Supporting Information

Transition-Metal-Catalyzed Regiodivergent Sulfonylation of Aziridrines for the Synthesis of *β*-Amino Sulfones

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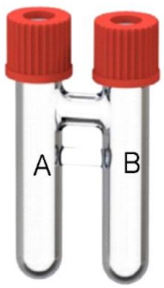
Table of Contents

1 General Information



Unless otherwise noted, all commercially available reagents were obtained from commercial suppliers and used without further purification. Unless otherwise noted, all catalytic reactions were carried out using standard techniques and two chamber (A double-vessel reaction system, consisting of two screw-capped test tubes (each 10 mL, 13×100 mm), with a total reaction volume of 20 mL, suitable for a reaction scale of 0.1-1 mmol) in an argon atmosphere glovebox (Vigor, SGI800-750TS-F). The substrates and reagents for catalytic reactions were degassed and stored in the glovebox, unless otherwise noted. All work-up and purification procedures were carried out with reagent-grade solvents in air.

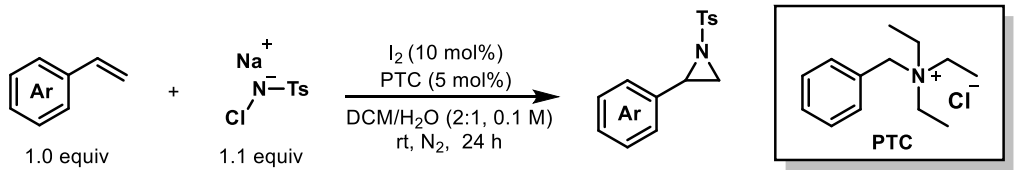
Thin Layer Chromatography analysis was performed on silica gel coated glass plates (0.25 mm) with fluorescence indicator UV254. Column chromatography was conducted with silica gel (200-300 mesh) at room temperature and under elevated pressure.

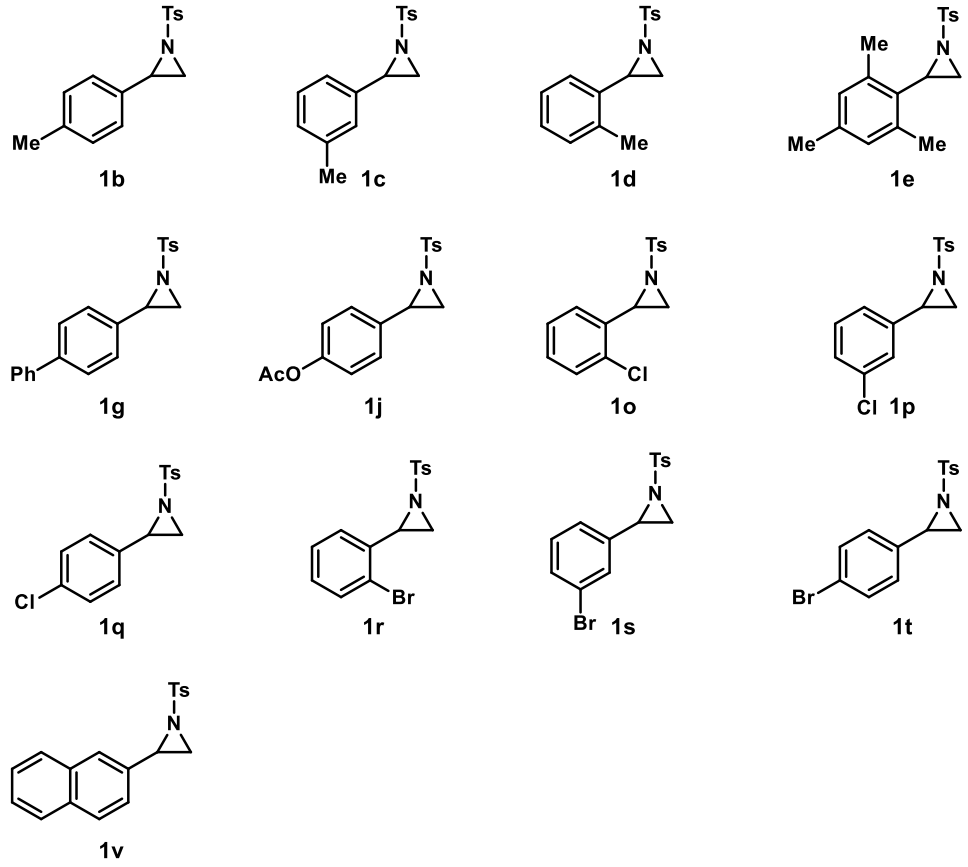
^{1}H NMR and ^{13}C NMR spectra were recorded at 400 MHz and 101 MHz, respectively in $CDCl_3$ using TMS as an internal reference with chemical shift values reported in ppm. ^{1}H NMR was reported as follows: chemical shift, multiplicity (s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet), coupling constant (J values) in Hz and integration. Chemical shifts (δ) were reported with respect to the corresponding solvent residual peak at 7.26 or 2.50 ppm for $CDCl_3$ or DMSO-d_6 for ^{1}H NMR. ^{13}C NMR spectra were reported in ppm using the central peak of $CDCl_3$ or DMSO-d_6 (77.16 or 39.52 ppm). High-resolution mass spectrometric measurements were provided by the Department of The State Key Laboratory of Biotherapy, Sichuan University. The molecular ion $[M+H]^+$ and $[M+Na]^+$ are given in m/z units.

2 General Procedure for the Synthesis of Aziridines

Method A

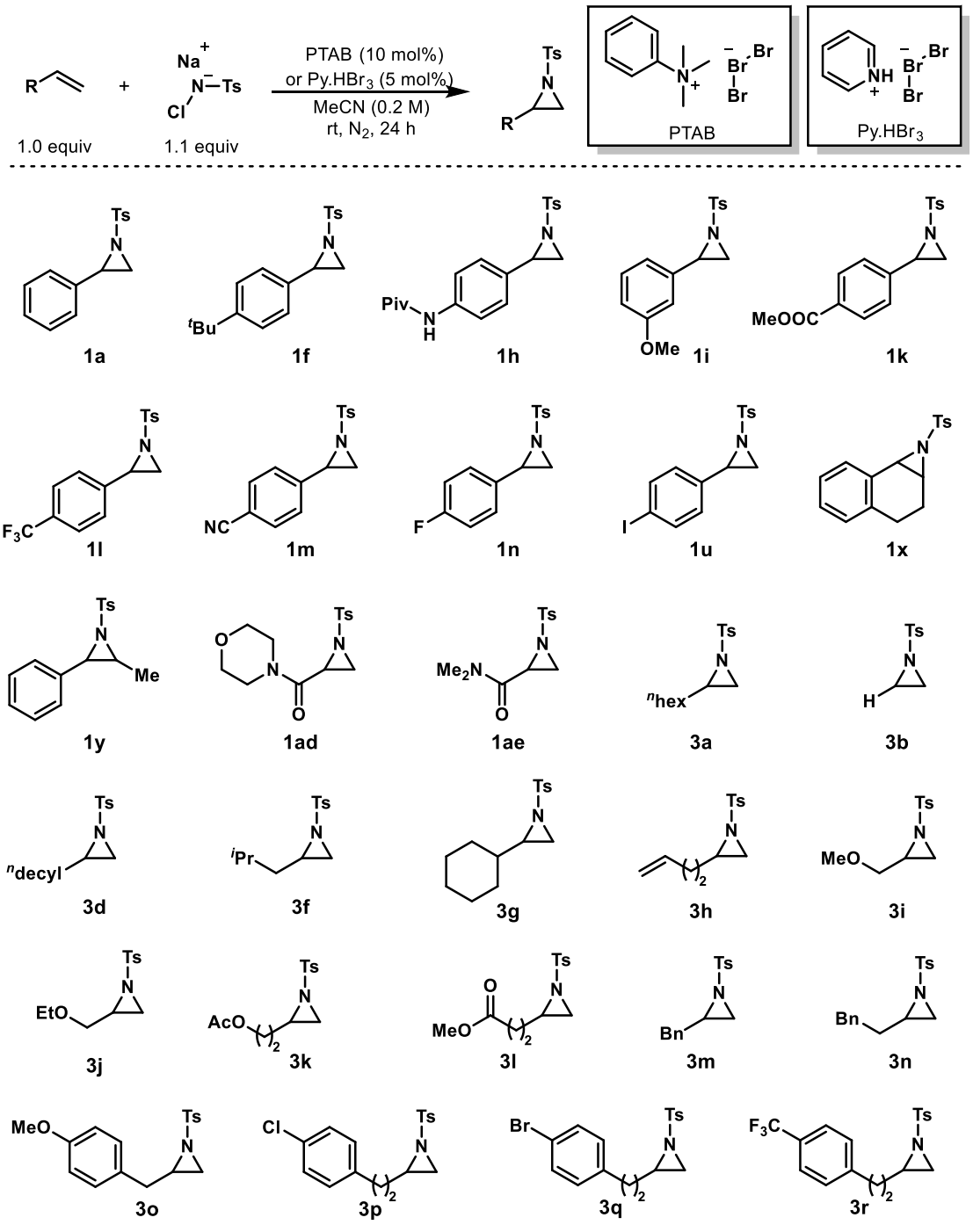
To a stirred solution of alkenes (1.0 equiv) and benzyltriethylammonium chloride (5 mol%) in DCM/H_2O (2:1, 0.1 M) were added chloramine-T (1.1 equiv) and iodine (10 mol%) at room temperature under N_2. The stirring was continued for 24 h, then treated with a saturated $Na_2S_2O_3$ and extracted with DCM. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using PE/EtOAc or PE/ DCM (2% NEt_3) as eluent to afford pure aziridines.[1]





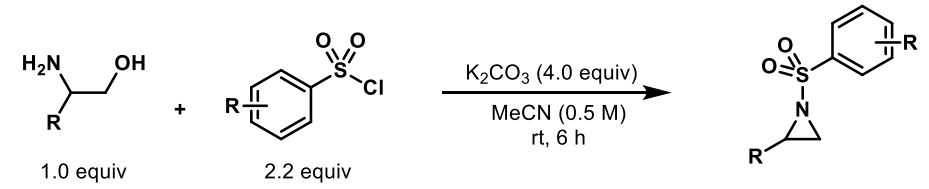
Method B

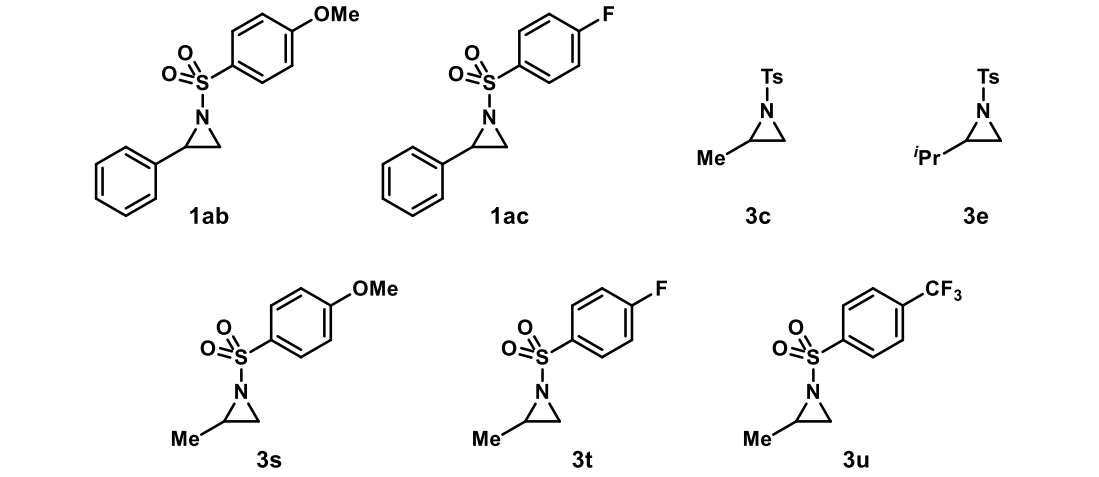
To an oven-dried round bottom flask, chloramine-T (1.1 equiv), Trimethylphenylammonium tribromide (10 mol%) or pyridine tribromide (5 mol%) was added, applied vacuum and refilled with N_2. Under positive pressure of N_2, MeCN (0.2 M) and styrene (1.0 equiv) was added and stirred at room temperature for 24 hours. The reaction mixture was passed through the Celite pad, and the filtrate was concentrated. Finally, the residue was purified by silica gel column chromatography using PE/EtOAc or PE/ DCM (2% NEt_3) as eluent to afford pure aziridines.[2,3]



Method C

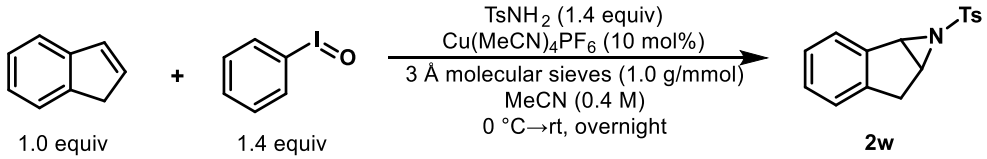
Tosyl chloride (2.2 equiv) was added portion wise at room temperature to a stirred mixture of the amino alcohol (1.0 equiv) and K_2CO_3 (4.0 equiv) in MeCN (0.5 M). After 6 h, the solid was filtered off and washed with EtOAc. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using PE/EtOAc or PE/ DCM (2% NEt_3) as eluent to afford pure aziridines.[4]





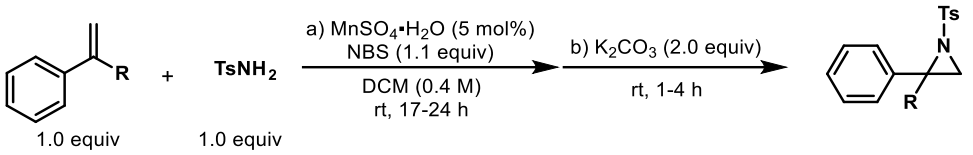
Method D

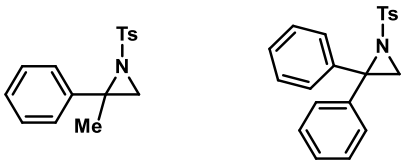
A oven-dried round bottom flask was flame dried and charged with $TsNH_2$ (1.4 equiv), $Cu(MeCN)_4PF_6$ (0.1 equiv), alkene (1.0 equiv), activated 3 Å molecular sieves (1.0 g/mmol alkene) and MeCN (0.4 M). The mixture was cooled in a 0 ℃ ice-water bath, and iodosylbenzene (1.4 equiv) was added in one portion. The mixture was allowed to warm to room temperature and stirred at room temperature overnight. The resulting mixture was filtered through a pad of Celite, and the filtrate was concentrated. Finally, the residue was purified by silica gel column chromatography using PE/EtOAc or PE/ DCM (2% NEt_3) as eluent to afford pure aziridines.[5]



Method E

A oven-dried round bottom flask equipped with magnetic stirring was charged with the alkene (1.0 equiv.), DCM (0.4 M), $TsNH_2$ (1.0 equiv.) and $MnSO_4.H_2O$ (5 mol%). N-Bromosuccinimide (NBS, 1.1 equiv) was added, and the mixture was stirred at r.t. under N_2 for 17-24 hours. Then, K_2CO_3 (2.0 equiv.) was added and the mixture was stirred at r.t. for 1-4 hours. The resulting mixture was diluted with DCM and H_2O, and the aqueous layer was extracted with DCM. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using PE/EtOAc or PE/ DCM (2% NEt_3) as eluent to afford pure aziridines.[6]

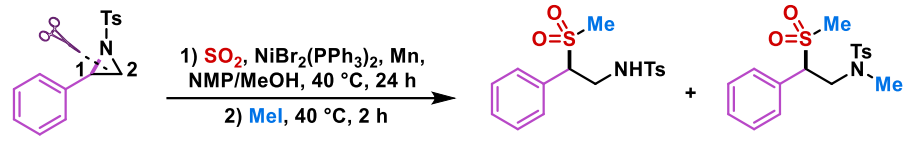




1z 1aa

3 Optimization of the Reaction Condition.

Table S1 Optimization for β-Amino Sulfones 2

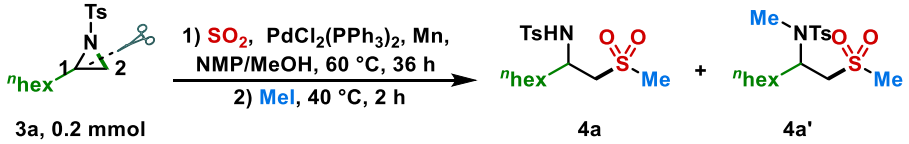


1a, 0.2 mmol 2a 2a'

Entry	Variation from standard condition[a]	Yield of 2a[b] (%)	Yield of 2a'[b] (%)	2a+2a' (%)
1	5 mol% $NiBr_2(PPh_3)_2$	78	7	85
2	**none**	**87 (88)[c]**	**3**	**90**
3	5 mol% $NiBr_2(PPh_3)_2$, 48 h	85	5	90
4	Mn (3.0 equiv.)	47	47	94
5[d]	60 °C	4	85	89
6[d]	80 °C	6	73	79
7	no MeOH	49	8	57
8	no $NiBr_2(PPh_3)_2$	nd	nd	/
9	no Mn	nd	nd	/
10	Zn instead of Mn	18	nd	18
11	EtOH instead of MeOH	82	nd	82
10[e]	Rongalite instead of SOgen	9	nd	9
11[e]	$K_2S_2O_5$ instead of SOgen	6	nd	6
12[e]	DABSO instead of SOgen	29	nd	29
13	$PdCl_2(PPh_3)_2$	61	nd	61
14	$NiBr_2$.glyme	37	nd	37
15	$NiBr_2$.DME	79	nd	79
16	$NiCl_2(PPh_3)_2$	57	nd	57
17	$NiCl_2(PCy_3)_2$	7	nd	7
18	$NiCl_2$(DPPE)	47	nd	47
19	DMSO	52	nd	52
20	DMF	82	nd	82
21	DMA	73	nd	73

[a]Standard conditions: chamber B, SOgen (0.40 mmol), 1-methyl-4-vinylbenzene (0.48 mmol), tetradecane (1.0 mL), at 100 °C for 10min. chamber A, **1a** (0.2 mmol), 10 mol% $NiBr_2(PPh_3)_2$, Mn (1.5 equiv.), NMP/MeOH = 1000 μL/40 μL, 40 °C, 24 h. [b]Yields were determined by ^1H NMR using 1,1,2,2-tetrachloroethane as an internal standard. [c]Isolated yield in parentheses. [d] MeI(8 equiv.) was used with 80. °C. [e]The reaction was carried out in a 4 mL vial.

Table S2 Optimization for β-Amino Sulfones 4



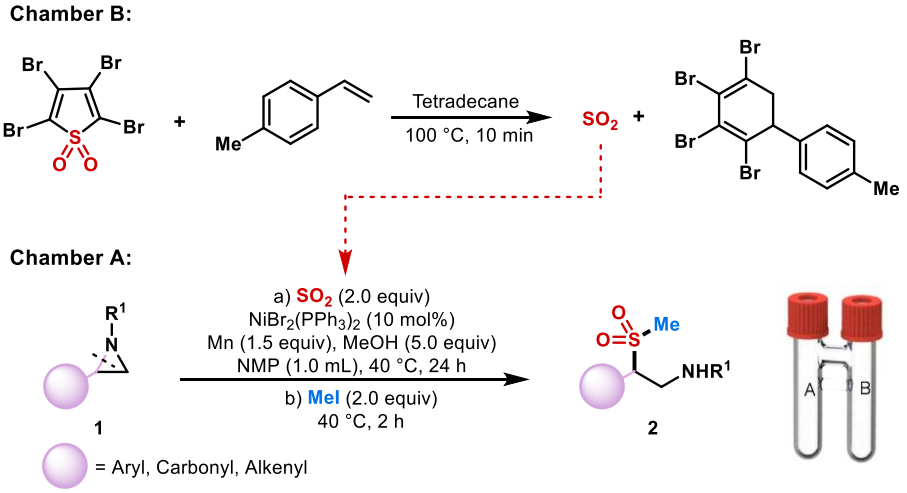
3a, 0.2 mmol — 4a — 4a'

Entry	Variation from standard condition[a]	Yield of 4a[b] (%)	Yield of 4a'[b] (%)	4a+4a' (%)
1	**none**	**68 (72)[c]**	**3**	**71**
2	5 mol% $PdCl_2(PPh_3)_2$	trace	nd	trace
3	8 mol% $PdCl_2(PPh_3)_2$	57	nd	57
4	Mn (1.5 equiv.)	14	nd	14
5	Zn instead of Mn	trace	nd	trace
6	40 °C, 48 h	trace	nd	trace
7	50 °C	59	2	61
8	70 °C	29	8	29
9	no MeOH	nd	nd	/
10	no Mn	nd	nd	/
11[d]	EtOH instead of MeOH	9	nd	9
12[d]	Rongalite instead of SOgen	trace	nd	trace
13[d]	$K_2S_2O_5$ instead of SOgen	nd	nd	/
14	DABSO instead of SOgen	trace	nd	messy
15	$NiBr_2(PPh_3)_2$	8	nd	8
16	$Pd(PPh_3)_4$	42	3	45
17	$PdCl_2(PCy_3)_2$	14	2	16
18	$PdCl_2$(DPPP)	17	nd	17
19	$PdCl_2(PhCN)_2$	10	1	11
20	DMSO	54	nd	54
21	DMF	51	nd	51
22	DMA	57	nd	57

[a]Standard conditions: chamber B, SOgen (0.40 mmol), 1-methyl-4-vinylbenzene (0.48 mmol), tetradecane (1.0 mL), at 100 °C for 10min. chamber A, **3a** (0.2 mmol), 10 mol% $PdCl_2(PPh_3)_2$, Mn (3.0 equiv.), NMP/MeOH = 1000 μL/40 μL, 60 °C, 36 h. [b]Yields were determined by ^1H NMR using 1,1,2,2-tetrachloroethane as an internal standard. [c]Isolated yield in parentheses. [d]The reaction was carried out in a 4 mL vial.

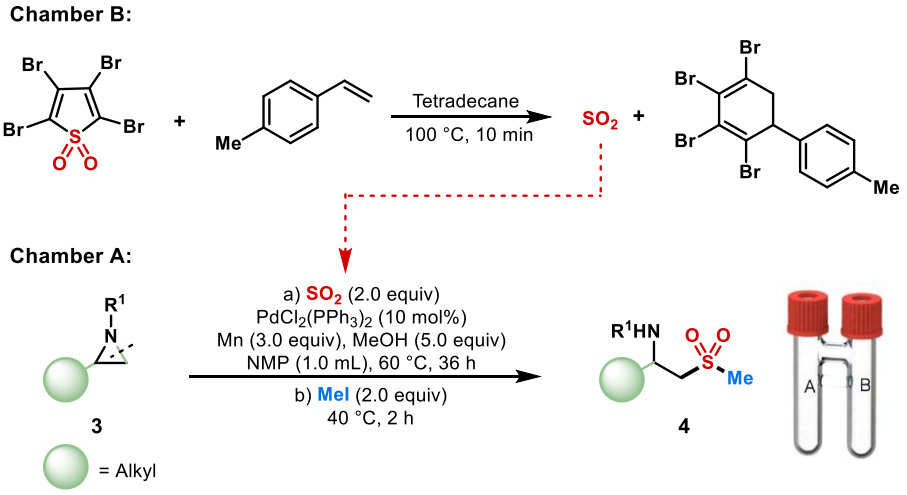
4 General Procedure for the Synthesis of β-Amino Sulfones

General Procedure A for the Synthesis of β-Amino Sulfones 2



In the glovebox, aziridines **1** (0.2 mmol, 1.0 equiv.), $NiBr_2(PPh_3)_2$ (14.9 mg, 10.0 mol%), Mn (16.5 mg, 1.5 equiv.), MeOH (40μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (1.0 mL). Tetrabromothiophene S,S-dioxides (172.7 mg, 2.0 equiv.) , 4-methylphenylene (64 μl, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 °C using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 40 °C in heat block. After 24 hours, MeI (25 μL, 2.0 equiv.) was added into chamber A, and the mixture was stirred at 40 °C for additional 2 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **2**.

General Procedure B for the Synthesis of β-Amino Sulfones 4

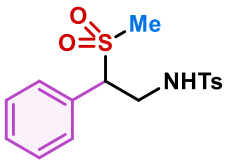


In the glovebox, aziridines **3** (0.2 mmol, 1.0 equiv.), $PdCl_2(PPh_3)_2$ (14.0 mg, 10.0 mol%), Mn (33.0 mg, 3.0 equiv.), MeOH (40μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (1.0 mL). Tetrabromothiophene S,S-dioxides (172.7

mg, 2.0 equiv.) , 4-methylphenylene (64 μl, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 60 °C in heat block. After 36 hours, MeI (25 μL, 2.0 equiv.) was added into chamber A, and the mixture was stirred at 40 °C for additional 2 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **4**.

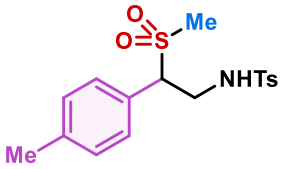
5 Characterization Data of Products

4-methyl-N-(2-(methylsulfonyl)-2-phenylethyl)benzenesulfonamide (2a)



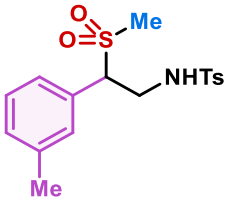
Prepared by the general procedure A from **1a** (0.2mmol, 54.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (62.3 mg, 88%, m.p. 152.5-153.4 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 – 7.69 (m, 2H), 7.43 – 7.36 (m, 3H), 7.35 – 7.28 (m, 4H), 5.19 (t, *J* = 6.4 Hz, 1H), 4.31 (t, *J* = 6.8 Hz, 1H), 3.96 – 3.87 (m, 1H), 3.61 – 3.51 (m, 1H), 2.67 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 136.5, 131.1, 130.1, 130.0, 129.6, 129.3, 127.3, 68.9, 42.1, 39.6, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{20}NO_4S_2$ 354.0828; found: 354.0823.

4-methyl-N-(2-(methylsulfonyl)-2-(p-tolyl)ethyl)benzenesulfonamide (2b)



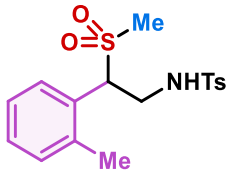
Prepared by the general procedure A from **1b** (0.2mmol, 57.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (62.8 mg, 85%, m.p. 148.1-152.8 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 – 7.66 (m, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 7.18 (s, 4H), 5.19 (t, *J* = 6.4 Hz, 1H), 4.27 (t, *J* = 6.8 Hz, 1H), 3.93 – 3.84 (m, 1H), 3.58 – 3.48 (m, 1H), 2.65 (s, 3H), 2.44 (s, 3H), 2.35 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 140.1, 136.6, 130.3, 130.0, 129.2, 128.0, 127.2, 68.5, 42.0, 39.5, 21.7, 21.3. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{22}NO_4S_2$ 368.0985; found: 368.0979.

4-methyl-N-(2-(methylsulfonyl)-2-(m-tolyl)ethyl)benzenesulfonamide (2c)



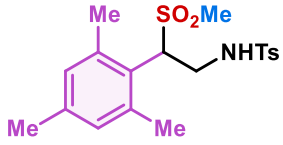
Prepared by the general procedure A from **1c** (0.2mmol, 57.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (61.8 mg, 84%, m.p. 129.7-131.2 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 – 7.68 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.30 – 7.24 (m, 1H), 7.24 – 7.18 (m, 1H), 7.11 – 7.05 (m, 2H), 5.13 (t, *J* = 6.4 Hz, 1H), 4.25 (t, *J* = 6.8 Hz, 1H), 3.96 – 3.86 (m, 1H), 3.59 – 3.49 (m, 1H), 2.67 (s, 3H), 2.45 (s, 3H), 2.35 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 139.5, 136.5, 130.9, 130.8, 130.1, 129.9, 129.4, 127.2, 126.4, 68.8, 42.0, 39.6, 21.7, 21.5. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{22}NO_4S_2$ 368.0985; found: 368.0978.

4-methyl-N-(2-(methylsulfonyl)-2-(o-tolyl)ethyl)benzenesulfonamide (2d)



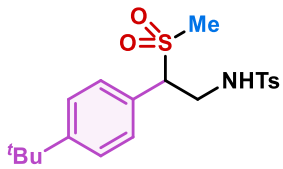
Prepared by the general procedure A from **1d** (0.2mmol, 57.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (57.5 mg, 78%, m.p. 138.4-141.4 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 – 7.68 (m, 2H), 7.40 – 7.20 (m, 6H), 5.33 (t, *J* = 5.6 Hz, 1H), 4.76 (t, *J* = 6.8 Hz, 1H), 3.99 – 3.89 (m, 1H), 3.55 – 3.45 (m, 1H), 2.72 (s, 3H), 2.45 (s, 3H), 2.38 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 137.8, 136.4, 131.5, 130.0, 129.6, 129.4, 127.6, 127.2, 127.1, 64.0, 42.6, 39.8, 21.6, 20.0. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{22}NO_4S_2$ 368.0985; found: 368.0982.

N-(2-mesityl-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2e)



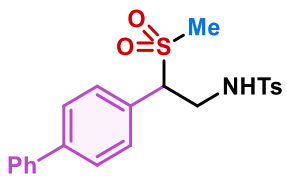
Prepared by the general procedure A from **1e** (0.2mmol, 63.1 mg), isolated as colourless oil using petroleum ether/ethyl acetate (2:1) as eluent (45.9 mg, 58%, the reaction was stirred at 60 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 – 7.68 (m, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 6.94 – 6.83 (m, 2H), 5.39 – 5.31 (m, 1H), 5.07 (dd, *J* = 8.8, 4.8 Hz, 1H), 4.13 – 4.02 (m, 1H), 3.56 – 3.45 (m, 1H), 2.92 (s, 3H), 2.42 (s, 3H), 2.37 (s, 3H), 2.36 (s, 3H), 2.25 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 139.1, 138.6, 138.4, 136.4, 131.9, 130.2, 130.1, 127.2, 125.5, 67.5, 42.8, 42.2, 21.8, 21.73, 21.67, 20.9. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{19}H_{26}NO_4S_2$ 396.1298; found: 396.1299.

N-(2-(4-(tert-butyl)phenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2f)



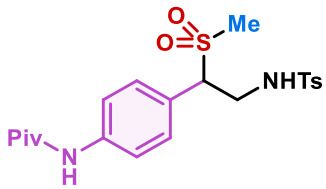
Prepared by the general procedure A from **1f** (0.2mmol, 65.9 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (68.5 mg, 84%, m.p. 119.3-122.8 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.74 – 7.68 (m, 2H), 7.41 – 7.35 (m, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 7.24 – 7.19 (m, 2H), 5.29 (t, *J* = 6.4 Hz, 1H), 4.30 (t, *J* = 6.8 Hz, 1H), 3.94 – 3.85 (m, 1H), 3.57 – 3.48 (m, 1H), 2.67 (s, 3H), 2.43 (s, 3H), 1.30 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 153.1, 144.0, 136.5, 130.0, 129.0, 127.8, 127.2, 126.5, 68.4, 41.9, 39.6, 34.8, 31.3, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{20}H_{28}NO_4S_2$ 410.1454; found: 410.1444.

N-(2-([1,1'-biphenyl]-4-yl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2g)



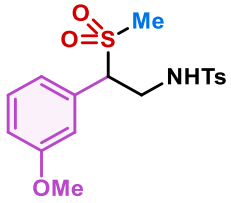
Prepared by the general procedure A from **1g** (0.2mmol, 69.9 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (70.7 mg, 82%, m.p. 201.9-204.3 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.76 – 7.70 (m, 2H), 7.63 – 7.58 (m, 2H), 7.58 – 7.54 (m, 2H), 7.50 – 7.43 (m, 2H), 7.42 – 7.36 (m, 3H), 7.32 (d, *J* = 8.0 Hz, 2H), 5.23 (t, *J* = 6.4 Hz, 1H), 4.37 (t, *J* = 6.8 Hz, 1H), 4.00 – 3.90 (m, 1H), 3.65 – 3.54 (m, 1H), 2.72 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 142.9, 139.9, 136.5, 130.1, 129.85, 129.77, 129.1, 128.2, 128.1, 127.3, 127.2, 68.6, 42.1, 39.7, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{24}NO_4S_2$ 430.1141; found: 430.1137.

N-(4-(2-((4-methylphenyl)sulfonamido)-1-(methylsulfonyl)ethyl)phenyl)pivalamide (2h)



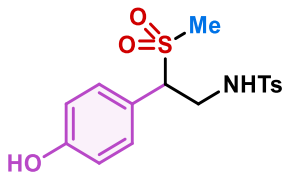
Prepared by the general procedure A from **1h** (0.2mmol, 74.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (43.5 mg, 48%, m.p. 183.6-187.6 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.73 – 7.67 (m, 2H), 7.57 (d, *J* = 8.4 Hz, 2H), 7.48 (s, 1H), 7.31 (d, *J* = 8.0 Hz, 2H), 7.25 – 7.20 (m, 2H), 5.25 (t, *J* = 6.4 Hz, 1H), 4.27 (t, *J* = 6.8 Hz, 1H), 3.91 – 3.82 (m, 1H), 3.55 – 3.45 (m, 1H), 2.66 (s, 3H), 2.44 (s, 3H), 1.31 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 177.2, 144.1, 139.6, 136.5, 130.1, 127.2, 126.3, 120.8, 68.3, 41.9, 39.8, 39.6, 27.6, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{28}N_2NaO_5S_2$ 475.1332; found:475.1324.

N-(2-(3-methoxyphenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2i)



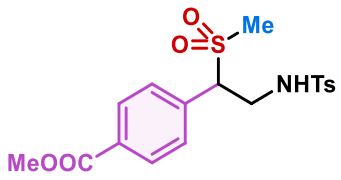
Prepared by the general procedure A from **1i** (0.2mmol, 60.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (68.7 mg, 90%, m.p. 136.0-138.3 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.74 – 7.67 (m, 2H), 7.34 – 7.25 (m, 3H), 6.95 – 6.81 (m, 3H), 5.22 (t, *J* = 6.4 Hz, 1H), 4.28 (t, *J* = 6.8 Hz, 1H), 3.94 – 3.85 (m, 1H), 3.79 (s, 3H), 3.59 – 3.49 (m, 1H), 2.68 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 160.2, 144.1, 136.5, 132.5, 130.6, 130.1, 127.2, 121.5, 115.2, 115.0, 68.8, 55.5, 42.0, 39.7, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{22}NO_5S_2$ 384.0934; found: 384.0924.

N-(2-(4-hydroxyphenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2j)



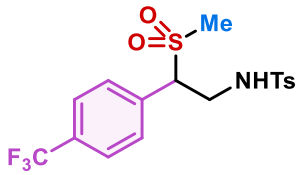
Prepared by the general procedure A from 4-OAc phenyl aziridine **1j** (0.2mmol, 66.3 mg), isolated as white solid using petroleum ether/ethyl acetate (1:1) as eluent (66.5 mg, 90%, m.p. 182.3-186.5 ℃) phenyl acetate at 60 ℃). ^{1}H NMR (400 MHz, DMSO-d_6) δ 9.67 (s, 1H), 7.79 (t, *J* = 6.0 Hz, 1H), 7.69 – 7.61 (m, 2H), 7.38 (d, *J* = 8.0 Hz, 2H), 7.19 – 7.11 (m, 2H), 6.81 – 6.71 (m, 2H), 4.35 (dd, *J* = 9.6, 4.8 Hz, 1H), 3.59 – 3.48 (m, 1H), 3.37 – 3.28 (m, 1H), 2.77 (s, 3H), 2.38 (s, 3H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 158.4, 143.8, 137.1, 131.7, 130.4, 127.1, 121.4, 116.0, 67.7, 41.2, 39.4, 21.5. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{20}NO_5S_2$ 370.0777; found: 370.0776.

methyl 4-(2-((4-methylphenyl)sulfonamido)-1-(methylsulfonyl)ethyl)benzoate (2k)



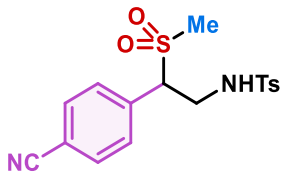
Prepared by the general procedure A from **1k** (0.2mmol, 66.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (74.9 mg, 91%, m.p. 158.5-160.4 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.06 – 8.01 (m, 2H), 7.72 – 7.66 (m, 2H), 7.44 – 7.38 (m, 2H), 7.31 (d, *J* = 8.0 Hz, 2H), 5.31 (dd, *J* = 7.6, 5.6 Hz, 1H), 4.44 (t, *J* = 6.8 Hz, 1H), 3.96 – 3.87 (m, 4H), 3.59 – 3.50 (m, 1H), 2.71 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 166.3, 144.2, 136.3, 136.0, 131.6, 130.6, 130.1, 129.5, 127.2, 68.7, 52.6, 42.1, 40.0, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{22}NO_6S_2$ 412.0883; found: 412.0878.

4-methyl-N-(2-(methylsulfonyl)-2-(4-(trifluoromethyl) phenyl)ethyl) benzenesulfonamide (2l)



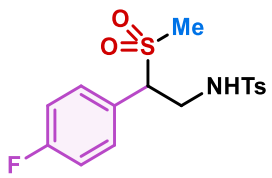
Prepared by the general procedure A from **1l** (0.2mmol, 68.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (76.7 mg, 91%, m.p. 109.0-114.0 ℃). ^{1}H NMR (400 MHz, DMSO-d_6) δ 7.91 (dd, J = 6.8, 5.6 Hz, 1H), 7.76 (d, J = 8.2 Hz, 2H), 7.65 – 7.56 (m, 4H), 7.37 (d, J = 8.0 Hz, 2H), 4.70 (dd, J = 10.0, 4.8 Hz, 1H), 3.66 – 3.58 (m, 1H), 3.51 – 3.44 (m, 1H), 2.92 (s, 3H), 2.38 (s, 3H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -61.2. ^{13}C NMR (101 MHz, DMSO-d_6) δ 143.1, 137.1, 135.9, 131.0, 129.8, 129.3 (d, J = 31.8 Hz), 126.6, 125.4 (q, J = 3.5 Hz), 124.2 (q, J = 272.3 Hz), 67.2, 40.9, 39.4, 21.0. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{19}F_3NO_4S_2$ 422.0702; found: 422.0695.

N-(2-(4-cyanophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2m)



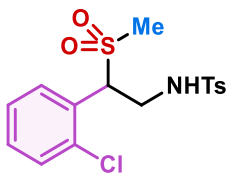
Prepared by the general procedure A from **1m** (0.2mmol, 59.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (68.8 mg, 91%, m.p. 146.0-148.8 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 – 7.64 (m, 4H), 7.52 – 7.46 (m, 2H), 7.31 (d, J = 8.0 Hz, 2H), 5.39 (dd, J = 7.2, 6.0 Hz, 1H), 4.52 (t, J = 6.8 Hz, 1H), 3.93 – 3.84 (m, 1H), 3.56 – 3.46 (m, 1H), 2.77 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.4, 136.3, 136.1, 133.1, 130.4, 130.2, 127.2, 118.0, 113.8, 68.6, 42.2, 40.3, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{19}N_2O_4S_2$ 379.0781; found: 379.0776.

N-(2-(4-fluorophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2n)



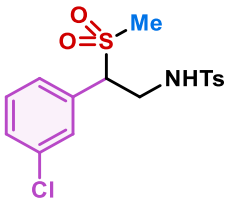
Prepared by the general procedure A from **1n** (0.2mmol, 58.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (61.7 mg, 83%, m.p. 130.0-134.8 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.70 (d, J = 8.0 Hz, 2H), 7.41 – 7.28 (m, 4H), 7.07 (t, J = 8.4 Hz, 2H), 5.30 (t, J = 6.4 Hz, 1H), 4.37 (t, J = 6.8 Hz, 1H), 3.95 – 3.81 (m, 1H), 3.57 – 3.44 (m, 1H), 2.69 (s, 3H), 2.44 (s, 3H). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -110.57. ^{13}C NMR (101 MHz, Chloroform-*d*) δ 163.6 (d, J = 250.4 Hz), 144.2, 136.4, 131.3 (d, J = 8.4 Hz), 130.1, 127.2, 127.0 (d, J = 3.4 Hz), 116.7 (d, J = 21.8 Hz), 68.1, 42.2, 39.8 (d, J = 3.8 Hz), 21.7 (d, J = 3.8 Hz). HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{19}FNO_4S_2$ 372.0734; found: 372.0728.

N-(2-(2-chlorophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2o)



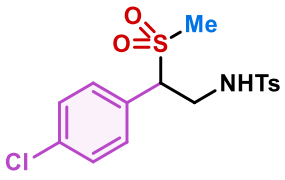
Prepared by the general procedure A from **1o** (0.2mmol, 61.6 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (65.0 mg, 84%, m.p. 118.9-121.8 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 (d, J = 8.1 Hz, 2H), 7.52 – 7.39 (m, 2H), 7.38 – 7.28 (m, 4H), 5.33 (dd, J = 6.8, 5.2 Hz, 1H), 5.03 (dd, J = 7.6, 6.0 Hz, 1H), 4.01 – 3.88 (m, 1H), 3.61 – 3.49 (m, 1H), 2.73 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 136.6, 134.9, 131.0, 130.3, 130.1, 129.8, 129.1, 128.1, 127.2, 63.5, 41.9, 40.3, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{19}ClNO_4S_2$ 388.0439; found: 388.0435.

N-(2-(3-chlorophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2p)



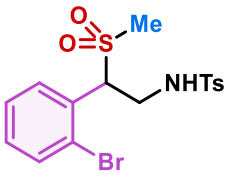
Prepared by the general procedure A from **1p** (0.2mmol, 61.6 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (63.6 mg, 82%, m.p. 150.1-153.8 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 – 7.66 (m, 2H), 7.41 – 7.27 (m, 5H), 7.25 – 7.21 (m, 1H), 5.25 (t, *J* = 6.4 Hz, 1H), 4.33 (t, *J* = 6.8 Hz, 1H), 3.95 – 3.83 (m, 1H), 3.57 – 3.46 (m, 1H), 2.73 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 136.4, 135.5, 133.1, 130.8, 130.18, 130.15, 129.4, 127.6, 127.2, 68.4, 42.1, 40.0, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{19}ClNO_4S_2$ 388.0439; found: 388.0431.

N-(2-(4-chlorophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2q)



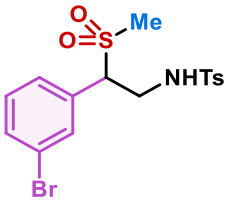
Prepared by the general procedure A from **1q** (0.2mmol, 61.6 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (59.0 mg, 76%, m.p. 42.8-44.1 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 – 7.64 (m, 2H), 7.40 – 7.34 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.29 – 7.24 (m, 2H), 5.18 (t, *J* = 6.4 Hz, 1H), 4.34 (t, *J* = 6.8 Hz, 1H), 3.94 – 3.82 (m, 1H), 3.57 – 3.44 (m, 1H), 2.70 (s, 3H), 2.45 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 136.4, 136.2, 130.7, 130.1, 129.8, 129.6, 127.2, 68.2, 42.1, 39.8, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{19}ClNO_4S_2$ 388.0439; found: 388.0433.

N-(2-(2-bromophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2r)



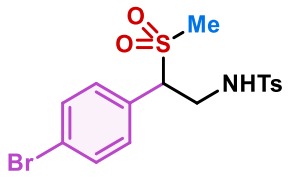
Prepared by the general procedure A from **1r** (0.2mmol, 70.4 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (64.6 mg, 75%, m.p. 126.0-130.5 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.74 – 7.68 (d, *J* = 8.2 Hz, 2H), 7.64 – 7.59 (m, 1H), 7.49 – 7.44 (m, 1H), 7.38 – 7.21 (m, 4H), 5.35 (dd, *J* = 7.6, 5.6 Hz, 1H), 5.06 (dd, *J* = 7.2, 6.0 Hz, 1H), 3.99 – 3.88 (m, 1H), 3.61 – 3.49 (m, 1H), 2.74 (s, 3H), 2.42 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 136.6, 133.7, 131.2, 130.9, 130.05, 129.97, 128.6, 127.2, 125.8, 66.4, 42.1, 40.5, 21.6. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{19}BrNO_4S_2$ 431.9933; found: 431.9927.

N-(2-(3-bromophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2s)



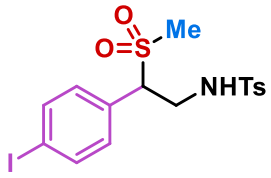
Prepared by the general procedure A from **1s** (0.2mmol, 70.4 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (73.0 mg, 84%, m.p. 157.4-160.6 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 – 7.69 (m, 2H), 7.58 – 7.53 (m, 1H), 7.47 – 7.43 (m, 1H), 7.37 – 7.27 (m, 4H), 5.34 (t, *J* = 6.4 Hz, 1H), 4.35 (t, *J* = 6.8 Hz, 1H), 3.96 – 3.86 (m, 1H), 3.58 – 3.48 (m, 1H), 2.75 (s, 3H), 2.46 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.2, 136.4, 133.4, 133.1, 132.3, 131.0, 130.2, 128.1, 127.2, 123.5, 68.3, 42.1, 40.0, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{19}BrNO_4S_2$ 431.9933; found: 431.9926.

N-(2-(4-bromophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2t)



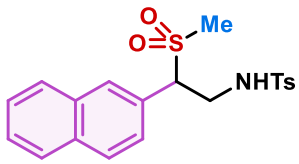
Prepared by the general procedure A from **1t** (0.2mmol, 70.4 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (74.4 mg, 86%, m.p. 183.8-187.6 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.72 – 7.67 (m, 2H), 7.55 – 7.50 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.23 – 7.18 (m, 2H), 5.17 (dd, *J* = 7.2, 6.0 Hz, 1H), 4.32 (t, *J* = 6.8 Hz, 1H), 3.92 – 3.83 (m, 1H), 3.56 – 3.46 (m, 1H), 2.71 (s, 3H), 2.45 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 136.4, 132.8, 130.9, 130.1, 127.2, 124.4, 68.3, 42.1, 39.8, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{19}BrNO_4S_2$ 431.9934; found: 431.9929.

N-(2-(4-iodophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2u)



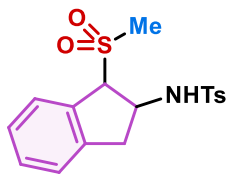
Prepared by the general procedure A from **1u** (0.2mmol, 79.8 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (69.0 mg, 72%, m.p. 187.2-191.7 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 – 7.64 (m, 4H), 7.32 (d, J = 8.0 Hz, 2H), 7.11 – 6.99 (m, 2H), 5.12 (t, J = 6.8 Hz, 1H), 4.29 (t, J = 6.8 Hz, 1H), 3.95 – 3.76 (m, 1H), 3.59 – 3.38 (m, 1H), 2.70 (s, 3H), 2.45 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 138.8, 136.5, 131.0, 130.8, 130.1, 127.3, 68.5, 42.1, 39.9, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{19}INO_4S_2$ 479.9795; found: 479.9801.

4-methyl-N-(2-(methylsulfonyl)-2-(naphthalen-2-yl)ethyl)benzenesulfonamide (2v)



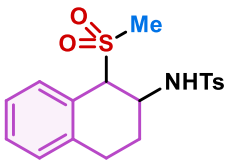
Prepared by the general procedure A from **1v** (0.2mmol, 64.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (71.0 mg, 88%, m.p. 186.0-190.3 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.90 – 7.76 (m, 4H), 7.73 – 7.68 (m, 2H), 7.59 – 7.53 (m, 2H), 7.43 – 7.38 (m, 1H), 7.29 (d, *J* = 8.0 Hz, 2H), 5.12 (t, *J* = 6.4 Hz, 1H), 4.48 (t, *J* = 6.8 Hz, 1H), 4.08 – 3.98 (m, 1H), 3.72 – 3.61 (m, 1H), 2.69 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 136.5, 133.7, 133.3, 130.1, 129.6, 129.4, 128.4, 128.3, 127.9, 127.5, 127.3, 127.2, 125.6, 69.1, 42.1, 39.8, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{20}H_{22}NO_4S_2$ 404.0985; found: 404.0979.

4-methyl-N-(1-(methylsulfonyl)-2,3-dihydro-1H-inden-2-yl)benzenesulfonamide (2w)



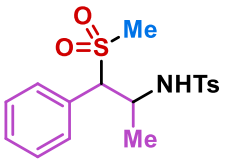
Prepared by the general procedure A from **1w** (0.2mmol, 57.1 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (44.6 mg, 61%, m.p. 197.7-201.9 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.83 – 7.77 (m, 2H), 7.55 (d, *J* = 7.6 Hz, 1H), 7.39 – 7.27 (m, 4H), 7.23 (d, *J* = 7.6 Hz, 1H), 4.99 (d, *J* = 7.6 Hz, 1H), 4.61 (d, *J* = 2.0 Hz, 1H), 4.44 – 4.35 (m, 1H), 3.50 (dd, *J* = 16.8, 7.2 Hz, 1H), 2.95 (s, 3H), 2.69 (dd, *J* = 16.8, 2.4 Hz, 1H), 2.47 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.5, 142.2, 136.8, 131.0, 130.3, 130.2, 128.0, 127.7, 127.4, 125.4, 75.3, 56.2, 39.7, 39.4, 21.8. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{20}NO_4S_2$ 366.0828; found: 366.0827.

4-methyl-N-(1-(methylsulfonyl)-1,2,3,4-tetrahydronaphthalen-2-yl)benzenesulfonamide (2x)



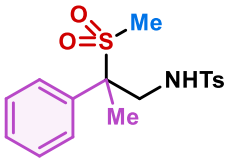
Prepared by the general procedure A from **1x** (0.2mmol, 59.9 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (39.5 mg, 52%, m.p. 200.0-204.8 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.83 – 7.74 (m, 2H), 7.39 – 7.14 (m, 6H), 4.78 (d, *J* = 8.4 Hz, 1H), 4.42 – 4.34 (m, 1H), 4.27 (s, 1H), 2.99 – 2.86 (m, 1H), 2.82 (s, 3H), 2.81 – 2.71 (m, 1H), 2.46 (s, 3H), 2.41 – 2.29 (m, 1H), 1.79 – 1.66 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 137.3, 137.1, 132.4, 130.2, 129.9, 129.7, 127.3, 126.8, 124.2, 68.2, 47.7, 39.8, 24.5, 23.4, 21.8. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{22}NO_4S_2$ 380.0985; found: 380.0981.

4-methyl-N-(1-(methylsulfonyl)-1-phenylpropan-2-yl)benzenesulfonamide (2y)



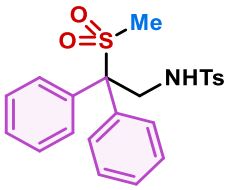
Prepared by the general procedure A from **1y** (0.2mmol, 57.5 mg), isolated as white solid using petroleum ether/ethyl acetate (1:1) as eluent (58.1 mg, 79%, m.p. 143.5-147.4 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 – 7.66 (m, 2H), 7.44 – 7.34 (m, 5H), 7.29 (d, J = 8.0 Hz, 2H), 5.30 (d, J = 8.8 Hz, 1H), 4.19 (d, J = 4.4 Hz, 1H), 4.12 – 4.02 (m, 1H), 2.60 (s, 3H), 2.43 (s, 3H), 1.42 (d, J = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) 143.8, 137.5, 131.5, 129.9, 129.7, 129.5, 127.4, 73.4, 51.6, 41.4, 21.7, 19.6. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{22}NO_4S_2$ 368.0985; found: 368.0990.

4-methyl-N-(2-(methylsulfonyl)-2-phenylpropyl)benzenesulfonamide (2z)



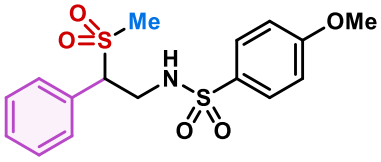
Prepared by the general procedure A from **1z** (0.2mmol, 57.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (45.3 mg, 62%, m.p. 188.5-192.7 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.70 (d, *J* = 8.4 Hz, 2H), 7.57 – 7.48 (m, 2H), 7.44 – 7.37 (m, 3H), 7.32 (d, *J* = 8.0 Hz, 2H), 4.84 (t, *J* = 6.8 Hz, 1H), 3.81 (dd, *J* = 13.6, 6.8 Hz, 1H), 3.67 (dd, *J* = 13.2, 6.8 Hz, 1H), 2.48 (s, 3H), 2.44 (s, 3H), 1.92 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 136.6, 134.2, 130.1, 129.6, 129.4, 128.0, 127.1, 67.3, 46.8, 36.1, 21.7, 18.2. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{22}NO_4S_2$ 368.0985; found: 368.0981.

4-methyl-N-(2-(methylsulfonyl)-2,2-diphenylethyl)benzenesulfonamide (2aa)



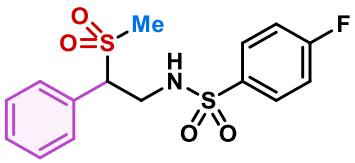
Prepared by the general procedure A from **1aa** (0.2mmol, 69.9 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (40.4 mg, 47%, m.p. 137.5-141.8 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.55 – 7.47 (m, 6H), 7.42 – 7.33 (m, 6H), 7.23 (d, *J* = 8.0 Hz, 2H), 4.76 (t, *J* = 6.8 Hz, 1H), 4.06 (d, *J* = 6.8 Hz, 2H), 2.54 (s, 3H), 2.42 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 135.8, 135.3, 130.0, 129.9, 129.3, 129.0, 127.2, 76.8, 48.4, 39.6, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{24}NO_4S_2$ 430.1141; found: 430.1134.

4-methoxy-N-(2-(methylsulfonyl)-2-phenylethyl)benzenesulfonamide (2ab)



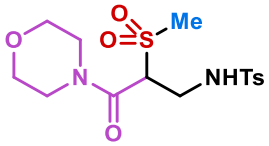
Prepared by the general procedure A from **1ab** (0.2mmol, 57.9 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (53.2 mg, 72%, m.p. 134.9-138.5 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 – 7.72 (m, 2H), 7.44 – 7.35 (m, 3H), 7.35 – 7.28 (m, 2H), 7.01 – 6.94 (m, 2H), 5.19 (t, *J* = 6.4 Hz, 1H), 4.33 (t, *J* = 6.8 Hz, 1H), 4.00 – 3.83 (m, 4H), 3.60 – 3.48 (m, 1H), 2.66 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 163.3, 131.2, 131.0, 130.0, 129.6, 129.4, 129.4, 114.6, 68.8, 55.8, 42.0, 39.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{20}NO_5S_2$ 370.0777; found: 370.0777.

4-fluoro-N-(2-(methylsulfonyl)-2-phenylethyl)benzenesulfonamide (2ac)



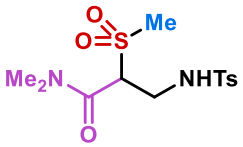
Prepared by the general procedure A from **1ac** (0.2mmol, 55.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (58.0 mg, 81%, m.p. 144.2-148.1 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.88 – 7.82 (m, 2H), 7.45 – 7.37 (m, 3H), 7.35 – 7.30 (m, 2H), 7.24 – 7.16 (m, 2H), 5.32 (dd, *J* = 7.6, 5.2 Hz, 1H), 4.33 (dd, *J* = 7.6, 6.0 Hz, 1H), 3.98 – 3.89 (m, 1H), 3.63 – 3.54 (m, 1H), 2.66 (s, 3H). ^{19}F NMR (376 MHz, Chloroform-*d*) δ -104.4. ^{13}C NMR (101 MHz, Chloroform-*d*) δ 165.4 (d, *J* = 256.8 Hz), 135.7 (d, *J* = 3.4 Hz), 131.1, 130.1 (d, *J* = 3.7 Hz), 130.0, 129.7, 129.3, 116.7 (d, *J* = 22.7 Hz), 68.9, 42.0, 39.6. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{15}H_{17}FNO_4S_2$ 358.0578; found: 358.0570.

4-methyl-N-(2-(methylsulfonyl)-3-morpholino-3-oxopropyl)benzenesulfonamide (2ad)



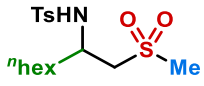
Prepared by the general procedure A from **1ad** (0.2mmol, 62.1 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (43.7 mg, 56%, m.p. 164.8-165.9 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) 7.75 (d, *J* = 8.0 Hz, 2H), 7.34 (d, *J* = 8.0 Hz, 2H), 5.39 (t, *J* = 6.4 Hz, 1H), 4.59 (dd, *J* = 7.2, 6.0 Hz, 1H), 3.89 – 3.80 (m, 1H), 3.80 – 3.60 (m, 6H), 3.60 – 3.48 (m, 3H), 2.90 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.8, 144.4, 136.1, 130.2, 127.4, 66.7, 66.6, 64.4, 47.5, 43.4, 41.8, 38.8, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{15}H_{23}N_2O_6S_2$ 391.0992; found: 391.0985.

N,N-dimethyl-3-((4-methylphenyl)sulfonamido)-2-(methylsulfonyl)propenamide (2ae)



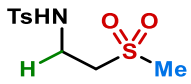
Prepared by the general procedure A from **1ae** (0.2mmol, 53.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (49.5 mg, 71%, m.p. 130.6-135.0 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.75 (d, *J* = 8.4 Hz, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 5.58 (t, *J* = 6.4 Hz, 1H), 4.63 (dd, *J* = 8.0, 5.6 Hz, 1H), 3.65 – 3.54 (m, 1H), 3.54 – 3.43 (m, 1H), 3.18 (s, 3H), 3.03 (s, 3H), 2.89 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 164.2, 144.3, 136.1, 130.1, 127.4, 64.8, 41.8, 38.8, 38.6, 36.8, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{13}H_{21}N_2O_5S_2$ 349.0887; found: 349.0880.

4-methyl-N-(1-(methylsulfonyl)octan-2-yl)benzenesulfonamide (4a)



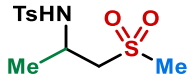
Prepared by the general procedure B from **3a** (0.2mmol, 56.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (52.1 mg, 72%, m.p. 64.8-66.6 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.81 – 7.73 (d, *J* = 8.0 Hz, 2H), 7.30 (d, *J* = 8.0 Hz, 2H), 5.54 (d, *J* = 8.0 Hz, 1H), 3.70 – 3.60 (m, 1H), 3.35 (dd, *J* = 14.8, 4.8 Hz, 1H), 3.19 (dd, *J* = 14.8, 6.0 Hz, 1H), 2.96 (s, 3H), 2.41 (s, 3H), 1.70 – 1.59 (m, 1H), 1.55 – 1.44 (m, 1H), 1.19 – 0.91 (m, 8H), 0.79 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) 144.0, 137.1, 129.9, 127.2, 59.0, 50.0, 42.8, 34.4, 31.5, 28.5, 25.3, 22.4, 21.6, 14.1. HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{16}H_{27}NNaO_4S_2$ 384.1273; found: 384.1277.

4-methyl-N-(2-(methylsulfonyl)ethyl)benzenesulfonamide (4b)



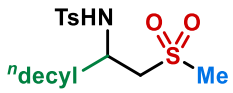
Prepared by the general procedure B from **3b** (0.2mmol, 39.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (35.5 mg, 64%, m.p. 107.6-111.9 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.77 – 7.72 (m, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 5.46 (t, *J* = 6.0 Hz, 1H), 3.48 – 3.39 (m, 2H), 3.31 – 3.23 (m, 2H), 2.98 (s, 3H), 2.43 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 136.1, 130.1, 127.2, 54.3, 42.3, 37.1, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{10}H_{16}NO_4S_2$ 278.0515; found: 278.0514.

4-methyl-N-(1-(methylsulfonyl)propan-2-yl)benzenesulfonamide (4c)



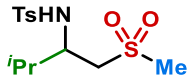
Prepared by the general procedure B from **3c** (0.2mmol, 42.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (37.3 mg, 64%, m.p. 120.4-124.5 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 – 7.75 (m, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 5.36 (d, *J* = 7.6 Hz, 1H), 3.90 – 3.78 (m, 1H), 3.35 (dd, *J* = 14.4, 5.6 Hz, 1H), 3.13 (dd, *J* = 14.4, 5.6 Hz, 1H), 2.95 (s, 3H), 2.43 (s, 3H), 1.25 (d, *J* = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.2, 137.1, 130.1, 127.3, 60.3, 46.0, 42.7, 21.7, 21.3. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{11}H_{18}NO_4S_2$ 292.0672; found: 292.0672.

4-methyl-N-(1-(methylsulfonyl)dodecan-2-yl)benzenesulfonamide (4d)



Prepared by the general procedure B from **3d** (0.2mmol, 67.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (53.5 mg, 64%, m.p. 80.4-83.8 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.81 – 7.74 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 5.28 (d, *J* = 8.0 Hz, 1H), 3.69 – 3.59 (m, 1H), 3.34 (dd, *J* = 14.4, 4.4 Hz, 1H), 3.20 (dd, *J* = 14.4, 6.0 Hz, 1H), 2.96 (s, 3H), 2.43 (s, 3H), 1.71 – 1.63 (m, 1H), 1.61 – 1.47 (m, 1H), 1.30 – 0.99 (m, 16H), 0.87 (t, *J* = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 137.1, 130.0, 127.4, 58.9, 50.1, 43.1, 34.5, 32.0, 29.7, 29.54, 29.46, 29.4, 28.9, 25.6, 22.8, 21.7, 14.2. HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{20}H_{35}NNaO_4S_2$ 440.1899; found: 440.1903.

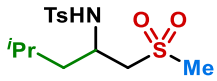
4-methyl-N-(3-methyl-1-(methylsulfonyl)butan-2-yl)benzenesulfonamide (4e)



Prepared by the general procedure B from **3e** (0.2mmol, 47.9 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (30.7 mg,

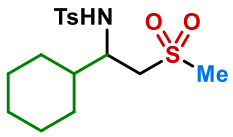
48%, m.p. 115.4-120.2 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.81 – 7.75 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 5.34 (d, *J* = 8.0 Hz, 1H), 3.60 – 3.52 (m, 1H), 3.28 (dd, *J* = 14.8, 5.6 Hz, 1H), 3.17 (dd, *J* = 14.8, 5.6 Hz, 1H), 2.93 (s, 3H), 2.43 (s, 3H), 2.14 – 2.03 (m, 1H), 0.78 (dd, *J* = 13.2, 6.8 Hz, 6H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 137.0, 129.9, 127.4, 55.8, 55.2, 42.7, 31.0, 21.7, 18.4, 17.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{13}H_{22}NO_4S_2$ 320.0985; found: 320.0979.

4-methyl-N-(4-methyl-1-(methylsulfonyl)pentan-2-yl)benzenesulfonamide (4f)



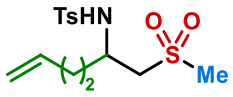
Prepared by the general procedure B from **3f** (0.2mmol, 50.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (38.7 mg, 58%, m.p. 85.0-89.1 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.81 – 7.75 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 5.41 (d, *J* = 8.0 Hz, 1H), 3.75 – 3.64 (m, 1H), 3.32 (dd, *J* = 14.4, 4.0 Hz, 1H), 3.20 (dd, *J* = 14.4, 6.0 Hz, 1H), 2.96 (s, 3H), 2.42 (s, 3H), 1.58 – 1.35 (m, 3H), 0.75 (d, *J* = 6.4 Hz, 3H), 0.55 (d, *J* = 6.4 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 137.0, 130.0, 127.4, 59.1, 48.4, 43.6, 43.2, 24.5, 22.7, 21.7, 21.3. HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{14}H_{23}NNaO_4S_2$ 356.0960; found: 356.0963.

N-(1-cyclohexyl-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (4g)



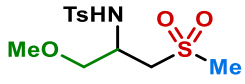
Prepared by the general procedure B from **3g** (0.2mmol, 55.9 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (26.6 mg, 37%, m.p. 114.0-118.0 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 – 7.72 (m, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 5.17 (d, *J* = 8.0 Hz, 1H), 3.62 – 3.45 (m, 1H), 3.29 (dd, *J* = 14.8, 5.2 Hz, 1H), 3.20 (dd, *J* = 14.8, 5.6 Hz, 1H), 2.91 (s, 3H), 2.44 (s, 3H), 1.79 – 1.52 (m, 6H), 1.20 – 0.98 (m, 3H), 0.91 – 0.63 (m, 2H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 137.0, 129.9, 127.4, 55.6, 55.0, 43.1, 40.4, 29.2, 28.5, 26.1, 25.8, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{16}H_{26}NO_4S_2$ 360.1298; found: 360.1296.

4-methyl-N-(1-(methylsulfonyl)hex-5-en-2-yl)benzenesulfonamide (4h)



Prepared by the general procedure B from **3h** (0.2mmol, 50.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (31.2 mg, 47%, m.p. 76.8-80.7 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.82 – 7.74 (d, *J* = 8.3 Hz, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 5.62 – 5.47 (m, 2H), 4.88 (d, *J* = 10.4 Hz, 1H), 4.80 (d, *J* = 17.2 Hz, 1H), 3.75 – 3.64 (m, 1H), 3.33 (dd, *J* = 14.8, 4.8 Hz, 1H), 3.21 (dd, *J* = 14.4, 6.0 Hz, 1H), 2.94 (s, 3H), 2.42 (s, 3H), 2.05 – 1.89 (m, 1H), 1.89 – 1.75 (m, 2H), 1.72 – 1.60 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.1, 137.1, 136.5, 130.0, 127.3, 116.1, 58.6, 49.6, 42.9, 33.4, 29.5, 21.6. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{14}H_{22}NO_4S_2$ 332.0985; found: 332.0991.

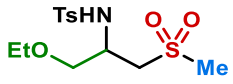
N-(1-methoxy-3-(methylsulfonyl)propan-2-yl)-4-methylbenzenesulfonamide (4i)



Prepared by the general procedure B from **3i** (0.2mmol, 48.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (42.4 mg, 66%, m.p. 155.5-158.1 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.81 – 7.75 (m, 2H), 7.34 (d, *J* = 8.4 Hz, 2H), 5.30 (d, *J* = 7.6 Hz, 1H), 3.93 – 3.83 (m, 1H),

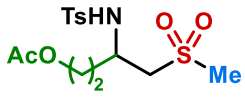
3.49 (dd, J = 9.6, 4.0 Hz, 1H), 3.35 (dd, J = 14.8, 5.2 Hz, 1H), 3.30 – 3.21 (m, 5H), 2.94 (s, 3H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 136.8, 130.1, 127.4, 72.5, 59.2, 56.3, 49.3, 42.4, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{12}H_{20}NO_5S_2$ 322.0778; found: 322.0777.

N-(1-ethoxy-3-(methylsulfonyl)propan-2-yl)-4-methylbenzenesulfonamide (4j)



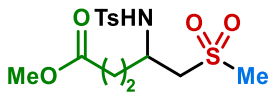
Prepared by the general procedure B from **3j** (0.2mmol, 51.1 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (28.2 mg, 42%, m.p. 113.6-116.1 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 – 7.74 (m, 2H), 7.33 (d, J = 8.0 Hz, 2H), 5.36 (d, J = 7.6 Hz, 1H), 3.90 – 3.82 (m, 1H), 3.49 (dd, J = 9.6, 4.0 Hz, 1H), 3.42 – 3.22 (m, 5H), 2.95 (s, 3H), 2.44 (s, 3H), 1.09 (t, J = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 136.8, 130.0, 127.3, 70.4, 66.9, 56.5, 49.4, 42.4, 21.7, 15.0. HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{13}H_{21}NNaO_5S_2$ 358.0753; found: 358.0752.

3-((4-methylphenyl)sulfonamido)-4-(methylsulfonyl)butyl acetate (4k)



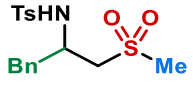
Prepared by the general procedure B from **3k** (0.2mmol, 56.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (29.1 mg, 40%, m.p. 95.8-100.2 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.82 – 7.73 (m, 2H), 7.33 (d, J = 8.4 Hz, 2H), 5.57 (d, J = 8.0 Hz, 1H), 4.01 – 3.92 (m, 1H), 3.90 – 3.78 (m, 2H), 3.43 – 3.28 (m, 2H), 2.96 (s, 3H), 2.43 (s, 3H), 2.16 – 2.06 (m, 1H), 2.05 – 1.96 (m, 1H), 1.94 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 170.8, 144.4, 136.7, 130.1, 127.3, 60.6, 58.4, 47.6, 43.3, 33.1, 21.7, 20.9. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{14}H_{22}NO_6S_2$ 364.0883; found: 364.0881.

methyl 4-((4-methylphenyl)sulfonamido)-5-(methylsulfonyl)pentanoate (4l)



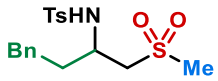
Prepared by the general procedure B from **3l** (0.2mmol, 56.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (30.5 mg, 42%, m.p. 93.0-95.5 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 – 7.68 (m, 2H), 7.32 (d, J = 8.0 Hz, 2H), 5.85 (d, J = 7.6 Hz, 1H), 3.80 – 3.68 (m, 1H), 3.61 (s, 3H), 3.36 (dd, J = 14.4, 3.6 Hz, 1H), 3.20 (dd, J = 14.4, 6.8 Hz, 1H), 2.95 (s, 3H), 2.43 (s, 3H), 2.36 – 2.20 (m, 1H), 2.20 – 2.05 (m, 2H), 2.01 – 1.86 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 174.0, 144.2, 136.9, 130.1, 127.2, 58.8, 52.1, 49.8, 43.1, 30.0, 28.8, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{14}H_{22}NO_6S_2$ 364.0883; found: 364.0875.

4-methyl-N-(1-(methylsulfonyl)-3-phenylpropan-2-yl)benzenesulfonamide (4m)



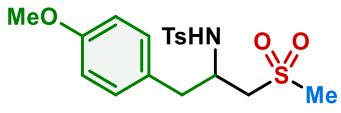
Prepared by the general procedure B from **3m** (0.2mmol, 57.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (55.1 mg, 75%, m.p. 118.1-119.1 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.58 – 7.53 (m, 2H), 7.24 – 7.16 (m, 5H), 7.00 – 6.95 (m, 2H), 5.13 (d, J = 6.8 Hz, 1H), 3.93 – 3.80 (m, 1H), 3.35 (dd, J = 14.4, 4.8 Hz, 1H), 3.26 (dd, J = 14.4, 6.4 Hz, 1H), 3.10 – 3.02 (m, 1H), 2.96 (s, 3H), 2.86 – 2.79 (m, 1H), 2.42 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 136.1, 135.6, 130.0, 129.3, 129.0, 127.3, 127.2, 57.9, 51.1, 43.0, 40.4, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{17}H_{22}NO_4S_2$ 368.0985; found: 368.0981.

4-methyl-N-(1-(methylsulfonyl)-4-phenylbutan-2-yl)benzenesulfonamide (4n)



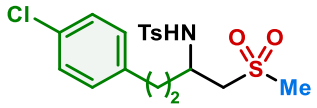
Prepared by the general procedure B from **3n** (0.2mmol, 60.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (58.0 mg, 76%, m.p. 147.7-149.8 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.78 – 7.72 (m, 2H), 7.32 (d, *J* = 8.0 Hz, 2H), 7.25 – 7.13 (m, 3H), 6.98 – 6.92 (m, 2H), 5.49 (d, *J* = 8.0 Hz, 1H), 3.75 – 3.64 (m, 1H), 3.32 (dd, *J* = 14.4, 4.8 Hz, 1H), 3.23 (dd, *J* = 14.4, 5.6 Hz, 1H), 2.91 (s, 3H), 2.56 – 2.47 (m, 1H), 2.47 – 2.39 (m, 4H), 2.12 – 2.01 (m, 1H), 1.97 – 1.85 (m, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.2, 140.1, 137.1, 130.1, 128.6, 128.4, 127.4, 126.4, 58.4, 49.8, 43.0, 35.9, 31.7, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{24}NO_4S_2$ 382.1141; found: 382.1132.

N-(1-(4-methoxyphenyl)-3-(methylsulfonyl)propan-2-yl)-4-methylbenzenesulfonamide(4o)



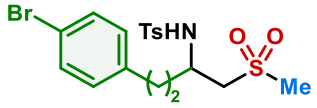
Prepared by the general procedure B from **3o** (0.2mmol, 63.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (43.7mg, 55%, m.p. 113.9-118.5 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.58 – 7.52 (m, 2H), 6.91 – 6.84 (m, 2H), 6.71 – 6.66 (m, 2H), 6.69 (d, *J* = 8.4 Hz, 2H), 5.20 (d, *J* = 6.8 Hz, 1H), 3.86 – 3.79 (m, 1H), 3.77 (s, 3H), 3.34 (dd, *J* = 14.8, 4.8 Hz, 1H), 3.25 (dd, *J* = 14.4, 6.0 Hz, 1H), 3.04 – 2.97 (m, 1H), 2.96 (s, 3H), 2.74 (dd, *J* = 14.0, 7.6 Hz, 1H), 2.41 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 158.8, 143.9, 136.2, 130.3, 129.9, 127.6, 127.2, 114.3, 58.0, 55.3, 51.3, 43.0, 39.5, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{18}H_{23}NNaO_5S_2$ 420.0908; found: 420.0900.

N-(4-(4-chlorophenyl)-1-(methylsulfonyl)butan-2-yl)-4-methylbenzenesulfonamide (4p)



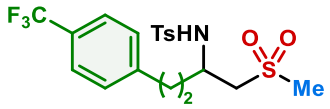
Prepared by the general procedure B from **3p** (0.2mmol, 67.2 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (52.4 mg, 63%, m.p. 177.7-179.2 °C). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.03 (s, 1H), 7.74 – 7.66 (m, 2H), 7.40 (d, *J* = 8.0 Hz, 2H), 7.29 – 7.22 (m, 2H), 6.96 – 6.88 (m, 2H), 3.68 – 3.59 (m, 1H), 3.32 (dd, *J* = 14.8, 6.8 Hz, 1H), 3.23 (dd, *J* = 14.4, 5.6 Hz, 1H), 2.97 (s, 3H), 2.44 – 2.34 (m, 4H), 2.31 – 2.21 (m, 1H), 1.79 – 1.68 (m, 1H), 1.60 – 1.48 (m, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 143.1, 140.1, 138.5, 130.5, 130.0, 129.8, 128.2, 126.6, 58.2, 48.6, 41.9, 35.6, 29.8, 21.0. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{23}ClNO_4S_2$ 416.0752; found: 416.0746.

N-(4-(4-bromophenyl)-1-(methylsulfonyl)butan-2-yl)-4-methylbenzenesulfonamide (4q)



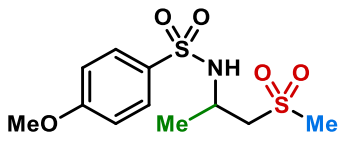
Prepared by the general procedure B from **3q** (0.2mmol, 76.1 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (42.4 mg, 46%, m.p. 192.7-194.3 °C). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.03 (s, 1H), 7.74 – 7.66 (m, 2H), 7.44 – 7.33 (m, 4H), 6.92 – 6.81 (m, 2H), 3.71 – 3.58 (m, 1H), 3.32 (dd, *J* = 14.8, 6.8 Hz, 1H), 3.23 (dd, *J* = 14.4, 5.6 Hz, 1H), 2.97 (s, 3H), 2.43 – 2.32 (m, 4H), 2.29 – 2.20 (m, 1H), 1.78 – 1.68 (m, 1H), 1.59 – 1.48 (m, 1H). ^{13}C NMR (101 MHz, DMSO-d_6) δ 143.1, 140.5, 138.4, 131.1, 130.5, 129.8, 126.6, 118.9, 58.2, 48.6, 41.9, 35.5, 29.8, 21.0. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{23}BrNO_4S_2$ 460.0247; found: 460.0239.

4-methyl-N-(1-(methylsulfonyl)-4-(4-(trifluoromethyl)phenyl)butan-2-yl)benzenesulfonamide (4r)



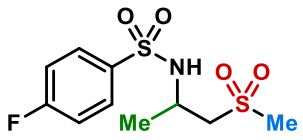
Prepared by the general procedure B from **3r** (0.2mmol, 73.9 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (53.1 mg, 59%, m.p. 193.2-197.9 ℃). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.07 (s, 1H), 7.74 – 7.68 (m, 2H), 7.56 (d, J = 8.0 Hz, 2H), 7.42 – 7.36 (m, 2H), 7.17 – 7.11 (m, 2H), 3.73 – 3.62 (m, 1H), 3.38 – 3.31 (m, 1H), 3.25 (dd, J = 14.4, 5.6 Hz, 1H), 2.98 (s, 3H), 2.55 – 2.45 (m, 1H), 2.42 – 2.32 (m, 4H), 1.84 – 1.73 (m, 1H), 1.65 – 1.53 (m, 1H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -60.8. ^{13}C NMR (101 MHz, DMSO-d_6) δ 146.1, 143.1, 138.4, 129.8, 129.0, 126.7 (q, J = 31.5 Hz), 126.6, 125.1 (q, J = 3.6 Hz), 124.5 (q, J = 271.8 Hz), 58.2, 48.7, 42.0, 35.3, 30.2, 21.0. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{19}H_{23}F_3NO_4S_2$ 450.1015; found: 450.1017.

4-methoxy-N-(1-(methylsulfonyl)propan-2-yl)benzenesulfonamide (4s)



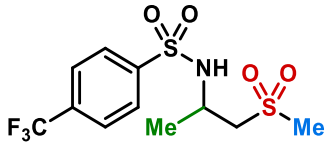
Prepared by the general procedure B from **3s** (0.2mmol, 45.5 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (34.4 mg, 56%, m.p. 123.1-125.3 ℃). ^{1}H NMR (400 MHz, Chloroform-d) δ 7.85 – 7.80 (m, 2H), 7.03 – 6.97 (m, 2H), 5.27 (d, J = 7.2 Hz, 1H), 3.88 (s, 3H), 3.86 – 3.79 (m, 1H), 3.35 (dd, J = 14.4, 5.6 Hz, 1H), 3.13 (dd, J = 14.4, 5.6 Hz, 1H), 2.95 (s, 3H), 1.26 (d, J = 6.8 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-d) δ 163.4, 131.5, 129.4, 114.6, 60.3, 55.8, 45.9, 42.8, 21.4. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{11}H_{18}NO_5S_2$ 308.0621; found: 308.0621.

4-fluoro-N-(1-(methylsulfonyl)propan-2-yl)benzenesulfonamide (4t)



Prepared by the general procedure B from **3t** (0.2mmol, 43.0 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (35.5 mg, 60%, m.p. 121.5-125.7 ℃). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.02 (s, 1H), 7.93 – 7.85 (m, 2H), 7.45 (t, J = 8.8 Hz, 2H), 3.76 – 3.65 (m, 1H), 3.26 (dd, J = 14.4, 6.0 Hz, 1H), 3.19 (dd, J = 14.4, 6.8 Hz, 1H), 2.97 (s, 3H), 1.01 (d, J = 6.8 Hz, 3H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -106.7. ^{13}C NMR (101 MHz, DMSO-d_6) δ 164.2 (d, J = 250.8 Hz), 137.8, 129.5 (d, J = 9.2 Hz), 116.5 (d, J = 22.7 Hz), 59.8, 45.0, 41.8, 20.8. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{10}H_{15}FNO_4S_2$ 296.0421; found: 296.0418.

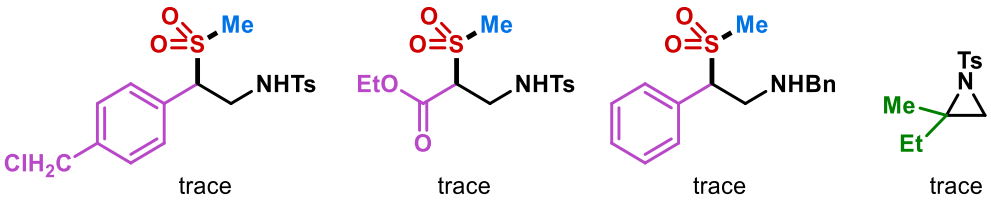
N-(1-(methylsulfonyl)propan-2-yl)-4-(trifluoromethyl)benzenesulfonamide (4u)



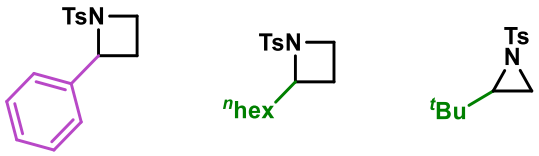
Prepared by the general procedure B from **3u** (0.2mmol, 53.1 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (33.9 mg, 49%, m.p. 106.9-111.0 ℃). ^{1}H NMR (400 MHz, DMSO-d_6) δ 8.28 (s, 1H), 8.04 (d, J = 8.4 Hz, 2H), 8.00 (d, J = 8.4 Hz, 2H), 3.82 – 3.72 (m, 1H), 3.30 – 3.18 (m, 2H), 2.98 (s, 3H), 1.02 (d, J = 6.8 Hz, 3H). ^{19}F NMR (376 MHz, DMSO-d_6) δ -61.6. ^{13}C NMR (101 MHz, DMSO-d_6) δ 145.4, 132.3 (q, J = 32.1 Hz), 127.5, 126.6 (q, J = 3.5 Hz), 123.5 (q, J = 272.7 Hz), 59.7, 45.1, 41.8, 20.9. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{11}H_{15}F_3NO_4S_2$ 346.0389; found: 346.0385.

6 Low Yielded and Unsuccessful Substrates

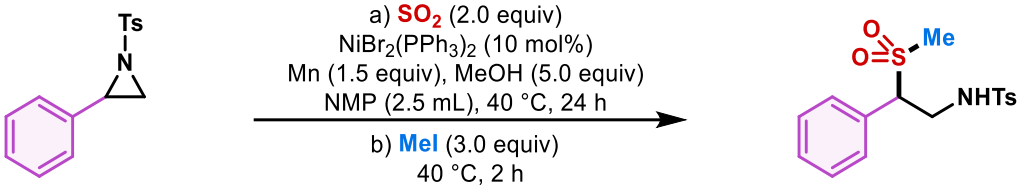
Low Yielded Substrates:



Unsuccessful Substrates :



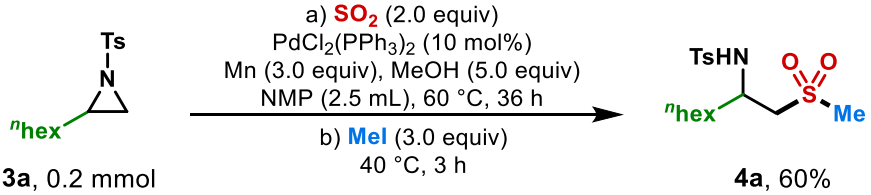
7 Scale-up Reaction



1a, 1.0 mmol

2a, 83%

In the glovebox, aziridines **1a** (1.0 mmol, 1.0 equiv.), $NiBr_2(PPh_3)_2$ (74.3 mg, 10.0 mol%), Mn (82.4 mg, 1.5 equiv.), MeOH (200 μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (2.5 mL). Tetrabromothiophene S,S-dioxides (863.4 mg, 2.0 equiv.) , 4-methylphenylene (320 μL, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (2.5 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 40 °C in heat block. After 24 hours, MeI (187μL, 3.0 equiv.) was added into chamber A, and the mixture was stirred at 40 °C for additional 2 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **2a** (293.4 mg, 83%).



3a, 0.2 mmol

4a, 60%

In the glovebox, aziridines **3a** (1.0 mmol, 1.0 equiv.), $PdCl_2(PPh_3)_2$ (70.2 mg, 10.0 mol%), Mn (164.8 mg, 3.0 equiv.), MeOH (200 μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (2.5 mL). Tetrabromothiophene S,S-dioxides (863.4

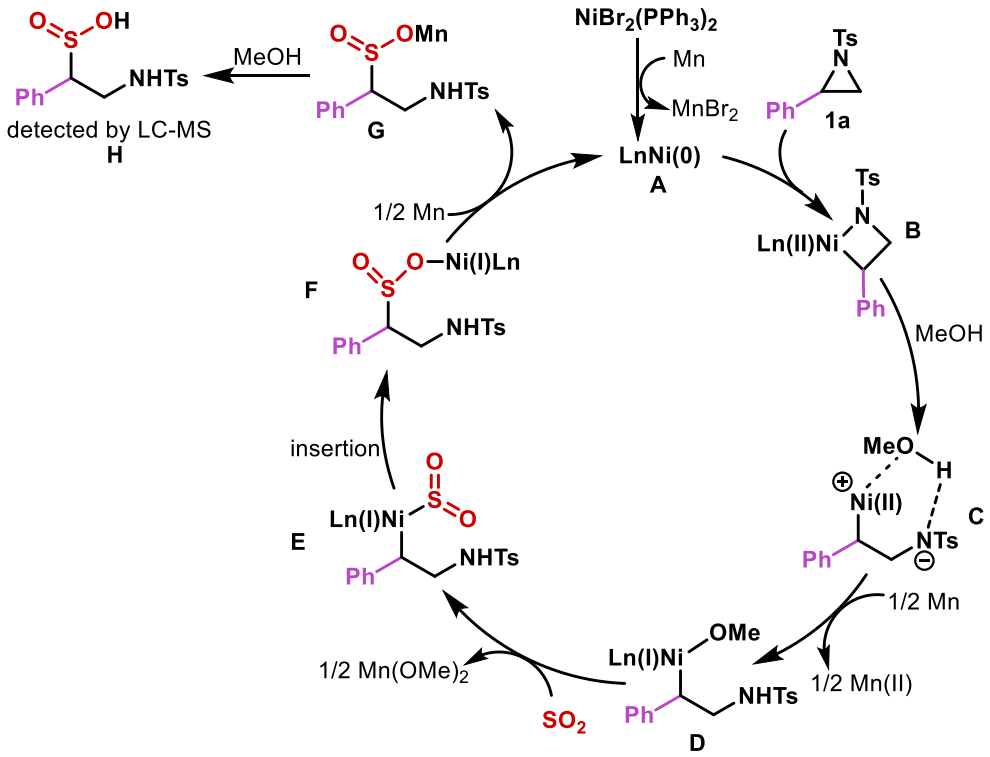
mg, 2.0 equiv.) , 4-methylphenylene (320 μL, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (2.5 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 60 °C in heat block. After 36 hours, MeI (187μL, 3.0 equiv.) was added into chamber A, and the mixture was stirred at 40 °C for additional 3 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **4a** (216.9 mg, 60%).

8 Mechanistic Hypothesis

8.1 Mechanistic Hypothesis for the Synthesis of β-Amino Sulfones 2

In light of previous reports on the ring-opening carboxylation of aziridines with carbon dioxide[7], catalyzed by a transition metal in the presence of an amide solvent, Mn as a reductant, and methanol as an additional additive, as well as the reported insertion reactions of sulfur dioxide catalyzed by nickel[8], we propose the following mechanism for this reaction.

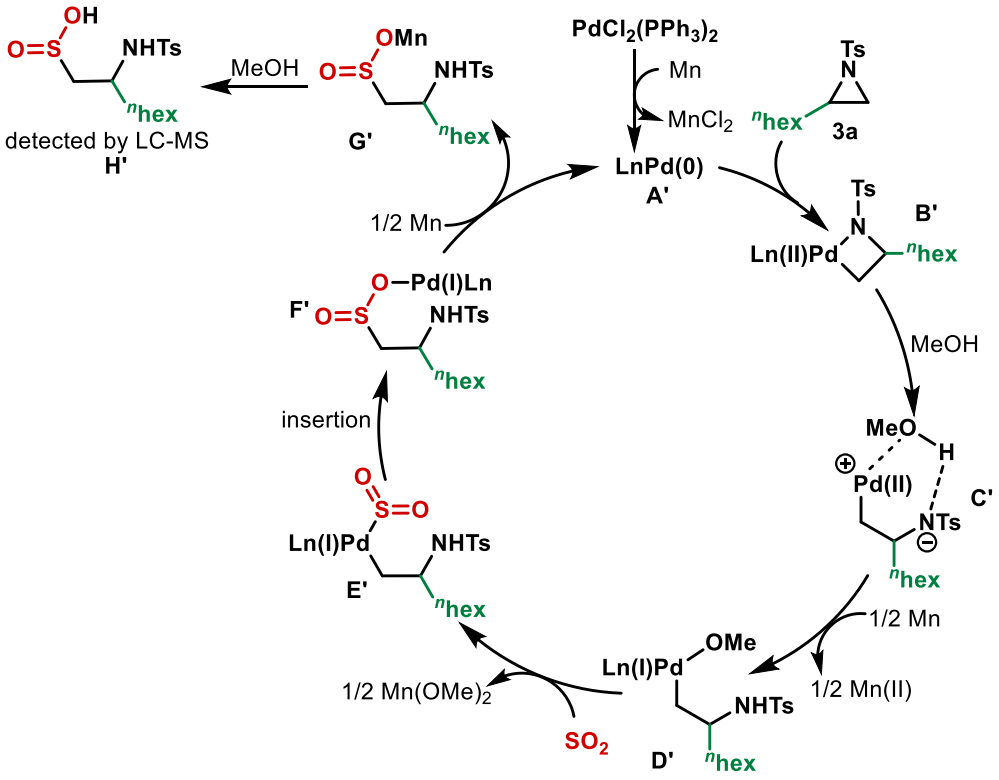
A plausible mechanism for the ring-opening sulfonylation of C(sp^2)-substituted aziridines, catalyzed by $NiBr_2(PPh_3)_2$, is as follows: Initially, the Ni(II) precatalyst is reduced by Mn to form the Ni(0) complex **A**. This complex **A** can then undergo oxidative addition with the aziridine **1a** to produce intermediate **B**. Subsequently, the azanickelacyclobutane **B** undergoes ring-opening to form intermediate **C**, which may be stabilized through complexation or hydrogen bonding with methanol. Intermediate **C** is reduced by Mn to generate the Ni(I) complex **D**. Following this, a ligand exchange occurs, leading to the formation of complex **E**, which then undergoes sulfur dioxide insertion to form complex **F**. Finally, the Ni(I) intermediate **F** is reduced to the complex **A** by Mn, with the formation of Mn-salt **G** concomitantly. Mn-salt **G** may potentially be protonated by methanol to form the sulfenic acid **H** (detected by LC-MS).



8.2 Mechanistic Hypothesis for the Synthesis of β-Amino Sulfones 4

In an analogous fashion to the C(sp^2)-substituted aziridines, the ring-opening sulfonylation of C(sp3)-substituted aziridines, catalyzed by $PdCl_2(PPh_3)_2$, is hypothesized to proceed via the following sequence: The Pd(II) precatalyst is initially reduced to Pd(0) by manganese, generating complex **A'**. This complex **A'** then engages in an oxidative addition reaction with aziridine **3a**, leading to the formation of **B'.** The intermediate **B'** subsequently facilitates ring-opening to produce **C'**, which may interact with methanol, potentially through stabilization

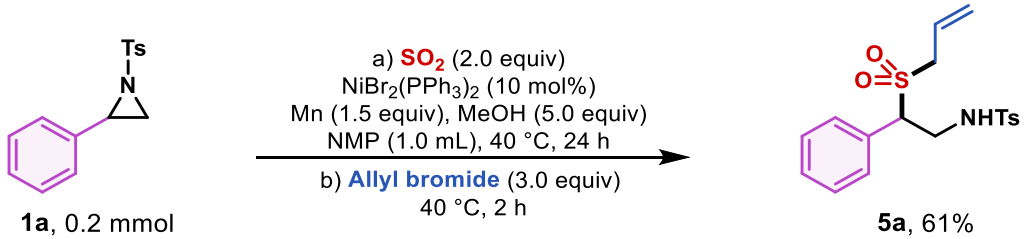
mechanisms such as complexation or hydrogen bonding. The manganese-mediated reduction of **C'** results in the Pd(I) complex **D'**. Subsequently, a ligand exchange takes place, transitioning to complex **E'**. Thereafter, the insertion of sulfur dioxide occurs, culminating in the formation of complex F. Finally, the Pd(I) intermediate **F'** is reduced to the complex **A'** by Mn, with the formation of Mn-salt **G'** concomitantly. Mn-salt **G'** may potentially be protonated by methanol to form the sulfenic acid **H'** (detected by LC-MS).



9 Synthetic Application

9.1 Transformations of Aryl Aziridines

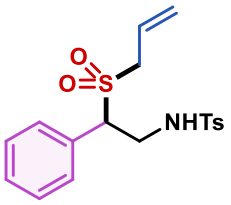
Transformations A



In the glovebox, aziridines **1a** (0.2 mmol, 1.0 equiv.), $NiBr_2(PPh_3)_2$ (14.9 mg, 10.0 mol%), Mn (16.5 mg, 1.5 equiv.), MeOH (40μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (1.0 mL). Tetrabromothiophene S,S-dioxides (172.7 mg, 2.0 equiv.) , 4-methylphenylene (64 μl, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 40 °C in

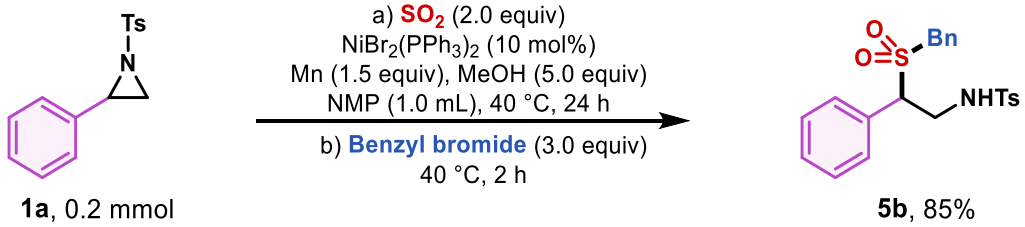
heat block. After 24 hours, Allyl bromide (72.6 mg, 3.0 equiv.) was added into chamber A, and the mixture was stirred at 40 °C for additional 2 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **5a** (46.3 mg, 61%).

N-(2-(allylsulfonyl)-2-phenylethyl)-4-methylbenzenesulfonamide (5a)



Prepared by the general procedure from **1a** (0.2mmol, 54.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (46.3mg, 61%, m.p. 114.5-119.2 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.71 (d, *J* = 8.0 Hz, 2H), 7.45 – 7.35 (m, 3H), 7.35 – 7.26 (m, 4H), 5.83 – 5.66 (m, 1H), 5.45 (d, *J* = 10.0 Hz, 1H), 5.29 (d, *J* = 17.2 Hz, 1H), 5.17 (t, *J* = 6.4 Hz,, 1H), 4.33 (t, *J* = 6.8 Hz, 1H), 3.97 – 3.85 (m, 1H), 3.60 – 3.49 (m, 1H), 3.46 (d, *J* = 7.2 Hz, 2H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 136.7, 130.8, 130.1, 129.9, 129.6, 129.5, 127.2, 125.5, 124.0, 65.7, 56.4, 42.3, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{22}NO_4S_2$ 380.0985; found: 380.0982.

Transformations B

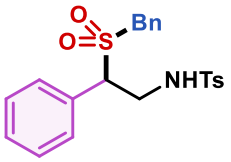


1a, 0.2 mmol

5b, 85%

In the glovebox, aziridines **1a** (0.2 mmol, 1.0 equiv.), $NiBr_2(PPh_3)_2$ (14.9 mg, 10.0 mol%), Mn (16.5 mg, 1.5 equiv.), MeOH (40μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (1.0 mL). Tetrabromothiophene S,S-dioxides (172.7 mg, 2.0 equiv.) , 4-methylphenylene (64 μl, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 40 °C in heat block. After 24 hours, Benzyl bromide (102.6 mg, 3.0 equiv.) was added into chamber A, and the mixture was stirred at 40 °C for additional 2 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **5b** (73.0 mg, 85%).

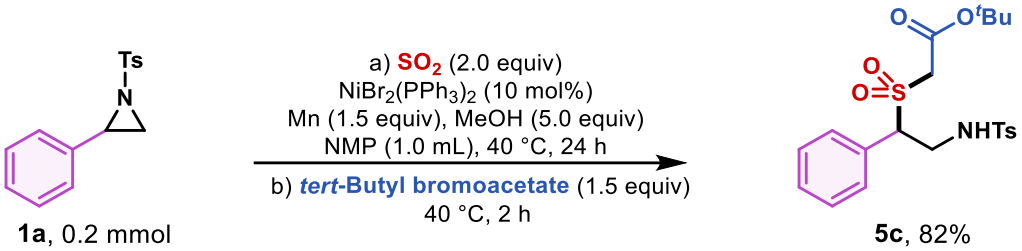
N-(2-(benzylsulfonyl)-2-phenylethyl)-4-methylbenzenesulfonamide (5b)



Prepared by the general procedure from **1a** (0.2mmol, 54.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (73.0 mg, 85%, m.p. 131.3-136.0 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.66 (d, *J* = 8.0 Hz, 2H), 7.44 – 7.34 (m, 6H), 7.30 – 7.22 (m, 6H), 5.17

(t, J = 6.0 Hz, 1H), 4.18 (t, J = 6.8 Hz, 1H), 4.01 (s, 2H), 3.96 – 3.86 (m, 1H), 3.57 – 3.46 (m, 1H), 2.44 (s, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 143.9, 136.6, 131.1, 130.8, 130.0, 129.9, 129.6, 129.5, 129.3, 129.0, 127.2, 126.5, 66.0, 58.1, 42.6, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{24}NO_4S_2$ 430.1141; found: 430.1133.

Transformations C

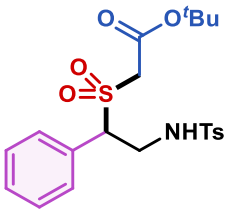


1a, 0.2 mmol

5c, 82%

In the glovebox, aziridines **1a** (0.2 mmol, 1.0 equiv.), $NiBr_2(PPh_3)_2$ (14.9 mg, 10.0 mol%), Mn (16.5 mg, 1.5 equiv.), MeOH (40μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (1.0 mL). Tetrabromothiophene S,S-dioxides (172.7 mg, 2.0 equiv.) , 4-methylphenylene (64 μl, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 40 °C in heat block. After 24 hours, *tert*-Butyl bromoacetate (58.5 mg, 1.5 equiv.) was added into chamber A, and the mixture was stirred at 40 °C for additional 2 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **5c** (74.4 mg, 82%).

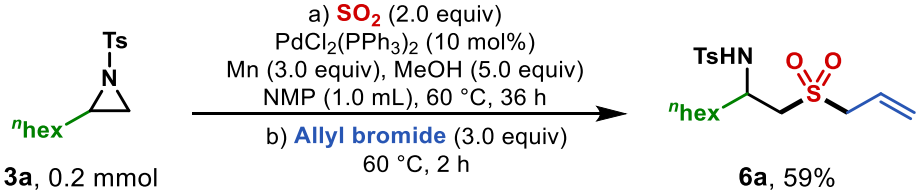
tert-butyl 2-((2-((4-methylphenyl)sulfonamido)-1-phenylethyl)sulfonyl)acetate (5c)



Prepared by the general procedure from **1a** (0.2mmol, 54.7 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (74.4 mg, 82%, m.p. 114.3-118.4 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.61 (d, J = 8.0 Hz, 2H), 7.32 – 7.19 (m, 7H), 5.17 (t, J = 6.4 Hz, 1H), 4.74 (t, J = 6.8 Hz, 1H), 3.92 – 3.81 (m, 1H), 3.58 – 3.43 (m, 3H), 2.35 (s, 3H), 1.43 (s, 9H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 161.6, 144.0, 136.6, 130.7, 130.05, 129.98, 129.7, 129.5, 127.2, 84.6, 66.9, 56.5, 41.7, 27.9, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{27}NNaO_6S_2$ 476.1172; found: 476.1180.

9.2 Transformations of Alkyl Aziridines

Transformations A

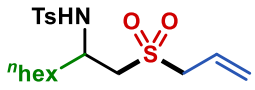


3a, 0.2 mmol

6a, 59%

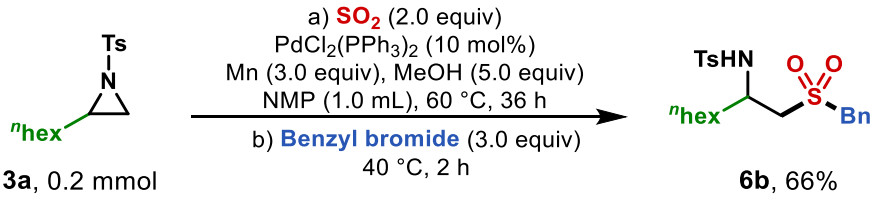
In the glovebox, aziridines **3a** (0.2 mmol, 1.0 equiv.), $PdCl_2(PPh_3)_2$ (14.0 mg, 10.0 mol%), Mn (33.0 mg, 3.0 equiv.), MeOH (40μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (1.0 mL). Tetrabromothiophene S,S-dioxides (172.7 mg, 2.0 equiv.) , 4-methylphenylene (64 μl, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 60 °C in heat block. After 36 hours, Allyl bromide (72.6 mg, 3.0 equiv.) was added into chamber A, and the mixture was stirred at 60 °C for additional 2 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **6a** (45.7 mg, 59%).

N-(1-(allylsulfonyl)octan-2-yl)-4-methylbenzenesulfonamide (6a)



Prepared by the general procedure from **3a** (0.2mmol, 56.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (45.7 mg, 59%, m.p. 62.9-64.5 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.84 – 7.72 (m, 2H), 7.33 (d, *J* = 8.0 Hz, 2H), 5.94 – 5.79 (m, 1H), 5.54 – 5.39 (m, 2H), 5.10 (d, *J* = 8.0 Hz, 1H), 3.77 – 3.63 (m, 3H), 3.23 (dd, *J* = 14.4, 4.4 Hz, 1H), 3.12 (dd, *J* = 14.4, 6.0 Hz, 1H), 2.44 (s, 3H), 1.77 – 1.67 (m, 1H), 1.64 – 1.53 (m, 1H), 1.21 – 0.99 (m, 8H), 0.83 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 137.2, 129.9, 127.4, 125.4, 124.6, 59.7, 55.2, 49.9, 34.6, 31.6, 28.6, 25.5, 22.5, 21.6, 14.1. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{18}H_{30}NO_4S_2$ 388.1611; found: 388.1606.

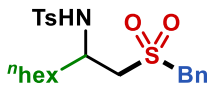
Transformations B



3a, 0.2 mmol **6b**, 66%

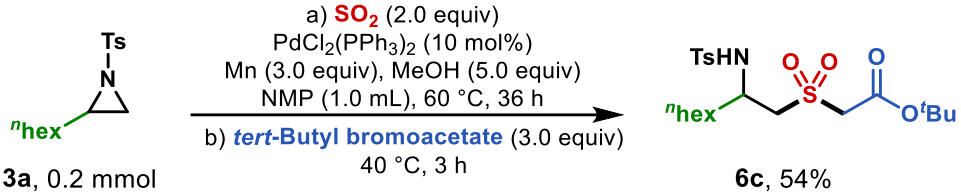
In the glovebox, aziridines **3a** (0.2 mmol, 1.0 equiv.), $PdCl_2(PPh_3)_2$ (14.0 mg, 10.0 mol%), Mn (33.0 mg, 3.0 equiv.), MeOH (40μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (1.0 mL). Tetrabromothiophene S,S-dioxides (172.7 mg, 2.0 equiv.) , 4-methylphenylene (64 μl, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 60 °C in heat block. After 36 hours, Benzyl bromide (102.6 mg, 3.0 equiv.) was added into chamber A, and the mixture was stirred at 40 °C for additional 2 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **6b** (57.8 mg, 66%).

N-(1-(benzylsulfonyl)octan-2-yl)-4-methylbenzenesulfonamide (6b)



Prepared by the general procedure from **3a** (0.2mmol, 56.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (57.8 mg, 66%, m.p. 123.3-125.6°C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.76 (d, *J* = 8.0 Hz, 2H), 7.39 (s, 5H), 7.32 (d, *J* = 8.0 Hz, 2H), 5.14 (t, *J* = 6.8 Hz, 1H), 4.22 (s, 2H), 3.73 – 3.62 (m, 1H), 3.11 (dd, *J* = 14.4, 4.4 Hz, 1H), 3.02 (dd, *J* = 14.4, 5.6 Hz, 1H), 2.44 (s, 3H), 1.73 – 1.63 (m, 1H), 1.58 – 1.49 (m, 1H), 1.22 – 1.11 (m, 2H), 1.11 – 1.00 (m, 5H), 0.99 – 0.91 (m, 1H), 0.81 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.0, 137.2, 130.9, 129.9, 129.24, 129.15, 127.5, 127.4, 61.5, 55.4, 50.0, 34.6, 31.6, 28.5, 25.5, 22.5, 21.6, 14.1. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{22}H_{32}NO_4S_2$ 438.1767; found: 438.1766.

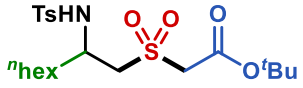
Transformations C



3a, 0.2 mmol — **6c**, 54%

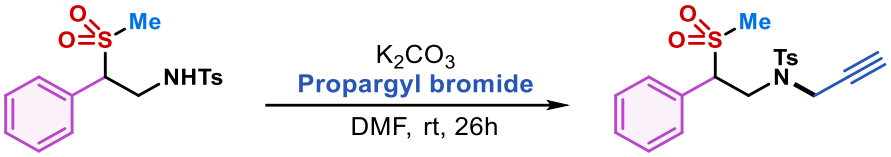
In the glovebox, aziridines **3a** (0.2 mmol, 1.0 equiv.), $PdCl_2(PPh_3)_2$ (14.0 mg, 10.0 mol%), Mn (33.0 mg, 3.0 equiv.), MeOH (40μL, 5.0 equiv.) were added into chamber A with a magnetic stirring bar, followed by addition of NMP (1.0 mL). Tetrabromothiophene S,S-dioxides (172.7 mg, 2.0 equiv.) , 4- methylphenylene (64 μl, 2.4 equiv.) was added to chamber B with a magnetic stirring bar, followed by addition of tetradecane (1.0 mL). The two chamber system was sealed and removed out of the glovebox. The chamber B was allowed to stir at 100 ℃ using heating mantle with 600-800 rpm stirring speed for 10 min. Then chamber A was heated to 60 °C in heat block. After 36 hours, tert-Butyl bromoacetate (117.0 mg, 3.0 equiv.) was added into chamber A, and the mixture was stirred at 40 °C for additional 3 h. Upon completion, water was added, and the products were extracted with ethyl acetate. The combined organic extracts were dried over anhydrous Na_2SO_4 and evaporated under reduced pressure. Finally, the residue was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford pure products **5a** (49.9 mg, 54%).

tert-butyl 2-((2-((4-methylphenyl)sulfonamido)octyl)sulfonyl)acetate (6c)



Prepared by the general procedure from **3a** (0.2mmol, 56.3 mg), isolated as white solid using petroleum ether/ethyl acetate (2:1) as eluent (49.9 mg, 54%, m.p. 82.9-84.8 ℃). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.78 (d, *J* = 8.2 Hz, 2H), 7.32 (d, *J* = 8.1 Hz, 2H), 5.16 (d, *J* = 8.2 Hz, 1H), 3.97 (d, *J* = 14.8 Hz, 1H), 3.83 (d, *J* = 14.9 Hz, 1H), 3.81 – 3.73 (m, 1H), 3.58 (dd, *J* = 14.6, 5.8 Hz, 1H), 3.36 (dd, *J* = 14.6, 5.1 Hz, 1H), 2.43 (s, 3H), 1.71 – 1.65 (m, 1H), 1.60 – 1.53 (m, 1H), 1.50 (s, 9H), 1.23 – 0.98 (m, 8H), 0.83 (t, *J* = 7.2 Hz, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 162.2, 144.0, 137.4, 129.9, 127.4, 84.5, 60.3, 57.5, 50.0, 34.8, 31.6, 28.6, 28.0, 25.3, 22.5, 21.6, 14.1. HRMS (ESI/Q-TOF) m/z: $[M+Na]^+$ calcd for $C_{21}H_{35}NNaO_6S_2$ 484.1798; found: 484.1804.

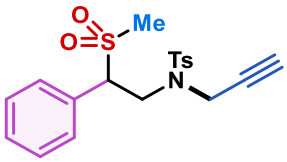
9.3 Transformations of *α*-Aryl *β*-Amino Sulfones[9]



2a, 0.2 mmol **8**, 66%

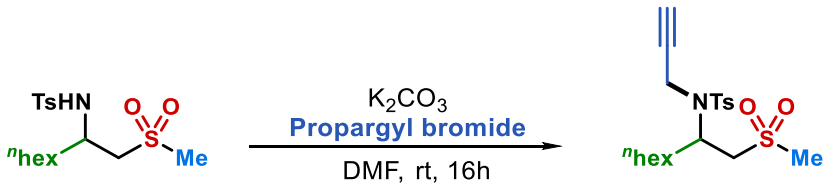
A mixture of compound **2a** (70.7 mg, 0.2 mmol) and anhydrous K_2CO_3 (55.3 mg, 2.0 equiv.) in DMF (0.2 mL) was added propargyl bromide (21 μL, 1.2 equiv.). The reaction mixture was stirred at room temperature for 26 h. Upon completion, the reaction was quenched with 0.1 M HCl and the mixture extracted with ethyl acetate. The combined organic layers were then washed with H_2O and brine, dried over Na_2SO_4 and evaporated under reduced pressure.Then the reaction mixture was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford the corresponding product **8** (51.7 mg, 66%).

4-methyl-N-(2-(methylsulfonyl)-2-phenylethyl)-N-(prop-2-yn-1-yl)benzenesulfonamide (8)



Prepared by the general procedure from **2a** (70.7 mg, 0.2 mmol), isolated as white solid using petroleum ether/ethyl acetate (5:1) as eluent (51.7 mg, 66%, m.p. 156.8-157.9 °C). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.76 – 7.65 (m, 2H), 7.55 –7.47 (m, 2H), 7.46 – 7.38 (m, 3H), 7.29 (d, J = 8.0 Hz, 2H), 4.67 (dd, J = 9.2, 5.2 Hz, 1H), 4.15 – 4.02 (m, 2H), 3.84 (dd, J = 14.8, 9.2 Hz,, 1H), 3.62 (dd, J = 18.8, 2.4 Hz, 1H), 2.75 (s, 3H), 2.42 (s, 3H), 2.02 (t, J = 2.4 Hz, 1H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.3, 134.6, 131.2, 129.8, 129.7, 129.4, 128.0, 76.2, 74.6, 69.1, 45.7, 40.2, 38.8, 21.7. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{19}H_{22}NO_4S_2$ 392.0985; found: 392.0976.

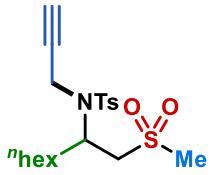
9.4 Transformations of *α*-Alkyl *β*-Amino Sulfones[9]



4a, 0.2 mmol **9**, 78%

A mixture of compound **4a** (72.3 mg, 0.2 mmol) and anhydrous K_2CO_3 (55.3 mg, 2.0 equiv.) in DMF (0.2 mL) was added propargyl bromide (21μL, 1.2 equiv.). The reaction mixture was stirred at room temperature for 16 h. Upon completion, the reaction was quenched with 0.1 M HCl and the mixture extracted with ethyl acetate. The combined organic layers were then washed with H_2O and brine, dried over Na_2SO_4 and evaporated under reduced pressure.Then the reaction mixture was purified by silica gel column chromatography using petroleum ether/ethyl acetate as eluent to afford the corresponding product **9** (62.6 mg, 78%).

4-methyl-N-(1-(methylsulfonyl)octan-2-yl)-N-(prop-2-yn-1-yl)benzenesulfonamide (9)



Prepared by the general procedure from **4a** (72.3 mg, 0.2 mmol), isolated as colourless oil using petroleum ether/ethyl acetate (2:1) as eluent (62.6 mg, 78%). ^{1}H NMR (400 MHz, Chloroform-*d*) δ 7.80 – 7.69 (m, 2H), 7.29 (d, *J* = 7.6 Hz, 2H), 4.32 – 4.22 (m, 1H), 4.22 – 4.12 (m, 1H), 3.96 – 3.86 (m, 1H), 3.64 – 3.54 (m, 1H), 3.22 (dd, *J* = 14.4, 7.6 Hz, 1H), 2.99 (s, 3H), 2.40 (s, 3H), 2.26 (t, *J* = 2.0 Hz, 1H), 1.88 – 1.75 (m, 1H), 1.62 – 1.48 (m, 1H), 1.19 – 0.99 (m, 6H), 0.99 – 0.87 (m, 2H), 0.84 – 0.75 (m, 3H). ^{13}C NMR (101 MHz, Chloroform-*d*) δ 144.2, 136.8, 129.8, 127.6, 79.1, 73.7, 59.2, 54.2, 42.2, 33.7, 32.6, 31.6, 28.5, 26.2, 22.4, 21.6, 14.1. HRMS (ESI/Q-TOF) m/z: $[M+H]^+$ calcd for $C_{19}H_{30}NO_4S_2$ 400.1611; found: 400.1605.

10 References

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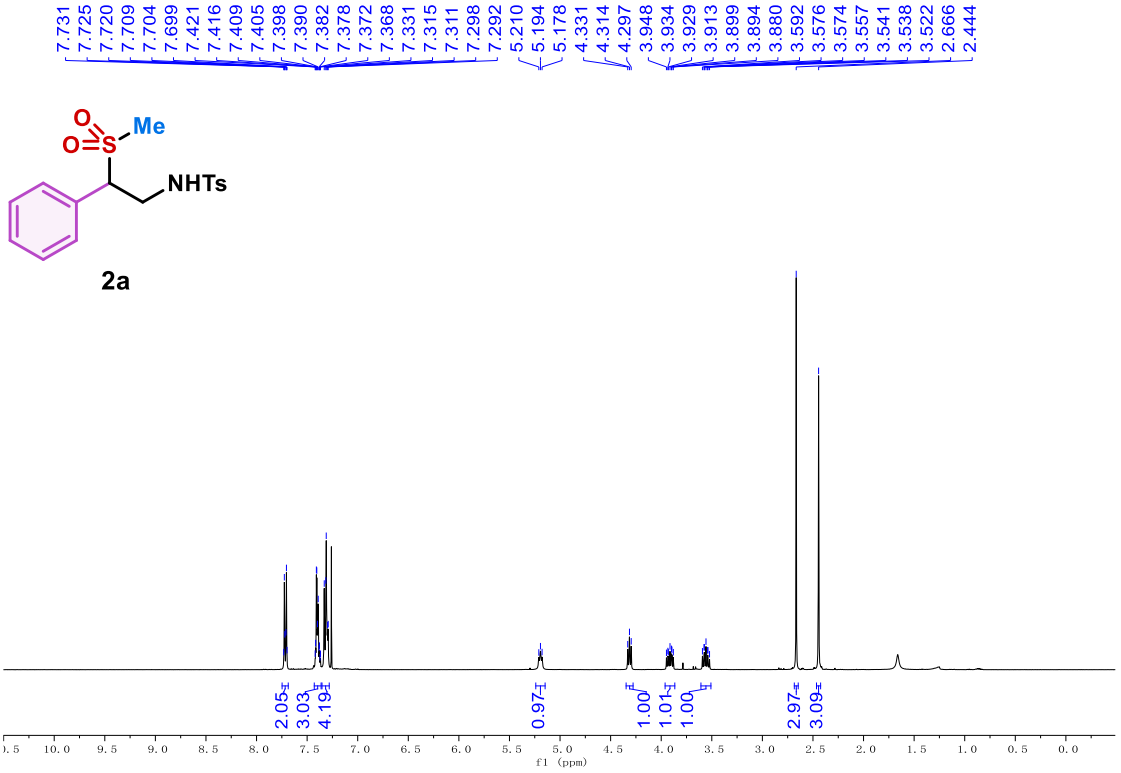
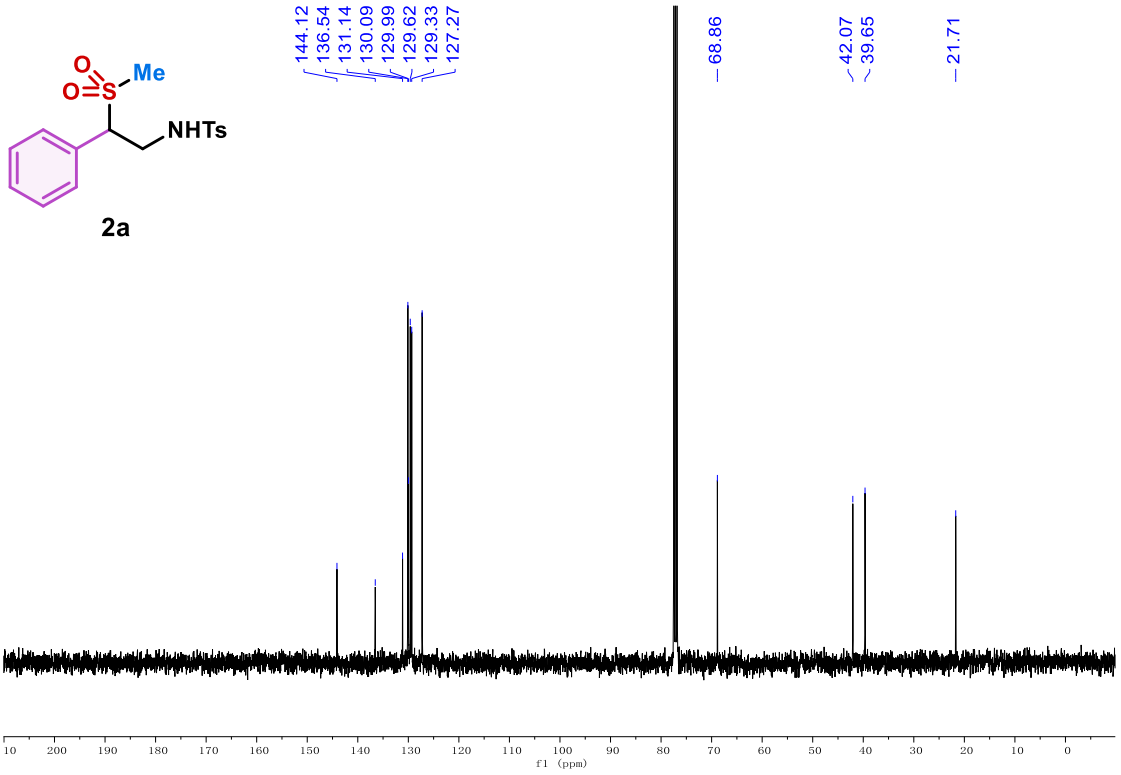
11 NMR Spectra

4-methyl-N-(2-(methylsulfonyl)-2-phenylethyl)benzenesulfonamide (2a)

^{1}H NMR (400 MHz, $CDCl_3$)

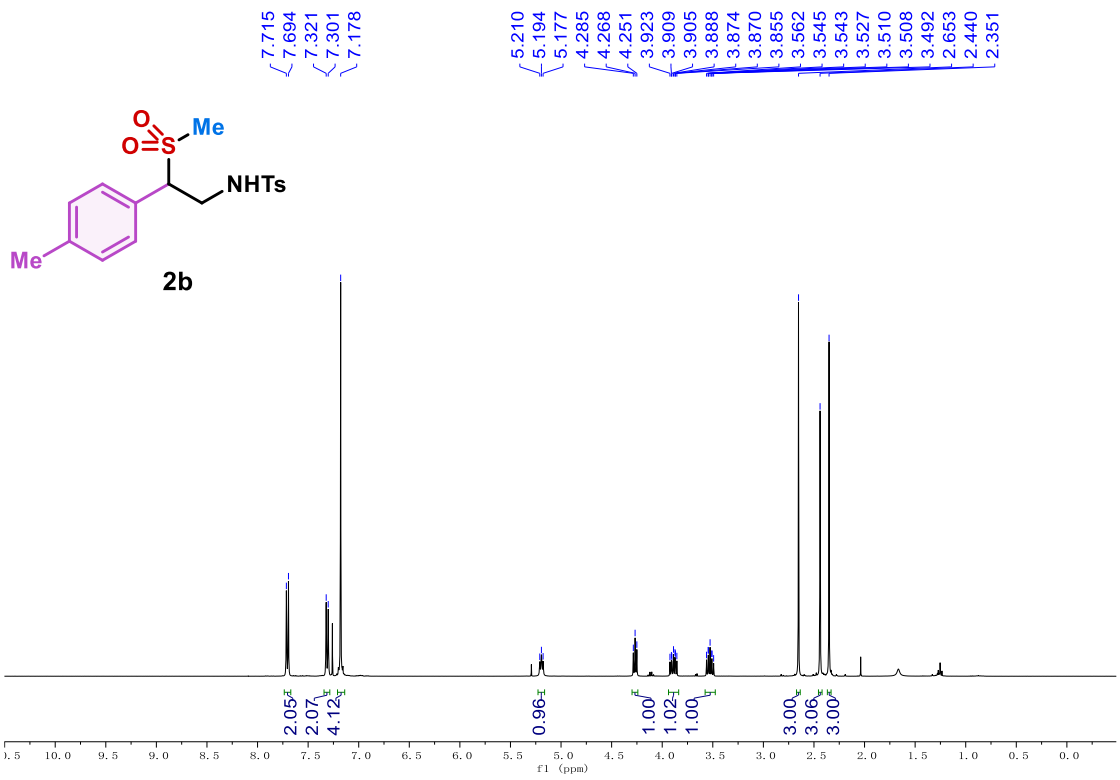


^{13}C NMR (101 MHz, $CDCl_3$)

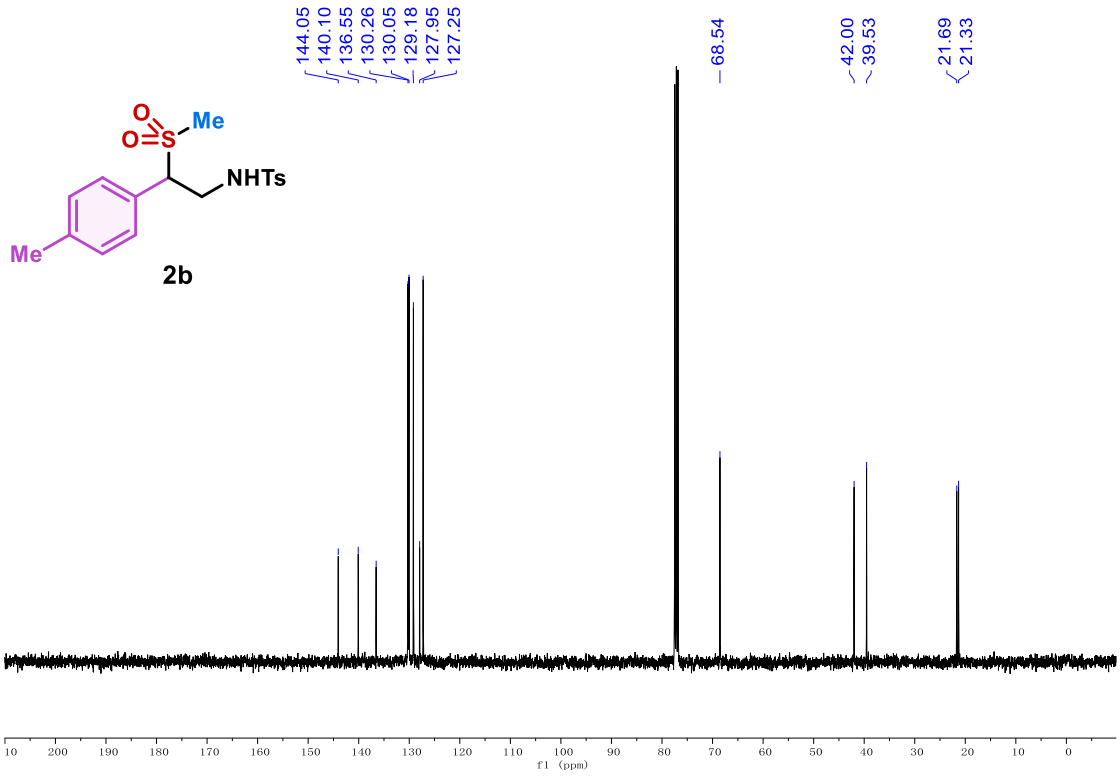


4-methyl-N-(2-(methylsulfonyl)-2-(p-tolyl)ethyl)benzenesulfonamide (2b)

^{1}H NMR (400 MHz, $CDCl_3$)

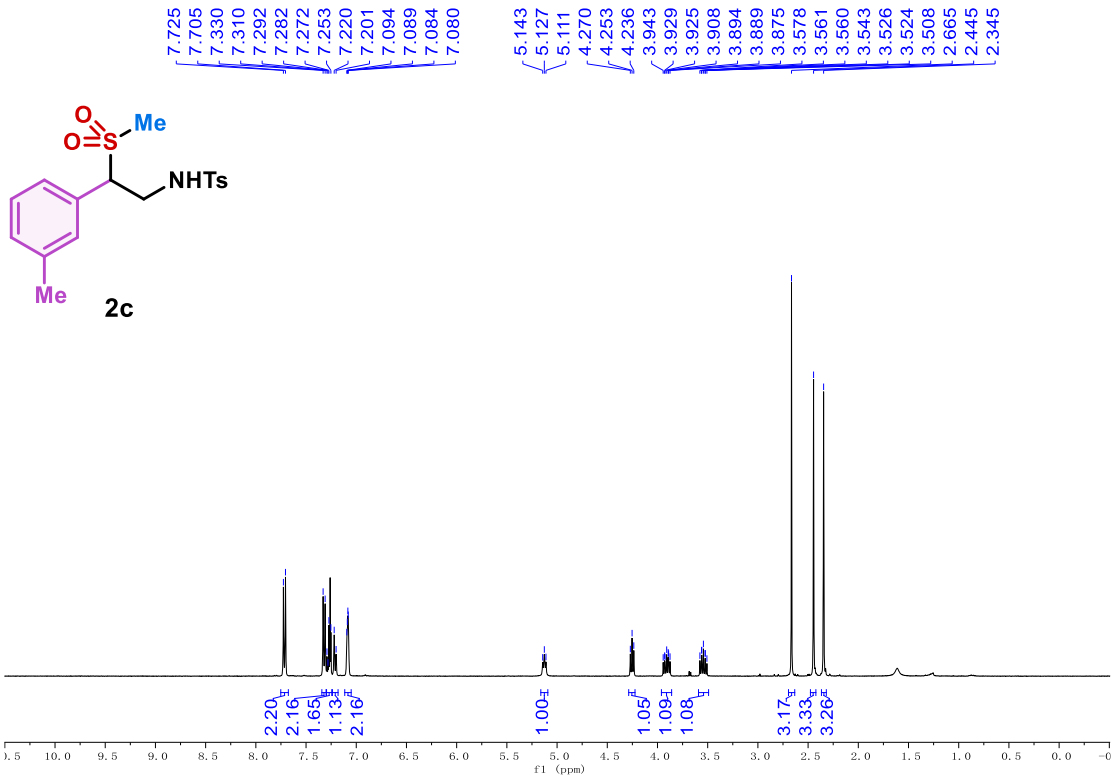


^{13}C NMR (101 MHz, $CDCl_3$)

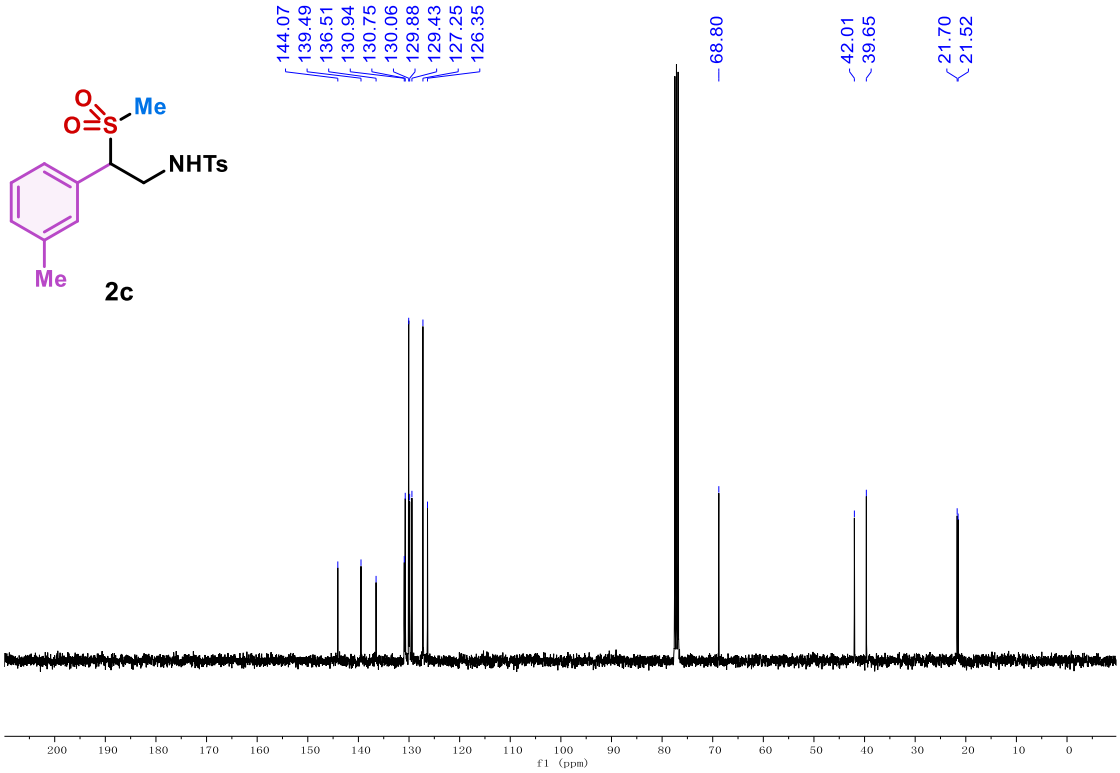


4-methyl-N-(2-(methylsulfonyl)-2-(m-tolyl)ethyl)benzenesulfonamide (2c)

^{1}H NMR (400 MHz, $CDCl_3$)

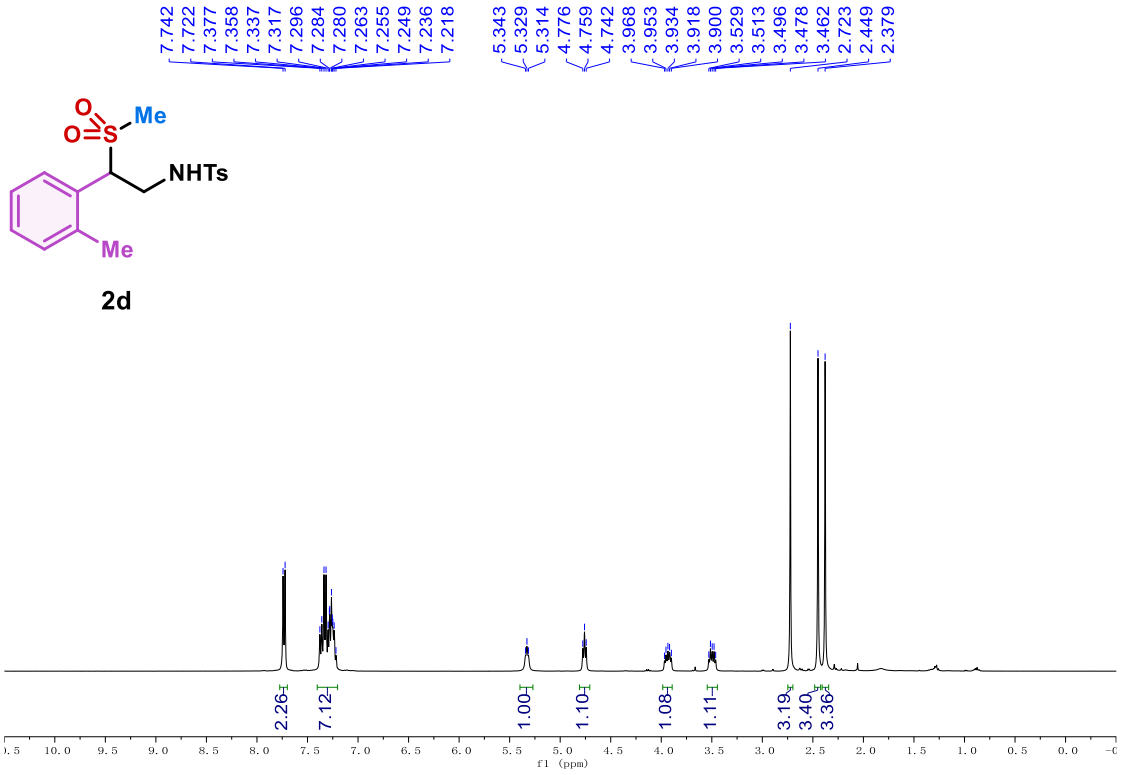


^{13}C NMR (101 MHz, $CDCl_3$)

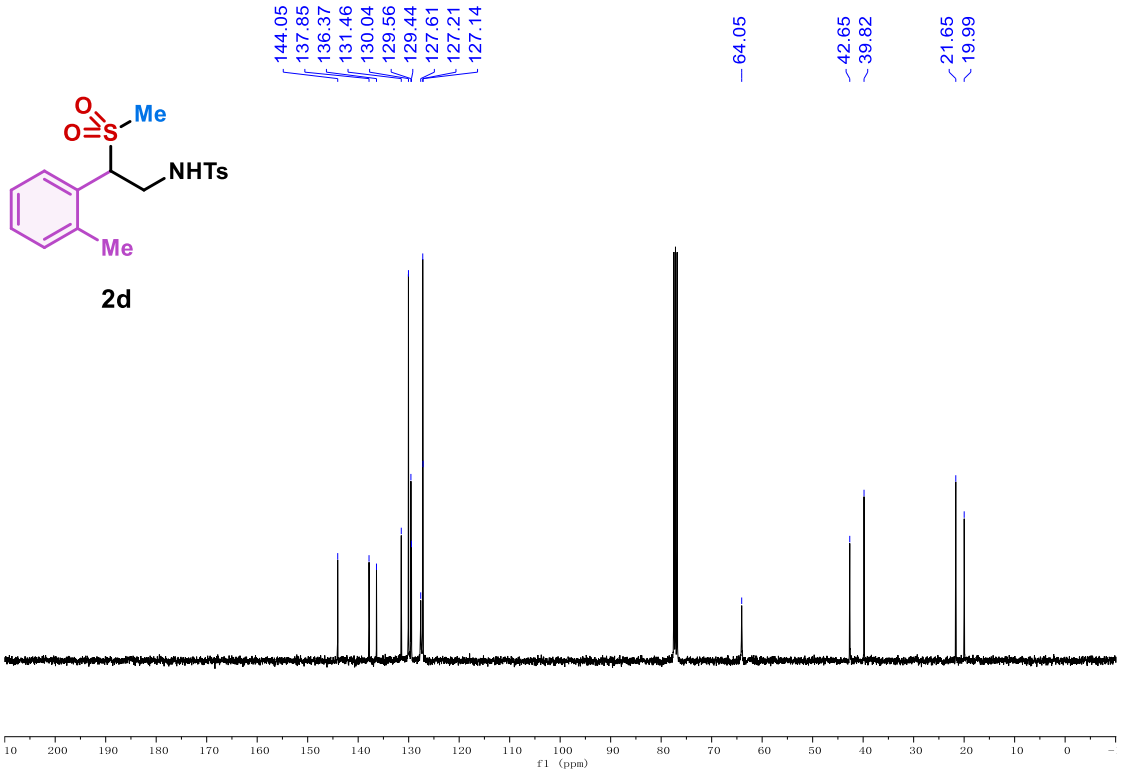


4-methyl-N-(2-(methylsulfonyl)-2-(o-tolyl)ethyl)benzenesulfonamide (2d)

^{1}H NMR (400 MHz, $CDCl_3$)

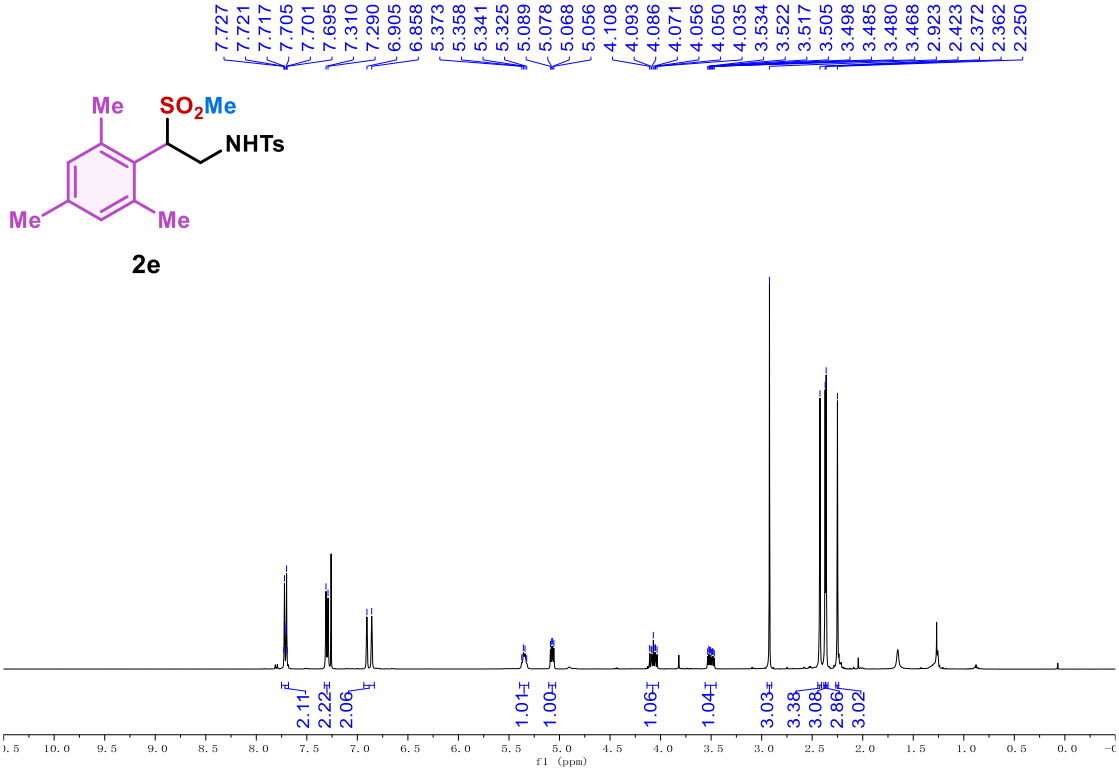


^{13}C NMR (101 MHz, $CDCl_3$)

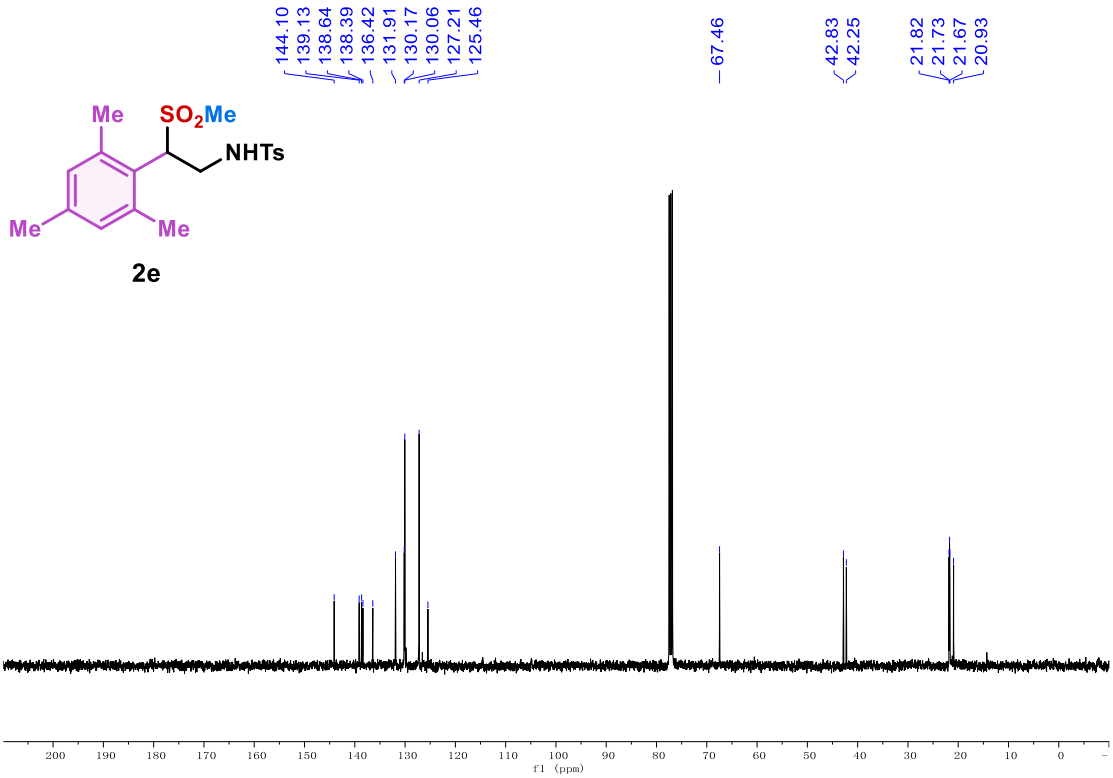


N-(2-mesityl-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2e)

^{1}H NMR (400 MHz, $CDCl_3$)

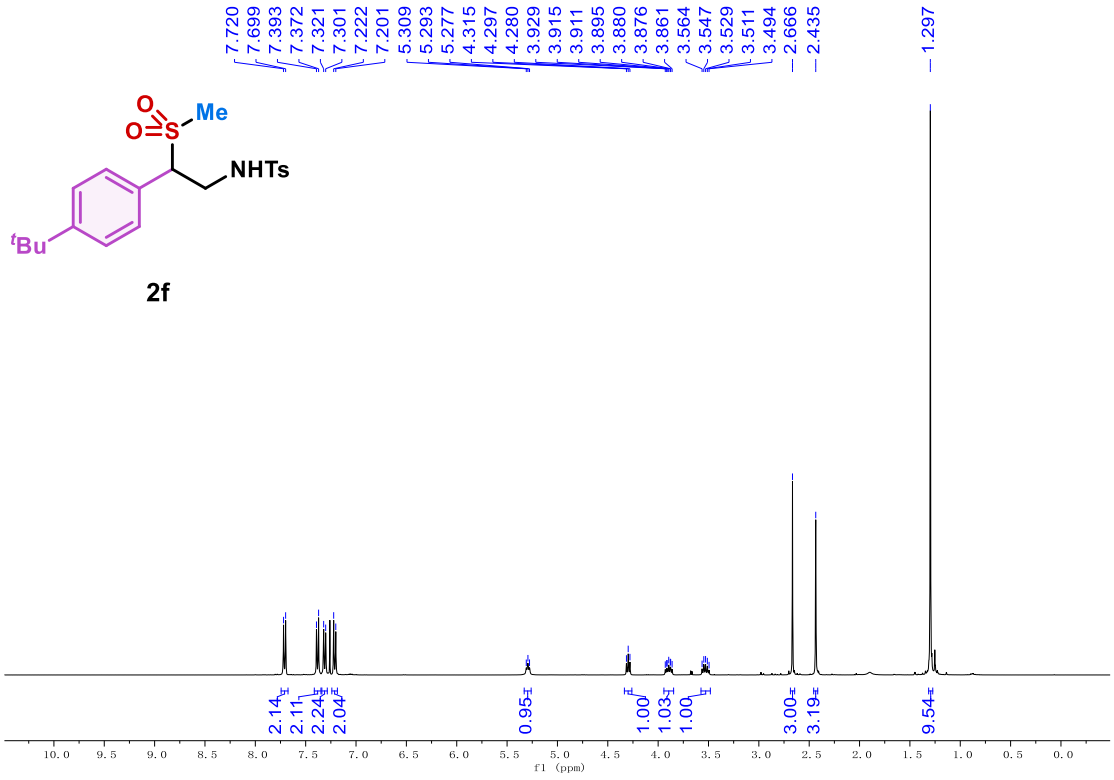


^{13}C NMR (101 MHz, $CDCl_3$)

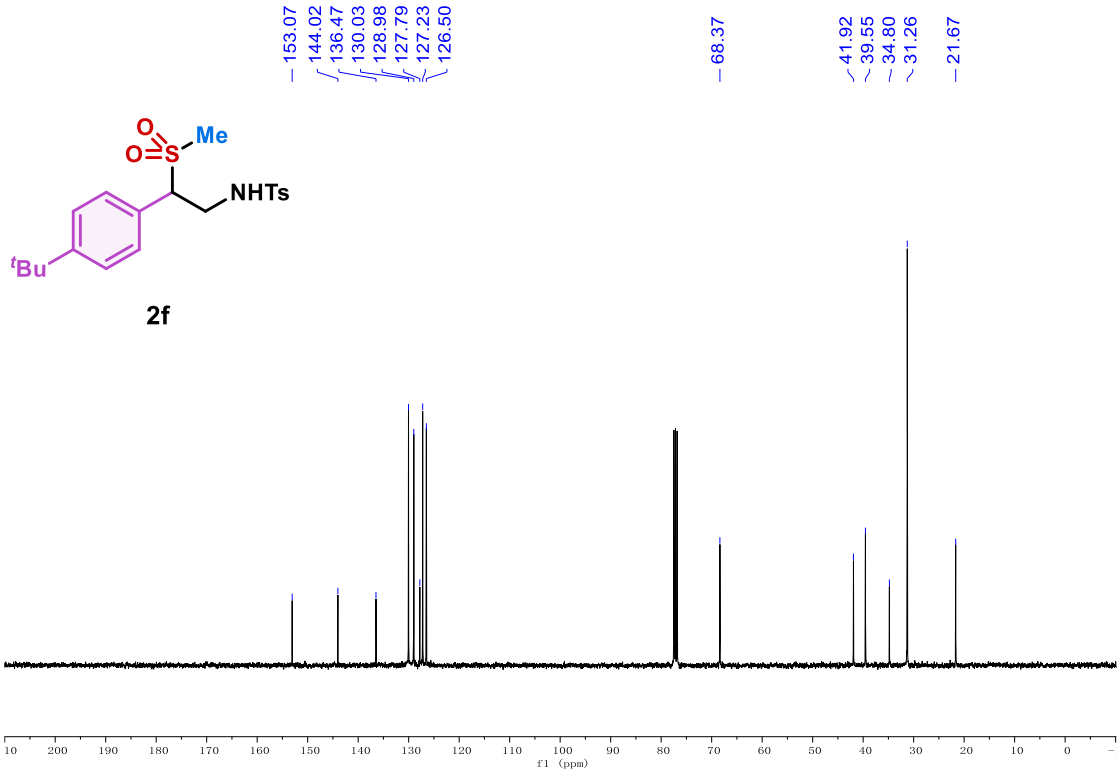


N-(2-(4-(tert-butyl)phenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2f)

^{1}H NMR (400 MHz, $CDCl_3$)

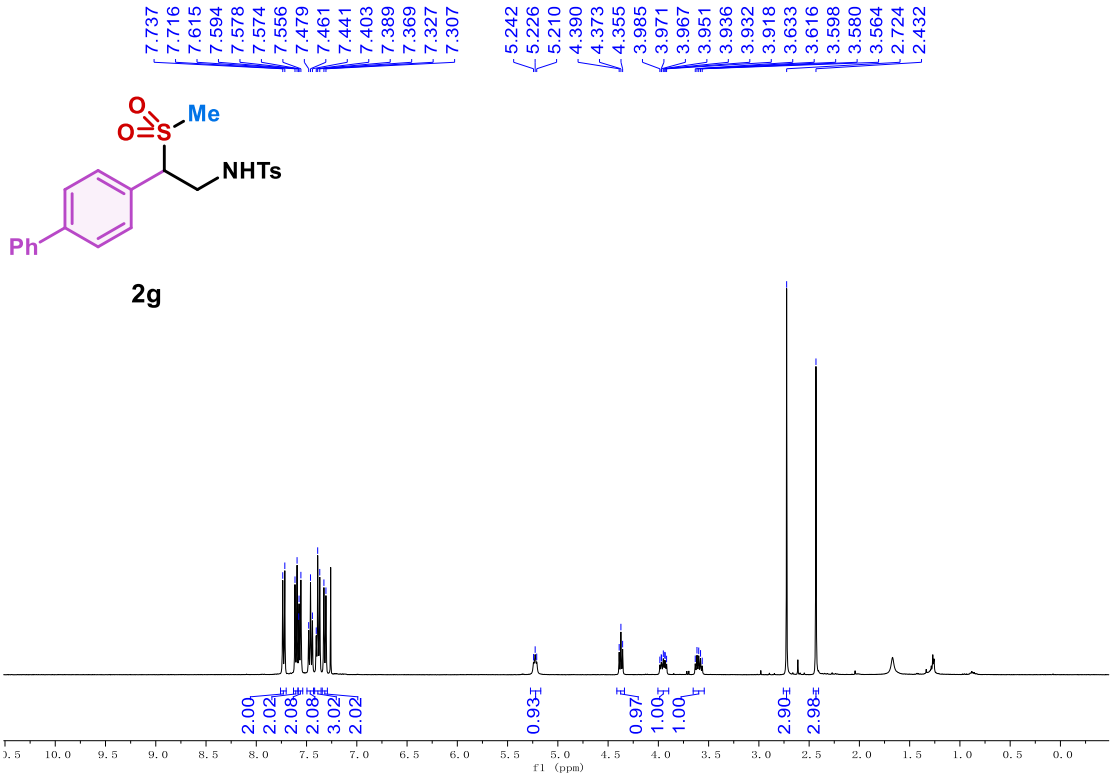


^{13}C NMR (101 MHz, $CDCl_3$)

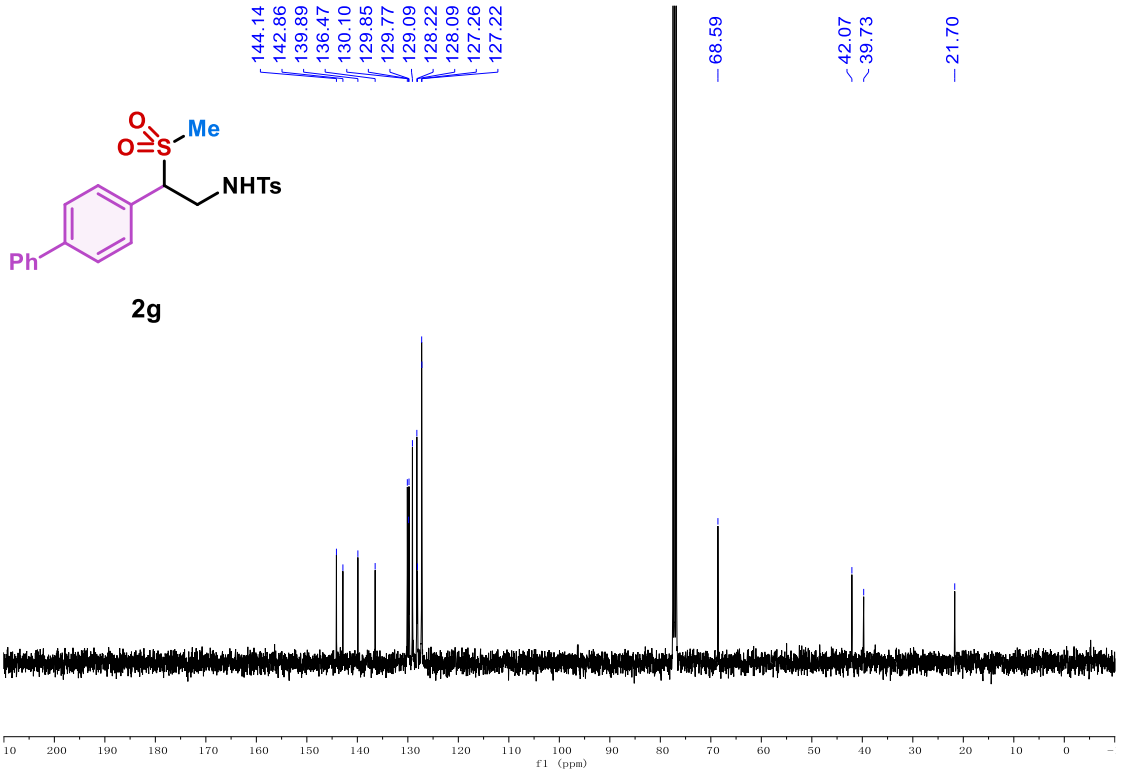


N-(2-([1,1'-biphenyl]-4-yl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2g)

^{1}H NMR (400 MHz, $CDCl_3$)

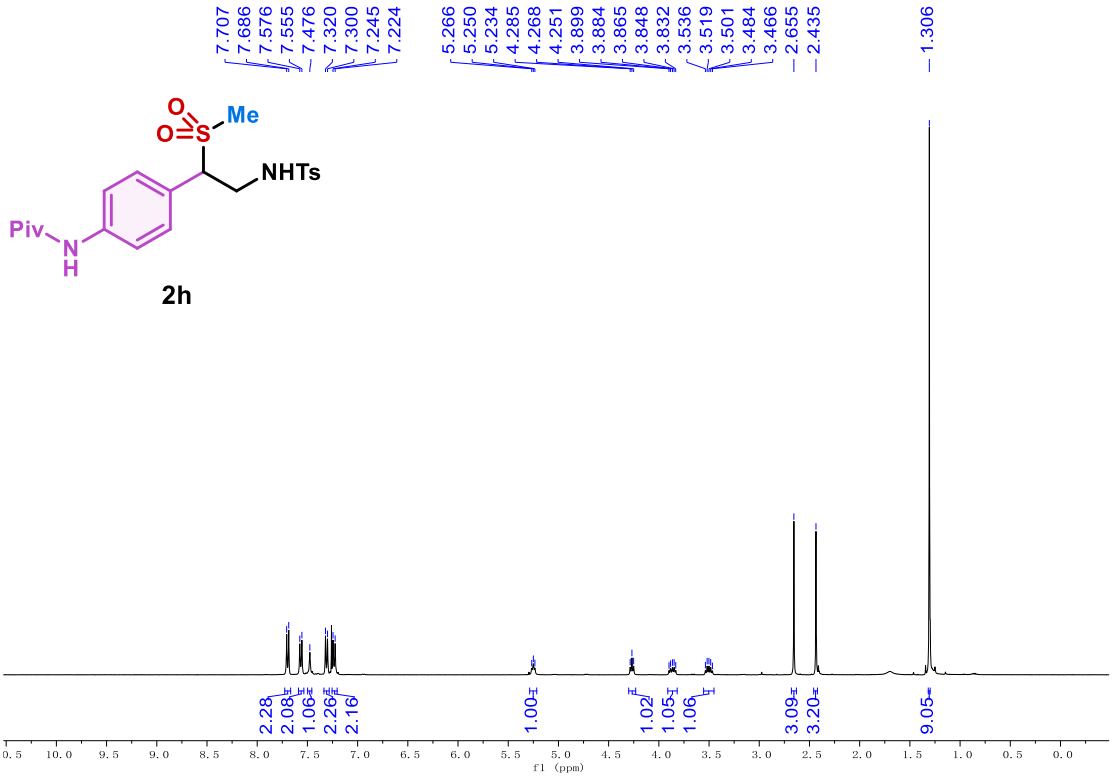


^{13}C NMR (101 MHz, $CDCl_3$)

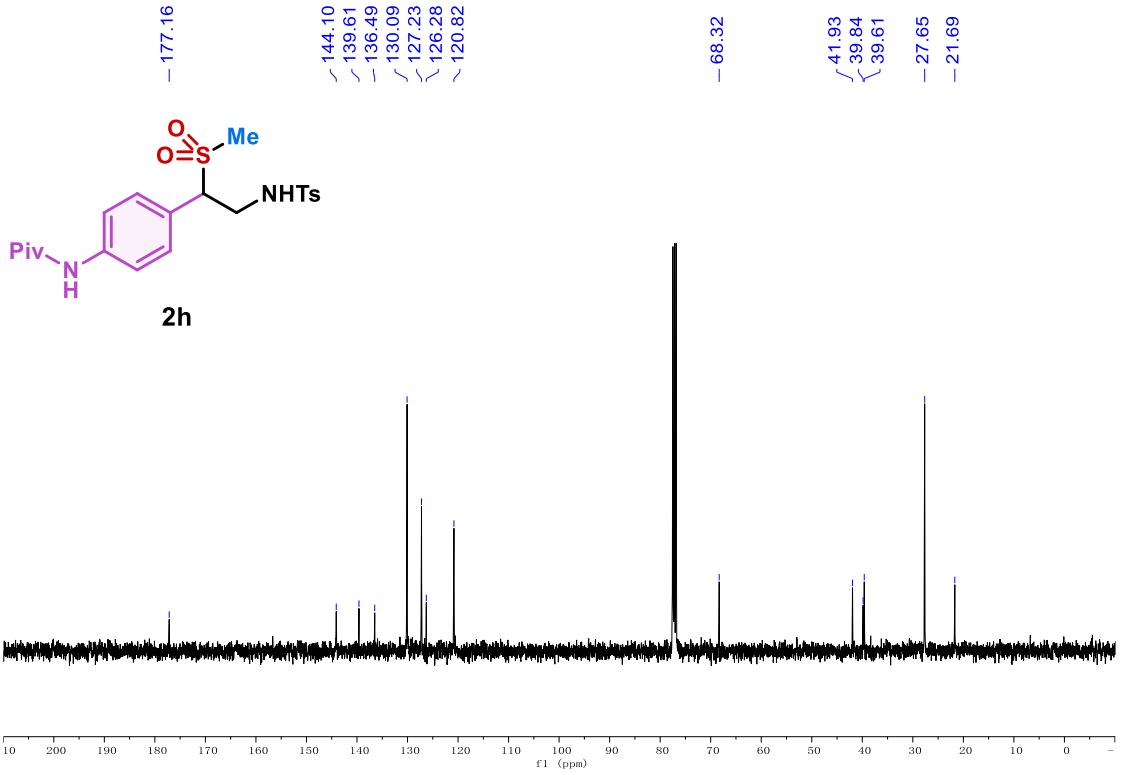


N-(4-(2-((4-methylphenyl)sulfonamido)-1-(methylsulfonyl)ethyl)phenyl)pivalamide (2h)

^{1}H NMR (400 MHz, $CDCl_3$)

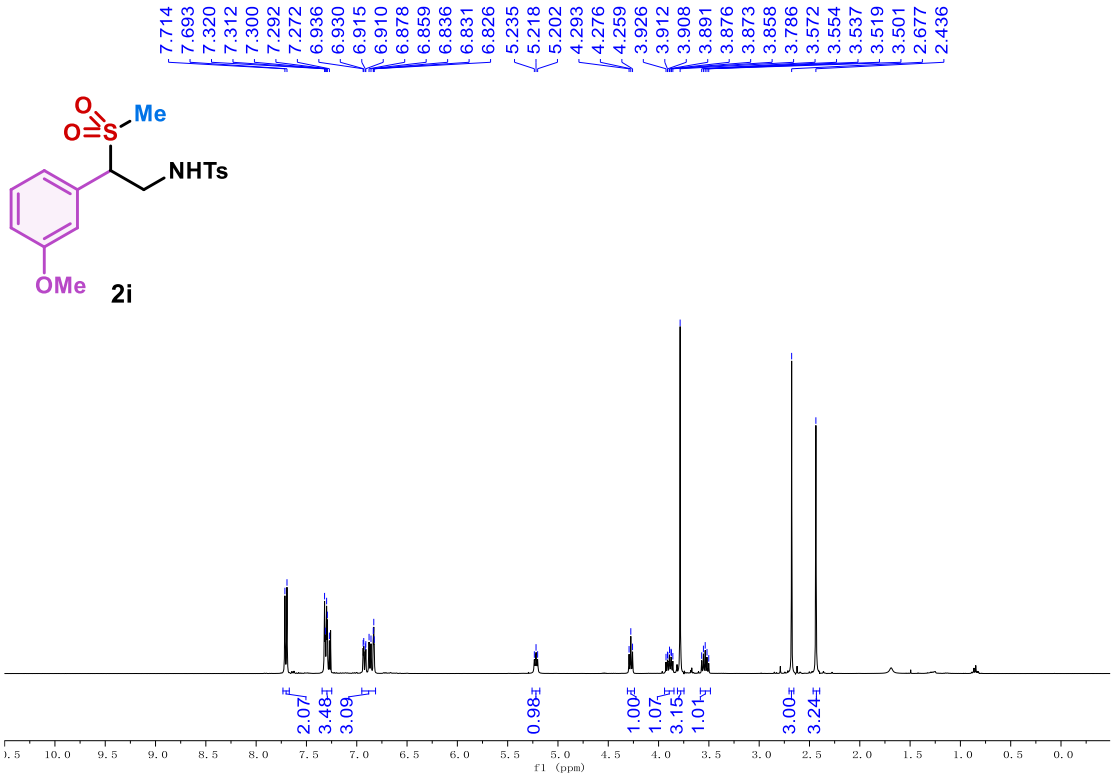


^{13}C NMR (101 MHz, $CDCl_3$)

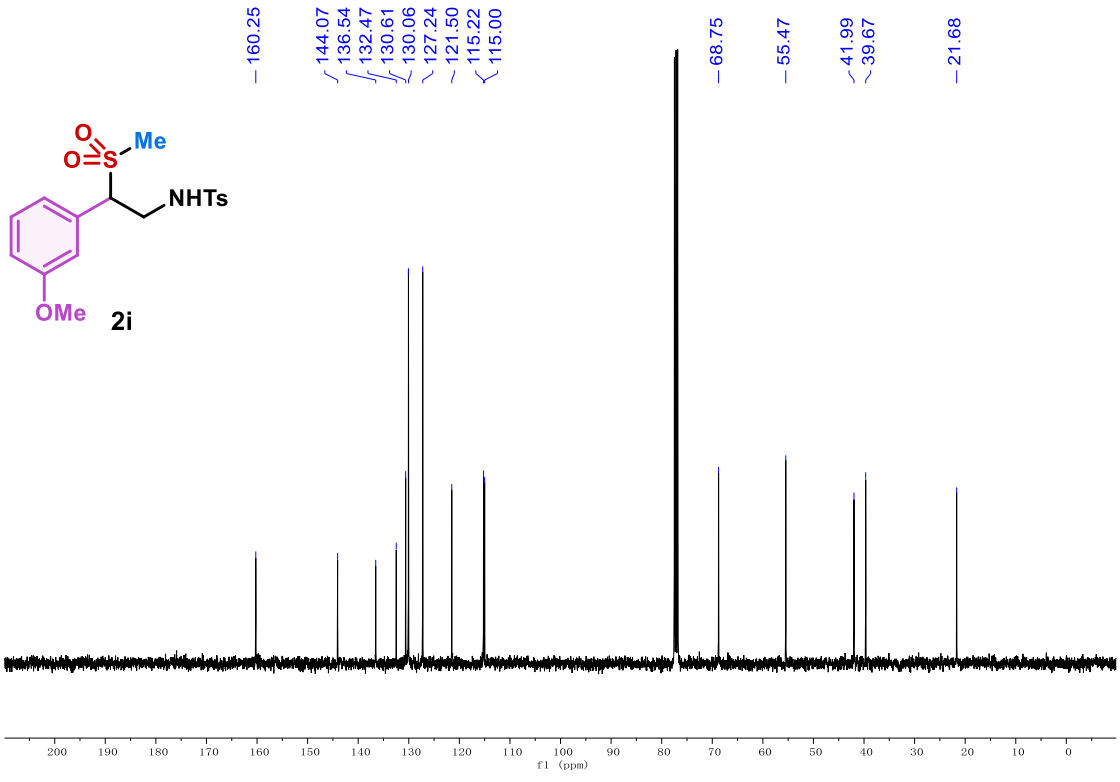


N-(2-(3-methoxyphenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2i)

^{1}H NMR (400 MHz, $CDCl_3$)

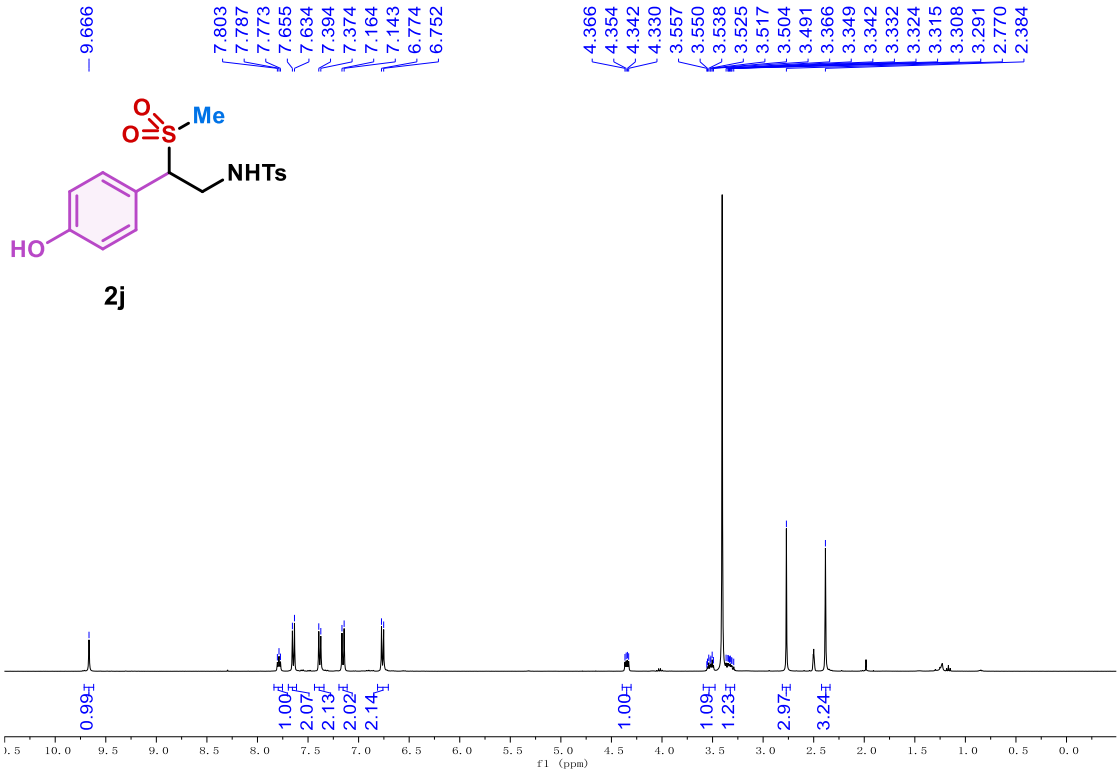


^{13}C NMR (101 MHz, $CDCl_3$)

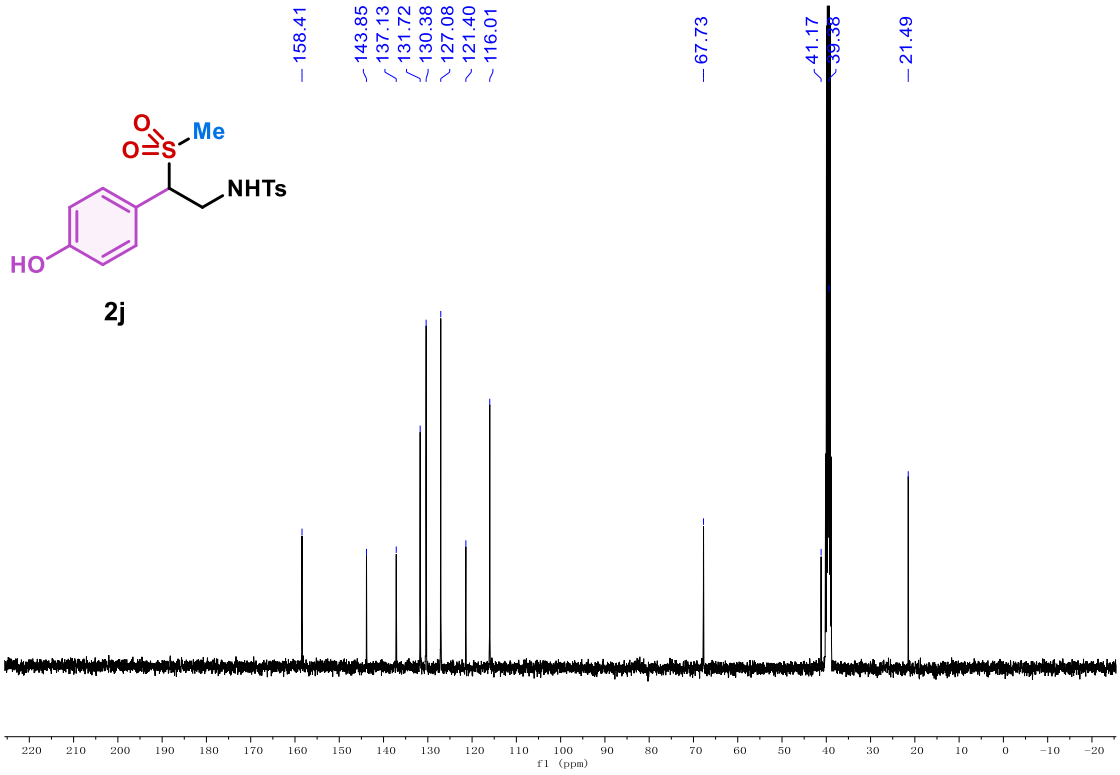


N-(2-(4-hydroxyphenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2j)

^{1}H NMR (400 MHz, $CDCl_3$)

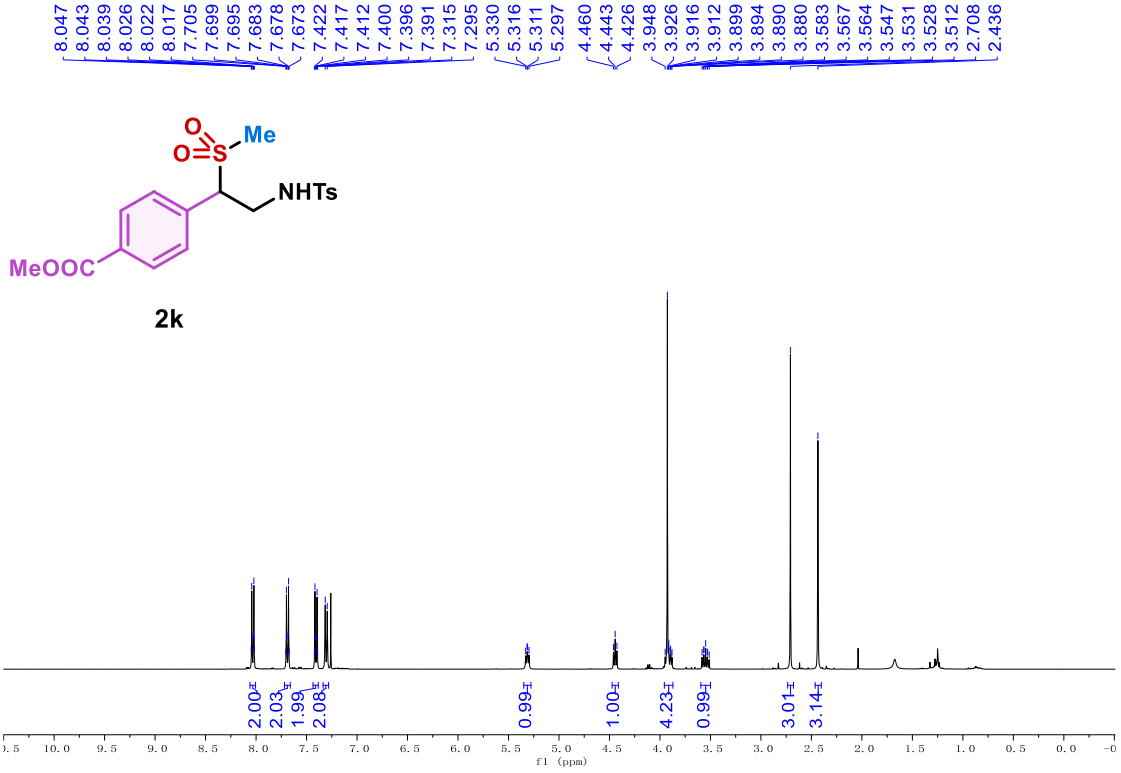


^{13}C NMR (101 MHz, $CDCl_3$)

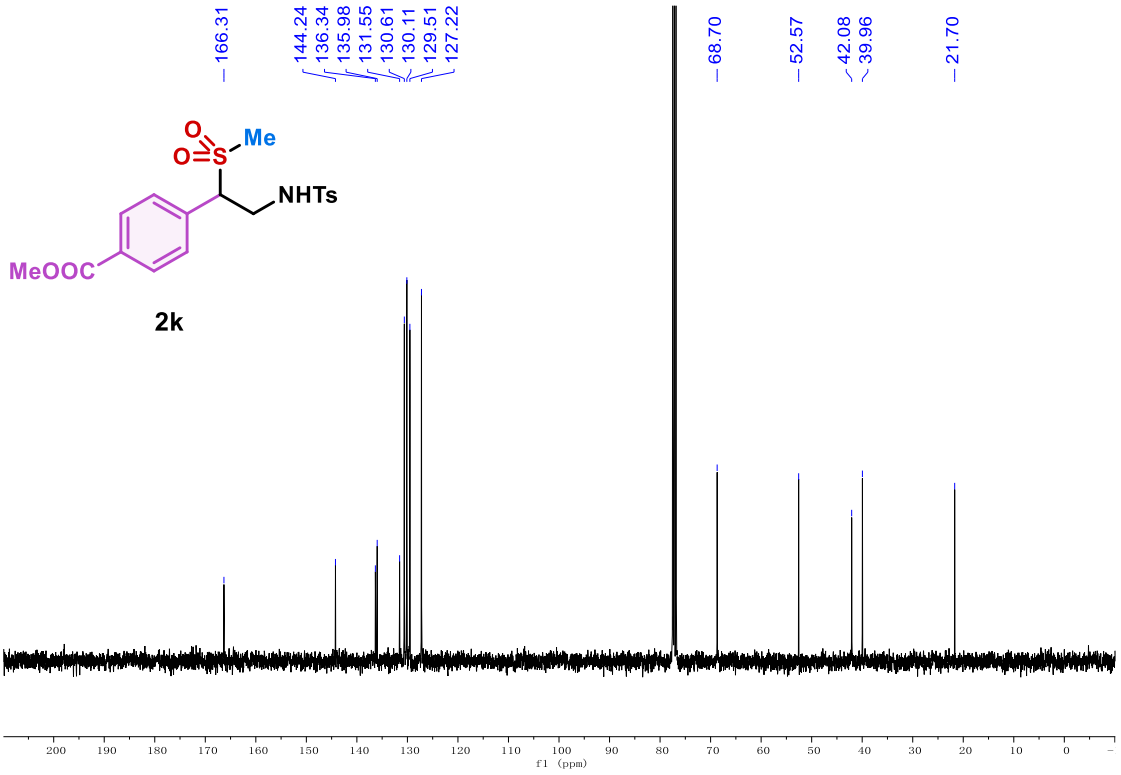


methyl 4-(2-((4-methylphenyl)sulfonamido)-1-(methylsulfonyl)ethyl)benzoate (2k)

^{1}H NMR (400 MHz, $CDCl_3$)

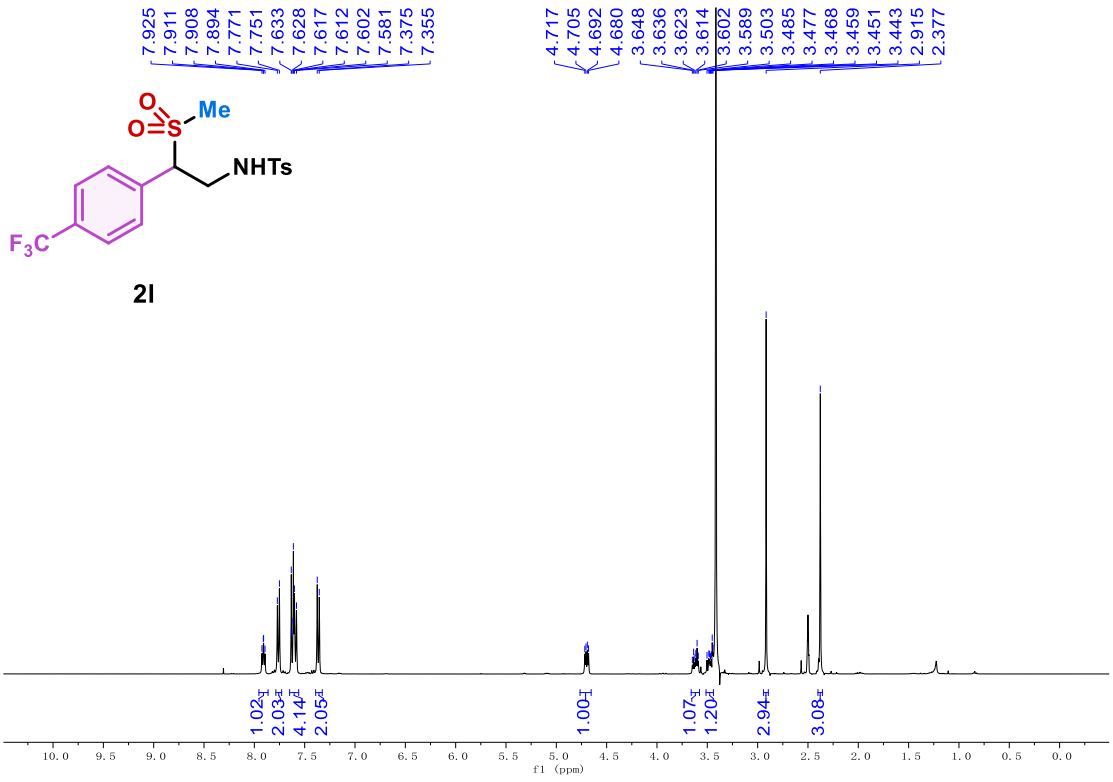


^{13}C NMR (101 MHz, $CDCl_3$)

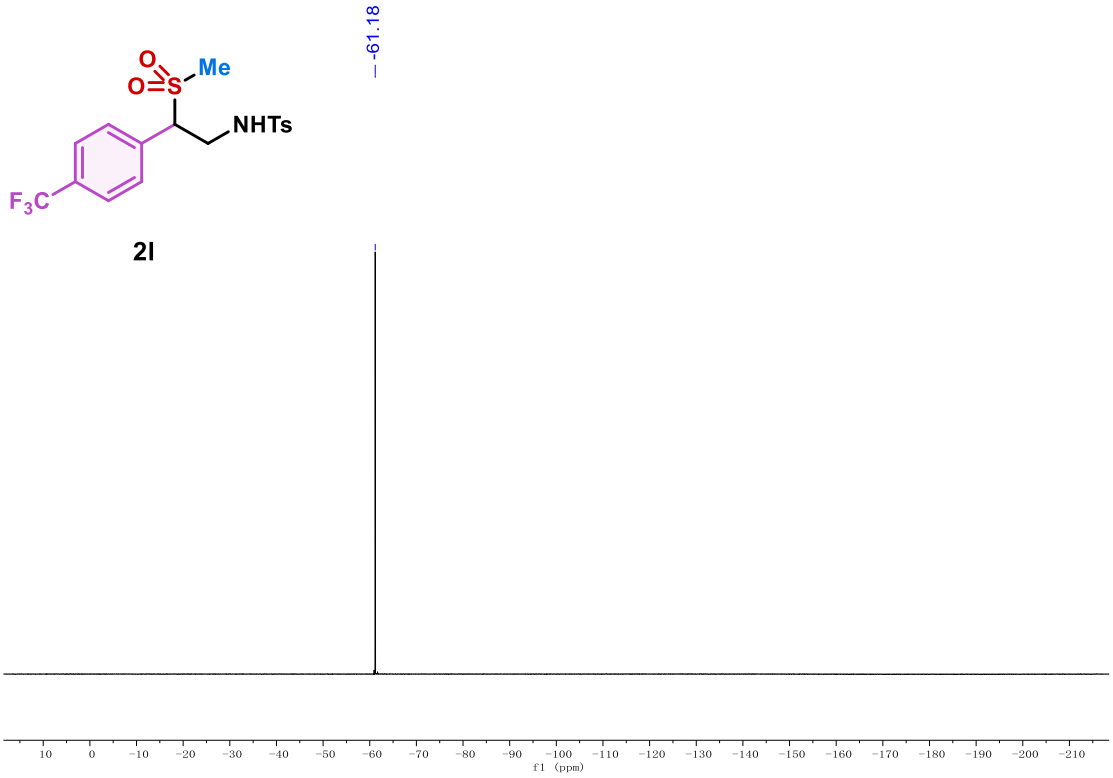


4-methyl-N-(2-(methylsulfonyl)-2-(4-(trifluoromethyl) phenyl)ethyl) benzenesulfonamide (2l)

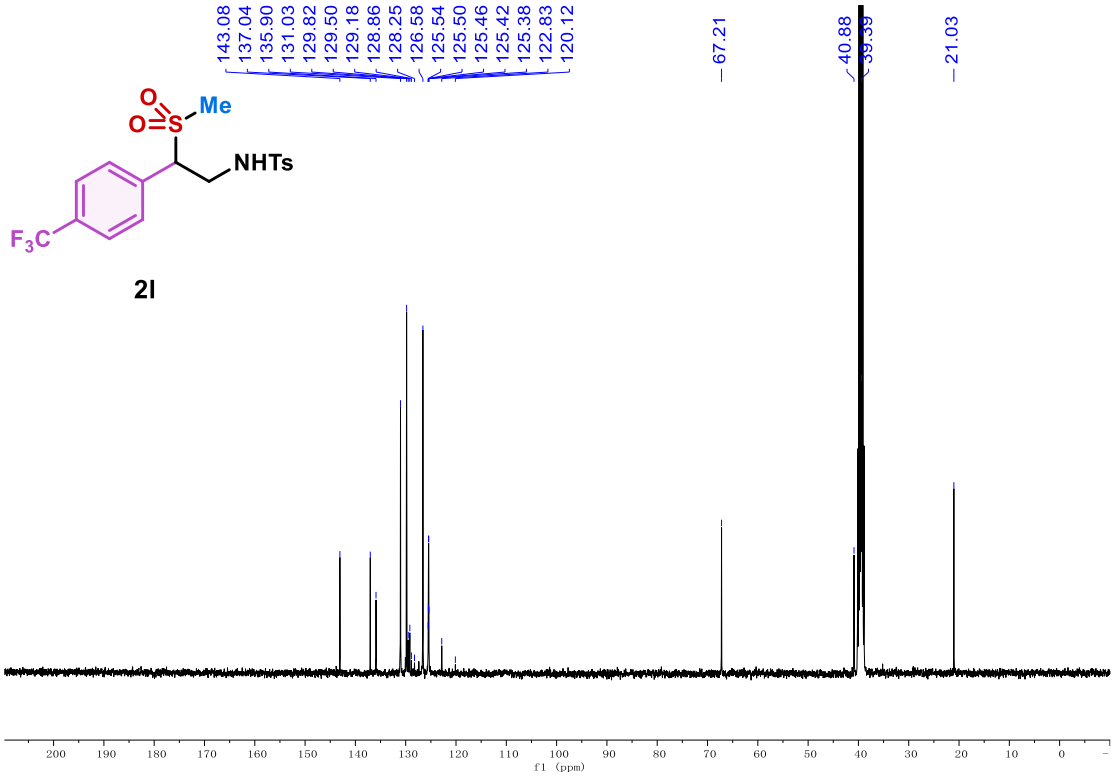
^{1}H NMR (400 MHz, DMSO-d_6)



^{19}F NMR (376 MHz, DMSO-d_6)

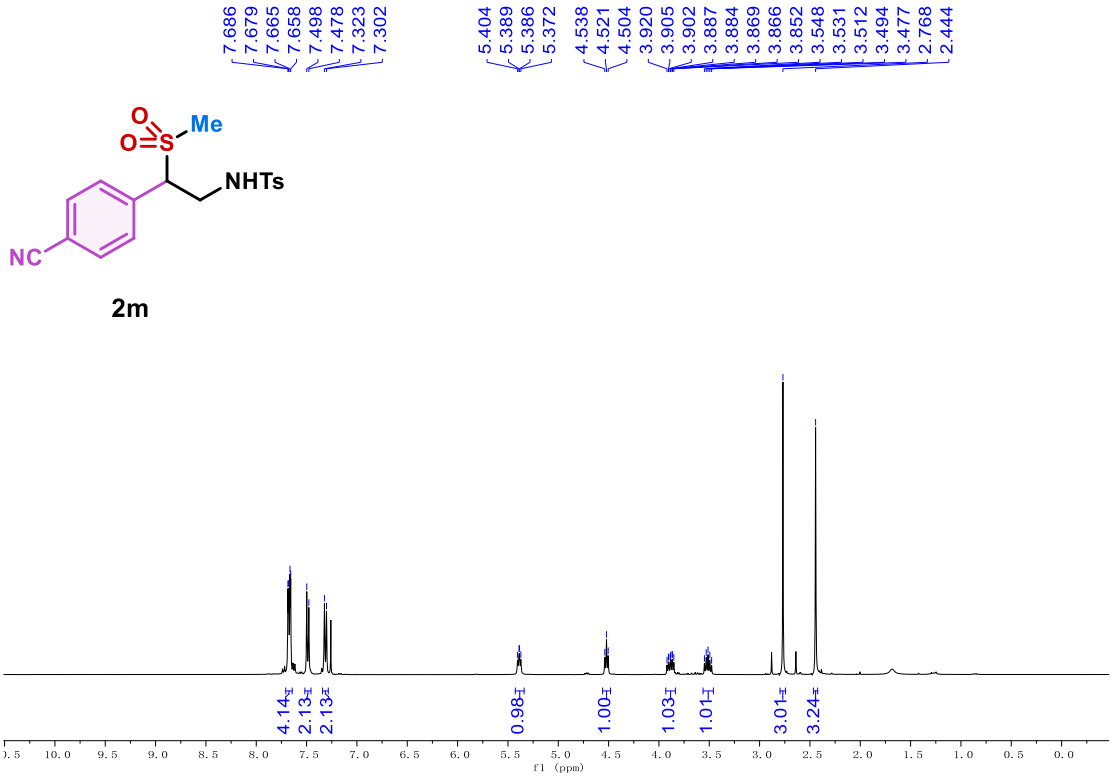


^{13}C NMR (101 MHz, DMSO-d_6)

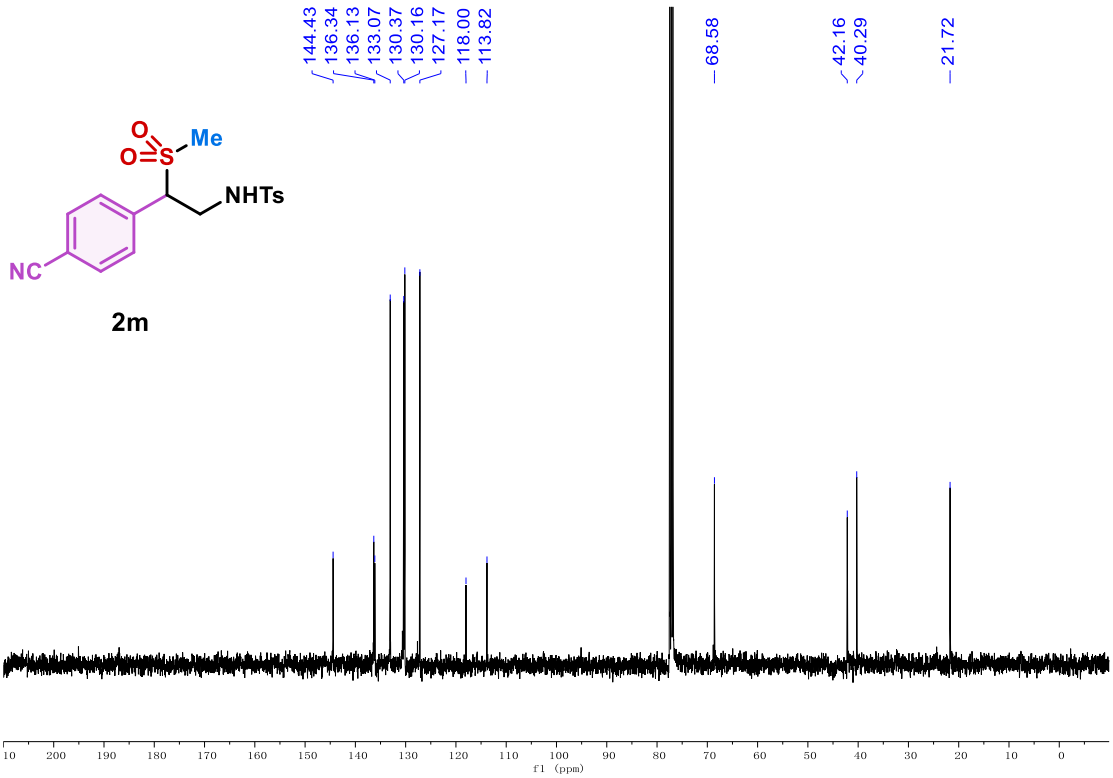


N-(2-(4-cyanophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2m)

^{1}H NMR (400 MHz, $CDCl_3$)

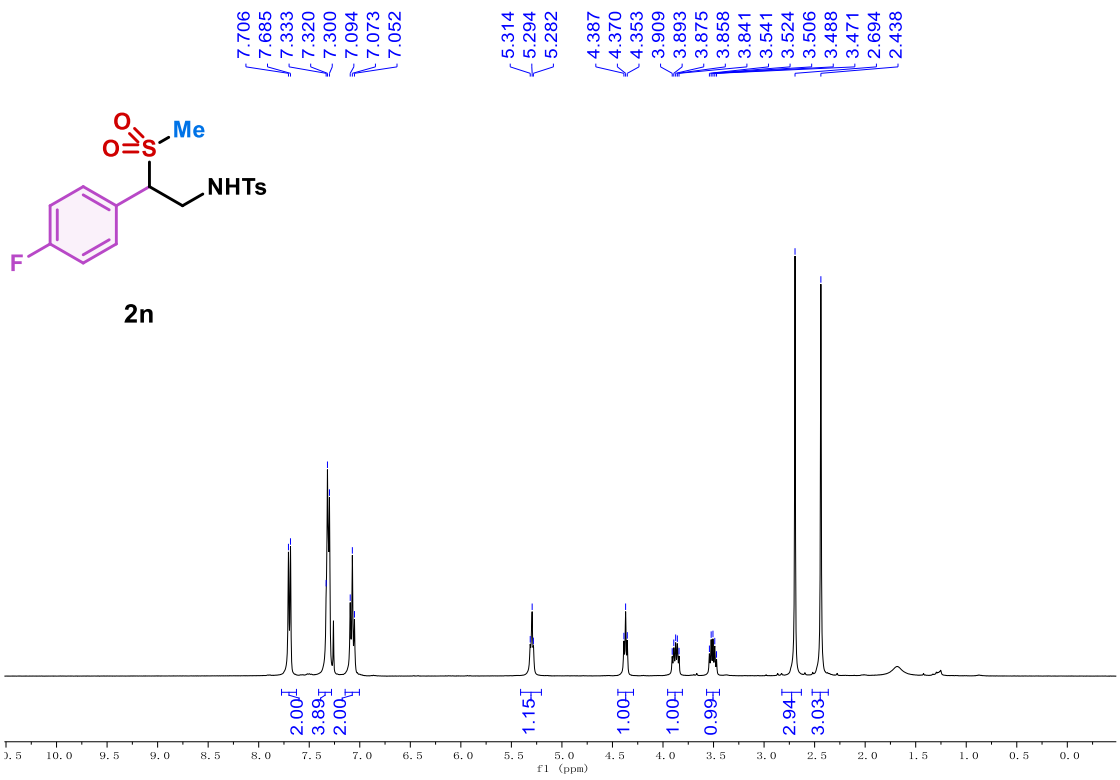


^{13}C NMR (101 MHz, $CDCl_3$)

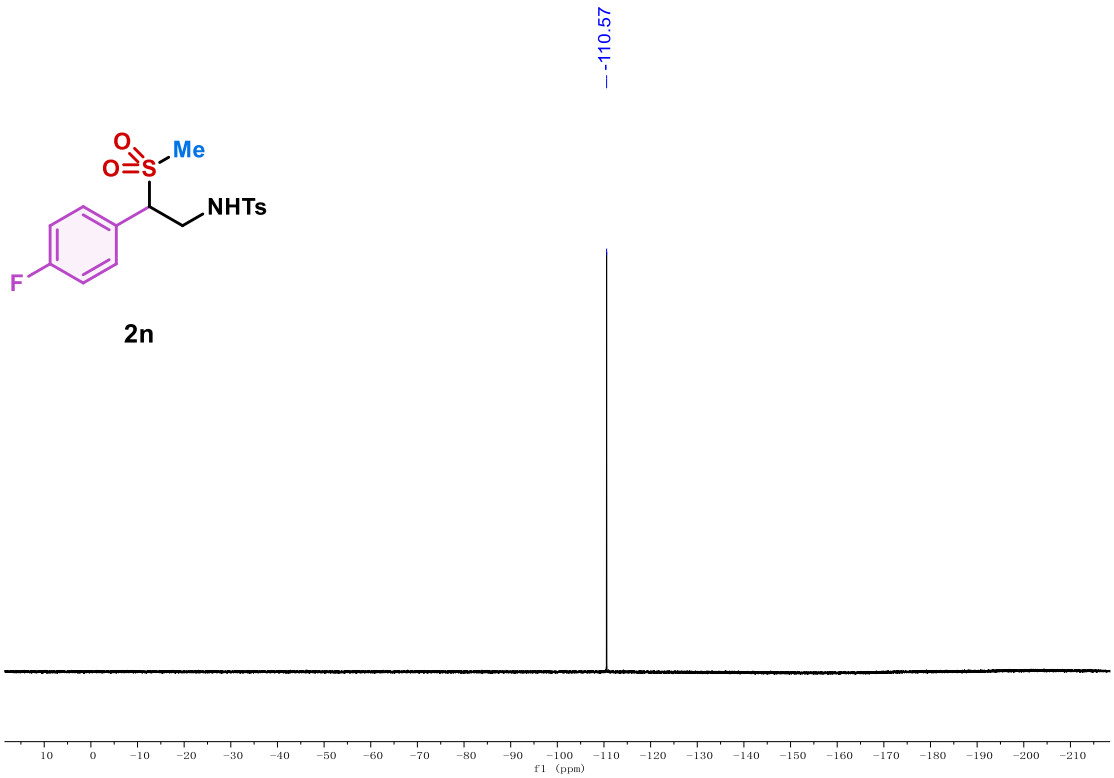


N-(2-(4-fluorophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2n)

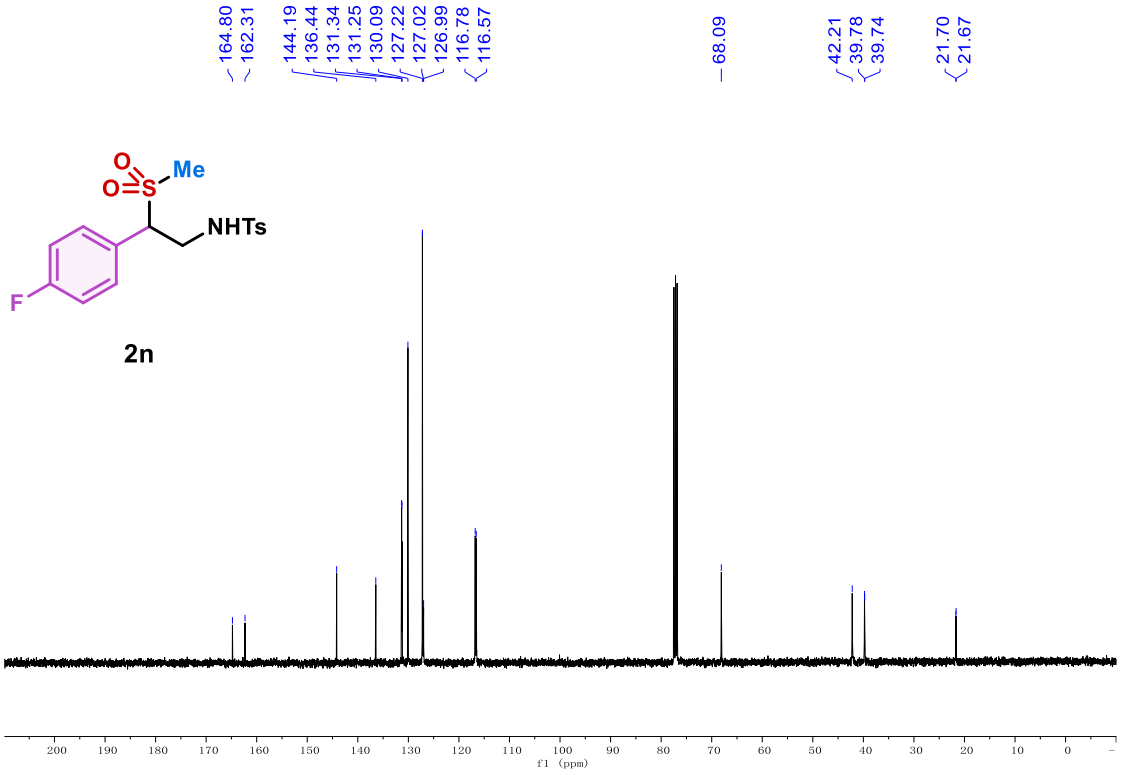
^{1}H NMR (400 MHz, $CDCl_3$)



^{19}F NMR (376 MHz, $CDCl_3$)

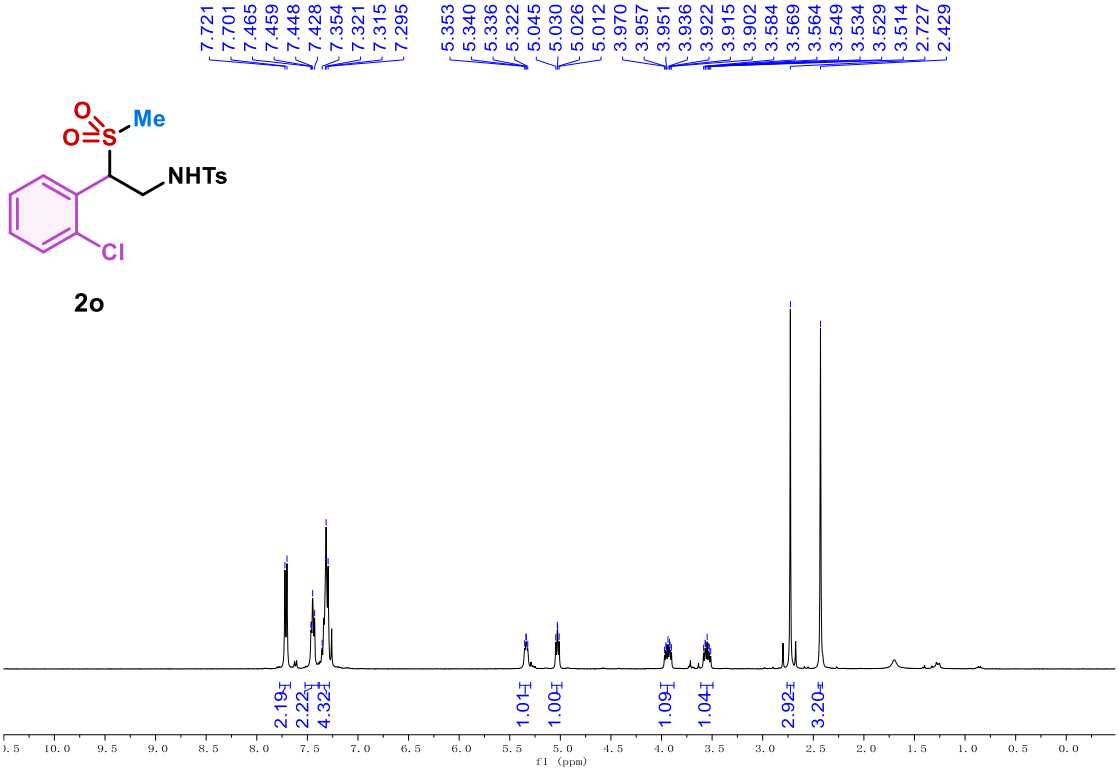


^{13}C NMR (101 MHz, $CDCl_3$)

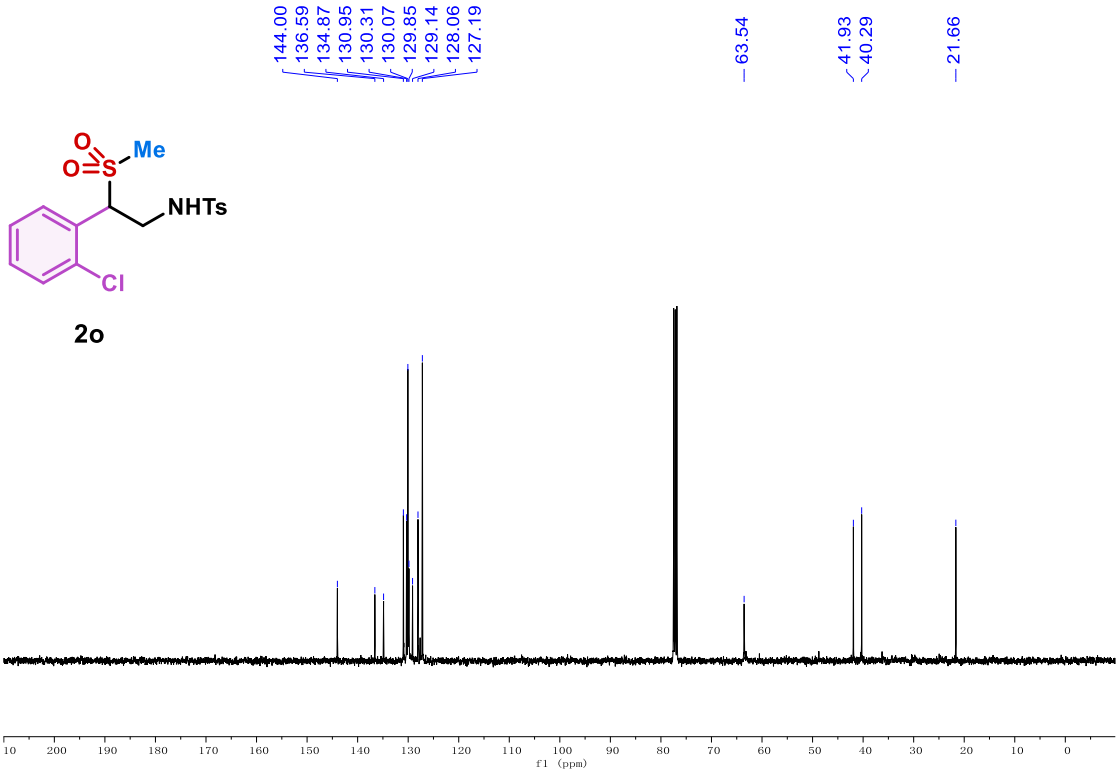


N-(2-(2-chlorophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2o)

^{1}H NMR (400 MHz, $CDCl_3$)

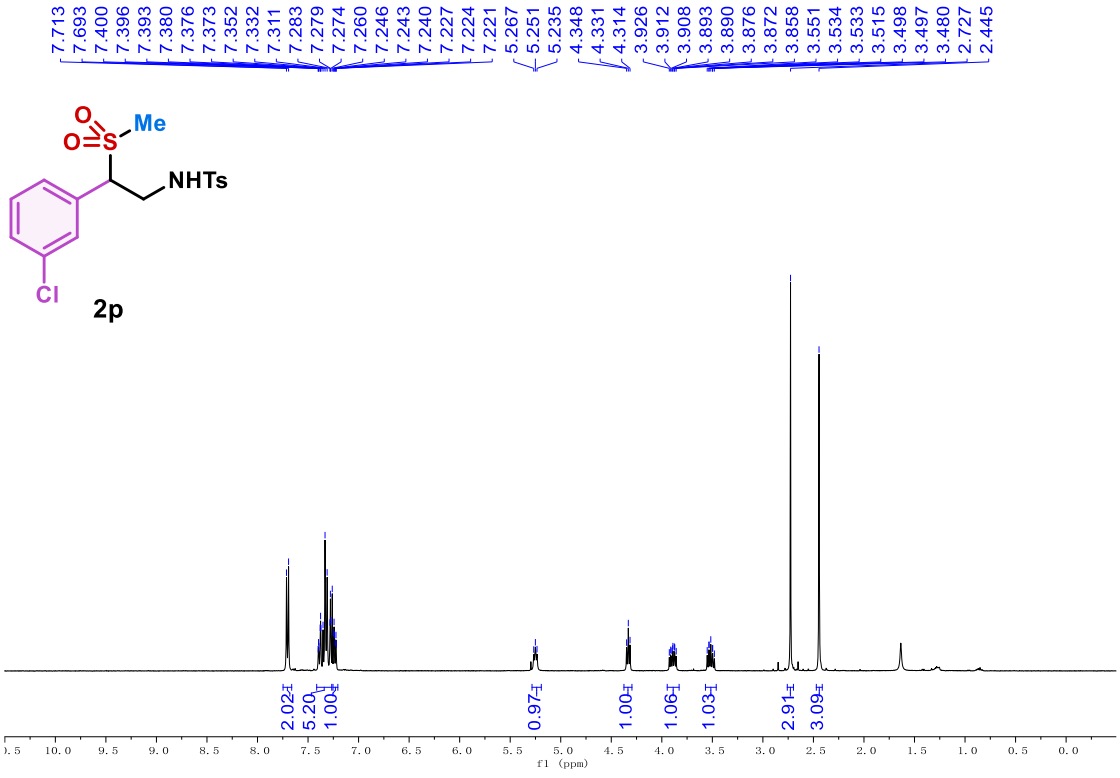


^{13}C NMR (101 MHz, $CDCl_3$)

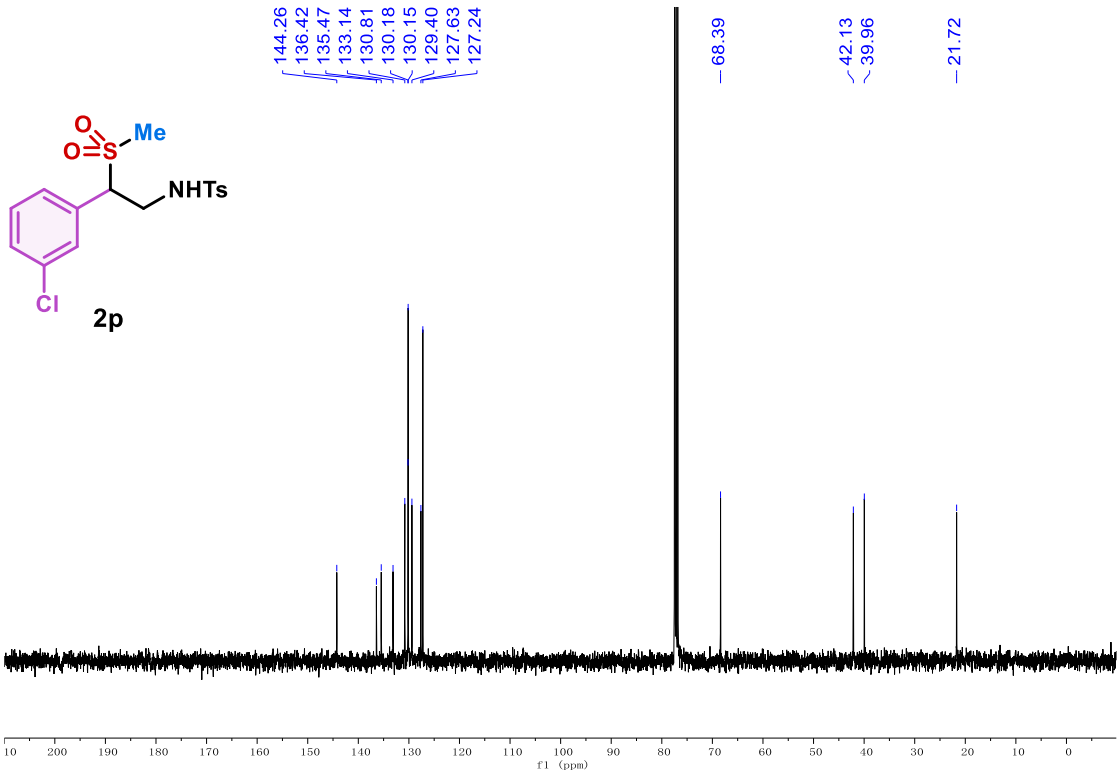


N-(2-(3-chlorophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2p)

^{1}H NMR (400 MHz, $CDCl_3$)

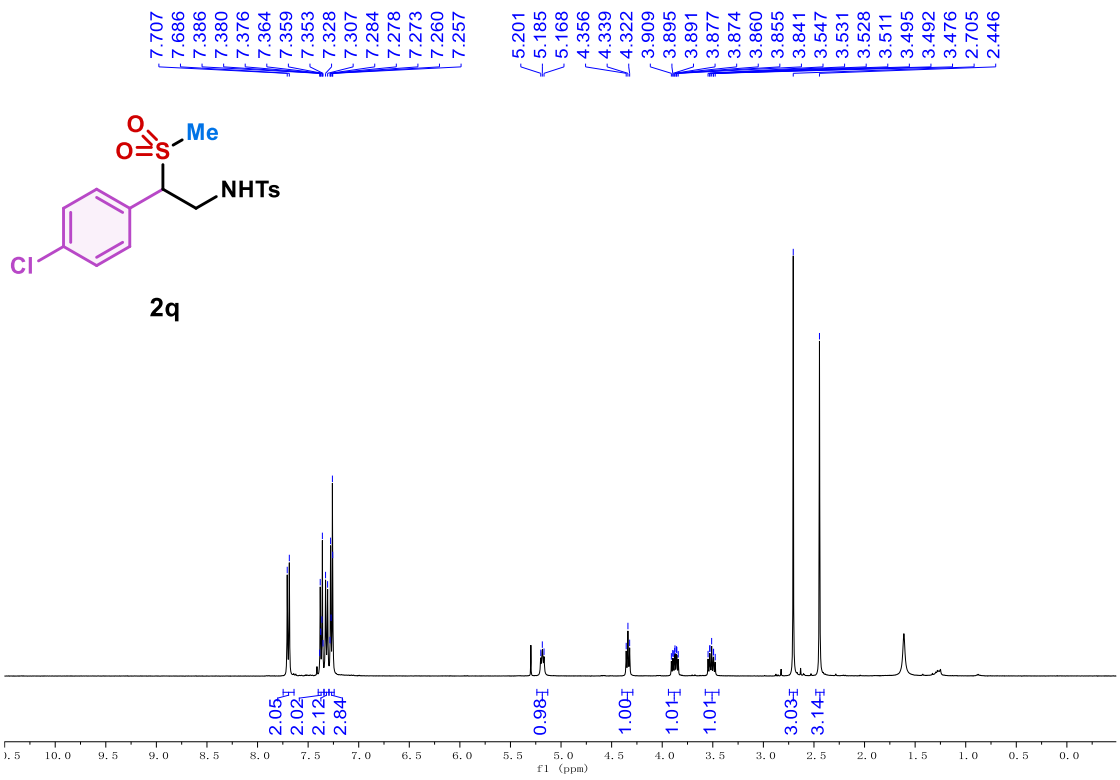


^{13}C NMR (101 MHz, $CDCl_3$)

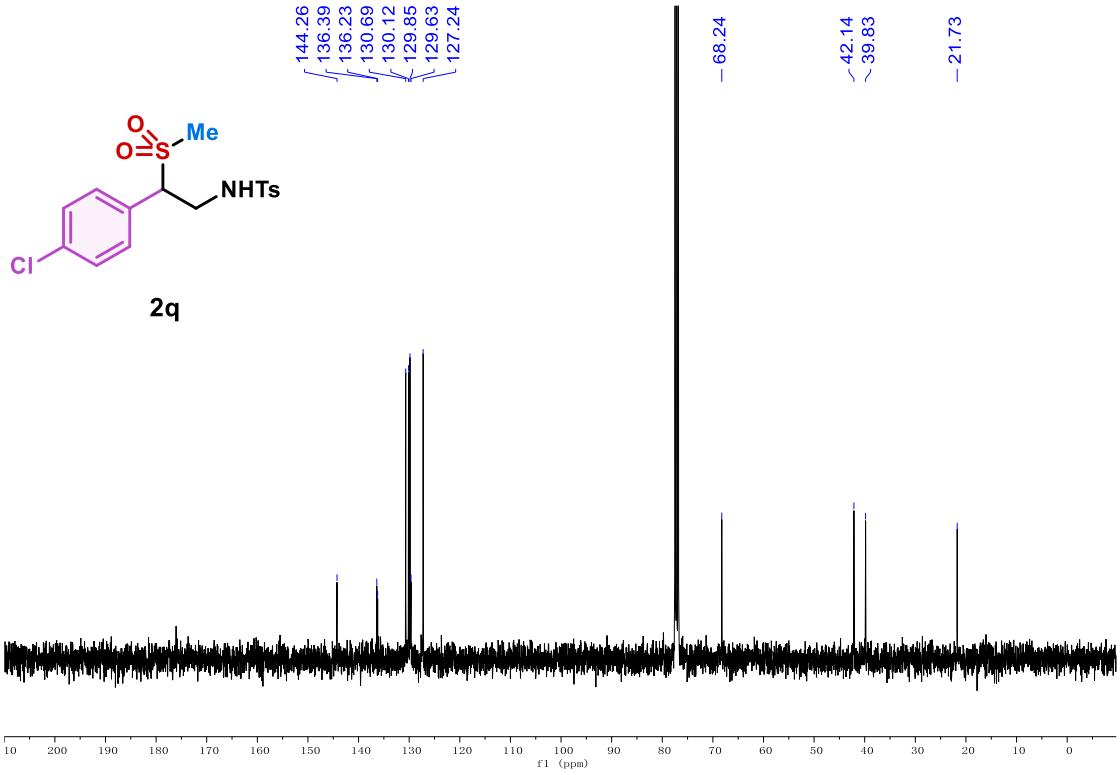


N-(2-(4-chlorophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2q)

^{1}H NMR (400 MHz, $CDCl_3$)

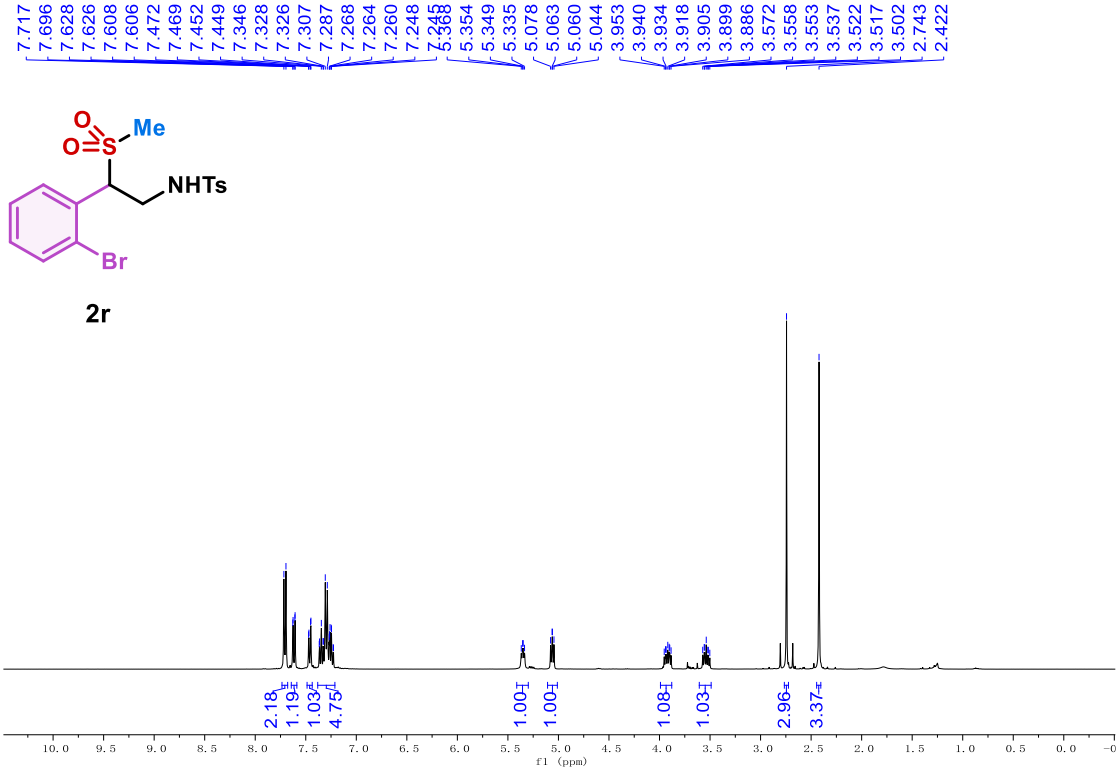


^{13}C NMR (101 MHz, $CDCl_3$)

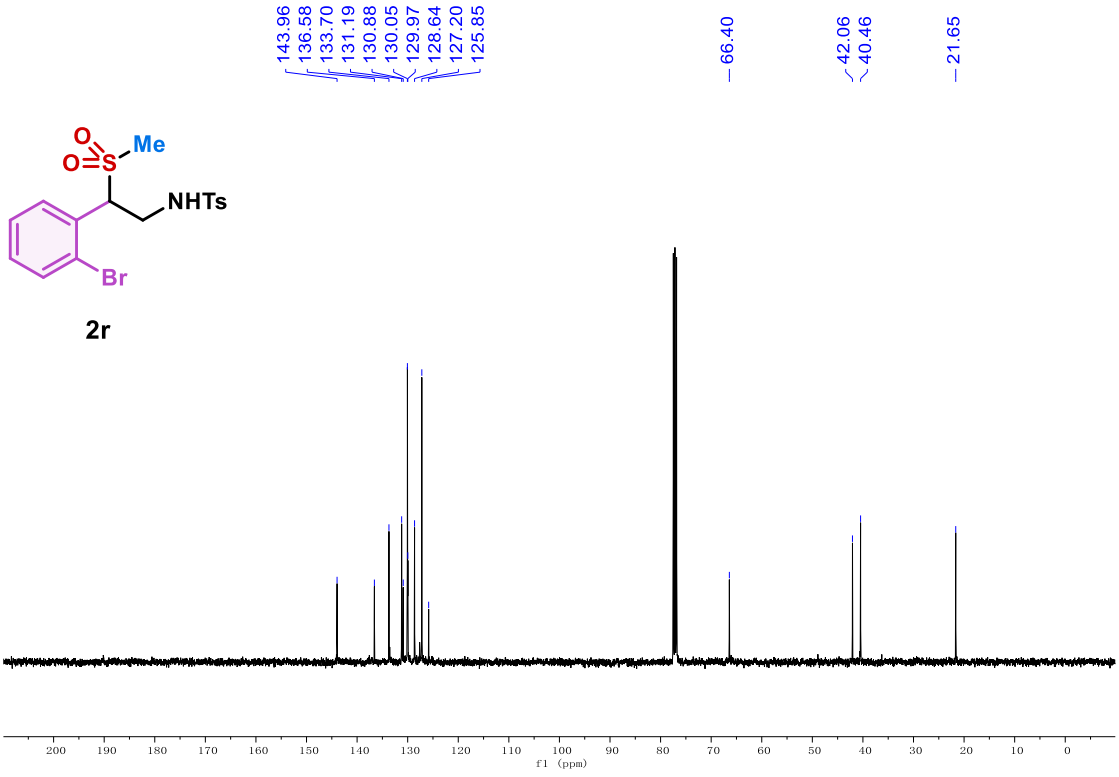


N-(2-(2-bromophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2r)

^{1}H NMR (400 MHz, $CDCl_3$)

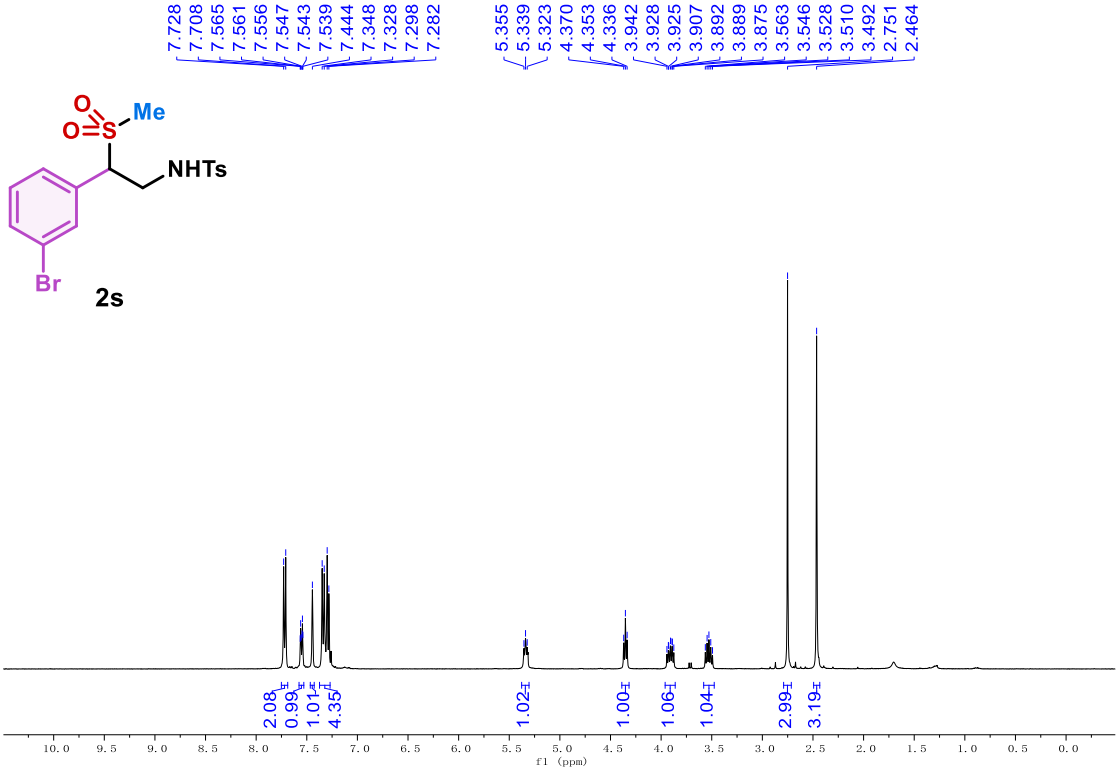


^{13}C NMR (101 MHz, $CDCl_3$)

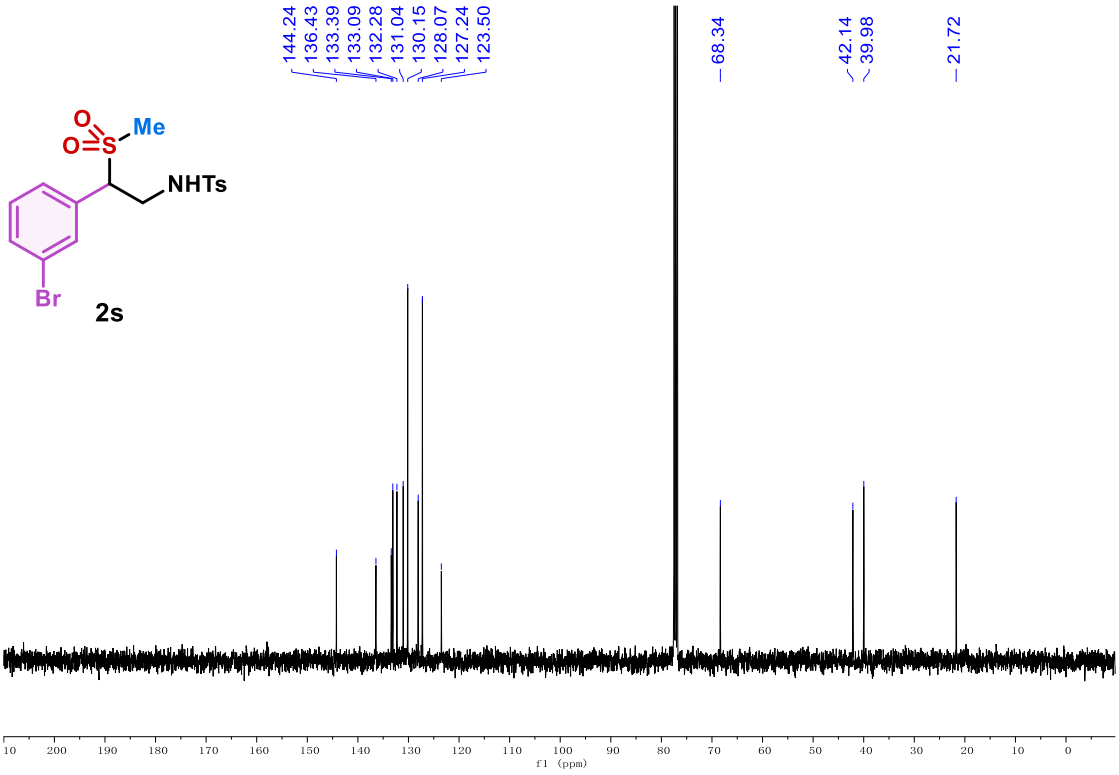


N-(2-(3-bromophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2s)

^{1}H NMR (400 MHz, $CDCl_3$)

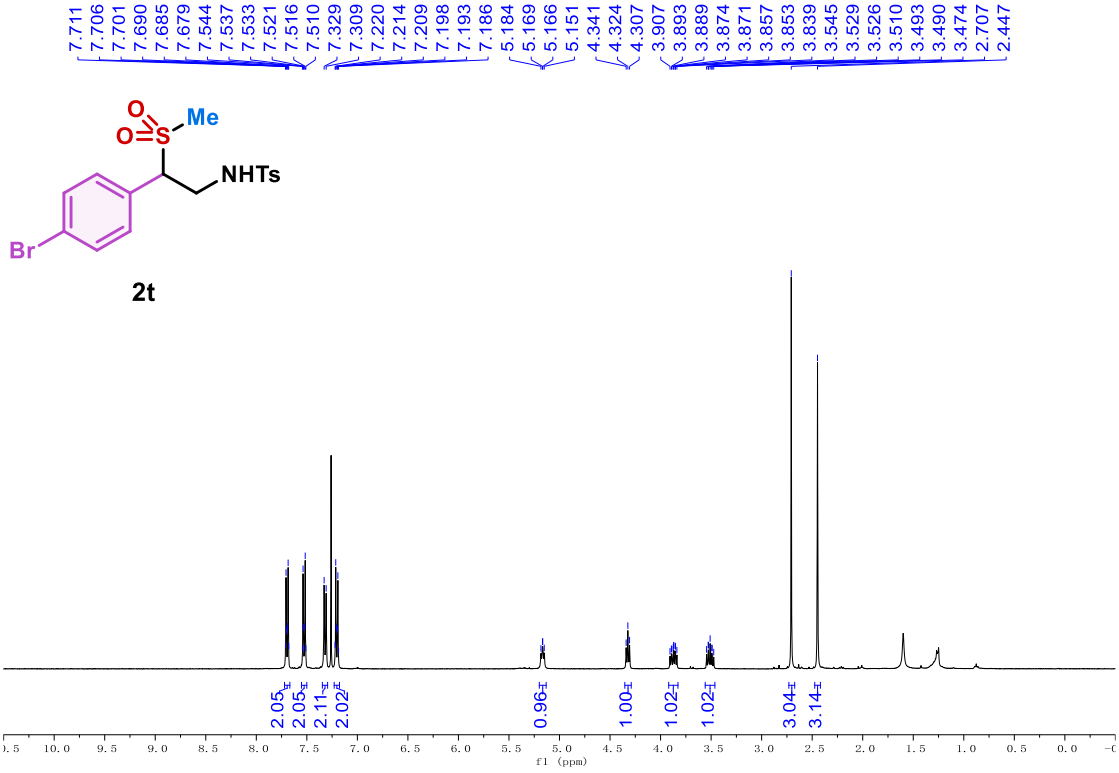


^{13}C NMR (101 MHz, $CDCl_3$)

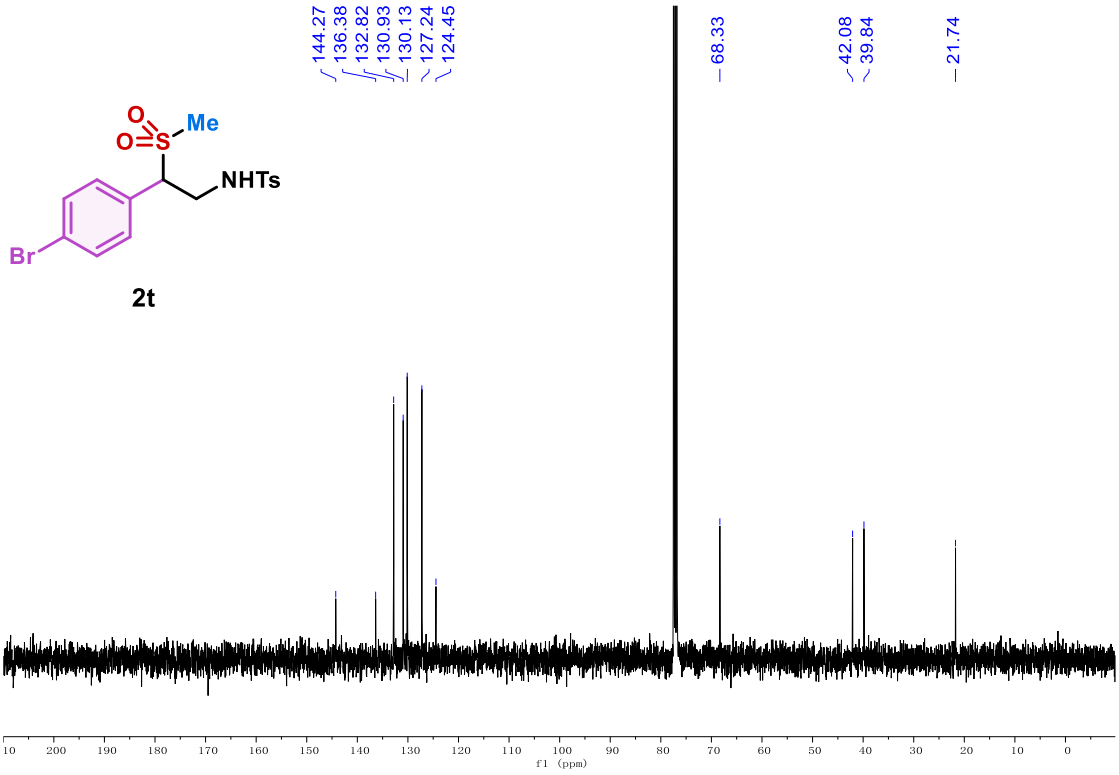


N-(2-(4-bromophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2t)

^{1}H NMR (400 MHz, $CDCl_3$)

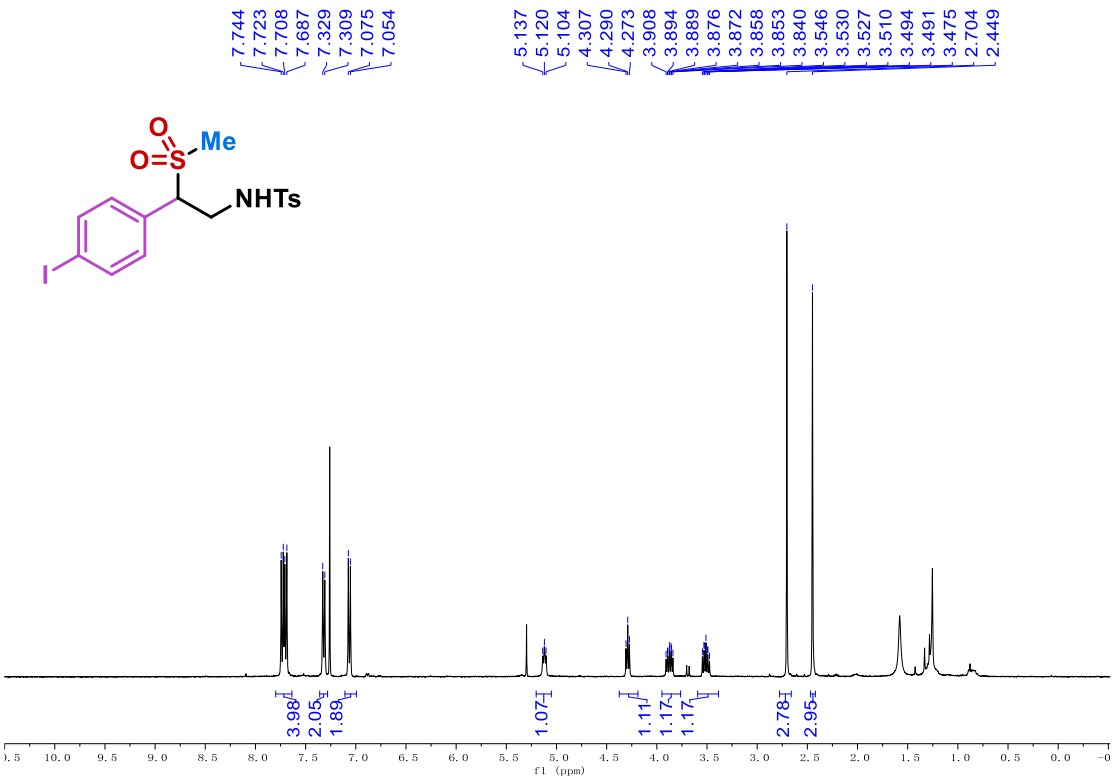


^{13}C NMR (101 MHz, $CDCl_3$)

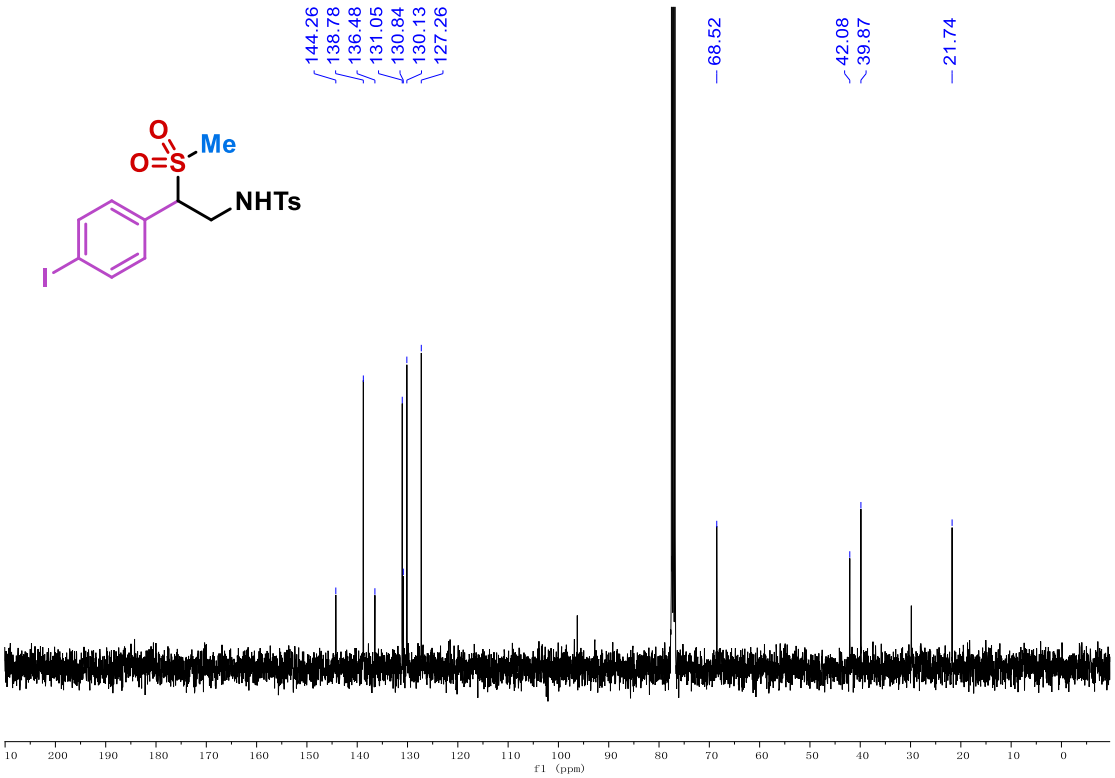


N-(2-(4-iodophenyl)-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (2u)

^{1}H NMR (400 MHz, $CDCl_3$)

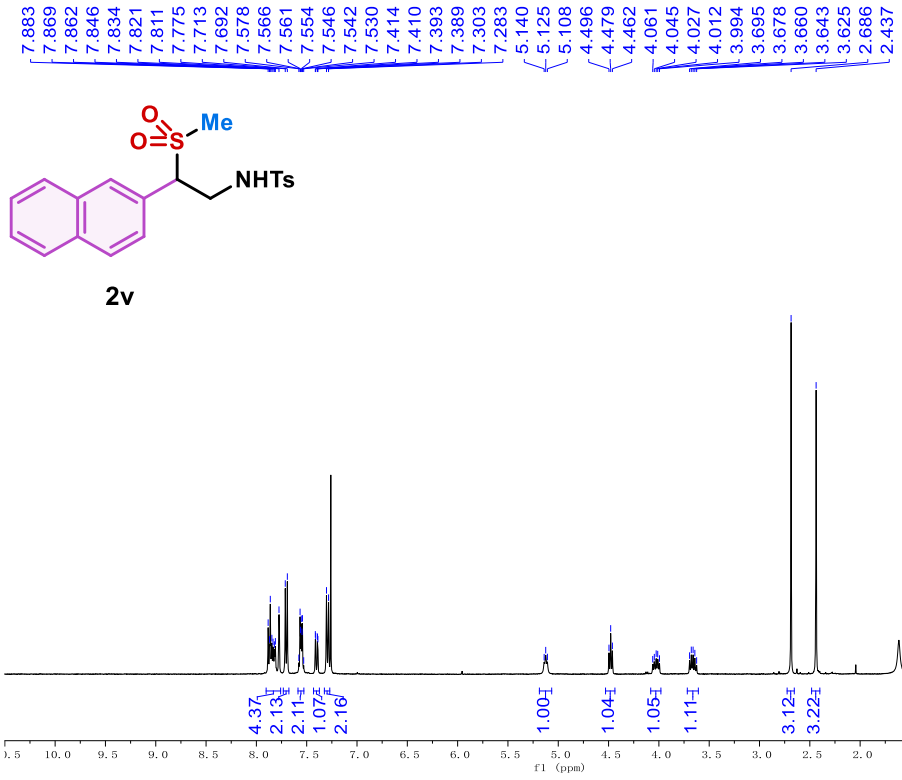


^{13}C NMR (101 MHz, $CDCl_3$)

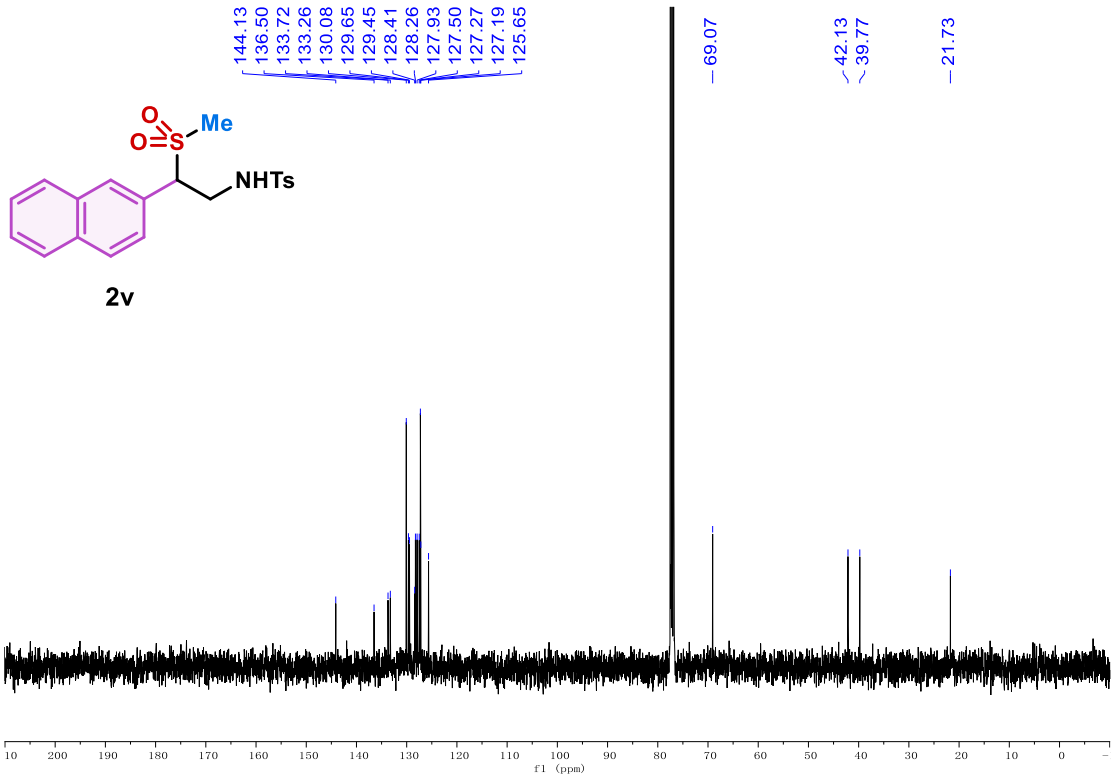


4-methyl-N-(2-(methylsulfonyl)-2-(naphthalen-2-yl)ethyl)benzenesulfonamide (2v)

^{1}H NMR (400 MHz, $CDCl_3$)

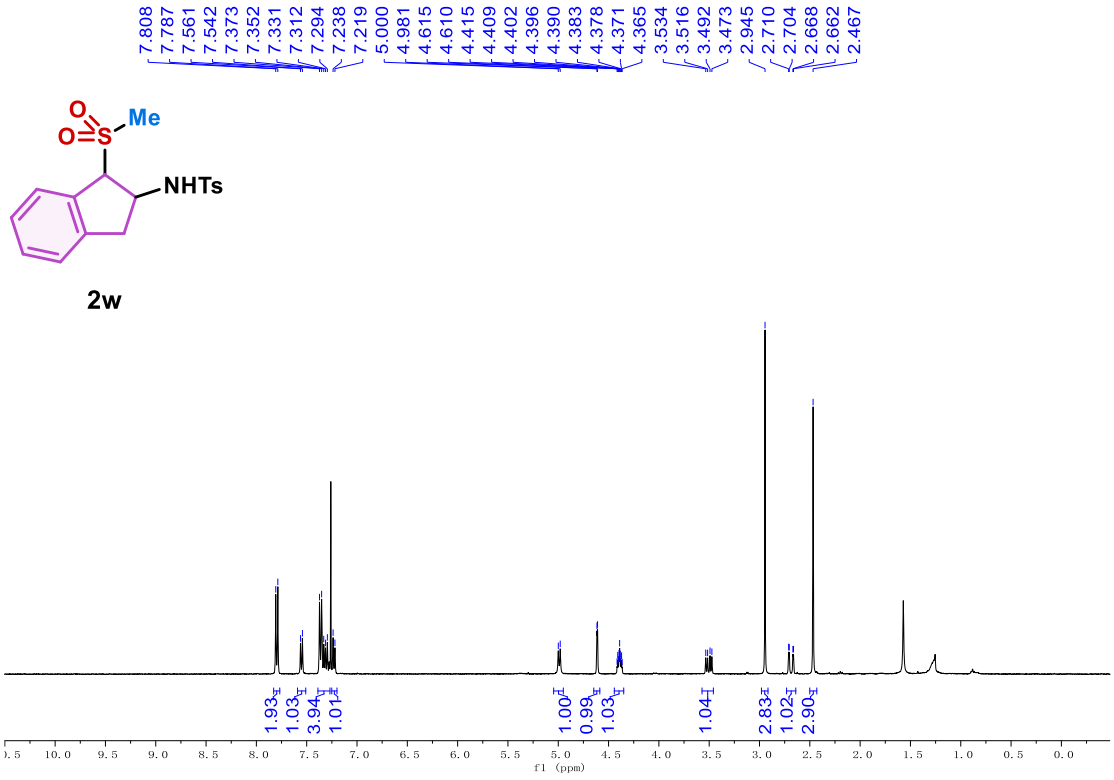


^{13}C NMR (101 MHz, $CDCl_3$)

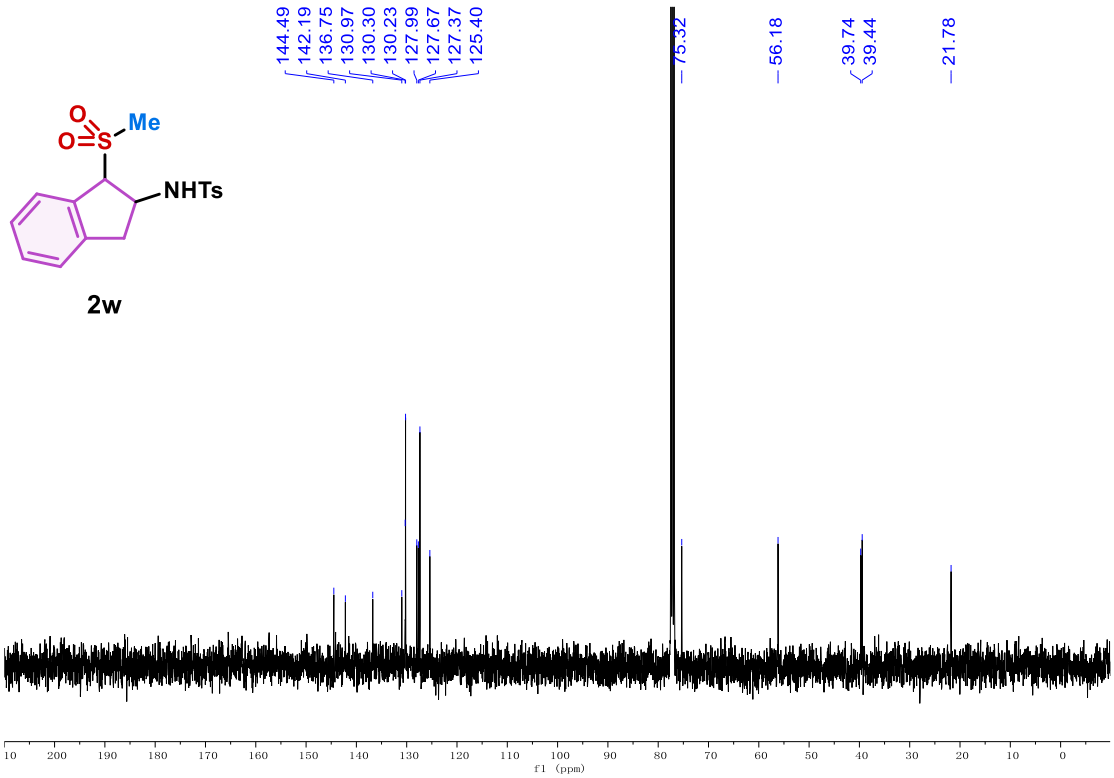


4-methyl-N-(1-(methylsulfonyl)-2,3-dihydro-1H-inden-2-yl)benzenesulfonamide (2w)

^{1}H NMR (400 MHz, $CDCl_3$)

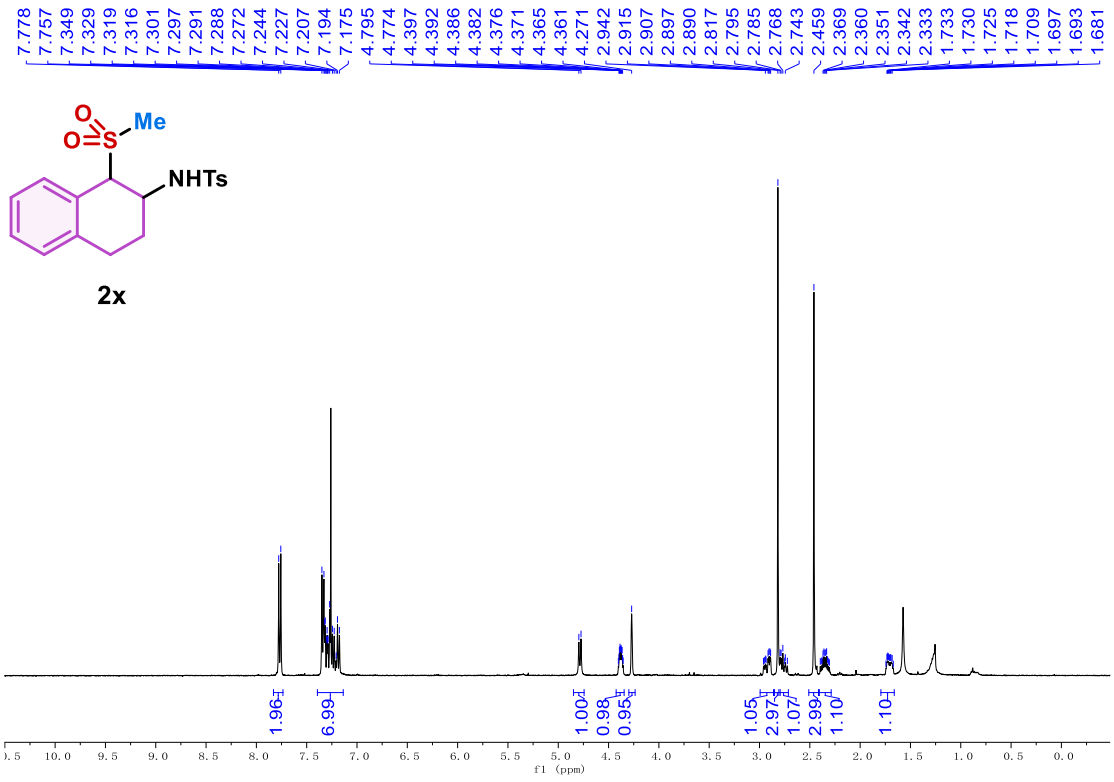


^{13}C NMR (101 MHz, $CDCl_3$)

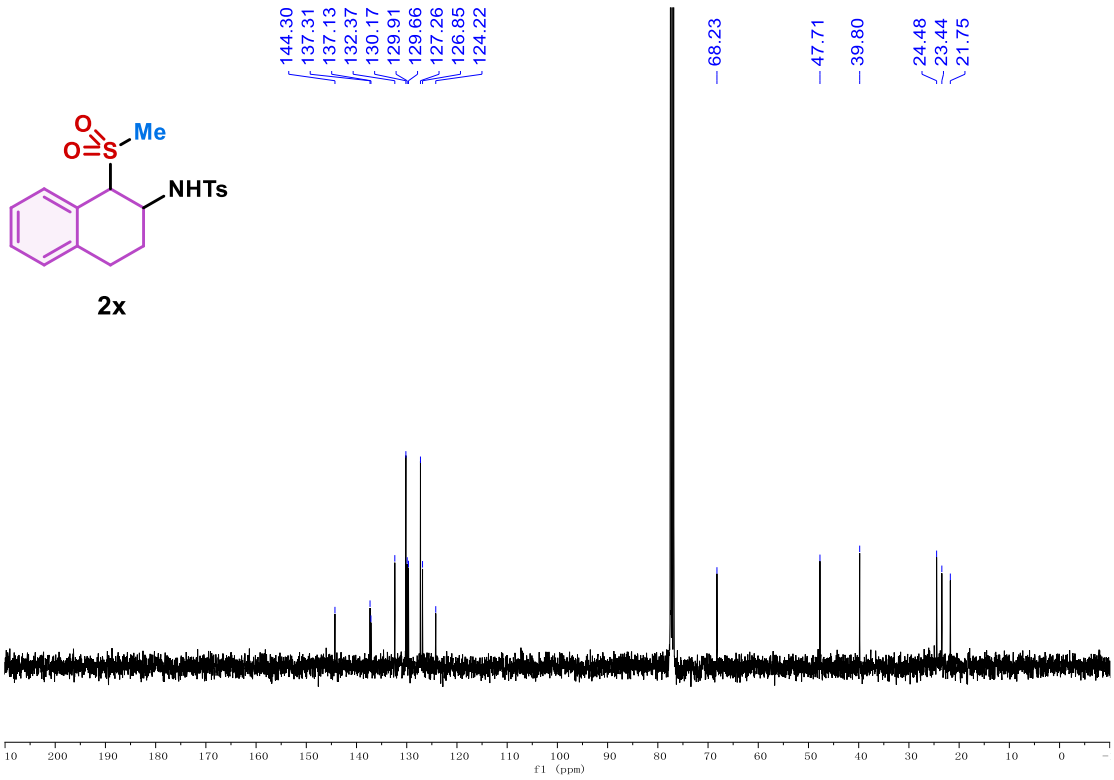


4-methyl-N-(1-(methylsulfonyl)-1,2,3,4-tetrahydronaphthalen-2-yl)benzenesulfonamide (2x)

^{1}H NMR (400 MHz, $CDCl_3$)

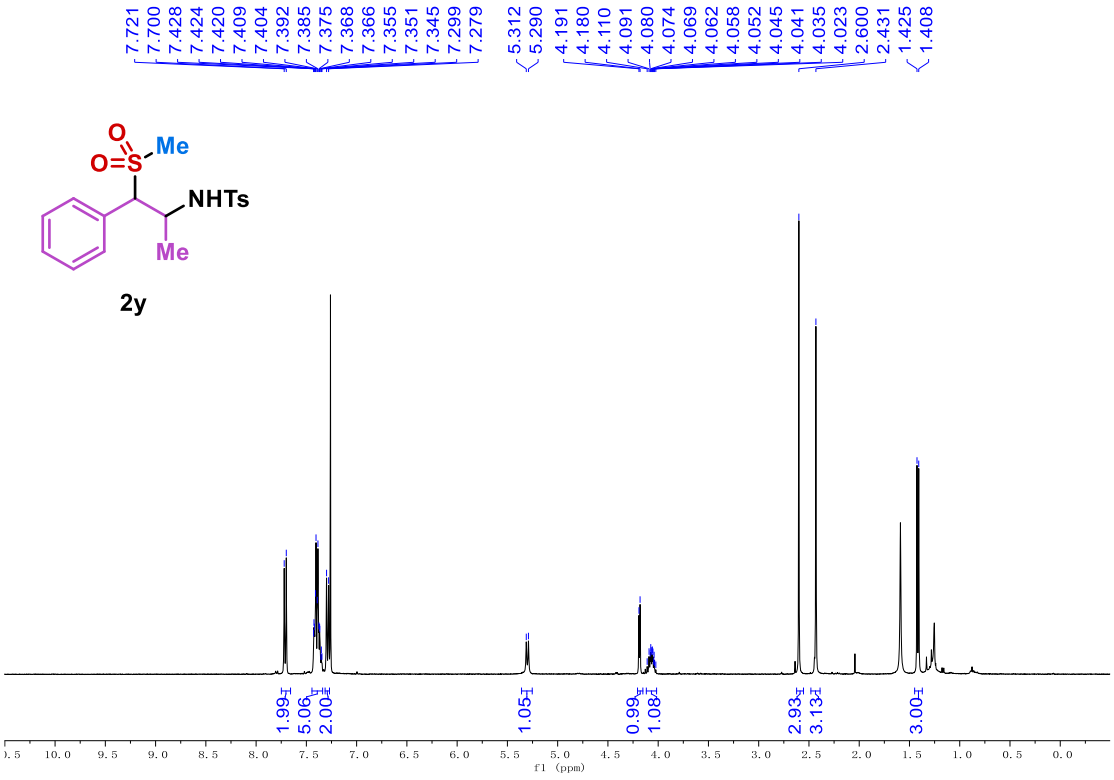


^{13}C NMR (101 MHz, $CDCl_3$)

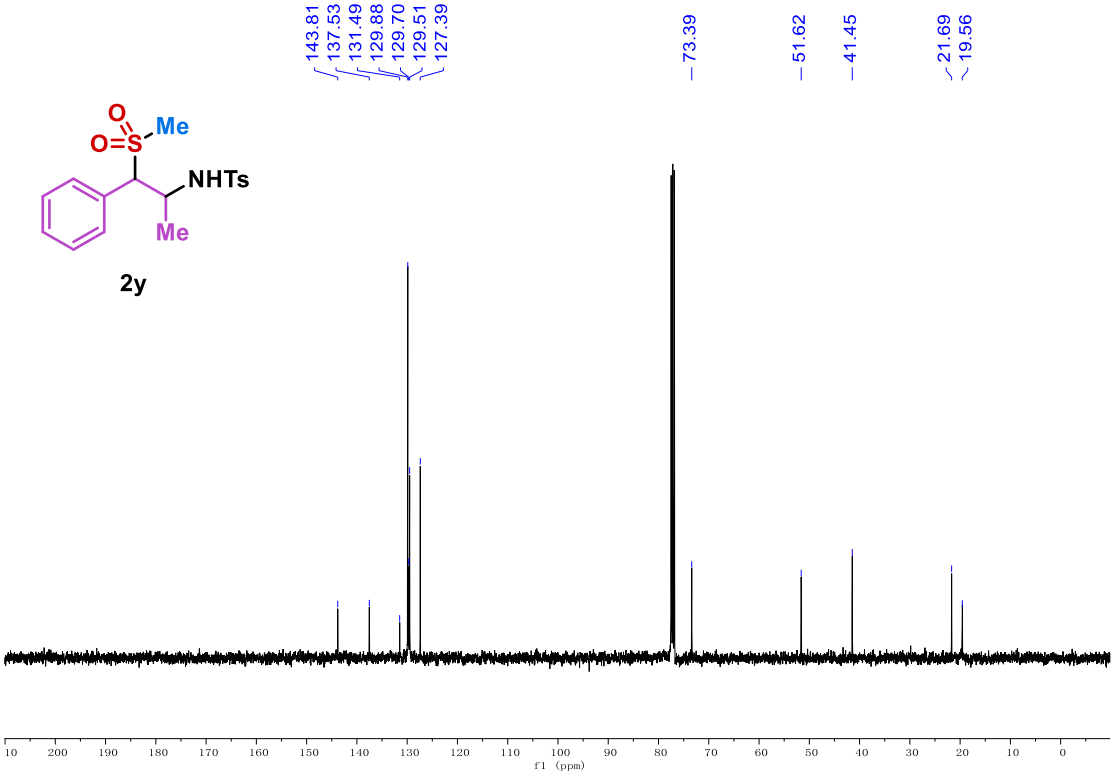


4-methyl-N-(1-(methylsulfonyl)-1-phenylpropan-2-yl)benzenesulfonamide (2y)

^{1}H NMR (400 MHz, $CDCl_3$)

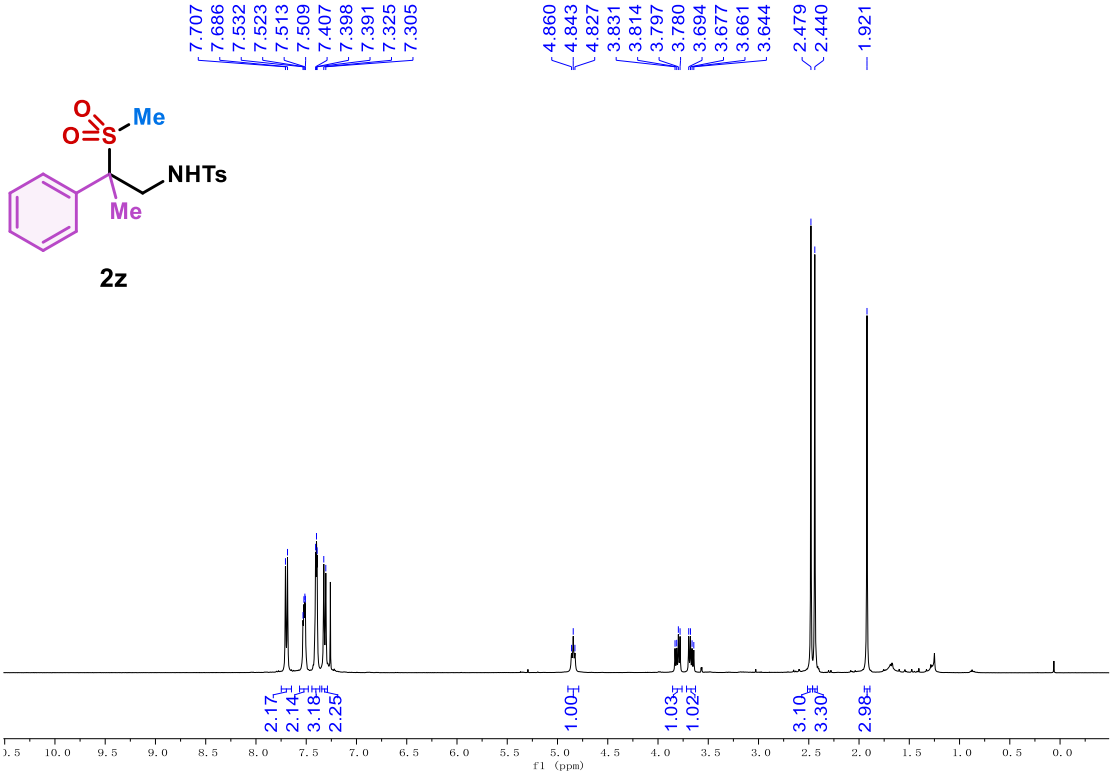


^{13}C NMR (101 MHz, $CDCl_3$)

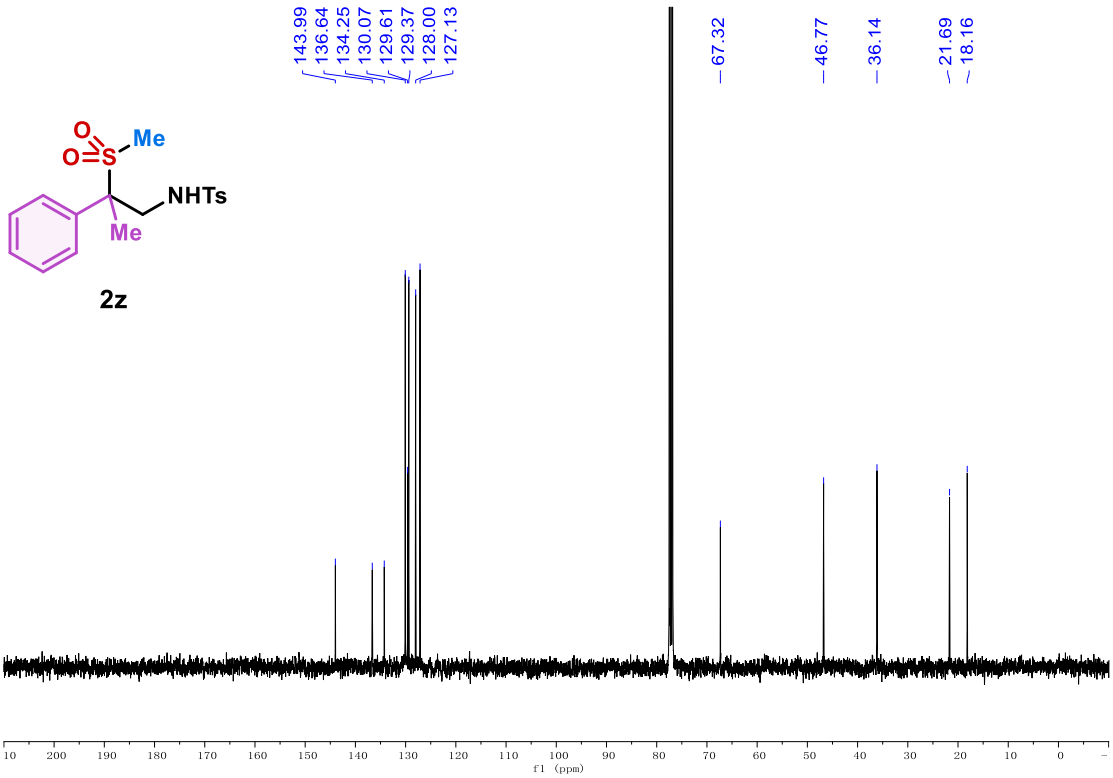


4-methyl-N-(2-(methylsulfonyl)-2-phenylpropyl)benzenesulfonamide (2z)

^{1}H NMR (400 MHz, $CDCl_3$)

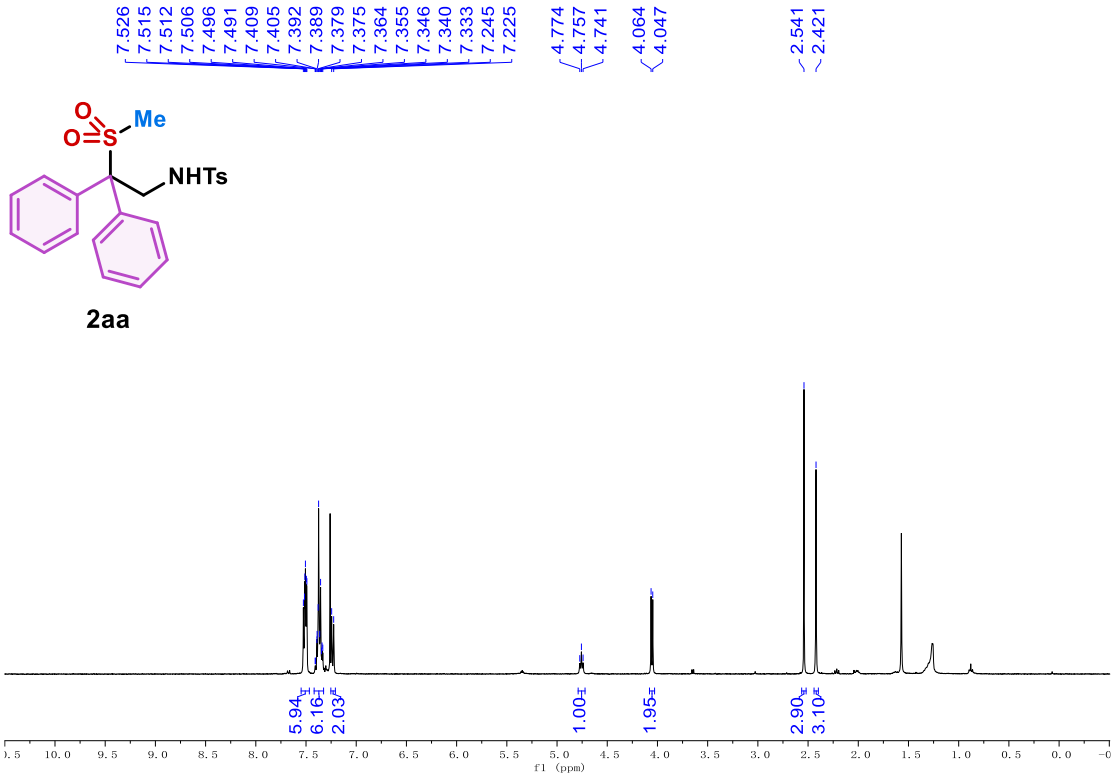


^{13}C NMR (101 MHz, $CDCl_3$)

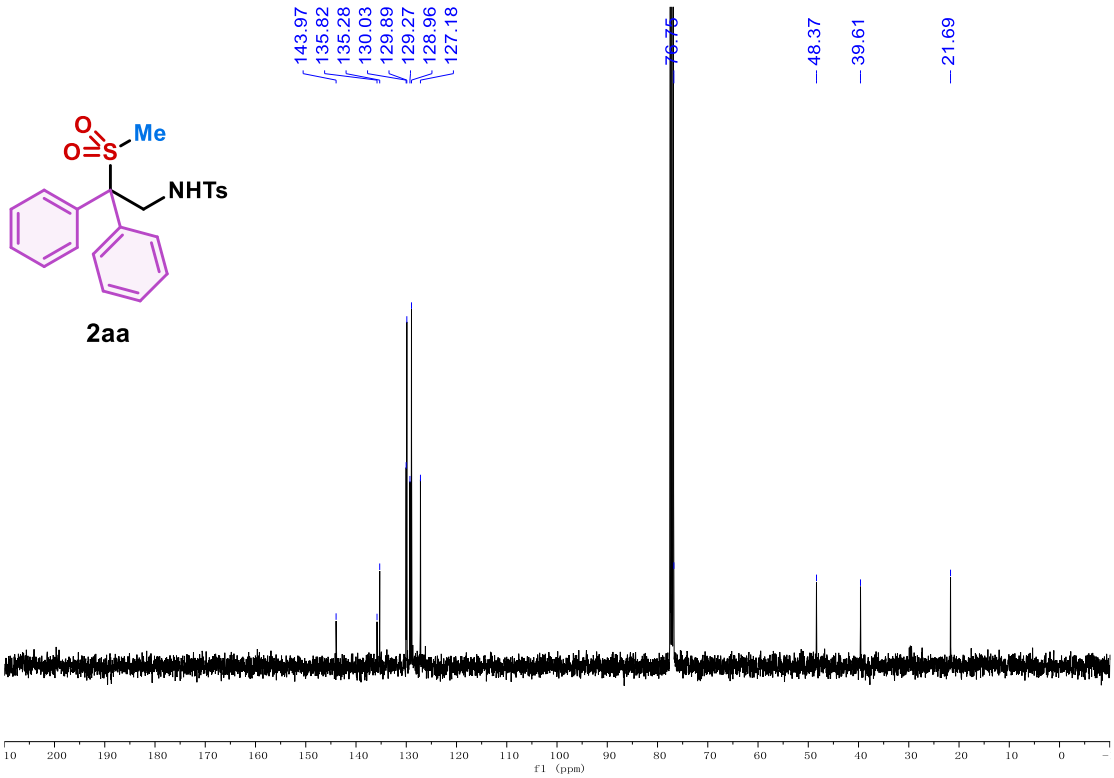


4-methyl-N-(2-(methylsulfonyl)-2,2-diphenylethyl)benzenesulfonamide (2aa)

^{1}H NMR (400 MHz, $CDCl_3$)

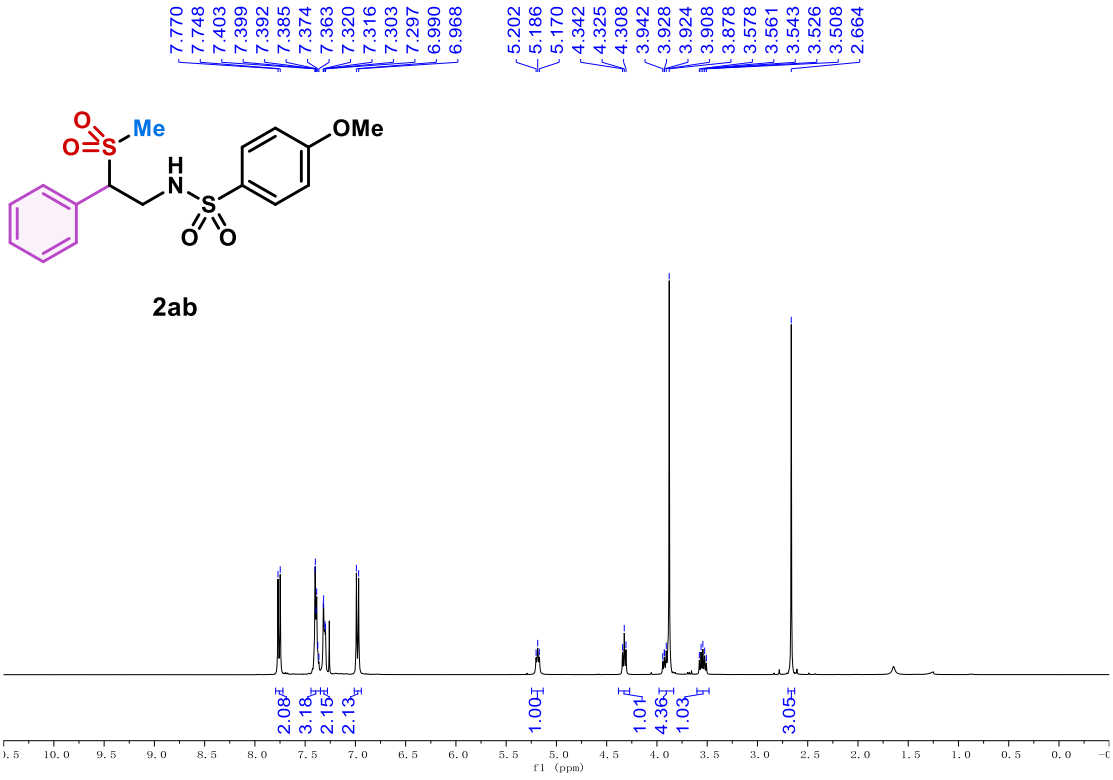


^{13}C NMR (101 MHz, $CDCl_3$)

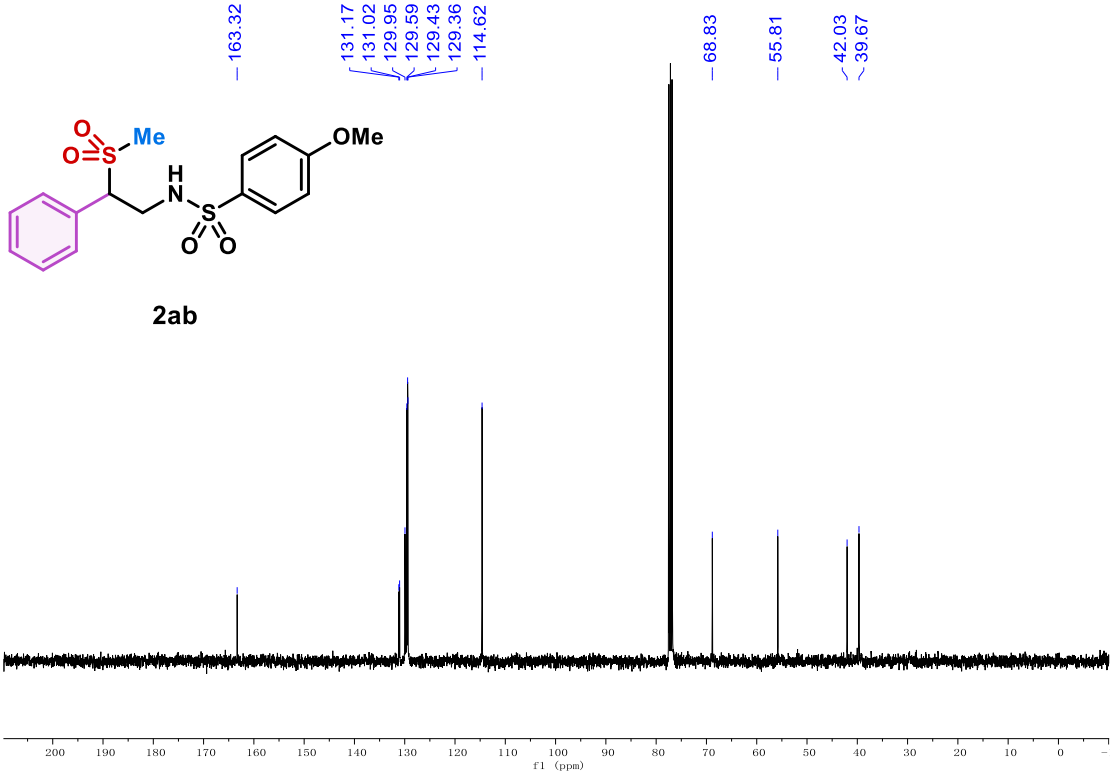


4-methoxy-N-(2-(methylsulfonyl)-2-phenylethyl)benzenesulfonamide (2ab)

^{1}H NMR (400 MHz, $CDCl_3$)

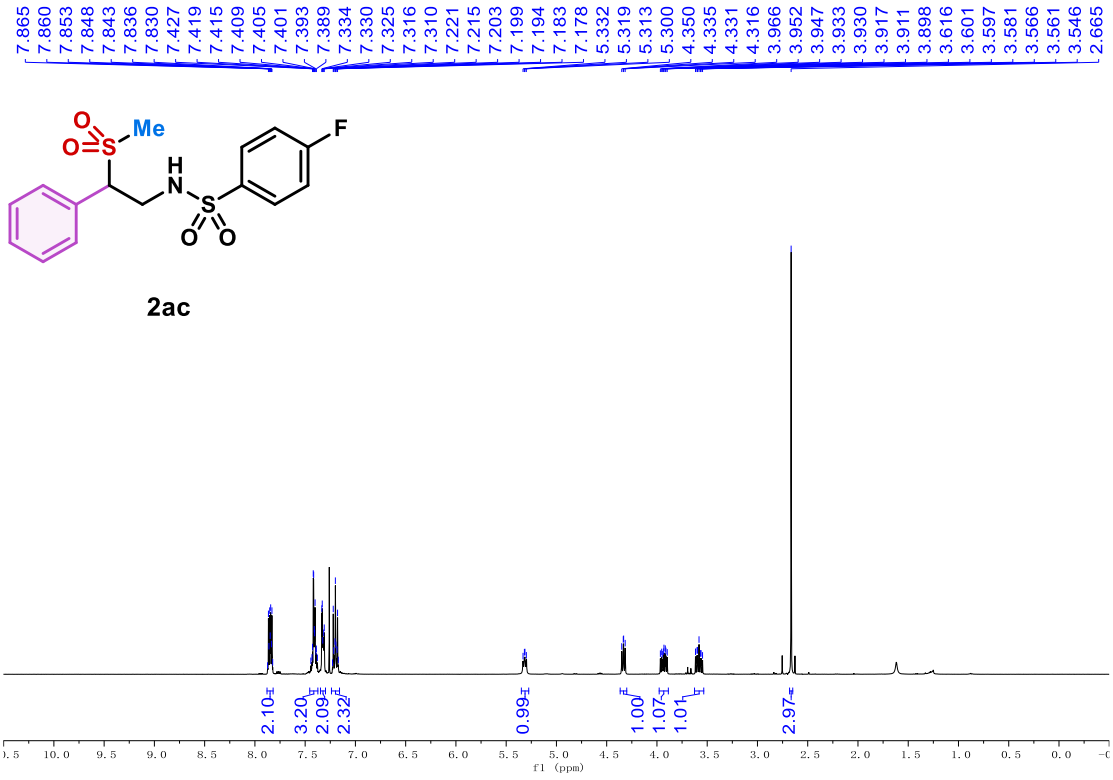


^{13}C NMR (101 MHz, $CDCl_3$)

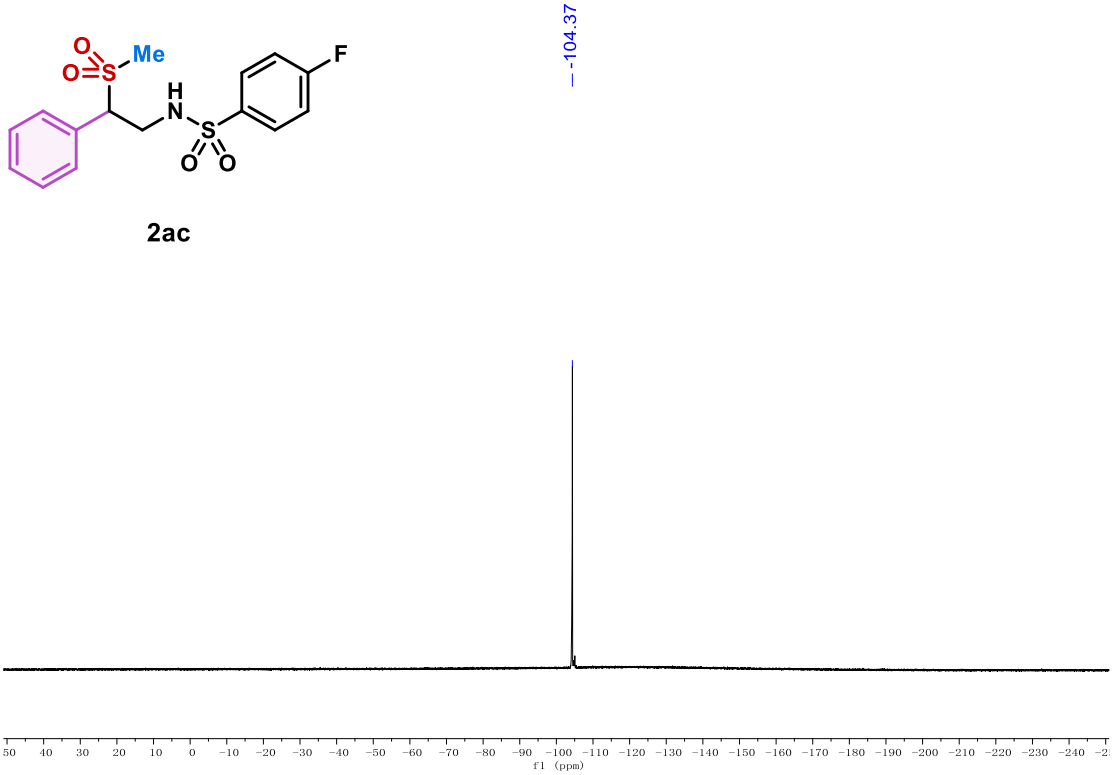


4-fluoro-N-(2-(methylsulfonyl)-2-phenylethyl)benzenesulfonamide (2ac)

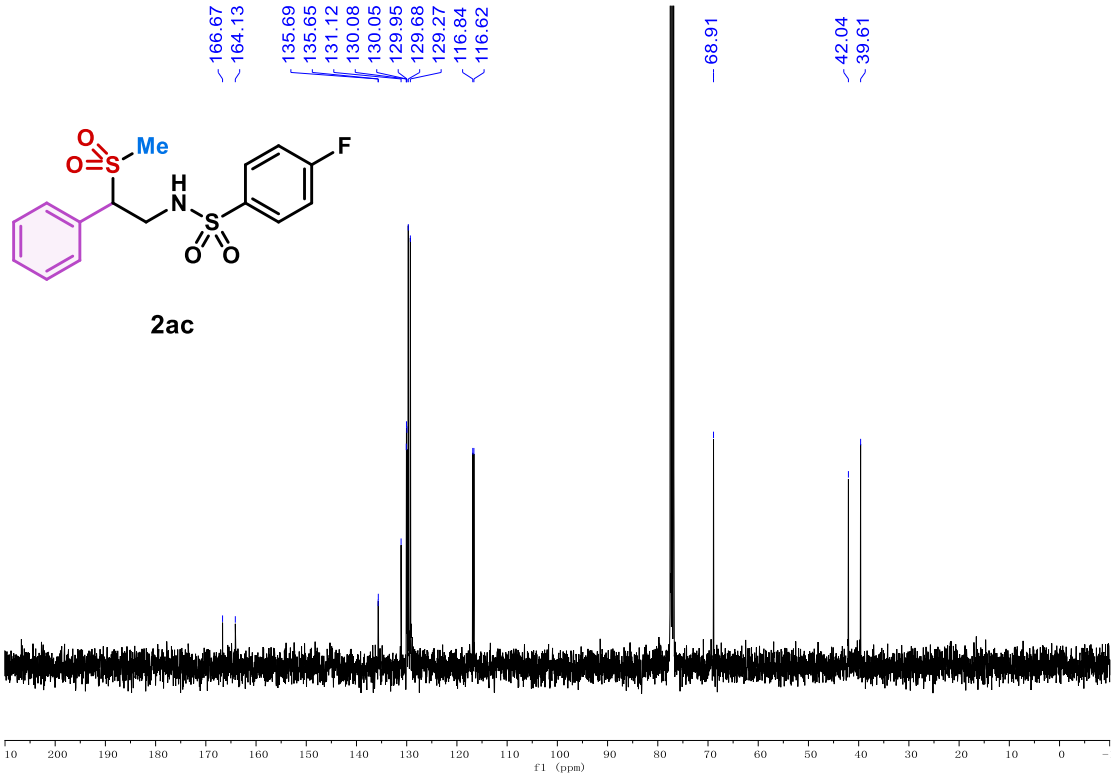
^{1}H NMR (400 MHz, $CDCl_3$)



^{19}F NMR (376 MHz, $CDCl_3$)

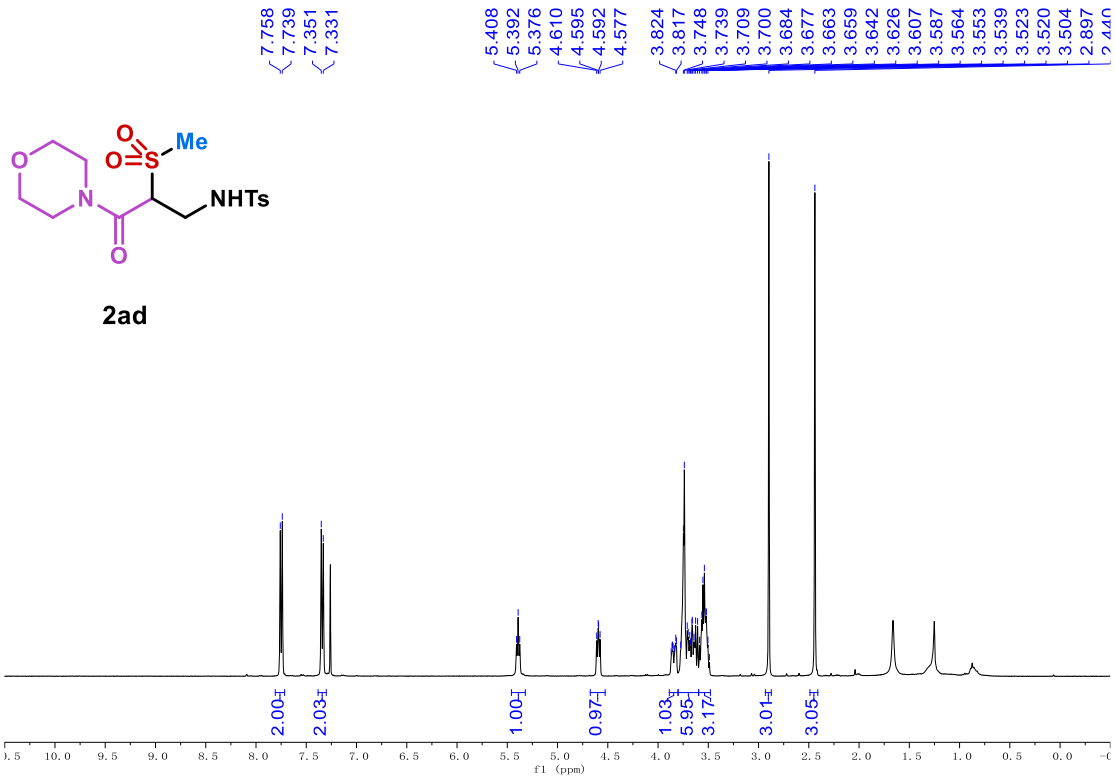


^{13}C NMR (101 MHz, $CDCl_3$)

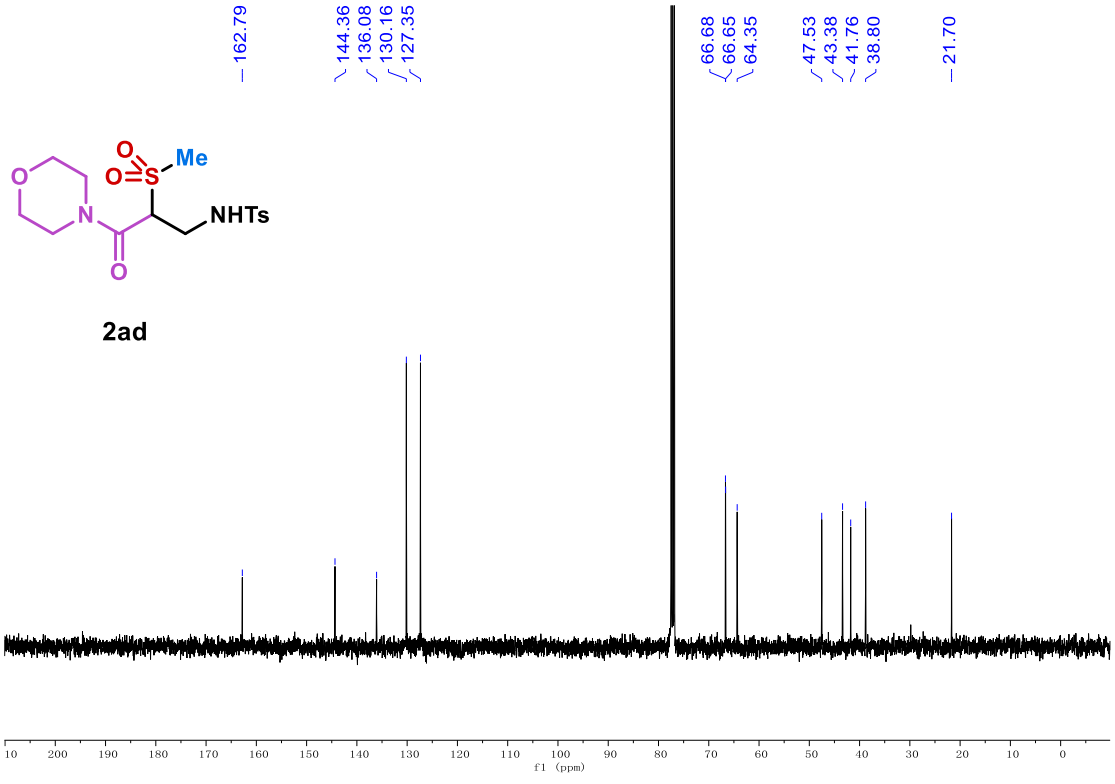


4-methyl-N-(2-(methylsulfonyl)-3-morpholino-3-oxopropyl)benzenesulfonamide (2ad)

^{1}H NMR (400 MHz, $CDCl_3$)

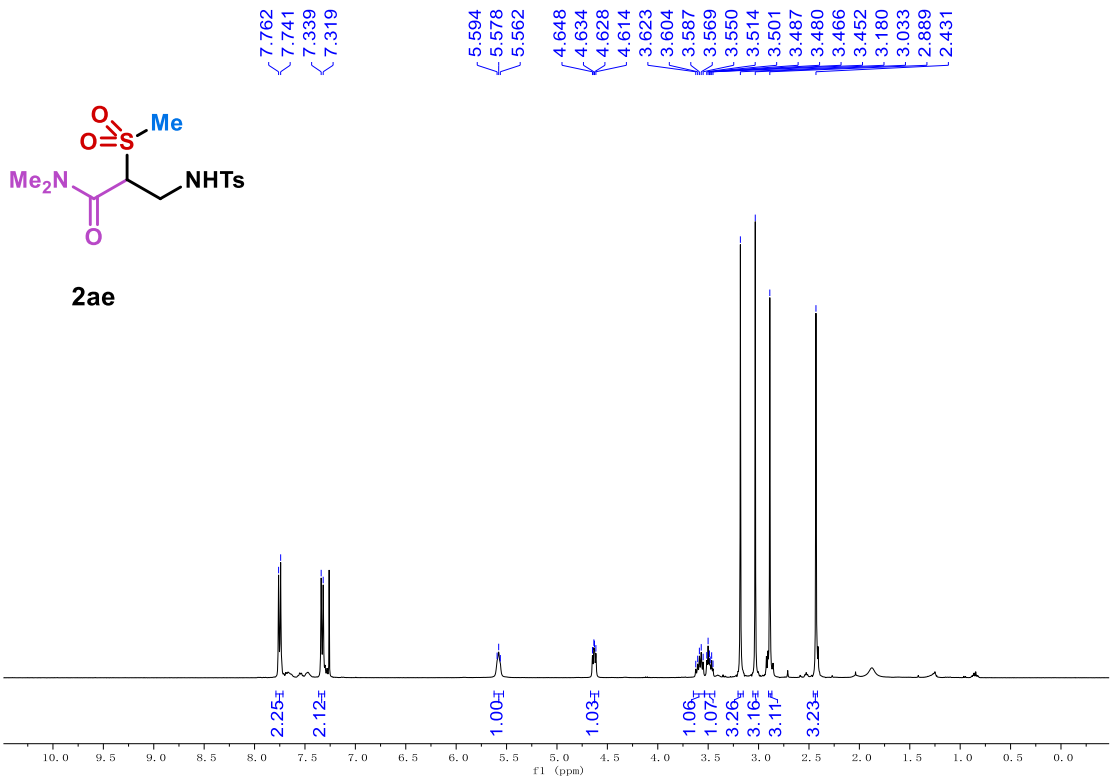


^{13}C NMR (101 MHz, $CDCl_3$)

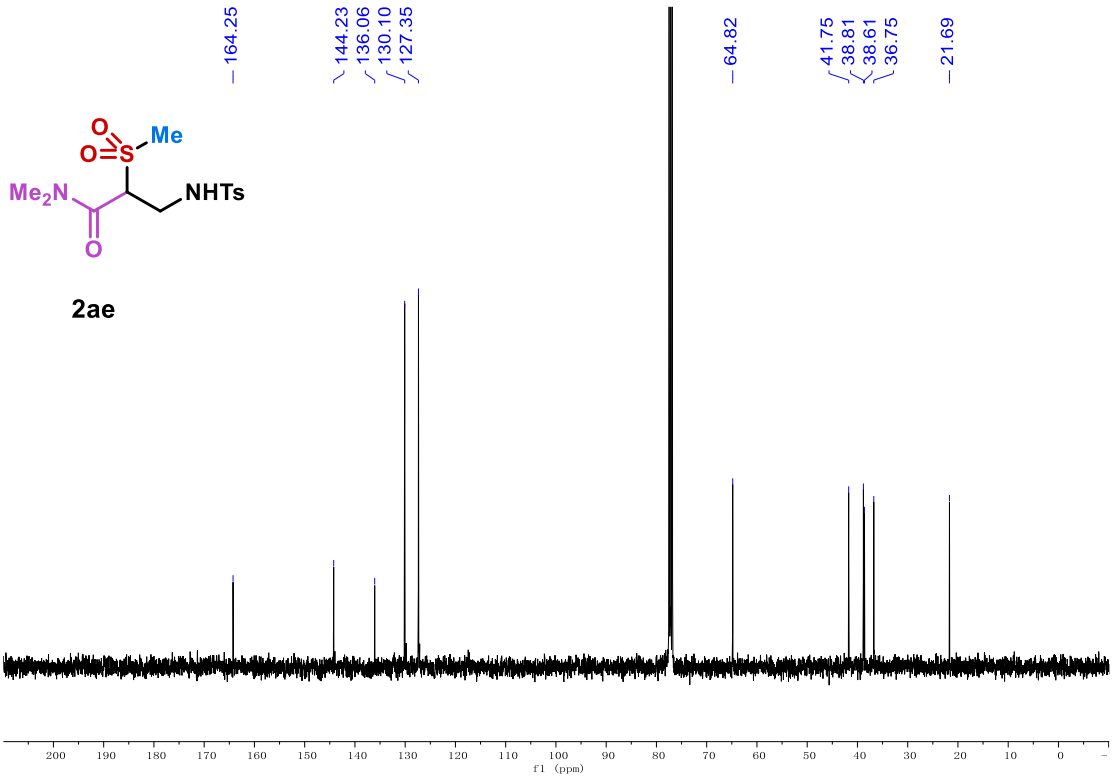


N,N-dimethyl-3-((4-methylphenyl)sulfonamido)-2-(methylsulfonyl)propenamide (2ae)

^{1}H NMR (400 MHz, $CDCl_3$)

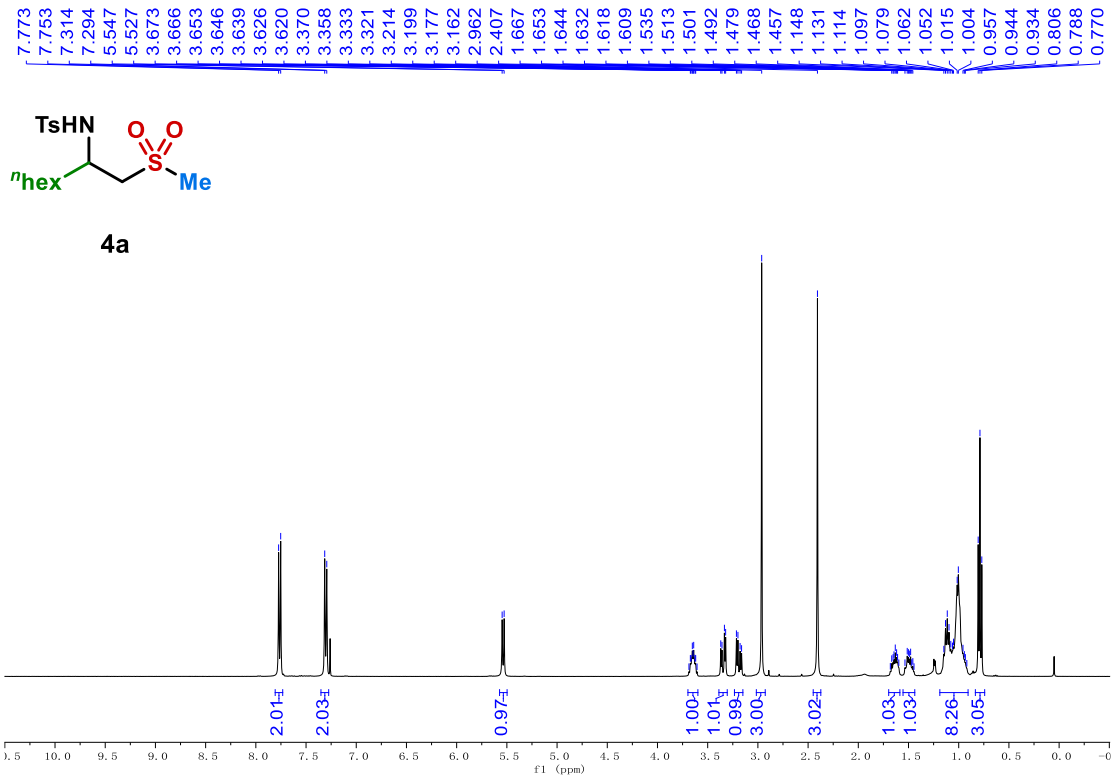


^{13}C NMR (101 MHz, $CDCl_3$)

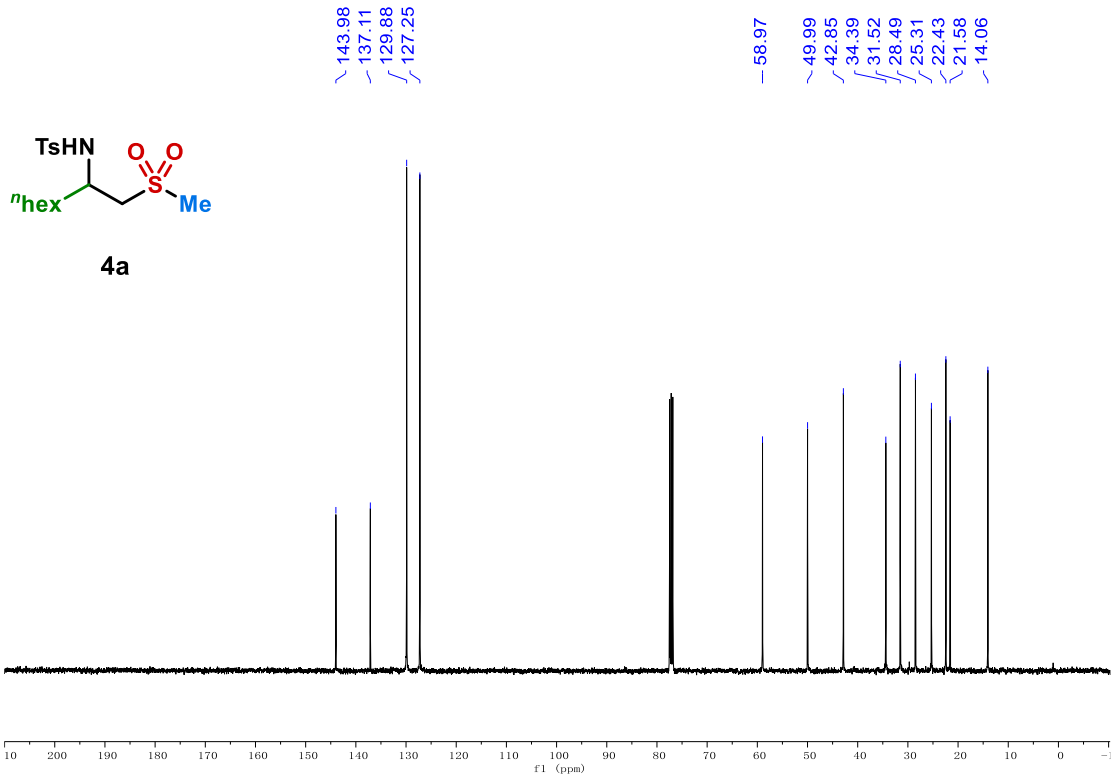


4-methyl-N-(1-(methylsulfonyl)octan-2-yl)benzenesulfonamide (4a)

^{1}H NMR (400 MHz, $CDCl_3$)

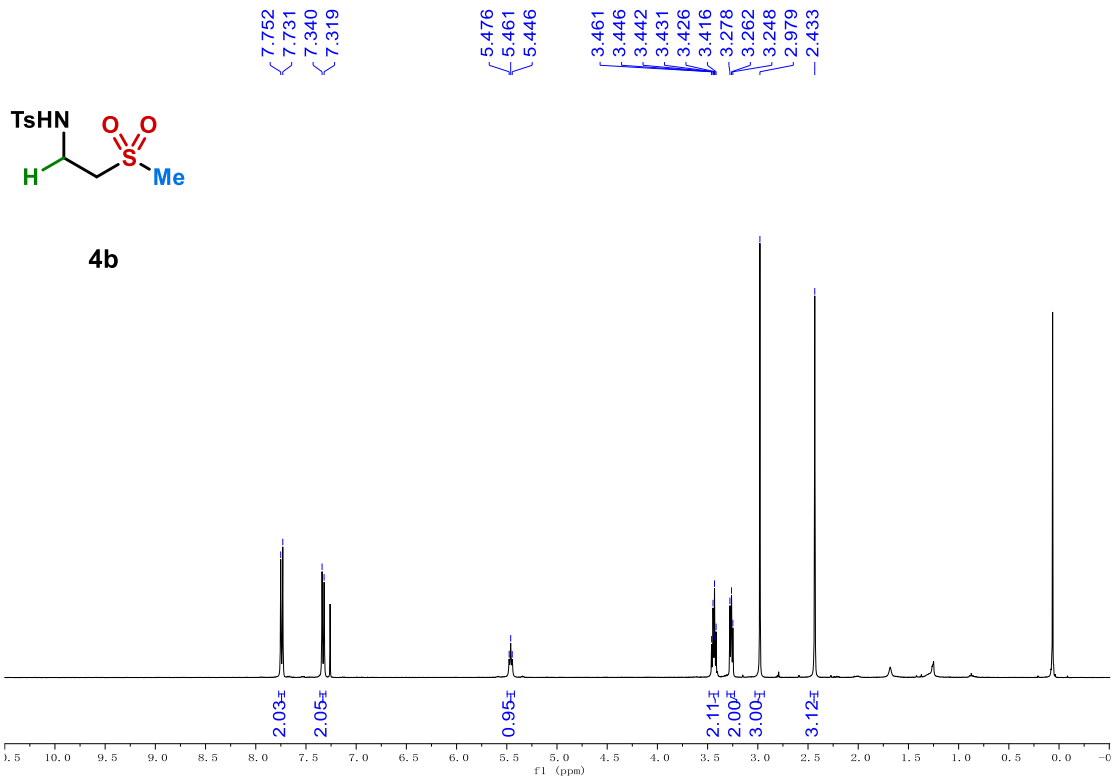


^{13}C NMR (101 MHz, $CDCl_3$)

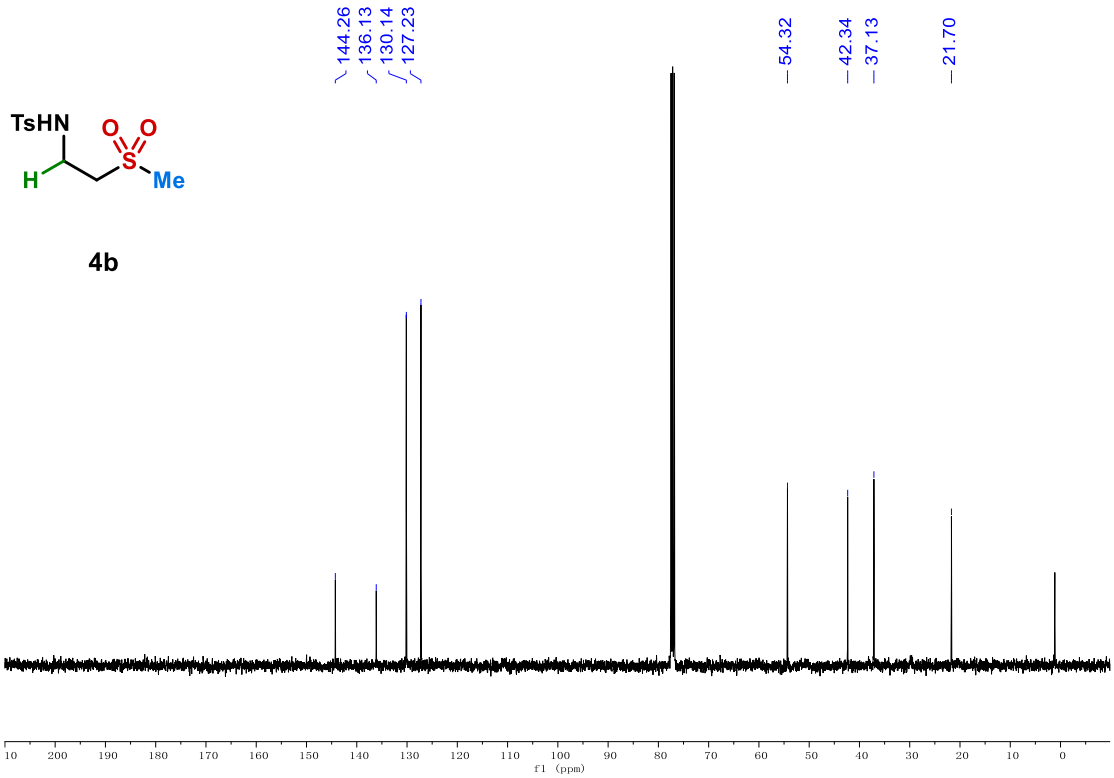


4-methyl-N-(2-(methylsulfonyl)ethyl)benzenesulfonamide (4b)

^{1}H NMR (400 MHz, $CDCl_3$)

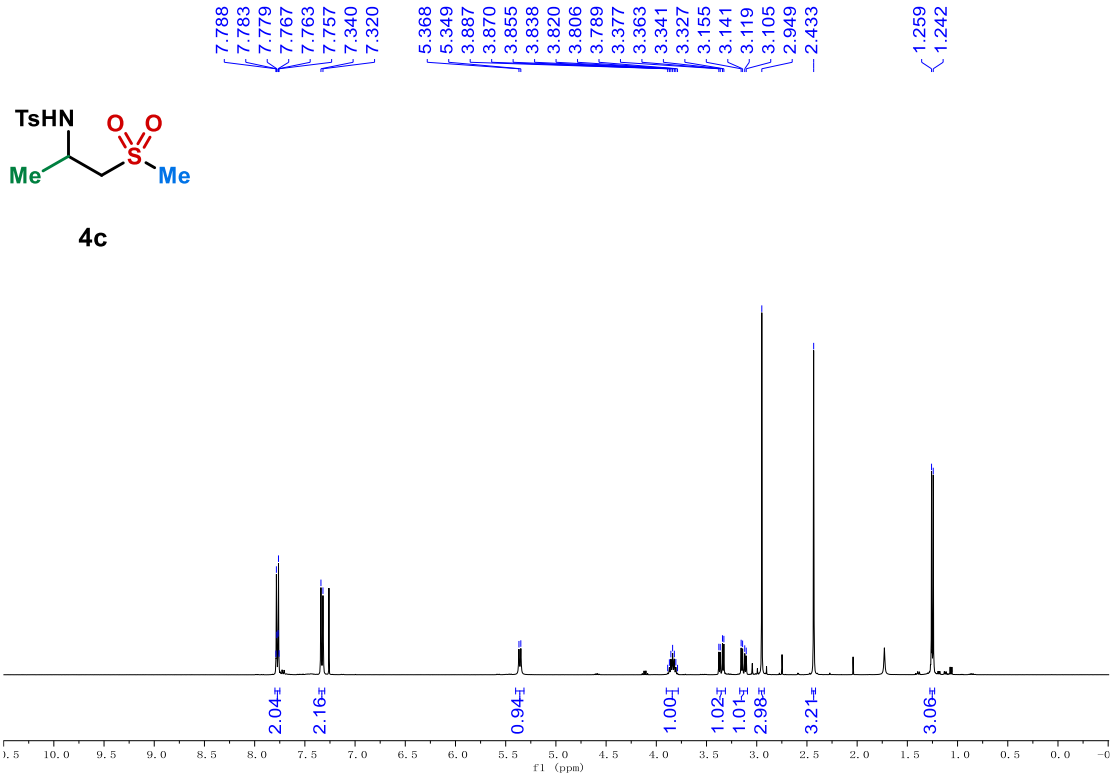


^{13}C NMR (101 MHz, $CDCl_3$)



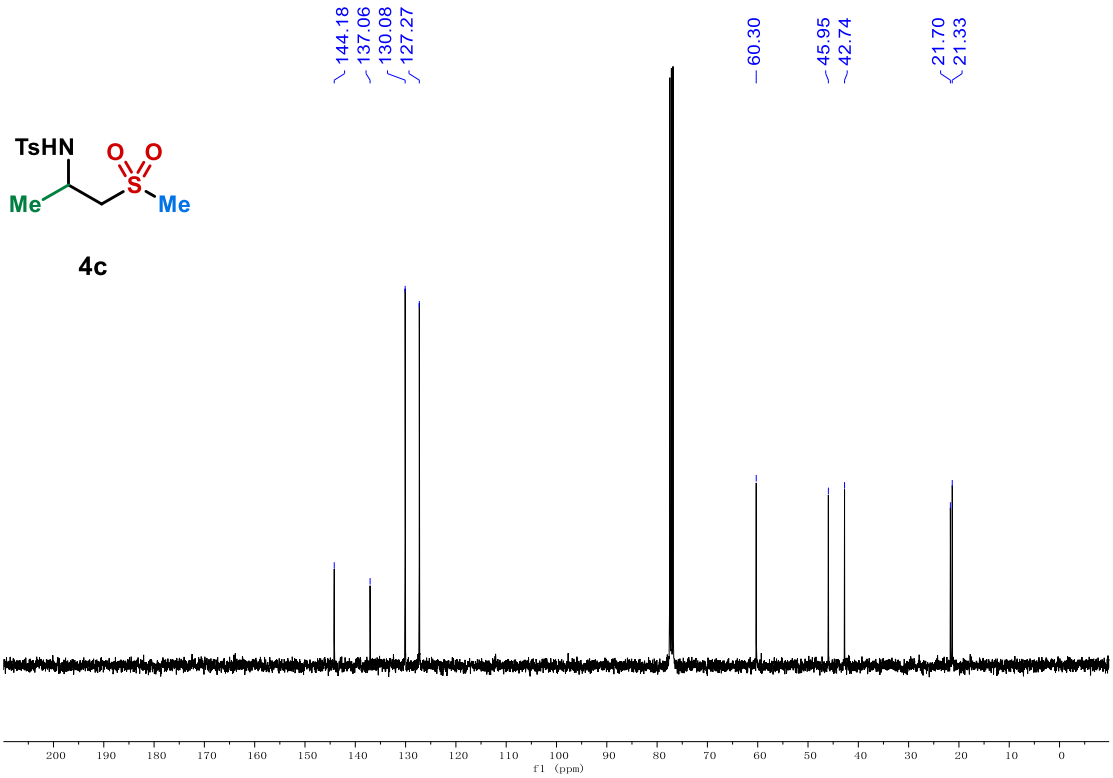
4-methyl-N-(1-(methylsulfonyl)propan-2-yl)benzenesulfonamide (4c)

^{1}H NMR (400 MHz, $CDCl_3$)



4c

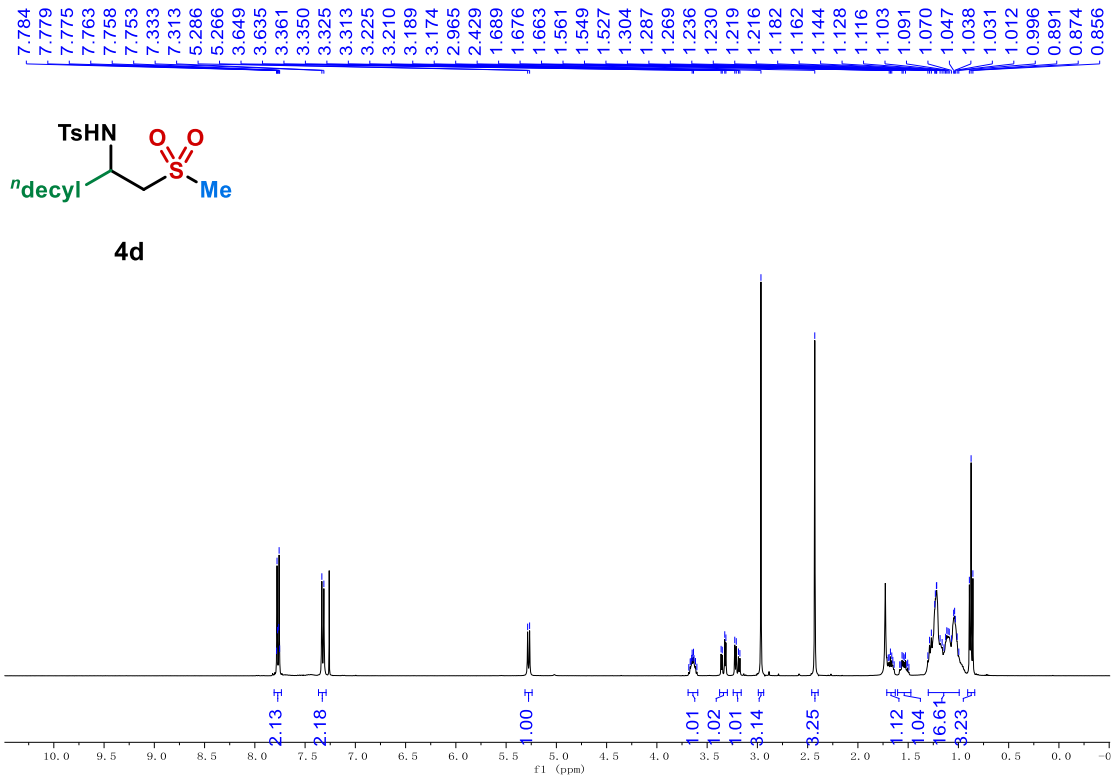
^{13}C NMR (101 MHz, $CDCl_3$)



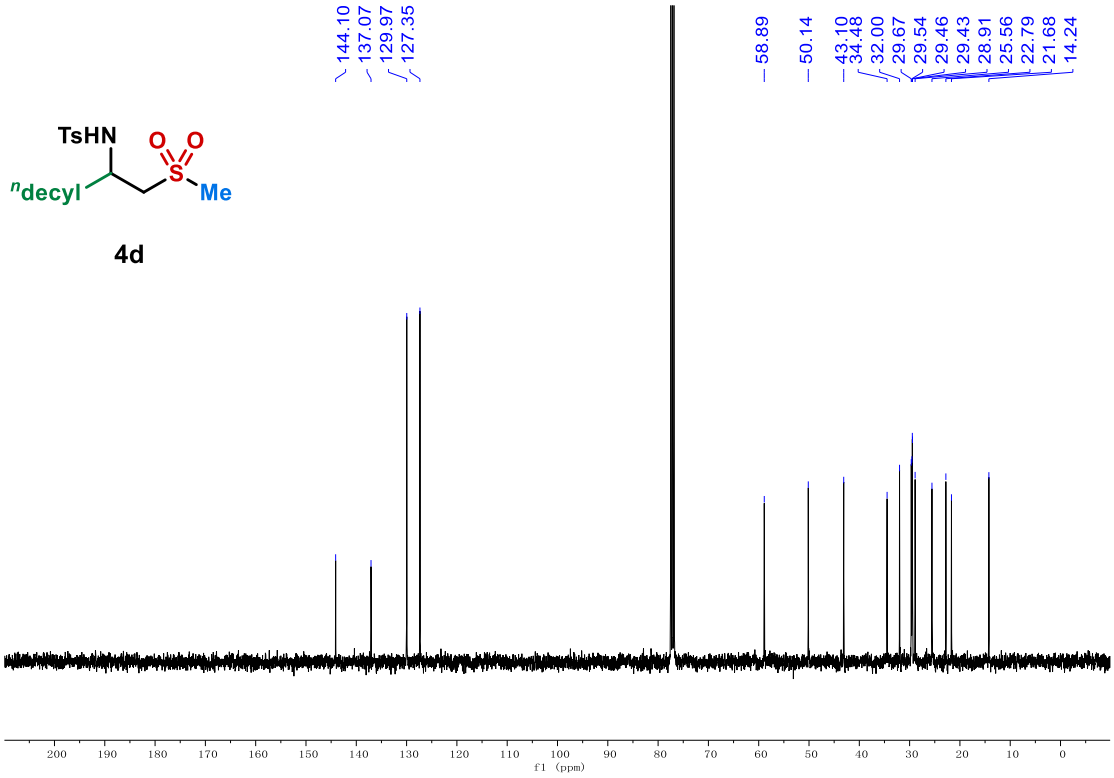
4c

4-methyl-N-(1-(methylsulfonyl)dodecan-2-yl)benzenesulfonamide (4d)

^{1}H NMR (400 MHz, $CDCl_3$)

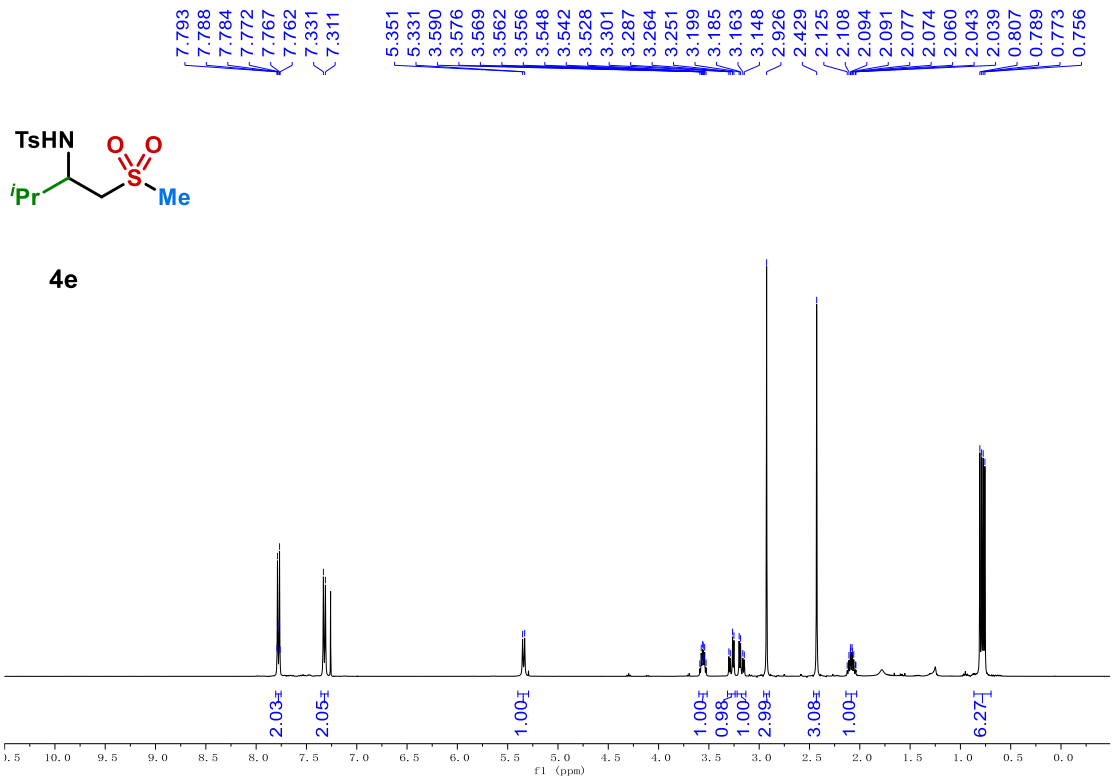


^{13}C NMR (101 MHz, $CDCl_3$)

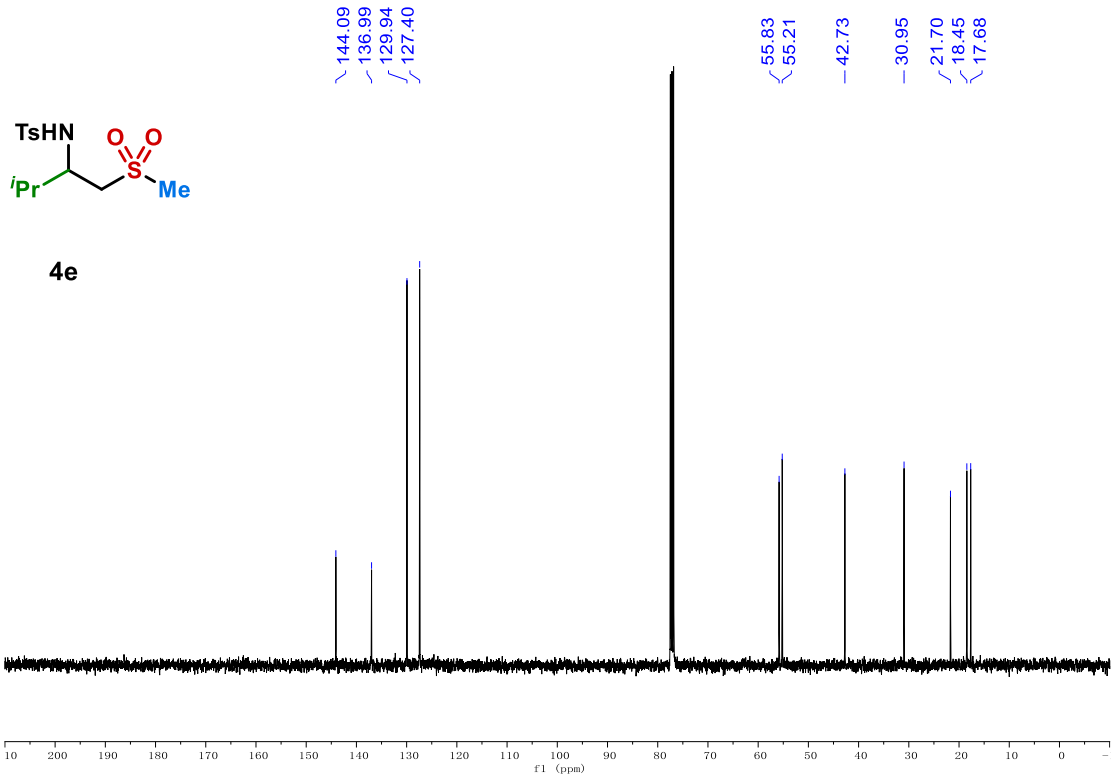


4-methyl-N-(3-methyl-1-(methylsulfonyl)butan-2-yl)benzenesulfonamide (4e)

^{1}H NMR (400 MHz, $CDCl_3$)

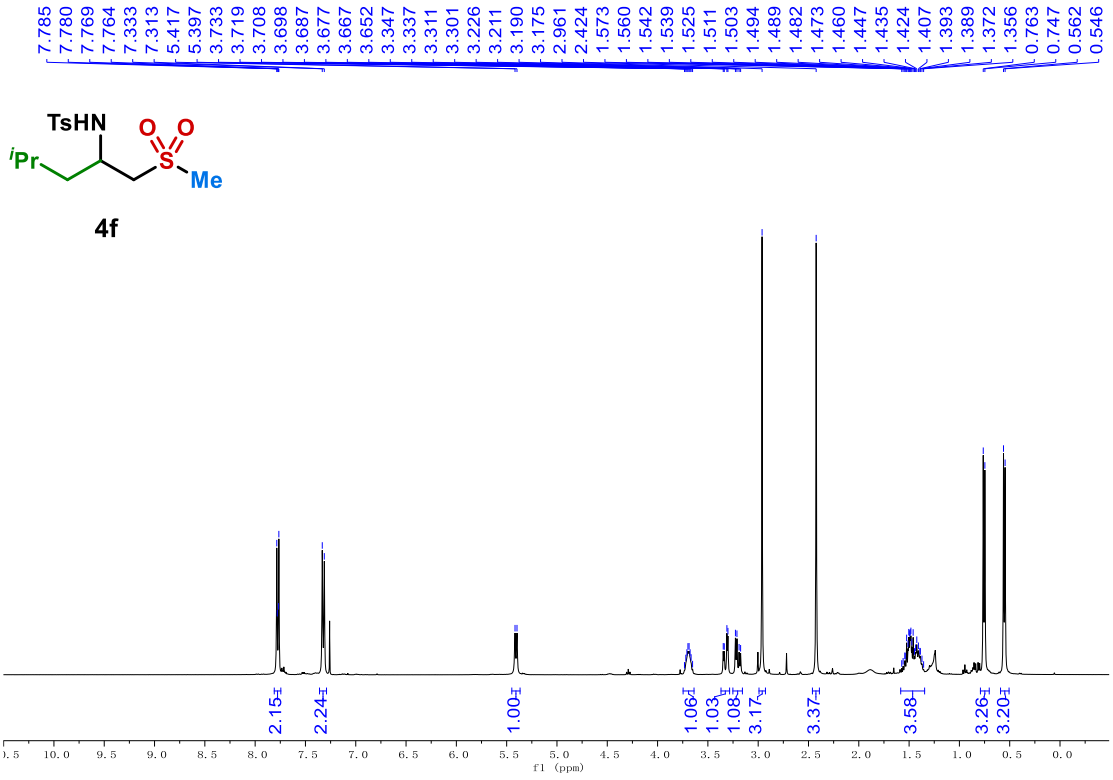


^{13}C NMR (101 MHz, $CDCl_3$)

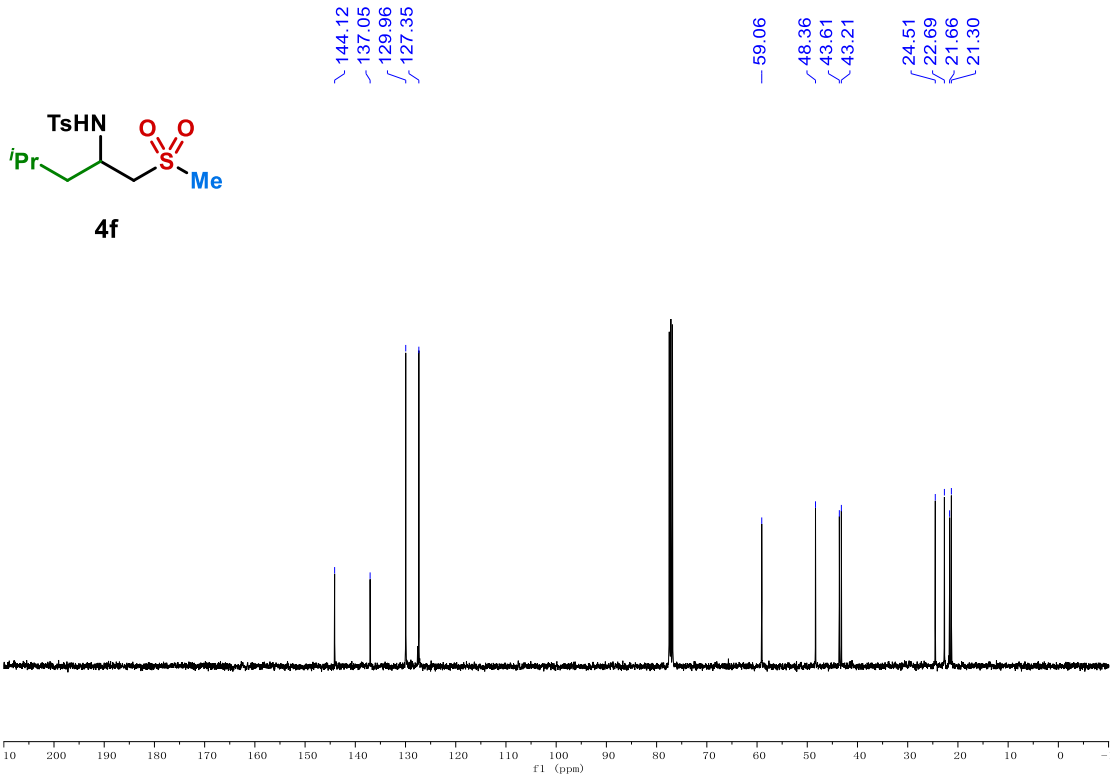


4-methyl-N-(4-methyl-1-(methylsulfonyl)pentan-2-yl)benzenesulfonamide (4f)

^{1}H NMR (400 MHz, $CDCl_3$)

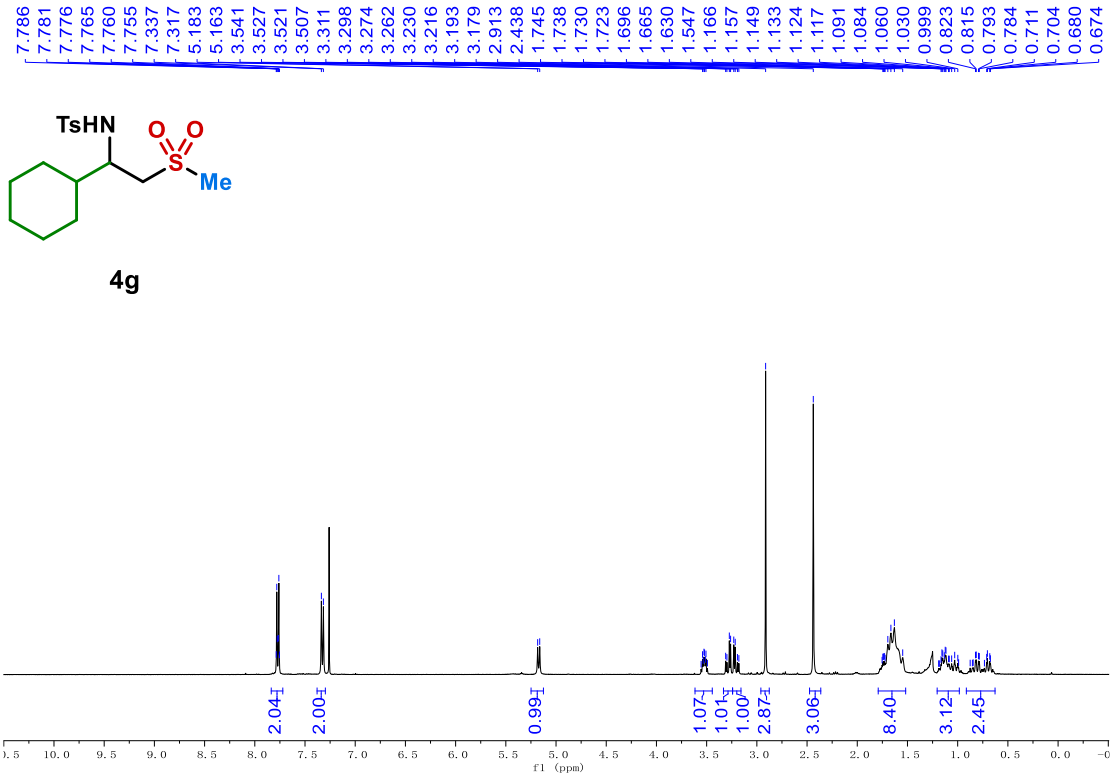


^{13}C NMR (101 MHz, $CDCl_3$)

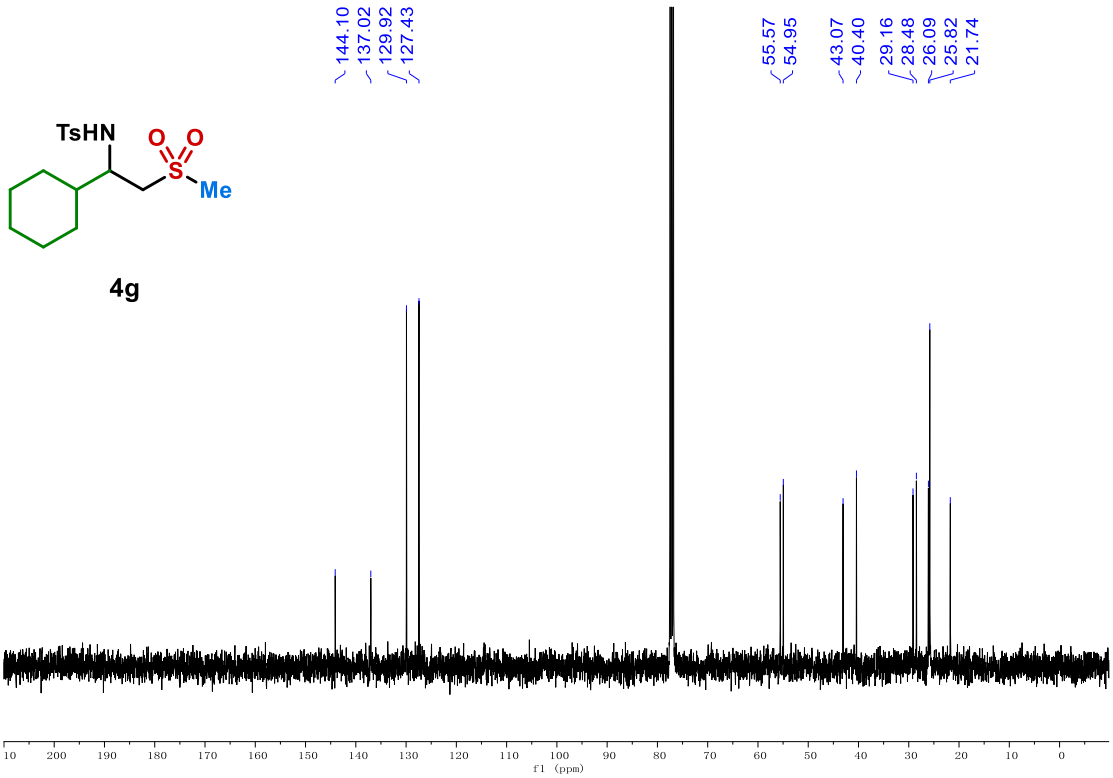


N-(1-cyclohexyl-2-(methylsulfonyl)ethyl)-4-methylbenzenesulfonamide (4g)

^{1}H NMR (400 MHz, $CDCl_3$)

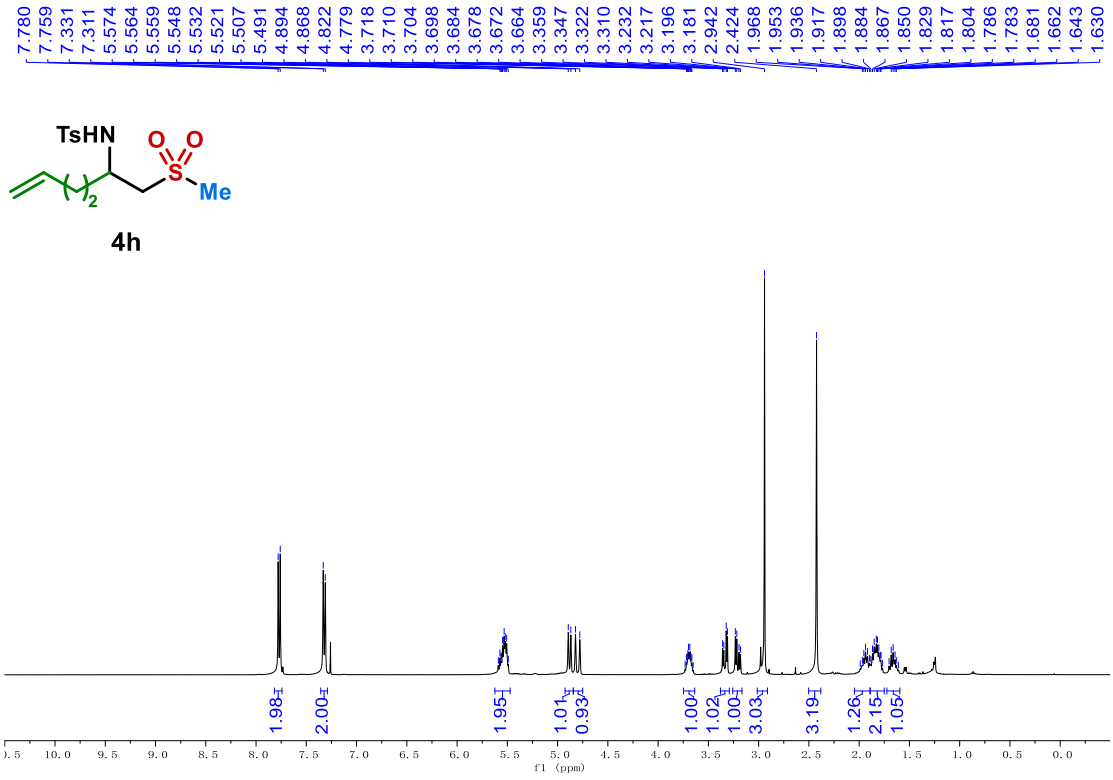


^{13}C NMR (101 MHz, $CDCl_3$)

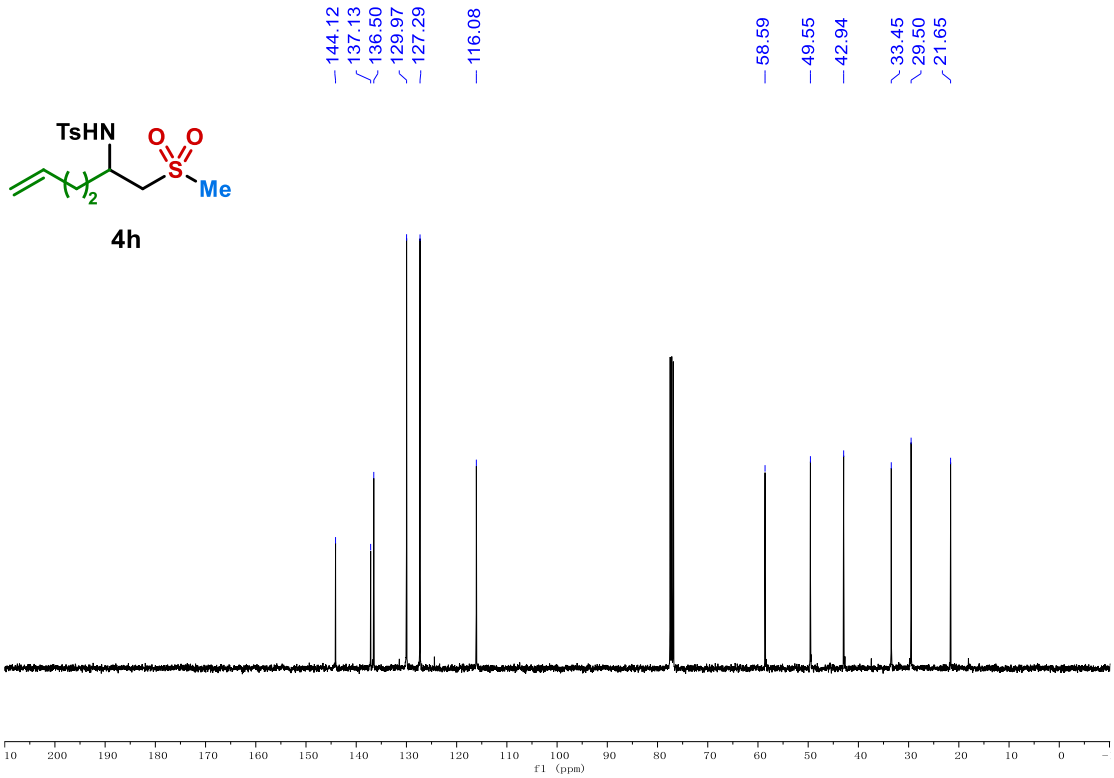


4-methyl-N-(1-(methylsulfonyl)hex-5-en-2-yl)benzenesulfonamide (4h)

^{1}H NMR (400 MHz, $CDCl_3$)

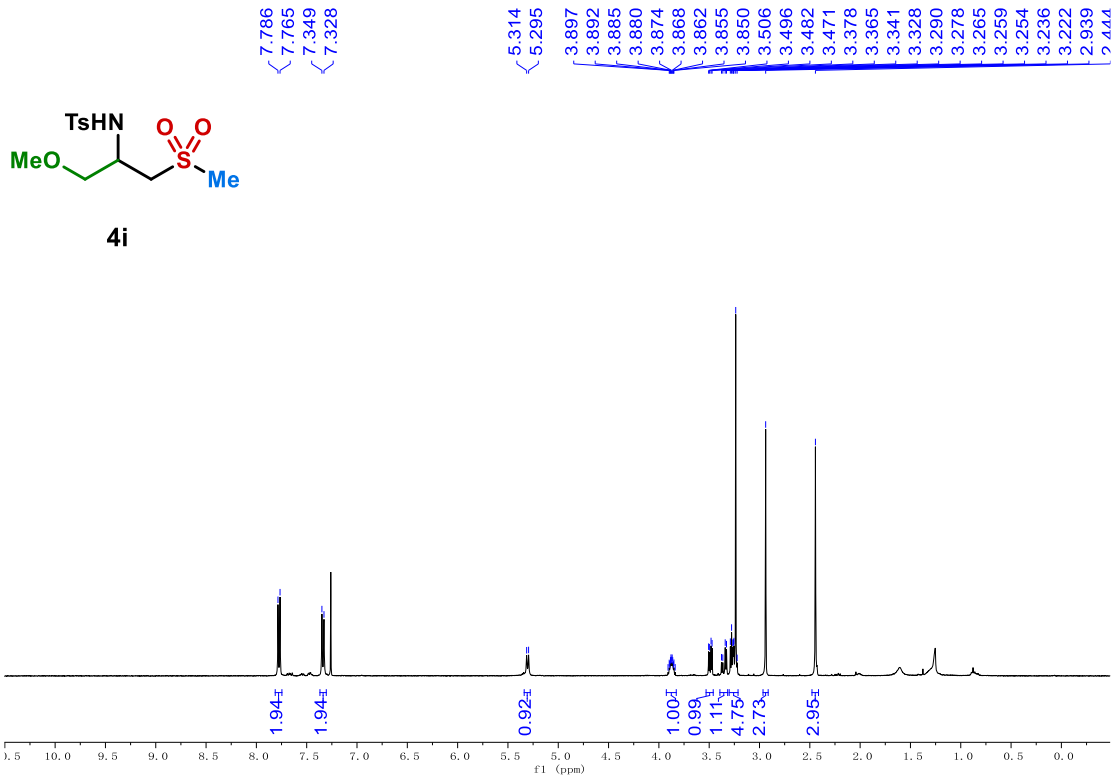


^{13}C NMR (101 MHz, $CDCl_3$)

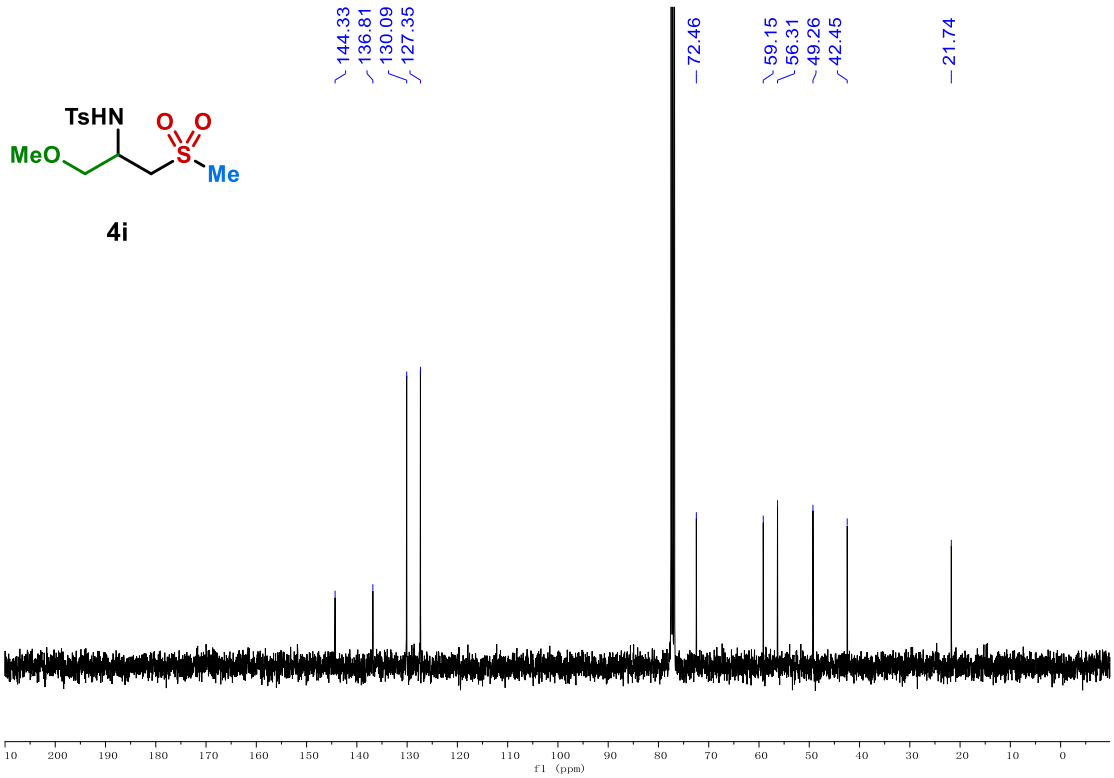


N-(1-methoxy-3-(methylsulfonyl)propan-2-yl)-4-methylbenzenesulfonamide (4i)

^{1}H NMR (400 MHz, $CDCl_3$)

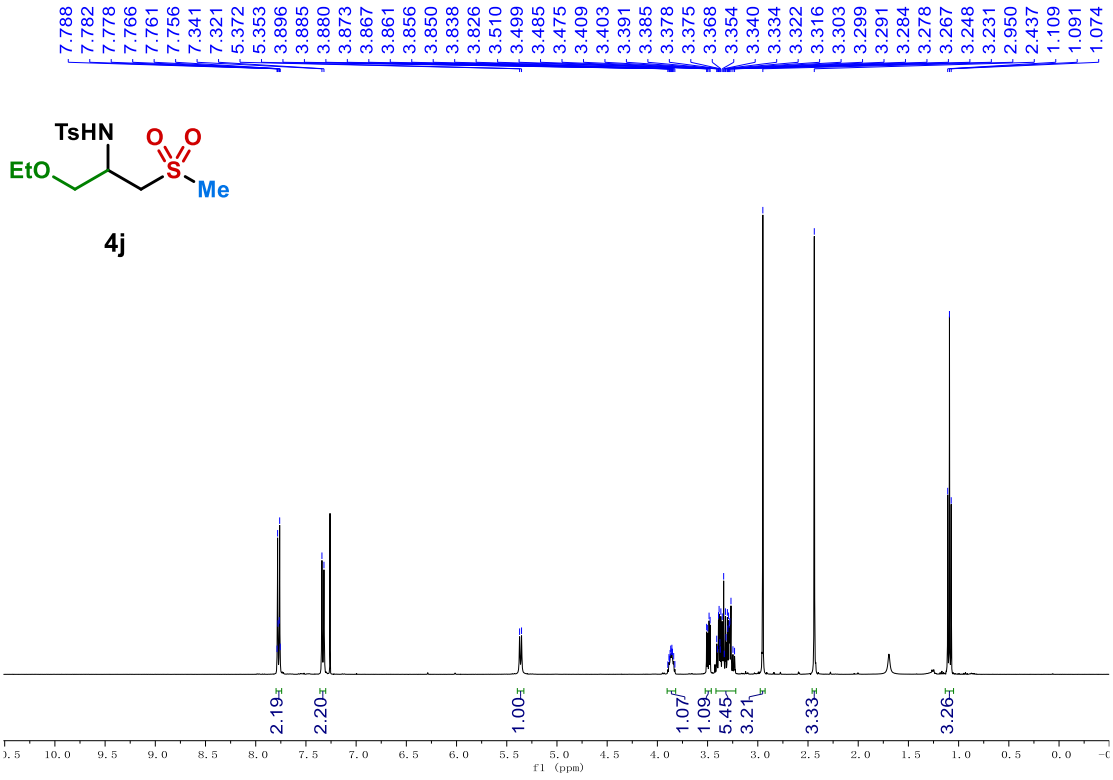


^{13}C NMR (101 MHz, $CDCl_3$)

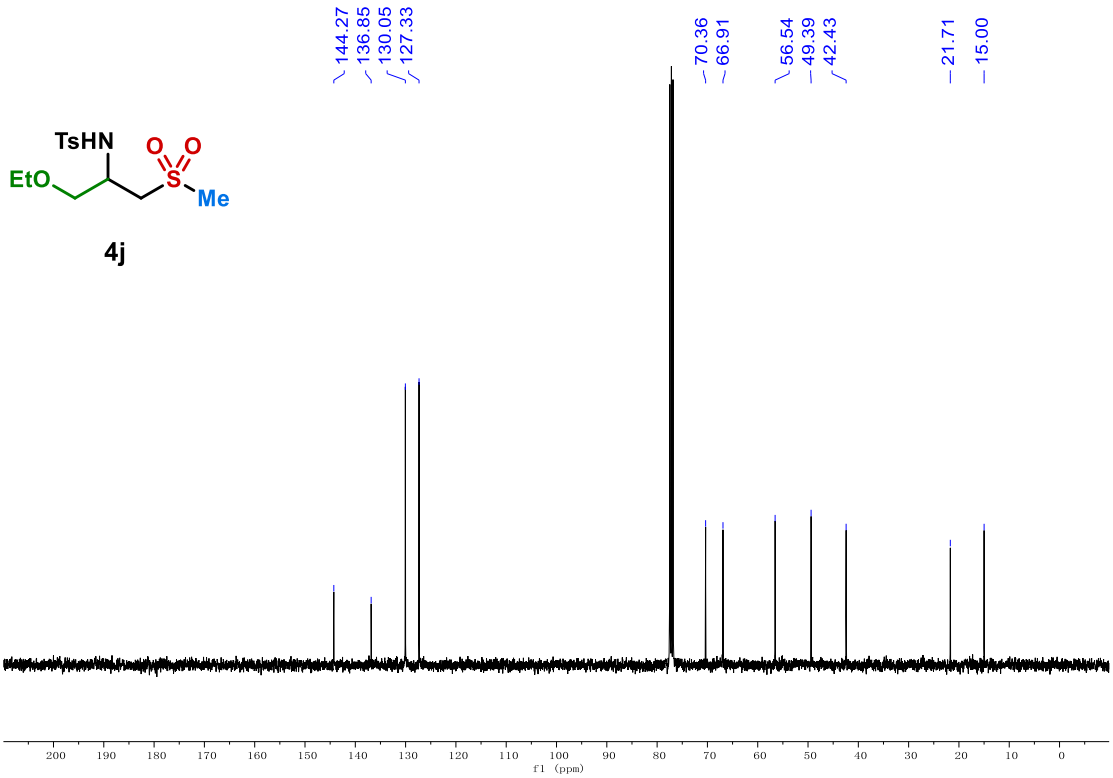


N-(1-ethoxy-3-(methylsulfonyl)propan-2-yl)-4-methylbenzenesulfonamide (4j)

^{1}H NMR (400 MHz, $CDCl_3$)

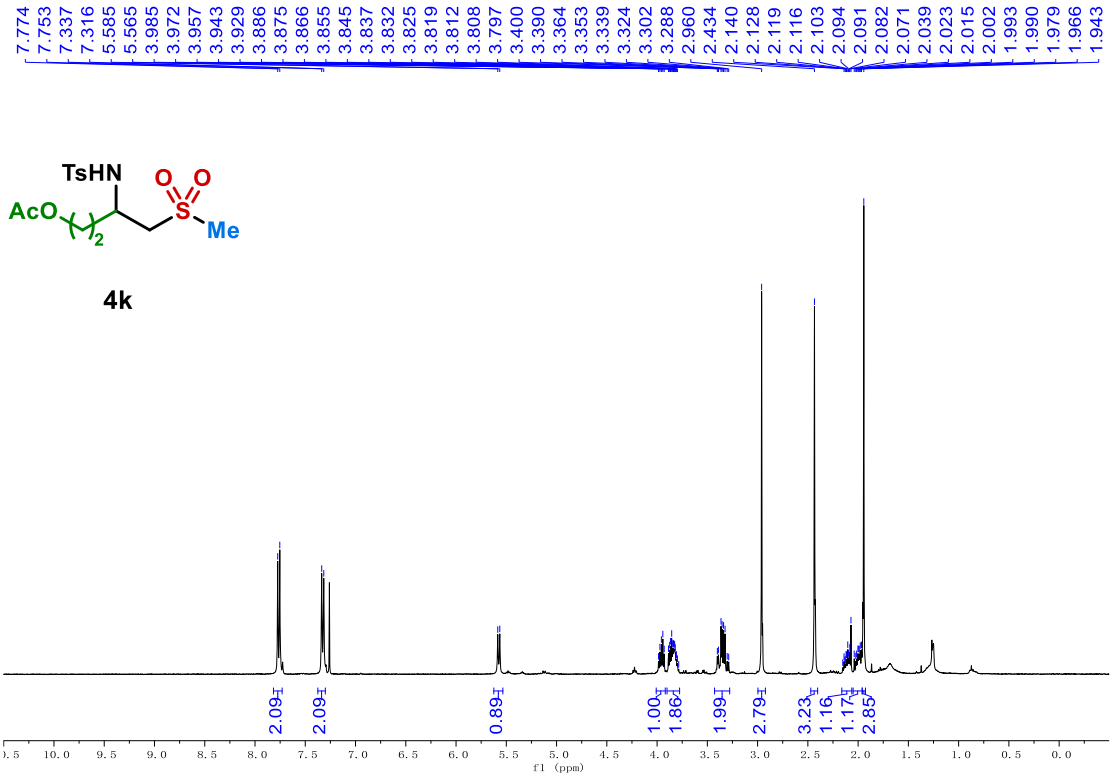


^{13}C NMR (101 MHz, $CDCl_3$)

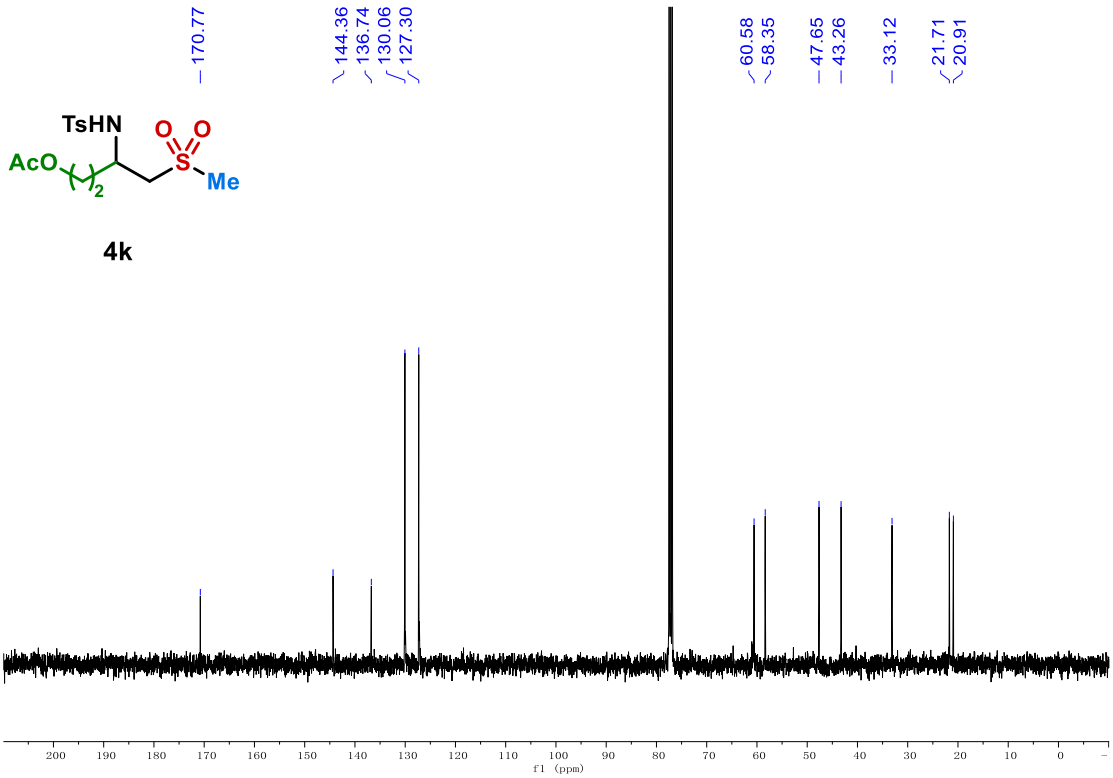


3-((4-methylphenyl)sulfonamido)-4-(methylsulfonyl)butyl acetate (4k)

^{1}H NMR (400 MHz, $CDCl_3$)

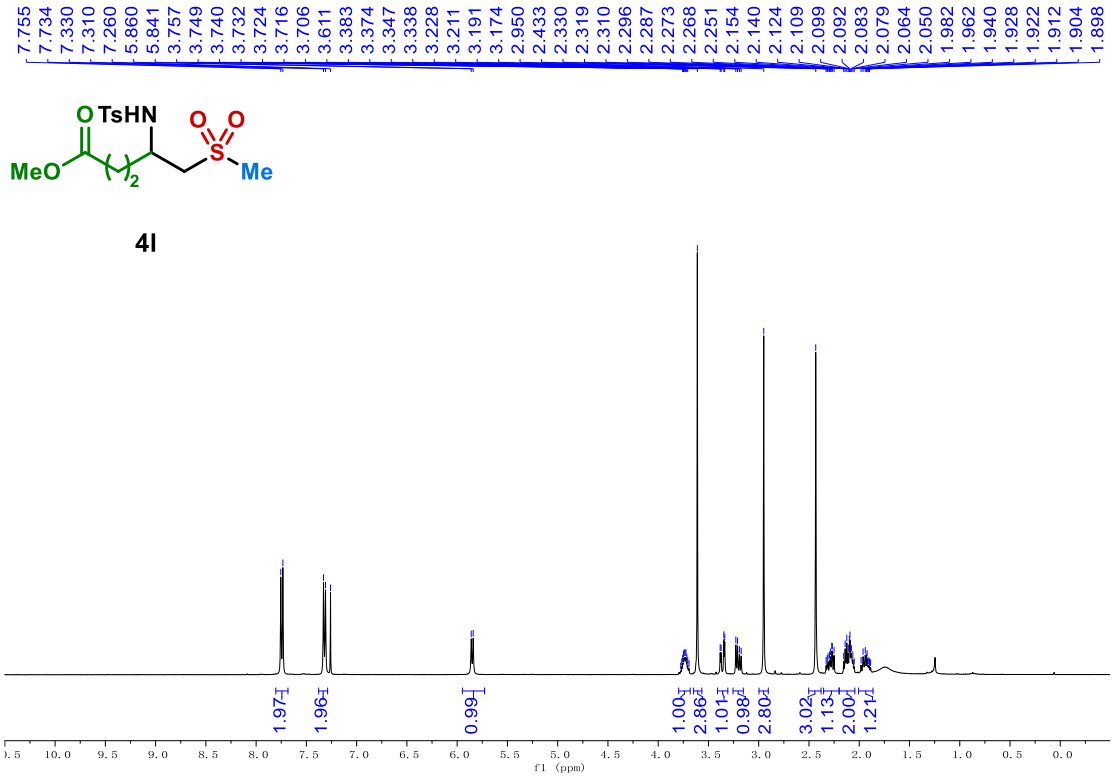


^{13}C NMR (101 MHz, $CDCl_3$)

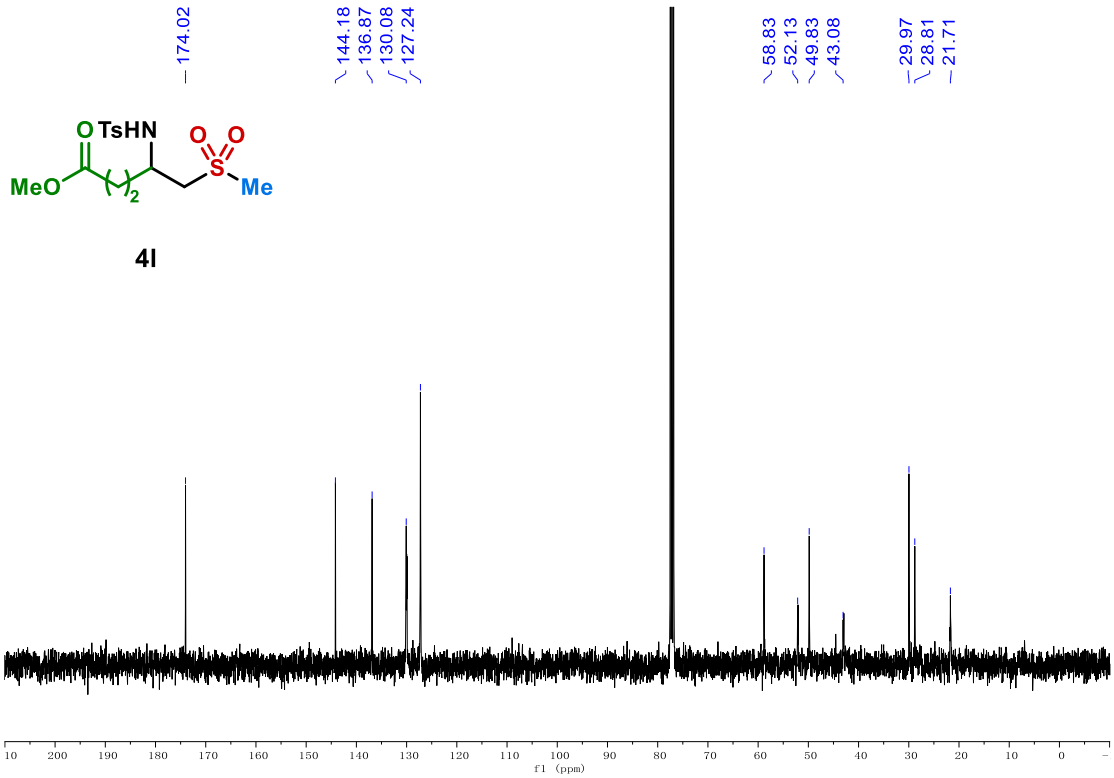


methyl 4-((4-methylphenyl)sulfonamido)-5-(methylsulfonyl)pentanoate (4l)

^{1}H NMR (400 MHz, $CDCl_3$)

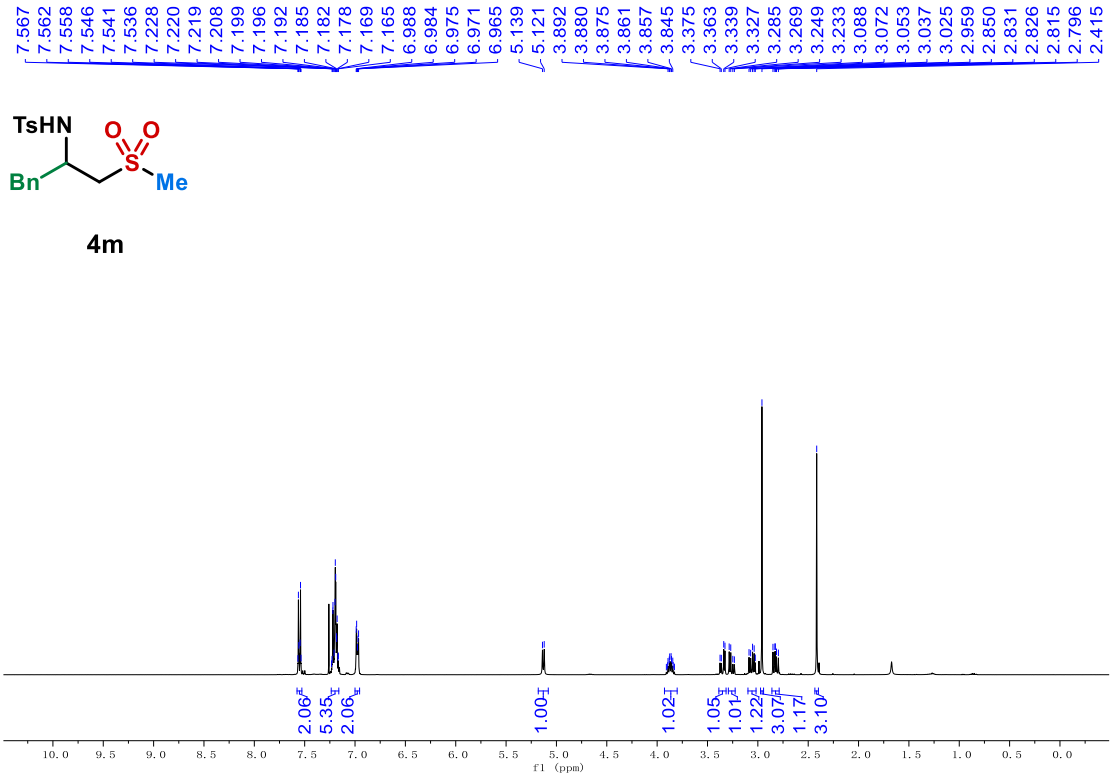


^{13}C NMR (101 MHz, $CDCl_3$)

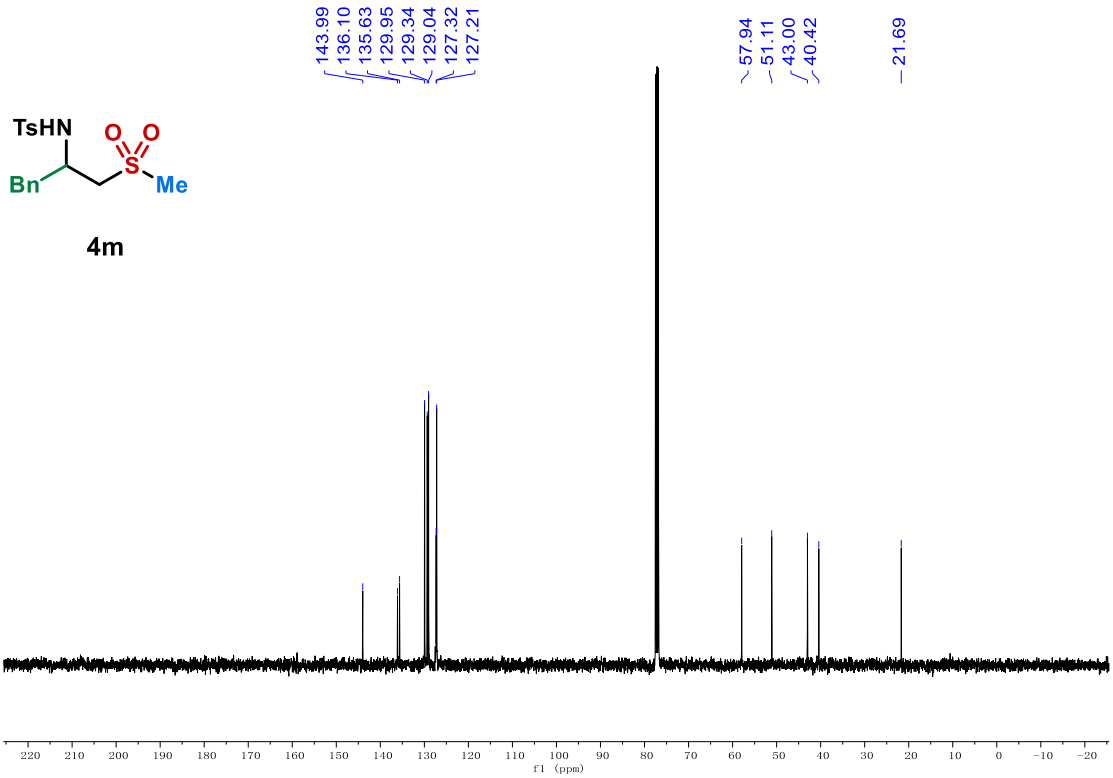


4-methyl-N-(1-(methylsulfonyl)-3-phenylpropan-2-yl)benzenesulfonamide (4m)

^{1}H NMR (400 MHz, $CDCl_3$)

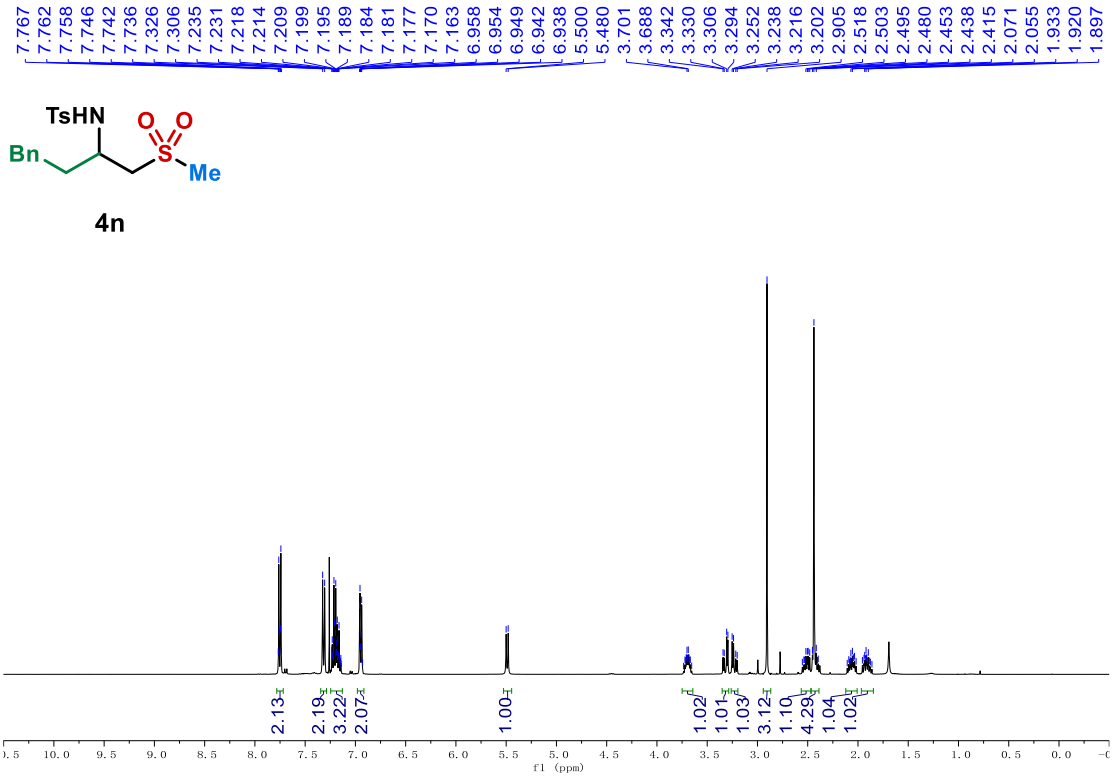


^{13}C NMR (101 MHz, $CDCl_3$)

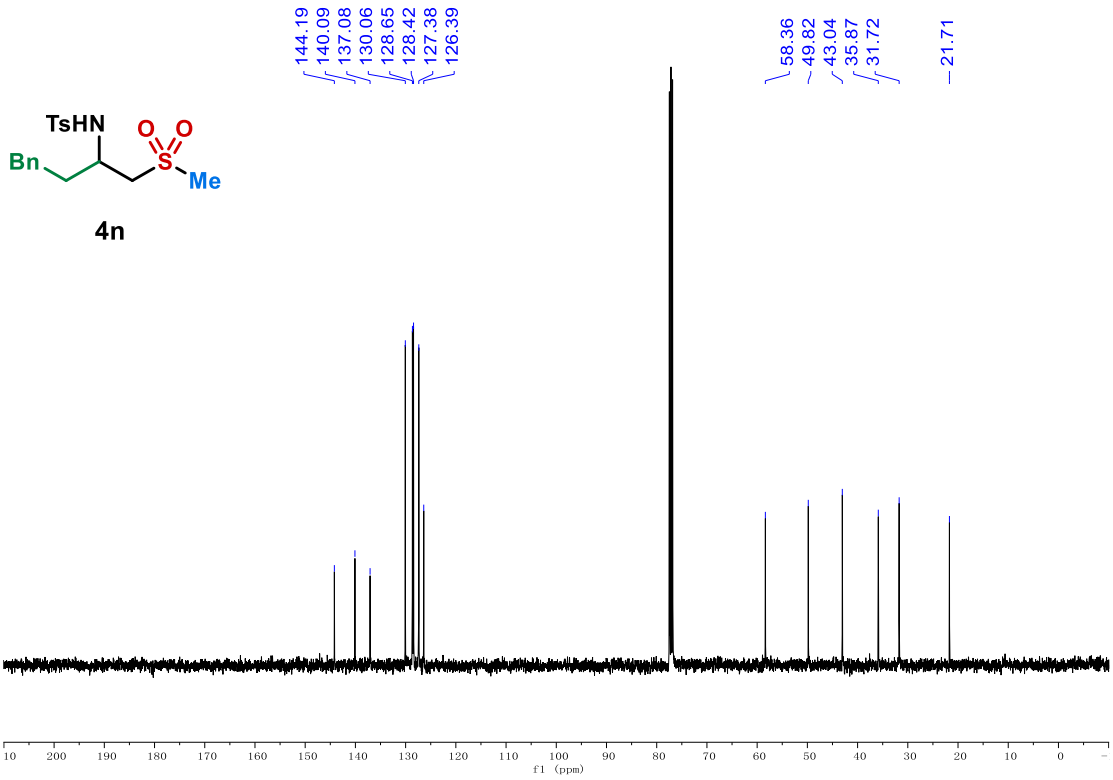


4-methyl-N-(1-(methylsulfonyl)-4-phenylbutan-2-yl)benzenesulfonamide (4n)

^{1}H NMR (400 MHz, $CDCl_3$)

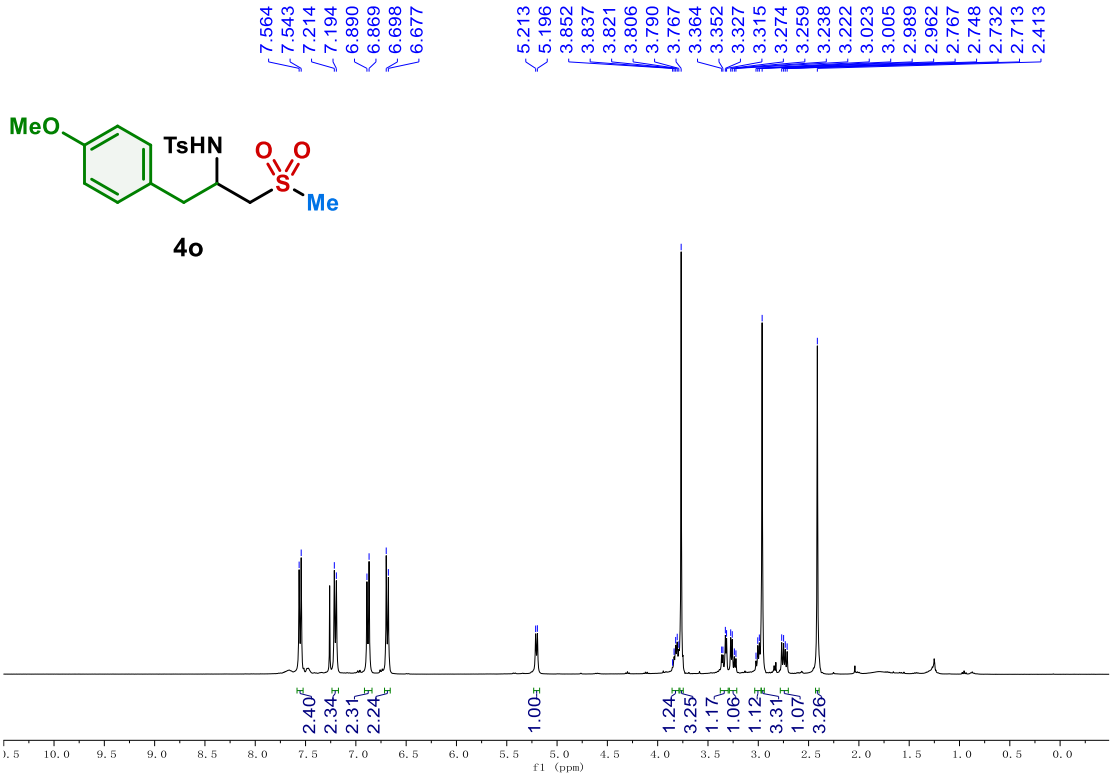


^{13}C NMR (101 MHz, $CDCl_3$)

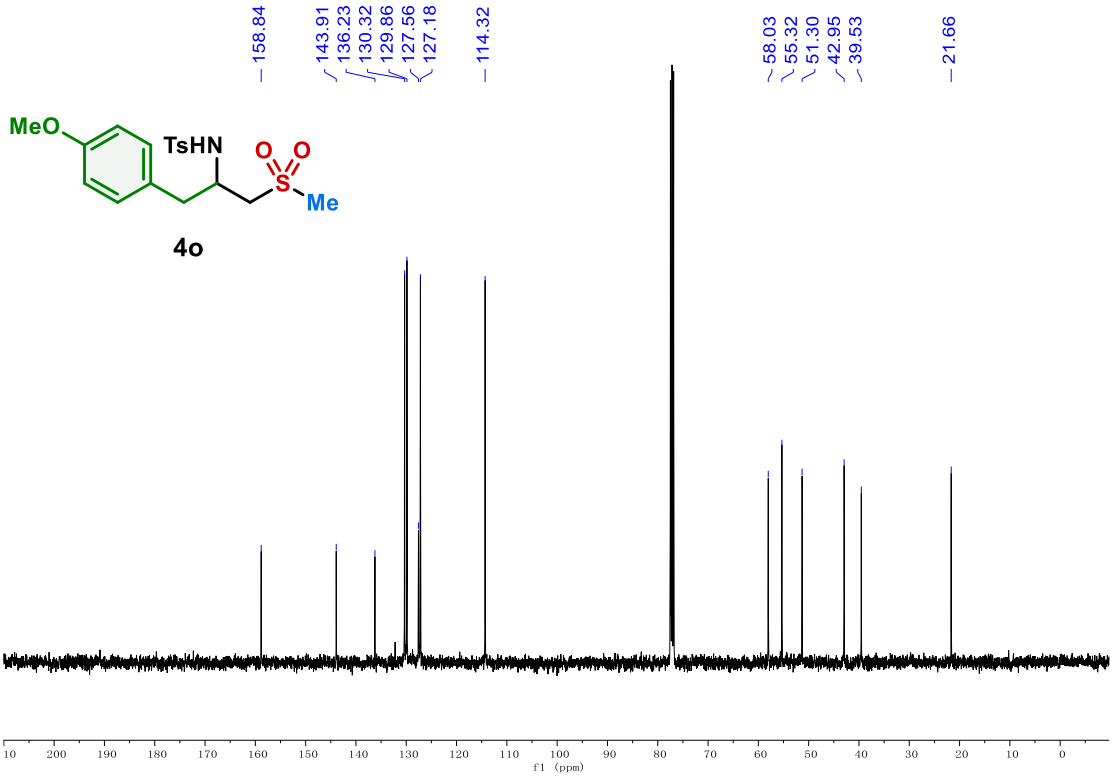


N-(1-(4-methoxyphenyl)-3-(methylsulfonyl)propan-2-yl)-4-methylbenzenesulfonamide (4o)

^{1}H NMR (400 MHz, $CDCl_3$)

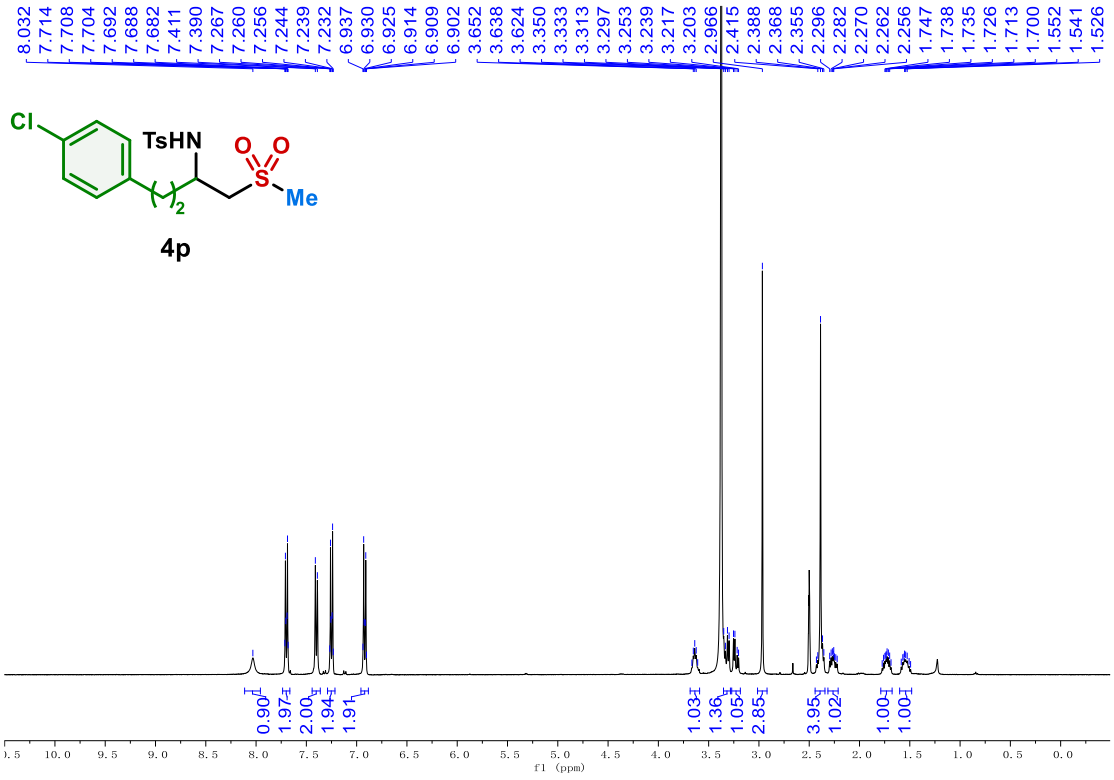


^{13}C NMR (101 MHz, $CDCl_3$)

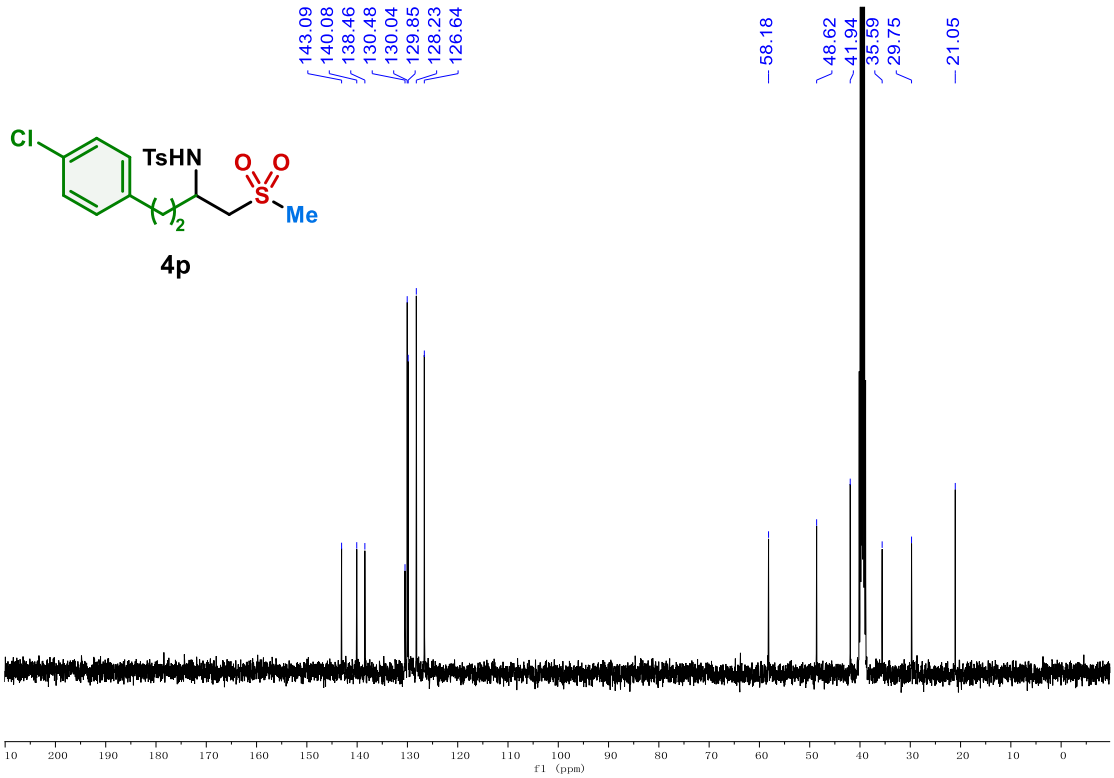


N-(4-(4-chlorophenyl)-1-(methylsulfonyl)butan-2-yl)-4-methylbenzenesulfonamide (4p)

^{1}H NMR (400 MHz, DMSO-d_6)

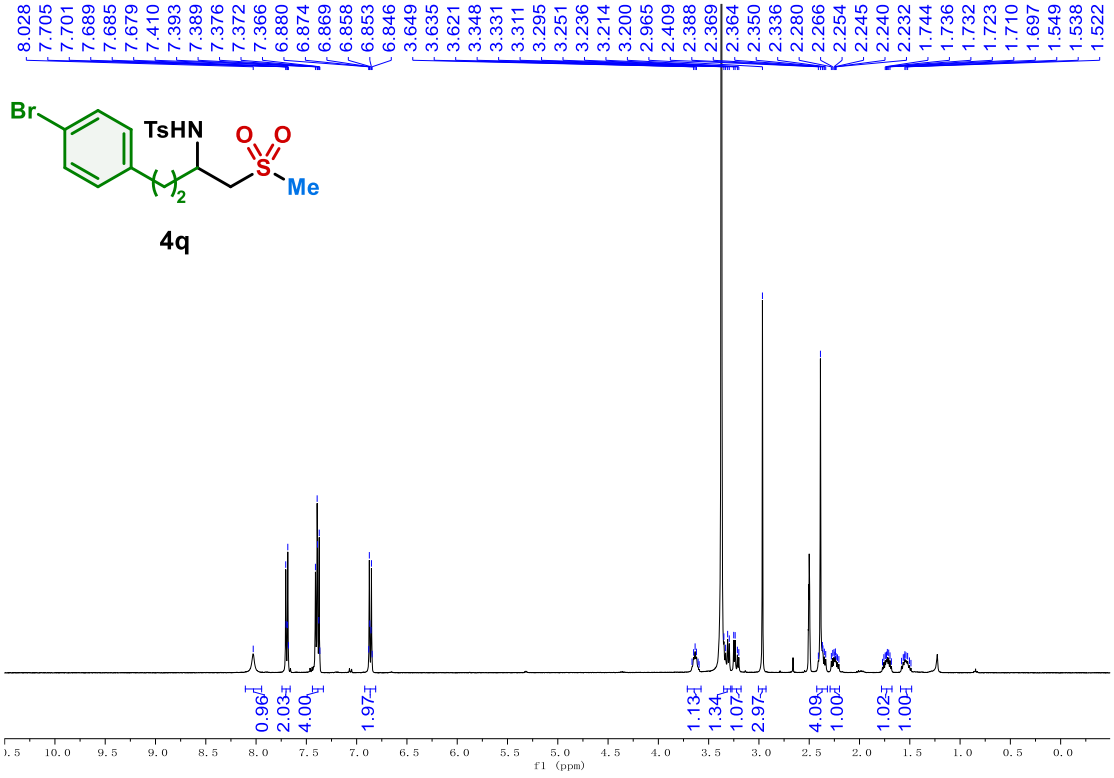


^{13}C NMR (101 MHz, DMSO-d_6)

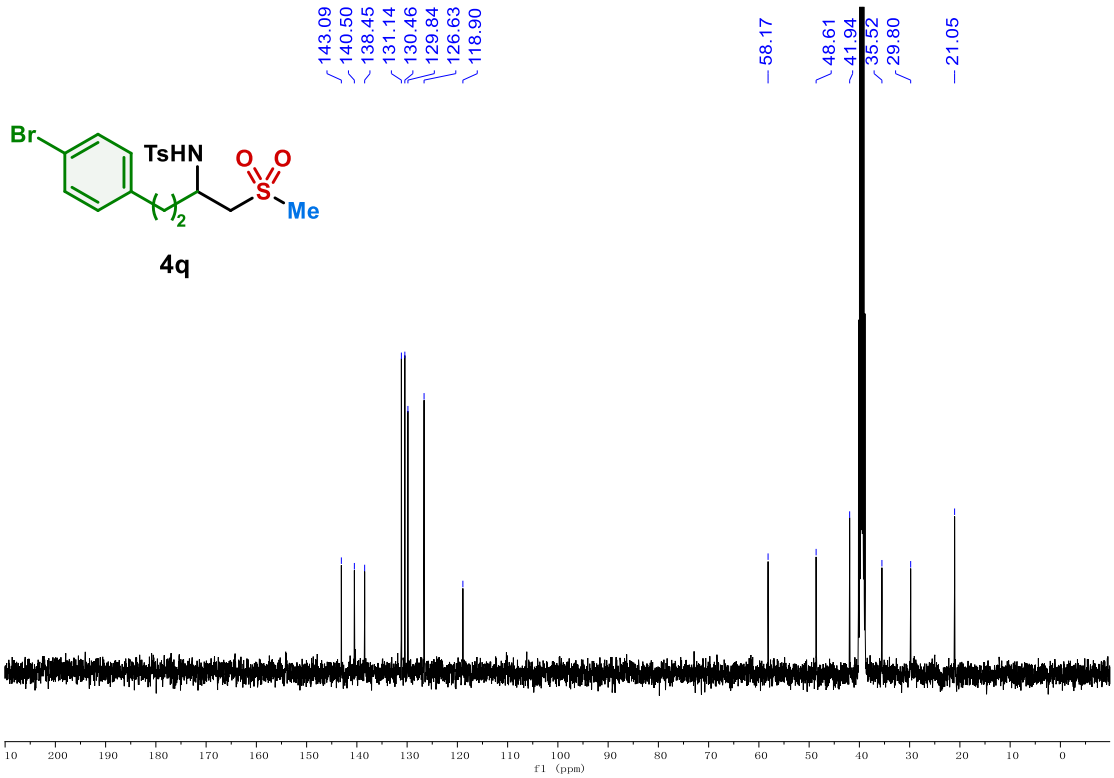


N-(4-(4-bromophenyl)-1-(methylsulfonyl)butan-2-yl)-4-methylbenzenesulfonamide (4q)

^{1}H NMR (400 MHz, DMSO-d_6)

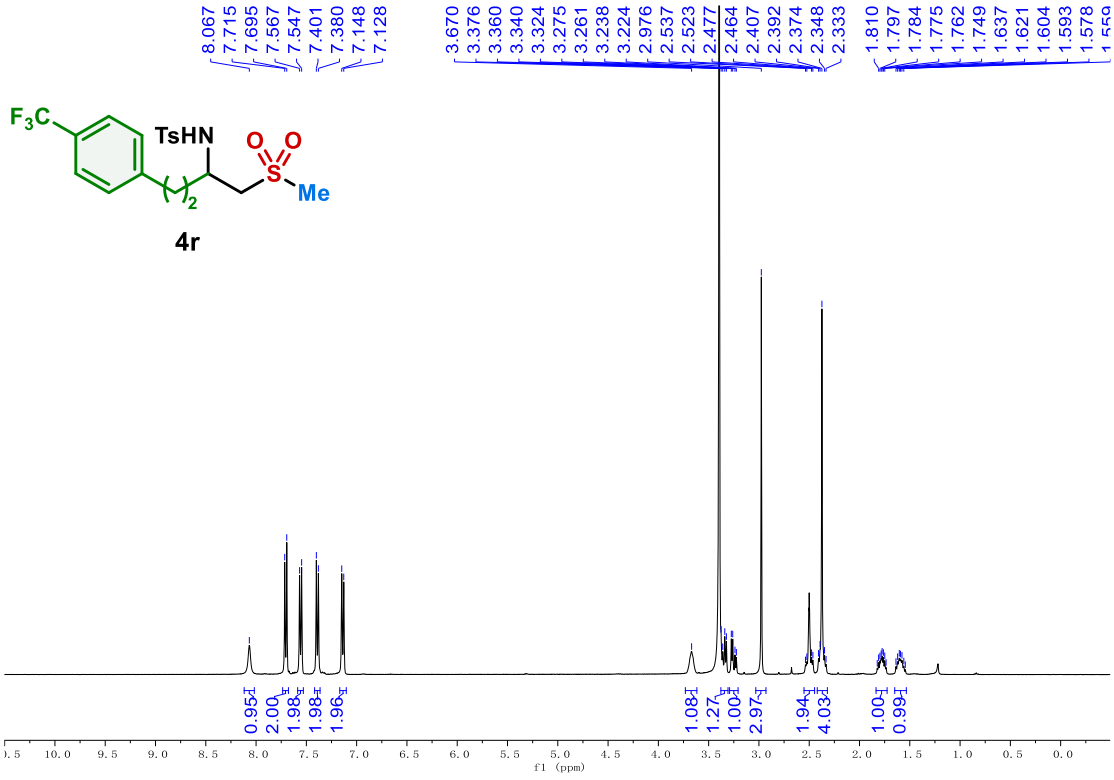


^{13}C NMR (101 MHz, DMSO-d_6)

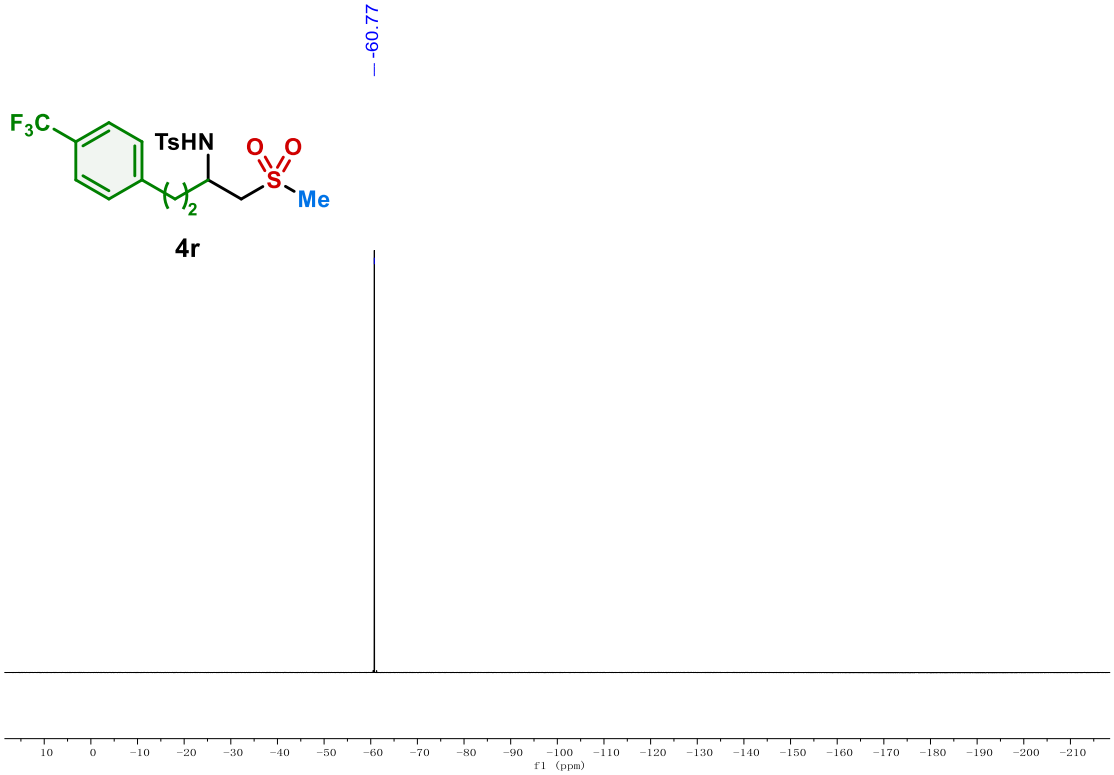


4-methyl-N-(1-(methylsulfonyl)-4-(4-(trifluoromethyl)phenyl)butan-2-yl)benzenesulfonamide (4r)

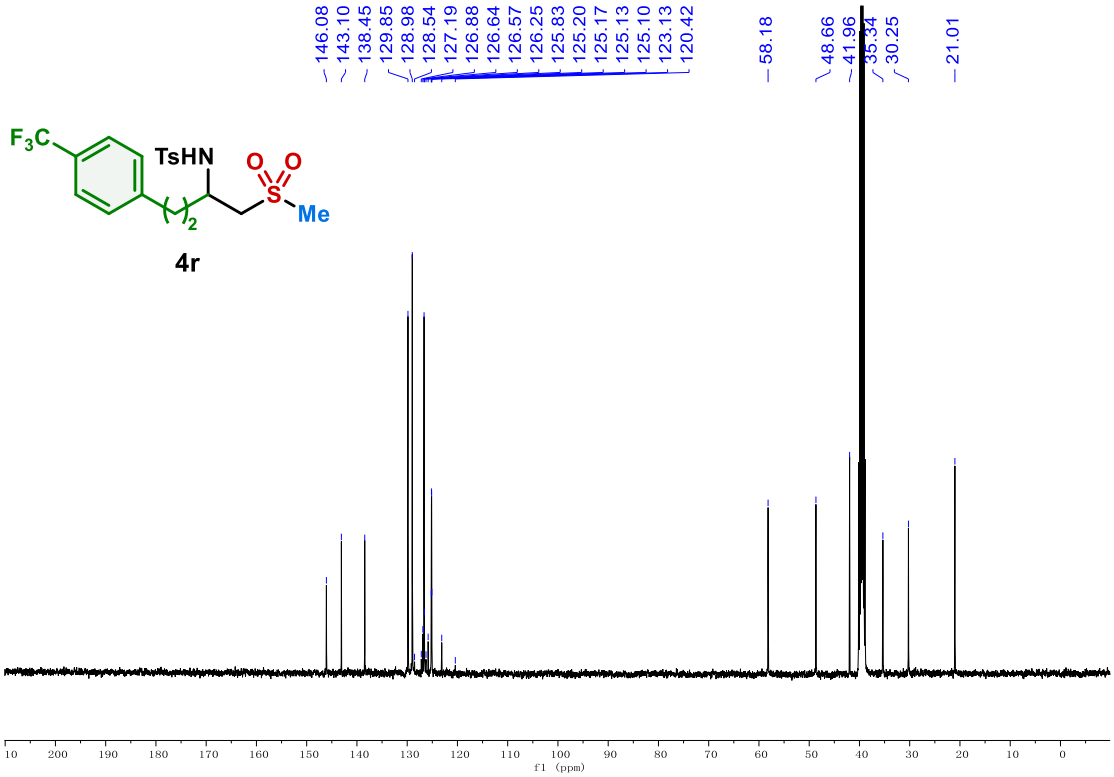
^{1}H NMR (400 MHz, DMSO-d_6)



^{19}F NMR (376 MHz, DMSO-d_6)

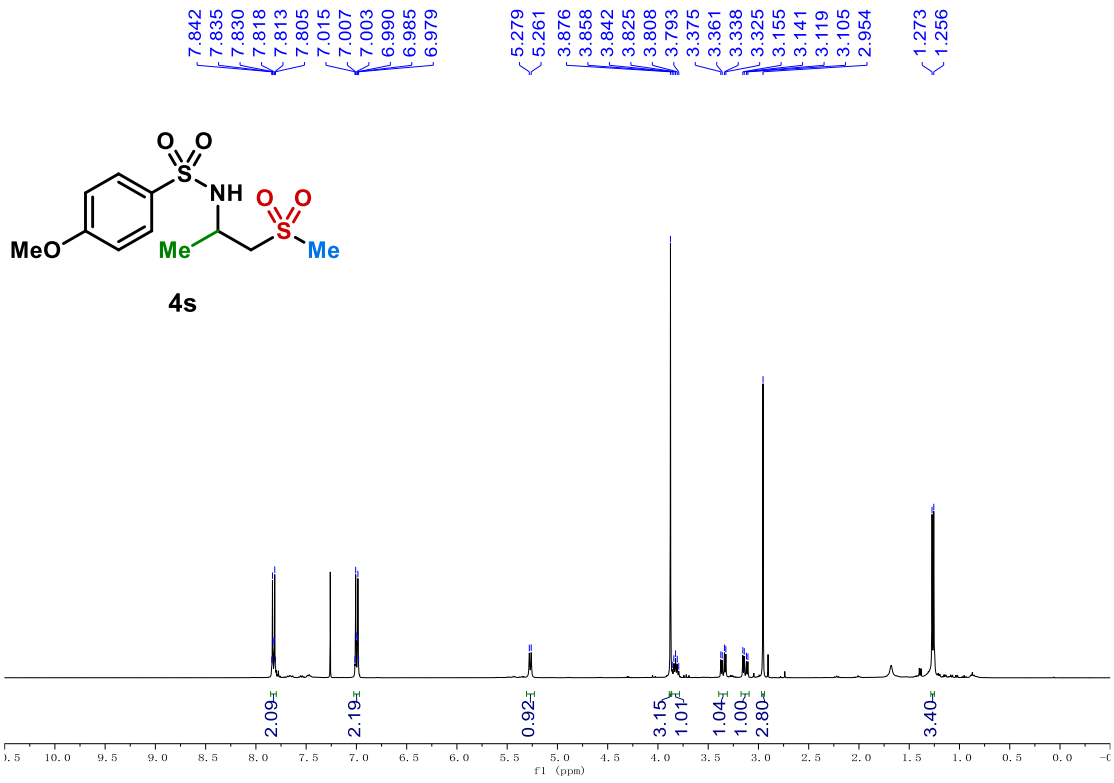


^{13}C NMR (101 MHz, DMSO-d_6)

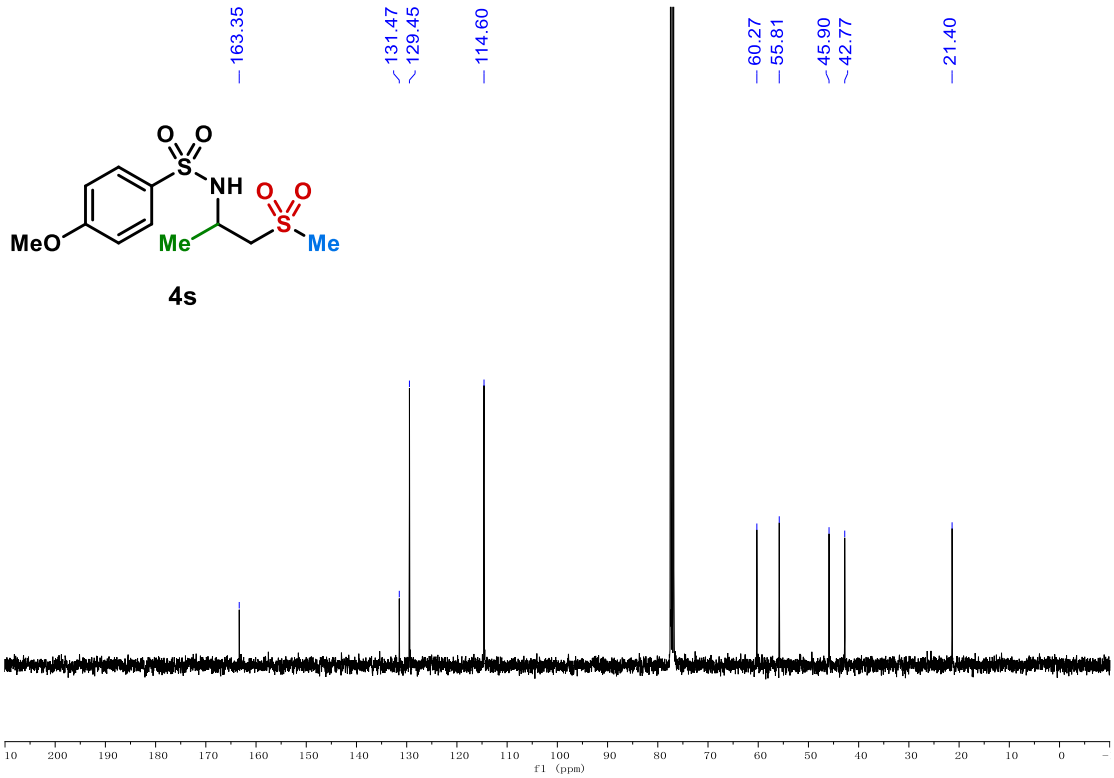


4-methoxy-N-(1-(methylsulfonyl)propan-2-yl)benzenesulfonamide (4s)

^{1}H NMR (400 MHz, $CDCl_3$)

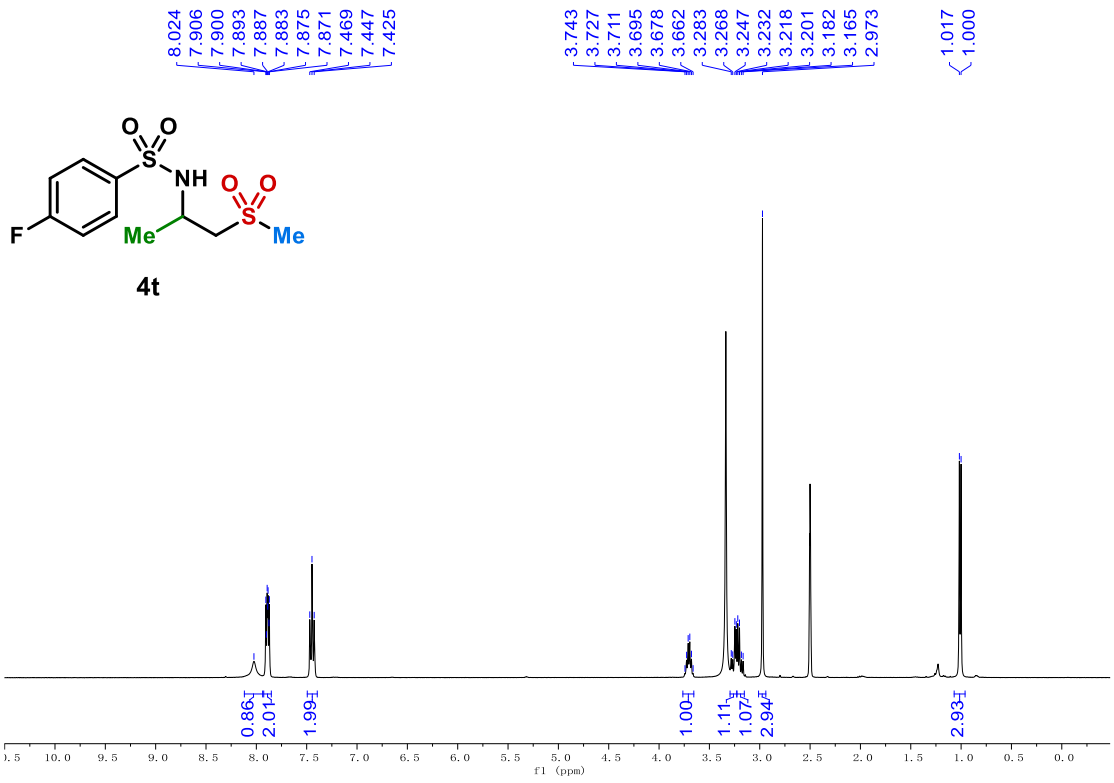


^{13}C NMR (101 MHz, $CDCl_3$)



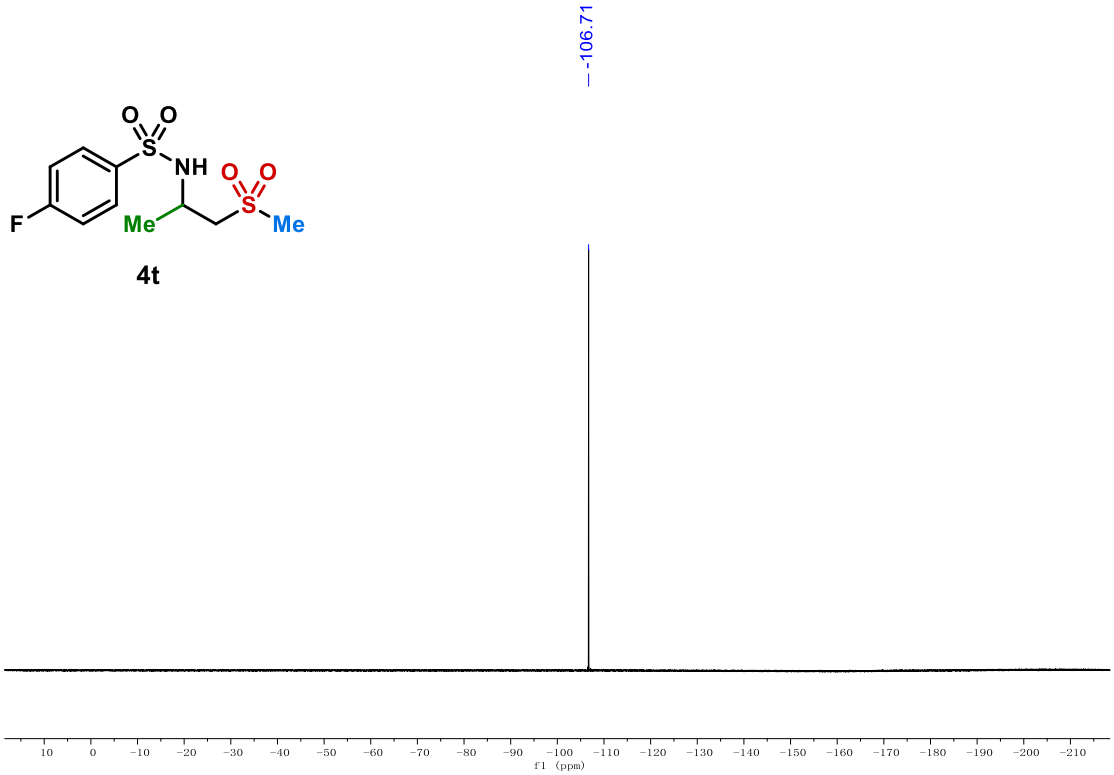
4-fluoro-N-(1-(methylsulfonyl)propan-2-yl)benzenesulfonamide (4t)

^{1}H NMR (400 MHz, DMSO-d_6)



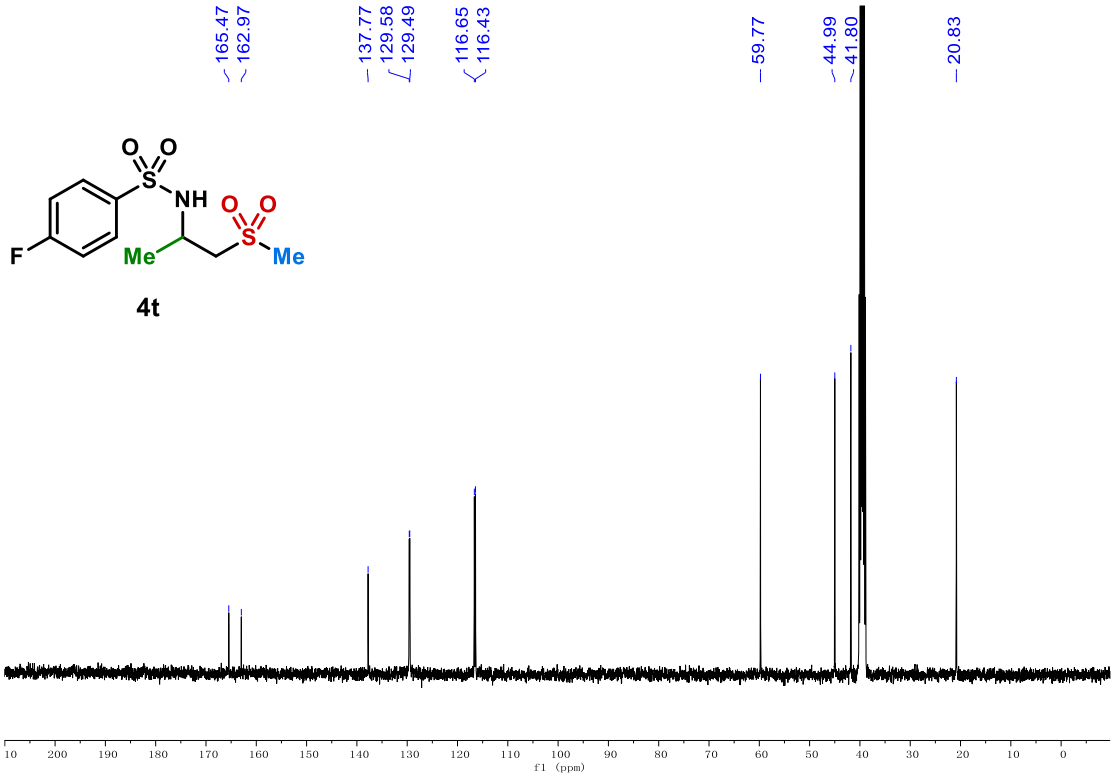
4t

^{19}F NMR (376 MHz, DMSO-d_6)



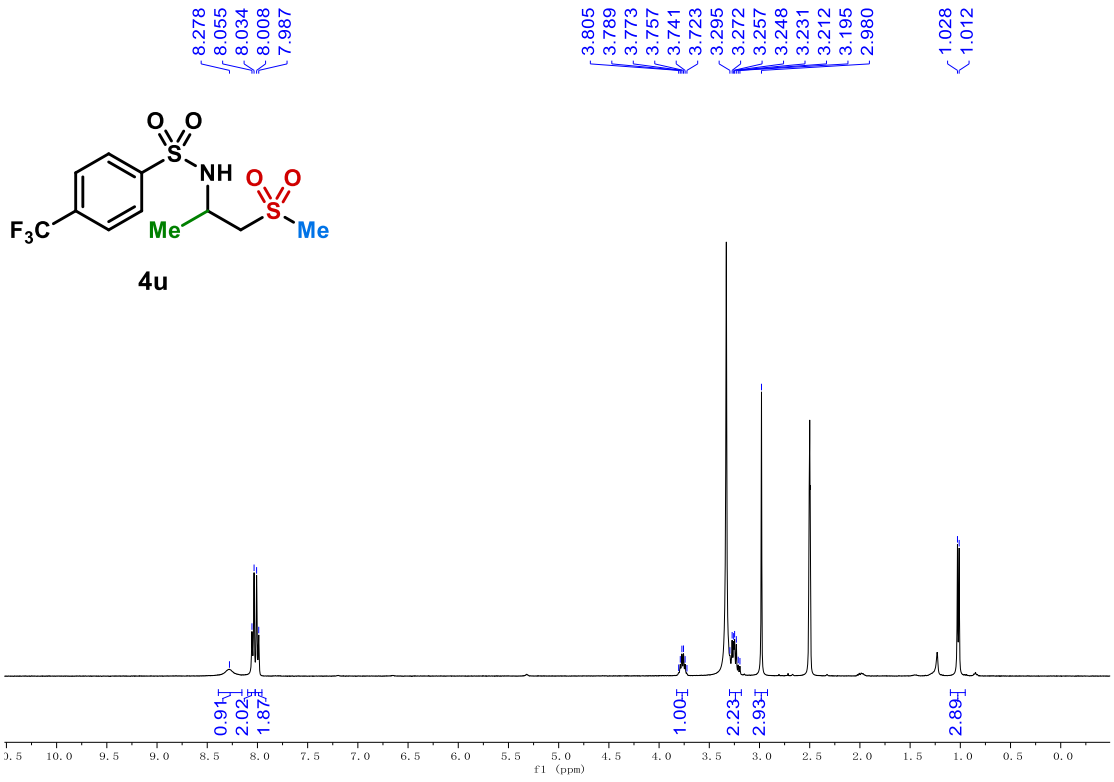
4t

^{13}C NMR (101 MHz, DMSO-d_6)

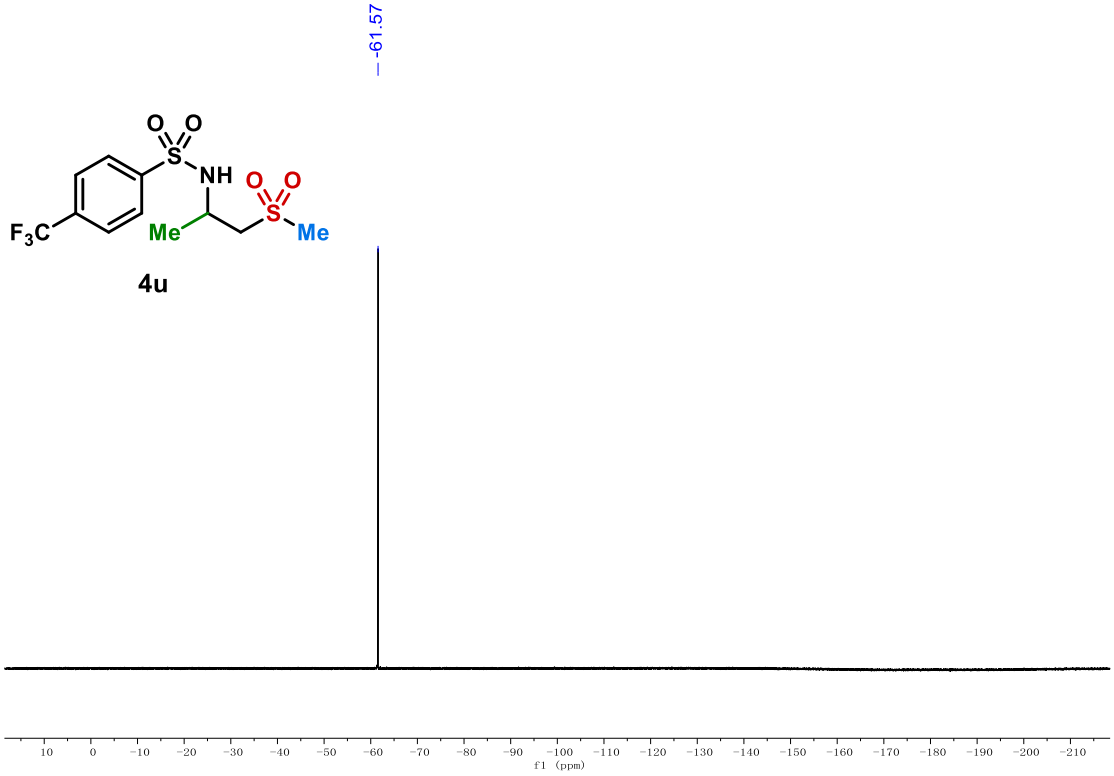


N-(1-(methylsulfonyl)propan-2-yl)-4-(trifluoromethyl)benzenesulfonamide (4u)

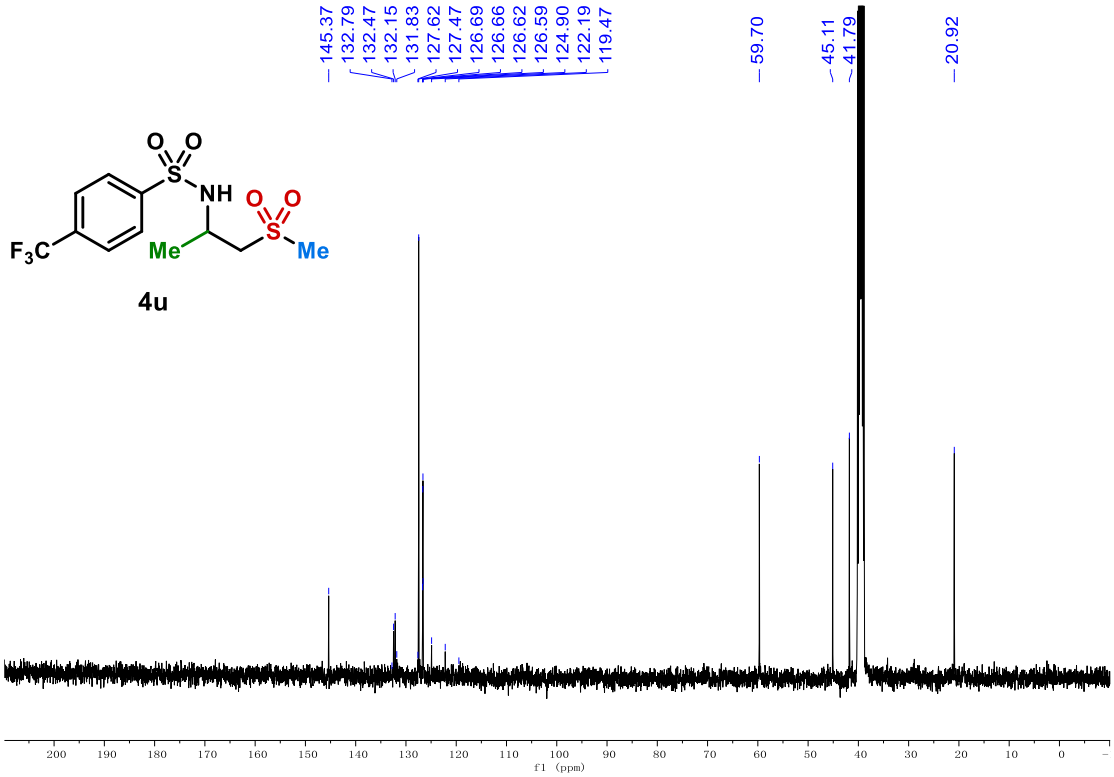
^{1}H NMR (400 MHz, DMSO-d_6)



^{19}F NMR (376 MHz, DMSO-d_6)

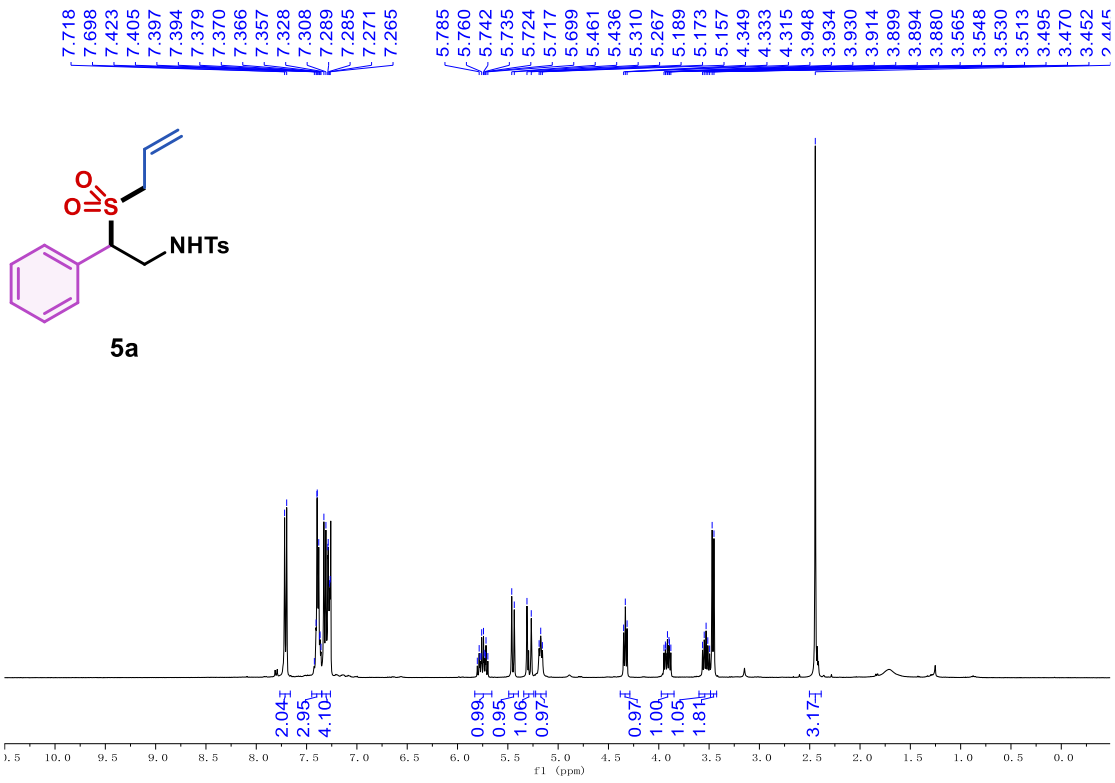


^{13}C NMR (101 MHz, DMSO-d_6)

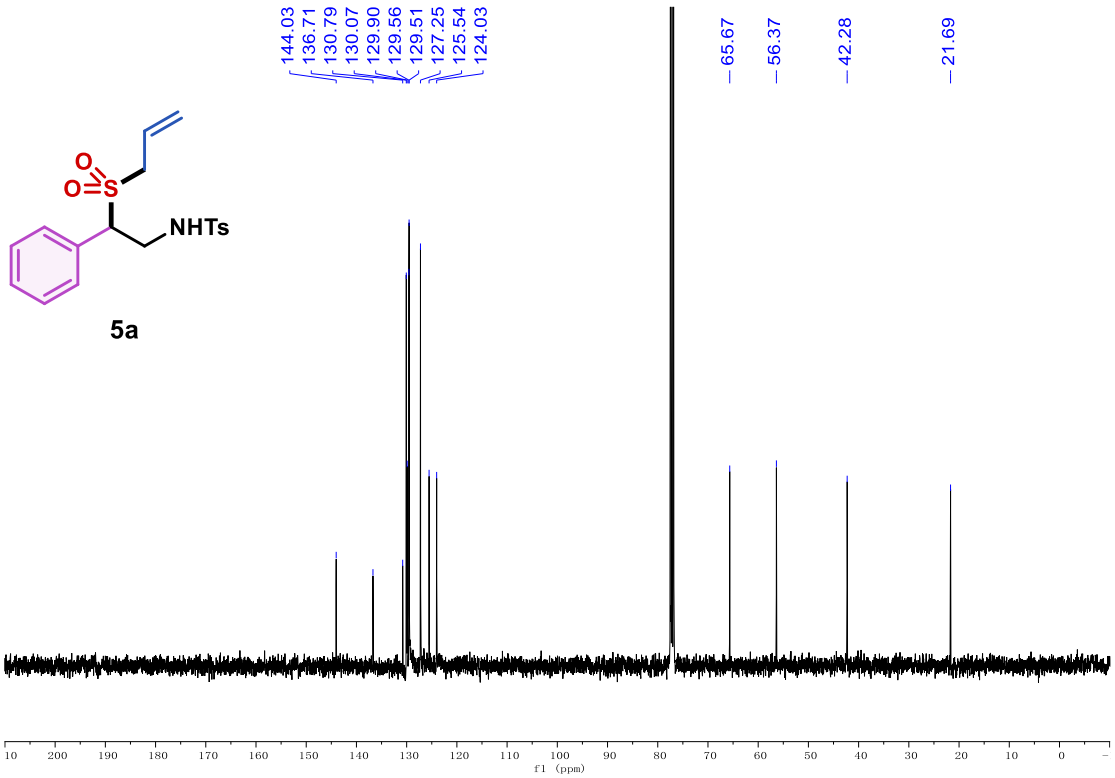


N-(2-(allylsulfonyl)-2-phenylethyl)-4-methylbenzenesulfonamide (5a)

^{1}H NMR (400 MHz, $CDCl_3$)

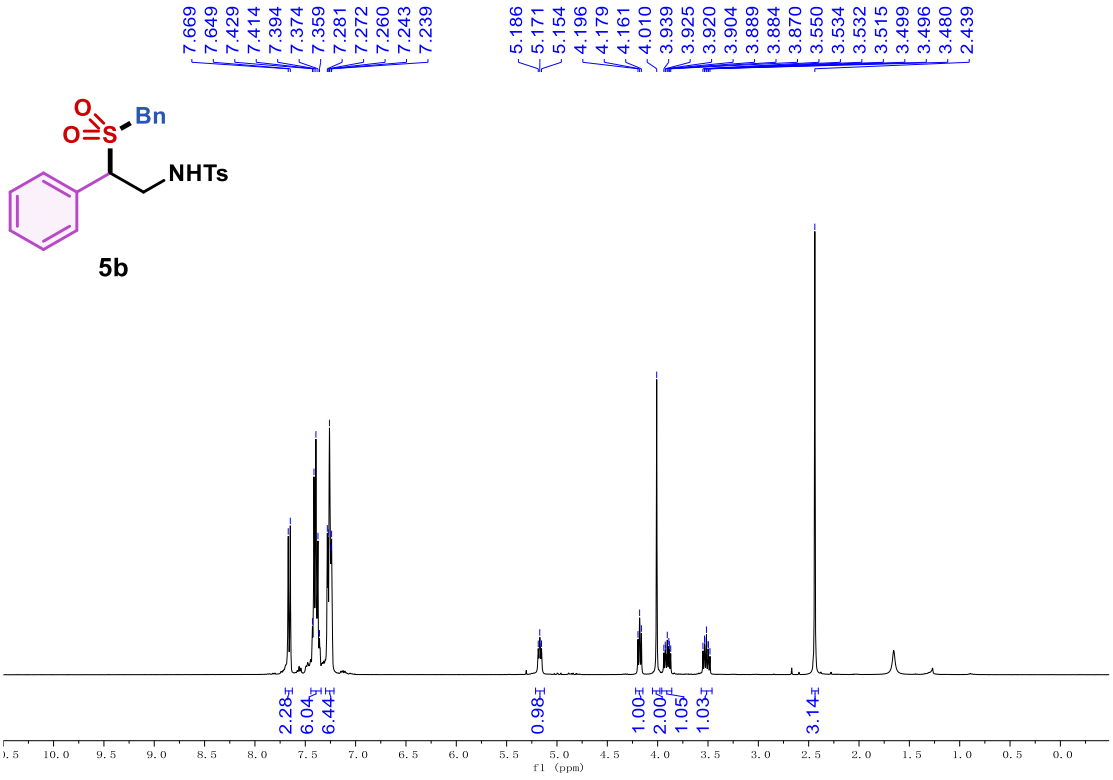


^{13}C NMR (101 MHz, $CDCl_3$)

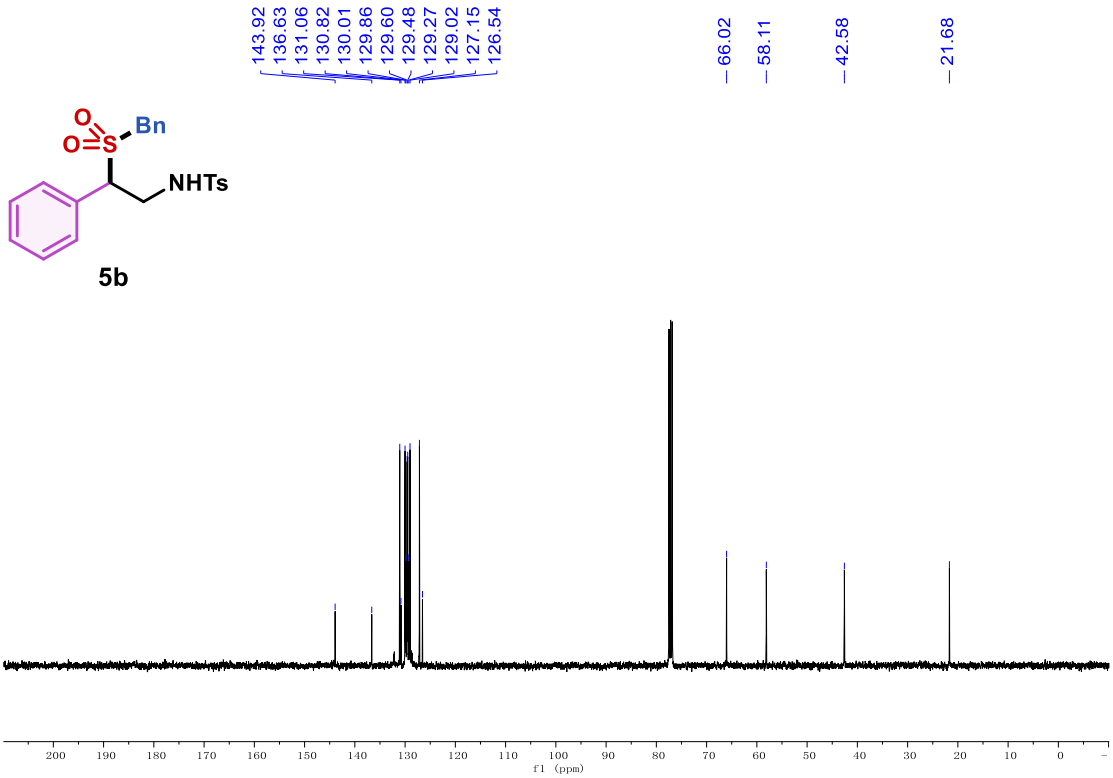


N-(2-(benzylsulfonyl)-2-phenylethyl)-4-methylbenzenesulfonamide (5b)

^{1}H NMR (400 MHz, $CDCl_3$)

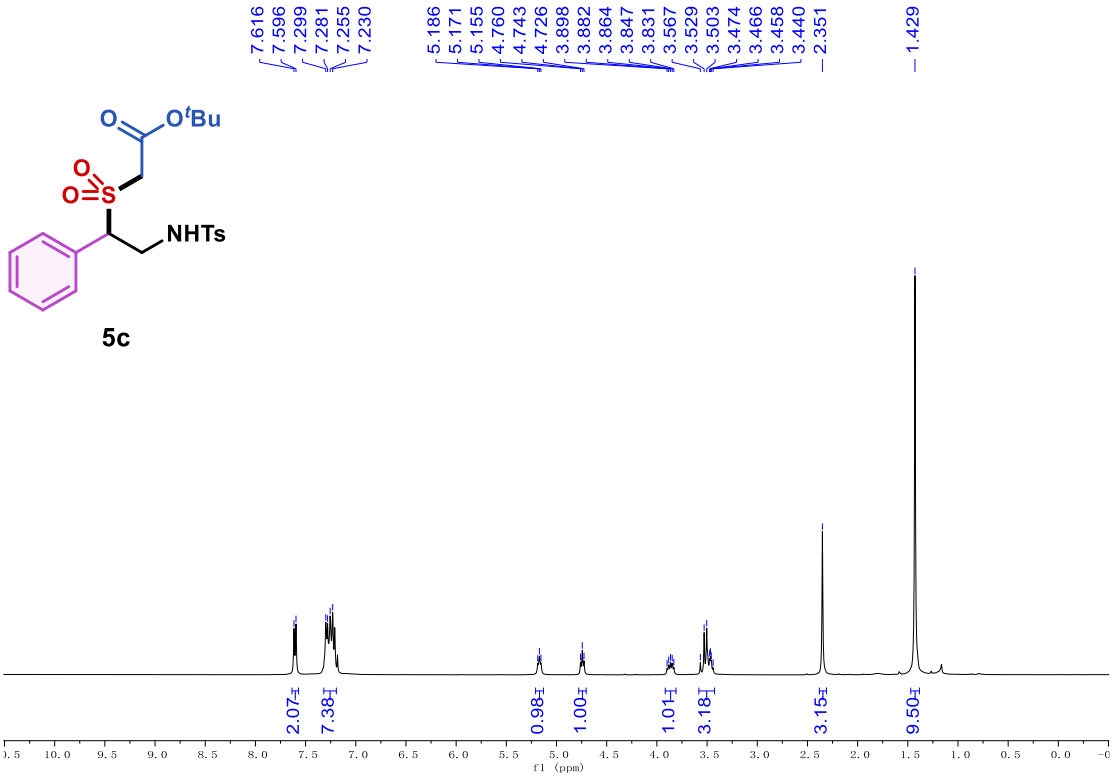


^{13}C NMR (101 MHz, $CDCl_3$)

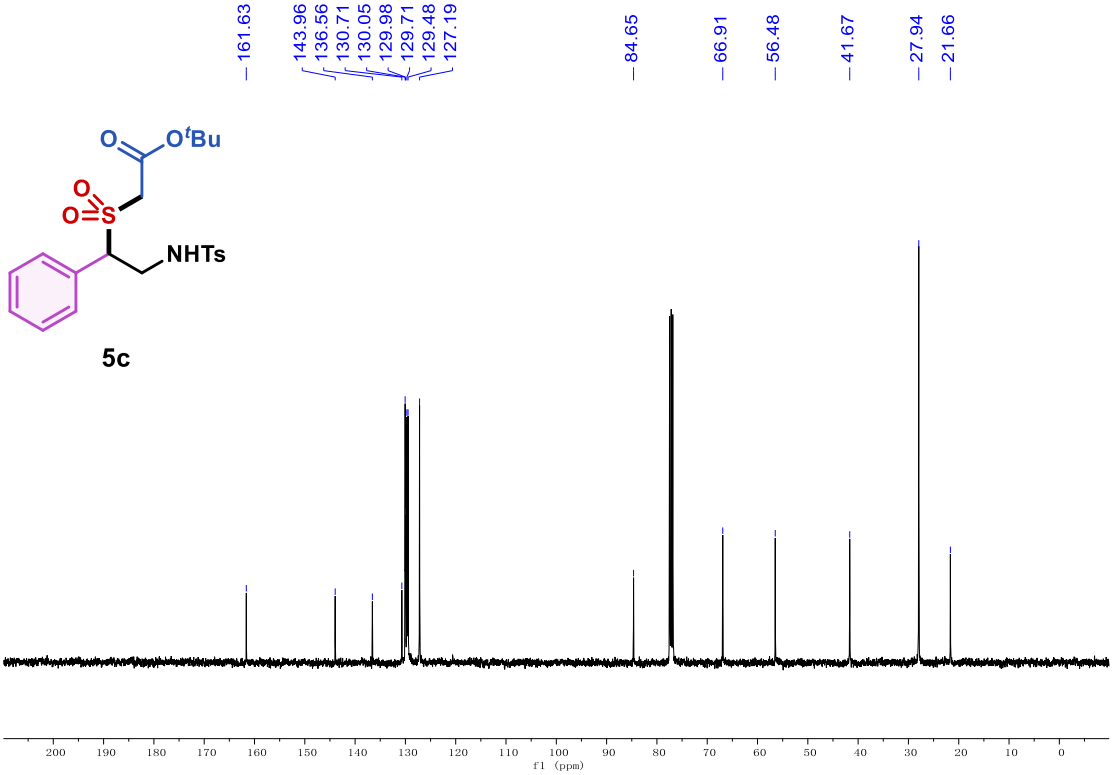


tert-butyl 2-((2-((4-methylphenyl)sulfonamido)-1-phenylethyl)sulfonyl)acetate (5c)

^{1}H NMR (400 MHz, $CDCl_3$)

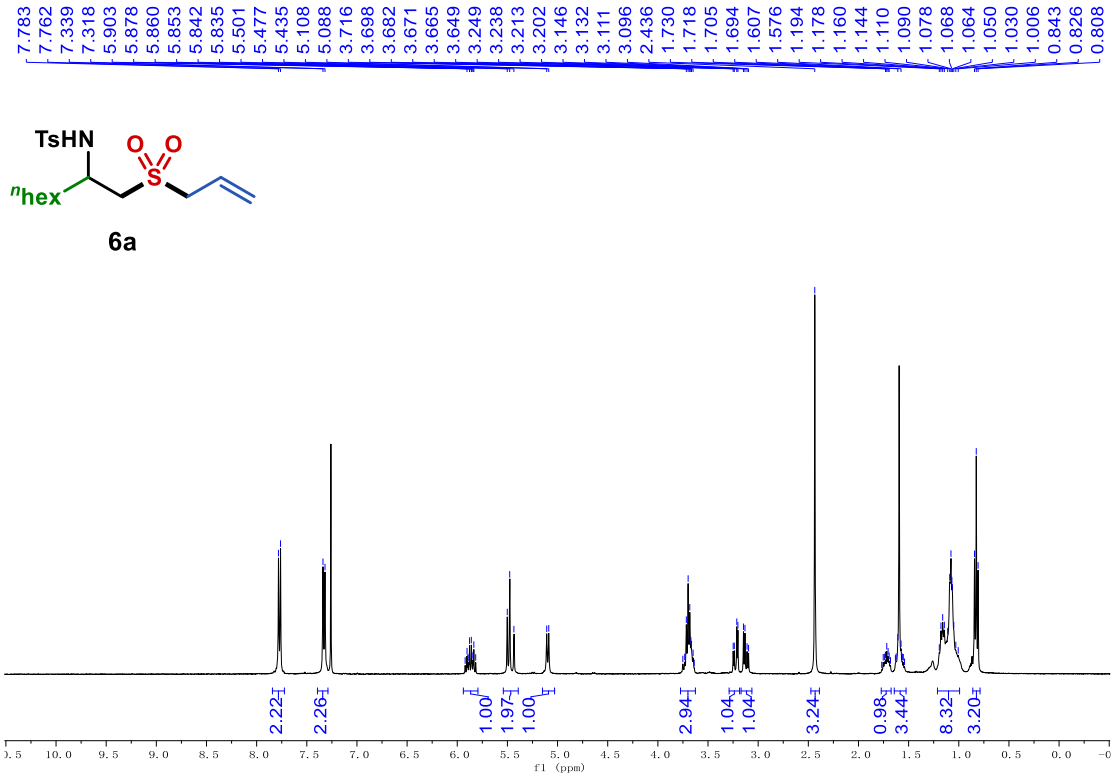


^{13}C NMR (101 MHz, $CDCl_3$)

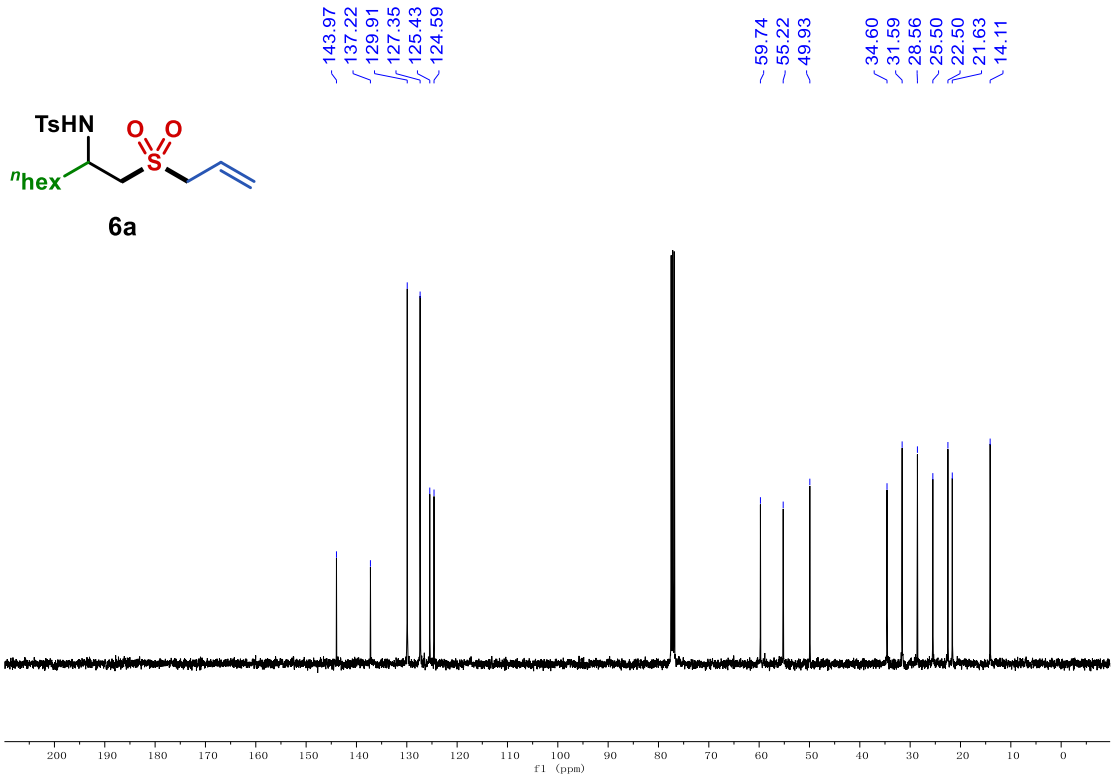


N-(1-(allylsulfonyl)octan-2-yl)-4-methylbenzenesulfonamide (6a)

^{1}H NMR (400 MHz, $CDCl_3$)

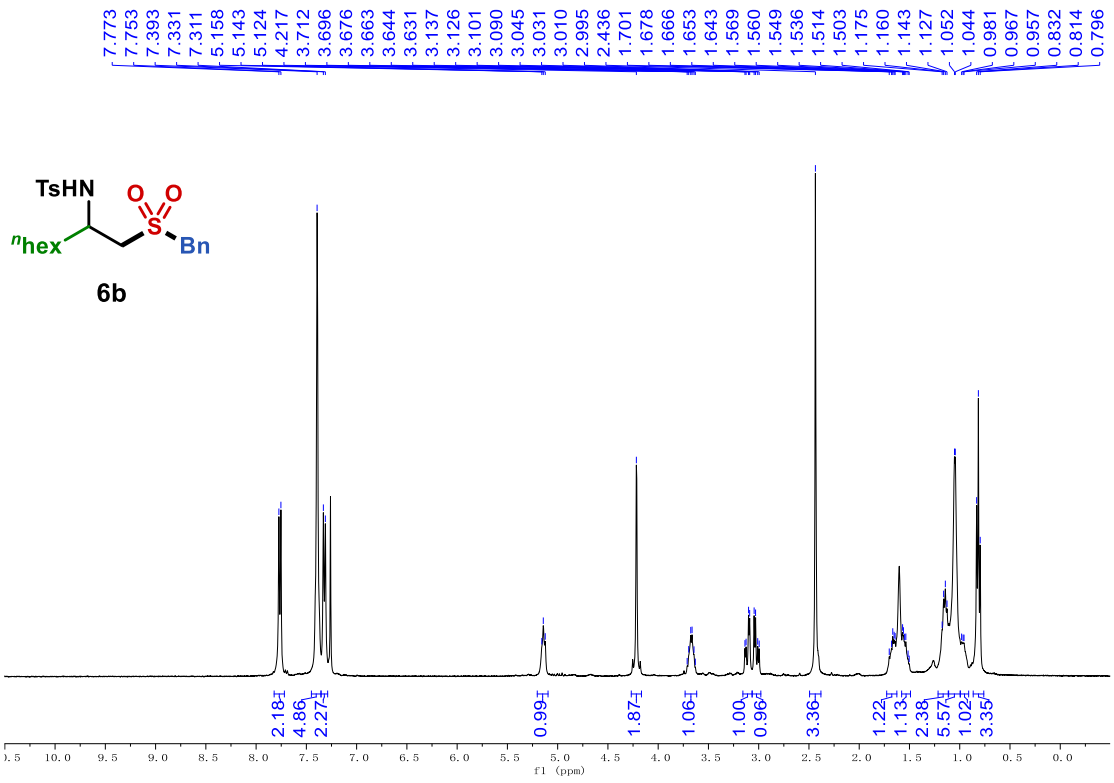


^{13}C NMR (101 MHz, $CDCl_3$)

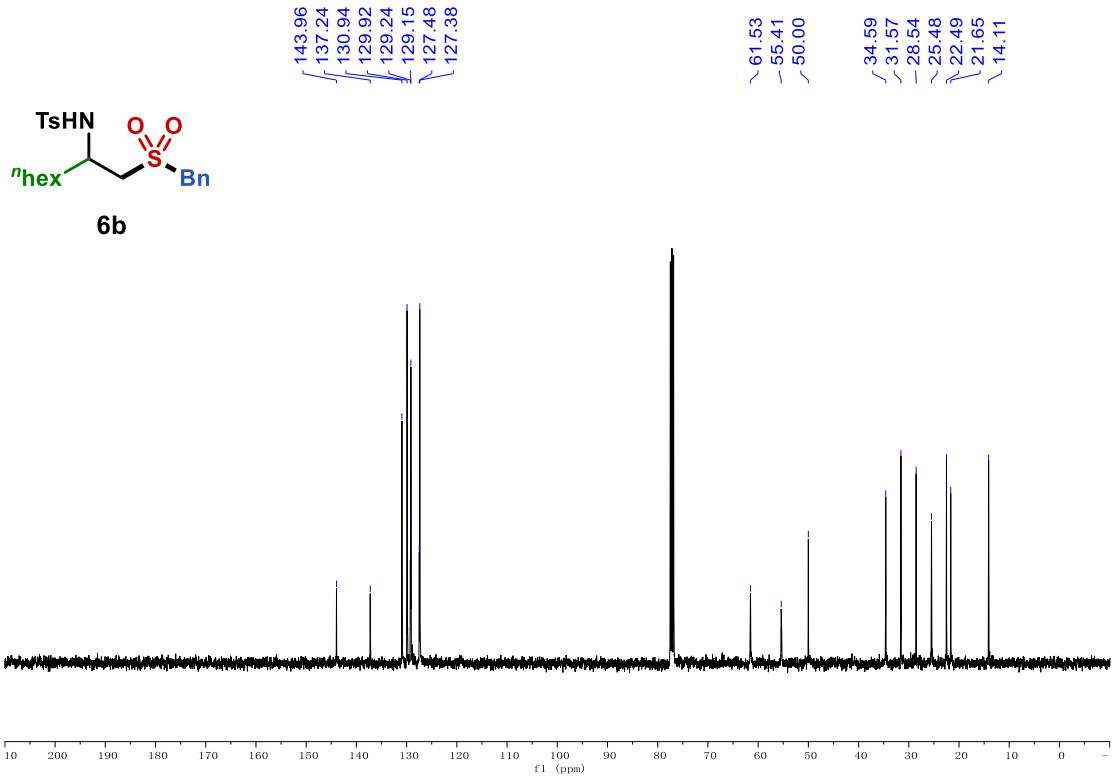


N-(1-(benzylsulfonyl)octan-2-yl)-4-methylbenzenesulfonamide (6b)

^{1}H NMR (400 MHz, $CDCl_3$)

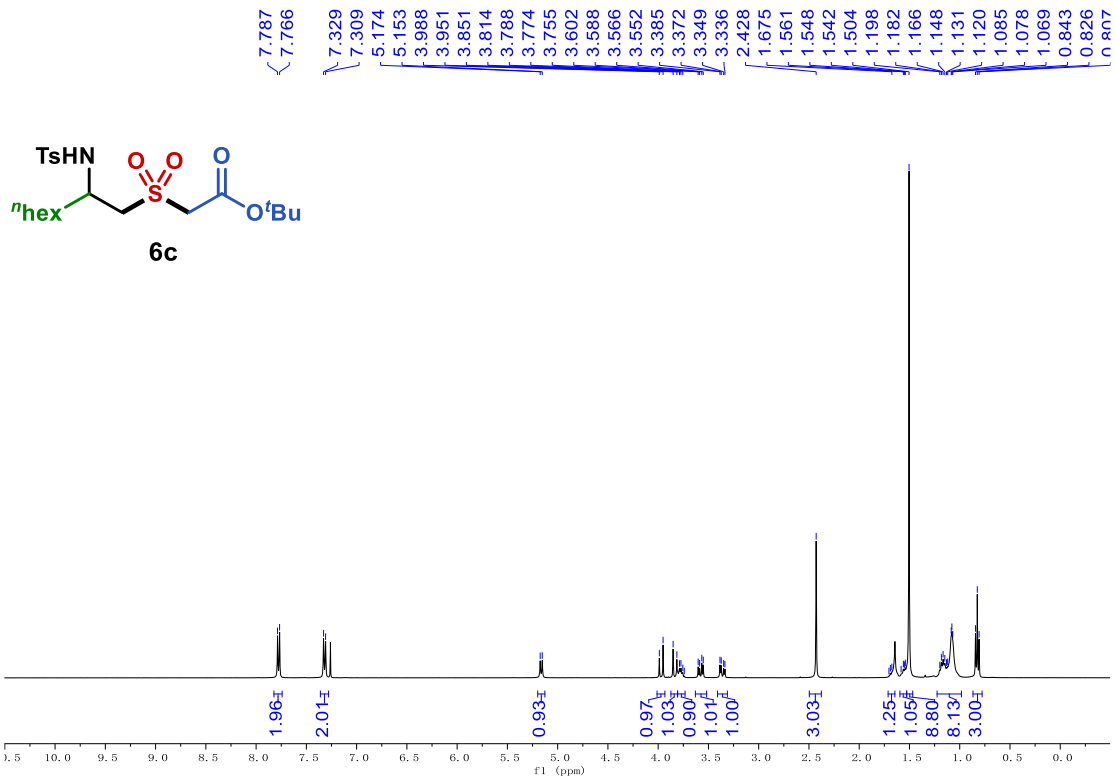


^{13}C NMR (101 MHz, $CDCl_3$)

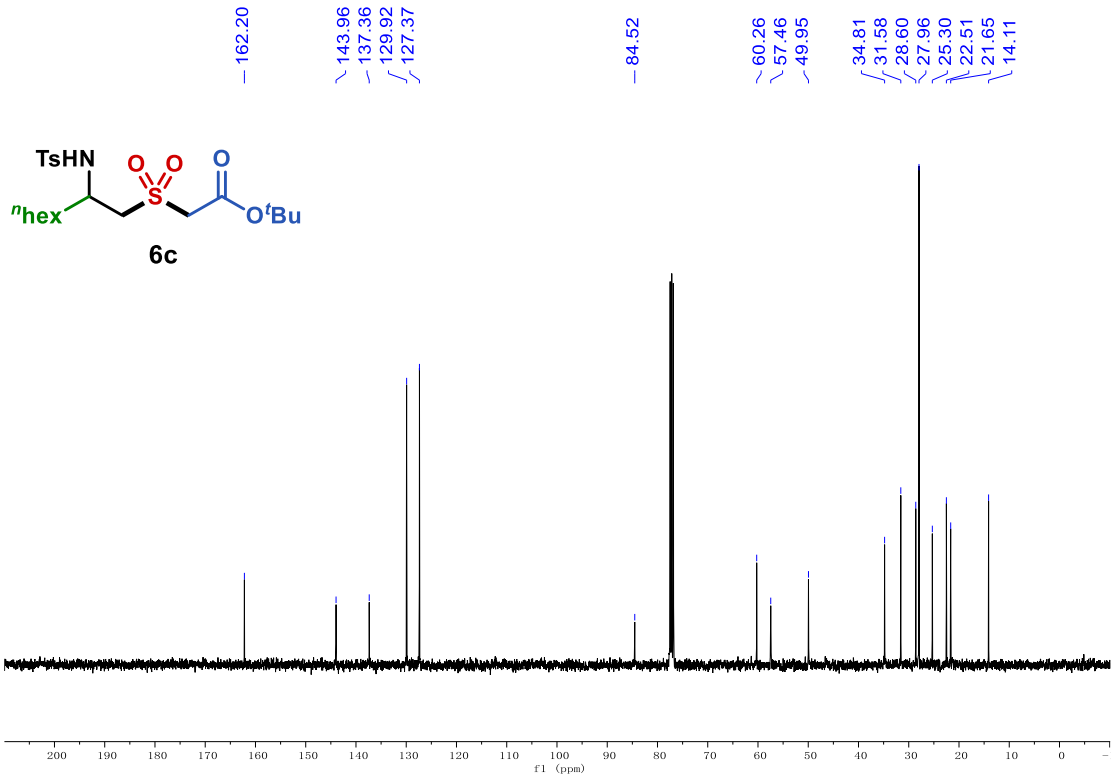


tert-butyl 2-((2-((4-methylphenyl)sulfonamido)octyl)sulfonyl)acetate (6c)

^{1}H NMR (400 MHz, $CDCl_3$)

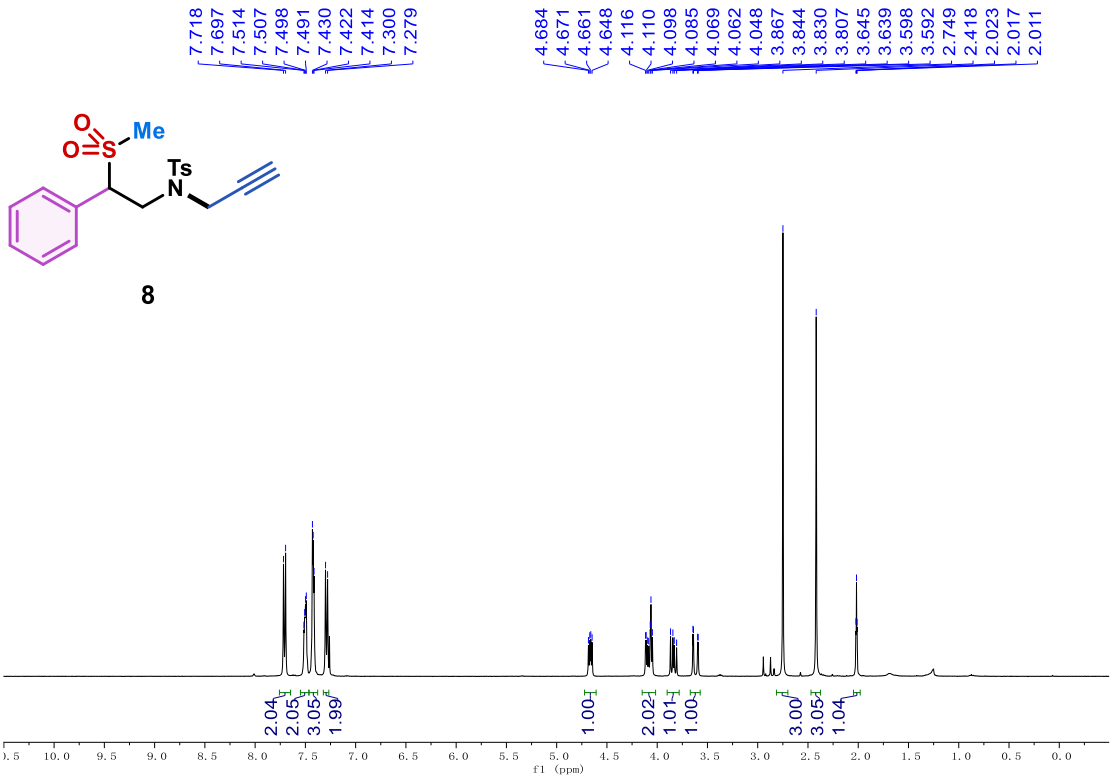


^{13}C NMR (101 MHz, $CDCl_3$)

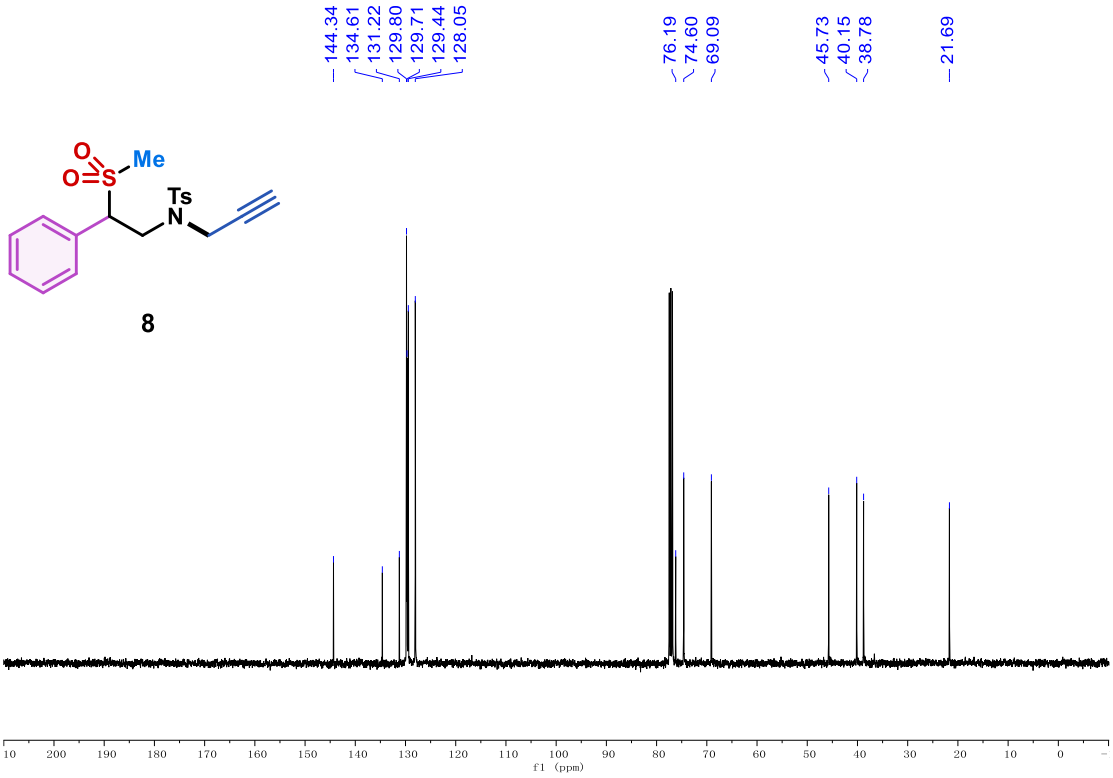


4-methyl-N-(2-(methylsulfonyl)-2-phenylethyl)-N-(prop-2-yn-1-yl)benzenesulfonamide (8)

^{1}H NMR (400 MHz, $CDCl_3$)

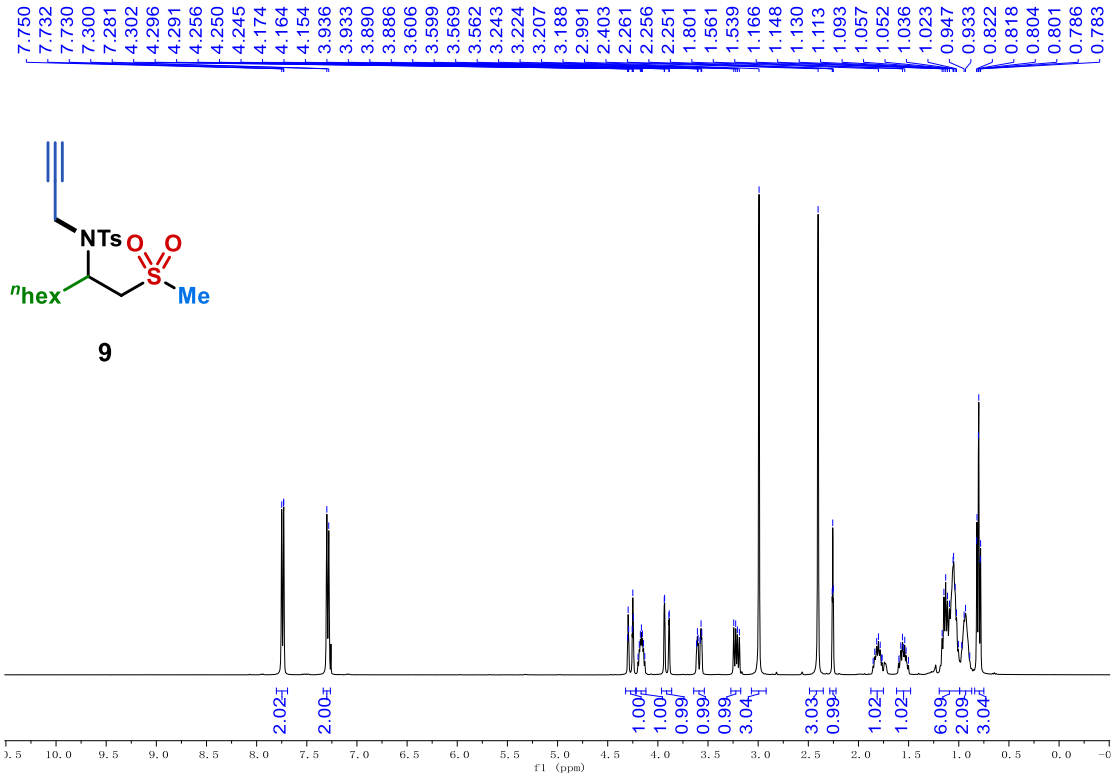


^{13}C NMR (101 MHz, $CDCl_3$)



4-methyl-N-(1-(methylsulfonyl)octan-2-yl)-N-(prop-2-yn-1-yl)benzenesulfonamide (9)

^{1}H NMR (400 MHz, $CDCl_3$)



^{13}C NMR (101 MHz, $CDCl_3$)