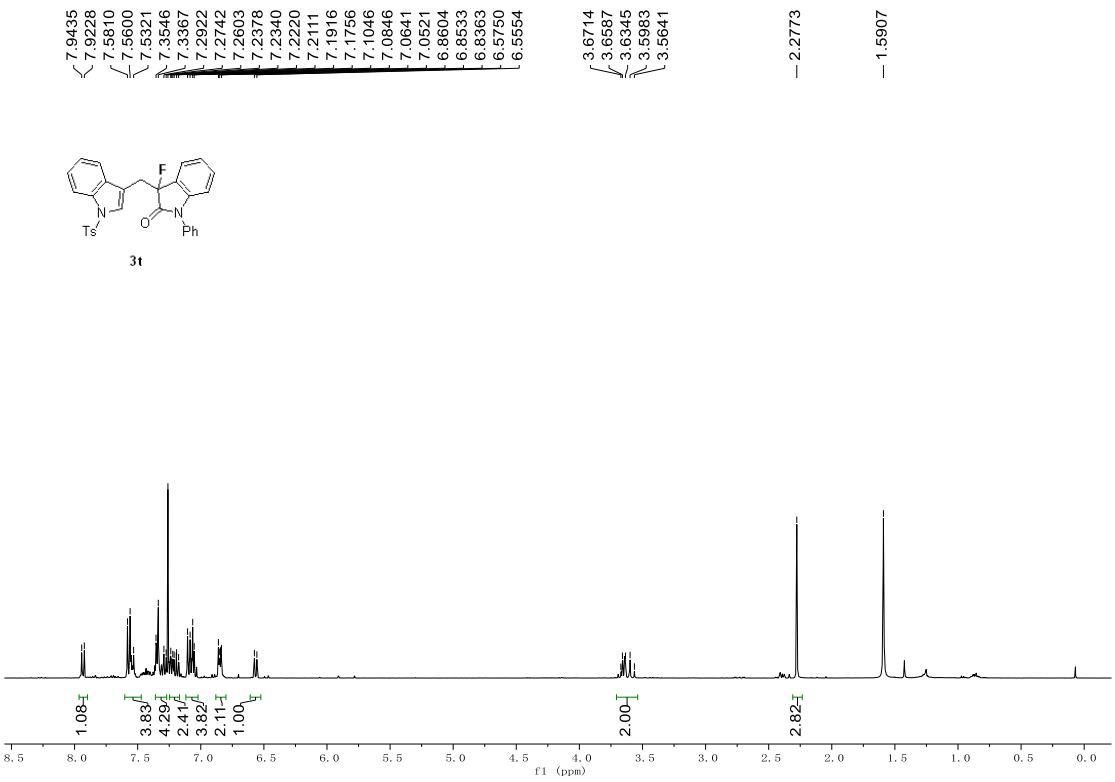
^{13}C NMR spectra of **3s** (101 MHz, $CDCl_3$)



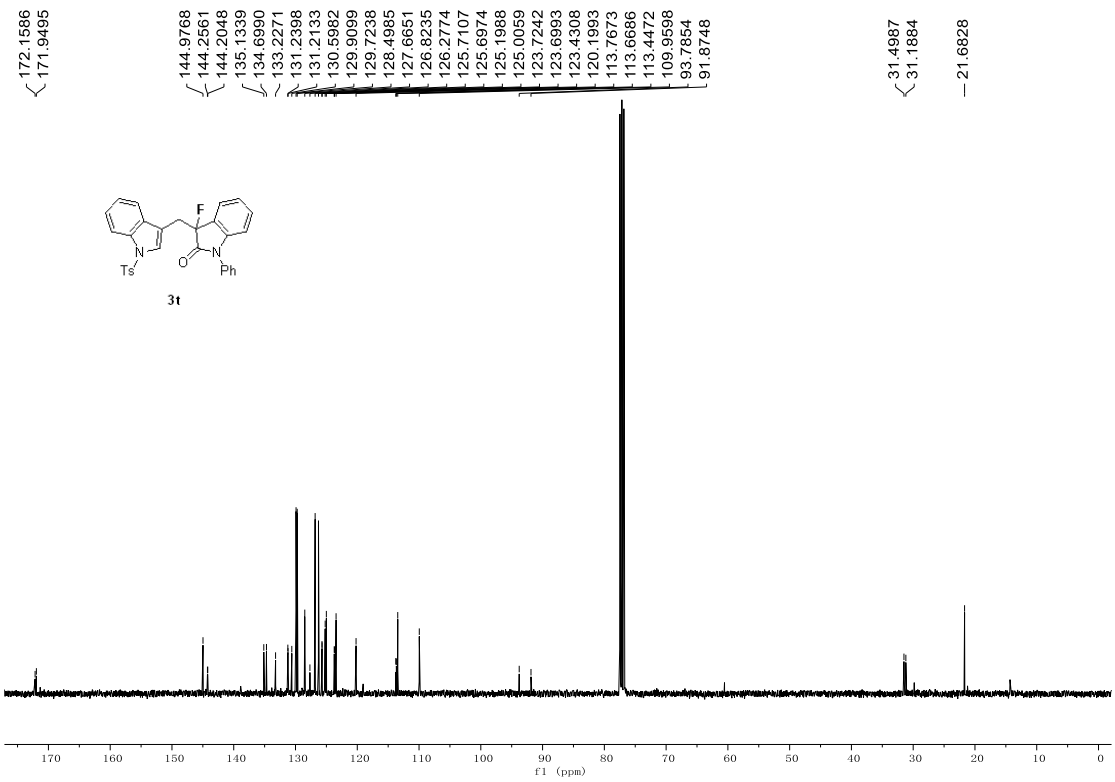
^{19}F NMR spectra of **3s** (376 MHz, $CDCl_3$)



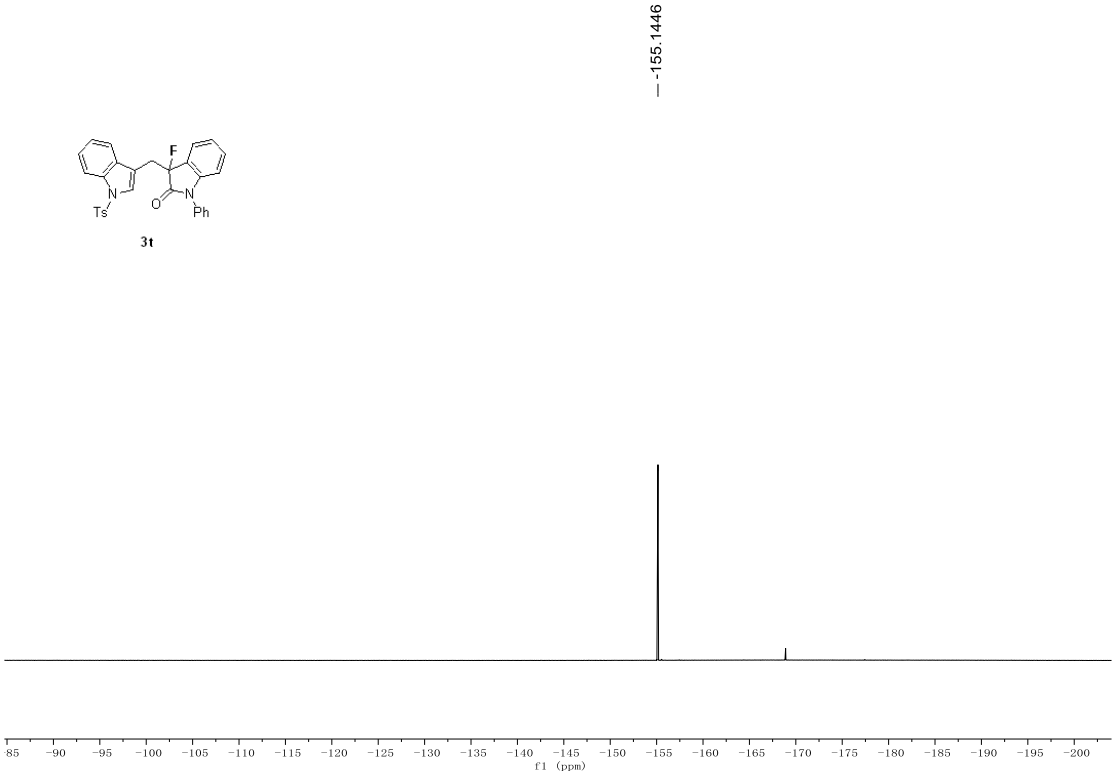
^{1}H NMR spectra of **3t** (400 MHz, $CDCl_3$)



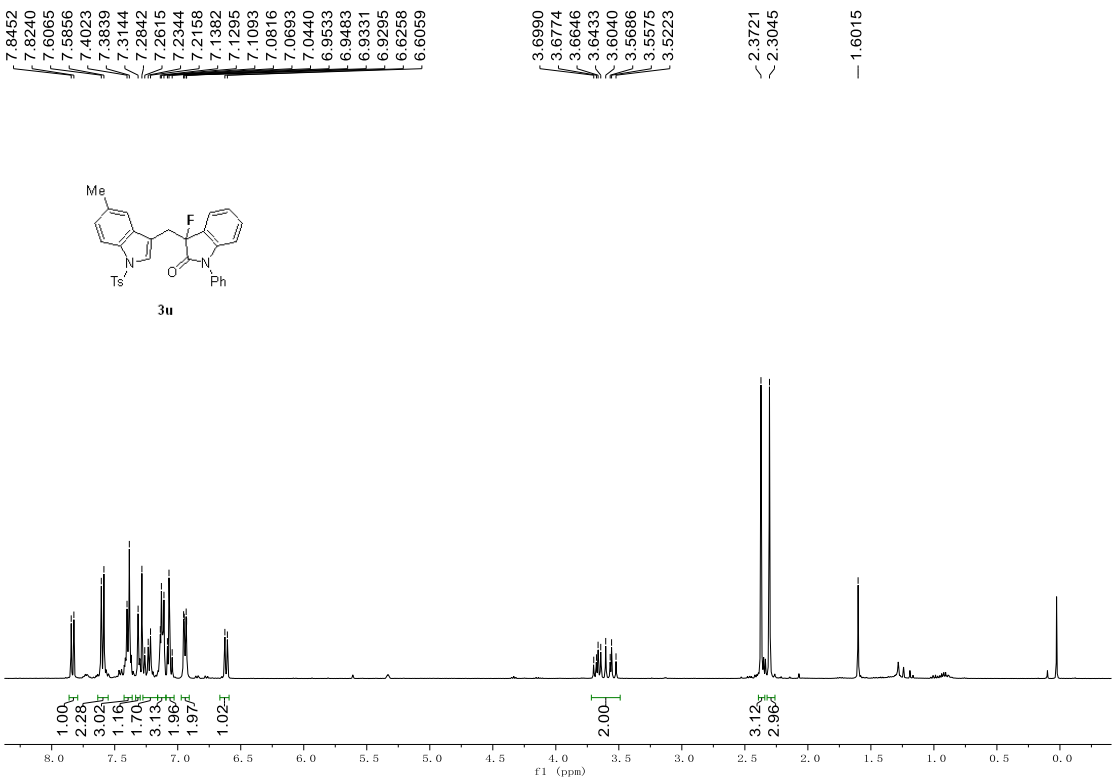
^{13}C NMR spectra of **3t** (101 MHz, $CDCl_3$)



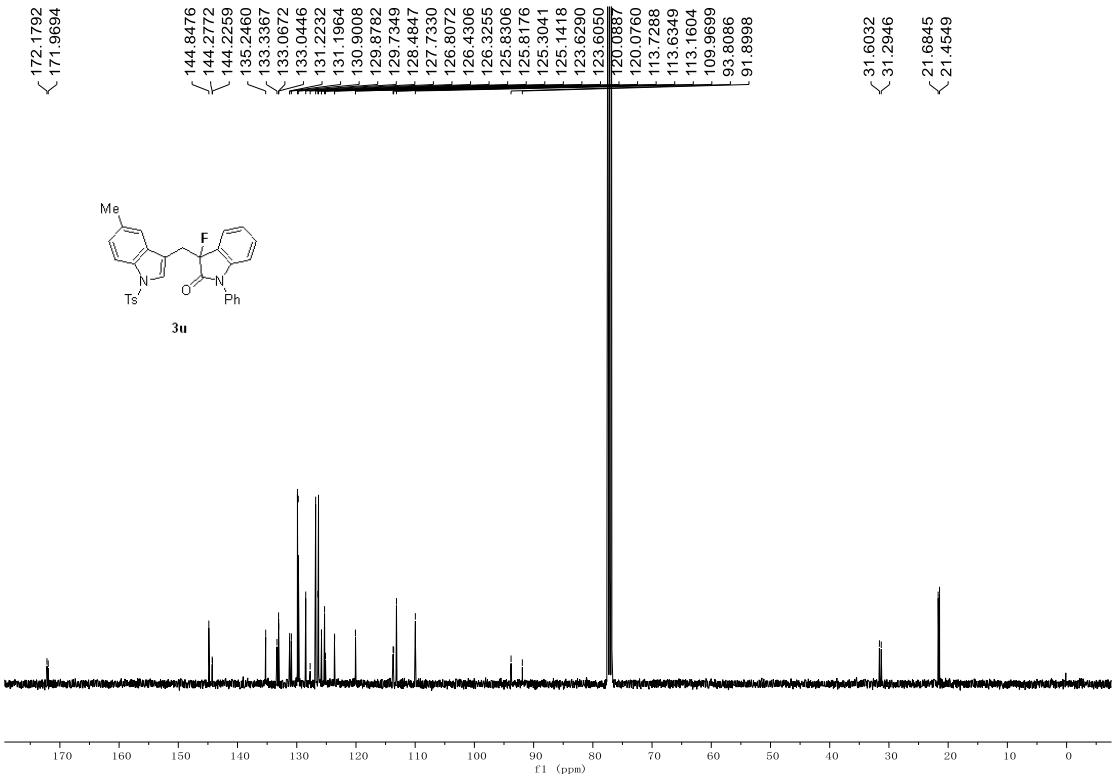
^{19}F NMR spectra of **3t** (376 MHz, $CDCl_3$)



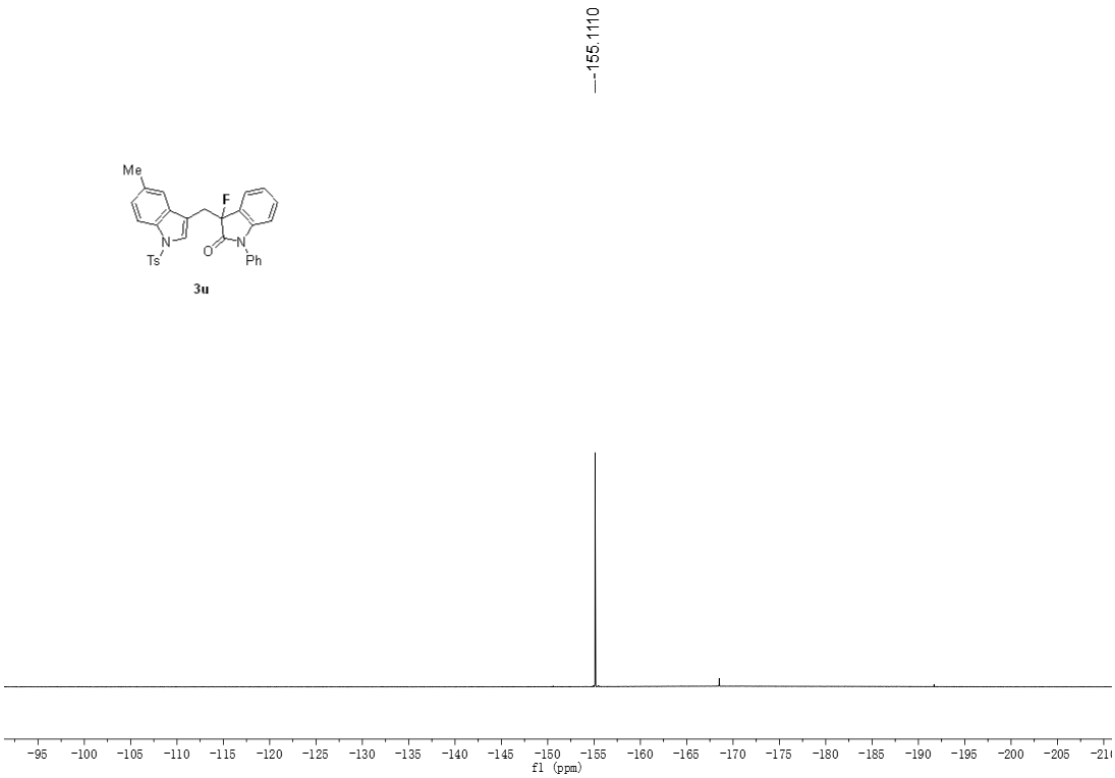
^{1}H NMR spectra of **3u** (400 MHz, $CDCl_3$)



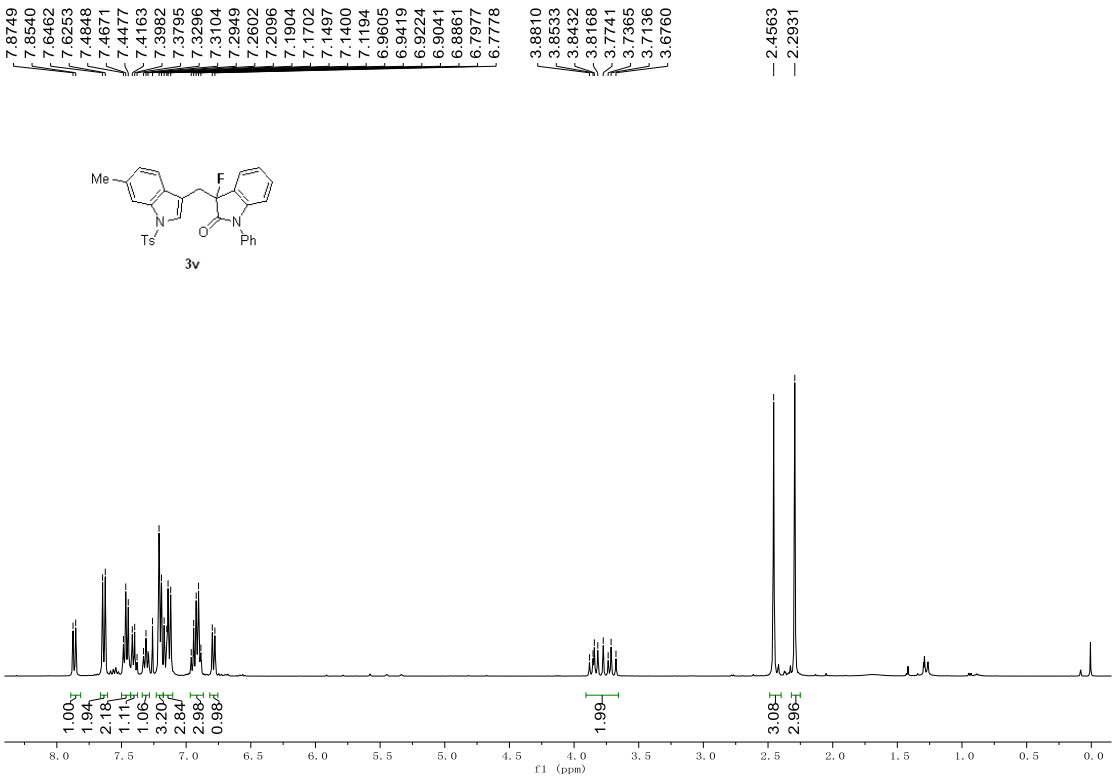
^{13}C NMR spectra of **3u** (101 MHz, $CDCl_3$)



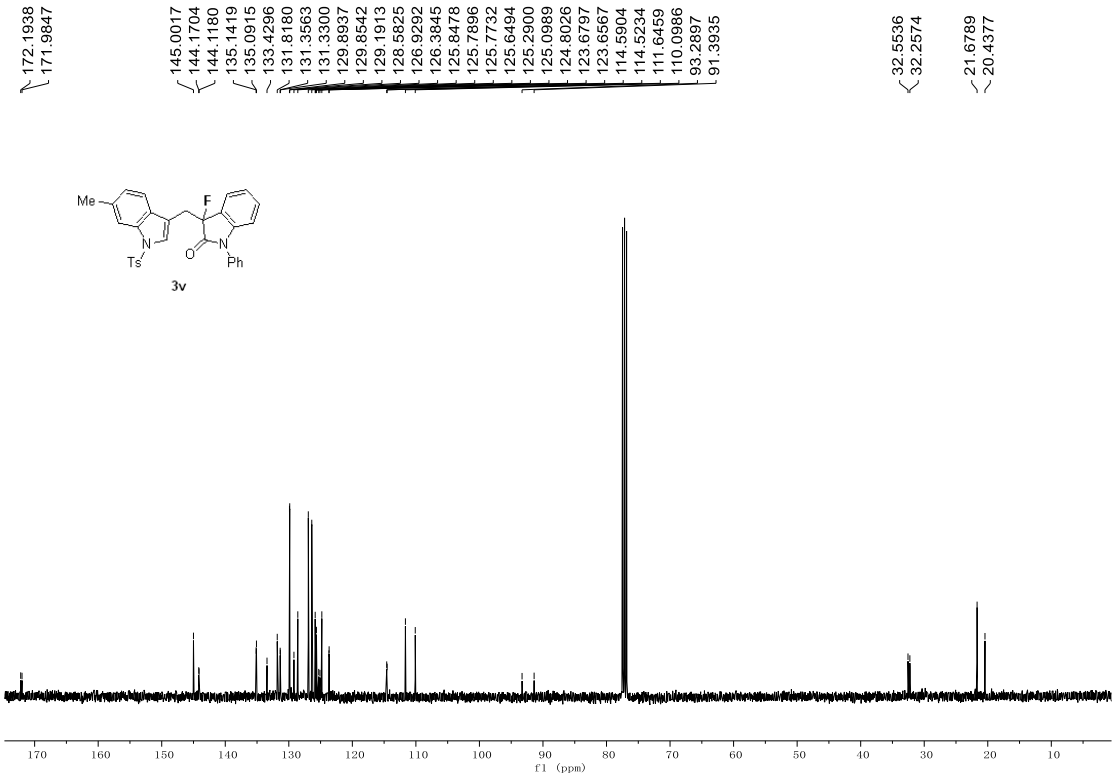
^{19}F NMR spectra of **3u** (376 MHz, $CDCl_3$)



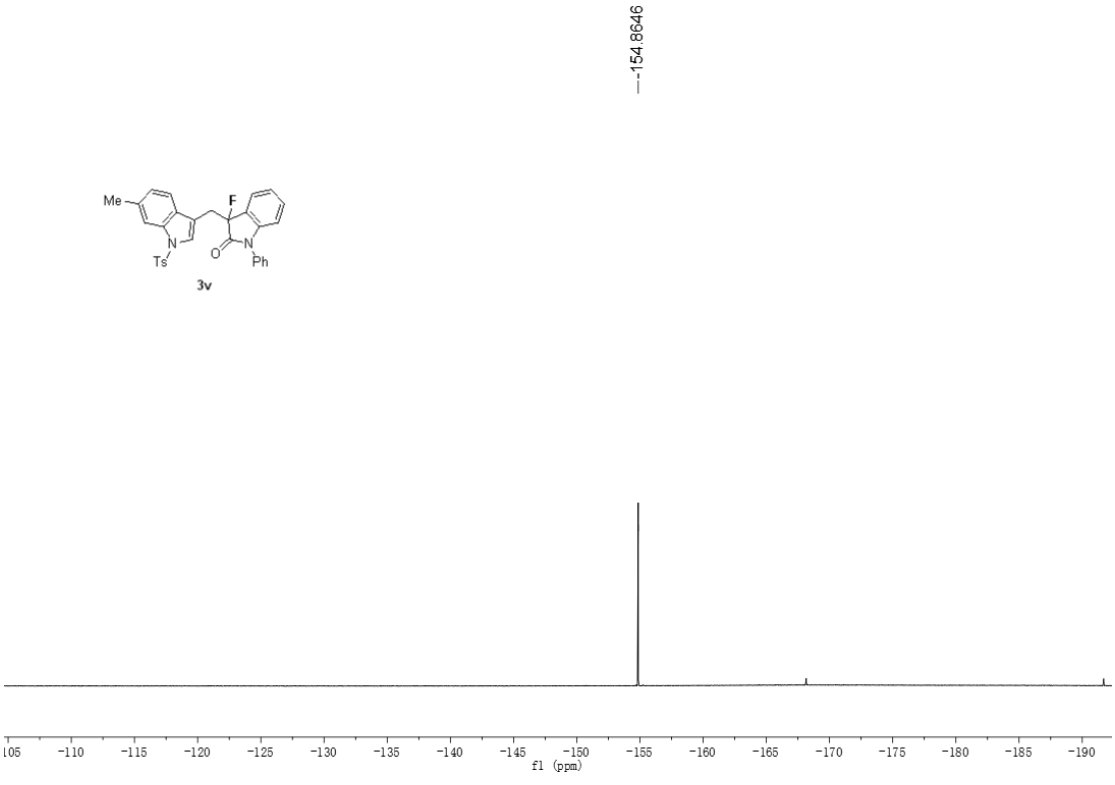
^{1}H NMR spectra of **3v** (400 MHz, $CDCl_3$)



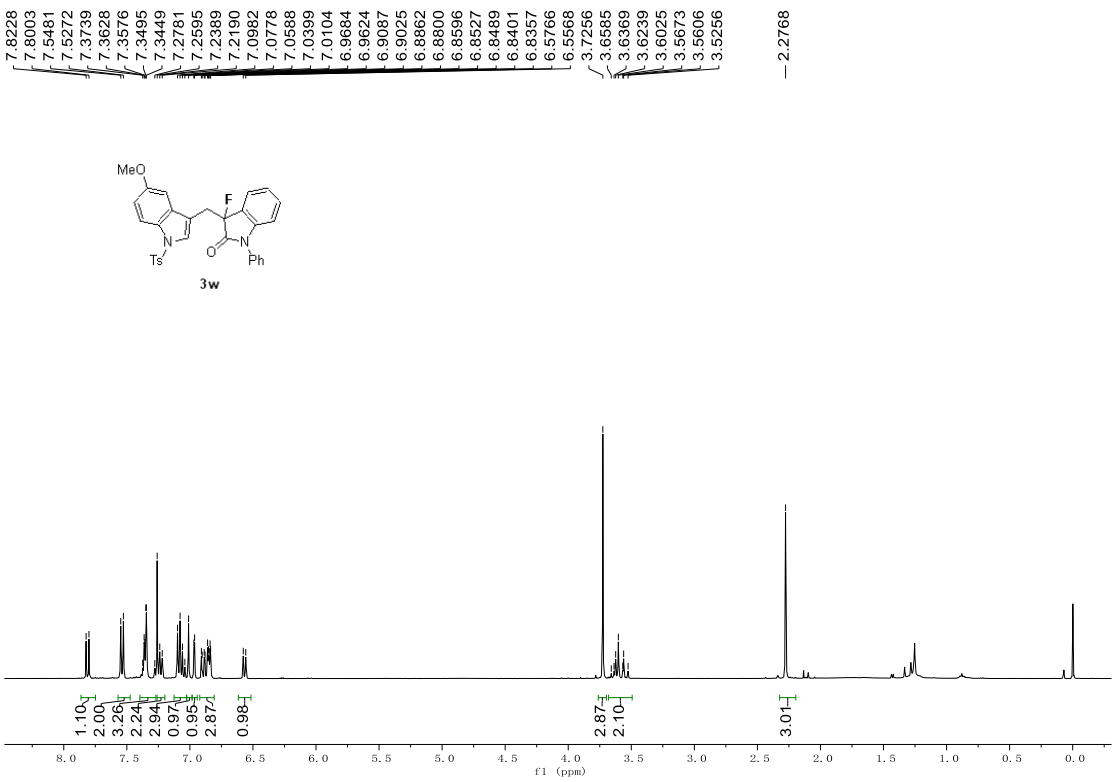
^{13}C NMR spectra of **3v** (101 MHz, $CDCl_3$)



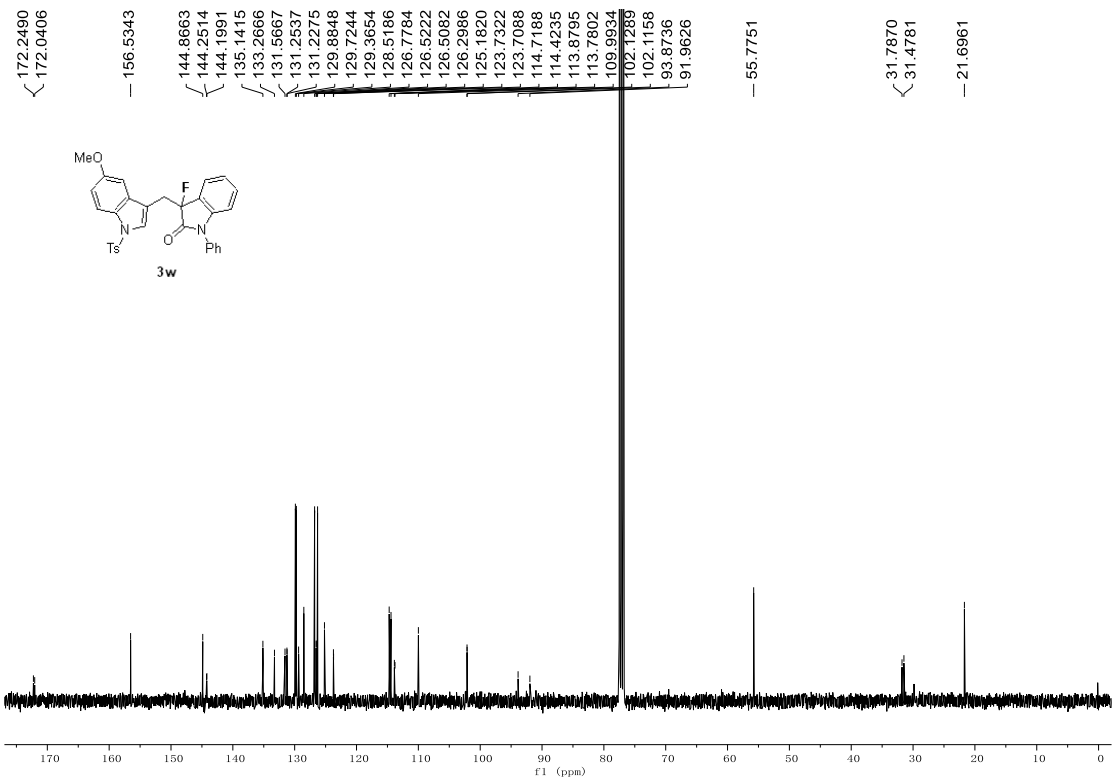
^{19}F NMR spectra of **3v** (376 MHz, $CDCl_3$)



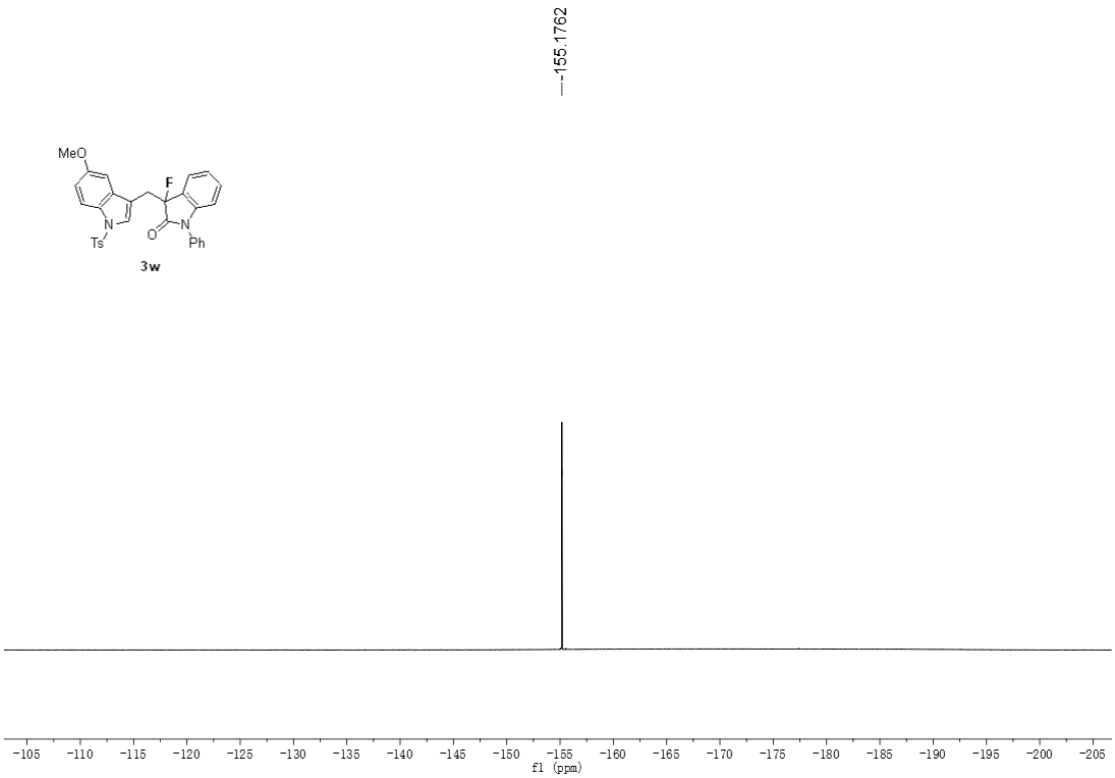
^{1}H NMR spectra of **3w** (400 MHz, $CDCl_3$)



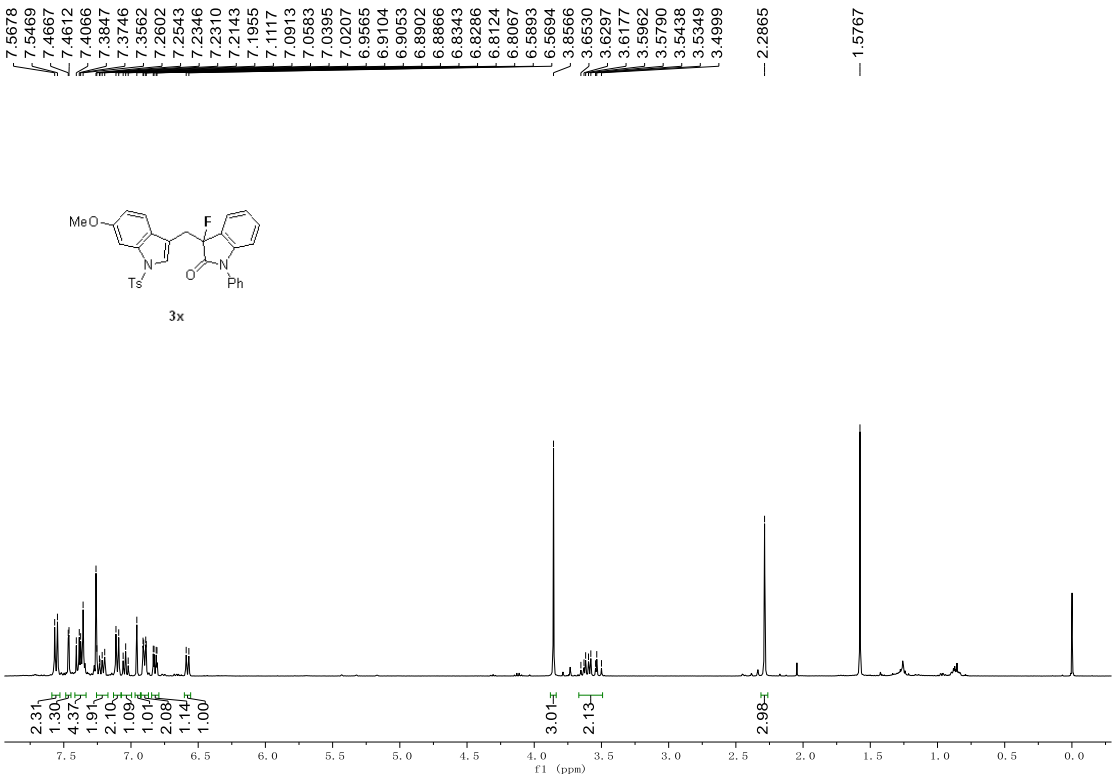
^{13}C NMR spectra of **3w** (101 MHz, $CDCl_3$)



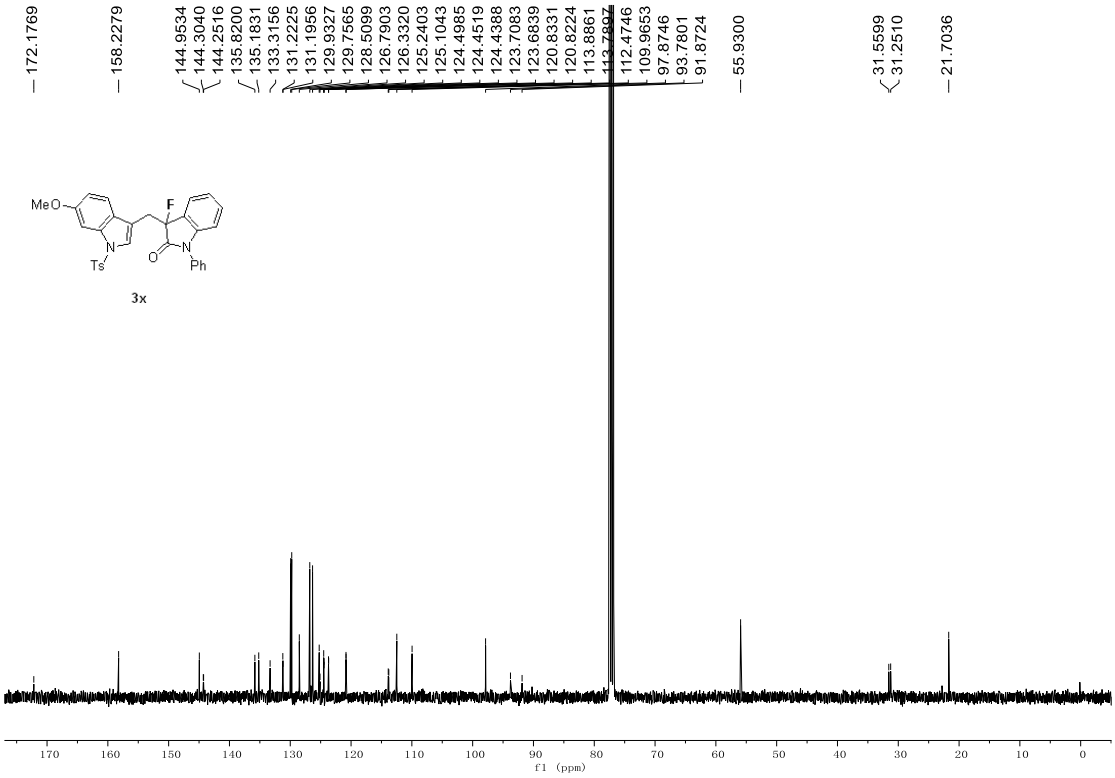
^{19}F NMR spectra of **3w** (376 MHz, $CDCl_3$)



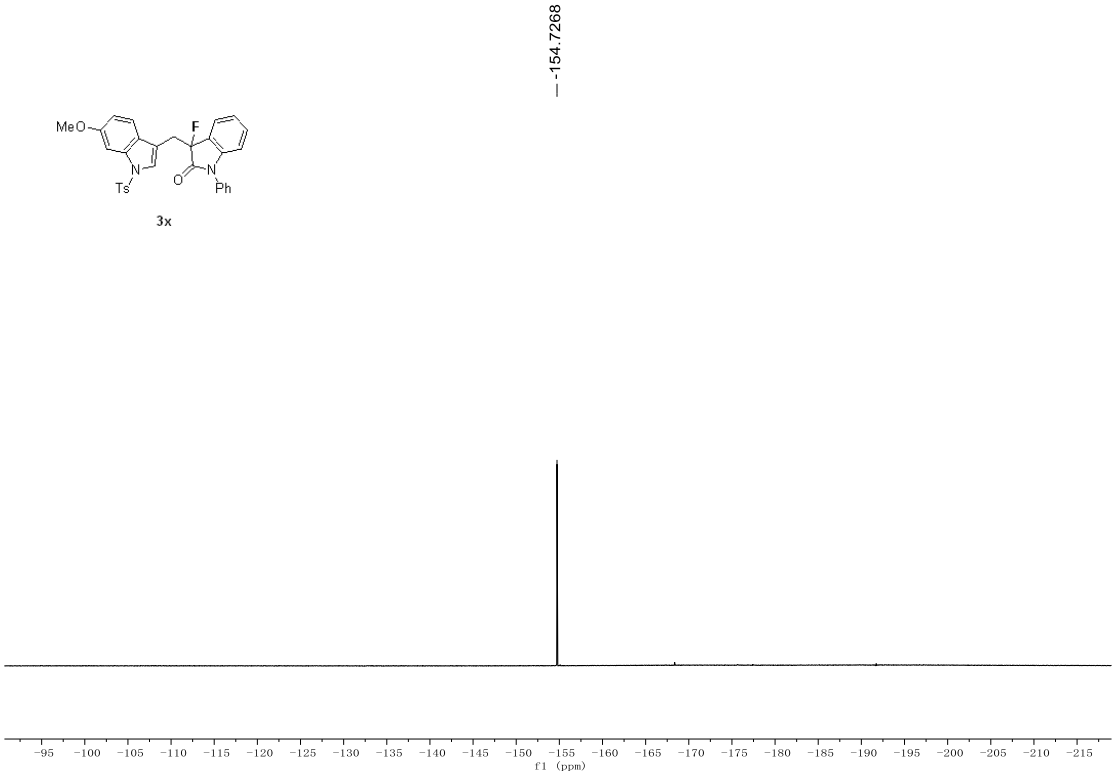
^{1}H NMR spectra of **3x** (400 MHz, $CDCl_3$)



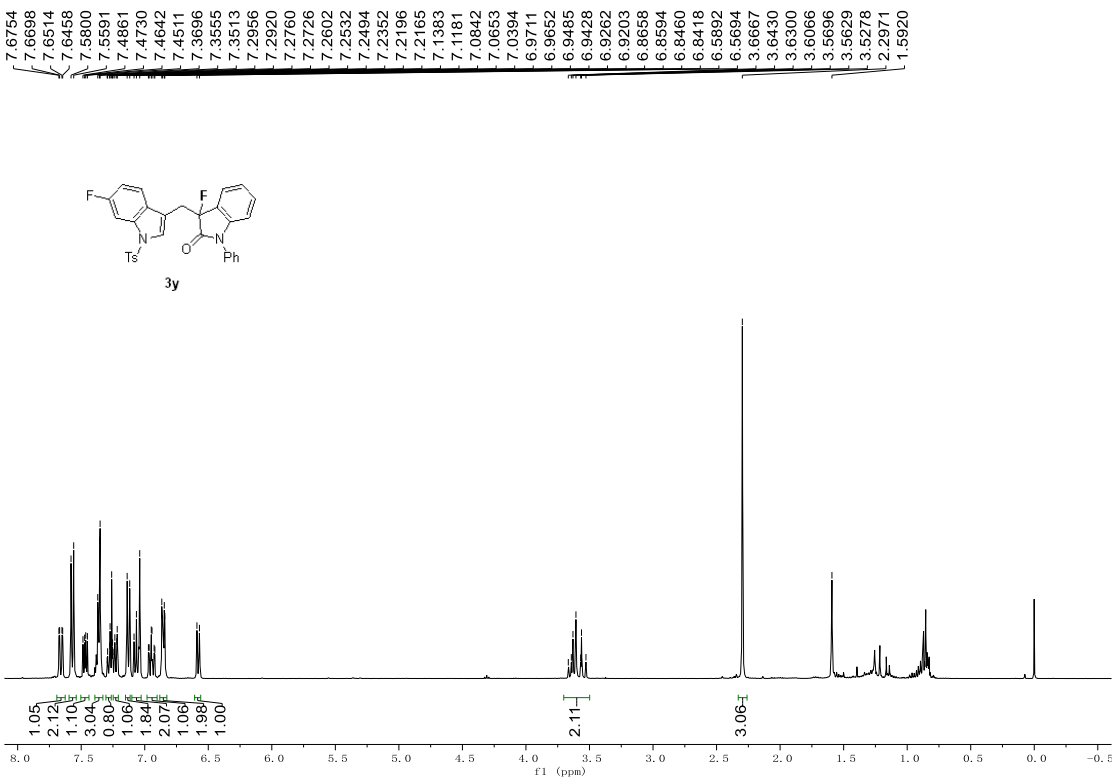
^{13}C NMR spectra of **3x** (101 MHz, $CDCl_3$)



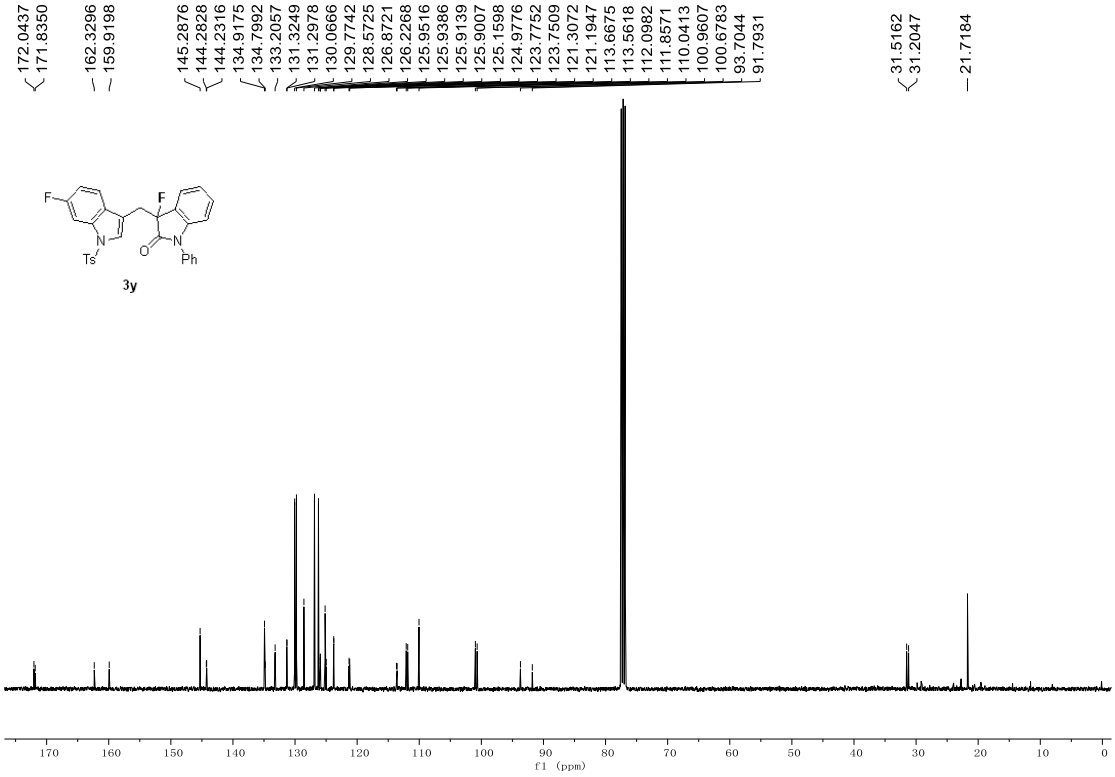
^{19}F NMR spectra of **3x** (376 MHz, $CDCl_3$)



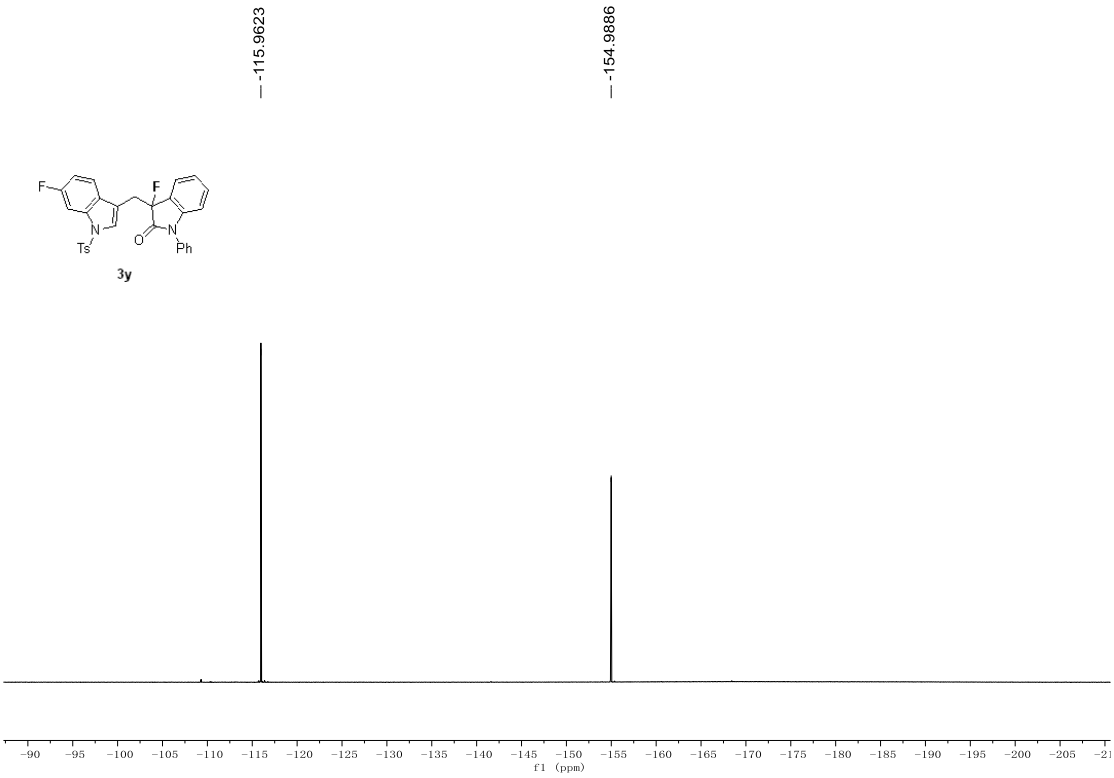
^{1}H NMR spectra of **3y** (400 MHz, $CDCl_3$)



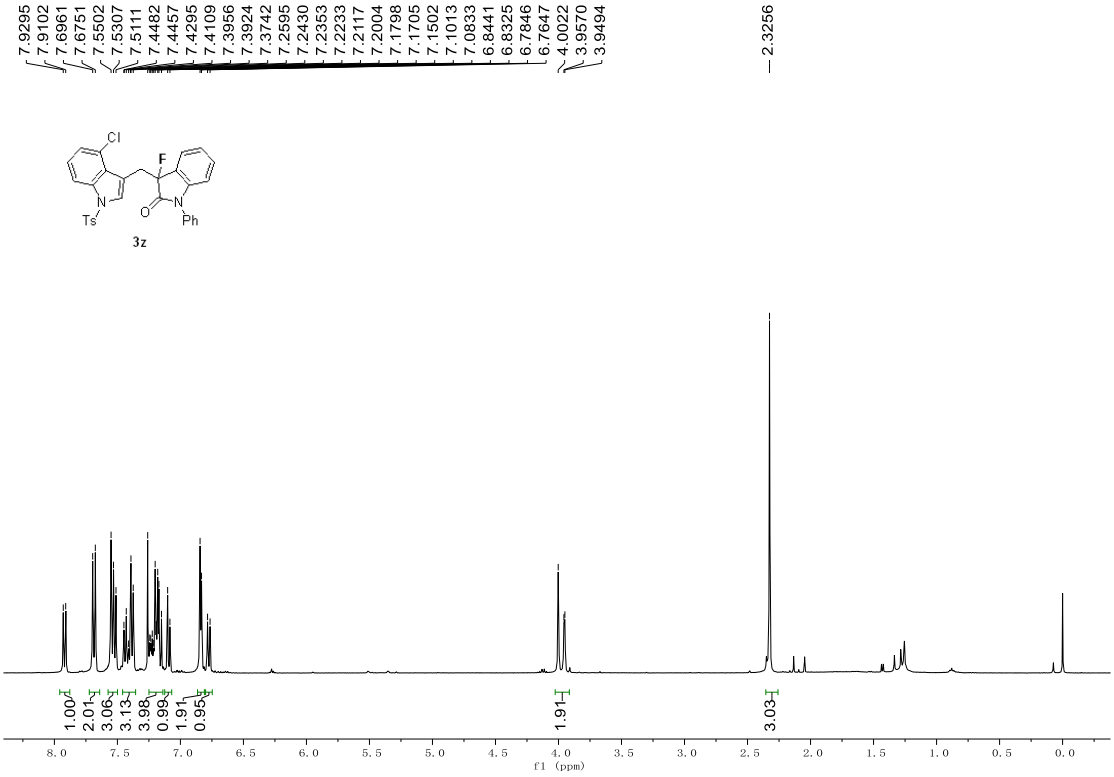
^{13}C NMR spectra of **3y** (101 MHz, $CDCl_3$)



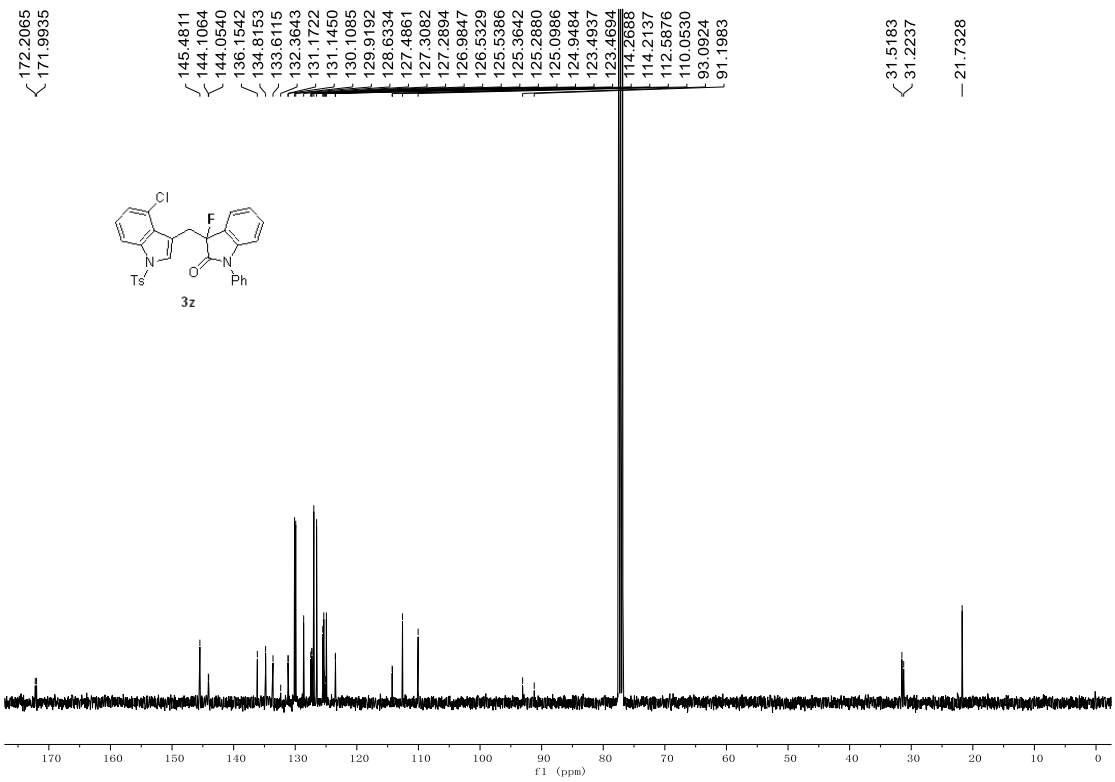
^{19}F NMR spectra of **3y** (376 MHz, $CDCl_3$)



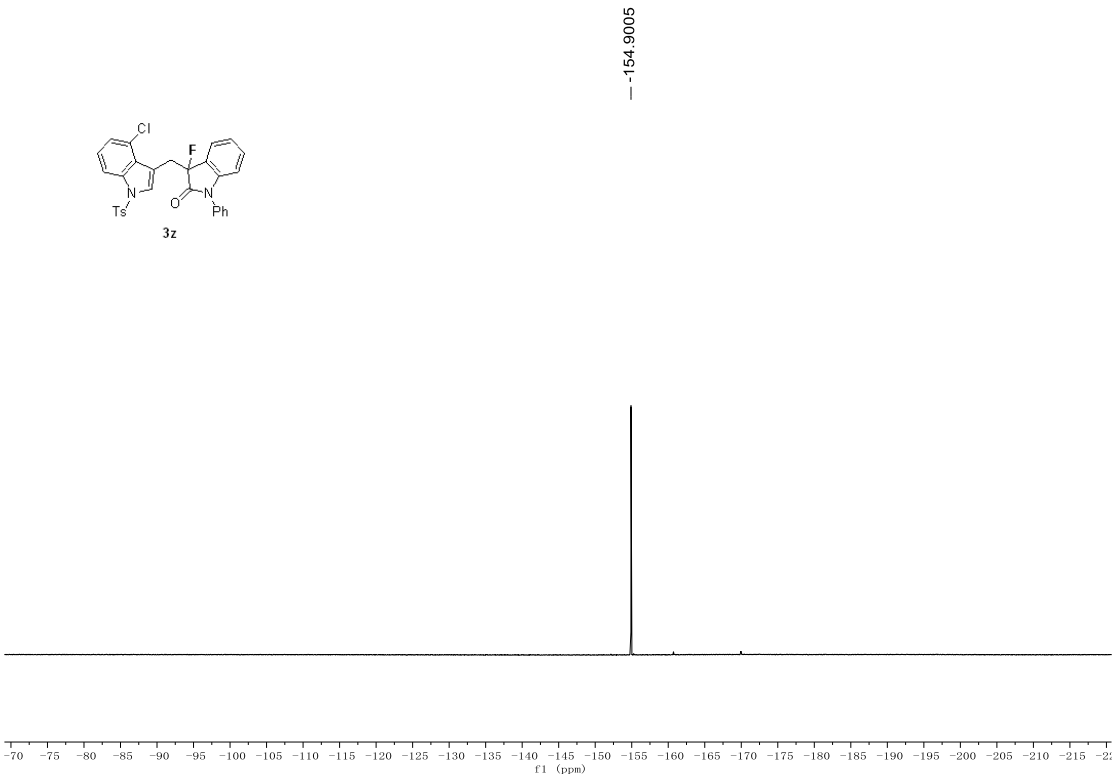
^{1}H NMR spectra of **3z** (400 MHz, $CDCl_3$)



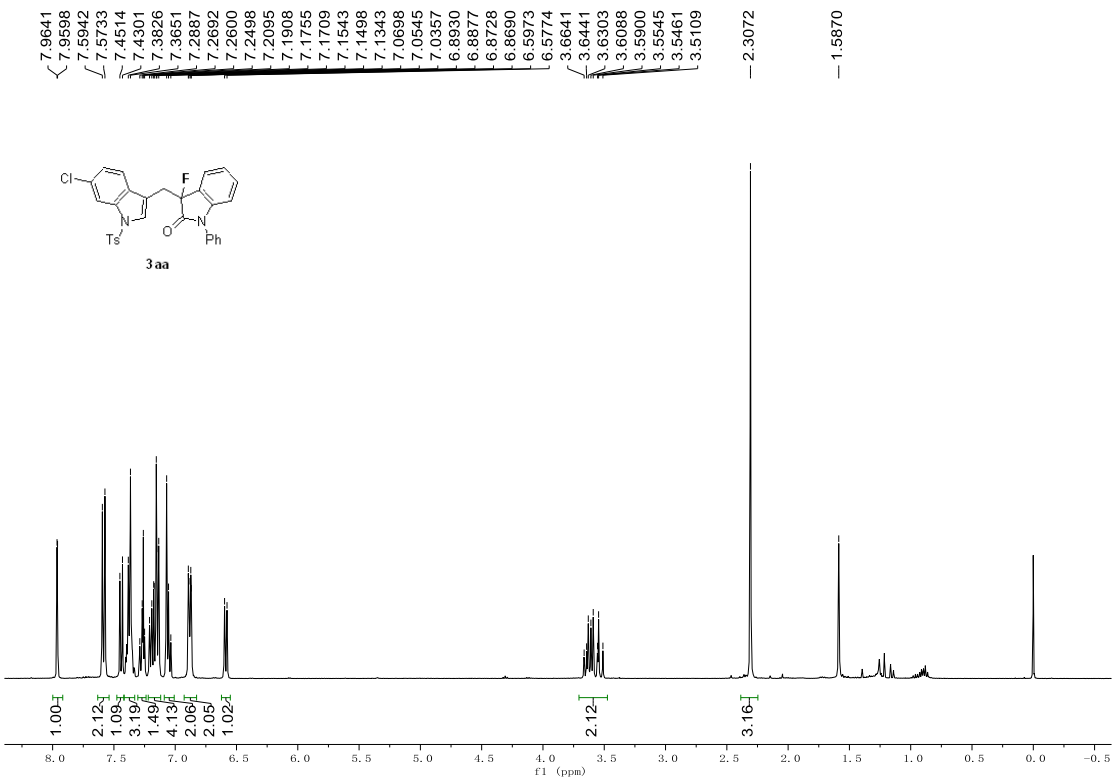
^{13}C NMR spectra of **3z** (101 MHz, $CDCl_3$)



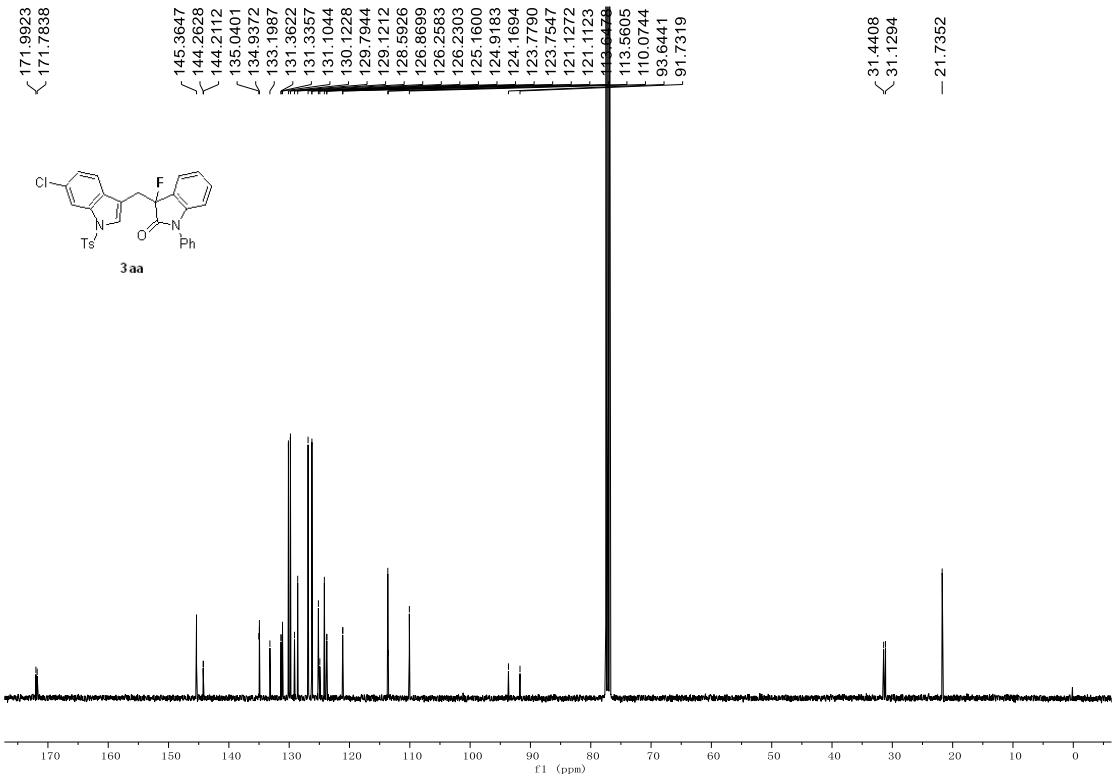
^{19}F NMR spectra of **3z** (376 MHz, $CDCl_3$)



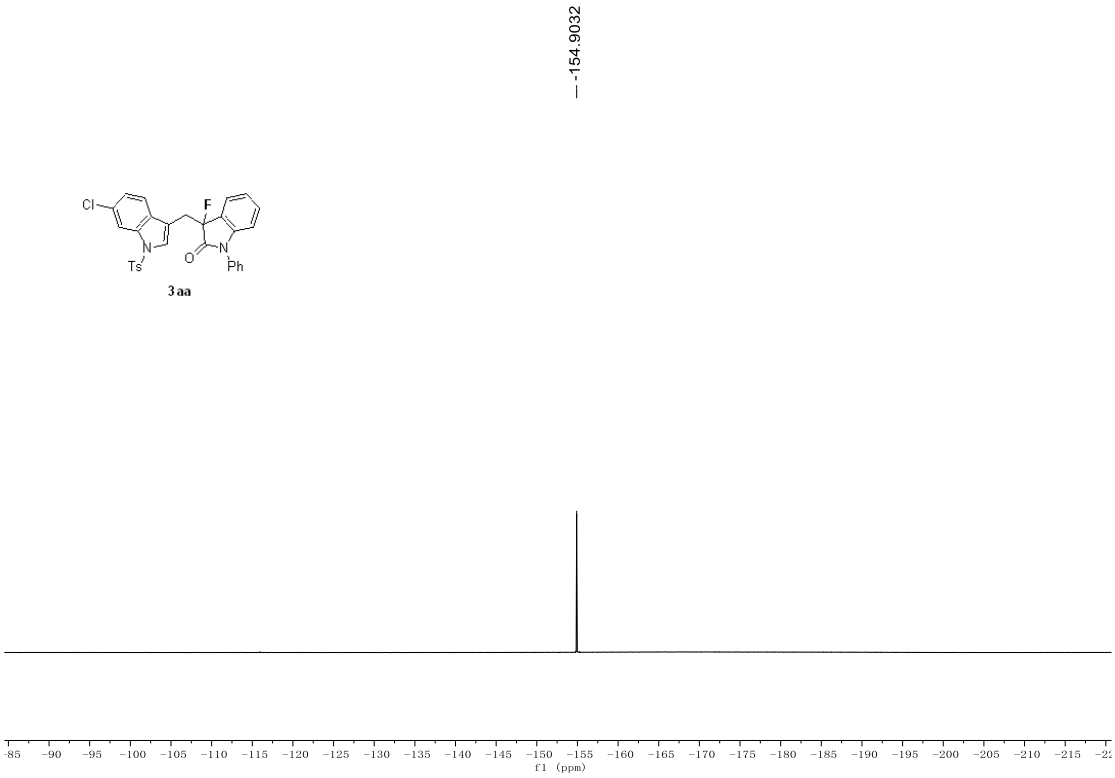
^{1}H NMR spectra of **3aa** (400 MHz, $CDCl_3$)



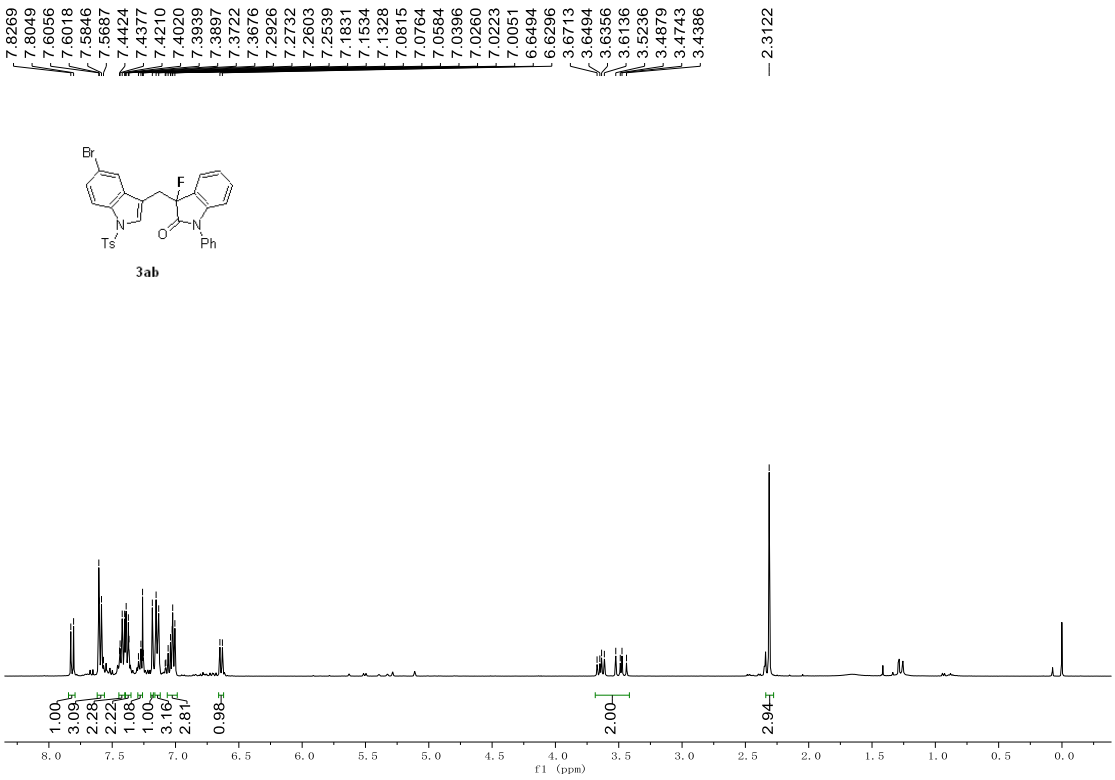
^{13}C NMR spectra of **3aa** (101 MHz, $CDCl_3$)



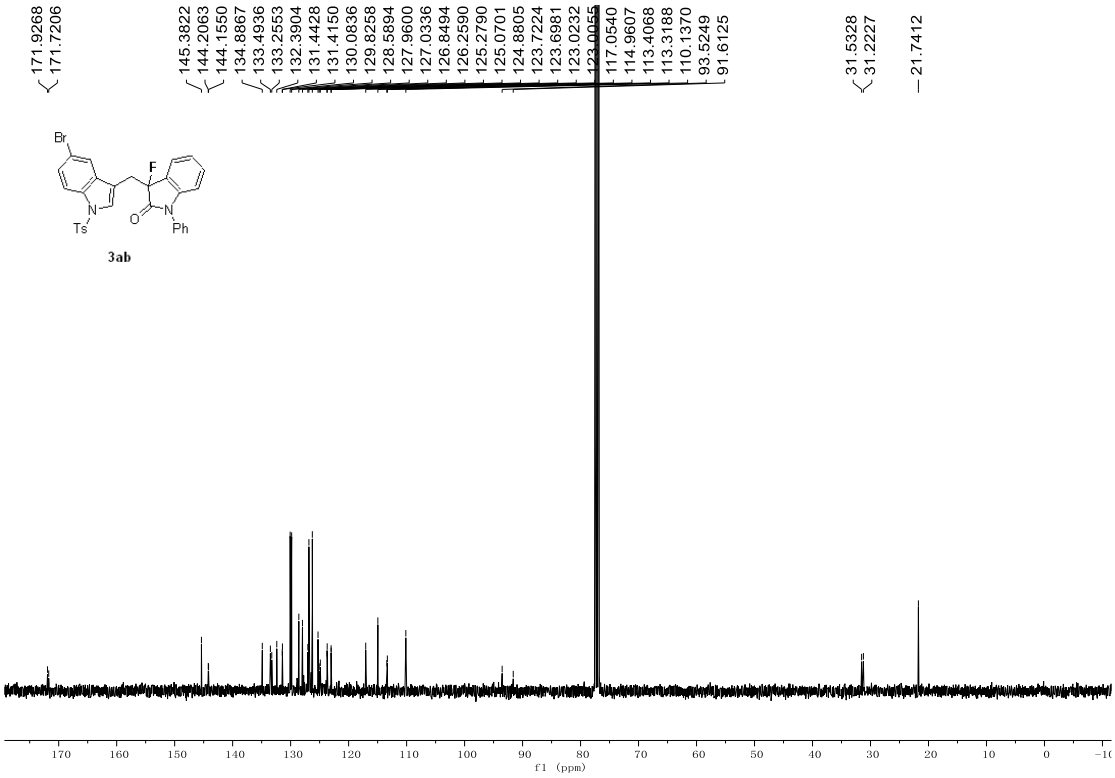
^{19}F NMR spectra of **3aa** (376 MHz, $CDCl_3$)



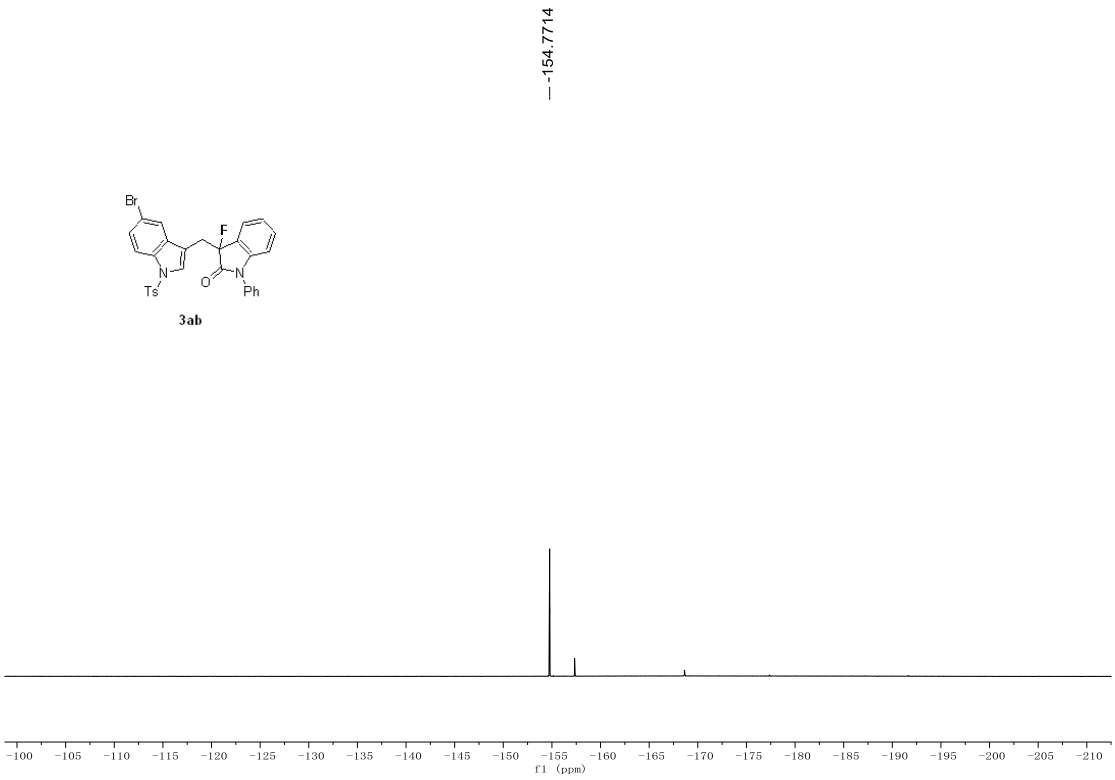
^{1}H NMR spectra of **3ab** (400 MHz, $CDCl_3$)



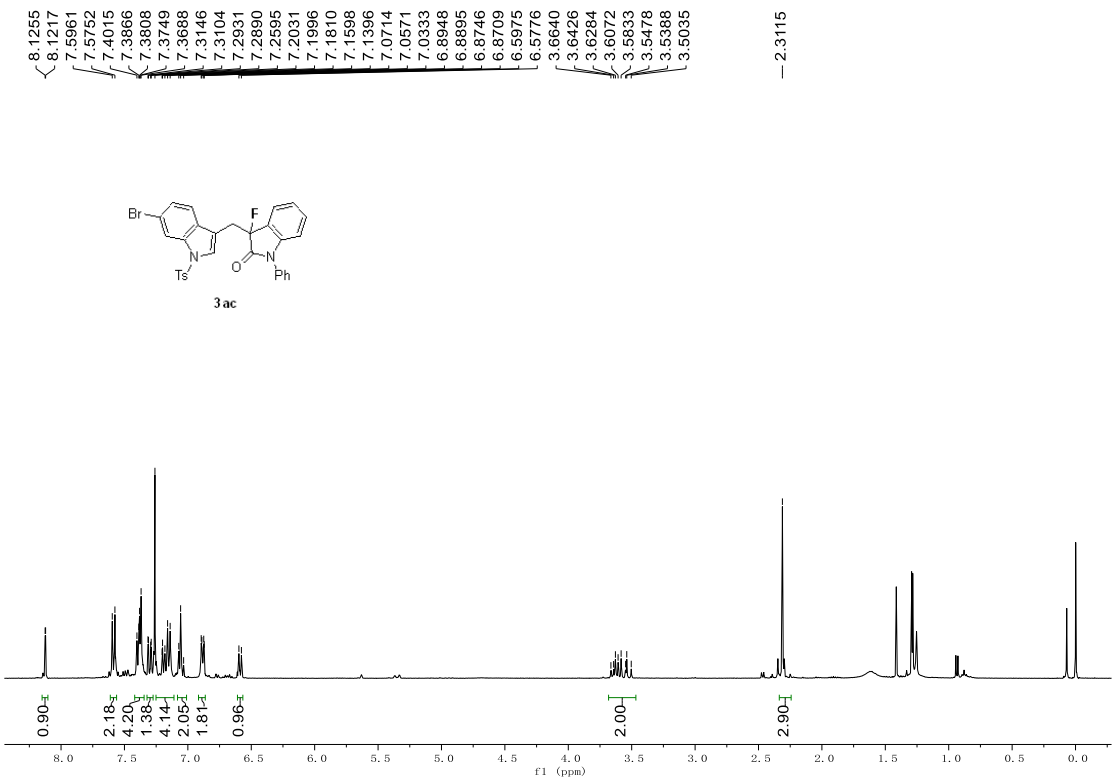
^{13}C NMR spectra of **3ab** (101 MHz, $CDCl_3$)



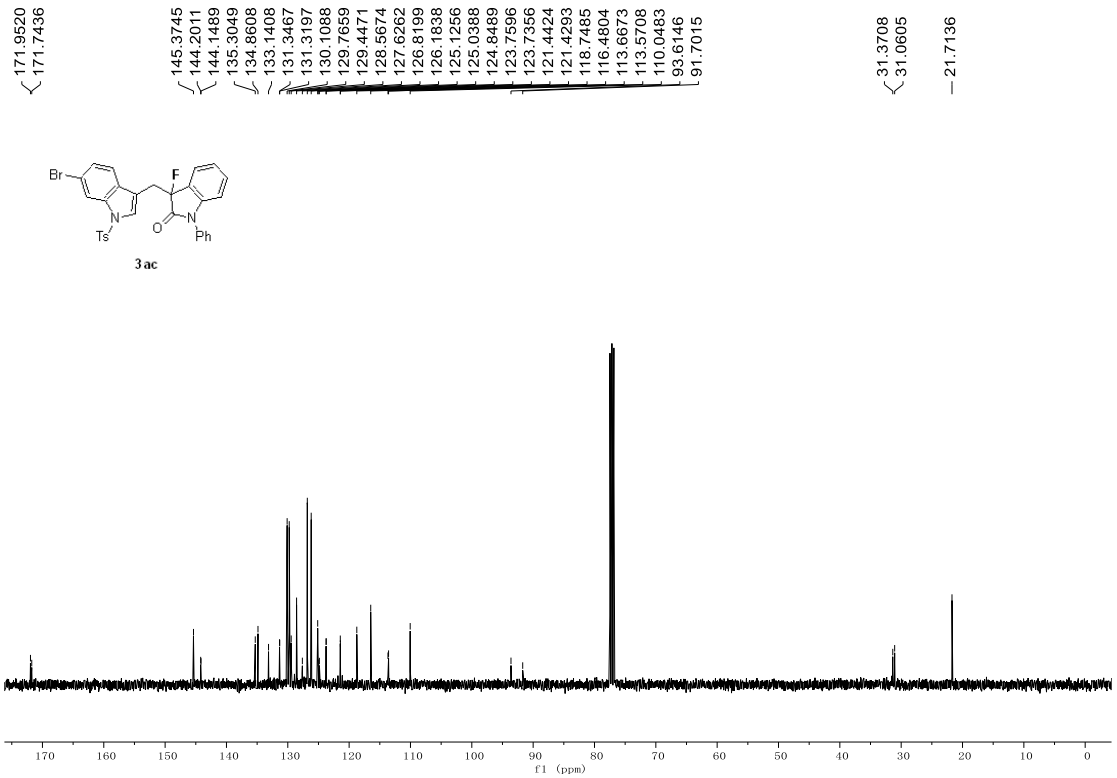
^{19}F NMR spectra of **3ab** (376 MHz, $CDCl_3$)



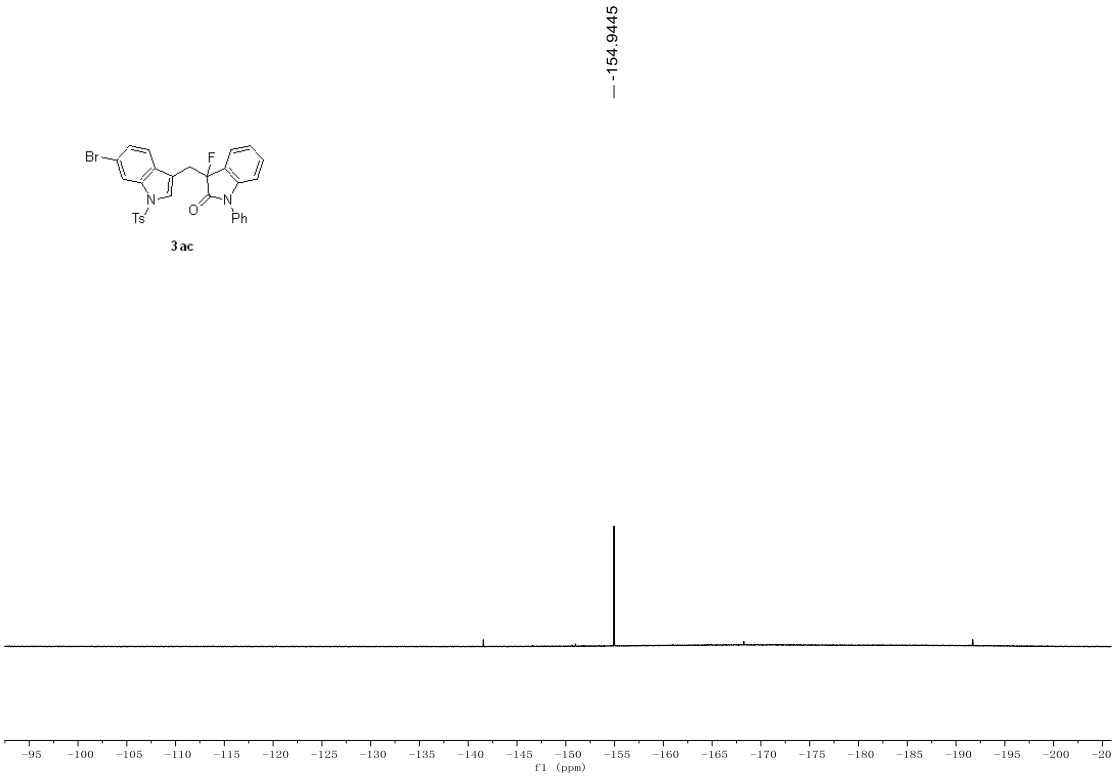
^{1}H NMR spectra of **3ac** (400 MHz, $CDCl_3$)



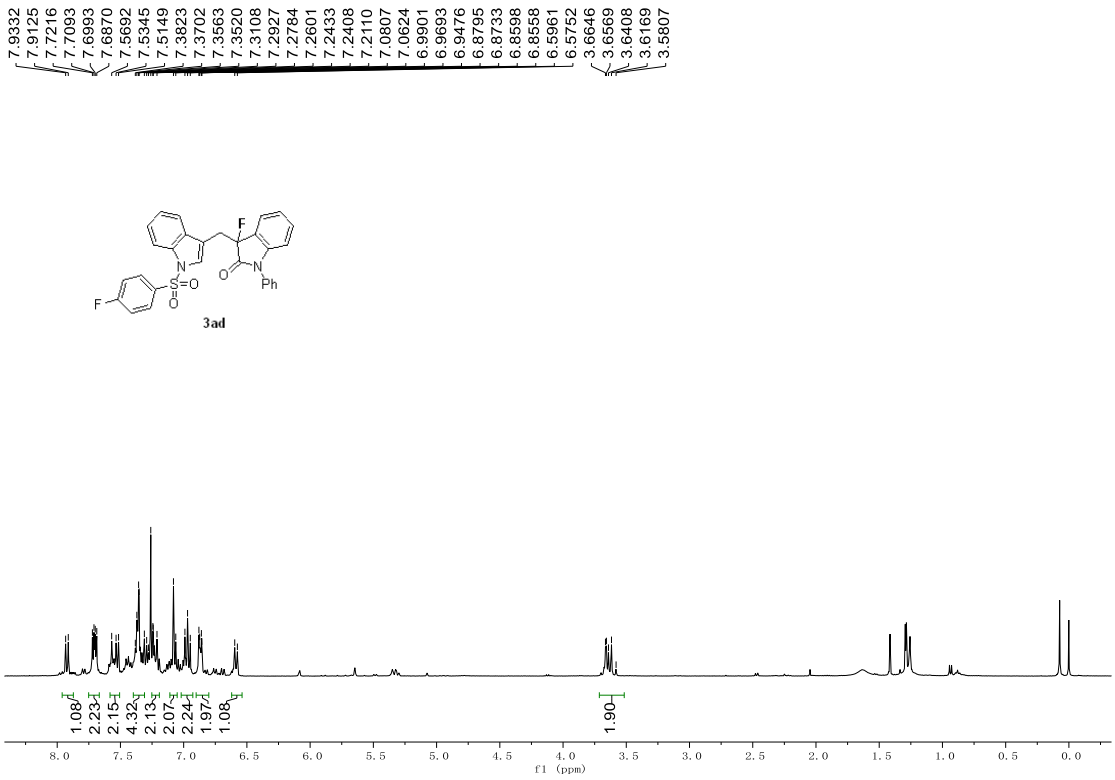
^{13}C NMR spectra of **3ac** (101 MHz, $CDCl_3$)



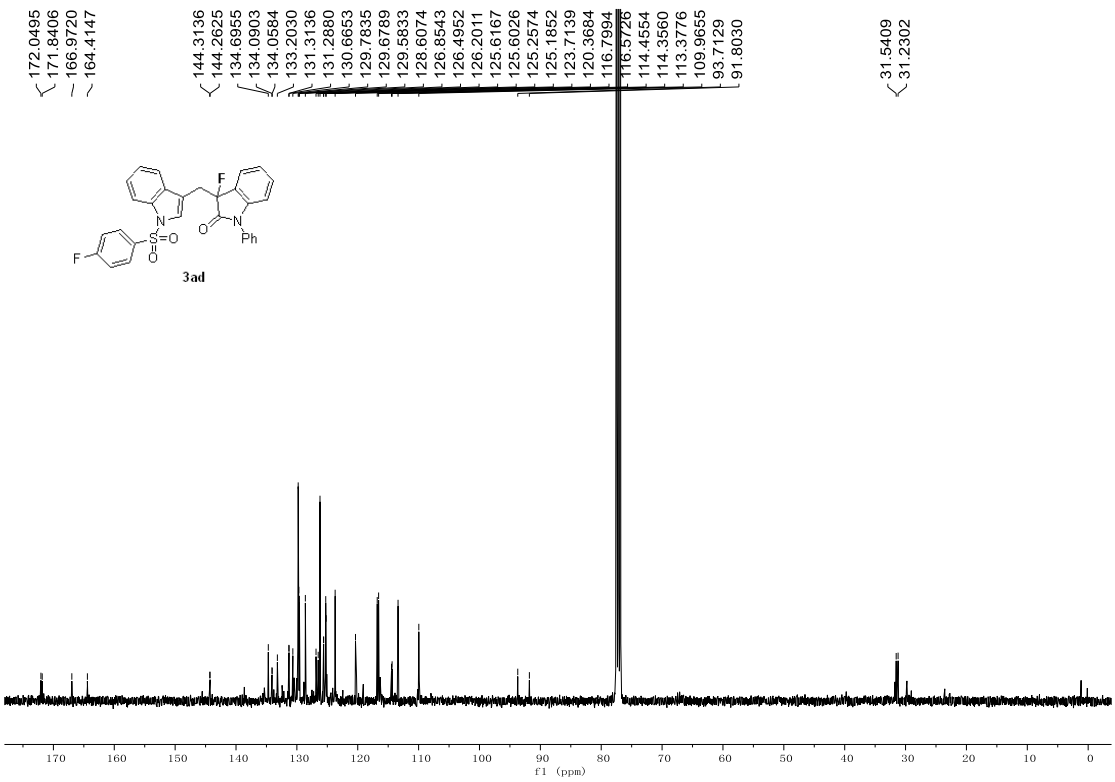
^{19}F NMR spectra of **3ac** (376 MHz, $CDCl_3$)



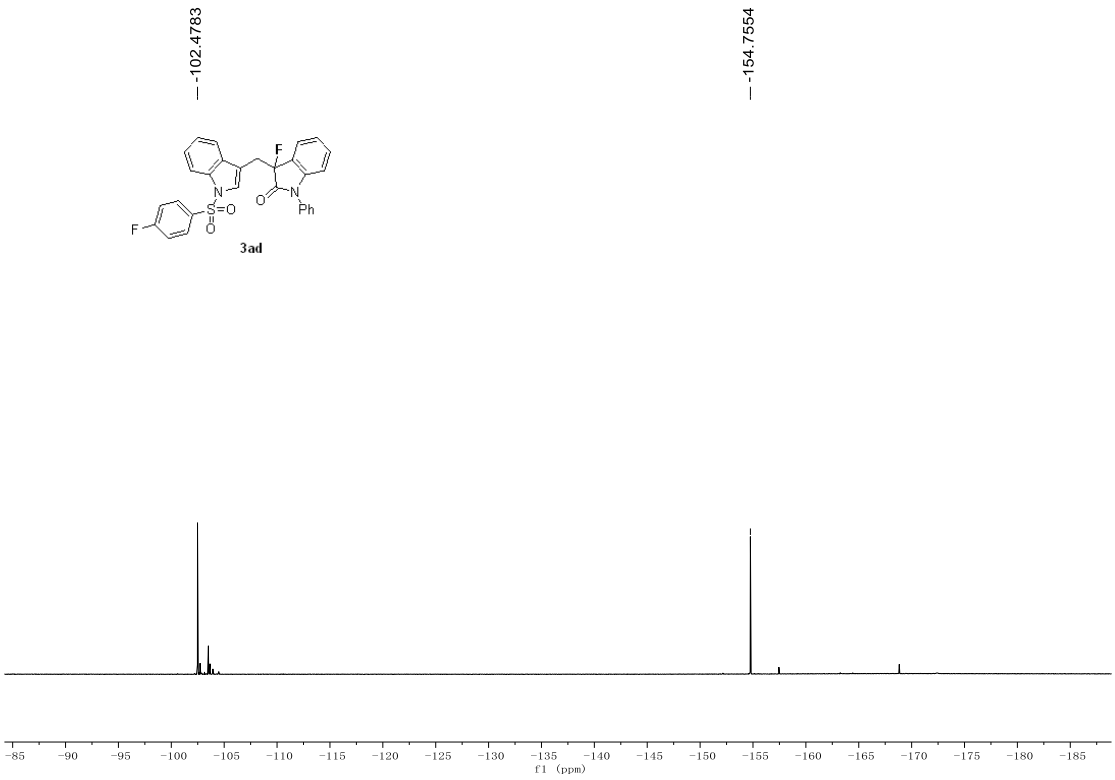
^{1}H NMR spectra of **3ad** (400 MHz, $CDCl_3$)



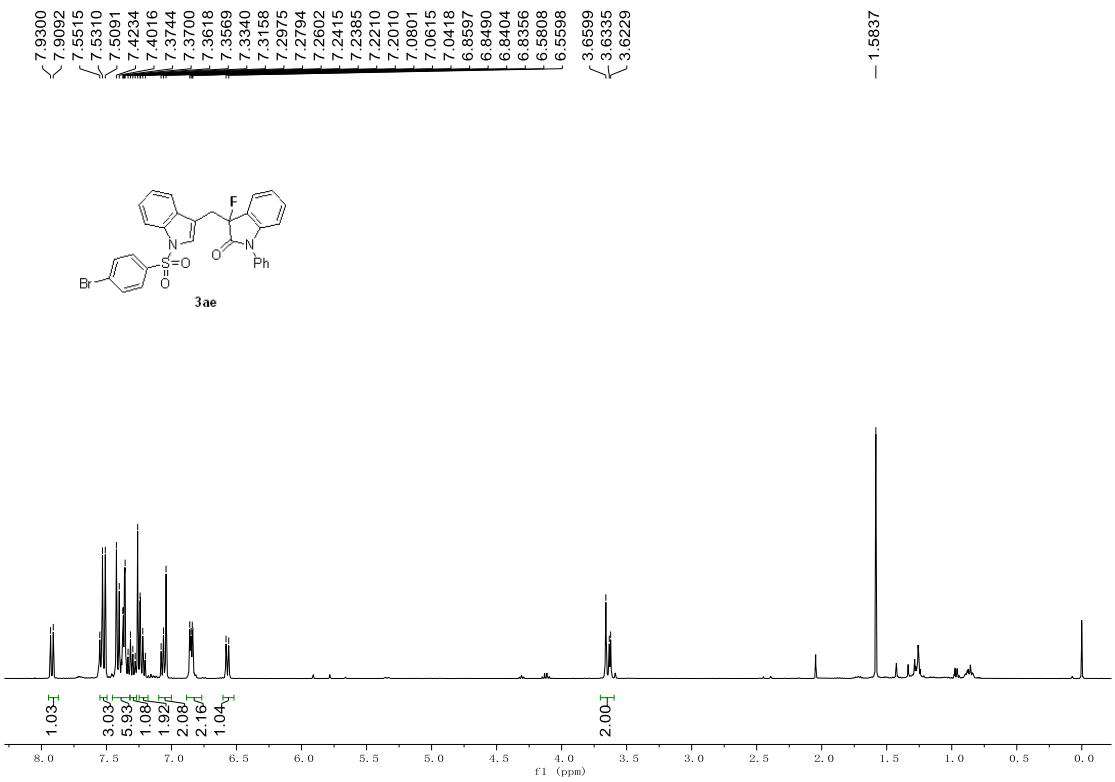
^{13}C NMR spectra of **3ad** (101 MHz, $CDCl_3$)



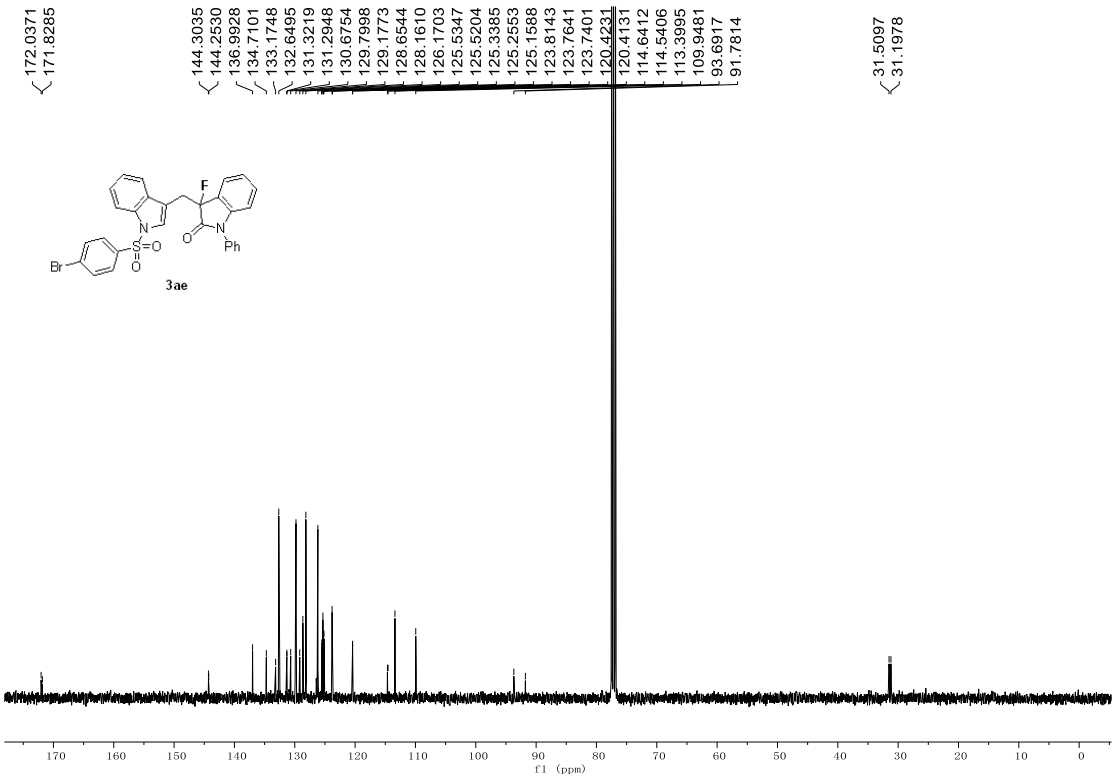
^{19}F NMR spectra of **3ad** (376 MHz, $CDCl_3$)



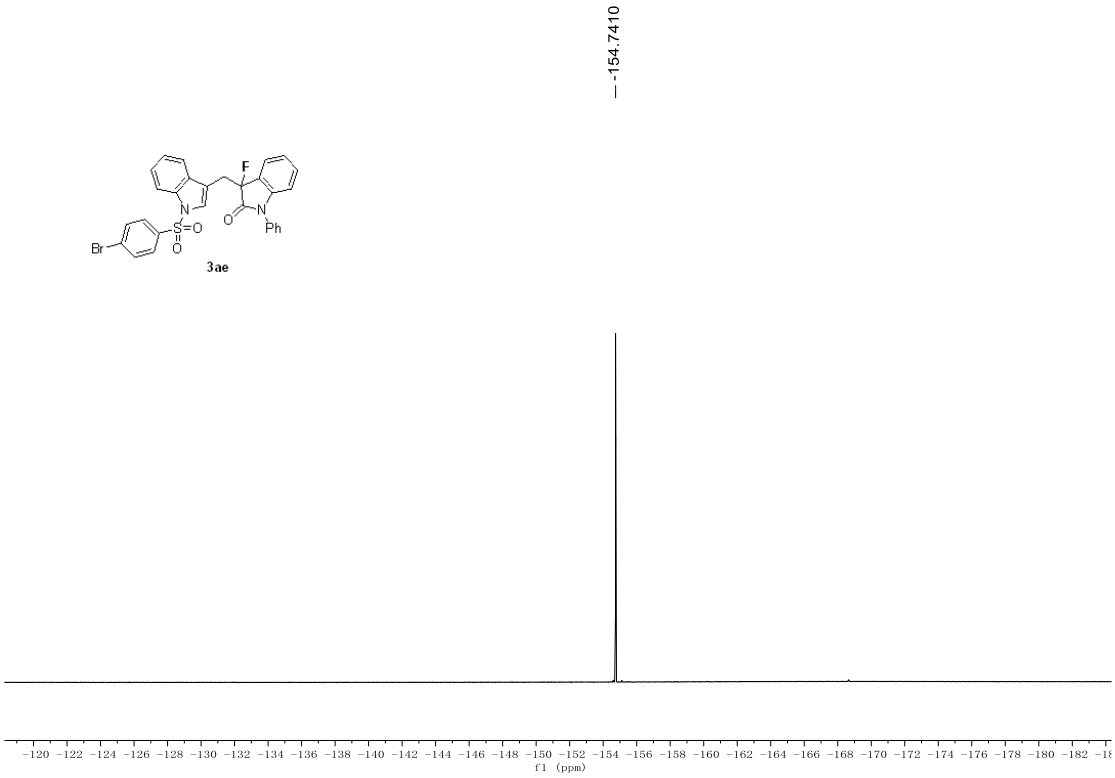
^{1}H NMR spectra of **3ae** (400 MHz, $CDCl_3$)



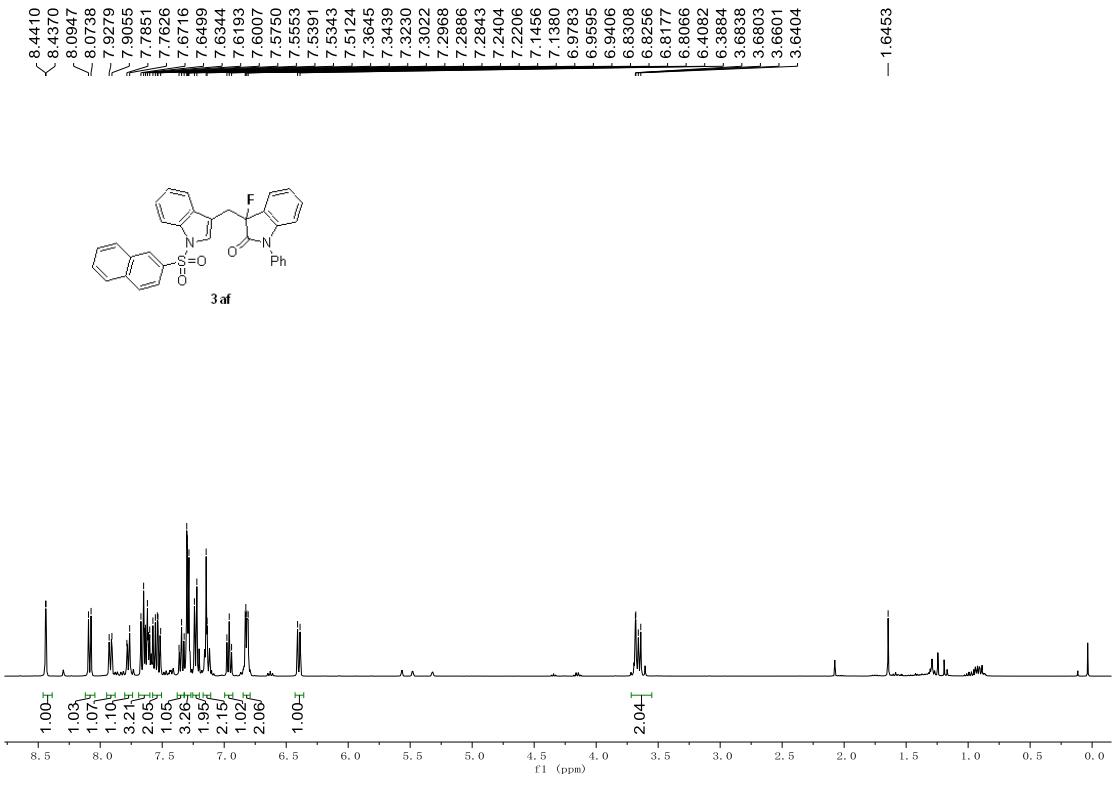
^{13}C NMR spectra of **3ae** (101 MHz, $CDCl_3$)



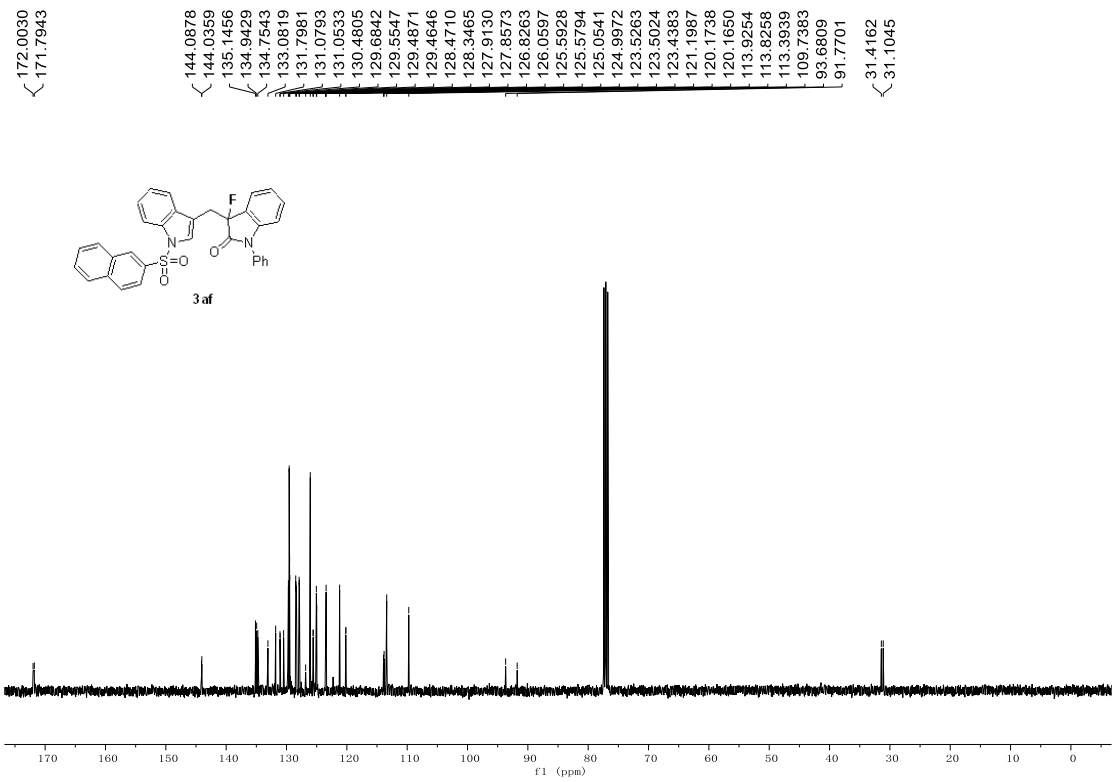
^{19}F NMR spectra of **3ae** (376 MHz, $CDCl_3$)



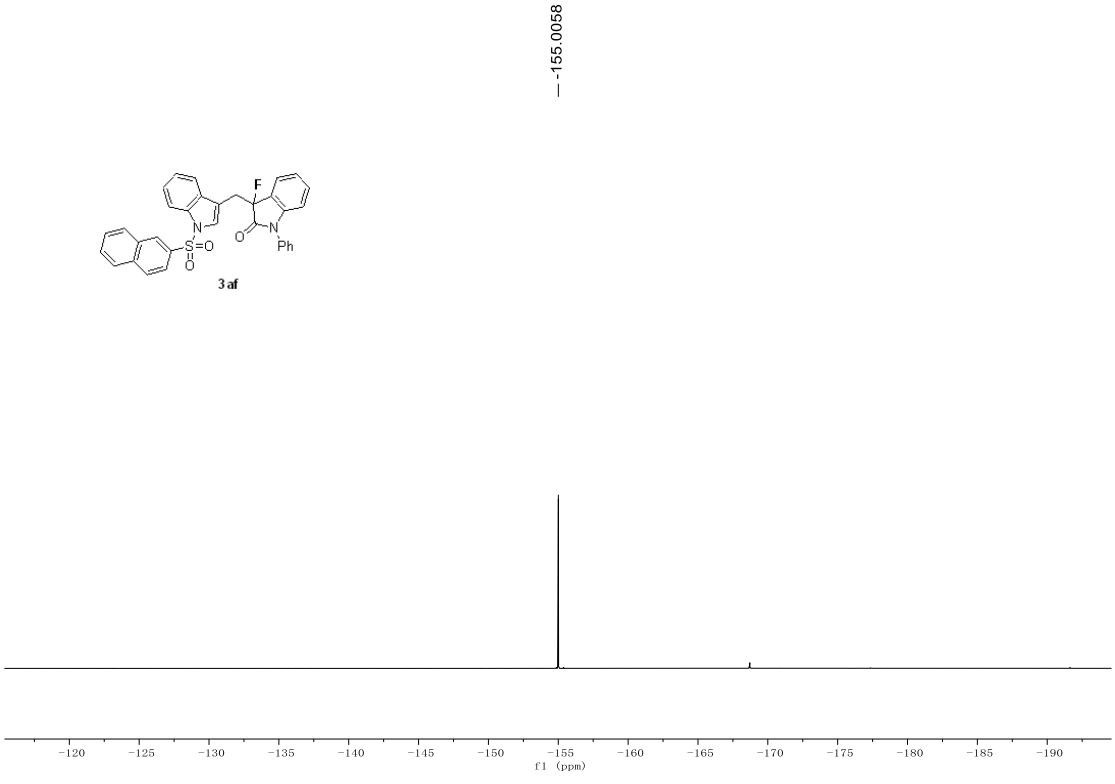
^{1}H NMR spectra of **3af** (400 MHz, $CDCl_3$)



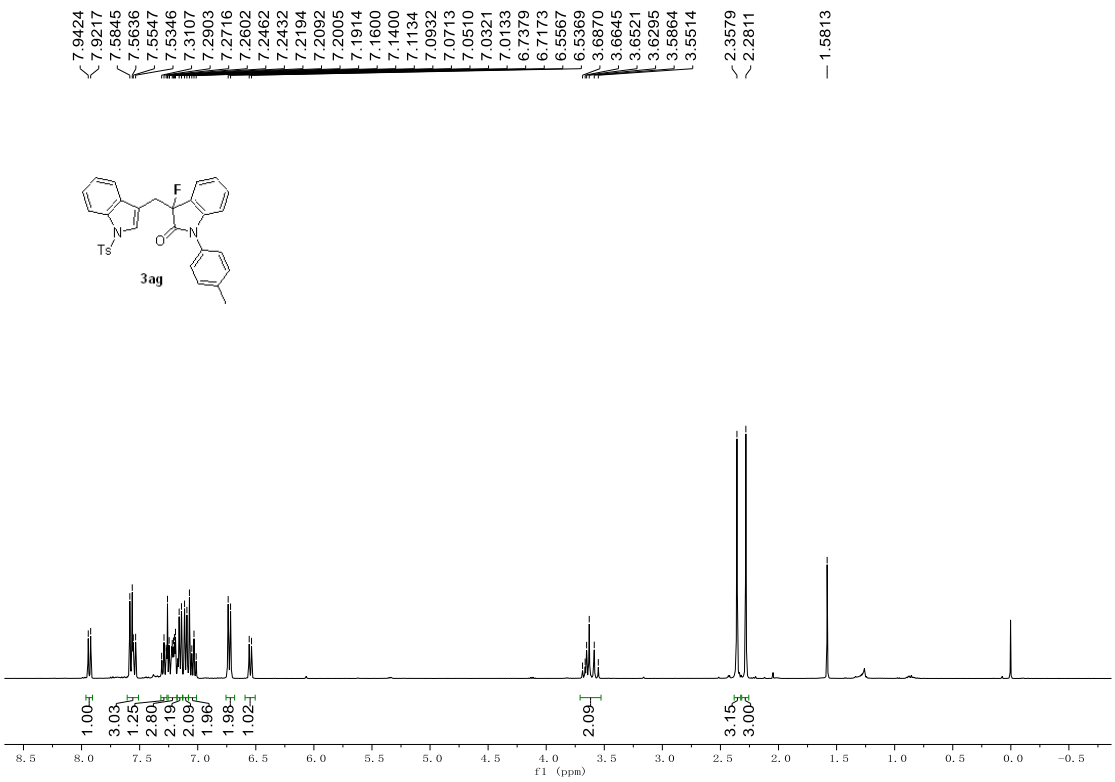
^{13}C NMR spectra of **3af** (101 MHz, $CDCl_3$)



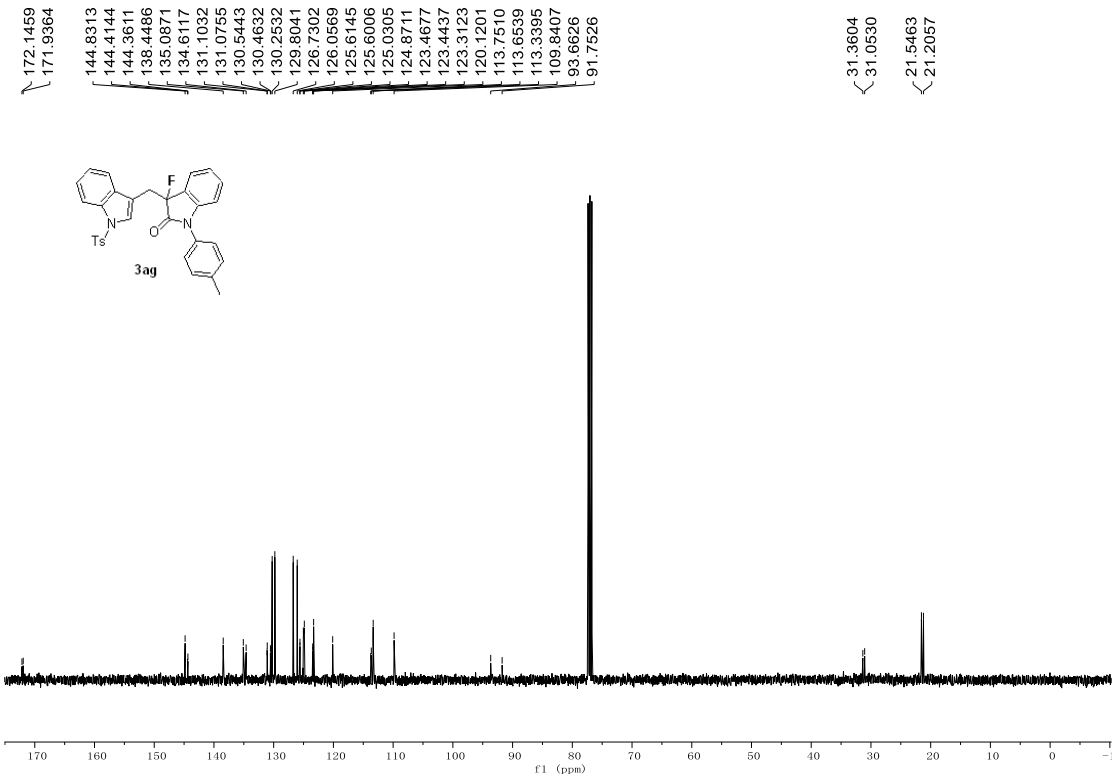
^{19}F NMR spectra of **3af** (376 MHz, $CDCl_3$)



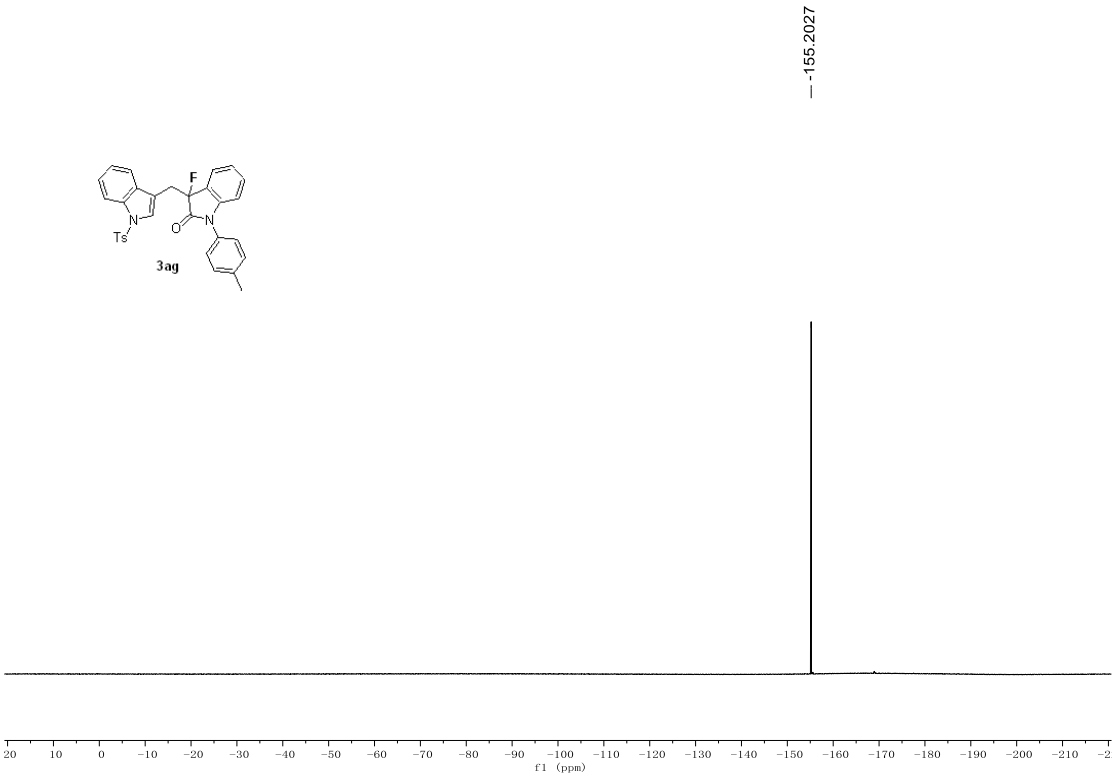
^{1}H NMR spectra of **3ag** (400 MHz, $CDCl_3$)



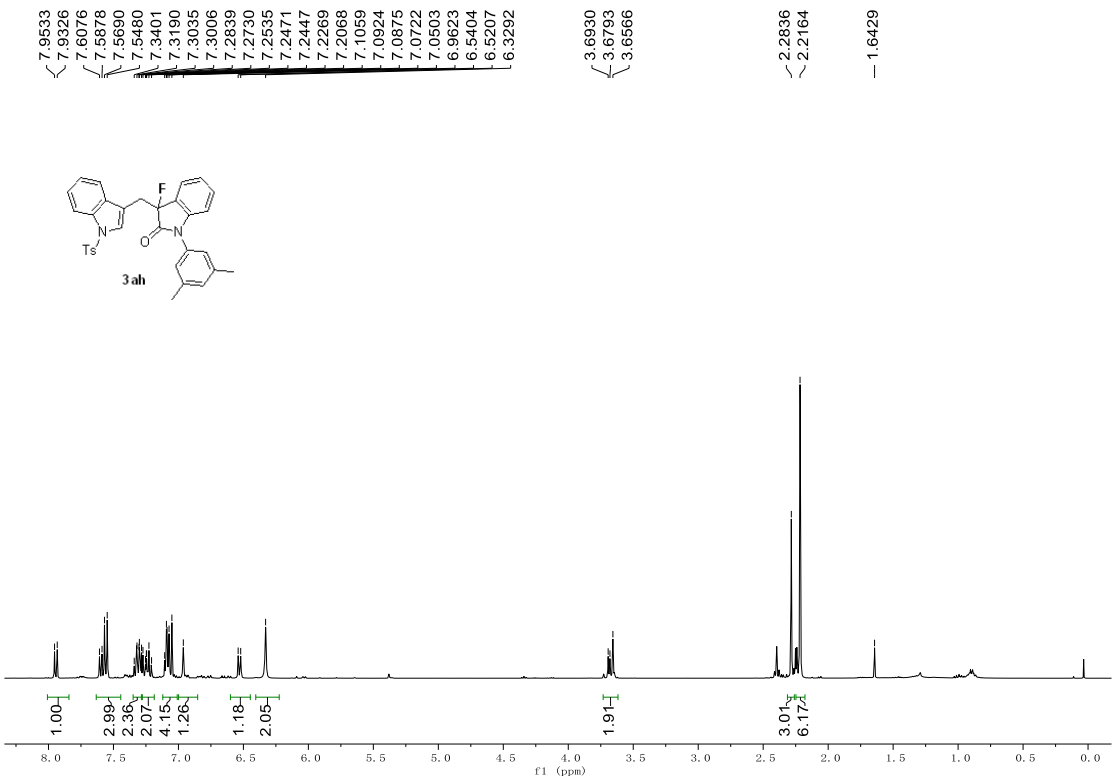
^{13}C NMR spectra of **3ag** (101 MHz, $CDCl_3$)



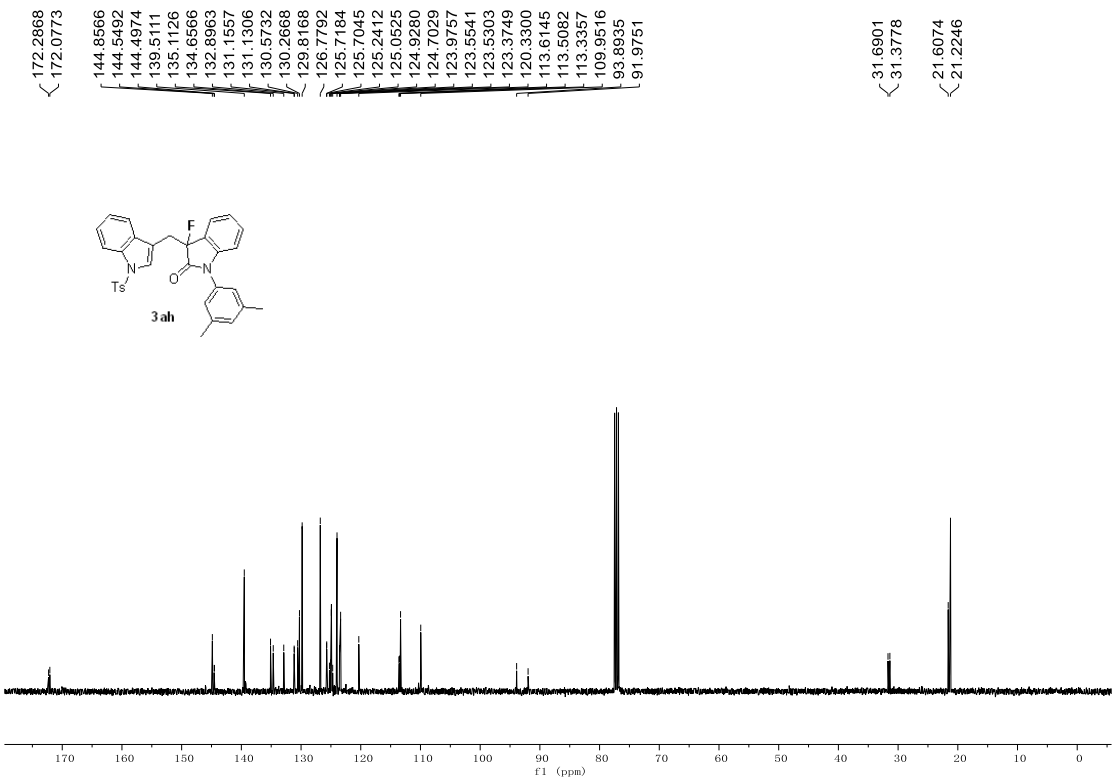
^{19}F NMR spectra of **3ag** (376 MHz, $CDCl_3$)



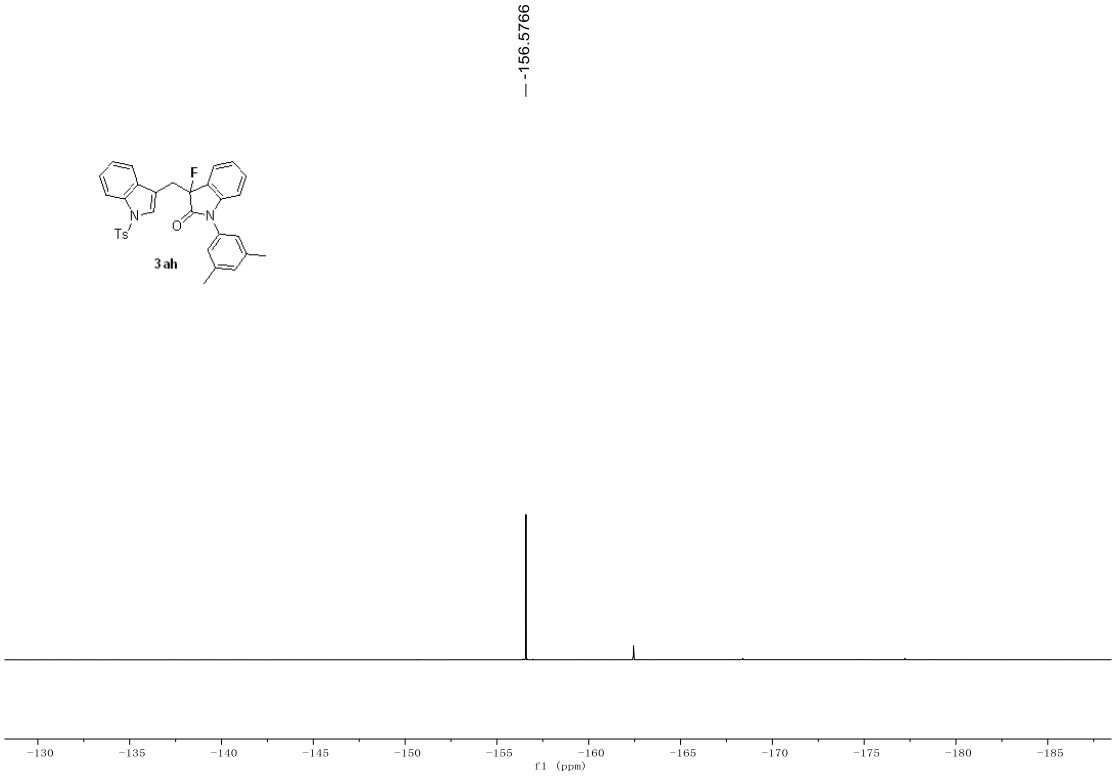
^{1}H NMR spectra of **3ah** (400 MHz, $CDCl_3$)



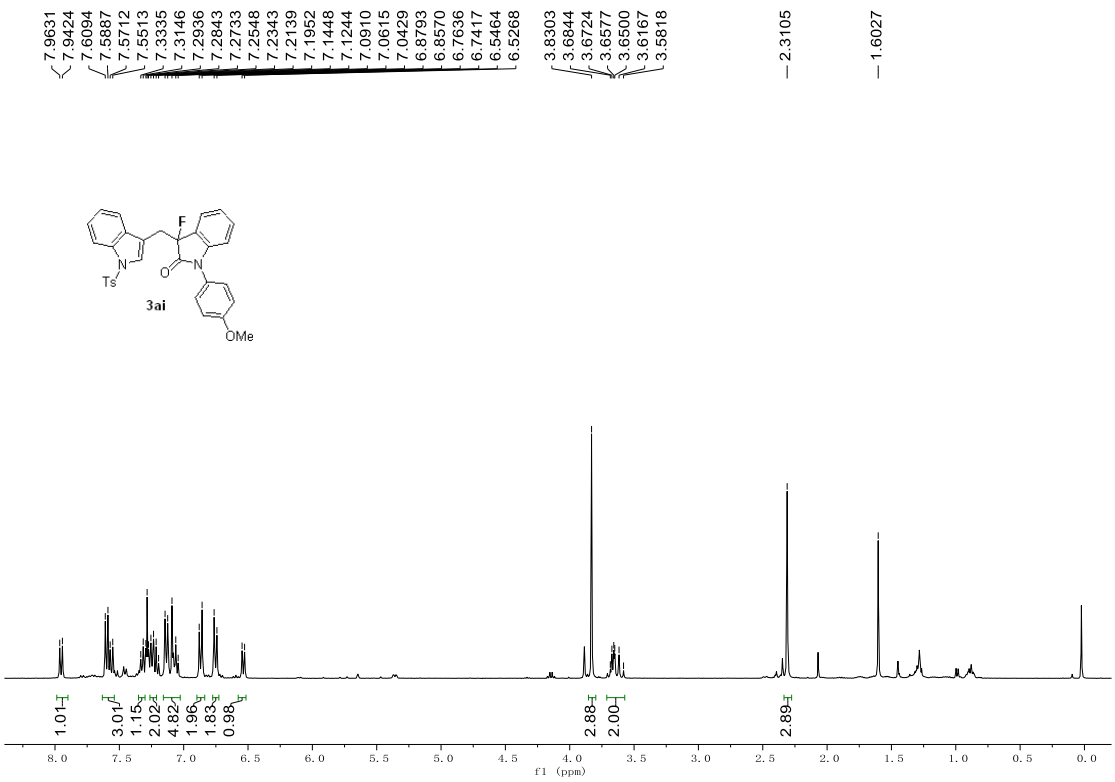
^{13}C NMR spectra of **3ah** (101 MHz, $CDCl_3$)



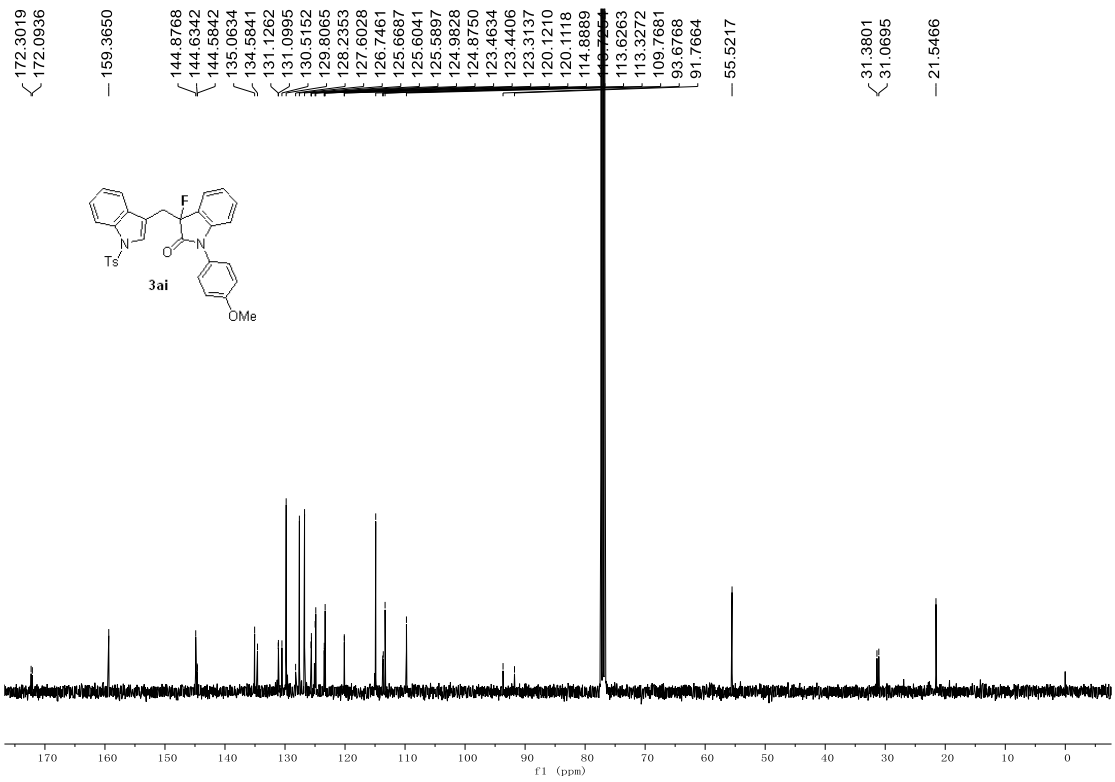
^{19}F NMR spectra of **3ah** (376 MHz, $CDCl_3$)



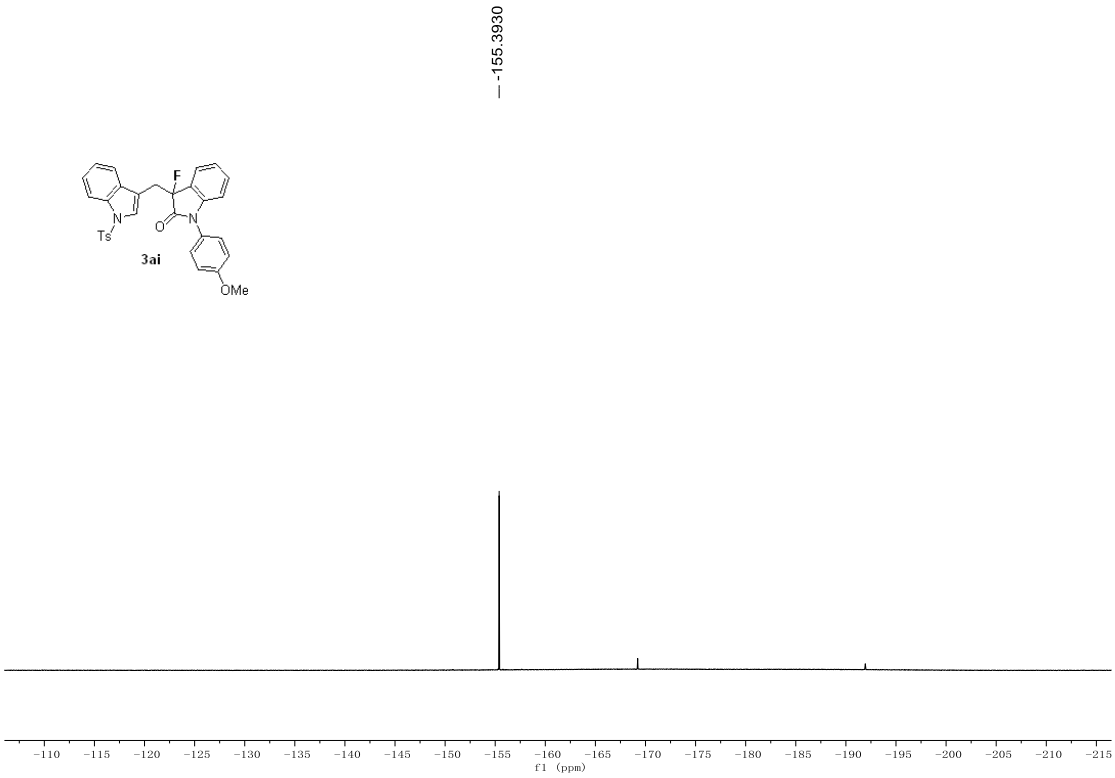
^{1}H NMR spectra of **3ai** (400 MHz, $CDCl_3$)



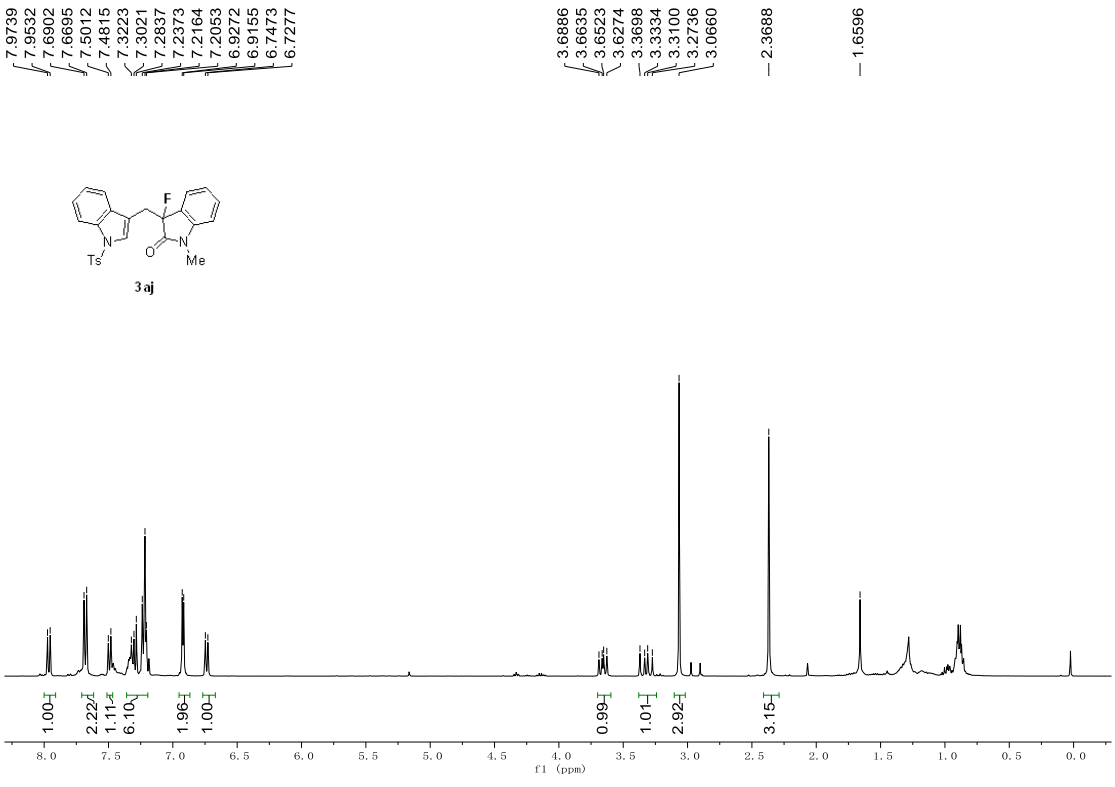
^{13}C NMR spectra of **3ai** (101 MHz, $CDCl_3$)



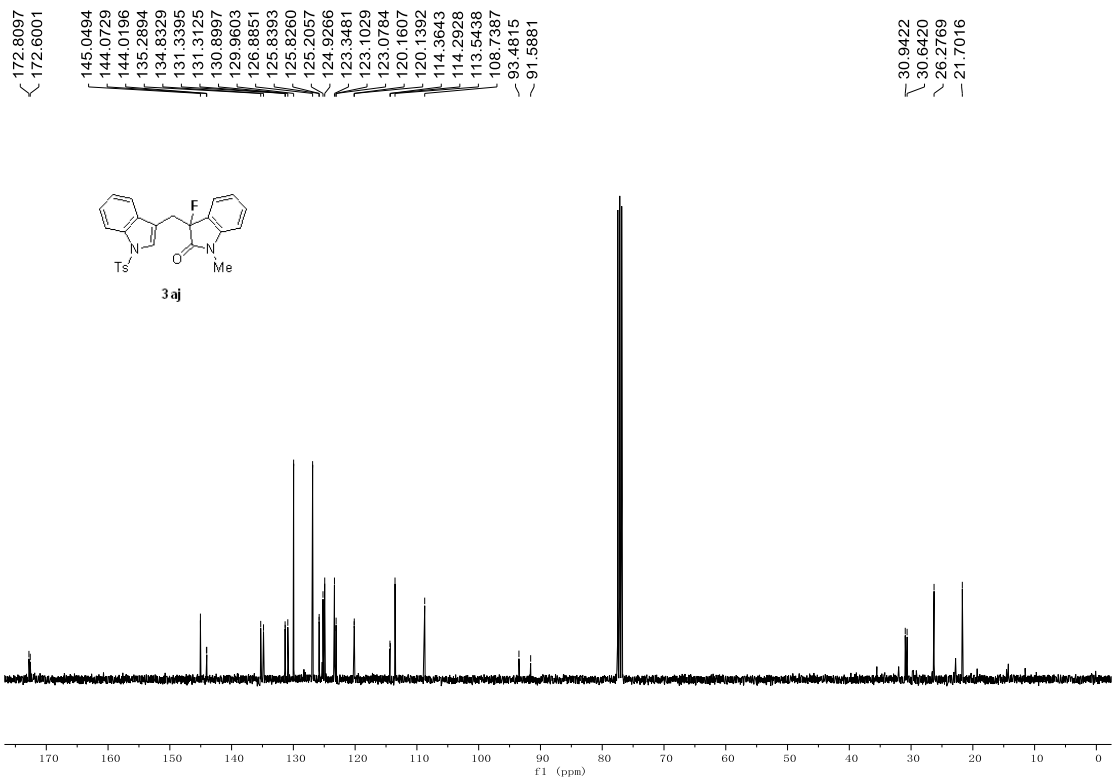
^{19}F NMR spectra of **3ai** (376 MHz, $CDCl_3$)



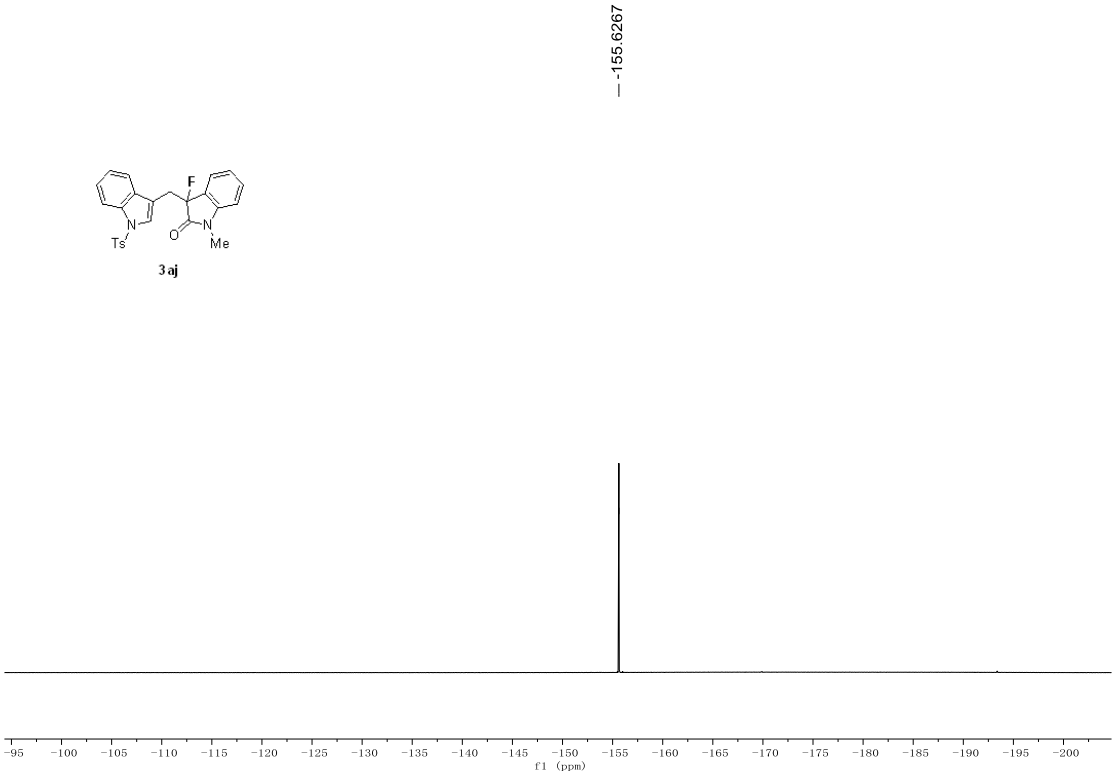
^{1}H NMR spectra of **3aj** (400 MHz, $CDCl_3$)



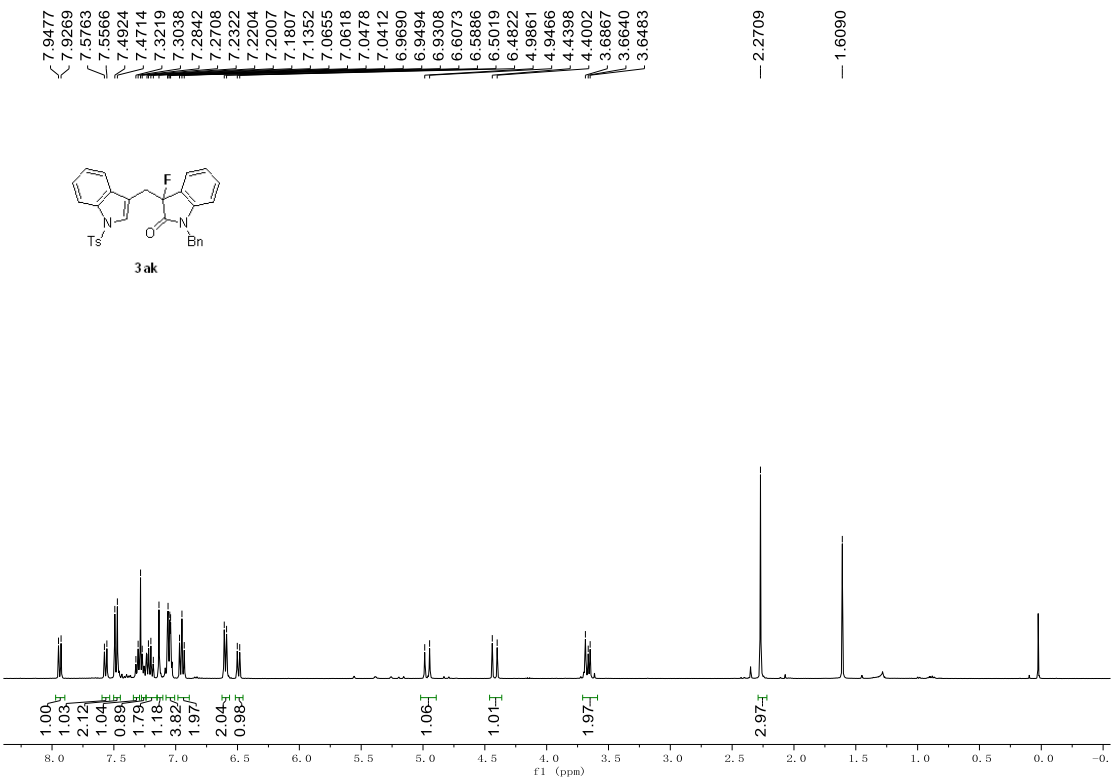
^{13}C NMR spectra of **3aj** (101 MHz, $CDCl_3$)



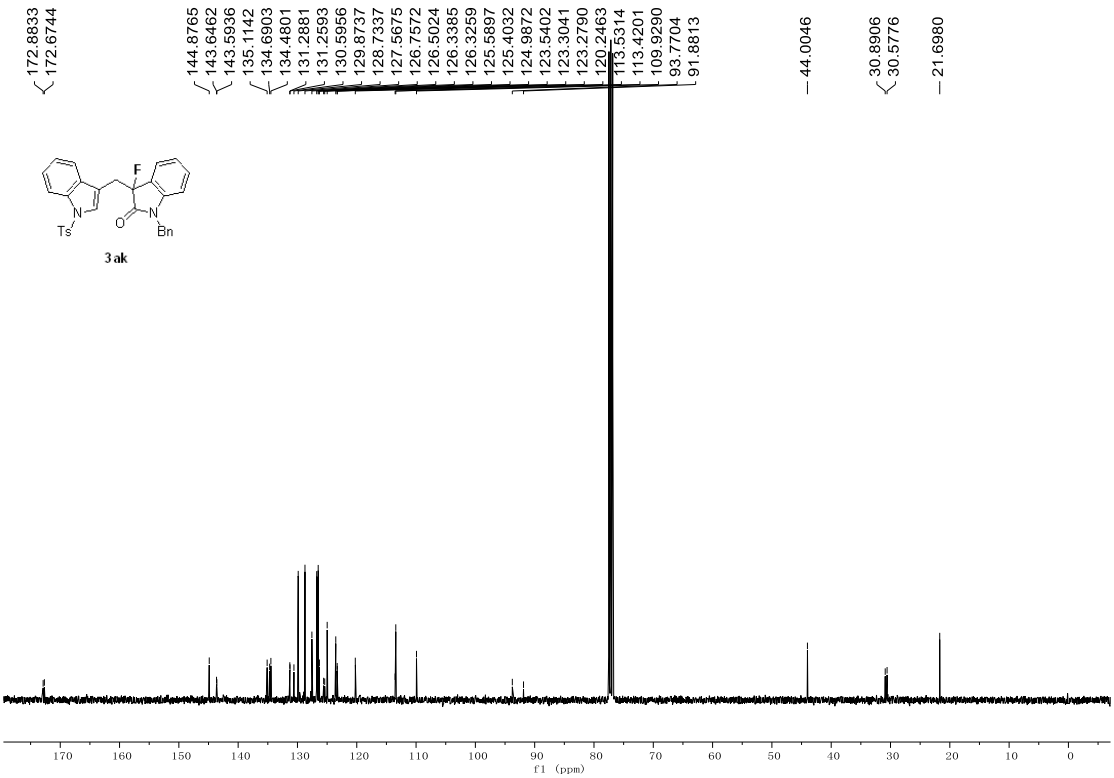
^{19}F NMR spectra of **3aj** (376 MHz, $CDCl_3$)



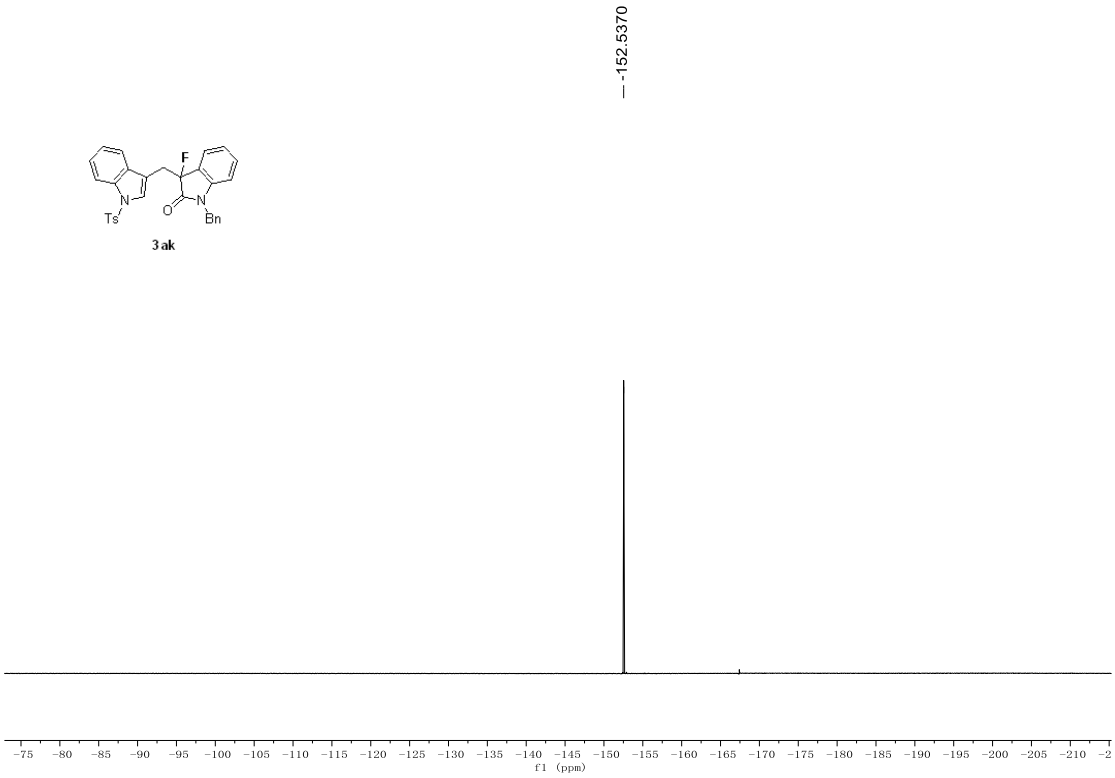
^{1}H NMR spectra of **3ak** (400 MHz, $CDCl_3$)



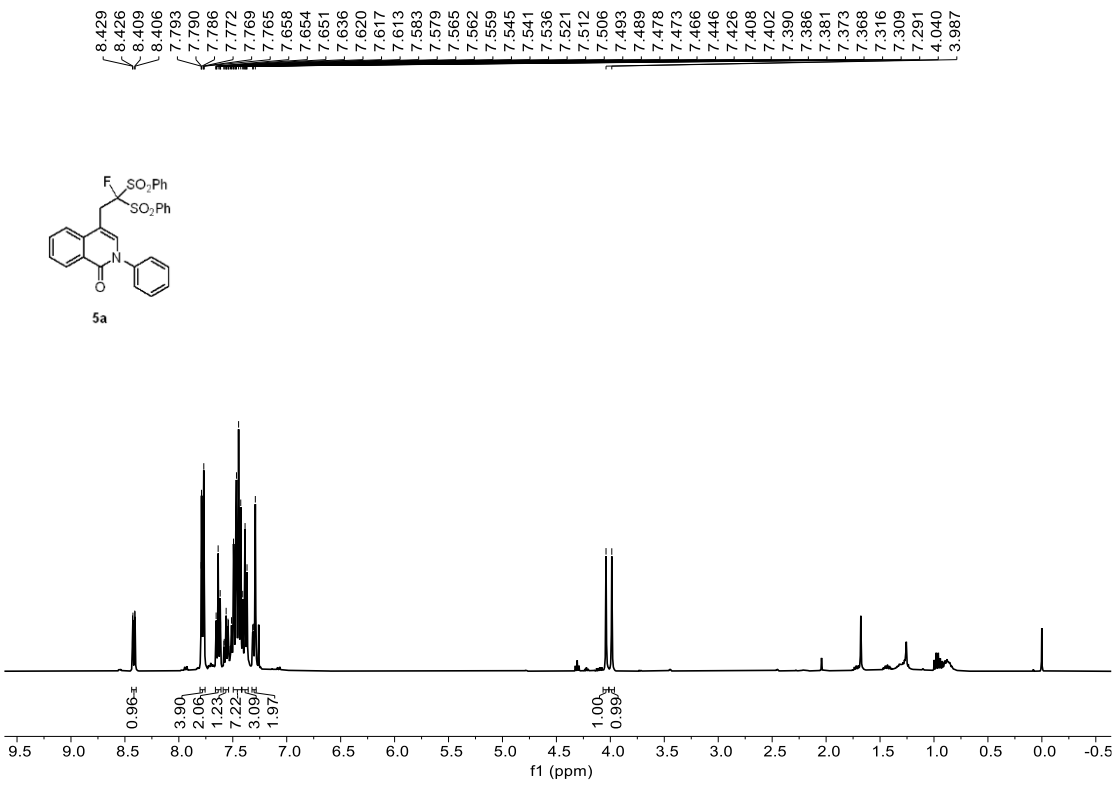
^{13}C NMR spectra of **3ak** (101 MHz, $CDCl_3$)



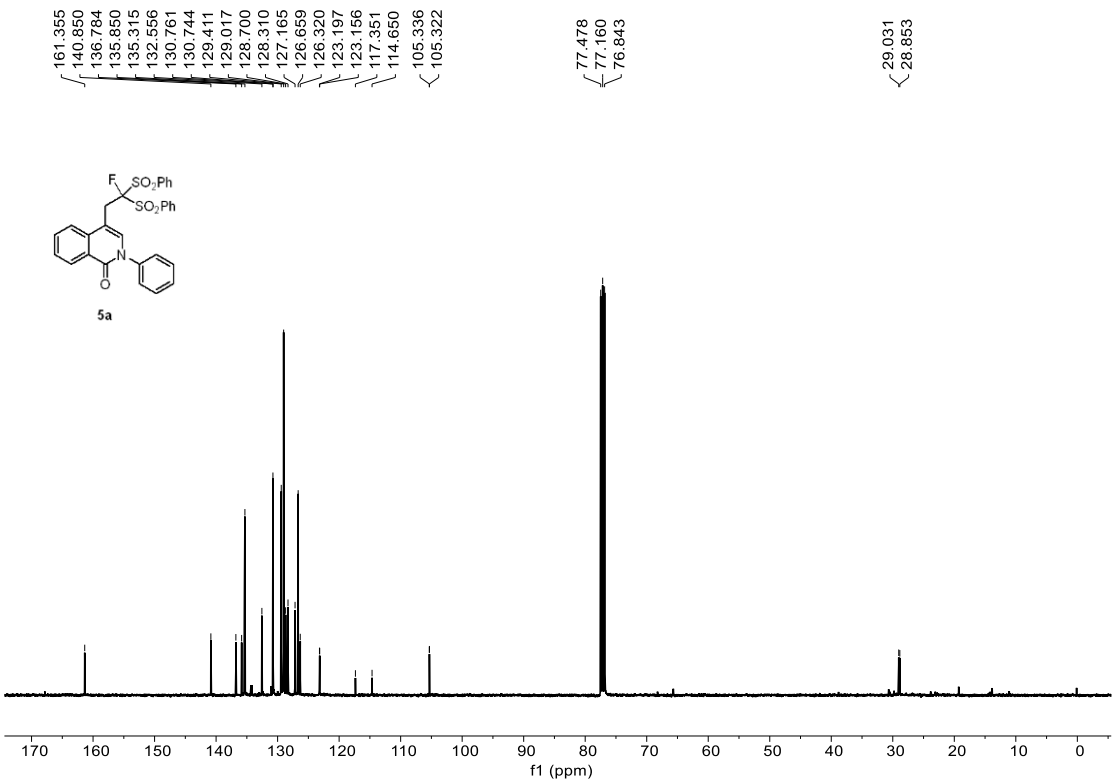
^{19}F NMR spectra of **3ak** (376 MHz, $CDCl_3$)



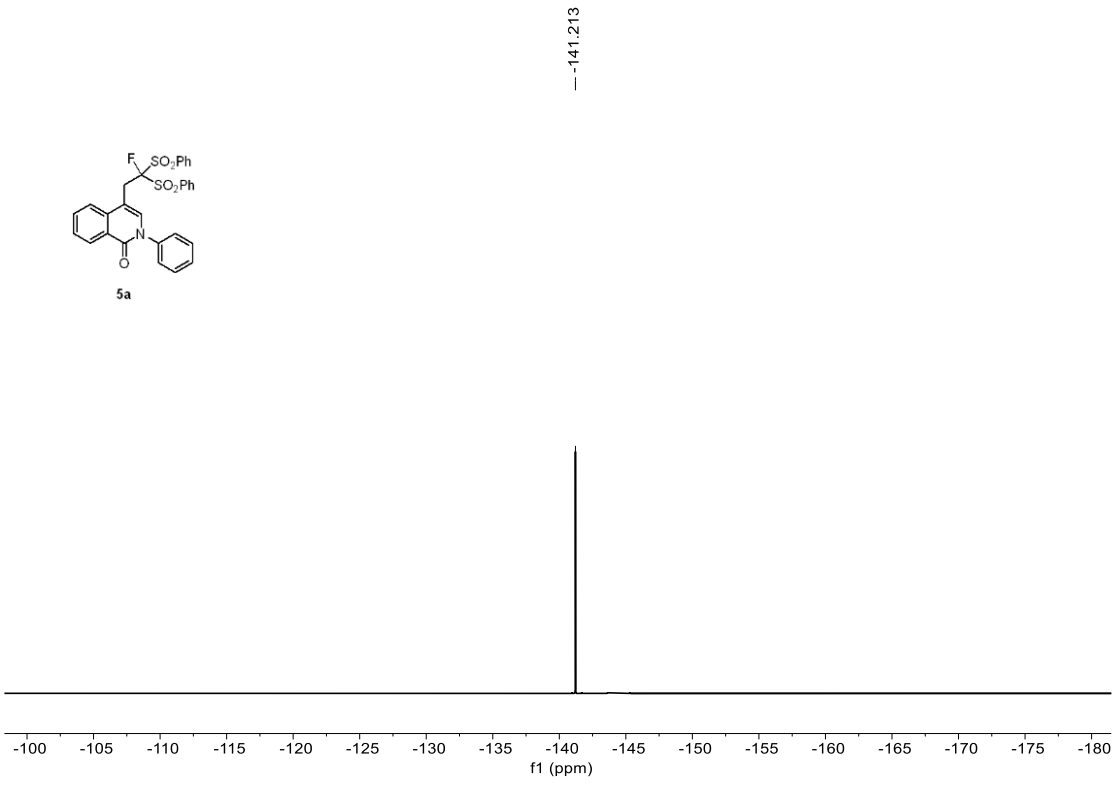
^{1}H NMR spectra of **5a** (400 MHz, $CDCl_3$)



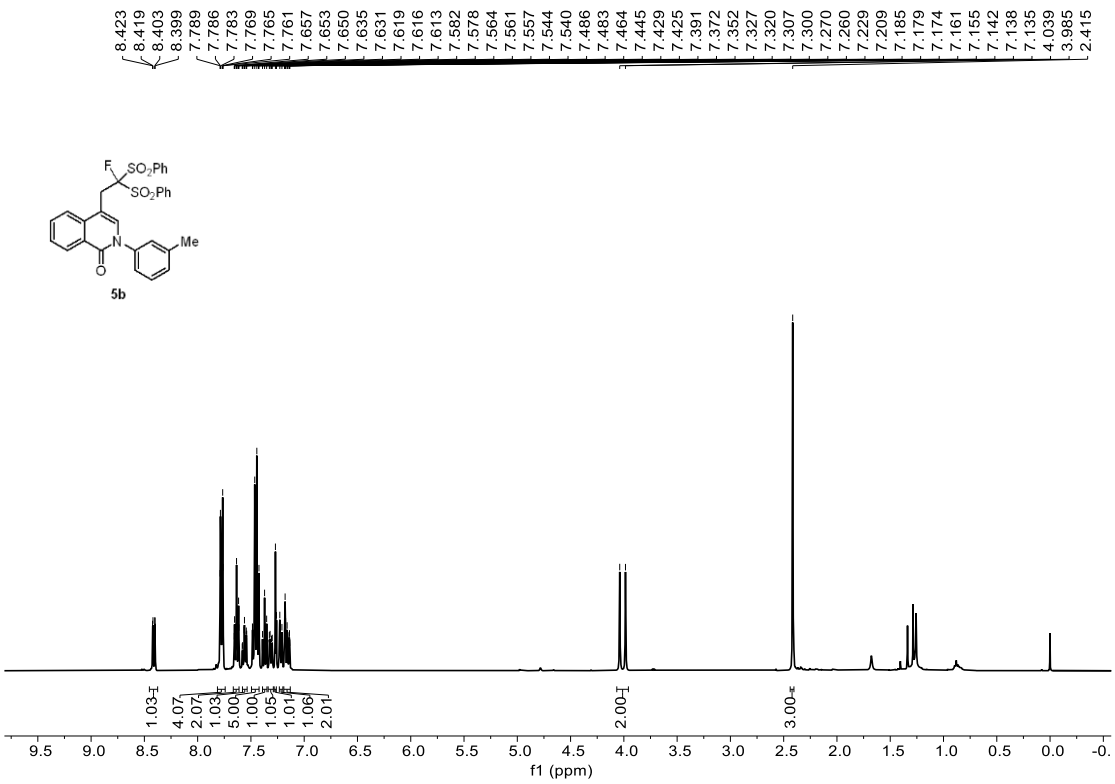
^{13}C NMR spectra of **5a** (101 MHz, $CDCl_3$)



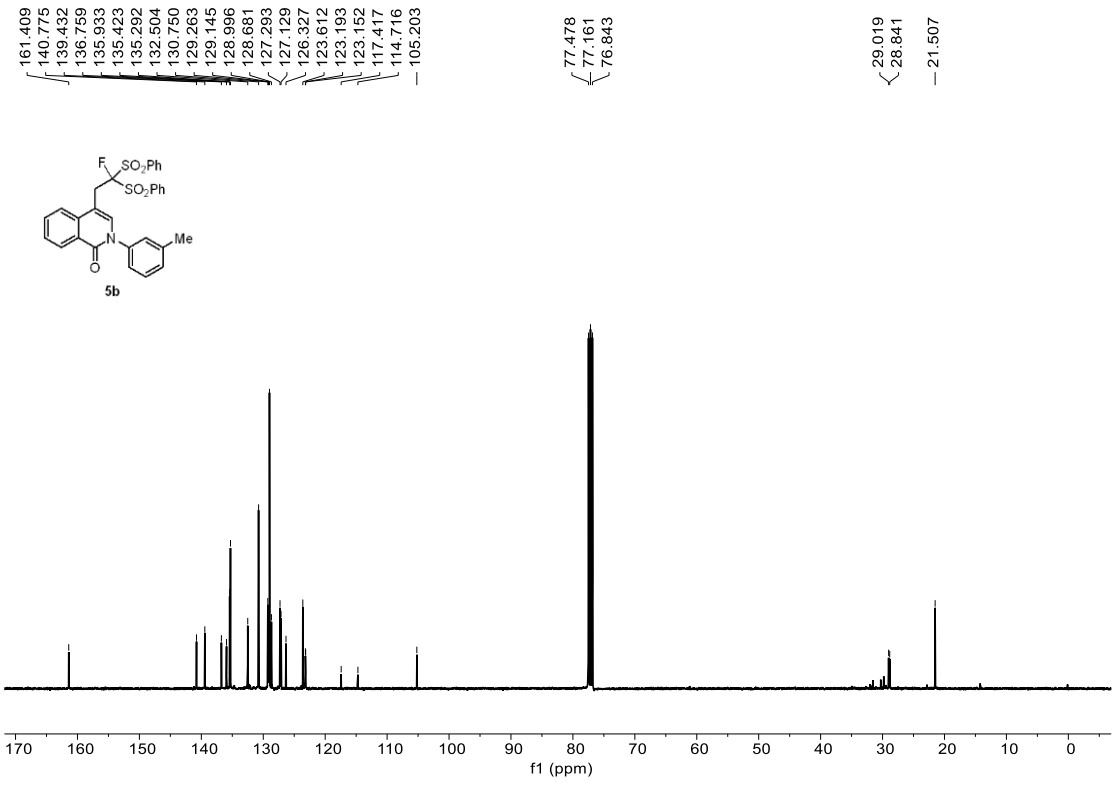
^{19}F NMR spectra of **5a** (376 MHz, $CDCl_3$)



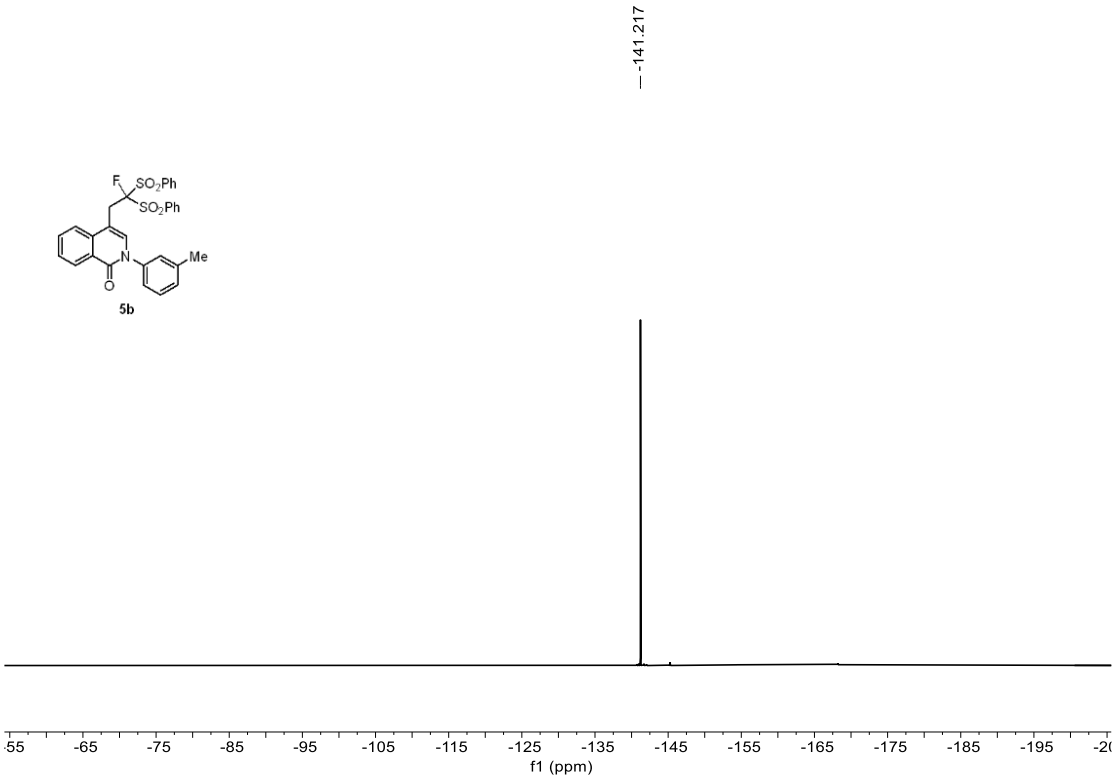
^{1}H NMR spectra of **5b** (400 MHz, $CDCl_3$)



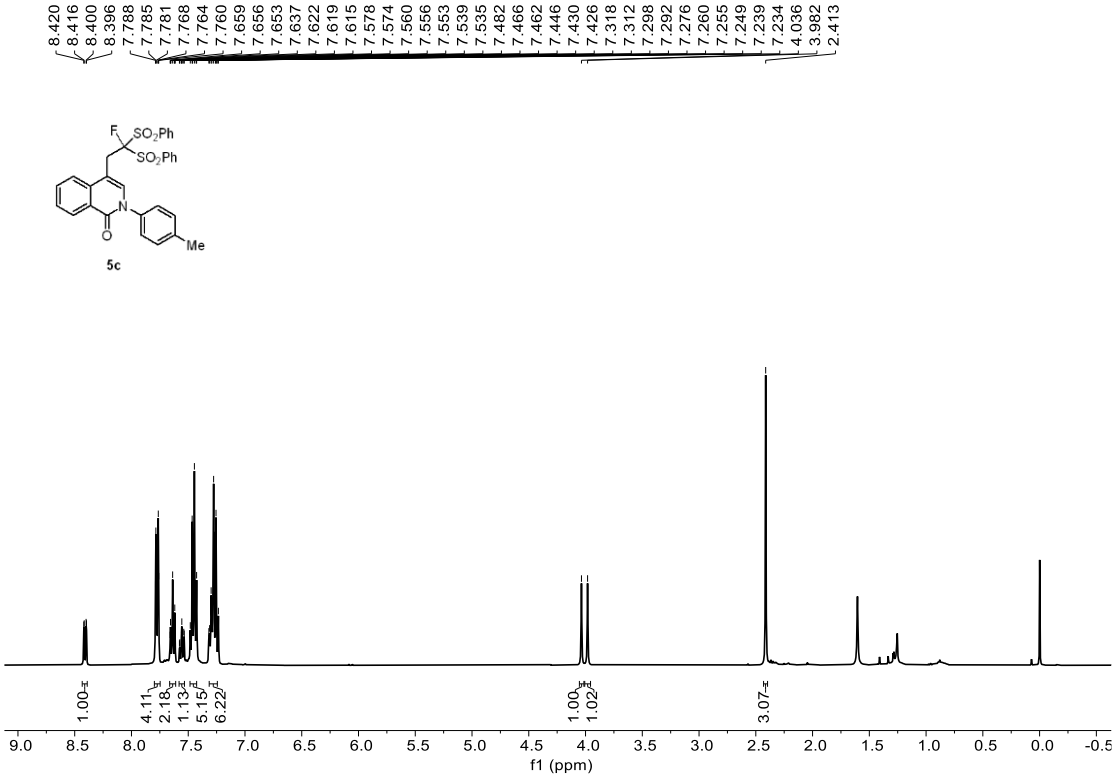
^{13}C NMR spectra of **5b** (101 MHz, $CDCl_3$)



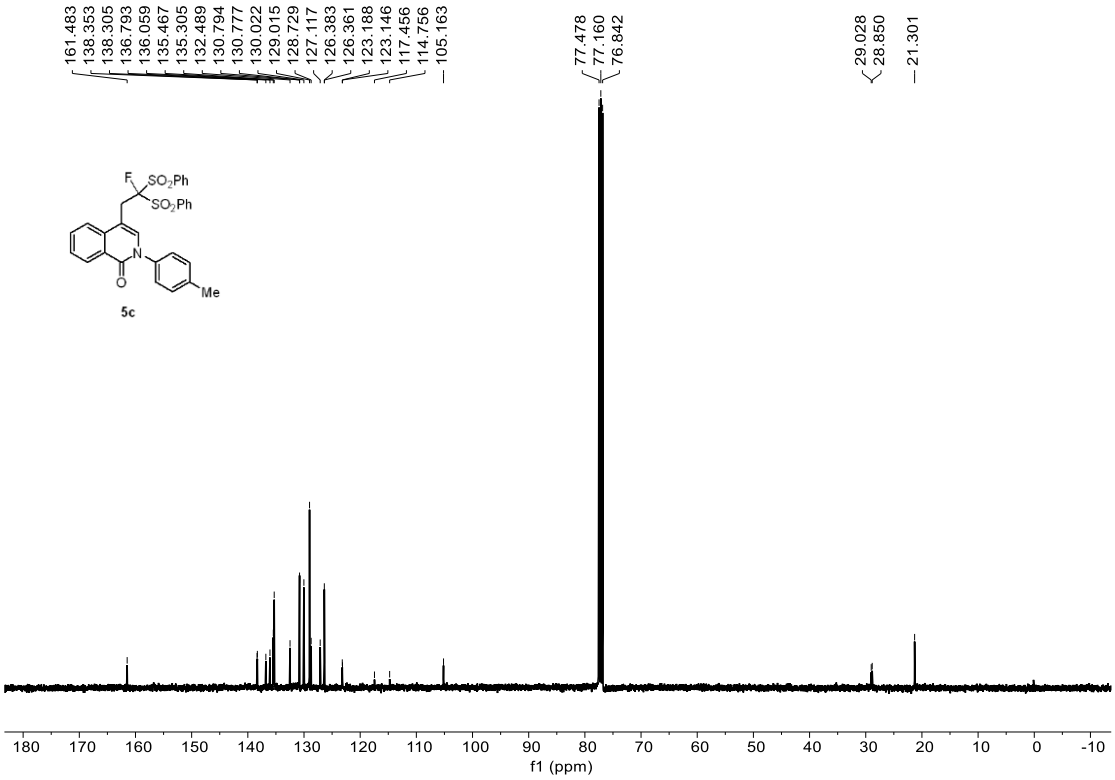
^{19}F NMR spectra of **5b** (376 MHz, $CDCl_3$)



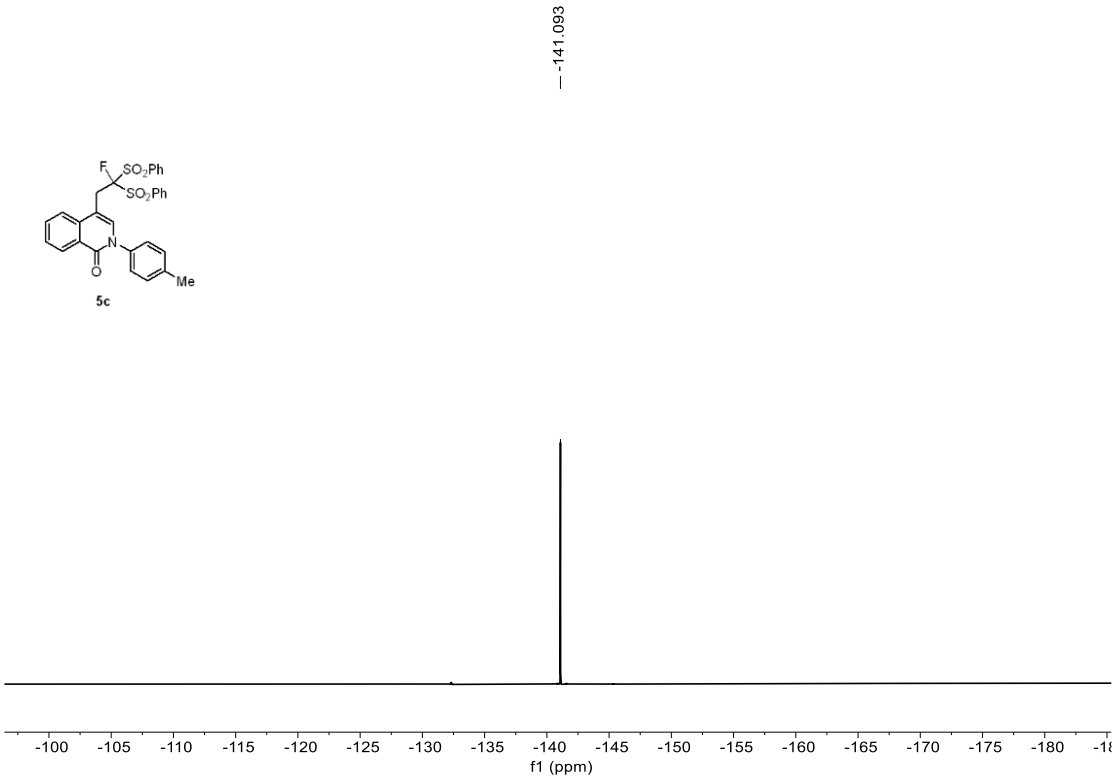
^{1}H NMR spectra of **5c** (400 MHz, $CDCl_3$)



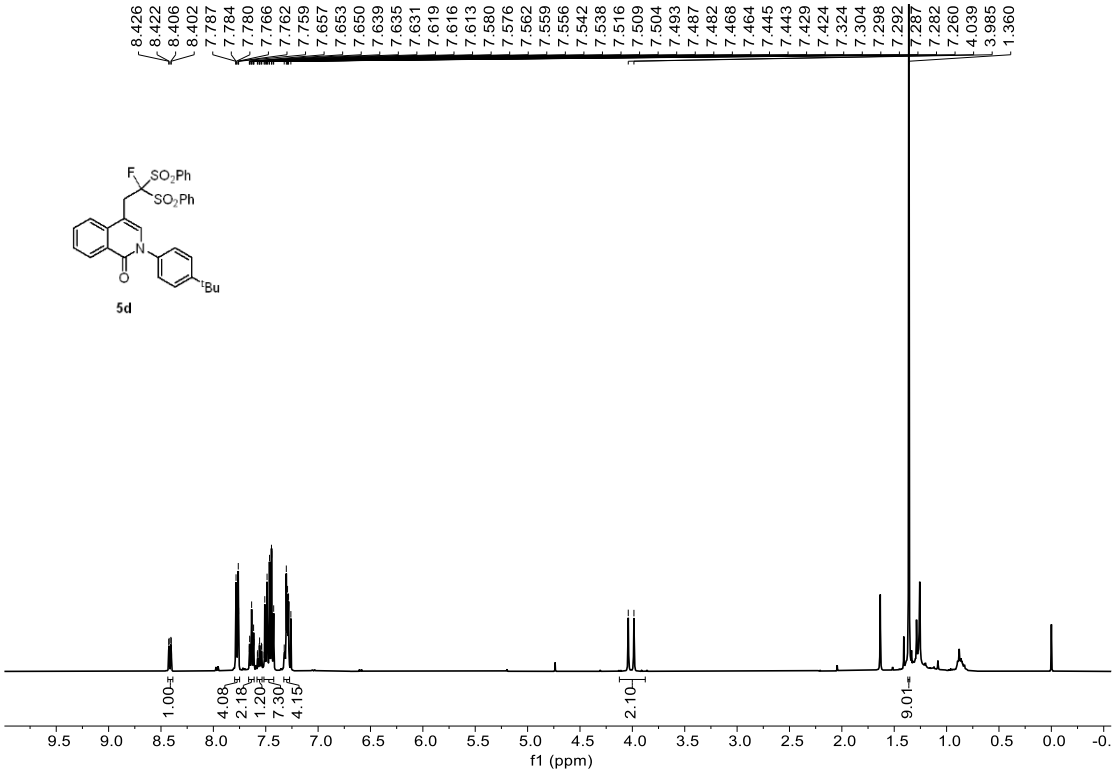
^{13}C NMR spectra of **5c** (101 MHz, $CDCl_3$)



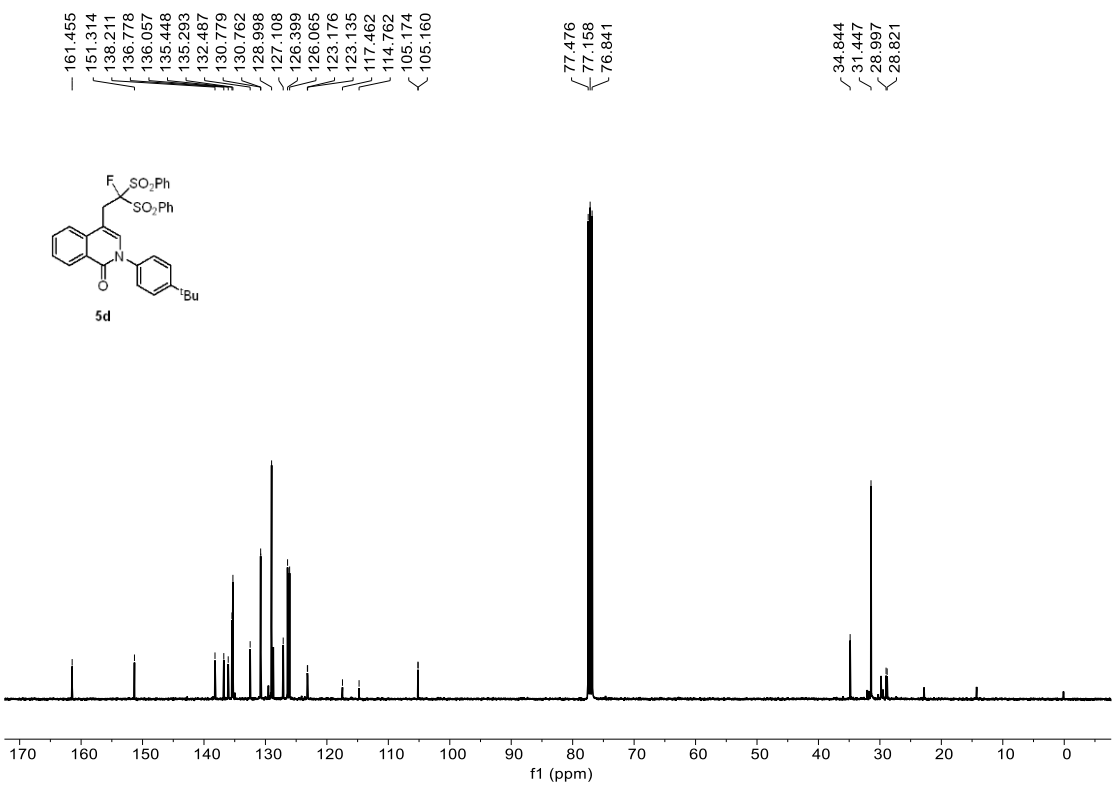
^{19}F NMR spectra of **5c** (376 MHz, $CDCl_3$)



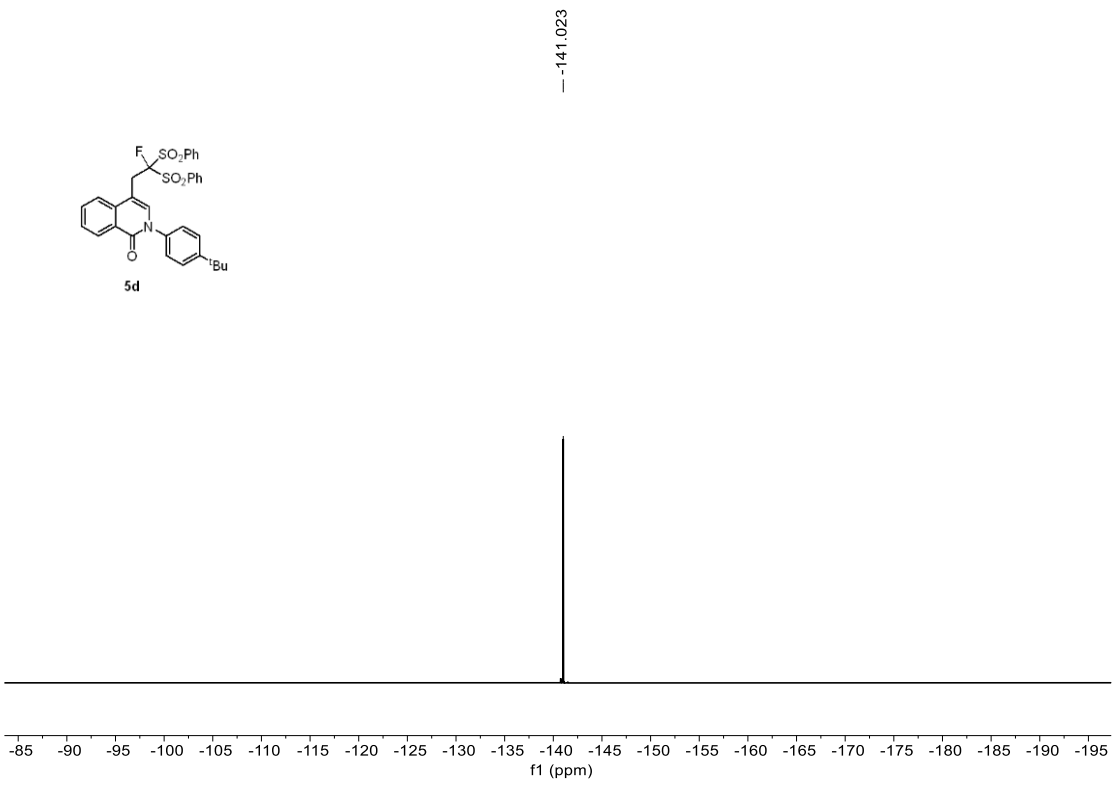
^{1}H NMR spectra of **5d** (400 MHz, $CDCl_3$)



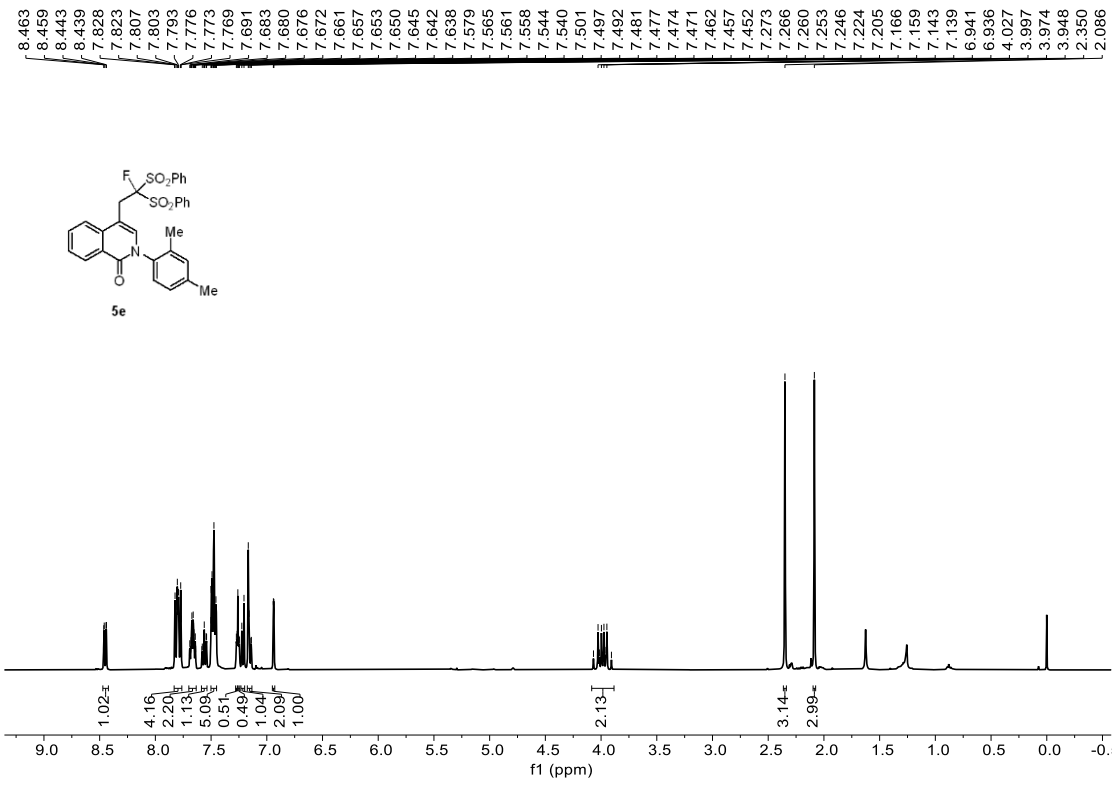
^{13}C NMR spectra of **5d** (101 MHz, $CDCl_3$)



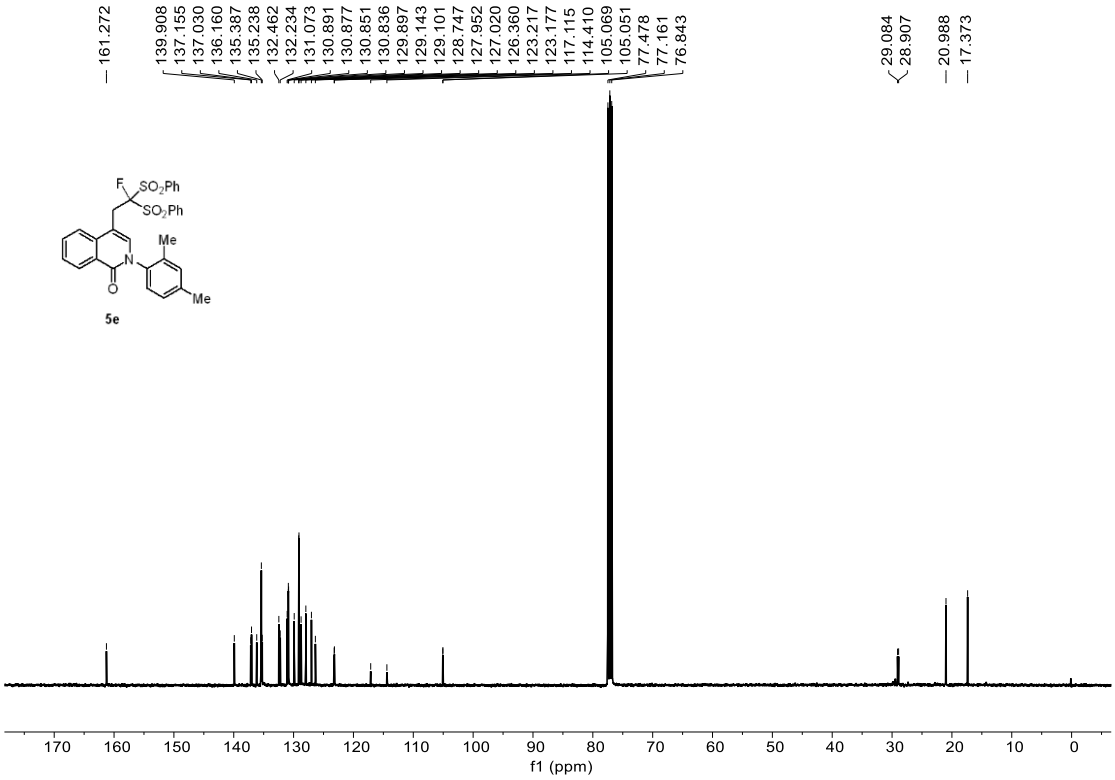
^{19}F NMR spectra of **5d** (376 MHz, $CDCl_3$)



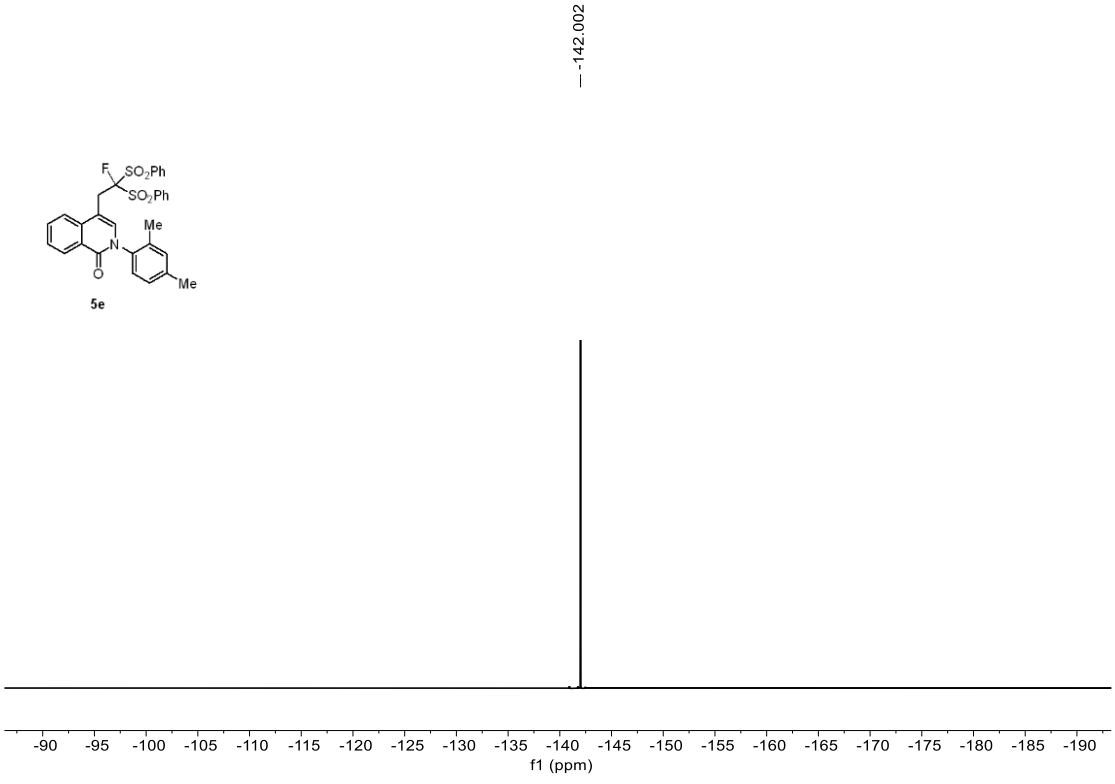
^{1}H NMR spectra of **5e** (400 MHz, $CDCl_3$)



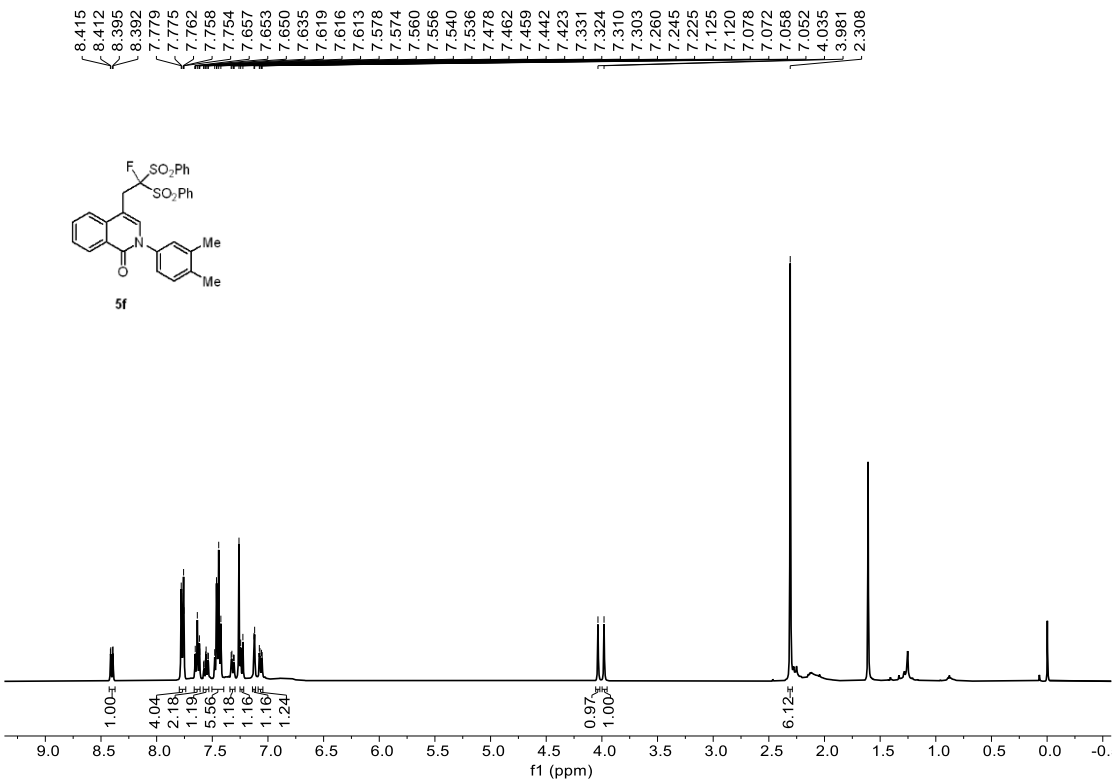
^{13}C NMR spectra of **5e** (101 MHz, $CDCl_3$)



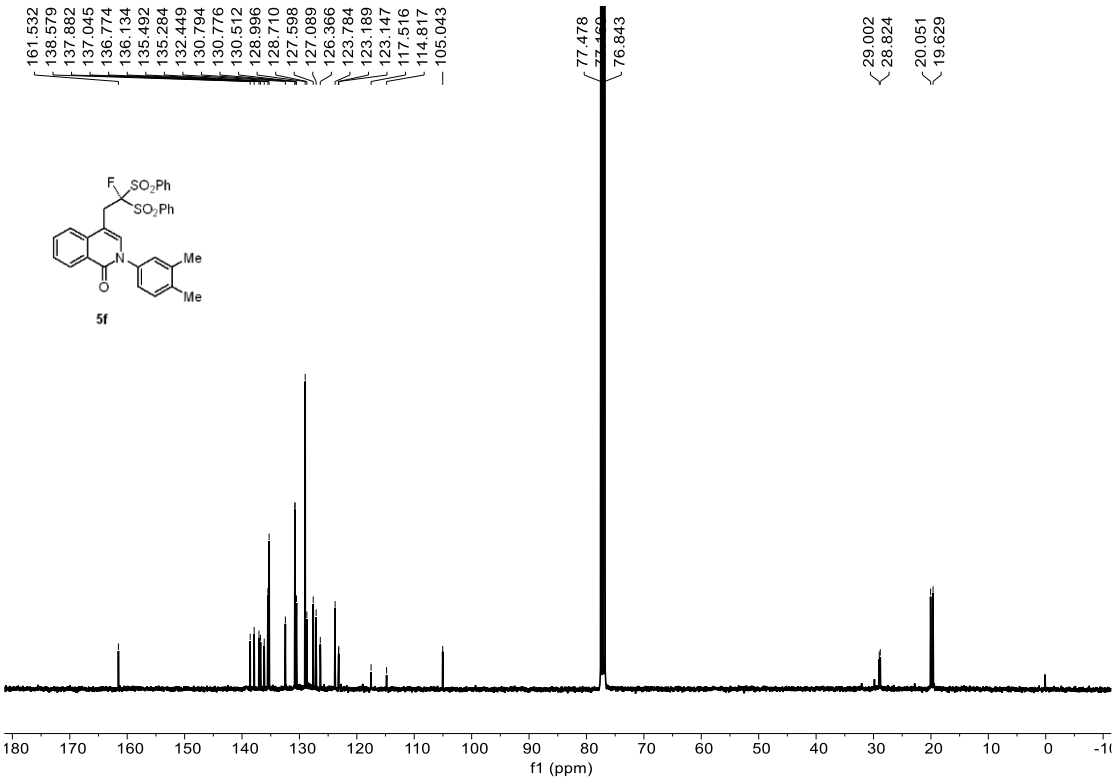
^{19}F NMR spectra of **5e** (376 MHz, $CDCl_3$)



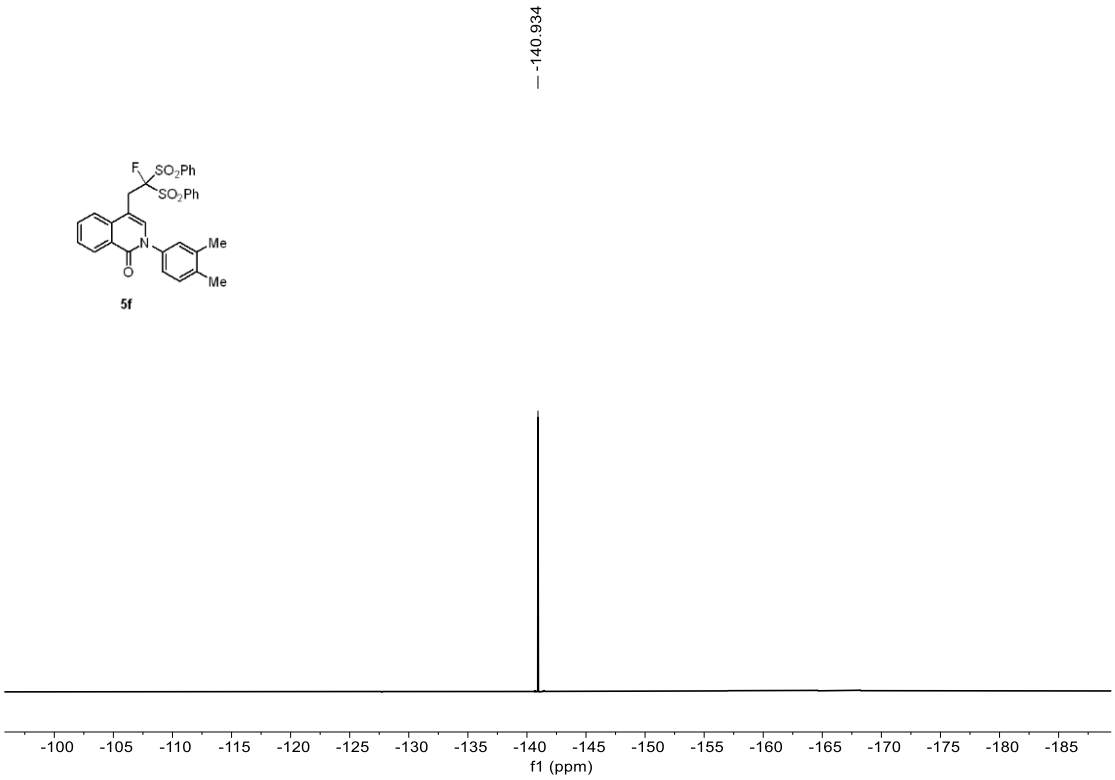
^{1}H NMR spectra of **5f** (400 MHz, $CDCl_3$)



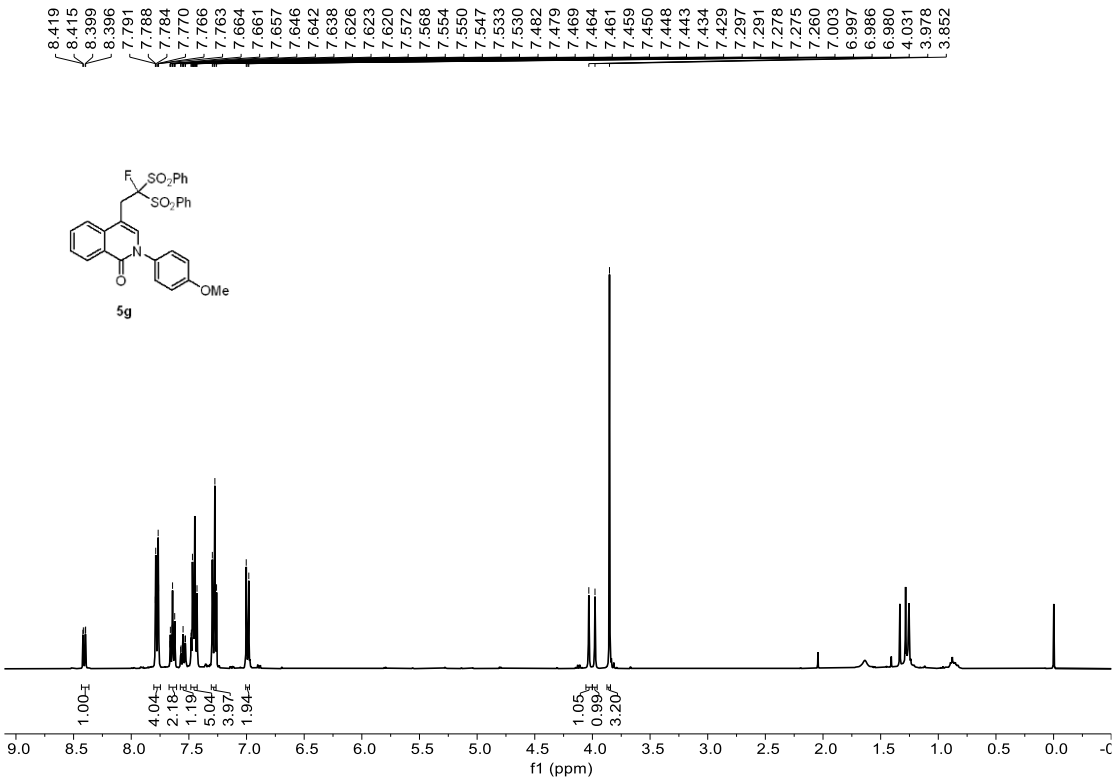
^{13}C NMR spectra of **5f** (101 MHz, $CDCl_3$)



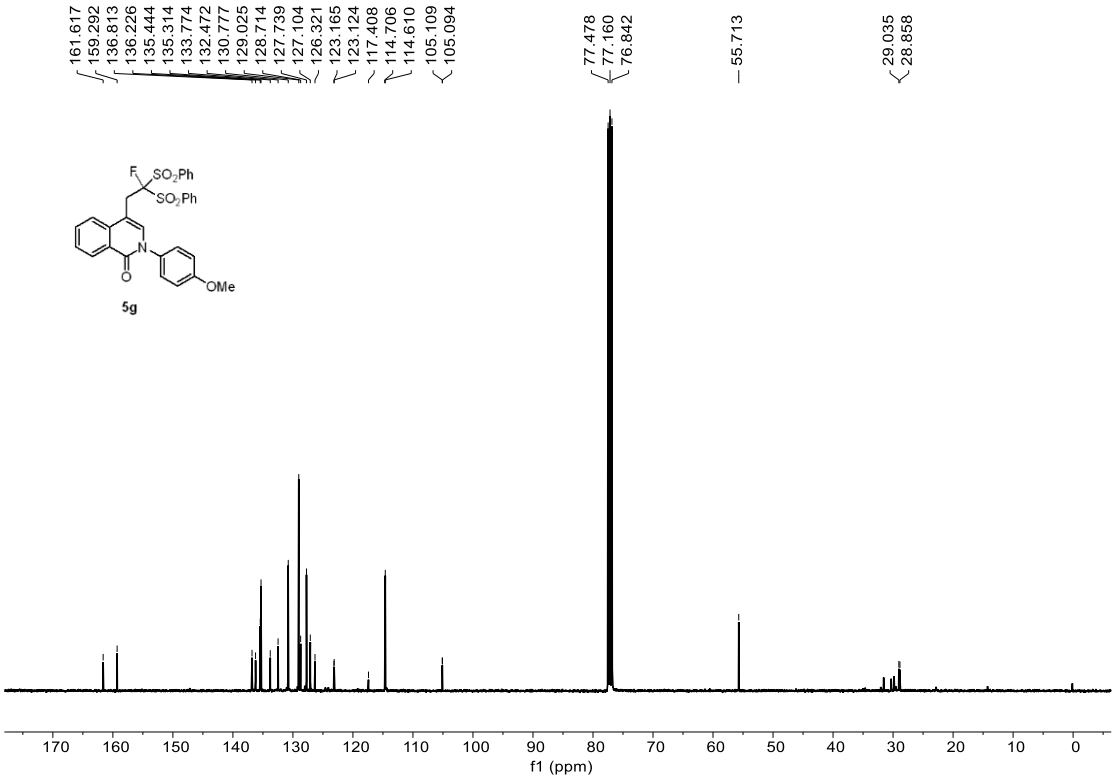
^{19}F NMR spectra of **5f** (376 MHz, $CDCl_3$)



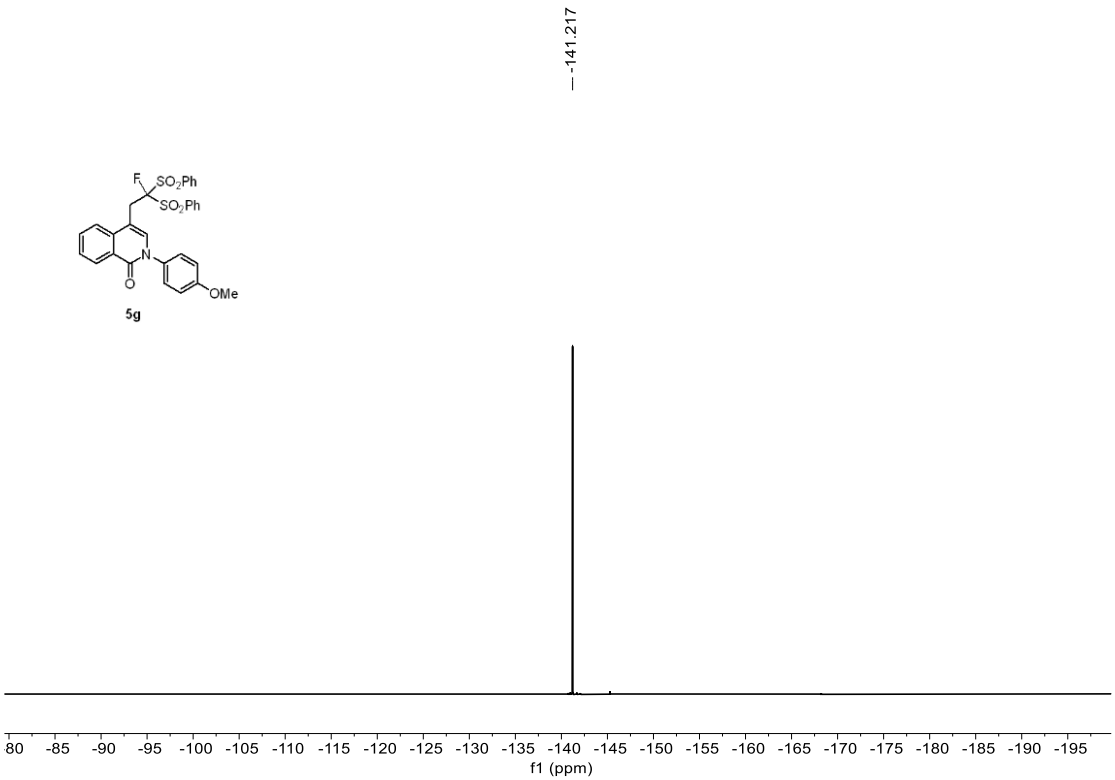
^{1}H NMR spectra of **5g** (400 MHz, $CDCl_3$)



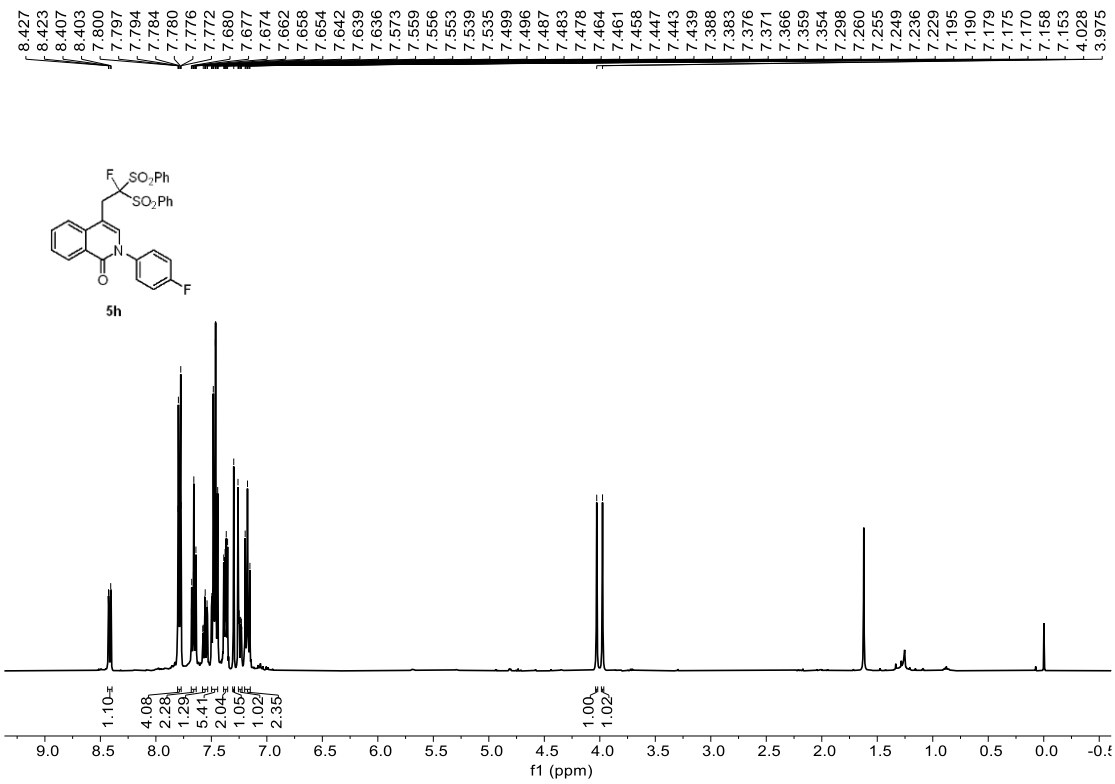
^{13}C NMR spectra of **5g** (101 MHz, $CDCl_3$)



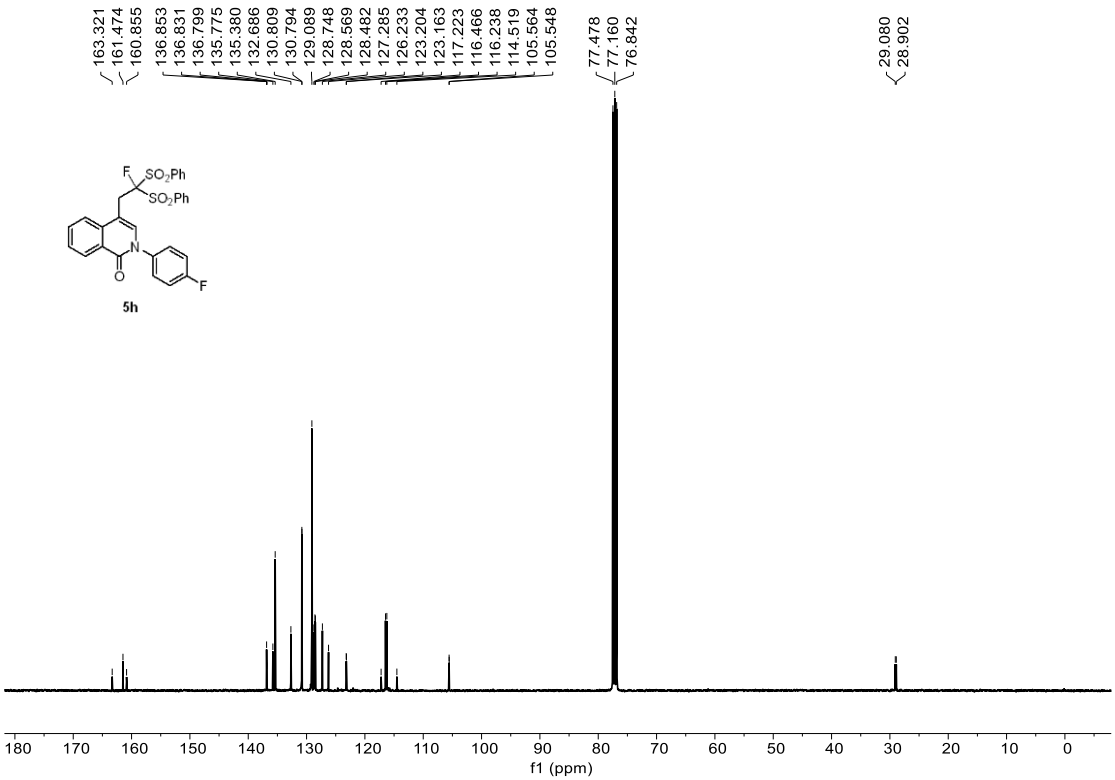
^{19}F NMR spectra of **5g** (376 MHz, $CDCl_3$)



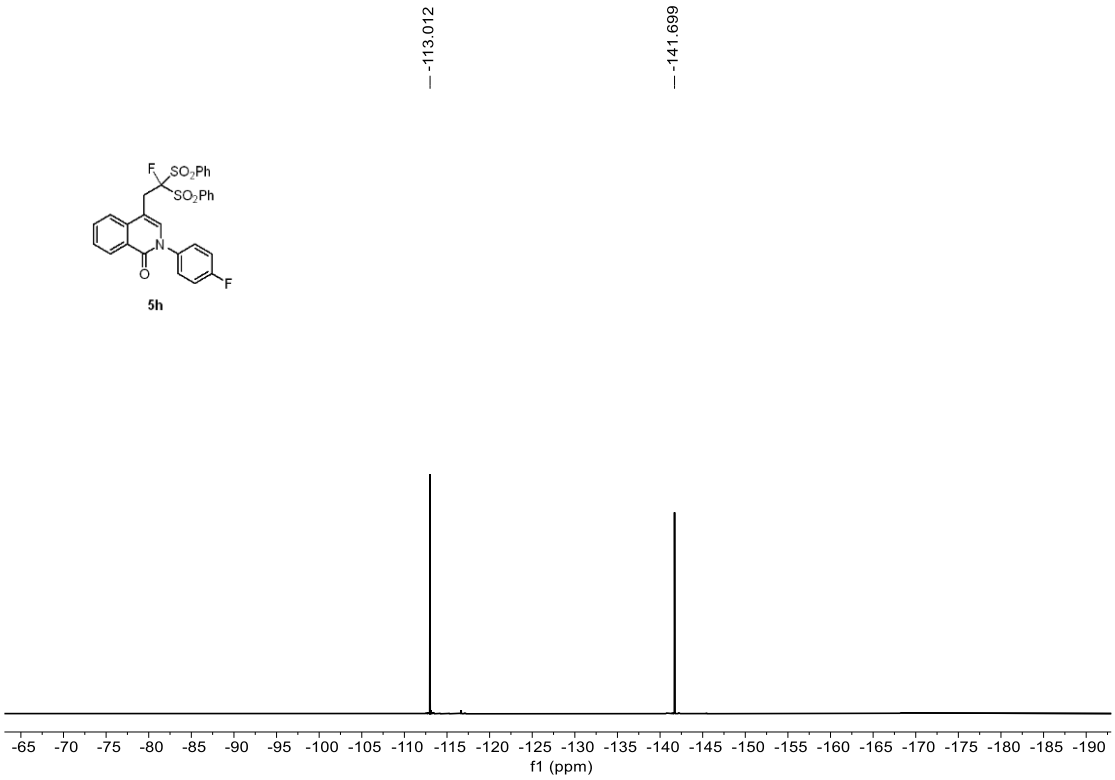
^{1}H NMR spectra of **5h** (400 MHz, $CDCl_3$)



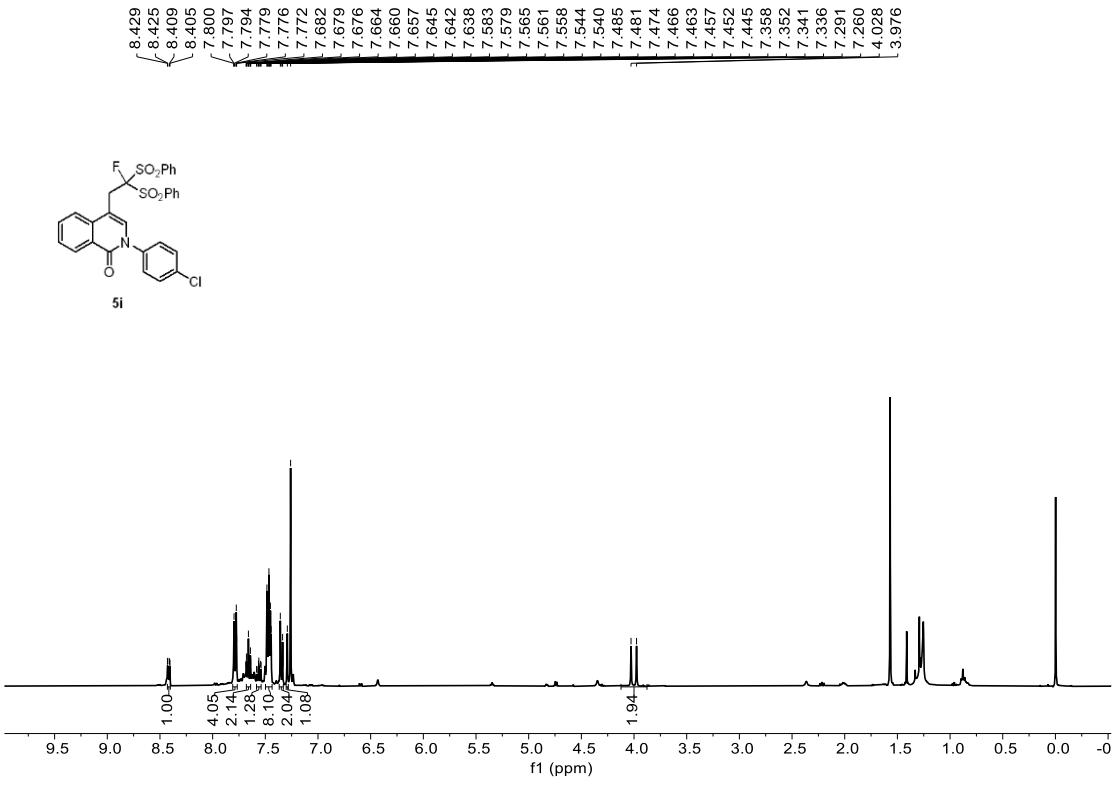
^{13}C NMR spectra of **5h** (101 MHz, $CDCl_3$)



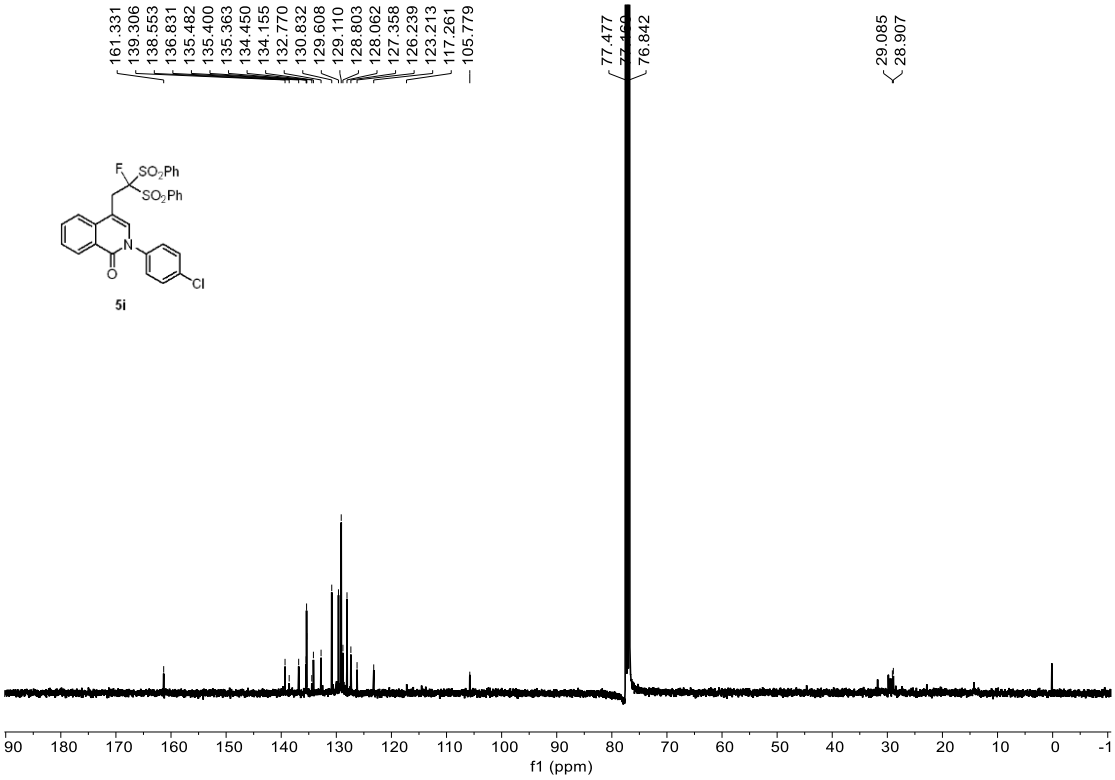
^{19}F NMR spectra of **5h** (376 MHz, $CDCl_3$)



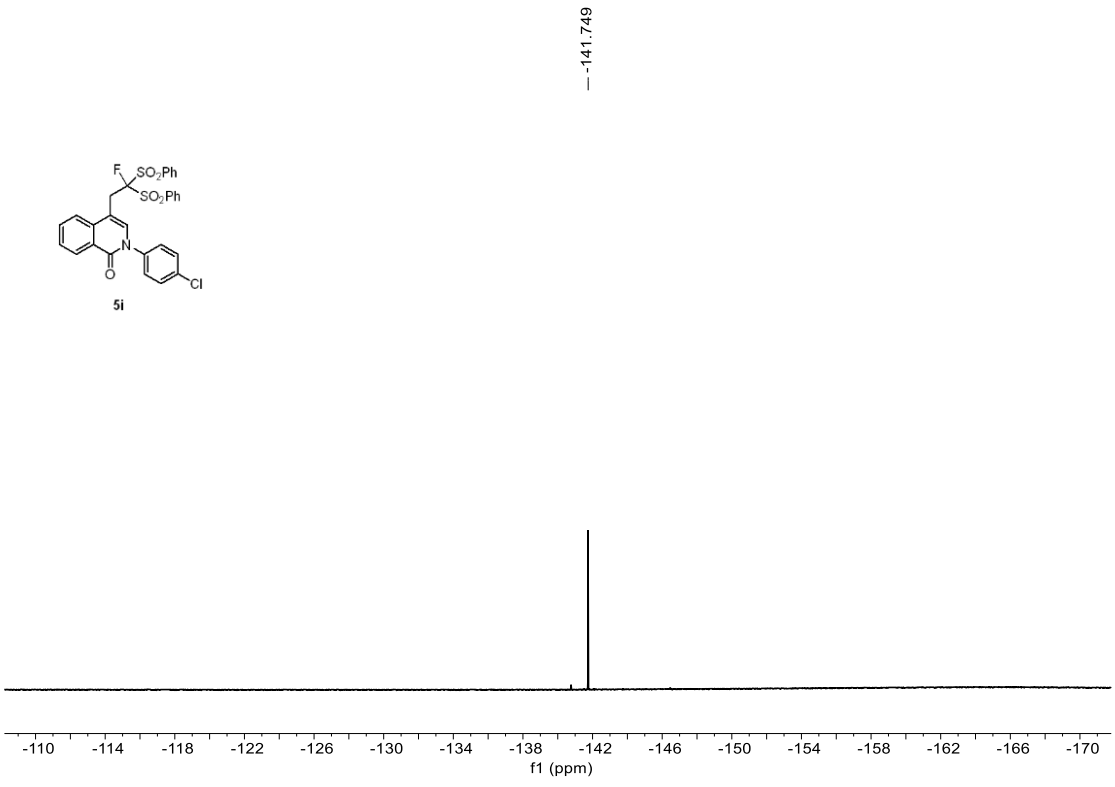
^{1}H NMR spectra of **5i** (400 MHz, $CDCl_3$)



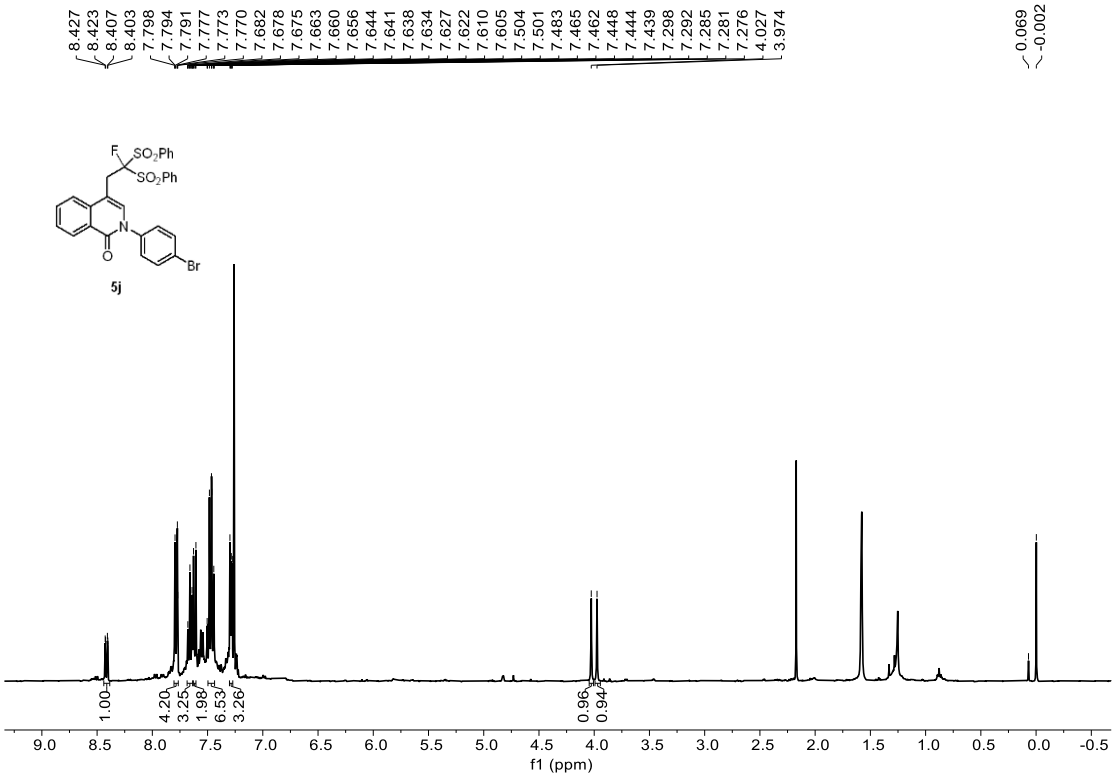
^{13}C NMR spectra of **5i** (101 MHz, $CDCl_3$)



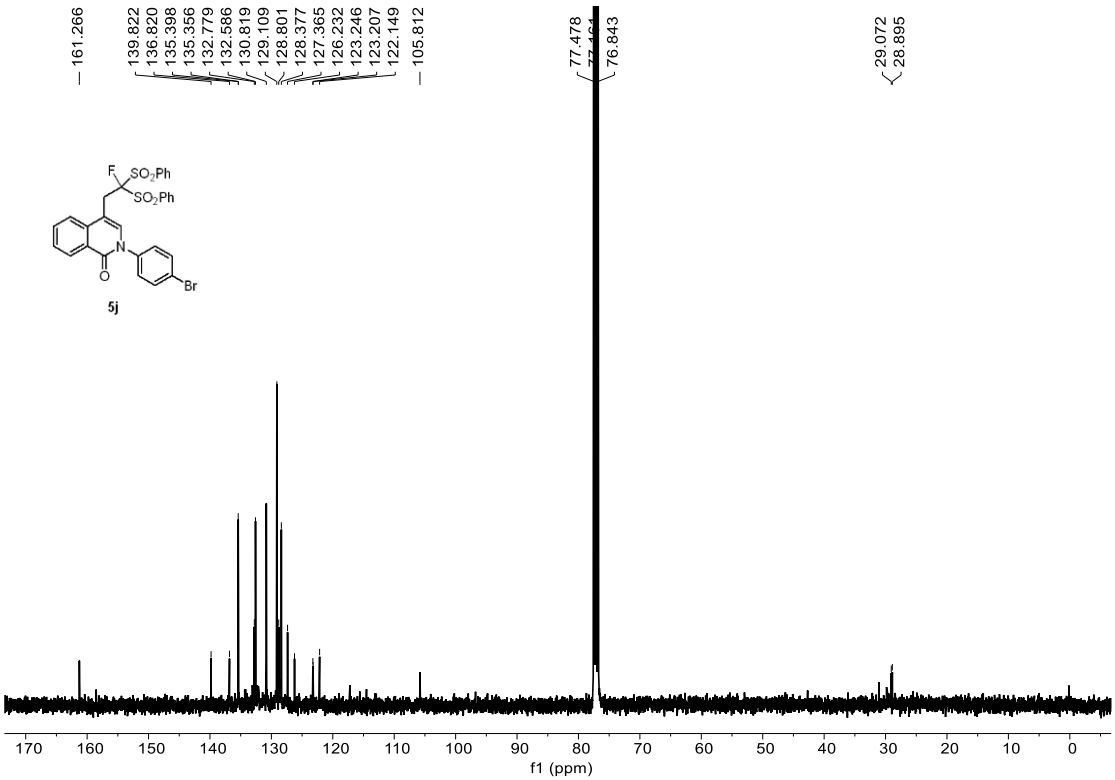
^{19}F NMR spectra of **5i** (376 MHz, $CDCl_3$)



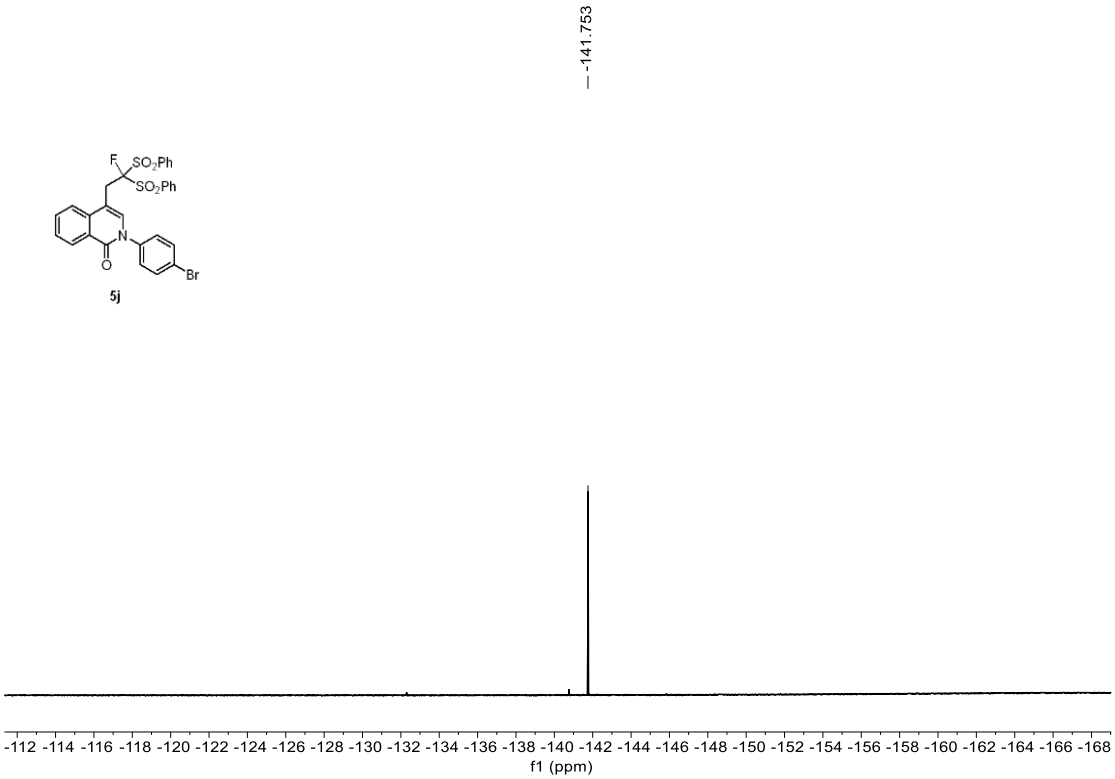
^{1}H NMR spectra of **5j** (400 MHz, $CDCl_3$)



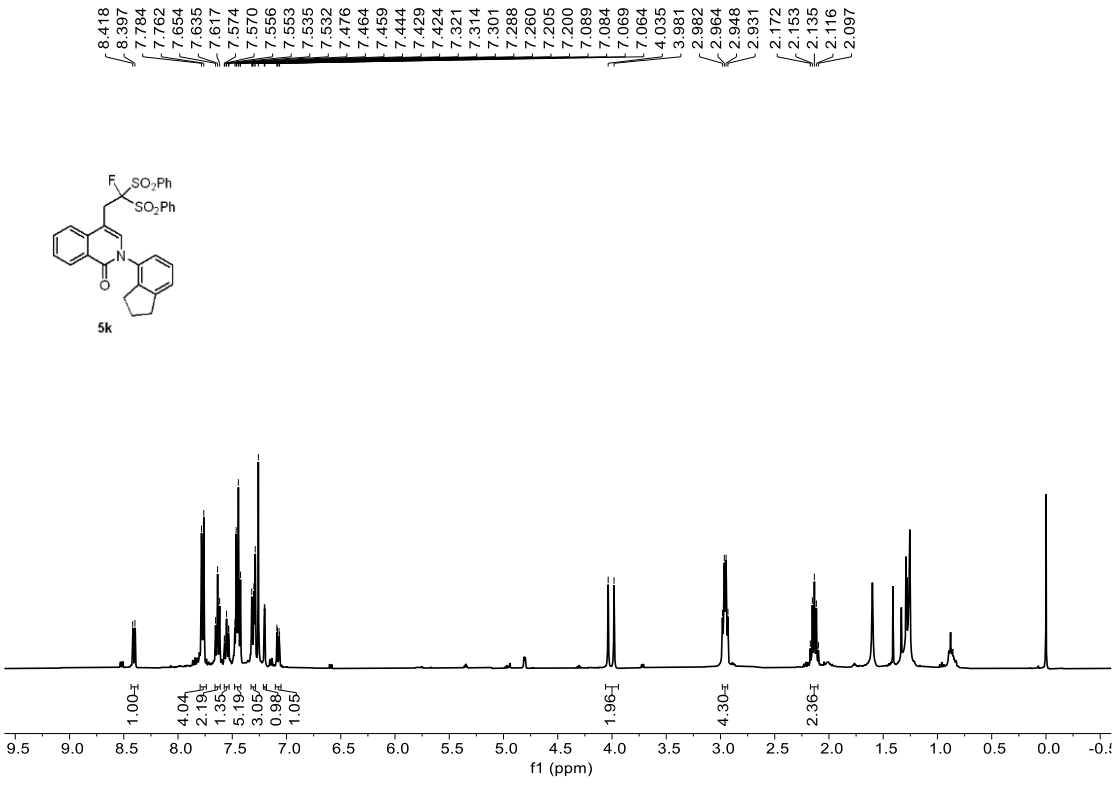
^{13}C NMR spectra of **5j** (101 MHz, $CDCl_3$)



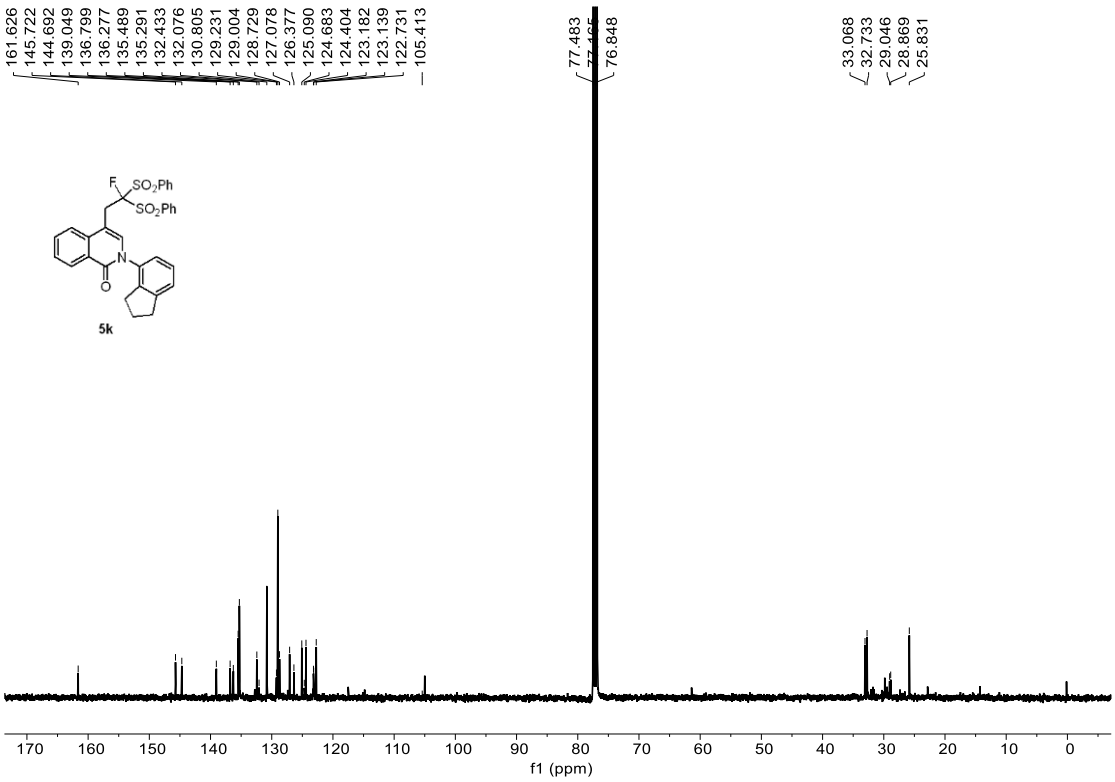
^{19}F NMR spectra of **5j** (376 MHz, $CDCl_3$)



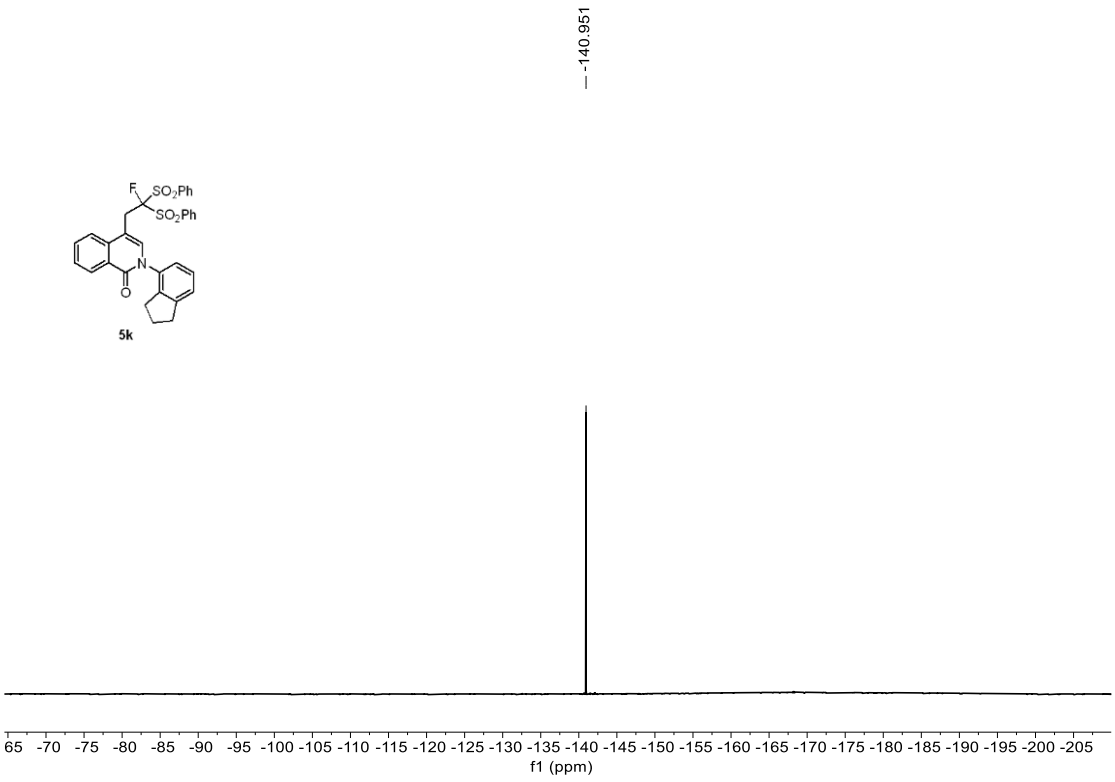
^{1}H NMR spectra of **5k** (400 MHz, $CDCl_3$)



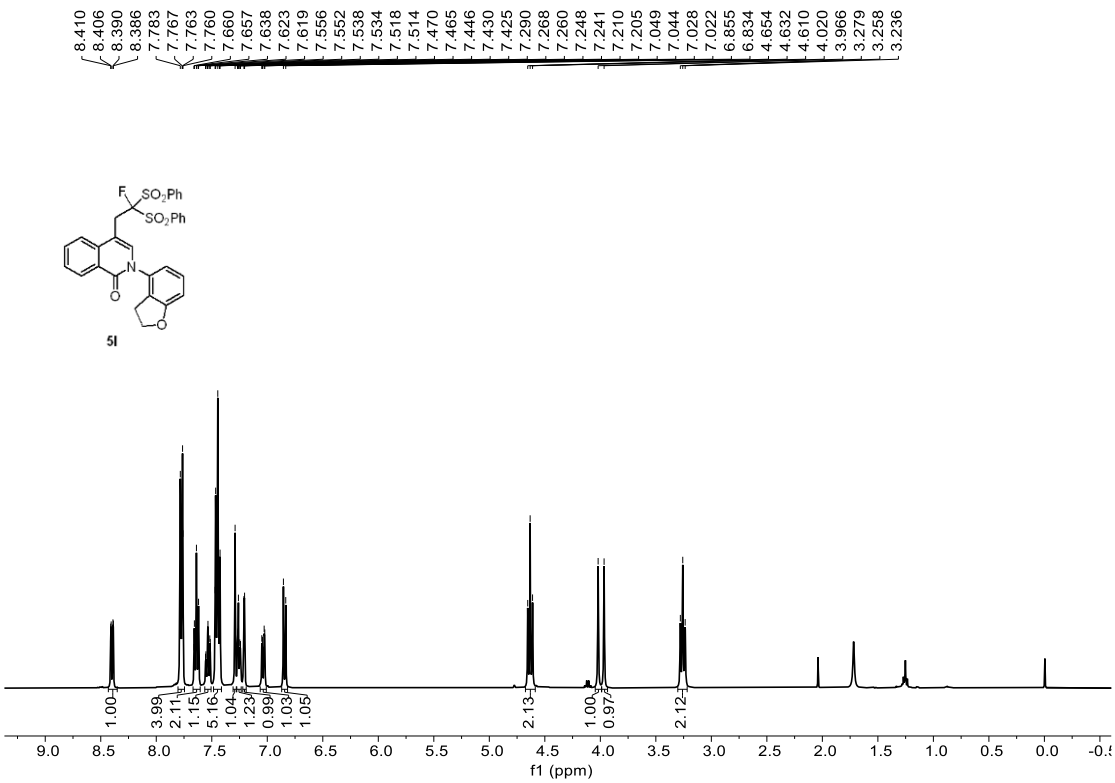
^{13}C NMR spectra of **5k** (101 MHz, $CDCl_3$)



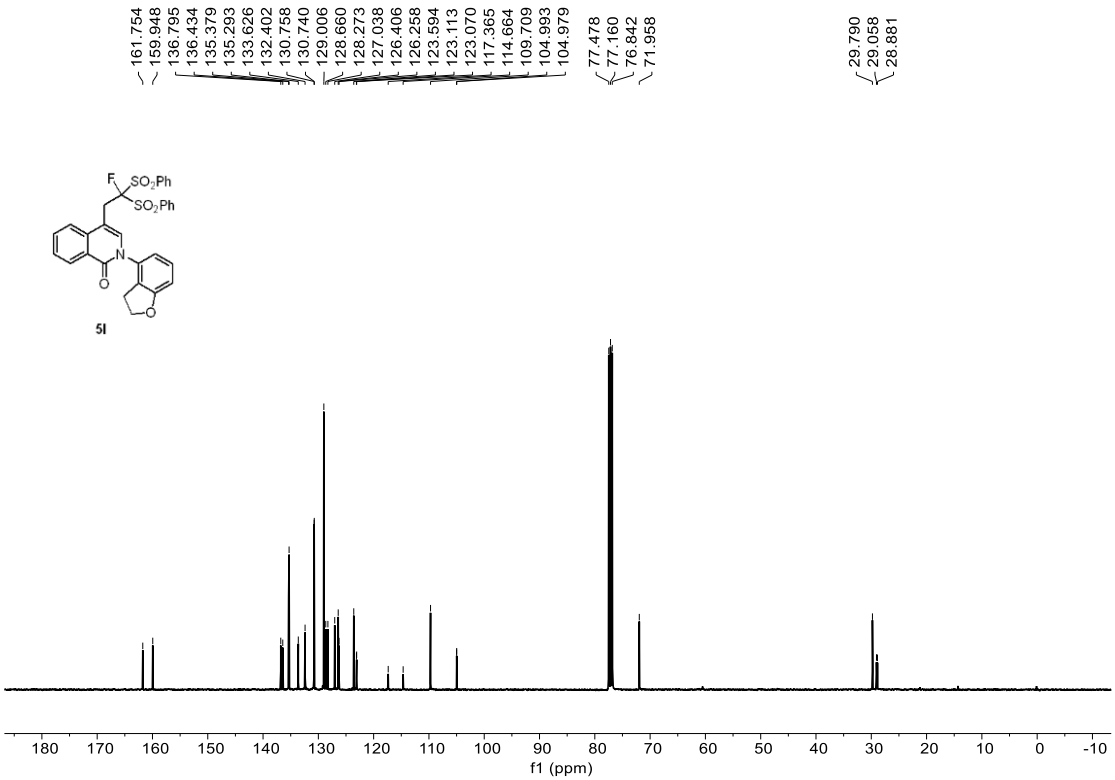
^{19}F NMR spectra of **5k** (376 MHz, $CDCl_3$)



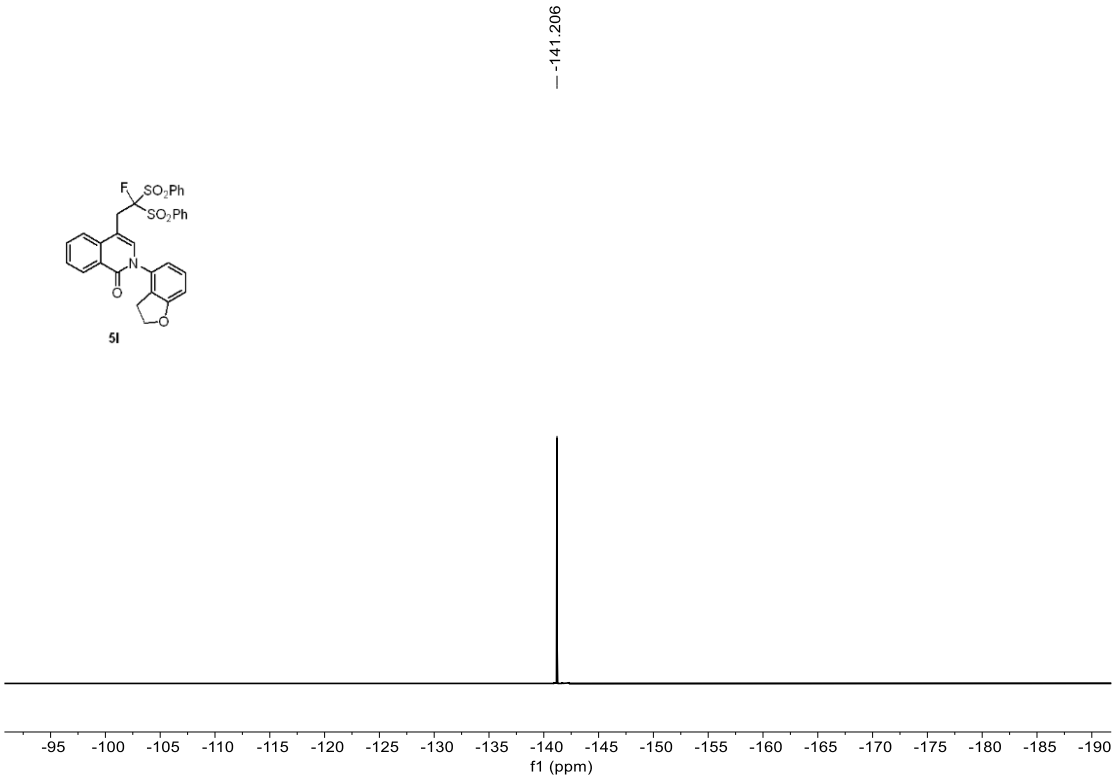
^{1}H NMR spectra of **5l** (400 MHz, $CDCl_3$)



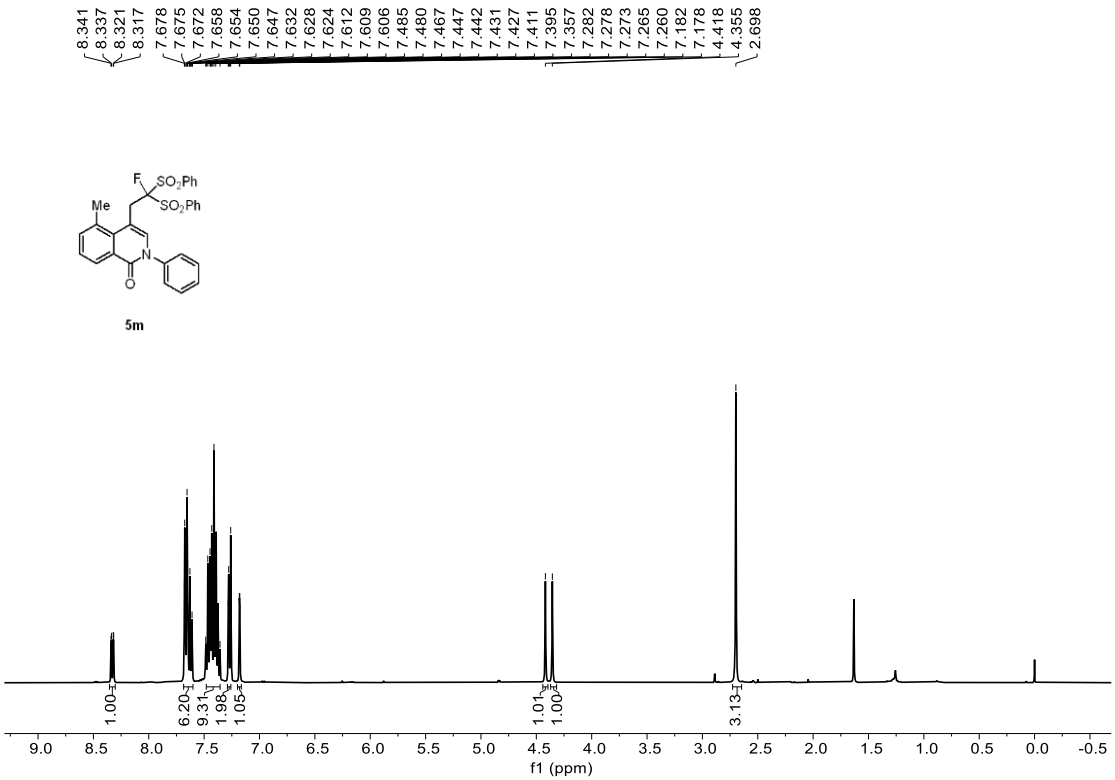
^{13}C NMR spectra of **5l** (101 MHz, $CDCl_3$)



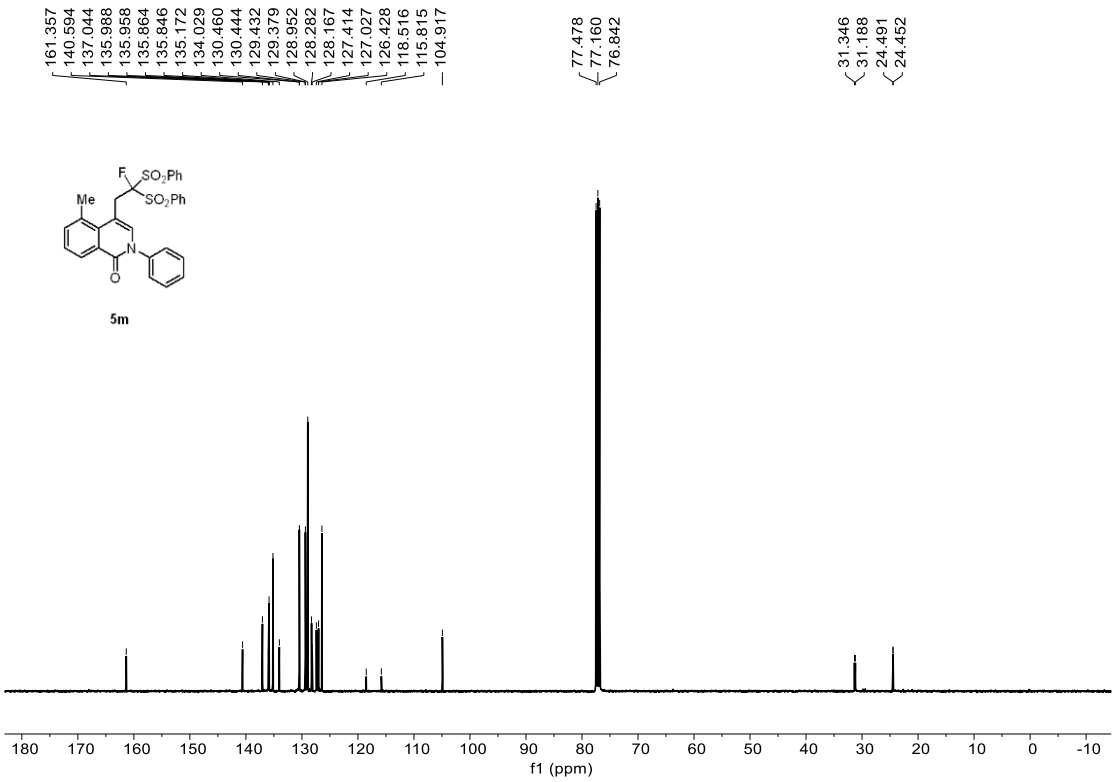
^{19}F NMR spectra of **5l** (376 MHz, $CDCl_3$)



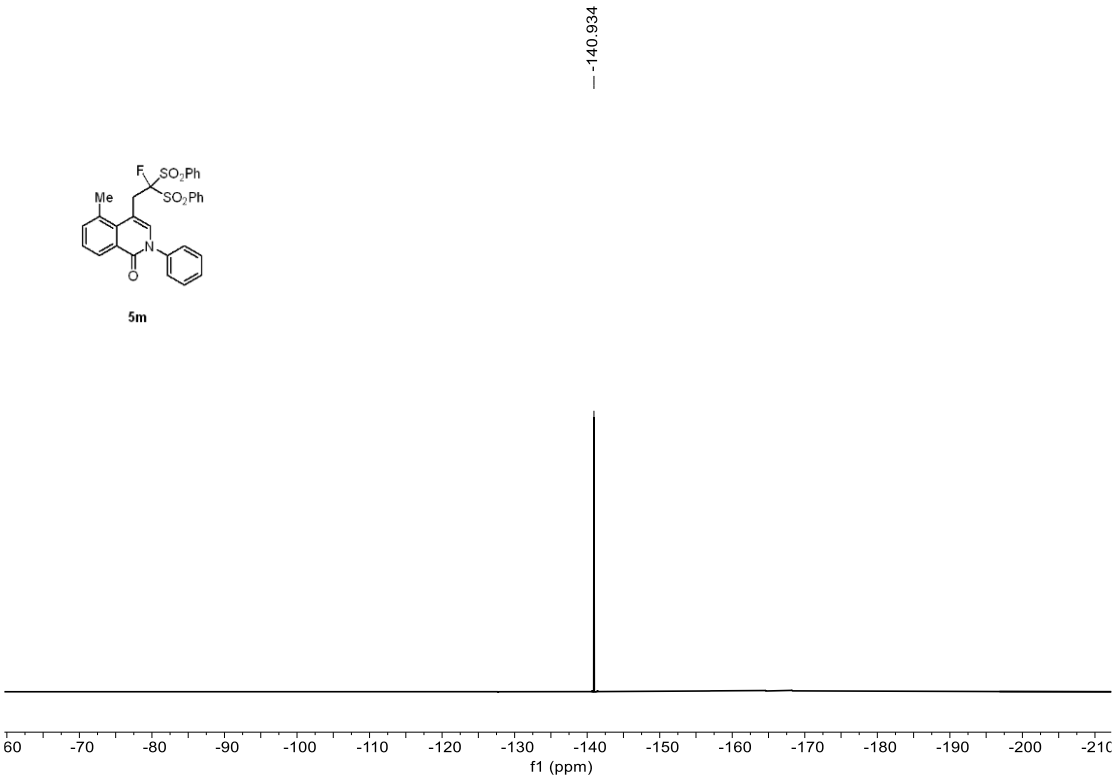
^{1}H NMR spectra of **5m** (400 MHz, $CDCl_3$)



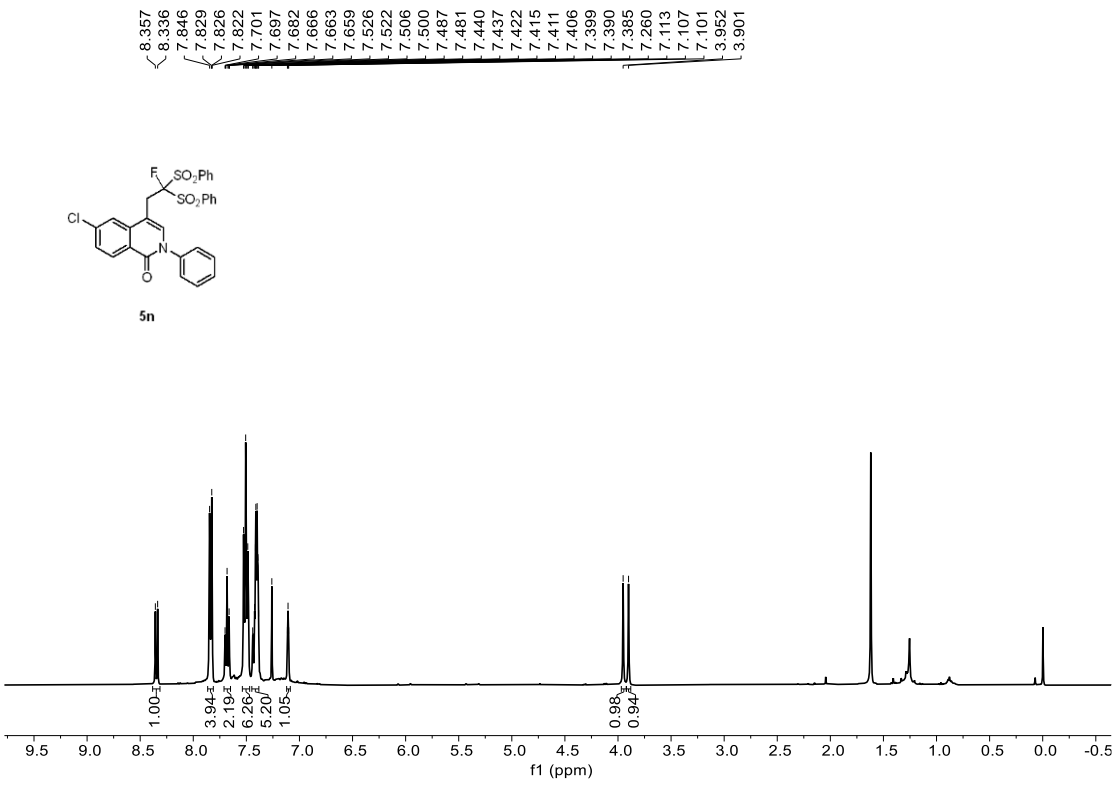
^{13}C NMR spectra of **5m** (101 MHz, $CDCl_3$)



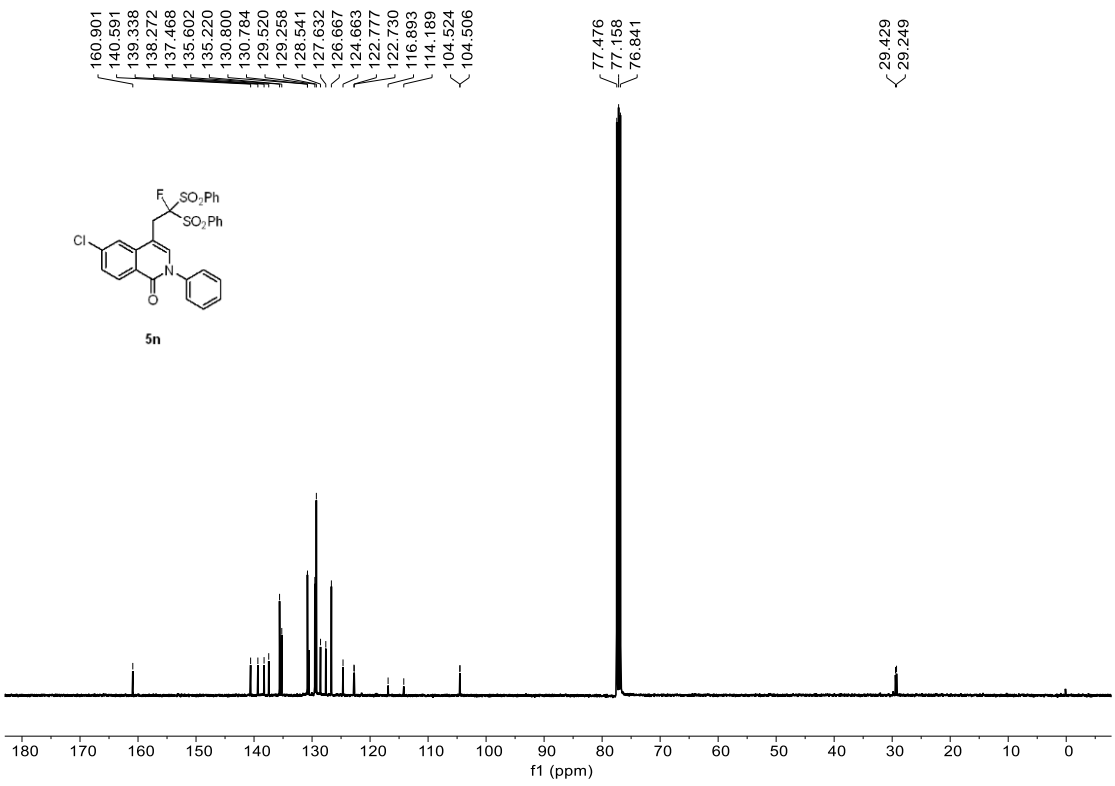
^{19}F NMR spectra of **5m** (376 MHz, $CDCl_3$)



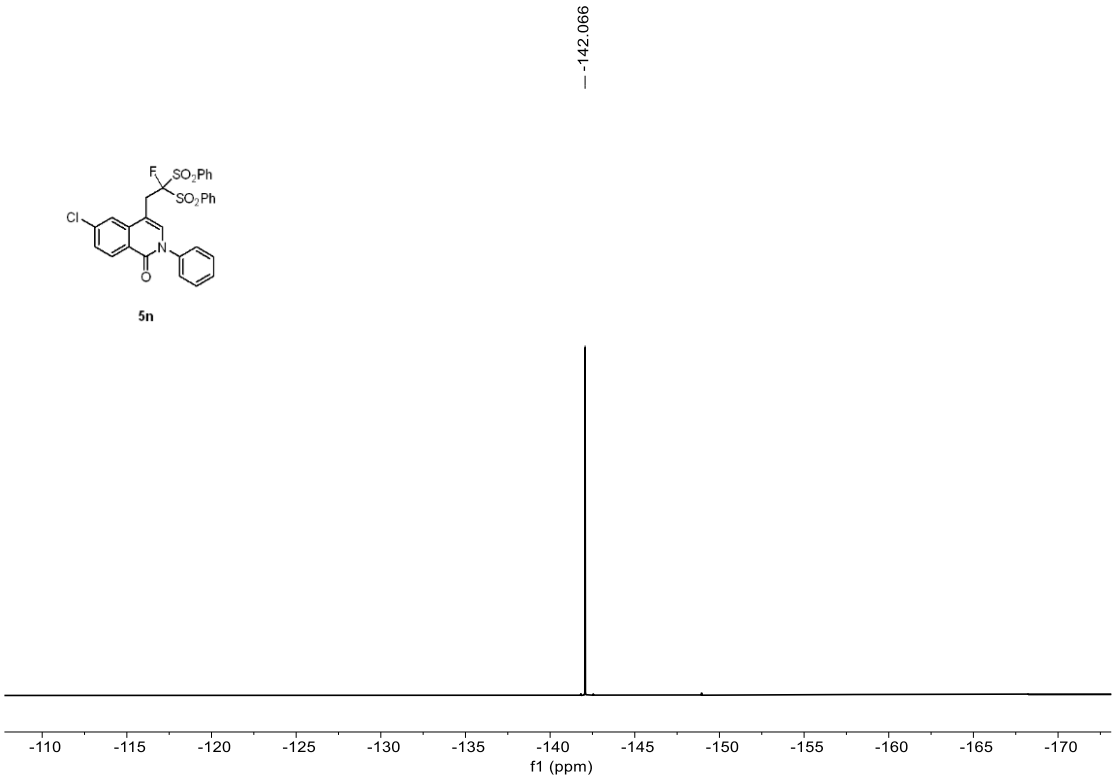
^{1}H NMR spectra of **5n** (400 MHz, $CDCl_3$)



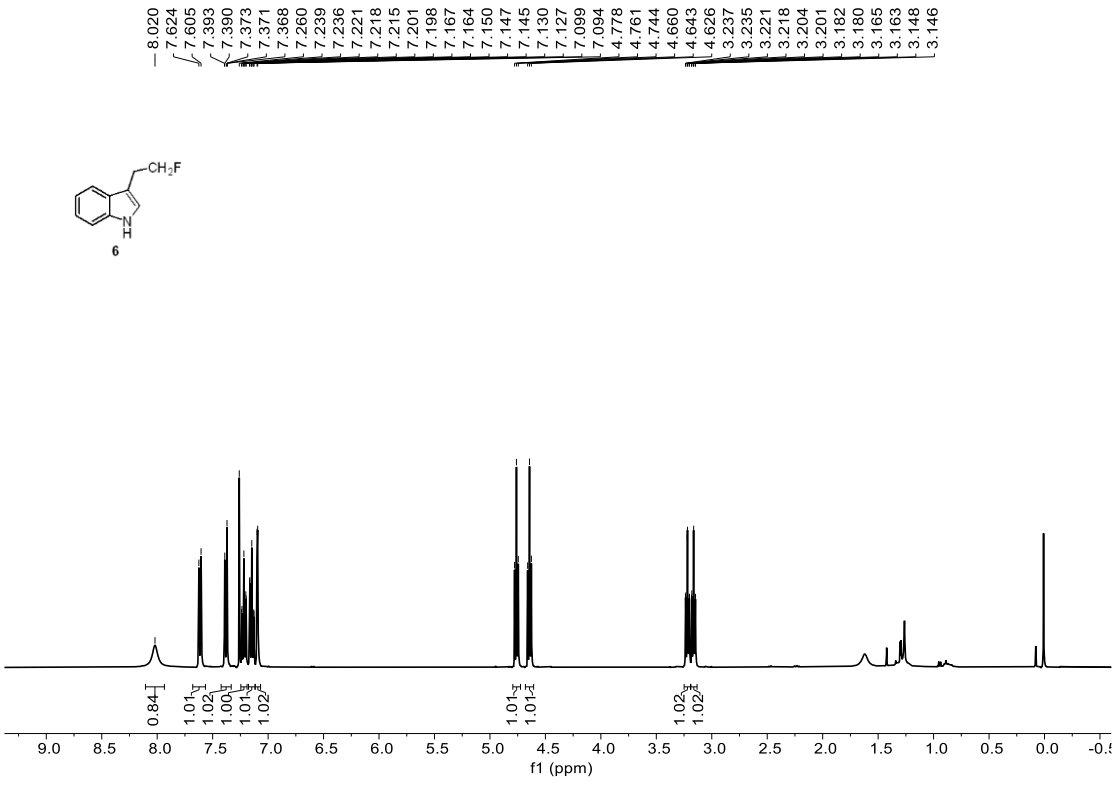
^{13}C NMR spectra of **5n** (101 MHz, $CDCl_3$)



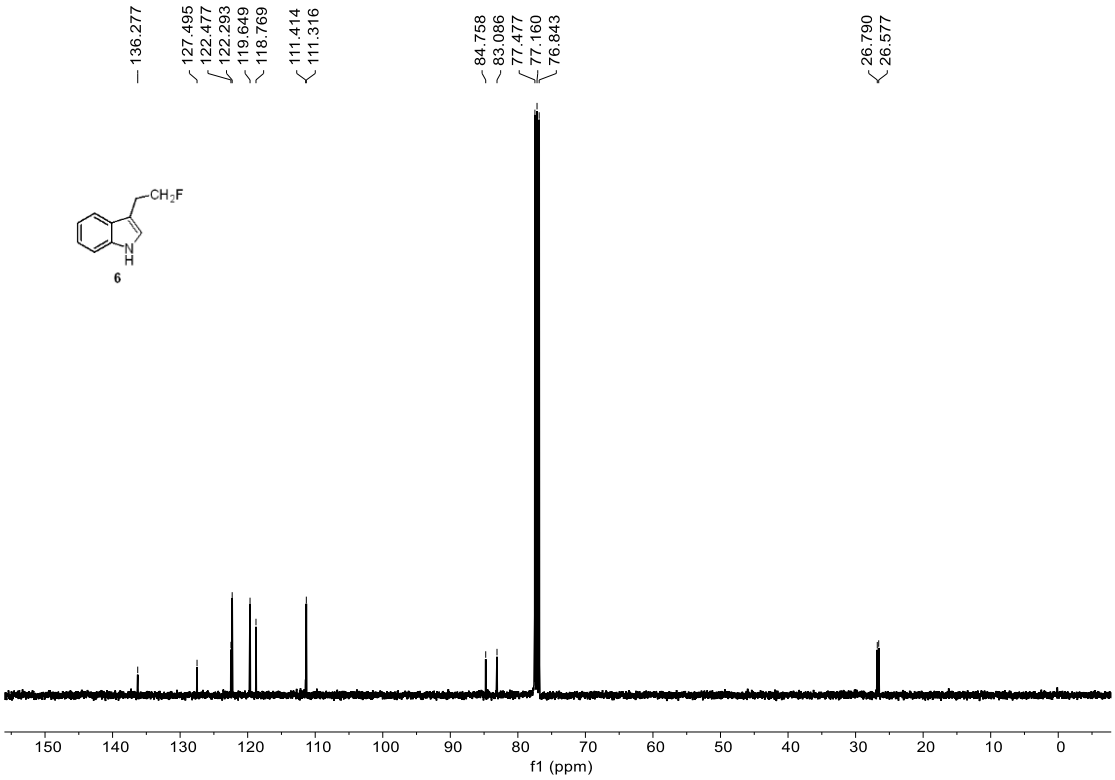
^{19}F NMR spectra of **5n** (376 MHz, $CDCl_3$)



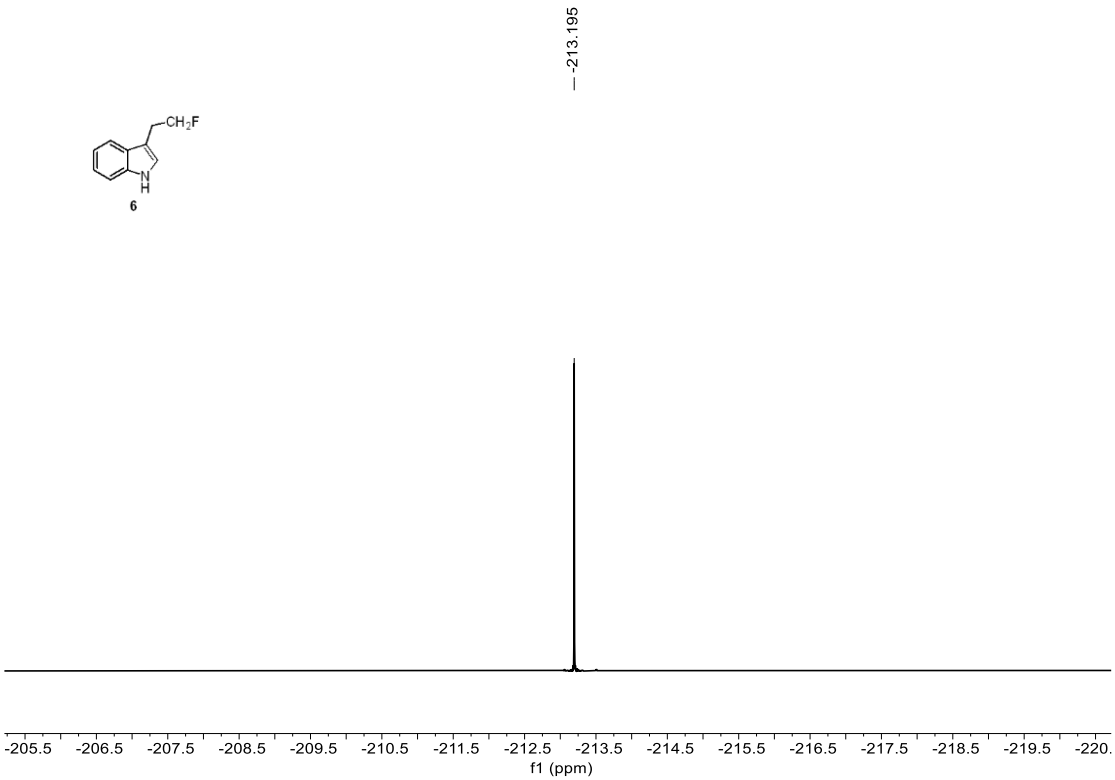
^{1}H NMR spectra of **6** (400 MHz, $CDCl_3$)



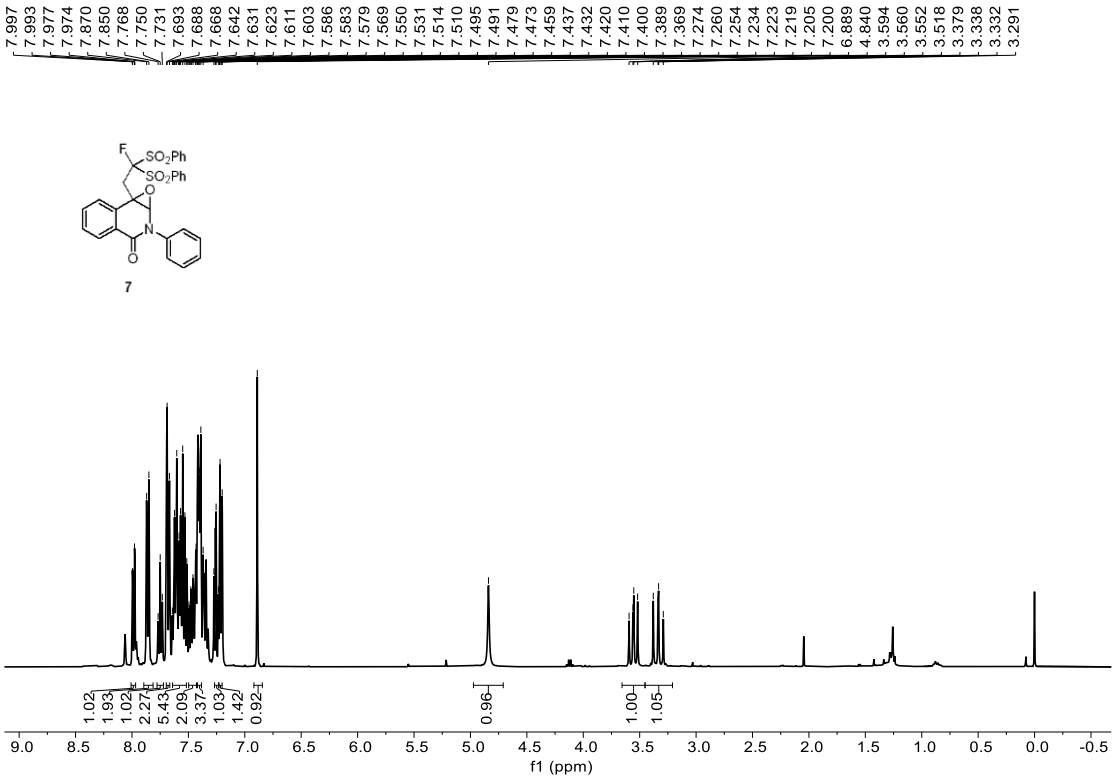
^{13}C NMR spectra of **6** (101 MHz, $CDCl_3$)



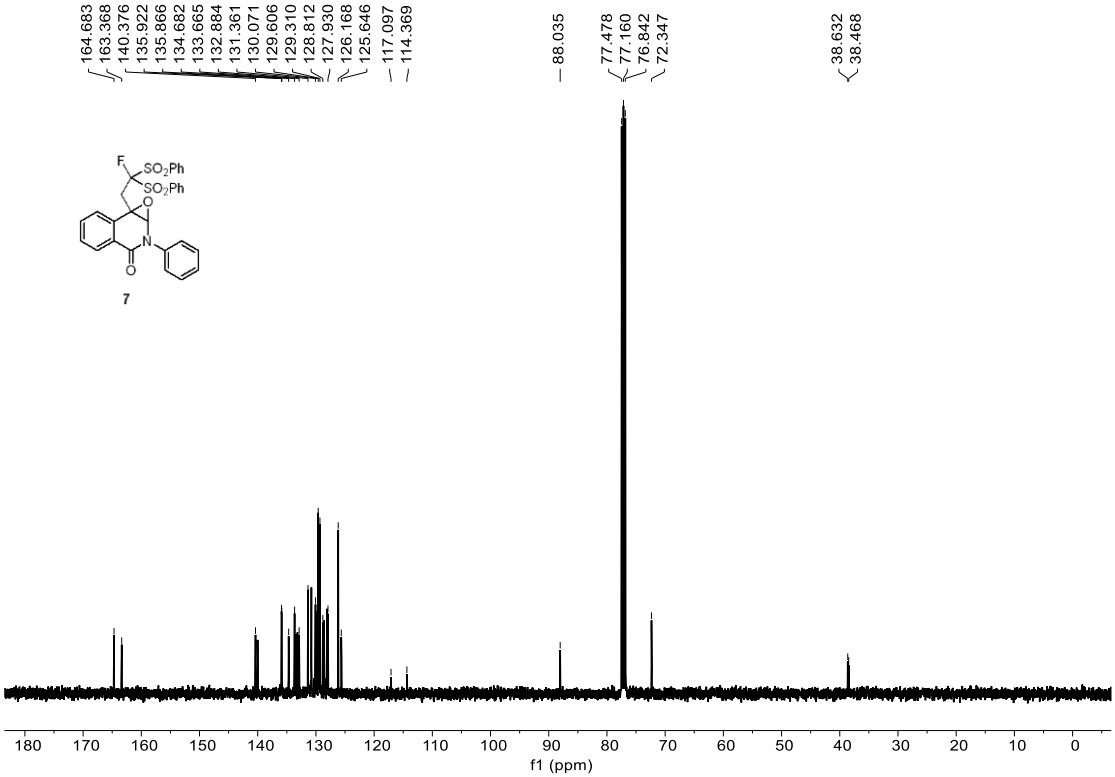
^{19}F NMR spectra of **6** (376 MHz, $CDCl_3$)



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



^{13}C NMR spectra of **7** (101 MHz, $CDCl_3$)



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