Supplementary Information (SI) for Organic Chemistry Frontiers.

Supporting information for

Modular Synthesis of β-Oxygen-containing Sulfones from Alkenes through Hexatungstate-catalyzed Cascade Hydroxysulfenylation/Selective Oxidation

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Experimental procedures and analytical data

1. General information

The alkenes, thiols, H_2O_2, $(NH_4)_6Mo_7O_{24}{\cdot}4H_2O$, $Na_2WO_4.2H_2O$, WO_3, (*n*-Bu)$_4$NBr, $W_{10}O_{32}(TBA)_4$, compound **6**, and all commercial reagents were obtained from Energy Chemical, Sinopharm Chemical Reagent Co., Ltd and TCI, and used without further purification. ^1H, ^{13}C and ^{19}F NMR spectra were recorded on Varian 400 MHz NMR spectrometer using $CDCl_3$ as solvent and TMS as an internal standard. Chemical shifts are reported in parts per million (ppm, δ). Reactions were monitored using thin-layer chromatography (TLC) on commercial silica gel plates (GF 254), and were performed under UV light (254 nm). Liquid chromatography was performed using forced flow (flash chromatography) on silica gel (SiO_2, 200 × 300 Mesh) purchased from Huanghai Chemical Co., Ltd. All the new products were further characterized by high resolution mass spectra (ESI-QTOF). IR spectra were recorded on a Nicolet iS10 FT-IR spectrophotometer (KBr pellets) over the region of 400-4000 cm^{-1}. Gas Chromatography (GC) was tested on Agilent 7890B.

2. General procedure for preparation of polyoxomolybdate catalyst

$$Na_2WO_4.2H_2O + (Bu)_4NBr \xrightarrow[\text{DMF, 100 °C}]{(CH_3CO)_2O,\ HCl} [N(Bu)_4]_2W_6O_{19}$$

A mixture of sodium tungstate dihydrate (16.5 g, 50 mmol), acetic anhydride (20 ml), and *N*,*N*-dimethylformamide (DMF, 15 ml) was heated at 100 °C for 3 h to obtain a white cream. A solution of acetic anhydride (10 ml) and HCl (9 ml, 12 mol/L) in DMF (15 ml) was then added in a drop-wise manner with stirring, and the resulting mixture was filtered to remove the undissolved white solids. A solution of tetrabutylammonium bromide (7.6 g, 23.5 mmol) in methanol (25 ml) was then added to the filtrate with rapid stirring to give a white precipitate, and the resulting suspension was stirred for 5 min and the product subsequently collected by filtration. Recrystallization from hot dimethyl sulfoxide (DMSO) gave the product as colorless solids. (7.7 g, 70%). $[N(Bu)_4]_2[W_6O_{19}]$ (1892): calcd. C 20.31, H 3.84, N 1.48; found C 20.29, H 3.91, N 1.52. IR (KBr pellet): 2964 (s), 2937 (s), 2884 (s), 1634 (m), 1471 (δ CH, m), 1383 (s), 1169 (w), 1105 (w), 1070 (w), 975 (ν WO, s), 884 (ν WO, s), 811 (s), 590 (ν WOW, vs), 450 (s) cm^{-1}. Spectral data were in accordance with the literature.[1]

$$(NH_4)_6Mo_7O_{24}.4H_2O \; + \; (Bu)_4NBr \xrightarrow[\text{rt, 4 h}]{H_2O} [N(Bu)_4]_4Mo_8O_{26}$$

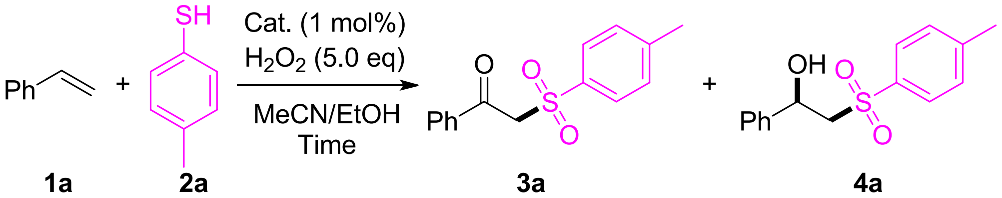
To a round-bottomed flask (500 mL) was added $(NH_4)_6Mo_7O_{24}\cdot 4H_2O$ (18.5g, 15mmol) and H_2O (200 mL), and then a solution of (*n*-Bu)$_4$NBr (17g, 53 mmol) in 100 mL H_2O was added dropwise within 1 hour. Then the resulting mixture was stirred at room temperature for another three hours and filtered to separate the white powder. Subsequently, the powder was recrystallized three times from acetonitrile to obtain analytically pure [**Mo-1**] (17.5 g, 65%, white solid). $[N(Bu)_4]_4[\beta\text{-}Mo_8O_{26}]$ (2168): calcd. C 35.95, H 6.74, N 2.62; found C 35.85, H 6.70, N 2.51. IR (KBr pellet): 2968 (s), 2944 (s), 2876 (s), 1635 (m), 1491 (δ CH, m), 1484(s), 1464(s), 1376 (s), 1152 (w), 1109 (w), 1060 (w), 949 (ν MoO, s), 927 (ν MoO, s), 914 (ν MoO, s), 854 (w), 806 (s), 663 (ν MoOMo, vs), 558 (w) cm^{-1}. Spectral data were in accordance with the literature.[2]

$$(NH_4)_6Mo_7O_{24}.4H_2O \; + \; (Bu)_4NBr \xrightarrow[\text{rt, 4 h}]{\text{pH 3~4}} [N(Bu)_4]_2Mo_6O_{19}$$

To a round-bottomed flask (500 mL) was added $(NH_4)_6Mo_7O_{24}\cdot 4H_2O$ (5.0 g, 4mmol) and H_2O (100 mL), and then a solution of (Bu)$_4$NBr (4.0g, 12 mmol) in 100 mL H_2O was added dropwise within 1 hour. Then, the hydrochloric acid (1M) was added into the muddy solution dropwise under stirring, and the appearance of the precipitates changed from white to yellow. It should be noted that the pH of the solution must be controlled between 3.0 and 4.0. The yellow muddy solution continued to stir for 4 hours. Finally, the yellow precipitates were filtered out, and the resulting yellow solid was placed in the vacuum drying oven at 60 ℃ for 24 h. IR (KBr pellet): 949 (ν Mo=O, νs), 890 (ν Mo=O, νs), 653 (ν Mo-O-Mo, νs), 572 (ν M-O-Mo, w) cm^{-1}. Spectral data were in accordance with the literature.[3]

3. Optimization of reaction conditions

Table S1. Optimization of reaction conditions for **3a**[a]

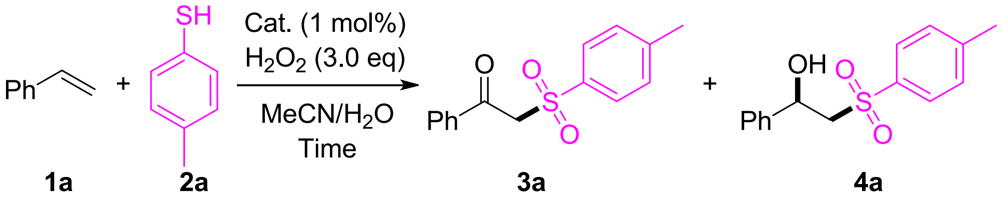


Entry	Catalyst	Oxidant (x equiv.)	Solvent (V/V)	Time (h)	**3a** (%)[b]	**4a** (%)[b]
1	$[Mo_8O_{26}][(Bu)_4N]_4$	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	8	40	9
2	$[Mo_6O_{19}][(Bu)_4N]_2$	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	8	54	15
3	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	8	88	5
4	$[W_{10}O_{32}][(Bu)_4N]_4$	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	8	71	10
5	WO_3	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	8	30	7
6	$Na_2WO_4.2H_2O$	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	8	35	12
7	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (5.0)	MeCN	8	65	17
8	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (5.0)	EtOH	8	36	8
9	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (5.0)	$EtOH/H_2O$ (2/1)	8	78	15
10	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (5.0)	$EtOH/H_2O$ (1/2)	8	80	11
11	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (4.0)	$EtOH/H_2O$ (1/1)	8	72	14
12	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	10	88	6
13[c]	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	8	67	9
14[d]	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	8	80	17
15	$[W_6O_{19}][(Bu)_4N]_2$	O_2	$EtOH/H_2O$ (1/1)	8	trace	-
16	$[W_6O_{19}][(Bu)_4N]_2$	^tBuOOH (5.0)	$EtOH/H_2O$ (1/1)	8	75	10
17	-	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	8	trace	trace
18	WO_3	H_2O_2 (5.0)	$EtOH/H_2O$ (1/1)	24	41	12

[a] Reaction conditions: **1a** (0.2 mmol), **2a** (0.21 mmol), catalyst (1 mol%), oxidant (x equiv.), Solvent (1 mL), 25 °C. [b] Isolated yield. [c] Catalyst (0.5 mol%). [d] Catalyst (1.5

mol%).

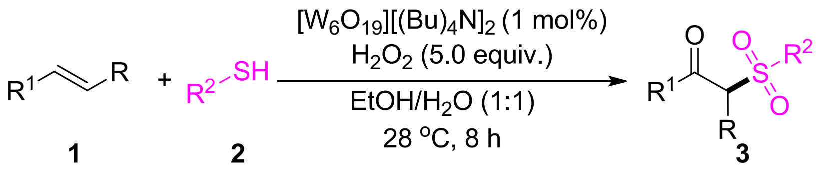
Table S2. Optimization of reaction conditions for **4a**[a]



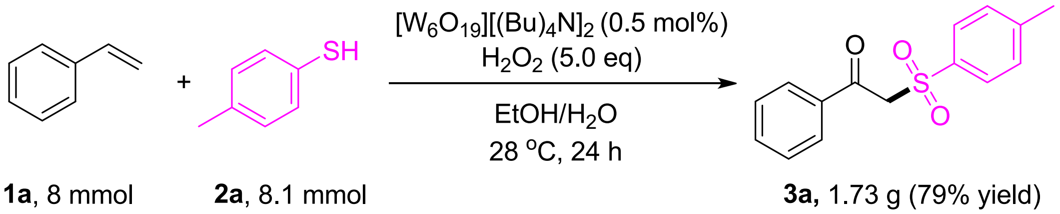
entry	catalyst	oxidant (x equiv.)	solvent (V/V)	time (h)	**3a** (%)[b]	**4a** (%)[b]
1	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (3.0)	$AcOH/H_2O$(1/4)	12	7	80
2	$[W_{10}O_{32}][(Bu)_4N]_4$	H_2O_2 (3.0)	$AcOH/H_2O$(1/4)	12	12	73
3	$[Mo_8O_{26}][(Bu)_4N]_4$	H_2O_2 (3.0)	$AcOH/H_2O$(1/4)	12	16	39
4	$[Mo_6O_{19}][(Bu)_4N]_2$	H_2O_2 (3.0)	$AcOH/H_2O$(1/4)	12	8	45
5	WO_3	H_2O_2 (3.0)	$AcOH/H_2O$(1/4)	12	10	25
6	$Na_2WO_4.2H_2O$	H_2O_2 (3.0)	$AcOH/H_2O$(1/5)	12	14	30
7	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (3.0)	$AcOH/H_2O$(1/3)	12	15	64
8	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (3.0)	$AcOH/H_2O$(1/2)	12	12	50
9	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (4.0)	$AcOH/H_2O$(1/4)	12	27	70
10	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (3.0)	$AcOH/H_2O$(1/4)	10	5	75
11	$[W_6O_{19}][(Bu)_4N]_2$	O_2	$AcOH/H_2O$(1/4)	12	-	20
12	$[W_6O_{19}][(Bu)_4N]_2$	Air	$AcOH/H_2O$(1/4)	12	-	trace
13[c]	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (3.0)	$AcOH/H_2O$(1/4)	12	5	65
14[d]	$[W_6O_{19}][(Bu)_4N]_2$	H_2O_2 (3.0)	$AcOH/H_2O$(1/4)	12	18	72

[a]Reaction conditions: **1a** (0.2 mmol), **2a** (0.21 mmol), catalyst (1 mol%), oxidant (x equiv.), Solvent (1 mL), 25 °C. [b]Isolated yield. [c]Catalyst (0.5 mol%). [d]Catalyst (1.5 mol%).

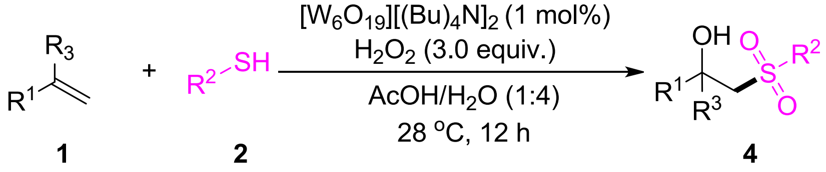
4. General procedure for oxysulfonylation of alkenes with thiols and hydrogen peroxide



0.2 mmol scale: In a 10 mL tube was added **1** (0.2 mmol), **2** (0.2 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (3.8mg, 1 mol%), H_2O_2 (115 mg, 30 wt%), EtOH/H_2O (1 mL, V/V=1:1). The reaction mixture was stirred at 28 °C for 8 h. Then the resulting mixture was evaporated under reduced pressure and purified by flash chromatography to afford the desired product.

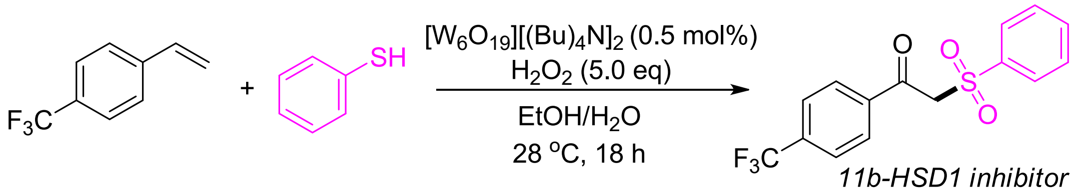


8 mmol scale: In a 10 mL tube was added **1a** (0.85 g, 8 mmol), **2a** (1.0 g, 8.1 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (70 mg, 0.5 mol%), H_2O_2 (4600 mg, 30 wt%), EtOH/H_2O (10 mL, V/V=1:1). The reaction mixture was stirred at 28 °C for 24 h. Then the resulting mixture was evaporated under reduced pressure and purified by flash chromatography to afford the desired product (1.73 g, 79%).



0.2 mmol scale: In a 10 mL tube was added **1** (0.2 mmol), **2** (0.21 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (3.8 mg, 1 mol%), H_2O_2 (70 mg, 30 wt%), AcOH/H_2O (1 mL, V/V=1:4). The reaction mixture was stirred at 28 °C for 12 h. Then the resulting mixture was evaporated under reduced pressure and purified by flash chromatography to afford the desired product.

5. General procedure for synthesis of compound 5

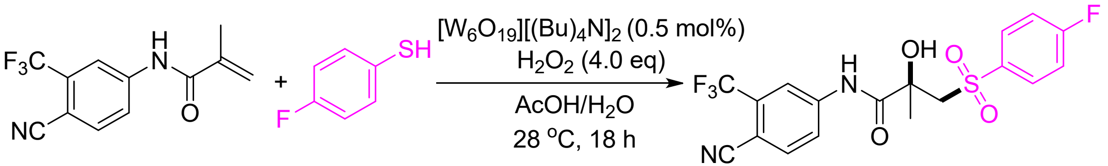


11b-HSD1 inhibitor

1i, 5 mmol **2b**, 5.1 mmol **5,** 1.0 g (61% yield)

In a 20 mL tube was added **1i** (0.86g, 5 mmol), **2b** (0.56g, 5.1mmol), $[W_6O_{19}][(Bu)_4N]_2$ (48 mg, 0.5 mol%), H_2O_2 (2800 mg, 30 wt%), EtOH/H_2O (10 mL, V/V=1:1). The reaction mixture was stirred at 28 °C for 18 h. Then the resulting mixture was evaporated under reduced pressure and purified by flash chromatography to afford the desired product.

6. General procedure for synthesis of compound 7



Bicalutamide

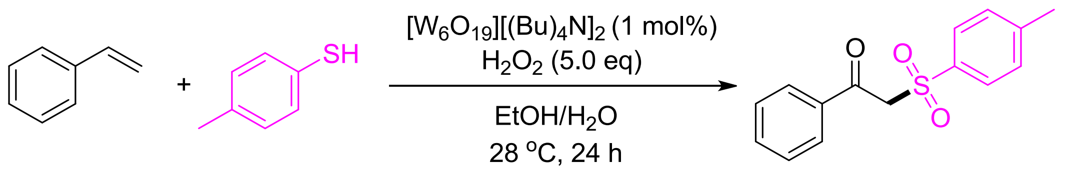
6, 3 mmol **2c**, 3.1 mmol **7,** 0.98 g (76% yield)

In a 20 mL tube was added **6** (0.76g, 3 mmol), **2c** (0.4 g, 3.1mmol), $[W_6O_{19}][(Bu)_4N]_2$ (29 mg, 0.5 mol%), H_2O_2 (1380 mg, 30 wt%), AcOH/H_2O (5 mL, V/V=1:4). The reaction mixture was stirred at 28 °C for 18 h. Then the resulting mixture was evaporated under reduced pressure and purified by flash chromatography to afford the desired product.

7. Recycling and reuse of catalyst

A 10 mL tube was charged with **1a** (5 mmol), **2a** (5 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (95 mg), H_2O_2 (1.75 g), EtOH/H_2O (10 mL, V/V=1:1). The reaction mixture was stirred at 28 °C for 12 h. In each run, the resulting mixture was evaporated under reduced pressure, and then washed thoroughly with ethyl acetate, and dried under vacuum. Subsequently, the dried catalyst was used further, without any purification or reactivation. The washing liquid was evaporated under vacuum, and the residue was purified by column chromatography.

Table S3. Recycling of $[W_6O_{19}][(Bu)_4N]_2$ catalyst

<table>
<tr><td colspan="6">
</td></tr>
<tr><td>Run</td><td>1st</td><td>2nd</td><td>3rd</td><td>4th</td><td>5th</td></tr>
<tr><td>Yield (%)</td><td>88</td><td>83</td><td>80</td><td>75</td><td>72</td></tr>
</table>

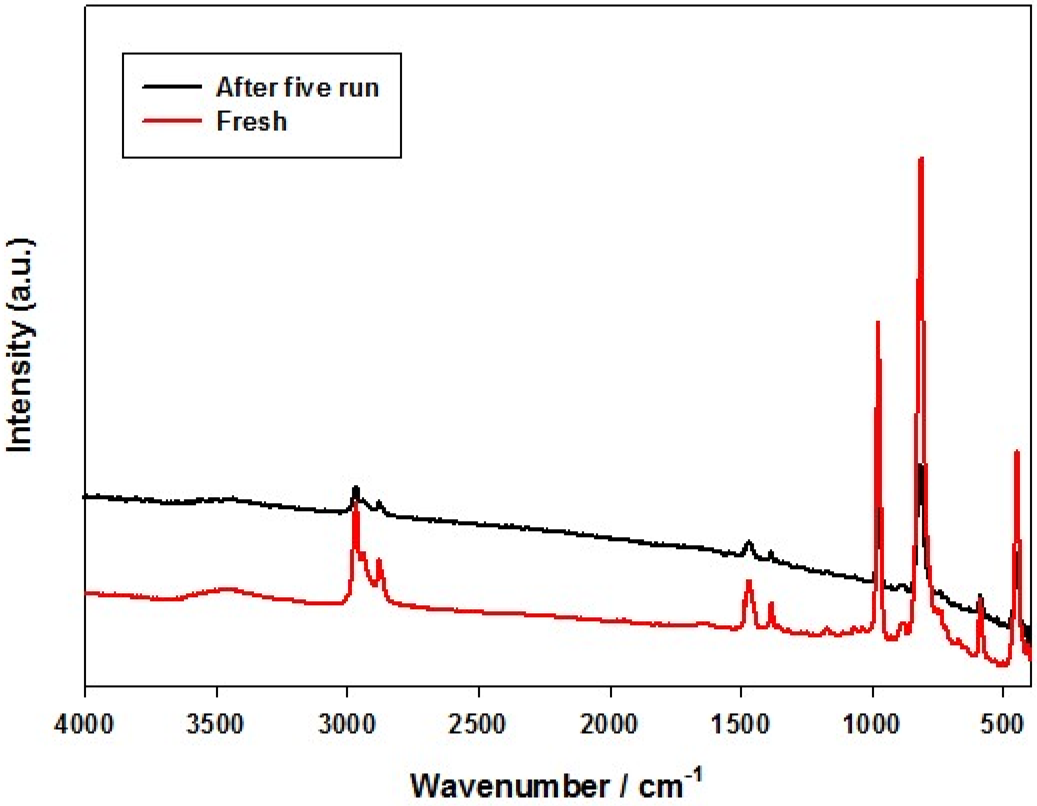
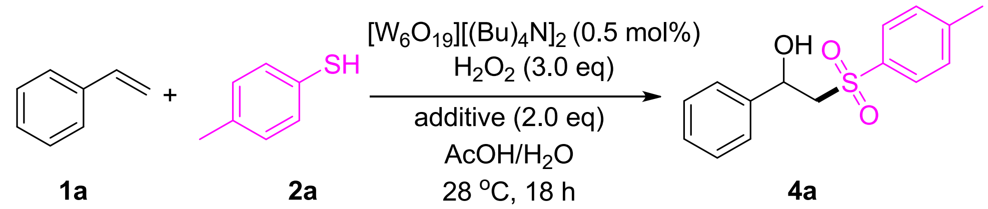
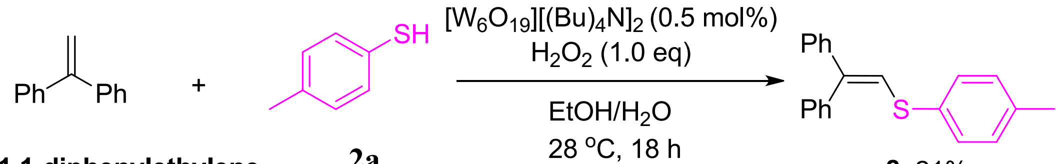


Figure S1. IR spectrums of the $[W_6O_{19}][(Bu)_4N]_2$ catalyst

8. Radical intermediate trap reaction



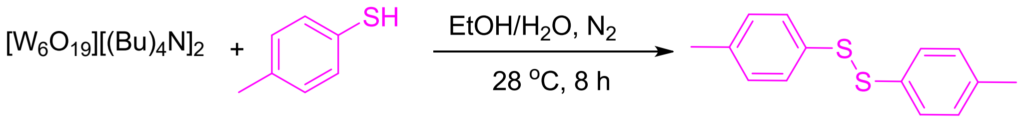
1a (0.2 mmol), **2a** (0.21 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (3.8 mg, 1 mol%), additive (2 equiv.), H_2O_2 (70 mg, 30 wt%), AcOH/H_2O (1 mL, V/V=1:4) were added to a tube (10 mL) under air atmosphere. The resulting mixture was stirred at 25 °C for 12 h. After completion, the solvent was removed under reduced pressure and the resulting crude compound was tested by ^1H NMR.



1,1-diphenylethylene **2a** **8**, 21%

2a (0.2 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (3.8 mg, 1 mol%), 1,1-Diphenylethylene (0.2 mmol), and H_2O_2 (12 mg, 30 wt%), EtOH/H_2O (1 mL, V/V=1:1) were added to a tube (10 mL) under air atmosphere.. The resulting mixture was stirred at 28 °C for 12 h. After completion, the solvent was removed under reduced pressure and the residue was purified by silica gel column chromatography with petroleum ether (60~90 °C b.p.) and ethyl acetate as the eluent (PE/EA=20:1, V/V) to afford the compound **8** in 21% yield (White solid, 17.5 mg).

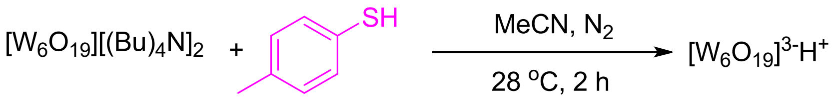
9. Control experiment under N_2 atmosphere



0.1 mmol **2a**, 0.3 mmol **9**, 28 mg (76%)

2a (38 mg, 0.3 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (189 mg, 0.1 mmol), and EtOH/H_2O (1.0 mL) were added to a tube (10 mL) under N_2 atmosphere. The resulting mixture was stirred at 28 °C for 8 h. After completion, the resulting mixture was evaporated under reduced pressure, and the residue was purified by column chromatography to give **9** in 76% yield .

10. Preparation and characterization of $[W_6O_{19}]^{3-}H^+$ species



0.1 mmol **2a**, 0.1 mmol

2a (13 mg, 0.1 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (189 mg, 0.1 mmol), and MeCN (2.0 mL) were added to a tube (10 mL) under N_2 atmosphere. The resulting mixture was stirred at 28 °C for 2 h.

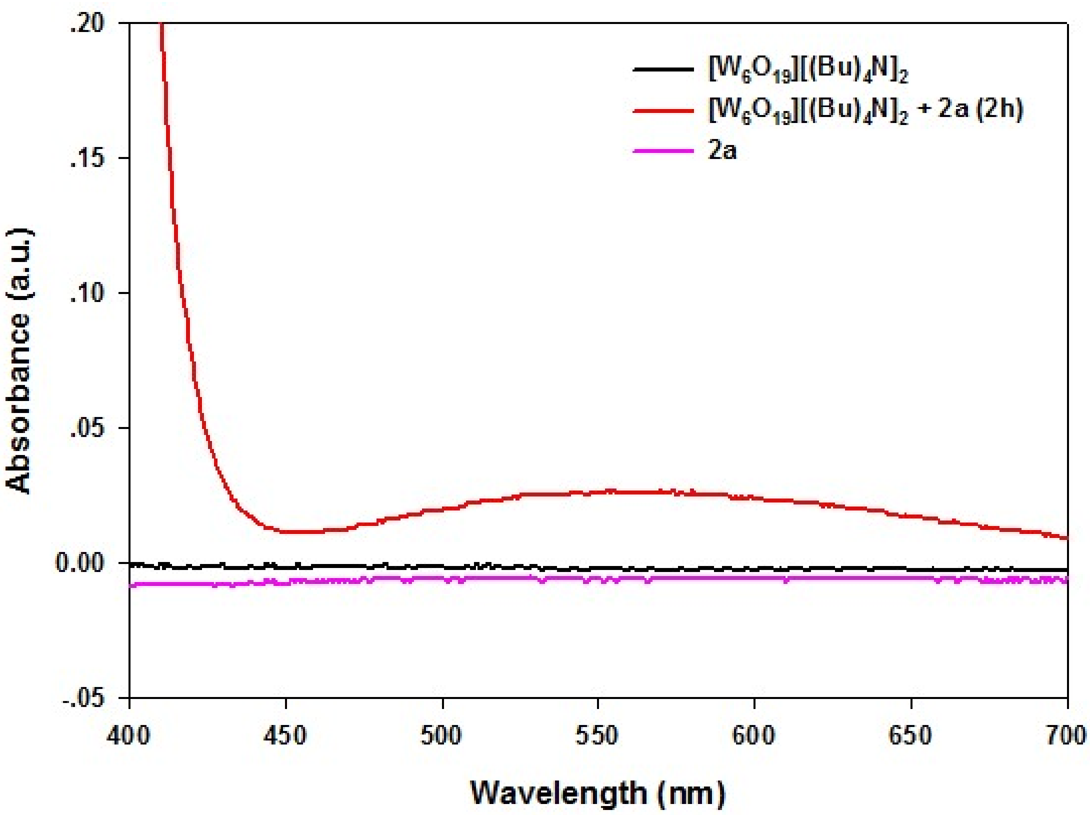
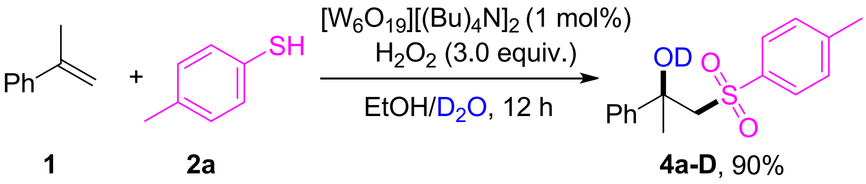


Figure S2. UV-visible spectra of the complexes in MeCN solution, and the concentration is about 0.001 mmol/mL (based on$[W_6O_{19}][(Bu)_4N]_2$). All the test conditions are in N_2 atmosphere.

11. Deuterium labeling experiment



In a 10 mL tube was added **1** (0.2 mmol), **2a** (0.2 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (3.8 mg), H_2O_2 (70 mg), EtOH/D_2O (1 mL, V/V=1:1). The reaction mixture was stirred at 28 °C for 12 h. Then the resulting mixture was evaporated under reduced pressure and purified by flash chromatography to afford the desired product.

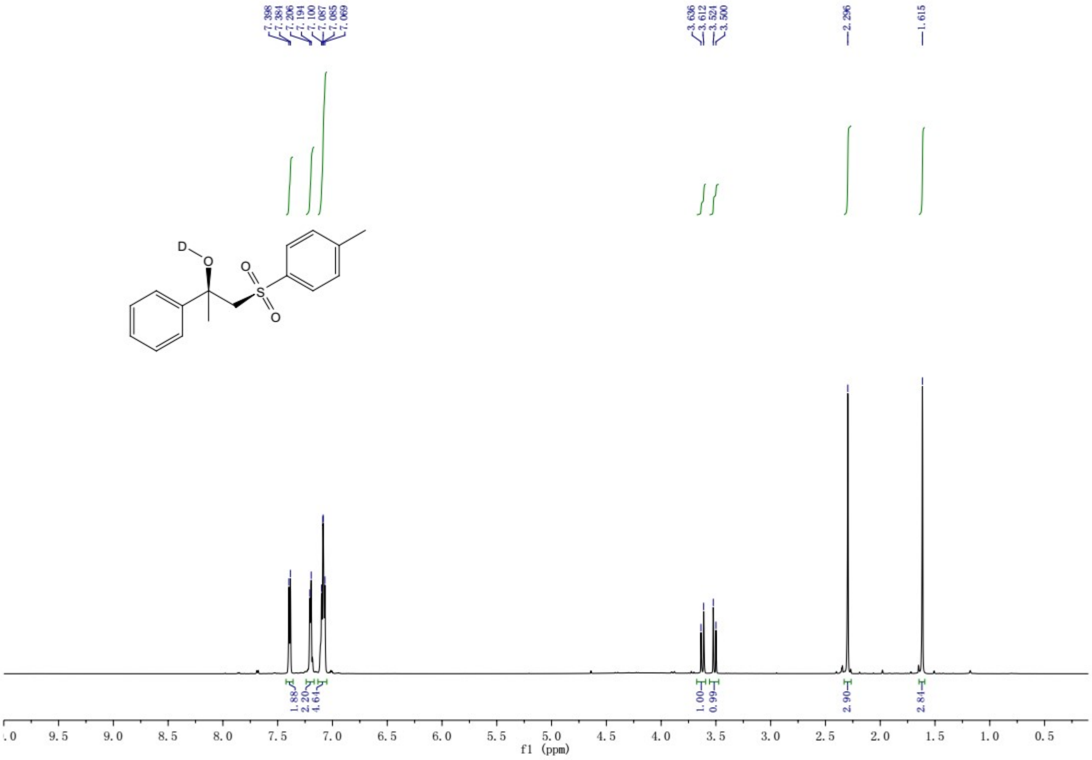
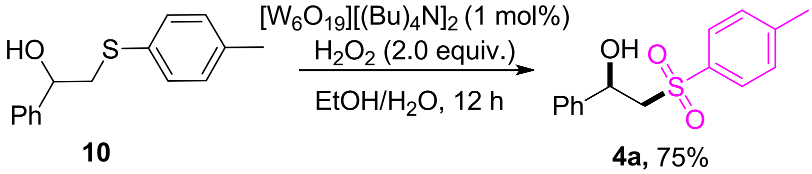


Figure S3. ^{1}H NMR spectra of the compound **4a-D**

12. Oxidation of *β*-hydroxysulfide



In a 10 mL tube was added **10** (0.2 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (3.8 mg), H_2O_2 (46 mg), $EtOH/H_2O$ (1 mL, V/V=1:1). The reaction mixture was stirred at 28 °C for 12 h. Then the resulting mixture was evaporated under reduced pressure and purified by flash chromatography to afford the desired product.

13. Kinetic profile of 1a with 2a and H_2O_2 under standard conditions

In a 10 mL tube was added **1** (0.2 mmol), **2** (0.21 mmol), $[W_6O_{19}][(Bu)_4N]_2$ (3.8mg, 1 mol%), H_2O_2 (115 mg, 30 wt%), $EtOH/H_2O$ (1 mL, V/V=1:1). The reaction mixture was stirred at 28 °C for 8 h. Then the resulting mixture was monitored by Gas Chromatography (GC).

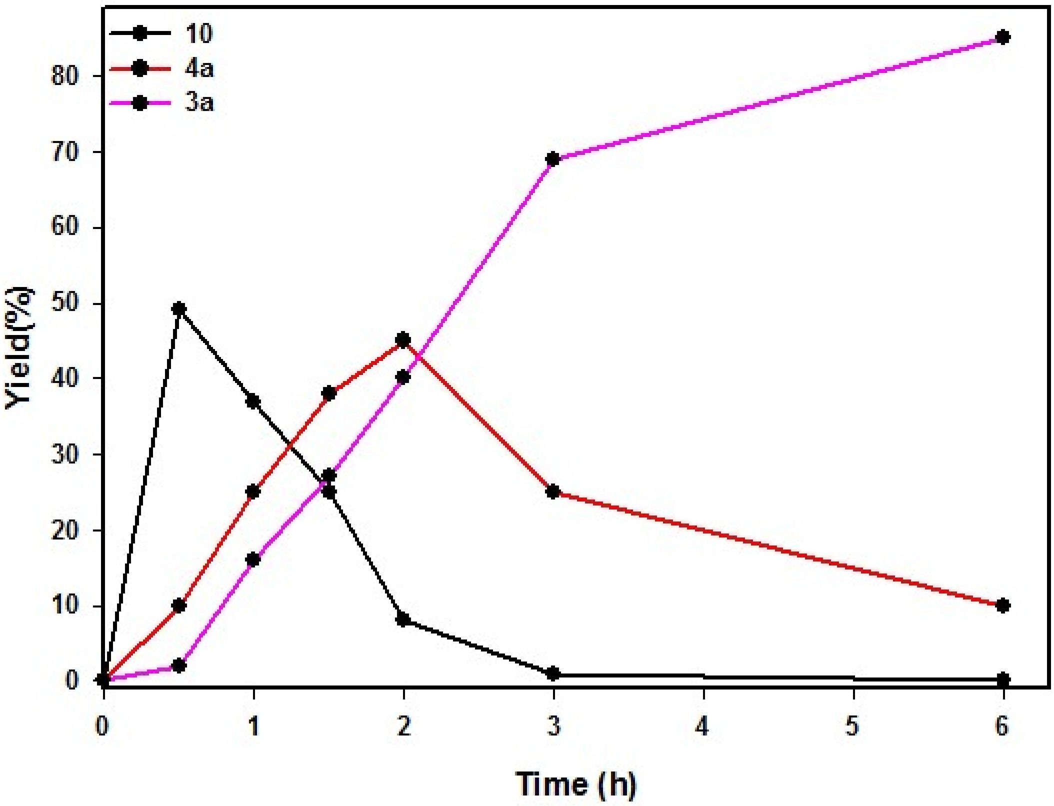
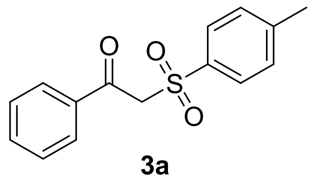


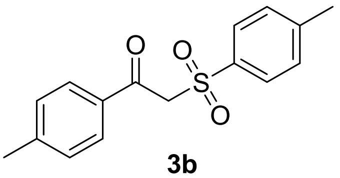
Figure S4. Kinetic profile of **1a** with **2a** and H_2O_2

14. Experimental characterization



3a

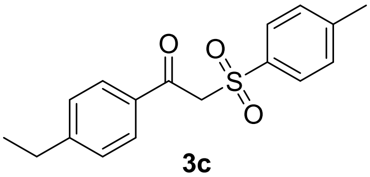
1-phenyl-2-tosylethanone (**3a**). White solid, yield 48.2 mg (88%); TLC (petroleum ether: AcOEt=3:1), $R_f = 0.40$. ^1H NMR (400 MHz, $CDCl_3$): δ (ppm) = 7.79 (d, *J*=7.2 Hz, 2H), 7.71 (d, *J*=8.0 Hz, 2H), 7.54-7.58 (m, 1H), 7.40-7.44 (m, 2H), 7.27 (d, *J*=8.0 Hz, 2H), 4.70 (s, 2H), 2.38 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 188.2, 145.3, 135.8, 135.7, 134.3, 129.8, 129.3, 128.8, 128.5, 63.4, 21.7. Spectral data were in accordance with the literature.[4]



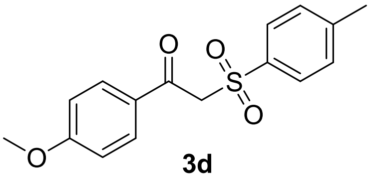
3b

1-(p-tolyl)-2-tosylethanone (**3b**). White solid, yield 49.0

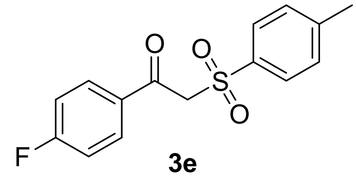
mg (85%); TLC (petroleum ether: AcOEt=3:1), R$_f$ = 0.41. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) =7.88 (d, J=8.0 Hz, 2H), 7.72 (d, J=8.0 Hz, 2H), 7.27 (d, J=8.0 Hz, 2H), 7.21 (d, J=8.0 Hz, 2H), 4.65 (s, 2H), 2.38 (s, 3H), 2.37 (s, 3H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 187.6, 145.5, 145.2, 135.8, 133.3, 129.8, 129.5, 129.4, 128.5, 63.4, 21.7, 21.6. Spectral data were in accordance with the literature.[5]


3c

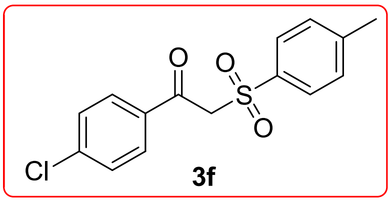
1-(4-ethylphenyl)-2-tosylethanone (**3c**). White solid, yield 51.9 mg (86%); TLC (petroleum ether: AcOEt=3:1), R$_f$ = 0.43. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) = 7.80 (d, J=8.0 Hz, 2H), 7.70 (d, J=8.0 Hz, 2H), 7.22-7.27 (m, 4H), 4.66 (s, 2H), 2.64 (q, J=7.6 Hz, 2H), 2.37 (s, 3H), 1.19 (t, J=7.6 Hz, 3H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 187.7, 151.5, 145.2, 135.8, 133.5, 129.8, 129.5, 128.5, 128.3, 63.4, 28.9, 21.7, 15.0. Spectral data were in accordance with the literature.[6]


3d

1-(p-tolyl)-2-tosylethanone (**3d**). White solid, yield 43.8 mg (72%); TLC (petroleum ether: AcOEt=2:1), R$_f$ = 0.35. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) =7.87 (d, J=7.2 Hz, 2H), 7.70 (d, J=6.4 Hz, 2H), 7.27 (d, J=5.6 Hz, 2H), 6.88 (d, J=7.2 Hz, 2H), 4.62 (s, 2H), 3.82 (s, 3H), 2.38 (s, 3H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 186.3, 164.5, 148.7, 145.2, 131.9, 129.8, 129.4, 128.5, 114.0, 63.4, 55.6, 21.7. Spectral data were in accordance with the literature.[5]

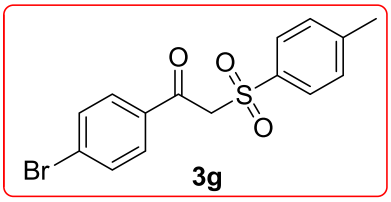

3e

1-(4-fluorophenyl)-2-tosylethanone (**3e**). White solid, yield 50.2 mg (86%); TLC (petroleum ether: AcOEt=3:1), R$_f$ = 0.35. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) =7.95 (d, J=8.4 Hz, 2H), 7.70 (d, J=8.0 Hz, 2H), 7.29 (d, *J*=8.0 Hz, 2H), 6.10 (d, *J*=8.8 Hz, 2H), 4.67 (s, 2H), 2.39 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 186.6, 166.3 (d, *J*=256 Hz), 145.5, 135.6, 132.3, 132.2, 129.9, 128.5, 116.0 (d, *J*=22 Hz), 63.6, 21.7; ^{19}F NMR (376 MHz, $CDCl_3$): δ (ppm) = -102.6. Spectral data were in accordance with the literature.[5]



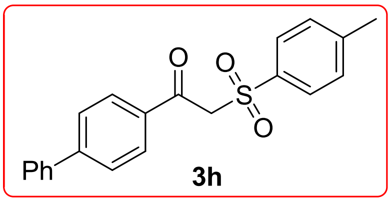
3f

1-(4-chlorophenyl)-2-tosylethanone (**3f**). White solid, yield 52.4 mg (85%); TLC (petroleum ether: AcOEt=3:1), R_f = 0.45. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) =7.82 (d, *J*=8.4 Hz, 2H), 7.67 (d, *J*=8.4 Hz, 2H), 7.36 (d, *J*=8.4 Hz, 2H), 7.26 (d, *J*=8.0 Hz, 2H), 4.64 (s, 2H), 2.37 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 187.0, 145.5, 140.9, 135.5, 134.0, 130.7, 129.8, 129.1, 128.5, 63.6, 21.7. Spectral data were in accordance with the literature.[5]



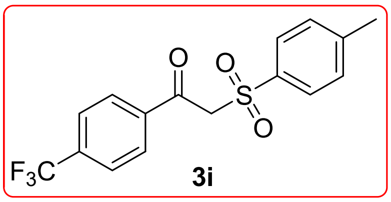
3g

1-(4-bromophenyl)-2-tosylethanone (**3g**). White solid, yield 56.3 mg (80%); TLC (petroleum ether: AcOEt=3:1), R_f = 0.41. ^{1}H NMR (600 MHz, $CDCl_3$): δ (ppm) =7.81 (d, *J*=8.4 Hz, 2H), 7.73 (d, *J*=7.8 Hz, 2H), 7.62 (d, *J*=8.4 Hz, 2H), 7.33 (d, *J*=7.8 Hz, 2H), 4.67 (s, 2H), 2.45 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$): δ (ppm) = 187.3, 145.6, 135.5, 134.5, 132.3, 130.8, 130.0, 129.4, 128.6, 63.7, 21.5. Spectral data were in accordance with the literature.[5]

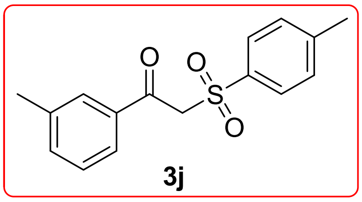


3h

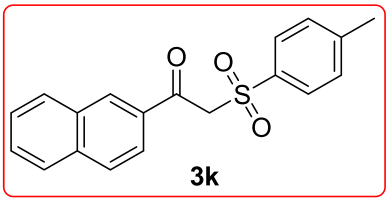
1-([1,1'-biphenyl]-4-yl)-2-tosylethanone (**3h**). White solid, yield 49.0 mg (70%); TLC (petroleum ether: AcOEt=3.5:1), R_f = 0.45. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) =7.97 (d, *J*=8.0 Hz, 2H), 7.74 (d, *J*=7.2 Hz, 2H), 7.63 (d, *J*=7.6 Hz, 2H), 7.56 (d, *J*=7.2 Hz, 2H), 7.37-7.45 (m, 3H), 7.28 (d, *J*=8.0 Hz, 2H), 4.72 (s, 2H), 2.38 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 187.7, 146.9, 145.3, 139.3, 135.8, 134.4, 130.0, 129.9, 129.8, 129.0, 128.6, 127.3, 127.2, 63.6, 21.7. Spectral data were in accordance with the literature.[7]



2-tosyl-1-(4-(trifluoromethyl)phenyl)ethanone(**3i**). Light yellow solid, yield 49.2 mg (72%); TLC (petroleum ether: AcOEt=4:1), R_f = 0.50. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) =8.05 (d, *J*=8.0 Hz, 2H), 7.71-7.74 (m, 4H), 7.32 (d, *J*=8.0 Hz, 2H), 4.75 (s, 2H), 2.43 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 187.5, 145.7, 138.3, 135.4, 130.0, 129.9, 129.7, 129.0, 128.6, 125.8 (q, *J*=4 Hz), 63.8, 21.7; ^{19}F NMR (376 MHz, $CDCl_3$): δ (ppm) = -63.3. Spectral data were in accordance with the literature.[7]

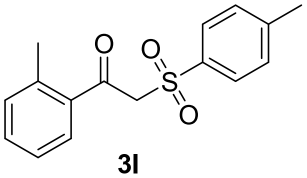


1-(m-tolyl)-2-tosylethanone (**3j**). White solid, yield 48.9 mg (85%); TLC (petroleum ether: AcOEt=3:1), R_f = 0.42. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) =7.67 (d, *J*=8.0 Hz, 2H), 7.60-7.74 (m, 2H), 7.30 (d, *J*=7.6 Hz, 1H), 7.21-7.26 (m, 3H), 4.65 (s, 2H), 2.32 (s, 3H), 2.28 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 188.3, 145.2, 138.6, 135.8, 135.7, 135.0, 129.7, 129.6, 128.6, 128.5, 126.5, 63.4, 21.6, 21.2. Spectral data were in accordance with the literature.[8]

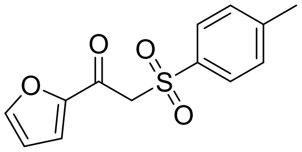


1-(naphthalen-2-yl)-2-tosylethanone (**3k**). White solid, yield 57.0 mg (87%); TLC (petroleum ether: AcOEt=5:1), R_f = 0.37. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) =8.44 (s, 1H), 7.95 (d, *J*=8.4 Hz, 2H), 7.87 (d, *J*=10.0 Hz, 2H), 7.77 (d, *J*=8.4 Hz, 2H), 7.55-7.65 (m, 2H), 7.30 (d, *J*=8.4 Hz, 2H), 4.85 (s, 2H), 2.39 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 188.0, 145.3, 135.9, 135.6, 133.0, 132.2, 132.1, 129.9, 129.8, 129.3, 128.7, 128.6, 127.7, 127.1, 123.9, 63.7, 21.6.

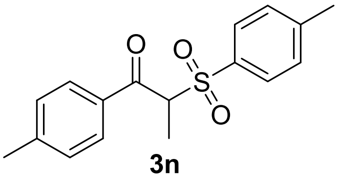
Spectral data were in accordance with the literature.[7]


3l

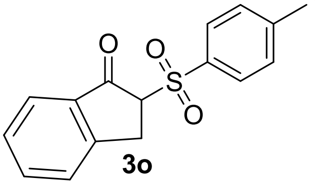
1-(o-tolyl)-2-tosylethanone (**3l**). White solid, yield 46.1 mg (80%); TLC (petroleum ether: AcOEt=3:1), $R_f = 0.42$. ^1H NMR (400 MHz, $CDCl_3$): δ (ppm) = 7.65-7.70 (m, 3H), 7.36 (t, *J*=7.2 Hz, 1H), 7.27 (d, *J*=8.0 Hz, 2H), 7.18-7.23 (m, 2H), 4.65 (s, 2H), 2.38 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 190.5, 145.2, 139.9, 135.9, 135.7, 132.7, 132.3, 130.4, 129.8, 128.4, 125.9, 65.5, 21.7, 21.5. Spectral data were in accordance with the literature.[9]


3m

1-(furan-2-yl)-2-tosylethanone (**3m**). White solid, yield 33.8 mg (64%); TLC (petroleum ether: AcOEt=2.5:1), $R_f = 0.30$. ^1H NMR (400 MHz, $CDCl_3$): δ (ppm) = 7.75 (d, *J*=8.0 Hz, 2H), 7.61 (s, 1H), 7.32-7.33 (m, 3H), 6.57 (d, *J*=1.6 Hz, 1H), 4.56 (s, 2H), 2.43 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 175.8, 151.8, 148.1, 145.4, 135.6, 129.8, 128.5, 120.4, 113.2, 63.6, 21.7. Spectral data were in accordance with the literature.[10]

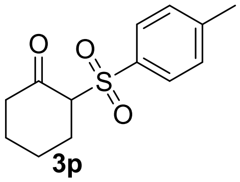

3n

1-(p-tolyl)-2-tosylpropan-1-one (**3n**). Colorless oil, yield 24.8 mg (41%); TLC (petroleum ether: AcOEt=3:1), $R_f = 0.45$. ^1H NMR (400 MHz, $CDCl_3$): δ (ppm) = 7.84 (d, *J*=8.0 Hz, 2H), 7.60 (d, *J*=8.4 Hz, 2H), 7.23-7.28 (m, 4H), 5.09 (q, *J*=6.8 Hz, 1H), 2.41 (s, 3H), 2.38 (s, 3H), 1.50 (d, *J*=6.8 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 192.0, 145.2, 144.6, 137.6, 133.7, 132.9, 129.0, 129.4, 129.3, 64.8, 21.7, 21.6, 13.2. Spectral data were in accordance with the literature.[11]



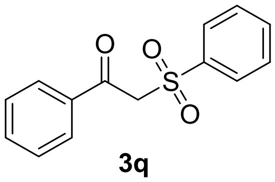
3o

2-tosyl-2,3-dihydro-1H-inden-1-one (**3o**). White solid, yield 34.3 mg (60%); TLC (petroleum ether: AcOEt=2.5:1), R$_f$ = 0.35. ^{1}H NMR (600 MHz, CDCl$_3$): δ (ppm) = 7.79 (d, *J*=7.8 Hz, 2H), 7.71 (d, *J*=7.8 Hz, 1H), 7.61 (d, *J*=7.8 Hz, 1H), 7.49 (d, *J*=8.4 Hz, 1H), 7.35-7.39 (m, 3H), 4.25-4.27 (m, 1H), 3.80 (d, *J*=1.8 Hz, 1H), 3.53 (q, *J*=8.4 Hz, 1H), 2.44 (s, 3H); ^{13}C NMR (151 MHz, CDCl$_3$): δ (ppm) = 194.7, 151.9, 145.4, 135.9, 135.8, 129.8, 129.3, 128.2, 126.4, 124.9, 68.8, 28.2, 21.8. Spectral data were in accordance with the literature.[7]



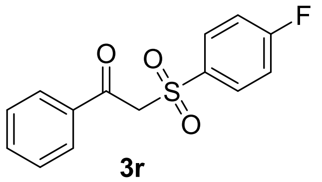
3p

2-tosylcyclohexanone (**3p**). Colorless oil, yield 16.1 mg (31%); TLC (petroleum ether: AcOEt=2.5:1), R$_f$ = 0.4. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) = 7.77 (d, *J*=8.0 Hz, 2H), 7.29 (d, *J*=8.8 Hz, 2H), 3.77-3.81 (m, 1H), 2.71-2.77 (m, 1H), 2.46-2.49 (m, 1H), 2.35-2.39 (m, 4H), 2.11-2.18 (m, 2H), 1.94-1.96 (m, 1H),, 1.69-1.77 (m, 2H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 202.4, 145.1, 135.1, 129.9, 128.9, 72.7, 41.6, 21.8, 27.5, 26.4, 21.9, 21.7. Spectral data were in accordance with the literature.[12]



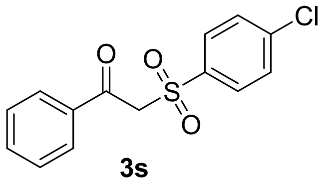
3q

1-phenyl-2-(phenylsulfonyl)ethanone (**3q**). White solid, yield 46.8 mg (90%); TLC (petroleum ether: AcOEt=5:1), R$_f$ = 0.45. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) = 7.85-7.90 (m, 4H), 7.59-7.61 (m, 2H), 7.49 (d, *J*=5.6 Hz, 2H), 7.42 (d, *J*=5.6 Hz, 2H), 4.75 (s, 2H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 188.0, 138.7, 135.6, 134.3, 134.2, 129.2, 129.19, 128.8, 128.5, 63.3. Spectral data were in accordance with the literature.[4]



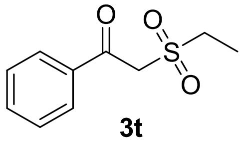
3r

1-(4-fluorophenyl)-2-tosylethanone (**3r**). Light yellow solid, yield 47.3 mg (85%); TLC (petroleum ether: AcOEt=3:1), R$_f$ = 0.37. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) =7.86-7.89 (m, 4H), 7.58 (t, *J*=7.2 Hz, 1H), 7.42-7.46 (m, 2H), 7.14-7.16 (m, 2H), 4.71 (s, 2H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 188.0, 166.0 (d, *J*=256 Hz), 135.5, 134.5, 131.7, 131.6, 129.2, 128.9, 116.4 (d, *J*=22 Hz), 63.3; ^{19}F NMR (376 MHz, CDCl$_3$): δ (ppm) = -102.4. Spectral data were in accordance with the literature.[4]



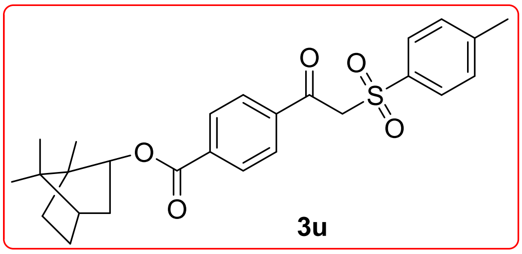
3s

2-((4-chlorophenyl)sulfonyl)-1-phenylethanone (**3s**). Light yellow solid, yield 52.9 mg (90%); TLC (petroleum ether: AcOEt=3:1), R$_f$ = 0.42. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) = 7.92 (d, *J*=7.2 Hz, 2H), 7.82 (d, *J*=8.8 Hz, 2H), 7.62 (t, *J*=7.2 Hz, 1H), 7.46-7.51 (m, 4H), 4.75 (s, 2H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 187.9, 141.0, 137.0, 135.5, 134.5, 130.1, 129.5, 129.2, 128.9, 63.2. Spectral data were in accordance with the literature.[4]



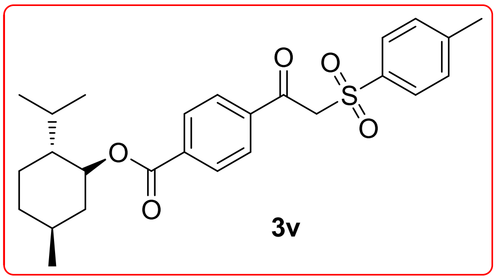
3t

2-(ethylsulfonyl)-1-phenylethanone (**3t**). Colorless oil, yield 19.1 mg (45%); TLC (petroleum ether: AcOEt=2:1), R$_f$ = 0.30. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) = 8.01 (d, *J*=7.6 Hz, 2H), 7.65 (t, *J*=7.6 Hz, 1H), 7.52 (t, *J*=7.6 Hz, 2H), 4.57 (s, 2H), 3.28 (q, *J*=7.6 Hz, 2H), 3.28 (t, *J*=7.6 Hz, 3H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 189.2, 135.7, 134.6, 129.3, 128.9, 58.7, 48.2, 6.7. Spectral data were in accordance with the literature.[7]



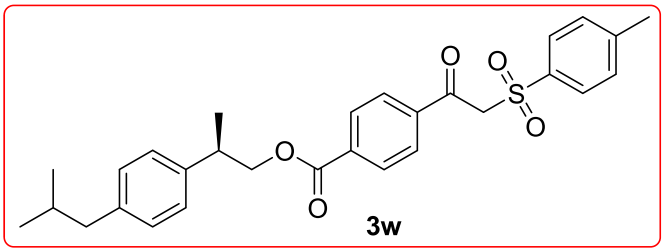
3u

1,7,7-trimethylbicyclo[2.2.1]heptan-2-yl 4-(2-tosylacetyl)benzoate (**3u**). White solid, yield 68.6 mg (75%); TLC (petroleum ether: AcOEt=5:1), R_f = 0.55. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) = 8.11 (d, *J*=8.0 Hz, 2H), 7.99 (d, *J*=8.4 Hz, 2H), 7.73 (d, *J*=8.0 Hz, 2H), 7.31 (d, *J*=8.0 Hz, 2H), 5.12 (d, *J*=9.6 Hz, 1H), 4.75 (s, 2H), 2.45-2.47 (m, 1H), 2.42 (s, 3H), 2.05-2.08 (m, 1H), 1.74-1.80 (m, 2H), 1.39-1.44 (m, 1H), 1.24-1.30 (m, 1H), 1.09-1.12 (m, 1H), 0.95 (s, 3H), 0.90 (s, 6H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 187.9, 165.6, 145.5, 138.6, 135.6, 135.5, 129.9, 129.8, 129.2, 128.5, 81.3, 63.8, 49.1, 47.9, 44.9, 36.8, 28.1, 27.3, 21.7, 19.7, 18.9, 13.6. HRMS (ESI-QTOF) Calcd for $C_{26}H_{30}O_5SNa$, $[M+Na]^+$ 477.1706; Found 477.1703.



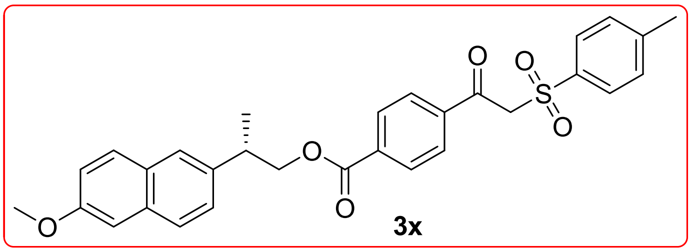
3v

(1R,2R,5S)-2-isopropyl-5-methylcyclohexyl 4-(2-tosylacetyl)benzoate (**3v**). White solid, yield 72.9 mg (80%); TLC (petroleum ether: AcOEt=5:1), R_f = 0.60. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) = 8.10 (d, *J*=8.0 Hz, 2H), 7.98 (d, *J*=7.6 Hz, 2H), 7.72 (d, *J*=8.0 Hz, 2H), 7.30 (d, *J*=8.0 Hz, 2H), 4.93(t, *J*=6.4 Hz, 1H), 4.74 (s, 2H), 2.41 (s, 3H), 2.09-2.12 (m, 1H), 1.90-1.93 (m, 1H), 1.71-1.73 (m, 2H), 1.53-1.58 (m, 2H), 1.06-1.12 (m, 2H), 0.90-0.91 (m, 7H), 0.77 (d, *J*=6.8 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 187.9, 164.9, 145.5, 138.6, 135.6, 135.5, 129.9, 129.8, 129.2, 128.5, 75.6, 63.8, 47.1, 40.8, 34.2, 31.4, 26.5, 23.5, 22.0, 21.7, 20.7, 16.5. HRMS (ESI-QTOF) Calcd for $C_{26}H_{32}O_5SNa$, $[M+Na]^+$ 479.1863; Found 479.1858.



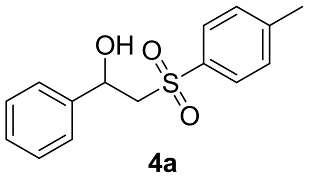
3w

(R)-2-(4-isobutylphenyl)propyl 4-(2-tosylacetyl)benzoate (**3w**). White solid, yield 72.8 mg (74%); TLC (petroleum ether:AcOEt=5:1), $R_f = 0.55$. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) = 8.05 (d, *J*=7.6 Hz, 2H), 7.97 (d, *J*=8.0 Hz, 2H), 7.74 (d, *J*=8.0 Hz, 2H), 7.33 (d, *J*=8.0 Hz, 2H), 7.19 (d, *J*=7.6 Hz, 2H), 7.11 (d, *J*=7.6 Hz, 2H), 4.73 (s, 2H), 4.39-4.44 (m, 2H), 3.23 (q, *J*=6.8 Hz, 1H), 2.44 (s, 3H), 1.85 (t, *J*=6.8 Hz, 1H), 1.39 (q, *J*=6.8 Hz, 3H), 0.89 (d, *J*=6.4 Hz, 6H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 187.8, 165.3, 145.6, 140.2, 140.0, 138.7, 135.5, 135.1, 129.9, 129.8, 129.3, 129.2, 128.6, 126.9, 70.6, 63.8, 45.0, 38.6, 30.2, 22.4, 21.7, 17.9. HRMS (ESI-QTOF) Calcd for $C_{29}H_{32}O_5SNa$, $[M+Na]^+$ 515.1863; Found 515.1857.



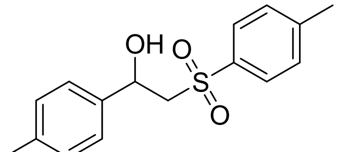
3x

(S)-2-(6-methoxynaphthalen-2-yl)propyl 4-(2-tosylacetyl)benzoate (**3x**). White solid, yield 70.2 mg (68%); TLC (petroleum ether:AcOEt=2:1), $R_f = 0.35$. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) = 8.03 (d, *J*=8.4 Hz, 2H), 7.94 (d, *J*=8.8 Hz, 2H), 7.69-7.74 (m, 4H), 7.66 (s, 1H), 7.39 (d, *J*=8.4 Hz, 1H), 7.30 (d, *J*=8.0 Hz, 2H), 7.13-7.16 (m, 2H), 4.72 (s, 2H), 4.46-4.56 (m, 2H), 3.90 (s, 3H), 3.39 (q, *J*=6.8 Hz, 1H), 2.41 (s, 3H), 1.47 (d, *J*=6.8 Hz, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 187.8, 165.3, 157.5, 145.6, 138.0, 129.9, 129.8, 129.2, 129.1, 129.0, 128.5, 127.1, 126.2, 125.6, 119.0, 105.6, 70.4, 63.8, 55.3, 38.9, 21.7, 18.1. HRMS (ESI-QTOF) Calcd for $C_{30}H_{28}O_6SNa$, $[M+Na]^+$ 539.1499; Found 539.1495.



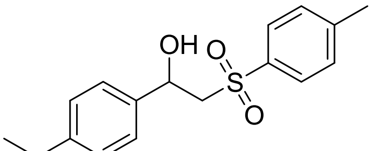
4a

1-phenyl-2-tosylethanol (**4a**). Colorless oil, yield 44.2 mg (80%); TLC (petroleum ether: AcOEt=2.5:1), $R_f = 0.40$. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) = 7.81 (d, *J*=8.0 Hz, 2H), 7.35 (d, *J*=7.6 Hz, 2H), 7.27-7.29 (m, 5H), 5.25 (d, *J*=10.0 Hz, 1H), 3.46 (dd, *J*=10.4, 4.0 Hz, 1H), 3.29 (d, *J*=10.4 Hz, 1H), 2.44 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 145.3, 140.7, 136.1, 130.1, 128.7, 128.3, 128.0, 125.7, 68.5, 64.0, 21.7. Spectral data were in accordance with the literature.[13]



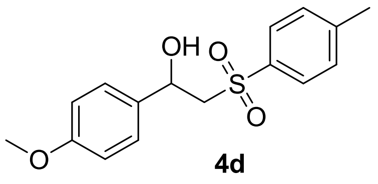
4b

1-(p-tolyl)-2-tosylethanol (**4b**). Colorless oil, yield 47.0 mg (81%); TLC (petroleum ether: AcOEt=2.5:1), R_f = 0.40. ^{1}H NMR (600 MHz, $CDCl_3$): δ (ppm) = 7.82 (d, *J*=7.8 Hz, 2H), 7.37 (d, *J*=8.4 Hz, 2H), 7.16 (d, *J*=7.8 Hz, 2H), 7.11 (d, *J*=7.8 Hz, 2H), 5.19 (d, *J*=9.6 Hz, 1H), 3.47 (q, *J*=10.2 Hz, 1H), 3.29 (d, *J*=14.4 Hz, 1H), 2.46 (s, 3H), 2.31 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$): δ (ppm) = 145.2, 138.1, 137.8, 136.2, 130.1, 129.4, 128.0, 125.6, 68.4, 64.0, 21.7, 21.1. Spectral data were in accordance with the literature.[13]



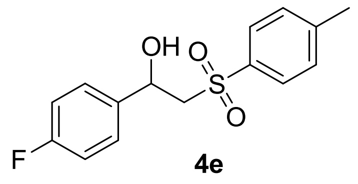
4c

1-(4-ethylphenyl)-2-tosylethanol (**4c**). White solid, yield 51.6 mg (85%); TLC (petroleum ether: AcOEt=2.5:1), $R_f = 0.41$. ^{1}H NMR (600 MHz, $CDCl_3$): δ (ppm) = 7.81 (d, *J*=7.8 Hz, 2H), 7.36 (d, *J*=7.8 Hz, 2H), 7.19 (d, *J*=7.2 Hz, 2H), 7.14 (d, *J*=7.8 Hz, 2H), 5.21 (d, *J*=7.8 Hz, 1H), 3.46-3.51 (m, 1H), 3.31 (d, *J*=8.4 Hz, 1H), 2.60 (q, *J*=7.8 Hz, 2H), 2.45 (s, 3H), 1.92 (d, *J*=7.8 Hz, 3H); ^{13}C NMR (151 MHz, $CDCl_3$): δ (ppm) = 145.2, 144.5, 138.1, 136.3, 130.1, 128.2, 128.0, 125.7, 68.4, 64.0, 28.5, 21.7, 15.6. HRMS (ESI-QTOF) Calcd for $C_{17}H_{20}O_3SNa$, $[M+Na]^+$ 327.1025; Found 327.1021.



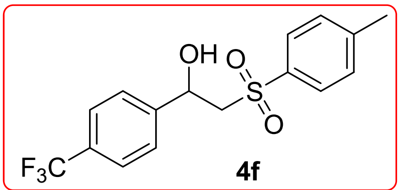
4d

1-(4-methoxyphenyl)-2-tosylethanol (**4d**). Colorless oil, yield 46.5 mg (76%); TLC (petroleum ether: AcOEt=2:1), R$_f$ = 0.32. ^{1}H NMR (600 MHz, CDCl$_3$): δ (ppm) = 7.82 (d, *J*=7.8 Hz, 2H), 7.37 (d, *J*=7.8 Hz, 2H), 7.20 (d, *J*=7.8 Hz, 2H), 6.83 (d, *J*=8.4 Hz, 2H), 5.19 (d, *J*=10.2 Hz, 1H), 3.77 (s, 3H), 3.69 (s, 1H), 3.45-3.49 (m, 1H), 3.28 (d, *J*=14.4 Hz, 1H), 2.46 (s, 3H); ^{13}C NMR (151 MHz, CDCl$_3$): δ (ppm) = 159.6, 145.2, 136.2, 132.9, 130.1, 128.0, 127.0, 114.1, 68.1, 64.0, 55.3, 21.7. Spectral data were in accordance with the literature.[14]



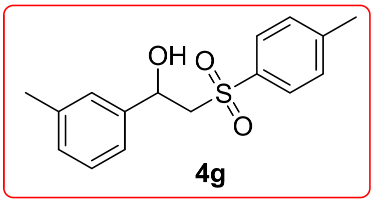
4e

1-(4-fluorophenyl)-2-tosylethanol (**4e**). Colorless oil, yield 44.1 mg (75%); TLC (petroleum ether: AcOEt=2.5:1), R$_f$ = 0.43. ^{1}H NMR (600 MHz, CDCl$_3$): δ (ppm) = 7.74 (d, *J*=7.8 Hz, 2H), 7.30 (d, *J*=7.8 Hz, 2H), 7.18-7.20 (m, 2H), 6.91 (d, *J*=8.4 Hz, 2H), 5.16 (d, *J*=10.2 Hz, 1H), 3.37 (q, *J*=10.2 Hz, 1H), 3.20 (d, *J*=14.4 Hz, 1H), 3.03 (s, 1H), 2.38 (s, 3H); ^{13}C NMR (151 MHz, CDCl$_3$): δ (ppm) = 162.4 (d, *J*=164 Hz), 145.4, 136.6, 136.1, 130.2, 128.0, 127.5, 127.4, 115.5 (d, *J*=15 Hz), 67.9, 64.0, 21.7; ^{19}F NMR (576 MHz, CDCl$_3$): δ (ppm) = -113.6. Spectral data were in accordance with the literature.[13]

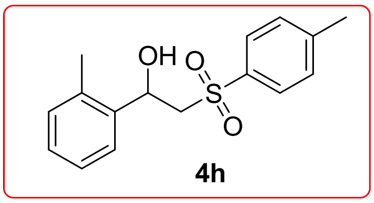


4f

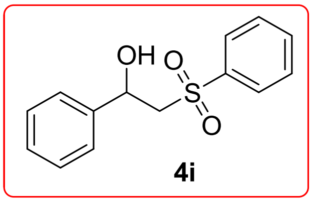
2-tosyl-1-(4-(trifluoromethyl)phenyl)ethanol (**4f**). White solid, yield 44.7 mg (65%); TLC (petroleum ether: AcOEt=3:1), R$_f$ = 0.41. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) = 7.79 (d, *J*=8.0 Hz, 2H), 7.54 (d, *J*=8.4 Hz, 2H), 7.40 (d, *J*=8.0 Hz, 2H), 7.36 (d, *J*=8.0 Hz, 2H), 5.32 (d, *J*=9.6 Hz, 1H), 4.0 (s, 1H), 3.45 (dd, *J*=9.6, 4.8 Hz, 1H), 3.31 (d, *J*=14.0 Hz, 1H), 2.45 (s, 3H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 145.5, 144.6, 135.9, 130.1, 127.9, 126.1, 125.1 (q, *J*=4Hz), 67.9, 63.7, 21.6; ^{19}F NMR (376 MHz, $CDCl_3$): δ (ppm) = -62.7. Spectral data were in accordance with the literature.[15]


4g

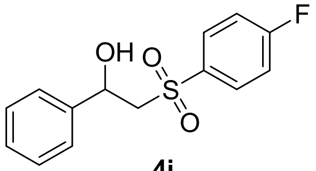
1-(m-tolyl)-2-tosylethanol (**4g**). Colorless oil, yield 49.9 mg (86%); TLC (petroleum ether: AcOEt=2:1), R_f = 0.41. ^{1}H NMR (600 MHz, $CDCl_3$): δ (ppm) = 7.81 (d, *J*=7.8 Hz, 2H), 7.36 (d, *J*=7.8 Hz, 2H), 7.17-7.20 (m, 1H), 7.06-7.09 (m, 3H), 5.19 (d, *J*=10.2 Hz, 1H), 3.47 (q, *J*=10.2 Hz, 1H), 3.30 (q, *J*=14.4 Hz, 1H), 2.45 (s, 3H), 2.30 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$): δ (ppm) = 145.2, 140.8, 138.5, 136.2, 130.1, 128.7, 128.1, 126.4, 122.8, 68.5, 64.0, 21.7, 21.4. Spectral data were in accordance with the literature.[14]


4h

1-(o-tolyl)-2-tosylethanol (**4h**). White solid, yield 46.4 mg (80%); TLC (petroleum ether: AcOEt=2.5:1), R_f = 0.4. ^{1}H NMR (600 MHz, $CDCl_3$): δ (ppm) = 7.85 (d, *J*=7.8 Hz, 2H), 7.49 (d, *J*=7.8 Hz, 1H), 7.39 (d, *J*=7.8 Hz, 2H), 7.15-7.21 (m, 2H), 7.07 (d, *J*=7.2 Hz, 1H), 5.42 (d, *J*=10.2 Hz, 1H), 3.39 (q, *J*=10.2 Hz, 1H), 3.23 (q, *J*=14.4 Hz, 1H), 2.47 (s, 3H), 2.08 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$): δ (ppm) = 145.3, 138.8, 136.1, 133.7, 130.6, 130.1, 128.1, 128.0, 126.6, 125.3, 65.1, 63.0, 21.7, 18.6. Spectral data were in accordance with the literature.[13]

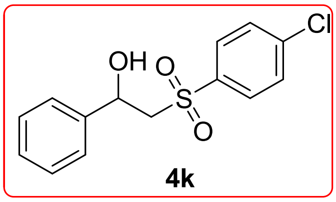

4i

1-phenyl-2-(phenylsulfonyl)ethanol (**4i**). Colorless oil, yield 40.8 mg (78%); TLC (petroleum ether: AcOEt=2.5:1), R_f = 0.4. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) = 7.92 (d, *J*=7.4 Hz, 2H), 7.67 (t, *J*=7.8 Hz, 1H), 7.56-7.58 (m, 2H), 7.23-7.31 (m, 5H), 5.25 (d, *J*=10.0 Hz, 1H), 3.49 (q, *J*=10.0 Hz, 1H), 3.31 (d, *J*=10.4 Hz, 1H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 140.7, 139.1, 134.1, 129.5, 128.8, 128.3, 128.0, 125.7, 68.4, 63.9. Spectral data were in accordance with the literature.[14]



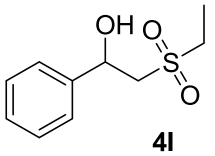
4j

2-((4-fluorophenyl)sulfonyl)-1-phenylethanol (**4j**). Colorless oil, yield 43.1 mg (77%); TLC (petroleum ether: AcOEt=2.5:1), R$_f$ = 0.40. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) = 7.94-7.97 (m, 2H), 7.22-7.31 (m, 7H), 5.27 (d, *J*=10.0 Hz, 1H), 3.50 (dd, *J*=10.0, 4.0 Hz, 1H), 3.32 (d, *J*=14.4 Hz, 1H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 166.0 (d, *J*=256 Hz), 140.5, 135.3, 131.0, 130.9, 128.8, 128.5, 125.6, 116.7 (d, *J*=23 Hz), 68.6, 64.1; ^{19}F NMR (376 MHz, CDCl$_3$): δ (ppm) = -102.7. Spectral data were in accordance with the literature.[14]



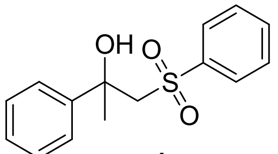
4k

2-((4-chlorophenyl)sulfonyl)-1-phenylethanol (**4k**). Colorless oil, yield 44.4 mg (75%); TLC (petroleum ether: AcOEt=2.5:1), R$_f$ = 0.45. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) = 7.85 (d, *J*=8.4 Hz, 2H), 7.52 (d, *J*=8.4 Hz, 2H), 7.28-7.30 (m, 5H), 5.25 (d, *J*=10.0 Hz, 1H), 3.48-3.53 (m, 2H), 3.31 (d, *J*=14.4 Hz, 1H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 140.8, 140.5, 137.8, 129.7, 129.5, 128.8, 128.5, 125.6, 68.6, 64.0. Spectral data were in accordance with the literature.[14]



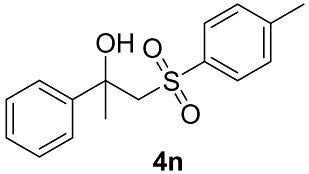
4l

2-(ethylsulfonyl)-1-phenylethanol (**4l**). Colorless oil, yield 12.8 mg (30%); TLC (petroleum ether: AcOEt=2:1), R$_f$ = 0.32. ^{1}H NMR (400 MHz, CDCl$_3$): δ (ppm) = 7.32-7.39 (m, 5H), 5.35 (d, *J*=10.0 Hz, 1H), 3.41 (dd, *J*=10.4, 4.0 Hz, 1H), 3.09-3.21 (m, 4H), 1.42 (t, *J*=7.6 Hz, 3H); ^{13}C NMR (101 MHz, CDCl$_3$): δ (ppm) = 141.1, 128.9, 128.6, 125.6, 68.9, 59.6, 49.1, 6.6. Spectral data were in accordance with the literature.[16]



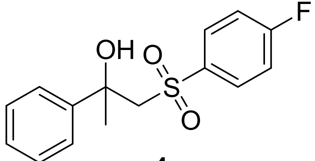
4m

2-phenyl-1-(phenylsulfonyl)propan-2-ol (**4m**). White solid, yield 49.7 mg (90%); TLC (petroleum ether: AcOEt=3:1), R_f = 0.40. ^{1}H NMR (600 MHz, $CDCl_3$): δ (ppm) = 7.49 (d, *J*=7.8 Hz, 2H), 7.43 (t, *J*=7.2 Hz, 1H), 7.26-7.29 (m, 2H), 7.19 (d, *J*=7.2 Hz, 2H), 7.07 (t, *J*=7.2 Hz, 3H), 3.67 (d, *J*=14.4 Hz, 1H), 3.54 (d, *J*=14.4 Hz, 1H), 1.61 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$): δ (ppm) = 144.3, 140.2, 133.5, 129.1, 128.3, 127.5, 127.3, 124.7, 73.2, 66.6, 30.9. Spectral data were in accordance with the literature.[13]



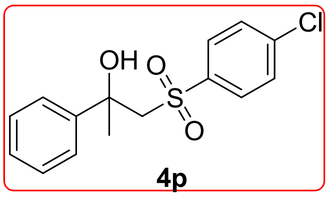
4n

2-phenyl-1-tosylpropan-2-ol (**4n**). White solid, yield 53.4 mg (92%); TLC (petroleum ether: AcOEt=3:1), R_f = 0.40. ^{1}H NMR (600 MHz, $CDCl_3$): δ (ppm) = 7.39 (d, *J*=7.8 Hz, 2H), 7.19 (d, *J*=7.8 Hz, 2H), 7.07-7.10 (m, 5H), 4.59 (s, 1H), 3.62 (d, *J*=15.0 Hz, 1H), 3.51 (d, *J*=15.0 Hz, 1H), 2.30 (s, 3H), 1.62 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$): δ (ppm) = 144.6, 144.5, 137.3, 129.7, 128.3, 127.6, 127.1, 124.7, 73.2, 66.7, 30.8, 21.6. Spectral data were in accordance with the literature.[7]



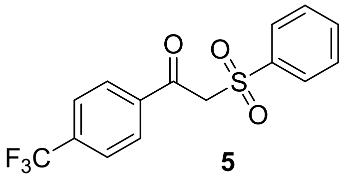
4o

1-((4-fluorophenyl)sulfonyl)-2-phenylpropan-2-ol (**4o**). Colorless oil, yield 50.5 mg (86%); TLC (petroleum ether: AcOEt=3:1), R_f = 0.40. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) = 7.51 (t, *J*=4.8 Hz, 2H), 7.21 (d, *J*=4.8 Hz, 2H), 7.15-7.16 (m, 3H), 6.98 (t, *J*=8.4 Hz, 2H), 3.75 (d, *J*=14.8 Hz, 1H), 3.62 (d, *J*=14.8 Hz, 1H), 1.65 (s, 3H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 165.4 (d, *J*=255 Hz), 140.0, 136.1, 130.5, 130.4, 128.3, 127.3, 124.7, 116.3 (d, *J*=23 Hz), 73.0, 66.7, 31.1; ^{19}F NMR (376 MHz, $CDCl_3$): δ (ppm) = -103.6. Spectral data were in accordance with the literature.[13]



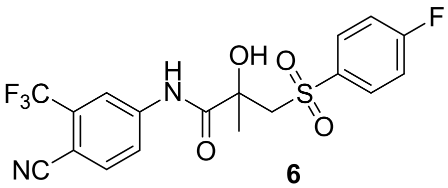
4p

1-((4-chlorophenyl)sulfonyl)-2-phenylpropan-2-ol (**4p**). White solid, yield 53.9 mg (87%); TLC (petroleum ether: AcOEt=3:1), R_f = 0.42. ^{1}H NMR (600 MHz, $CDCl_3$): δ (ppm) = 7.42 (d, *J*=8.4 Hz, 2H), 7.16-7.29 (m, 7H), 3.75 (d, *J*=14.8 Hz, 1H), 3.61 (d, *J*=14.8 Hz, 1H), 1.65 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$): δ (ppm) = 143.9, 140.2, 138.4, 129.3, 129.0, 128.3, 127.3, 124.7, 73.0, 66.7, 31.1. Spectral data were in accordance with the literature.[13]



5

1-((4-fluorophenyl)sulfonyl)-2-phenylpropan-2-ol (**5**). Light yellow solid, yield 1000 mg (61%); TLC (petroleum ether: AcOEt=4:1), R_f = 0.50. ^{1}H NMR (400 MHz, $CDCl_3$): δ (ppm) = 8.02 (d, *J*=8.0 Hz, 2H), 7.85 (d, *J*=8.0 Hz, 2H), 7.61-7.68 (m, 3H), 7.51 (t, *J*=7.6 Hz, 2H), 4.81 (s, 2H); ^{13}C NMR (101 MHz, $CDCl_3$): δ (ppm) = 187.5, 138.5, 138.2, 135.3, 135.0, 134.4, 129.3, 129.3, 128.4, 125.8 (q, *J*=4 Hz), 61.5; ^{19}F NMR (376 MHz, $CDCl_3$): δ (ppm) = -63.3. Spectral data were in accordance with the literature.[7]



6

N-(4-cyano-3-(trifluoromethyl)phenyl)-3-((4-fluorophenyl)sulfonyl)-2-hydroxy-2-methylpropanamide (**6**). White solid, yield 980 mg (76%); TLC (petroleum ether: AcOEt=2:1), R_f = 0.26. ^{1}H NMR (400 MHz, DMSO-D_6): δ (ppm) = 10.36 (s, 1H), 8.40 (s, 1H), 8.18 (d, *J*=8.4 Hz, 1H), 8.04 (d, *J*=8.4 Hz, 1H), 7.88-7.91 (m, 2H), 7.32 (t, *J*=8.4 Hz, 2H), 6.40 (s, 1H), 3.92 (d, *J*=14.8 Hz, 1H), 3.68 (d, *J*=14.8 Hz, 1H), 1.38 (s, 3H); ^{13}C NMR (101 MHz, DMSO-D_6): δ (ppm) = 174.2, 165.2 (d, *J*=251 Hz), 143.6, 137.5, 136.6, 131.9, 131.8, 123.3, 117.9, 116.4 (q, *J*=12 Hz), 102.4, 73.5, 63.9, 27.6; ^{19}F NMR (376 MHz, DMSO-D_6):

δ (ppm) = -105.6, -61.2. Spectral data were in accordance with the literature.[14]

15. References

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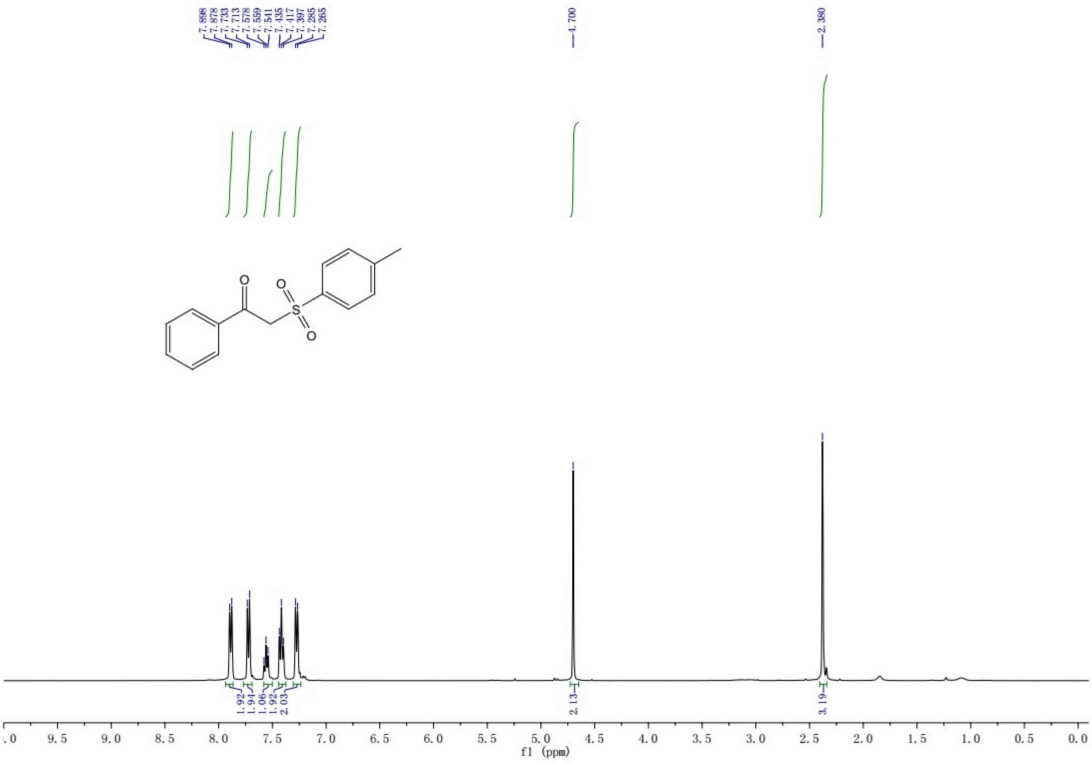
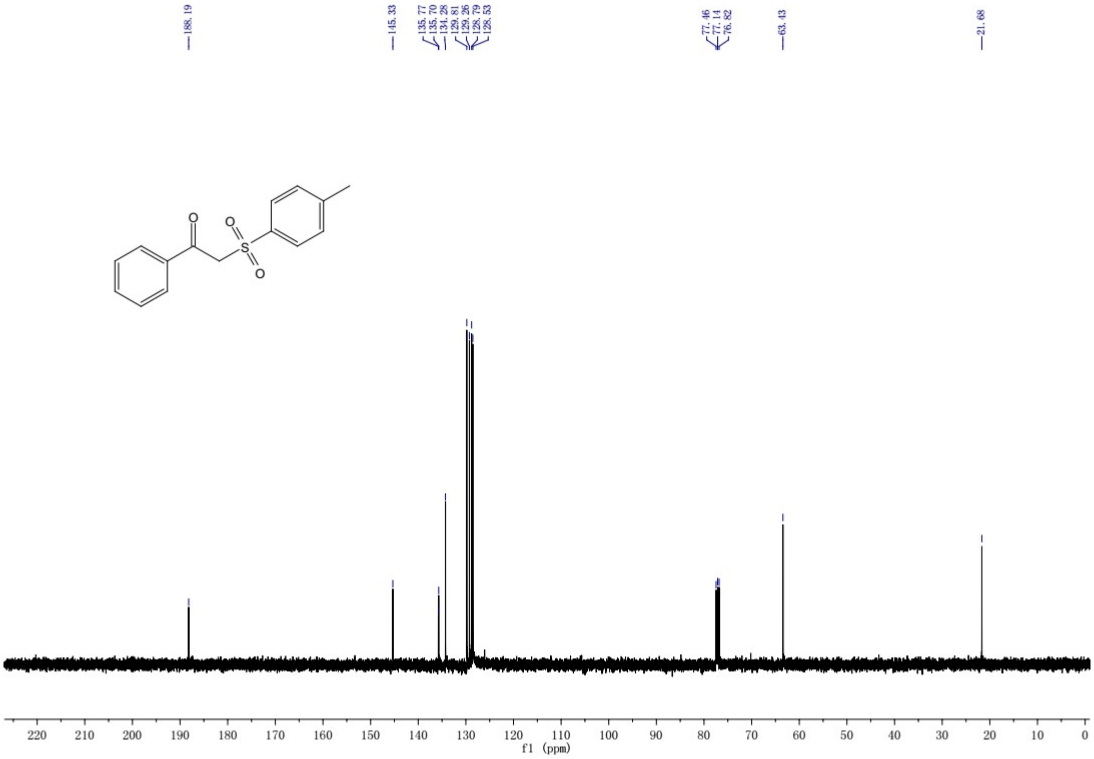
16. NMR spectra of products

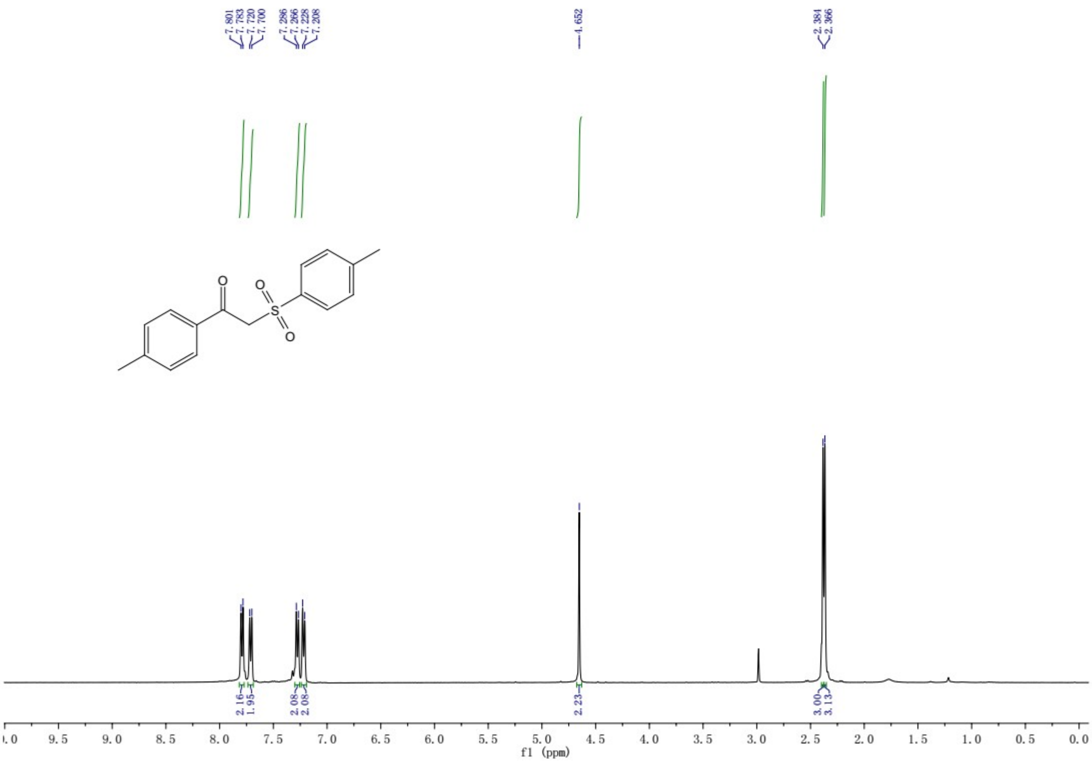
^{1}H NMR spectrum for compound **3a** ($CDCl_3$, 400 MHz)



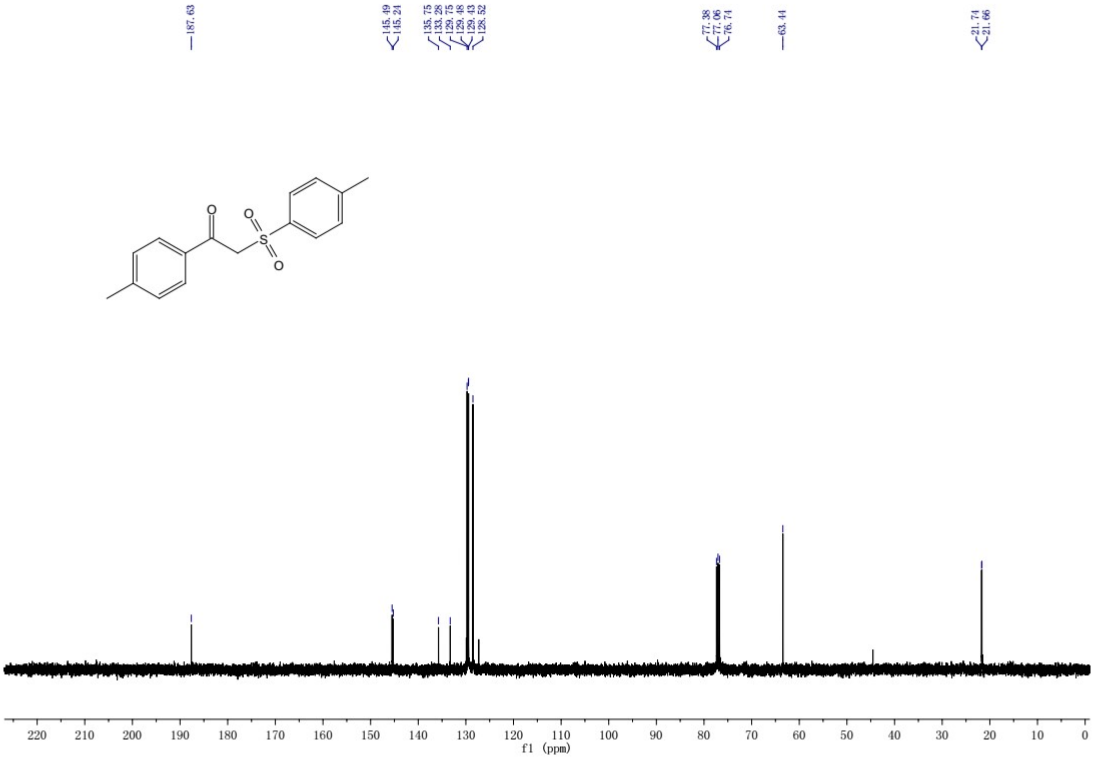
^{13}C NMR spectrum for compound **3a** ($CDCl_3$, 101 MHz)



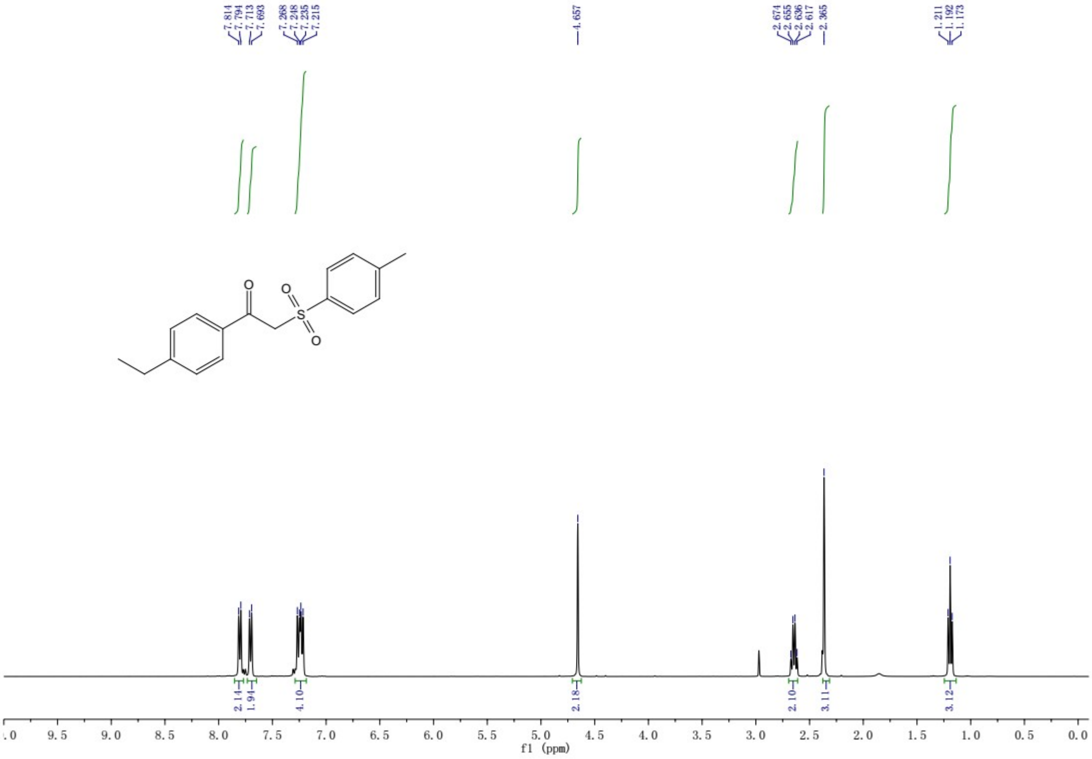
^{1}H NMR spectrum for compound **3b** ($CDCl_3$, 400 MHz)



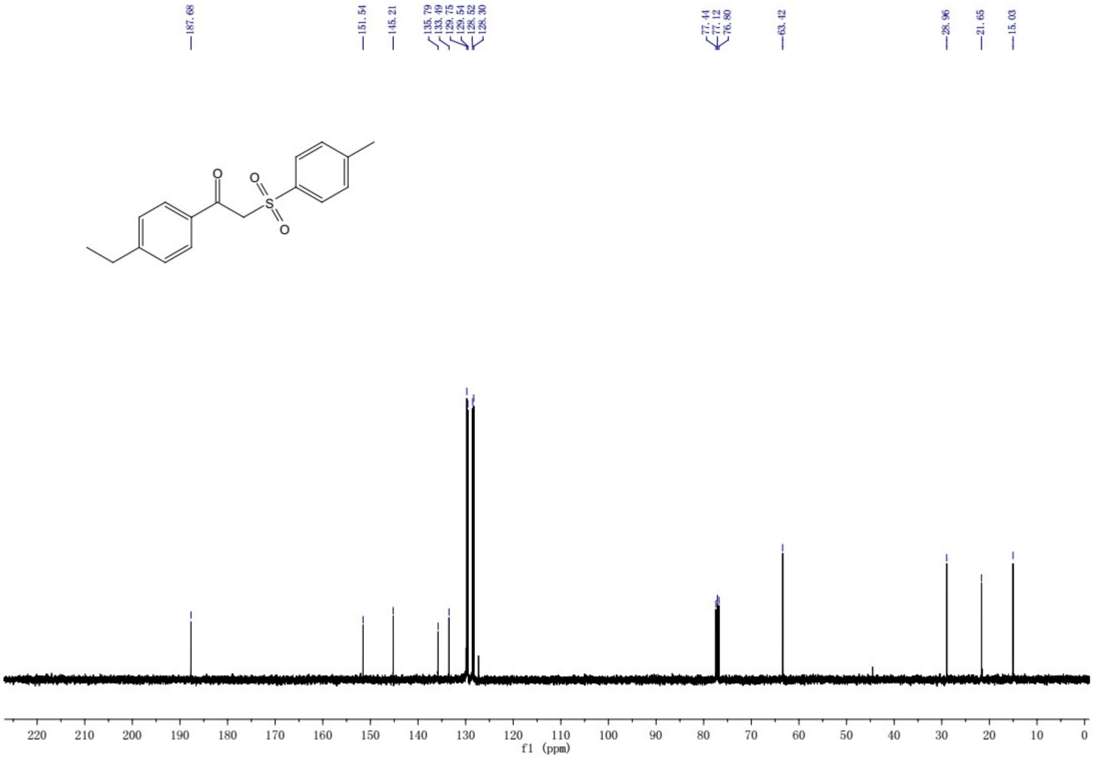
^{13}C NMR spectrum for compound **3b** ($CDCl_3$, 101 MHz)



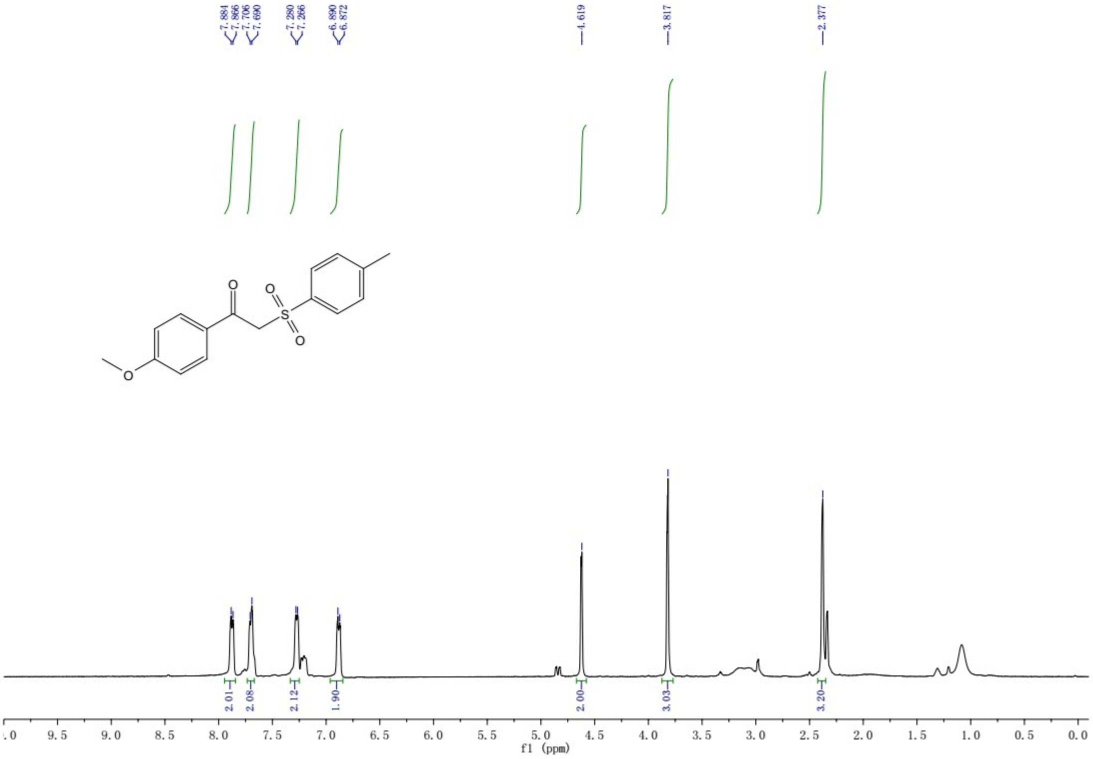
^{1}H NMR spectrum for compound **3c** ($CDCl_3$, 400 MHz)



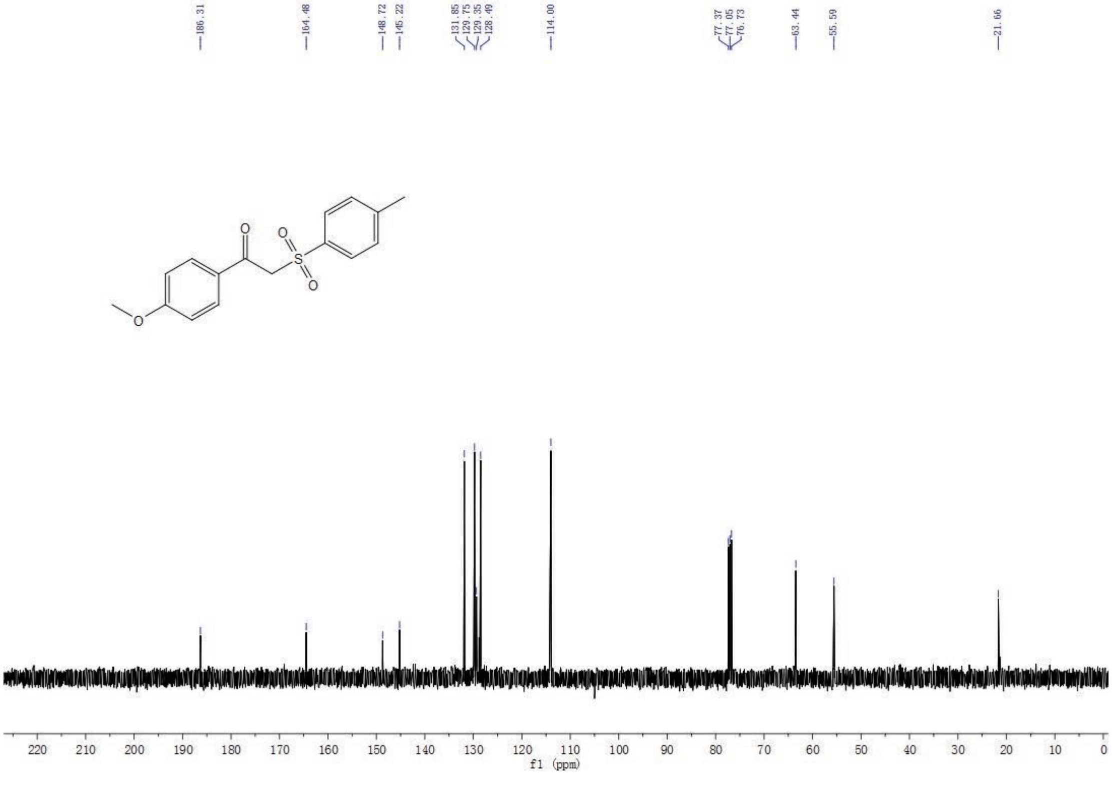
^{13}C NMR spectrum for compound **3c** ($CDCl_3$, 101 MHz)



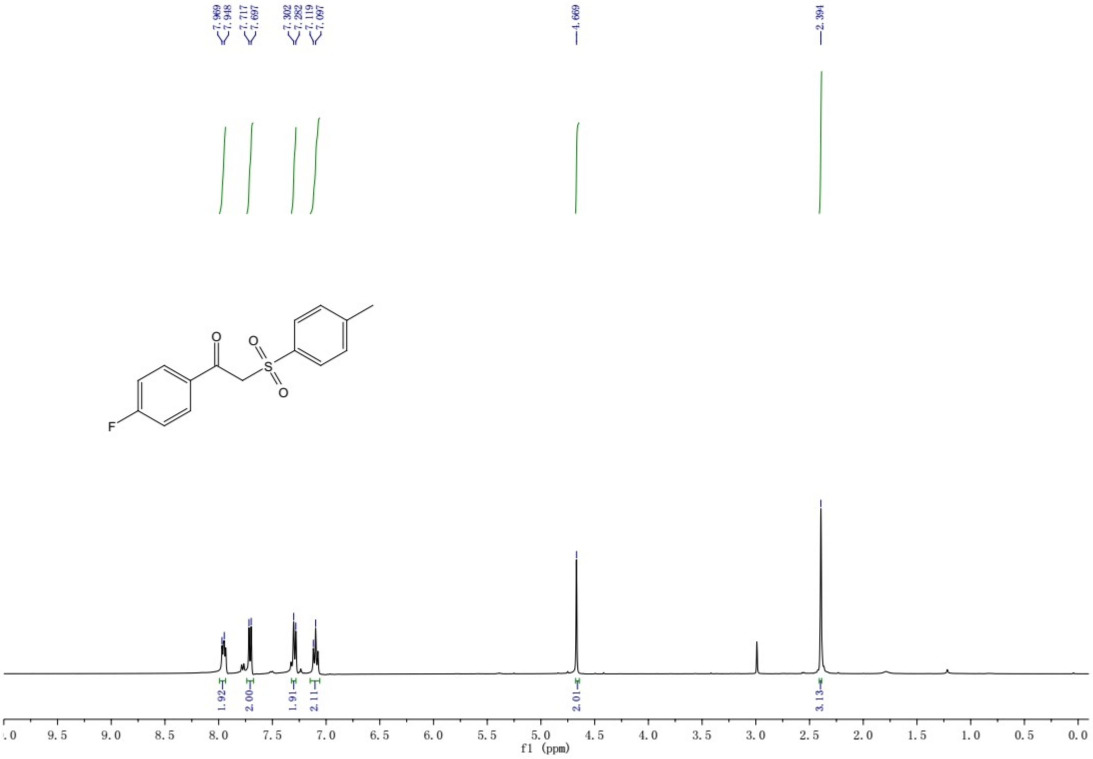
^{1}H NMR spectrum for compound **3d** ($CDCl_3$, 400 MHz)



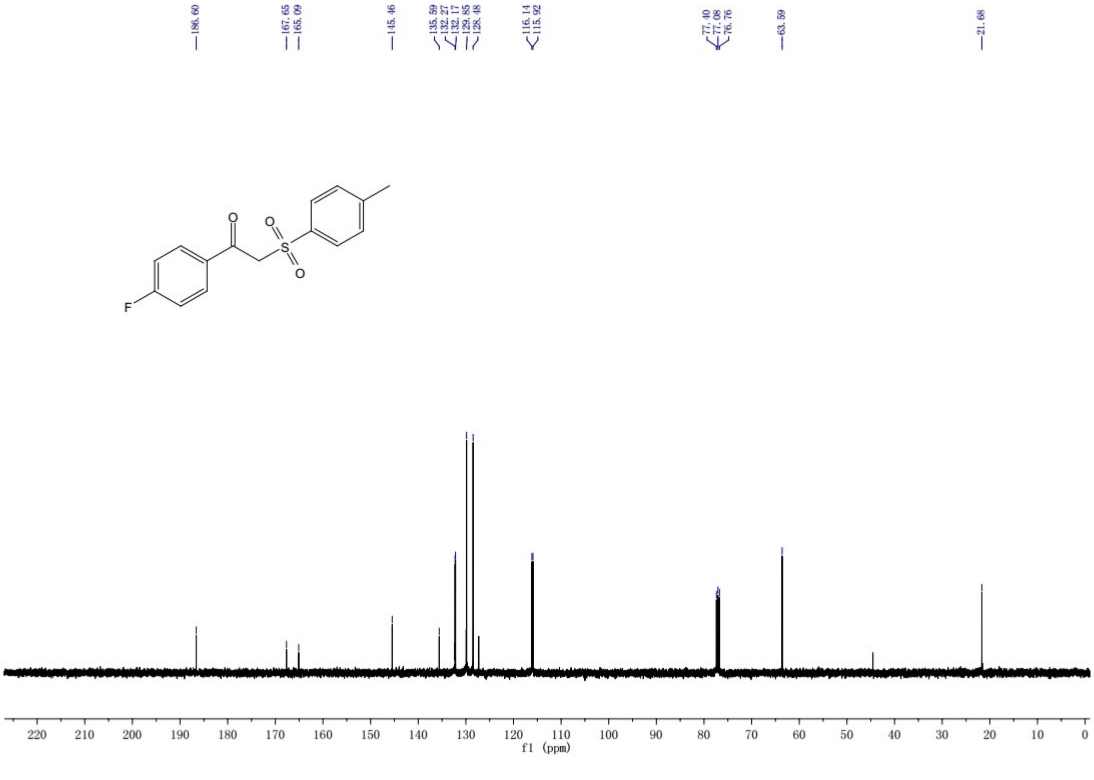
^{13}C NMR spectrum for compound **3d** ($CDCl_3$, 101 MHz)



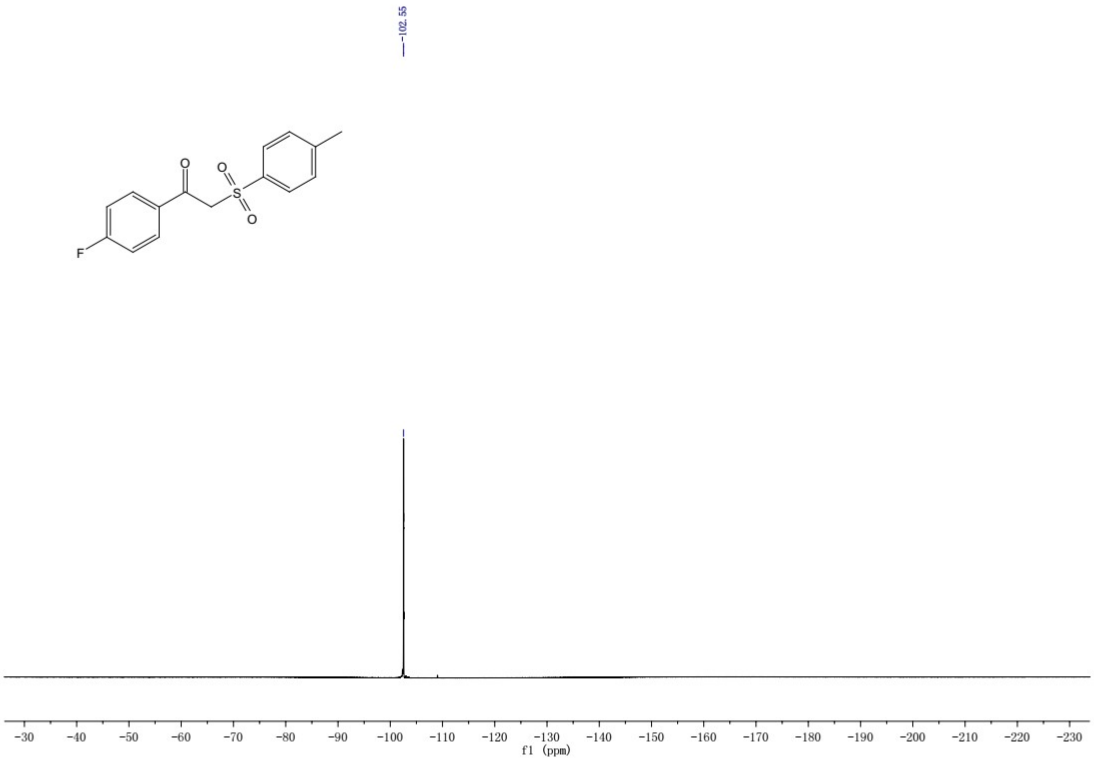
^{1}H NMR spectrum for compound **3e** ($CDCl_3$, 400 MHz)



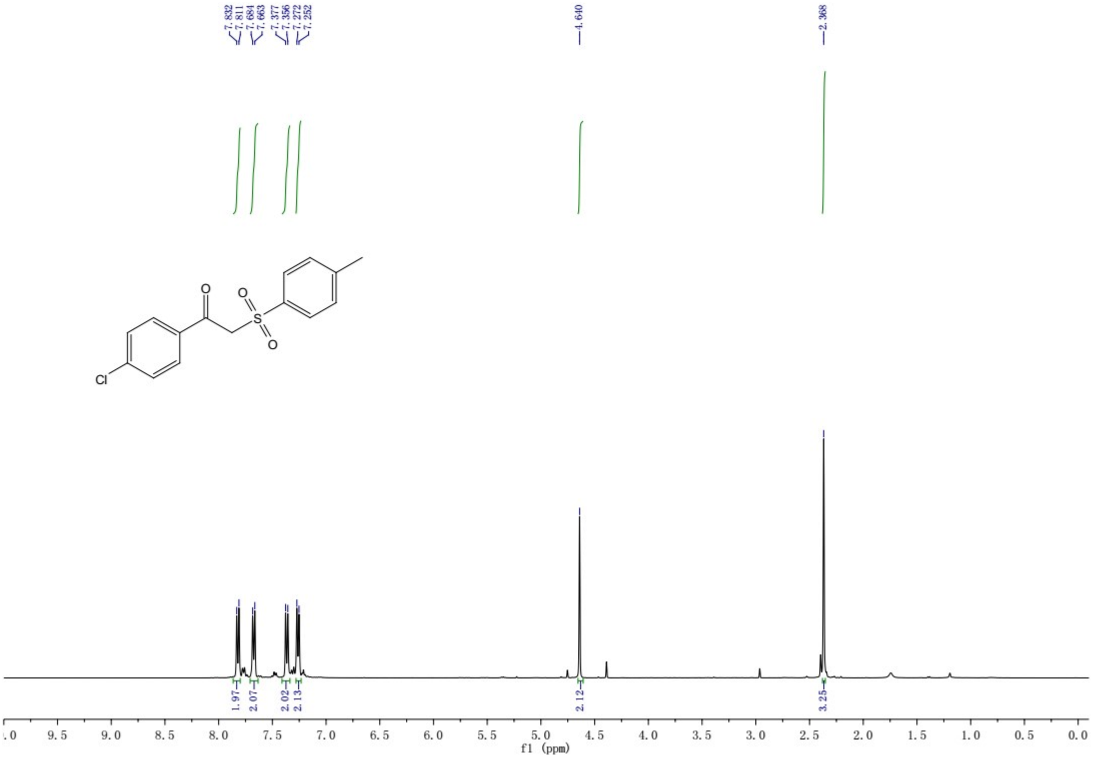
^{13}C NMR spectrum for compound **3e** ($CDCl_3$, 101 MHz)



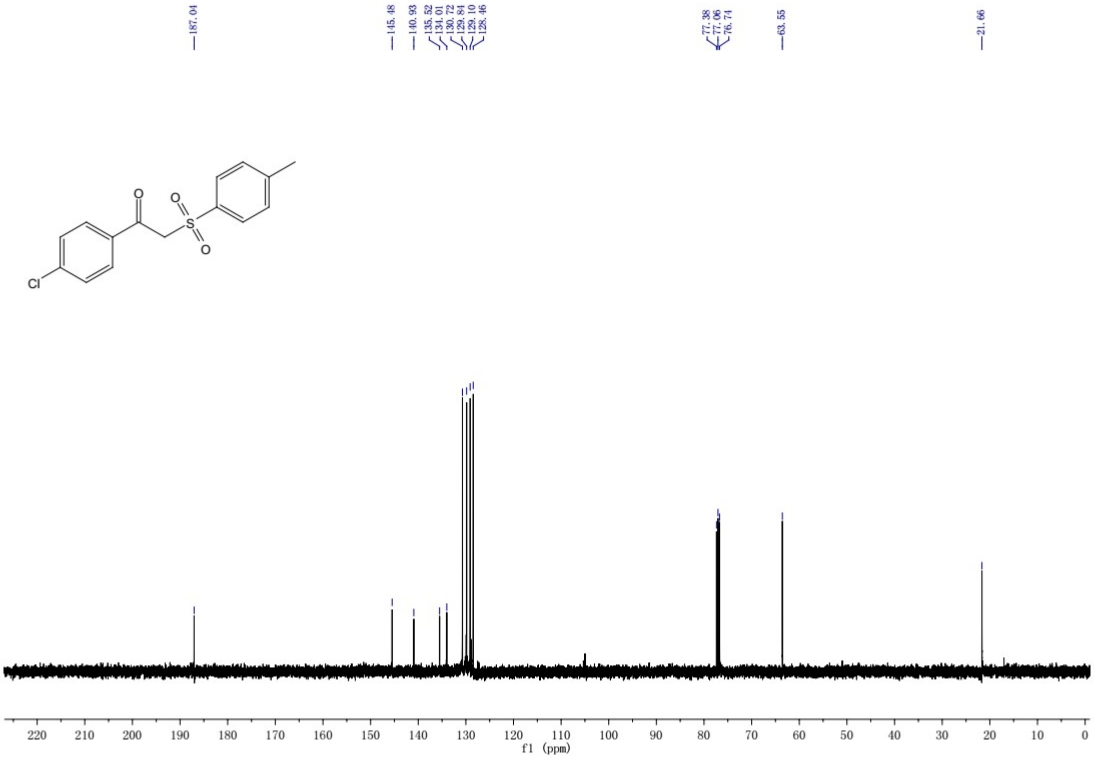
^{19}F NMR spectrum for compound **3e** ($CDCl_3$, 376 MHz)



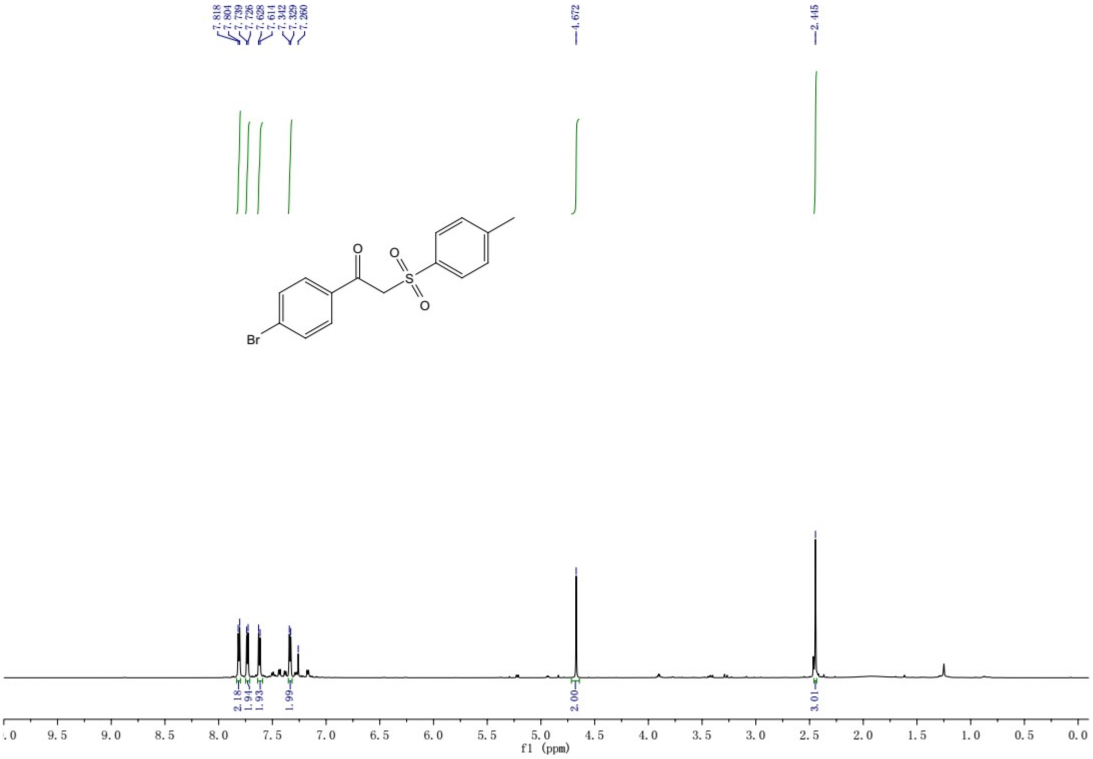
^{1}H NMR spectrum for compound **3f** ($CDCl_3$, 400 MHz)



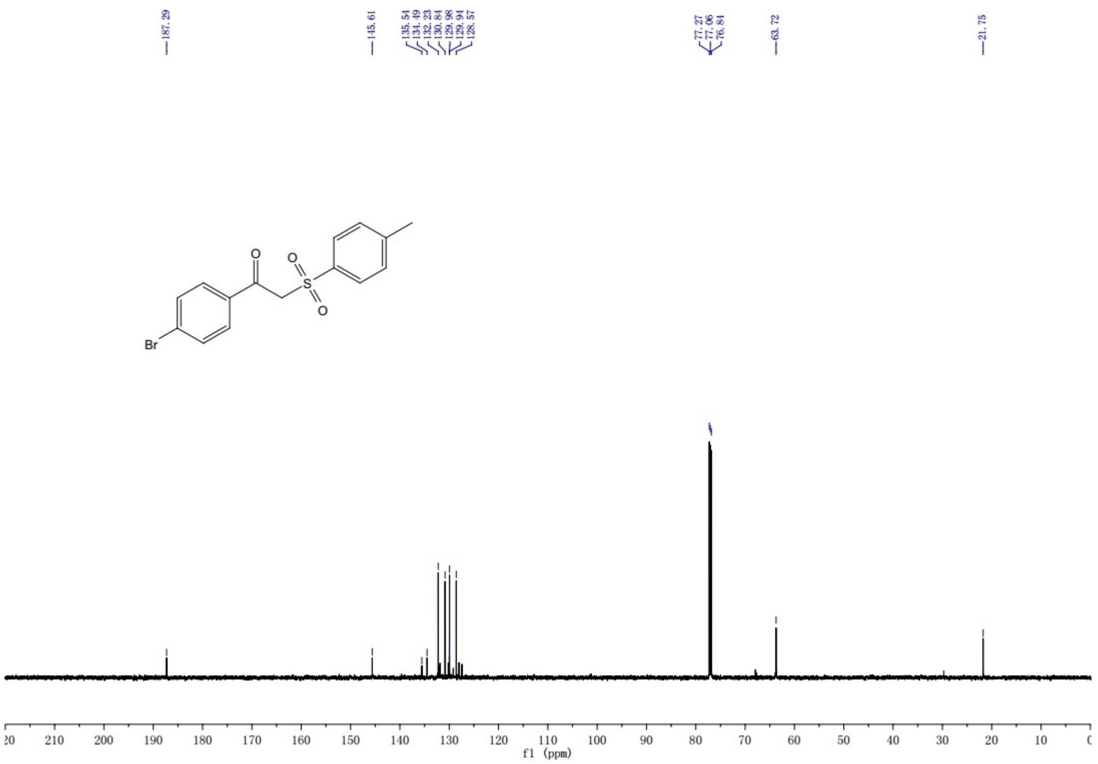
^{13}C NMR spectrum for compound **3f** ($CDCl_3$, 101 MHz)



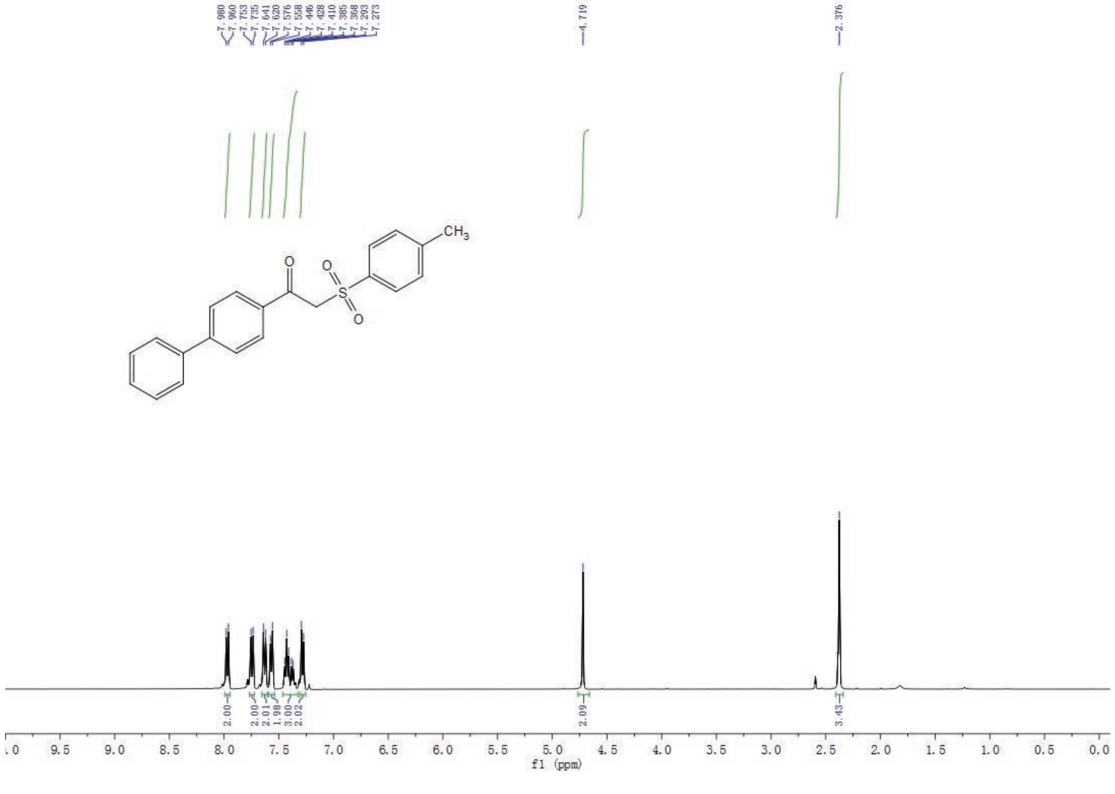
^{1}H NMR spectrum for compound **3g** ($CDCl_3$, 600 MHz)



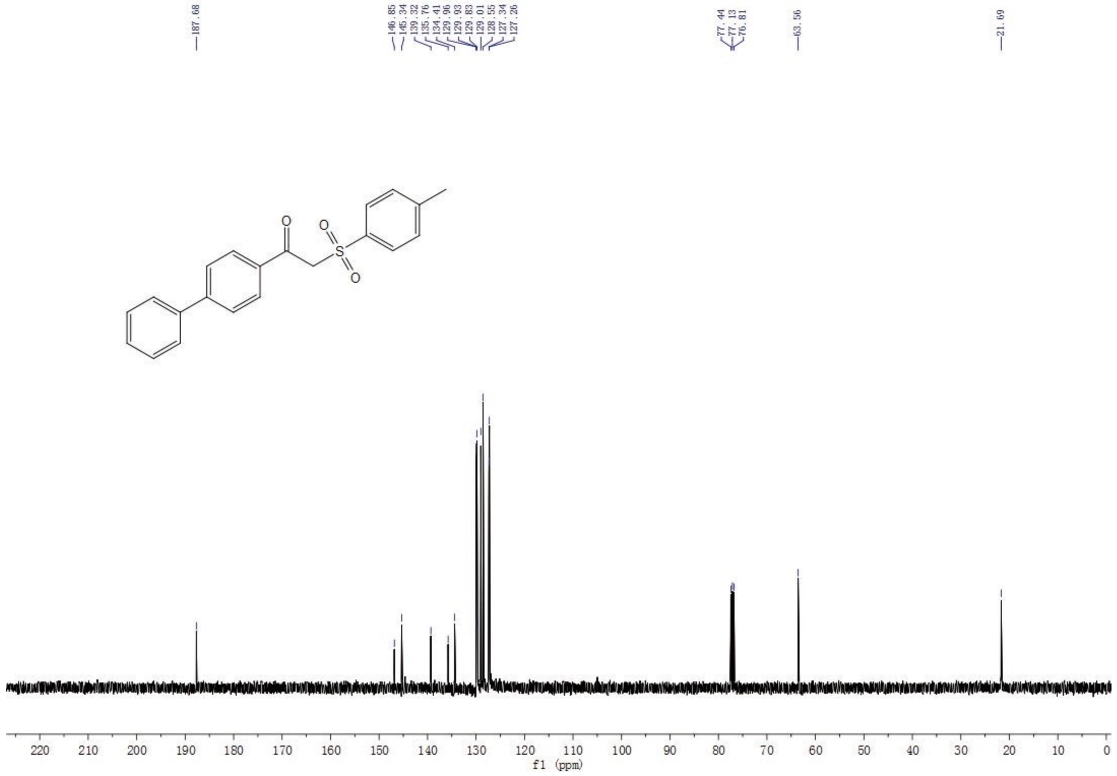
^{13}C NMR spectrum for compound **3g** (CDCl$_3$, 151 MHz)



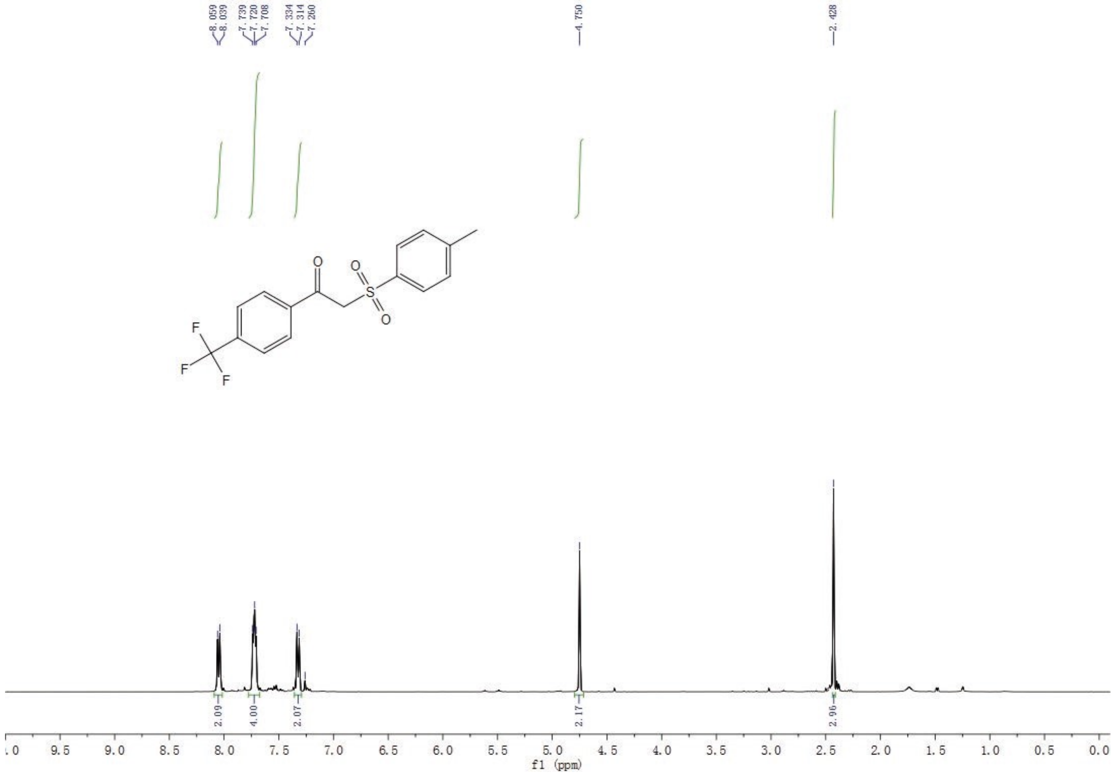
^{1}H NMR spectrum for compound **3h** (CDCl$_3$, 400 MHz)



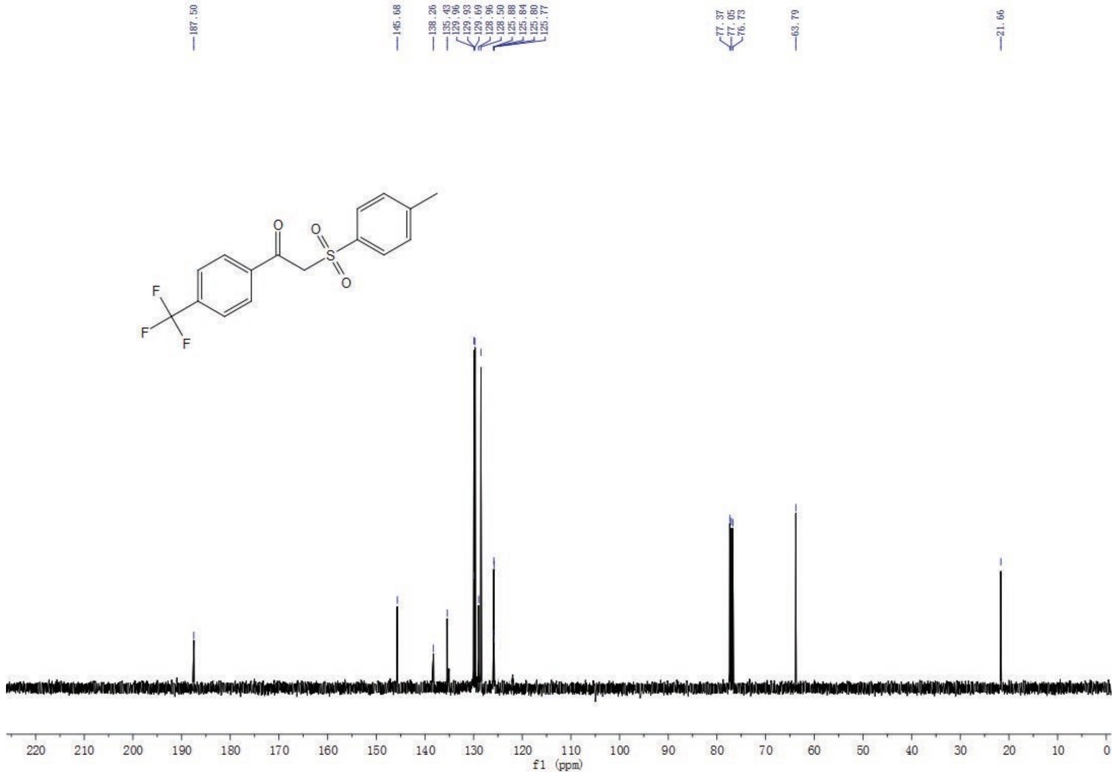
^{13}C NMR spectrum for compound **3h** ($CDCl_3$, 101 MHz)



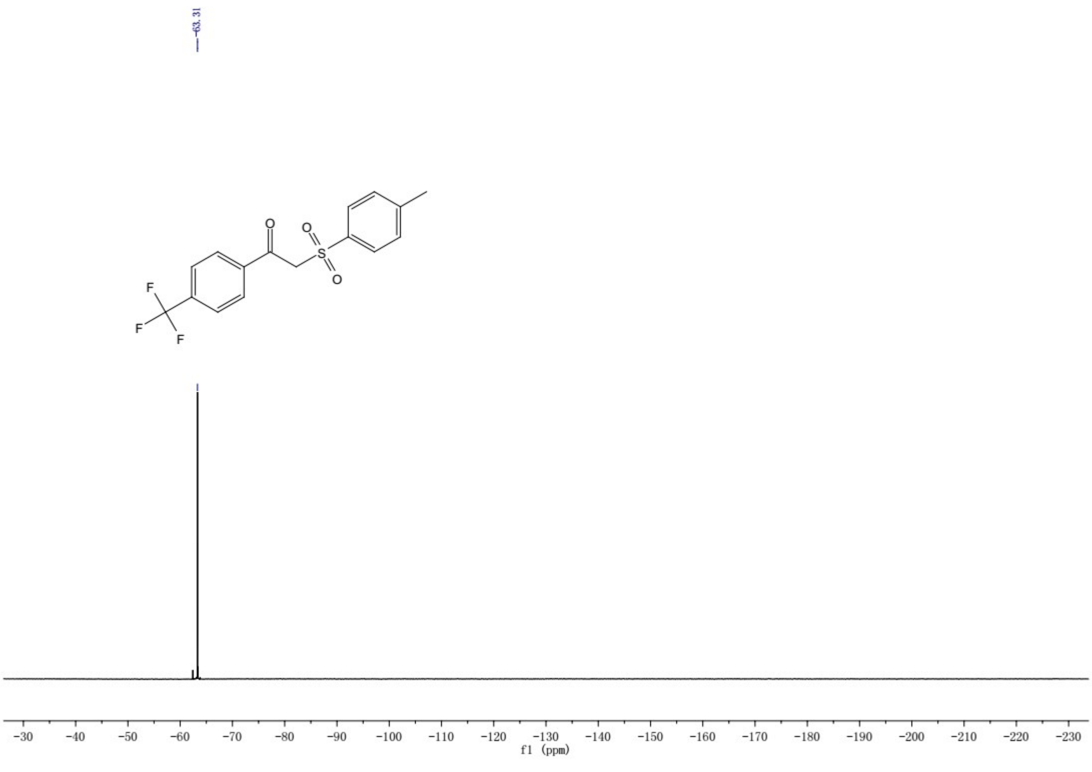
^{1}H NMR spectrum for compound **3i** ($CDCl_3$, 400 MHz)



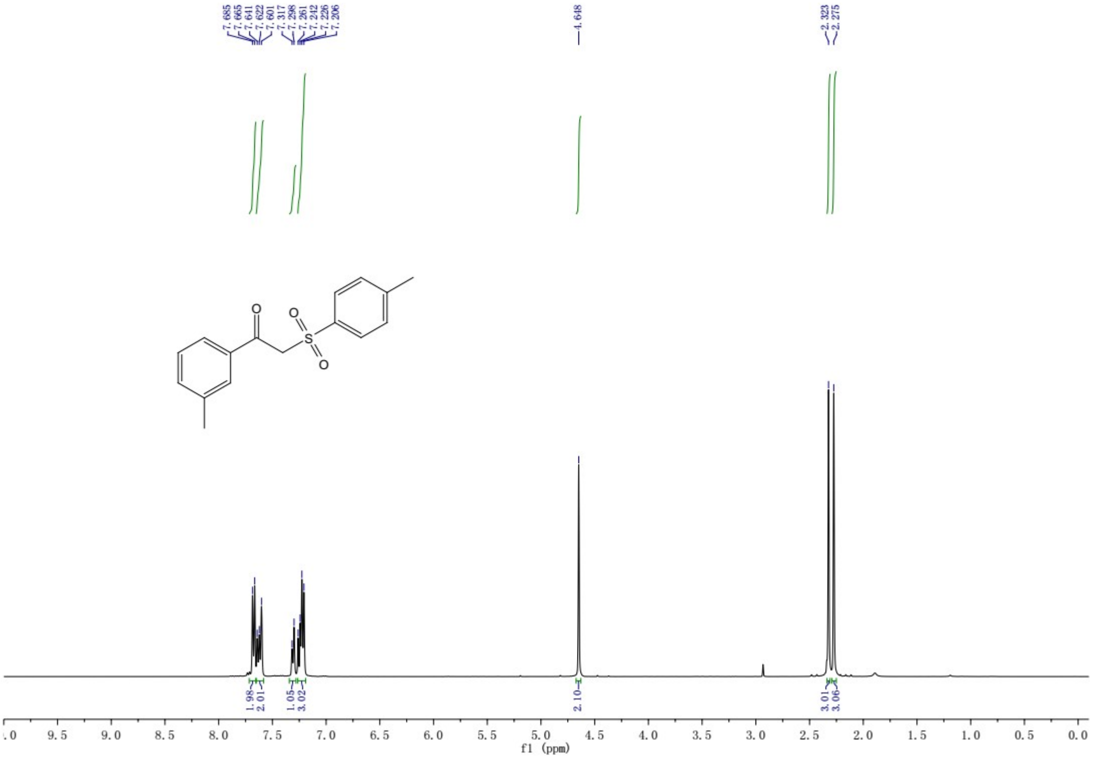
^{13}C NMR spectrum for compound **3i** ($CDCl_3$, 101 MHz)



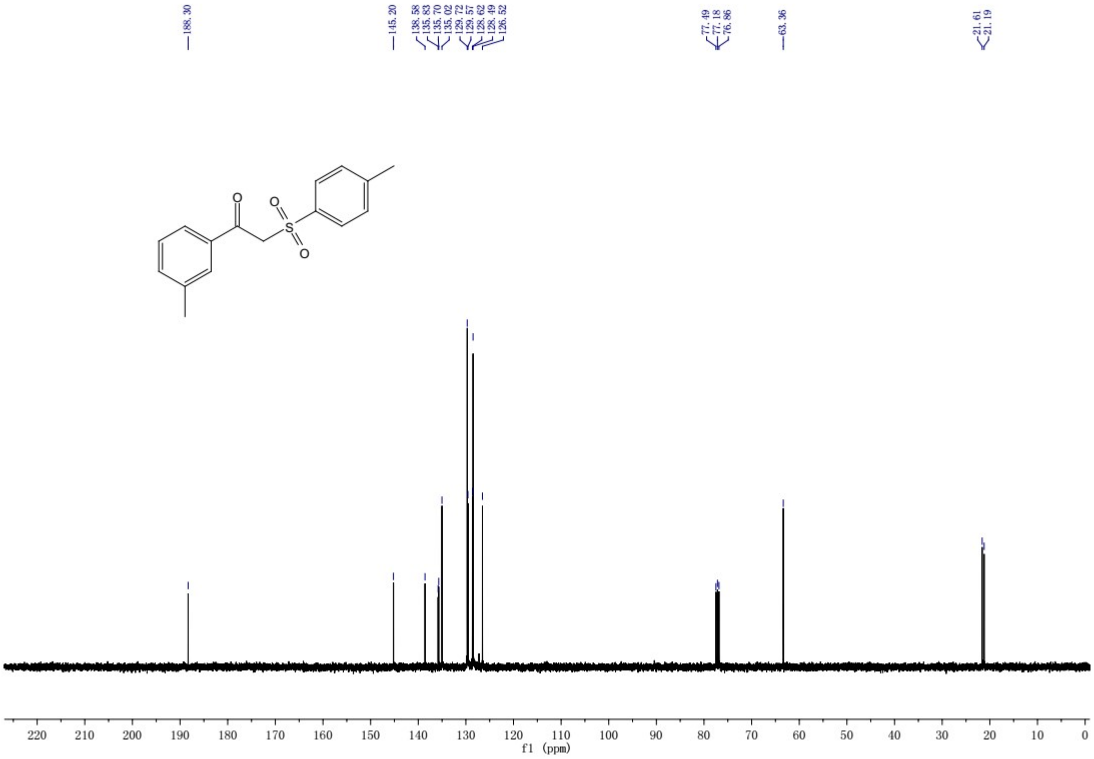
^{19}F NMR spectrum for compound **3i** ($CDCl_3$, 376 MHz)



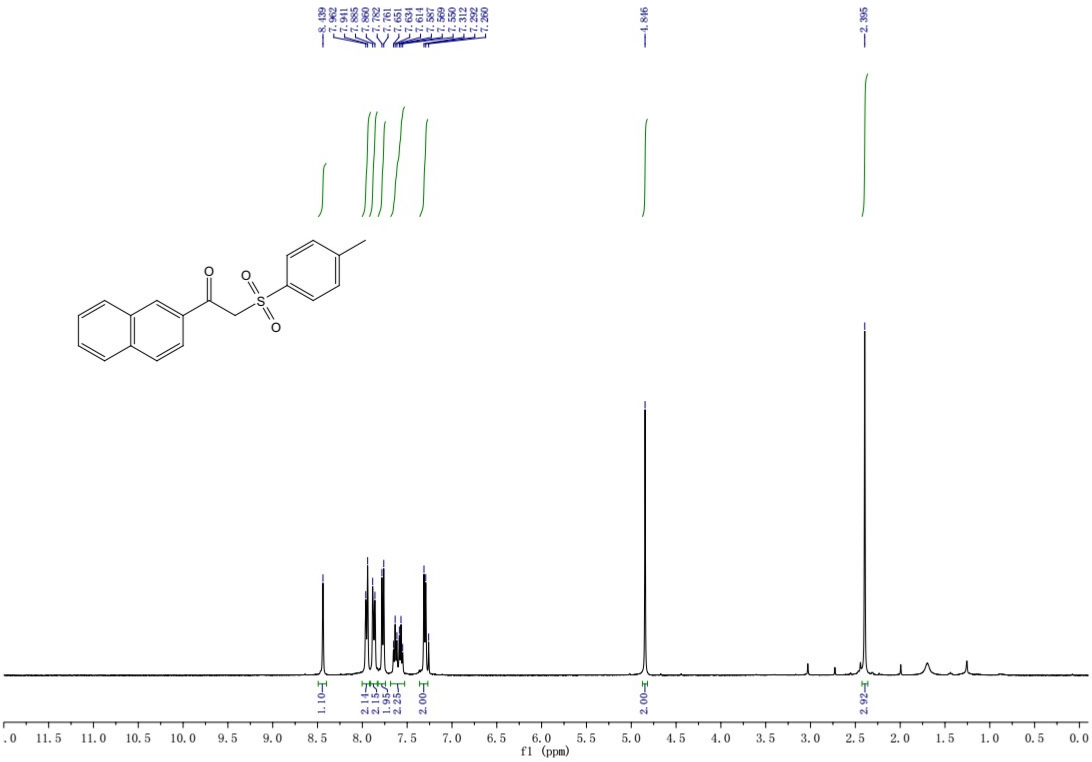
^{1}H NMR spectrum for compound **3j** ($CDCl_3$, 400 MHz)



^{13}C NMR spectrum for compound **3j** ($CDCl_3$, 101 MHz)



^{1}H NMR spectrum for compound **3k** ($CDCl_3$, 400 MHz)



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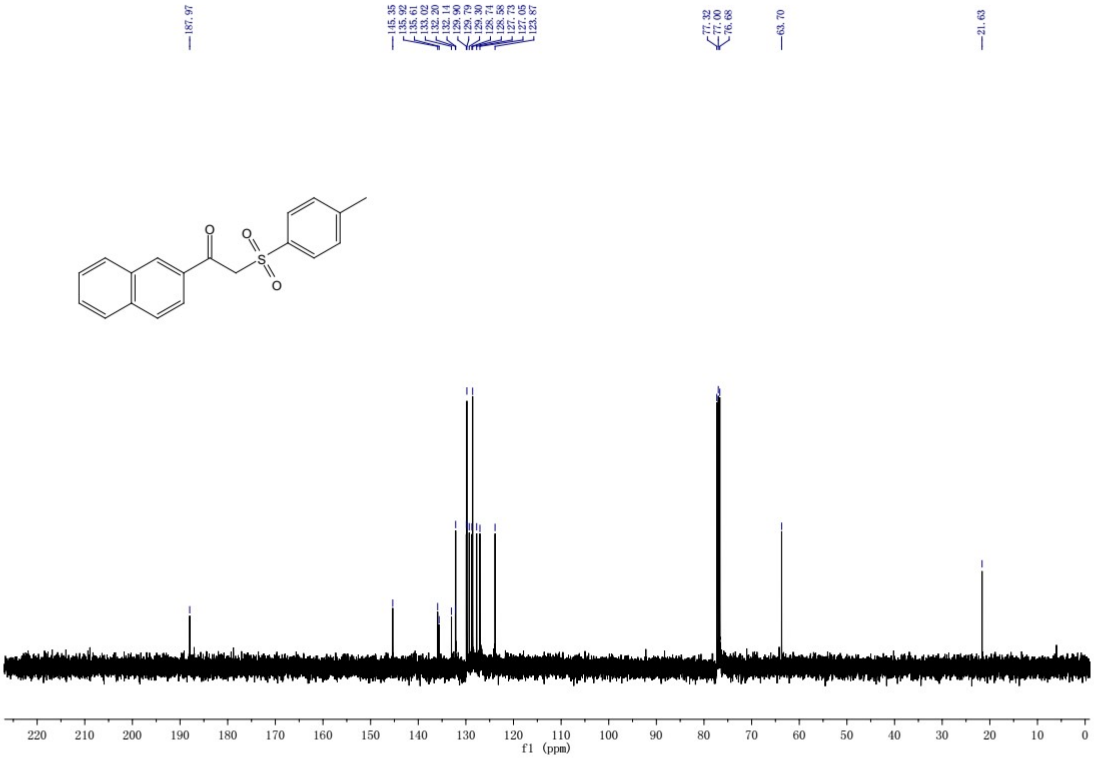
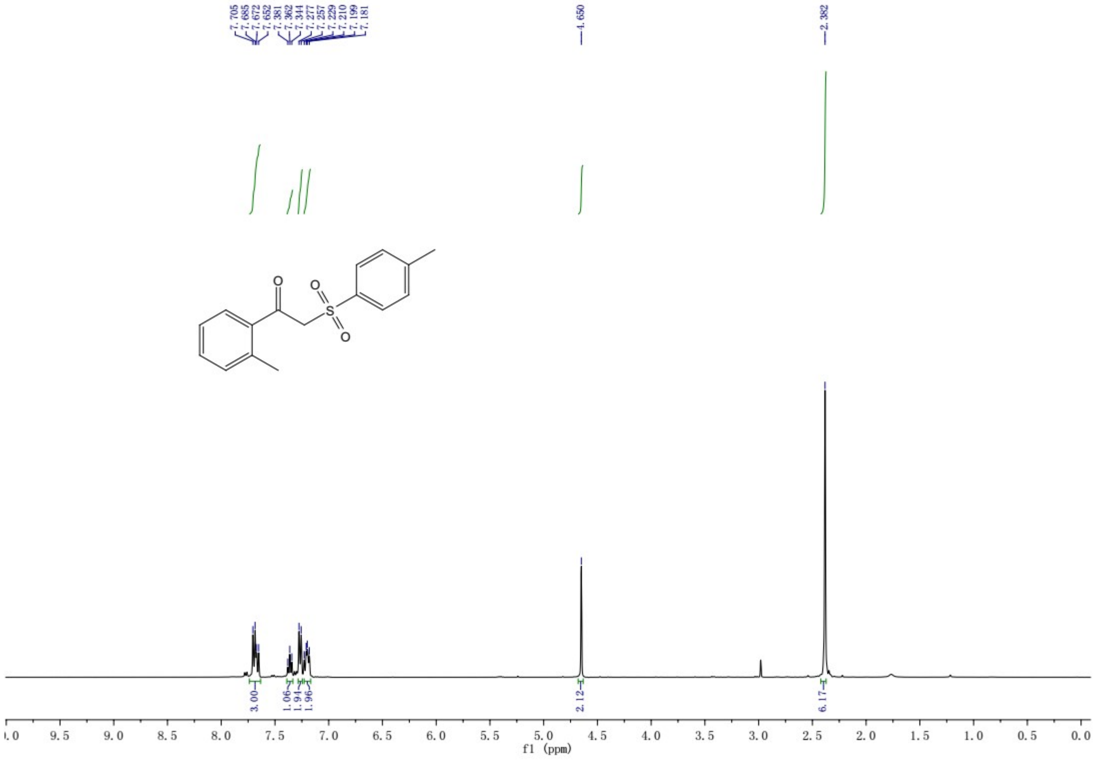
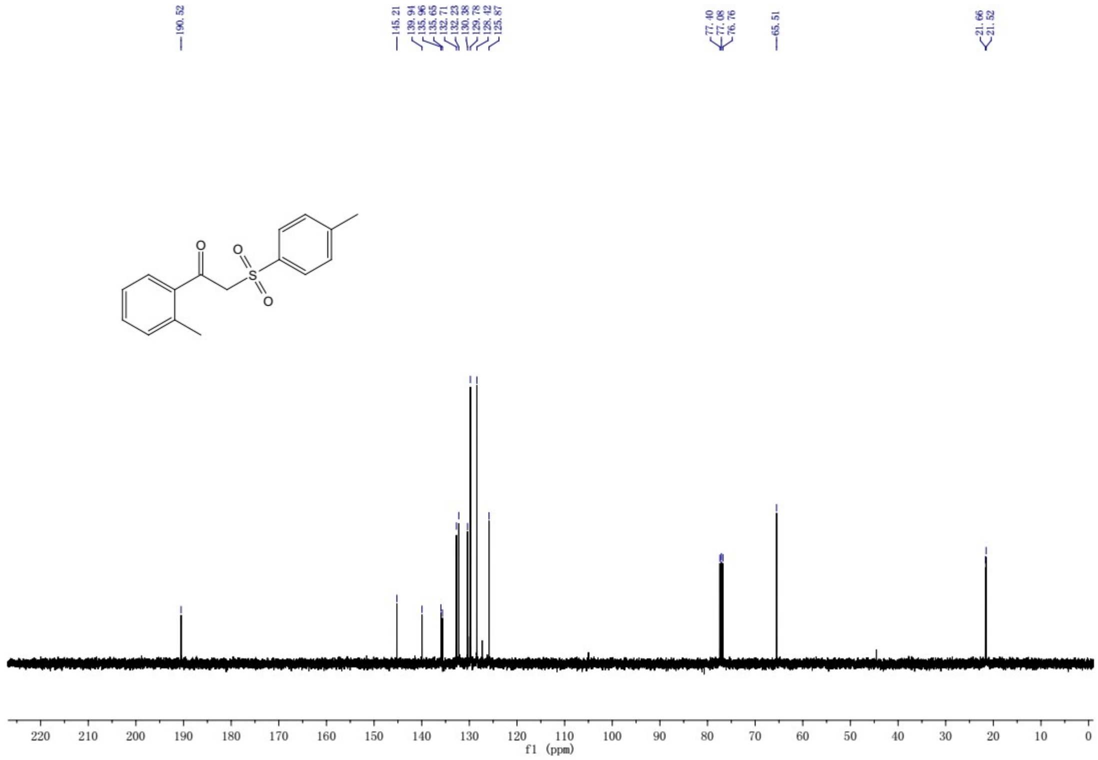


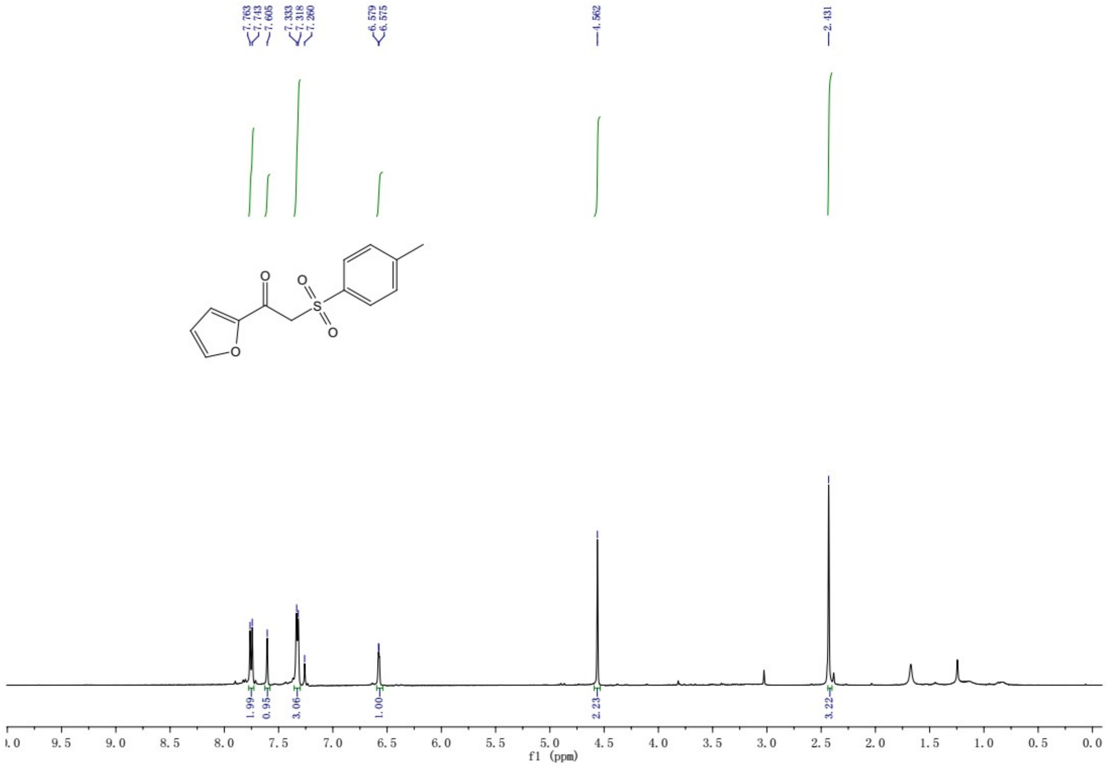
^{1}H NMR spectrum for compound **3l** ($CDCl_3$, 400 MHz)



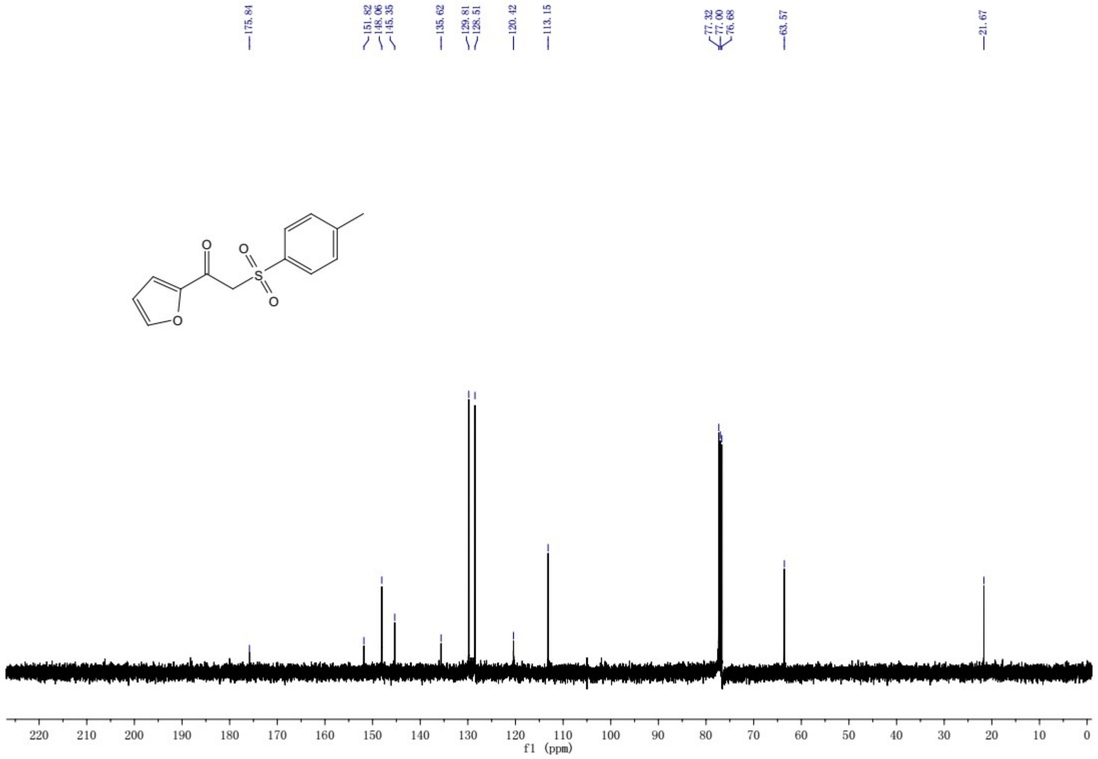
^{13}C NMR spectrum for compound **3l** ($CDCl_3$, 101 MHz)



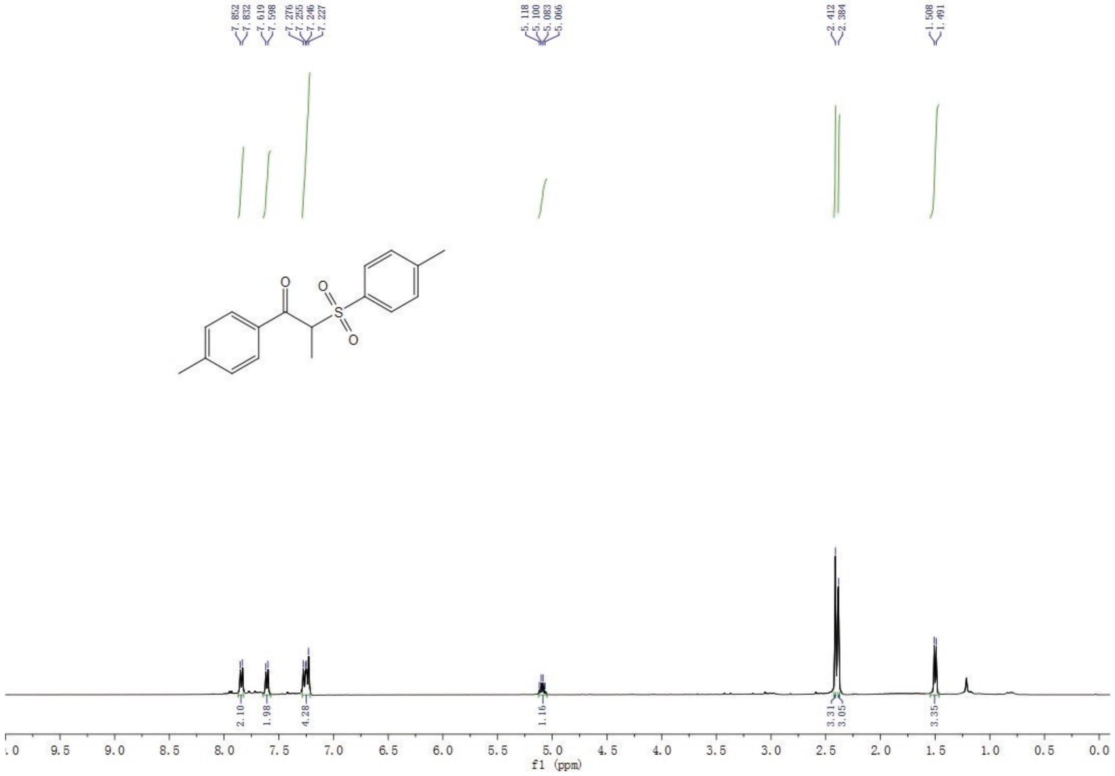
^{1}H NMR spectrum for compound **3m** (CDCl$_3$, 400 MHz)



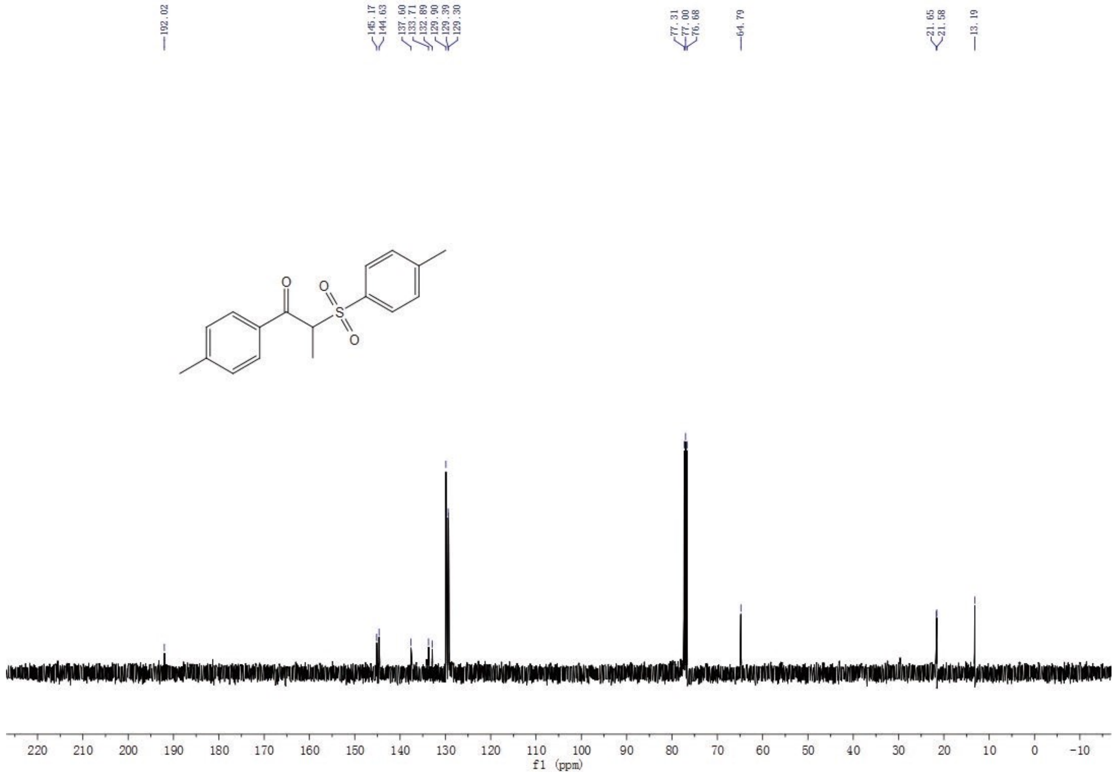
^{13}C NMR spectrum for compound **3m** (CDCl$_3$, 101 MHz)



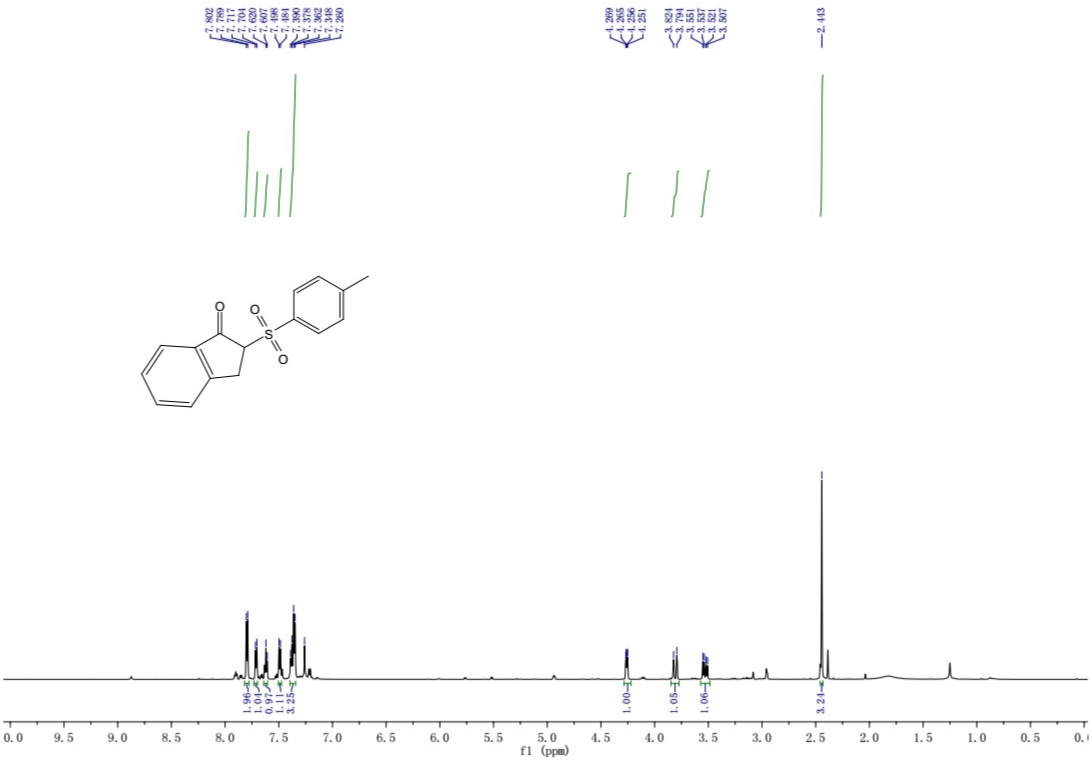
^{1}H NMR spectrum for compound **3n** ($CDCl_3$, 400 MHz)



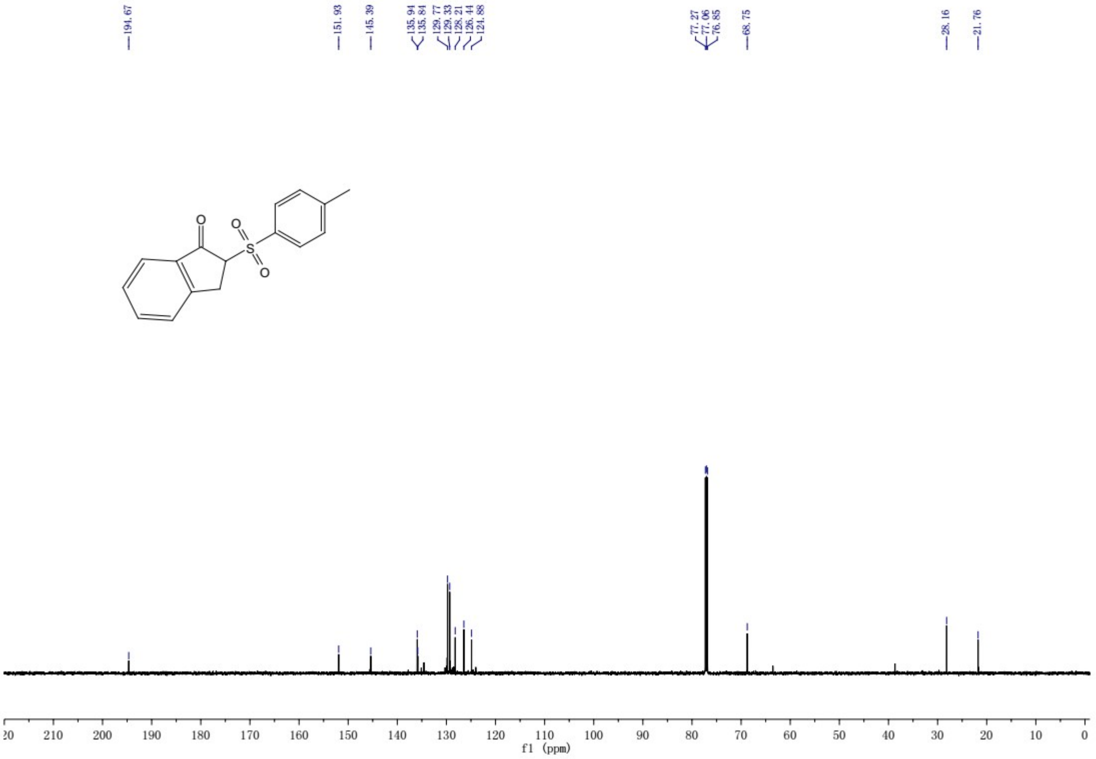
^{13}C NMR spectrum for compound **3n** ($CDCl_3$, 101 MHz)



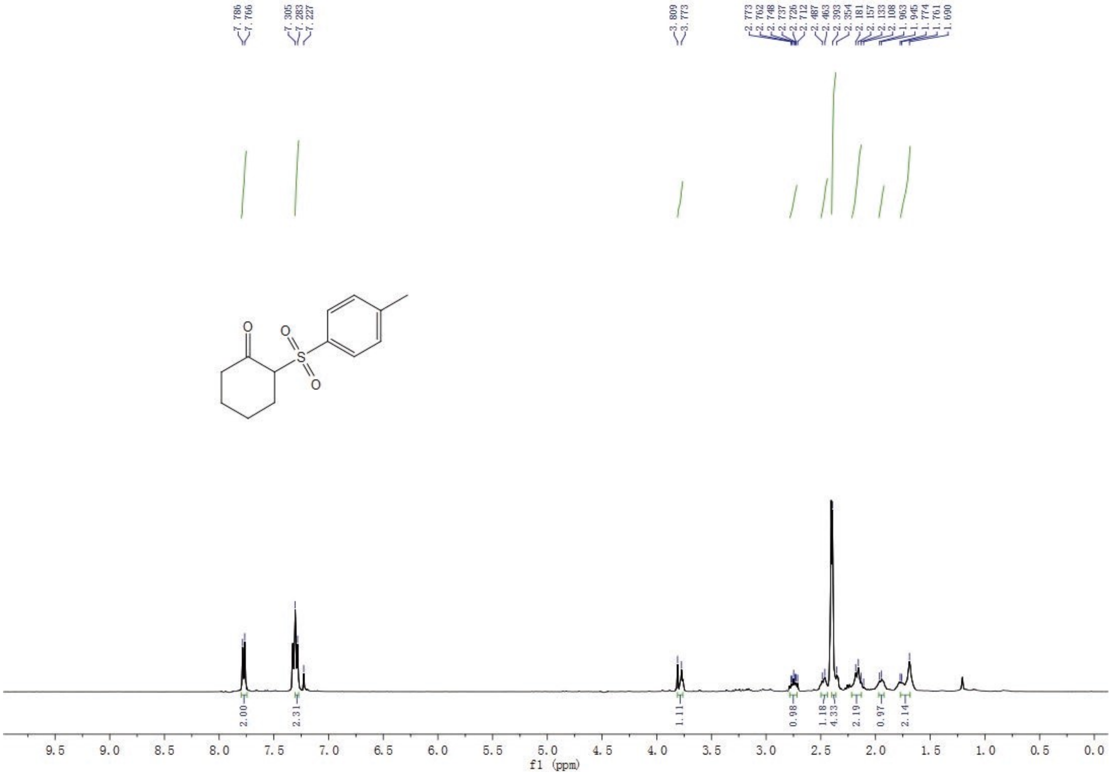
^{1}H NMR spectrum for compound **3o** ($CDCl_3$, 600 MHz)



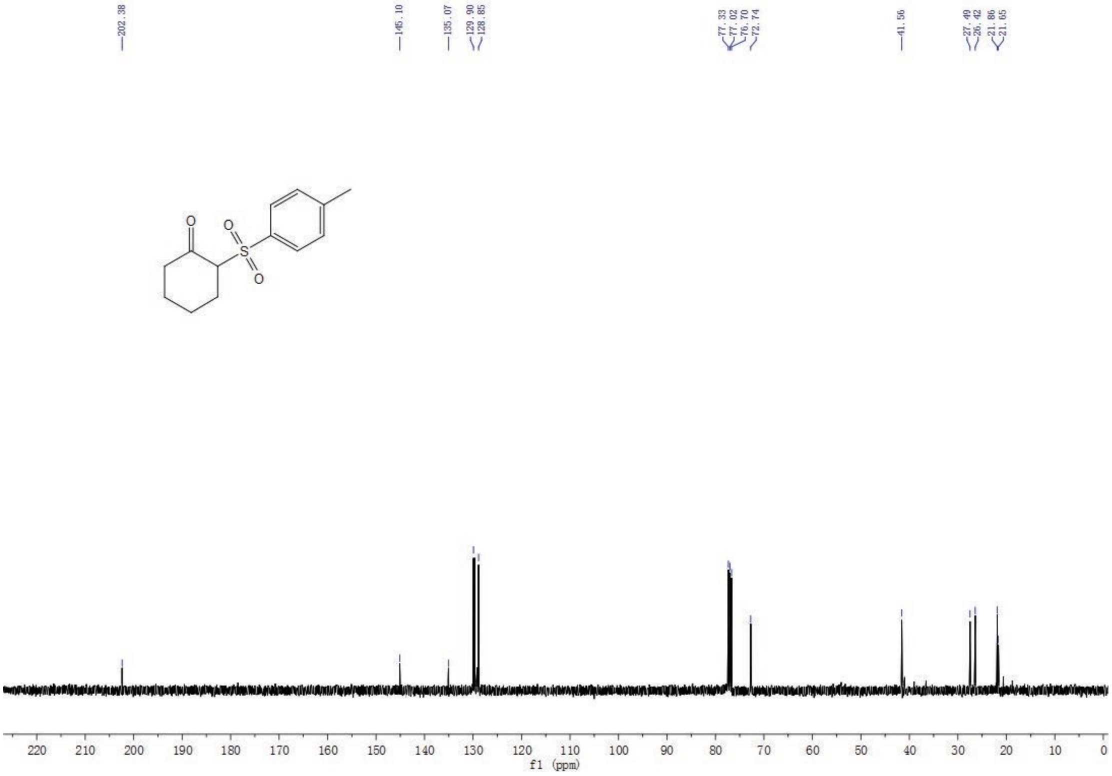
^{13}C NMR spectrum for compound **3o** ($CDCl_3$, 151 MHz)



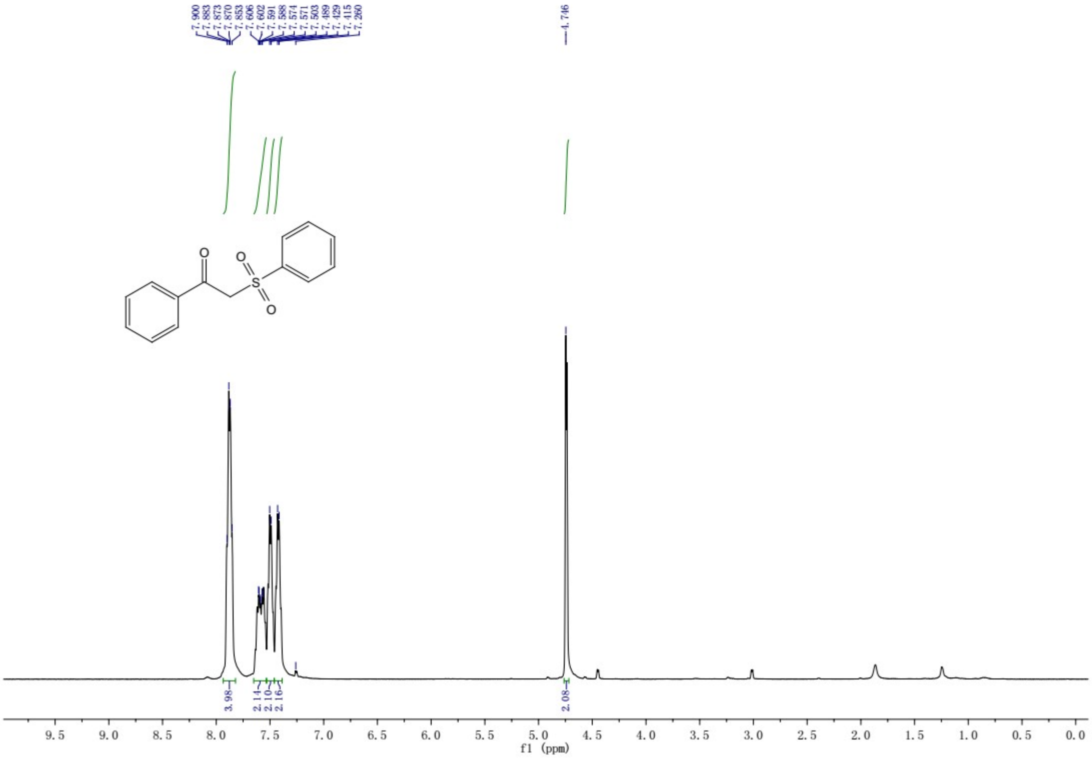
^{1}H NMR spectrum for compound **3p** (CDCl$_3$, 400 MHz)



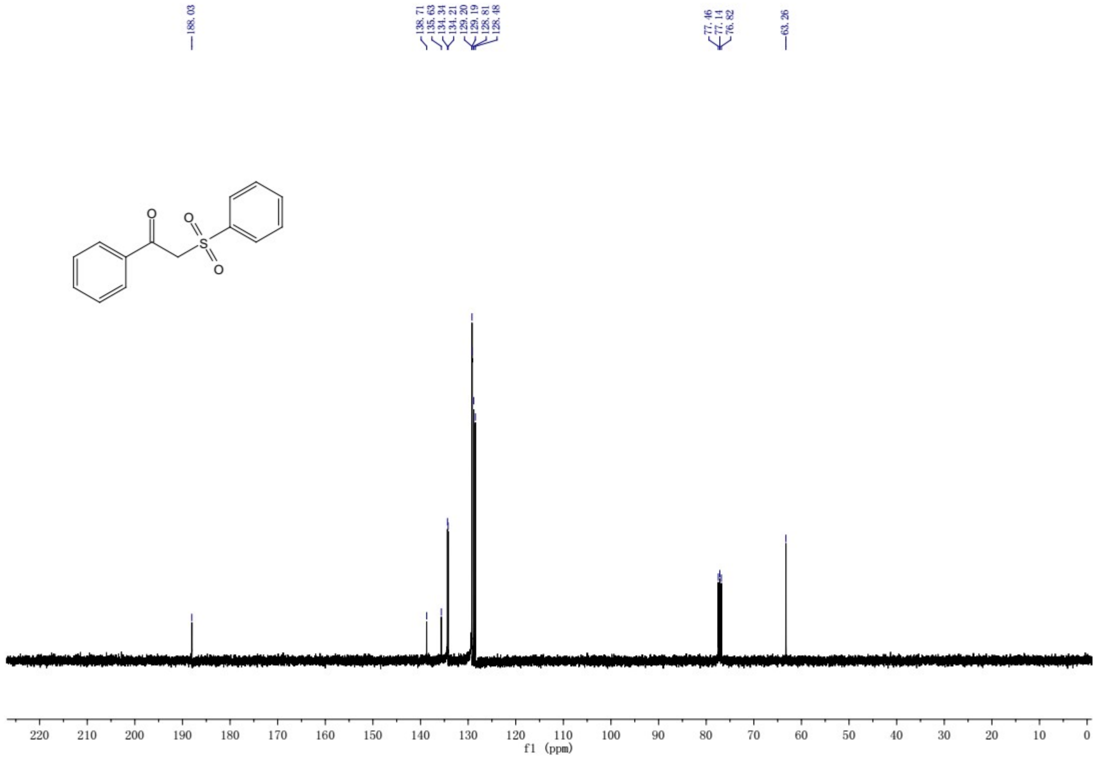
^{13}C NMR spectrum for compound **3p** (CDCl$_3$, 101 MHz)



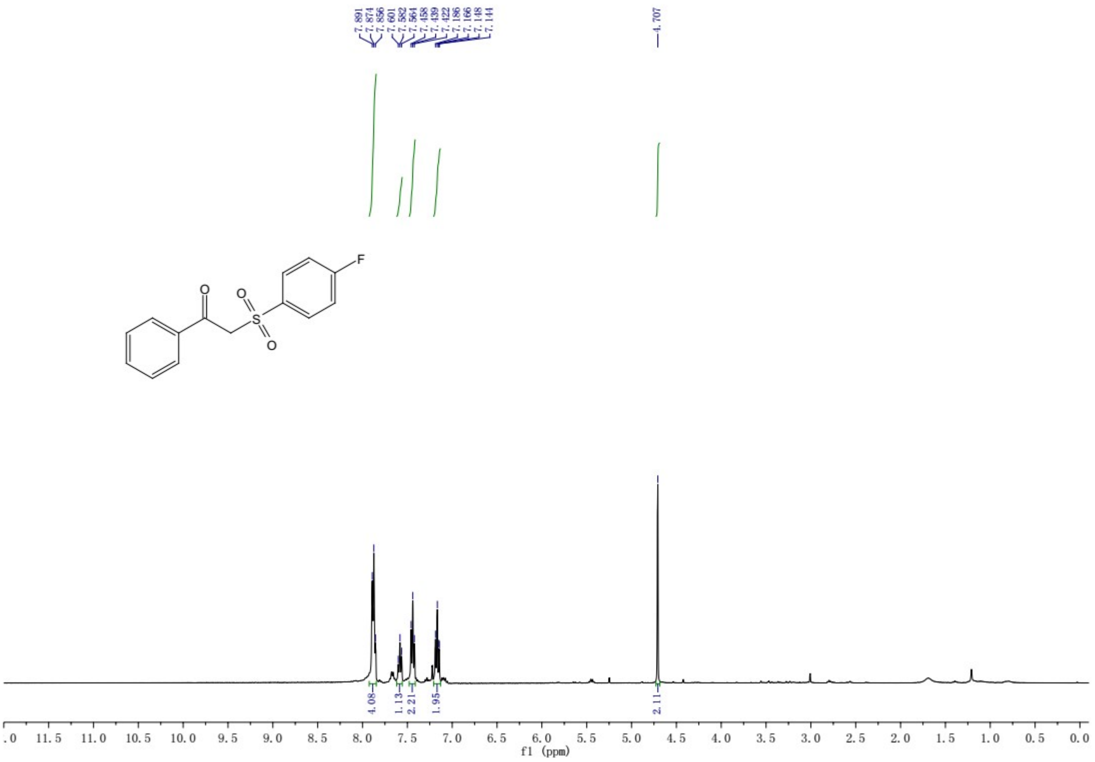
^{1}H NMR spectrum for compound **3q** ($CDCl_3$, 400 MHz)



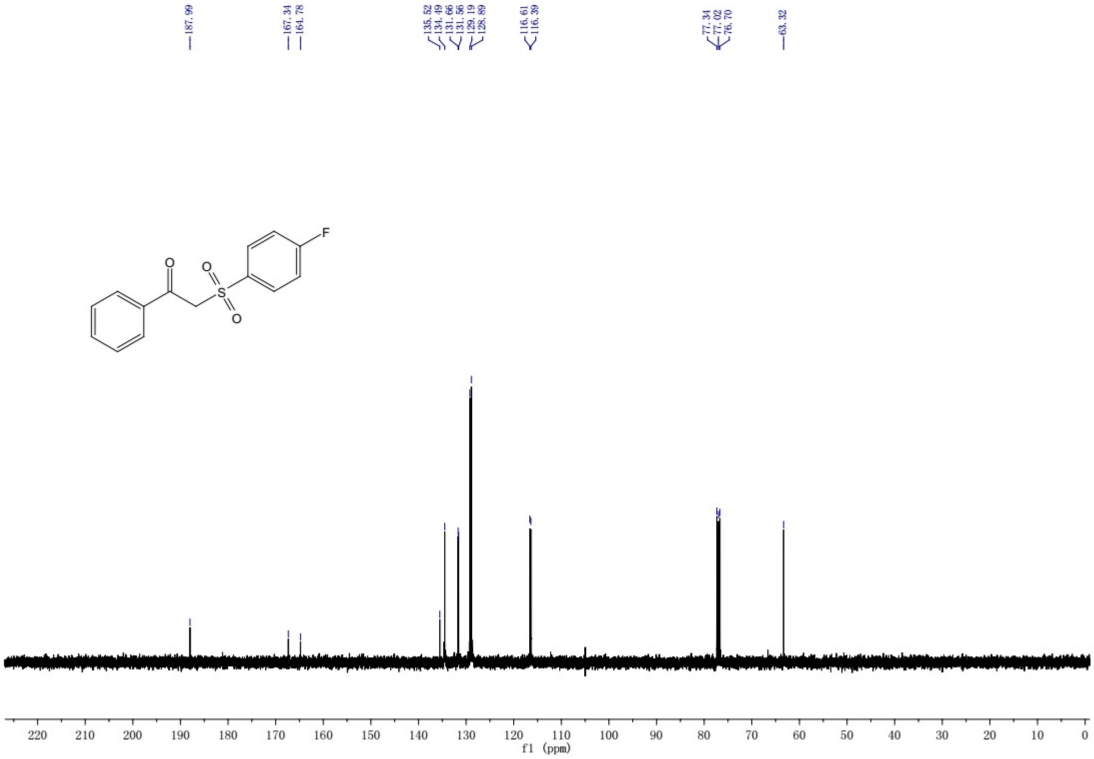
^{13}C NMR spectrum for compound **3q** ($CDCl_3$, 101 MHz)



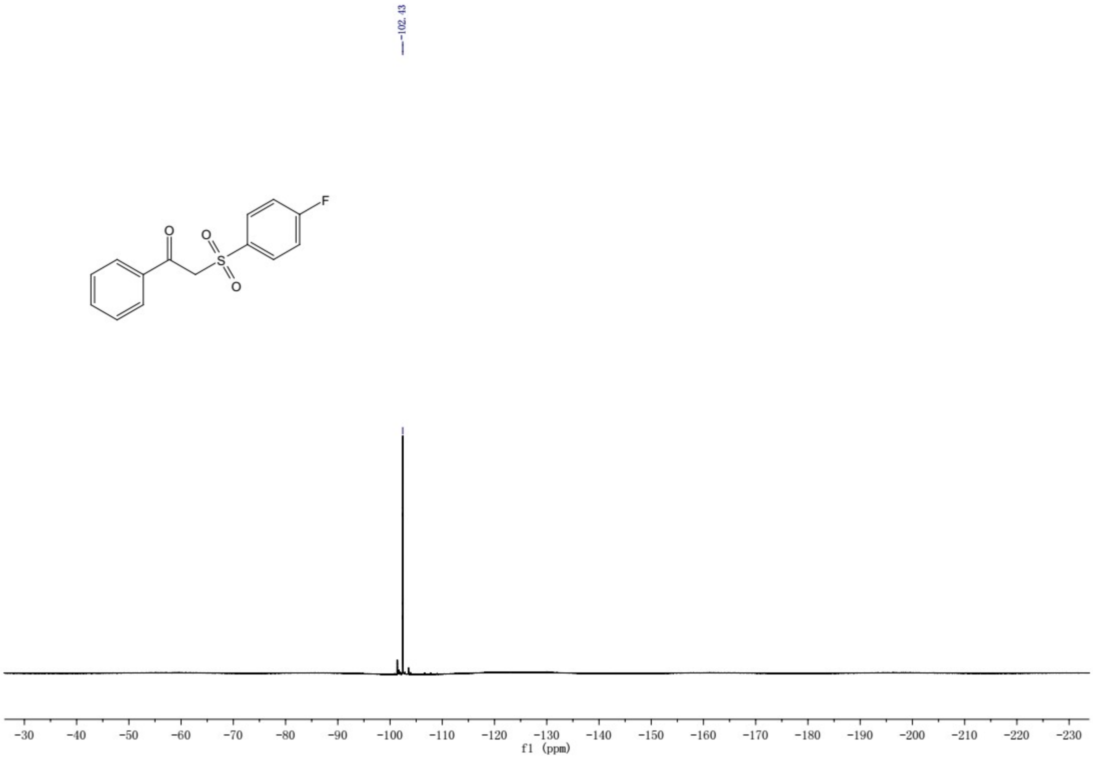
^{1}H NMR spectrum for compound **3r** ($CDCl_3$, 400 MHz)



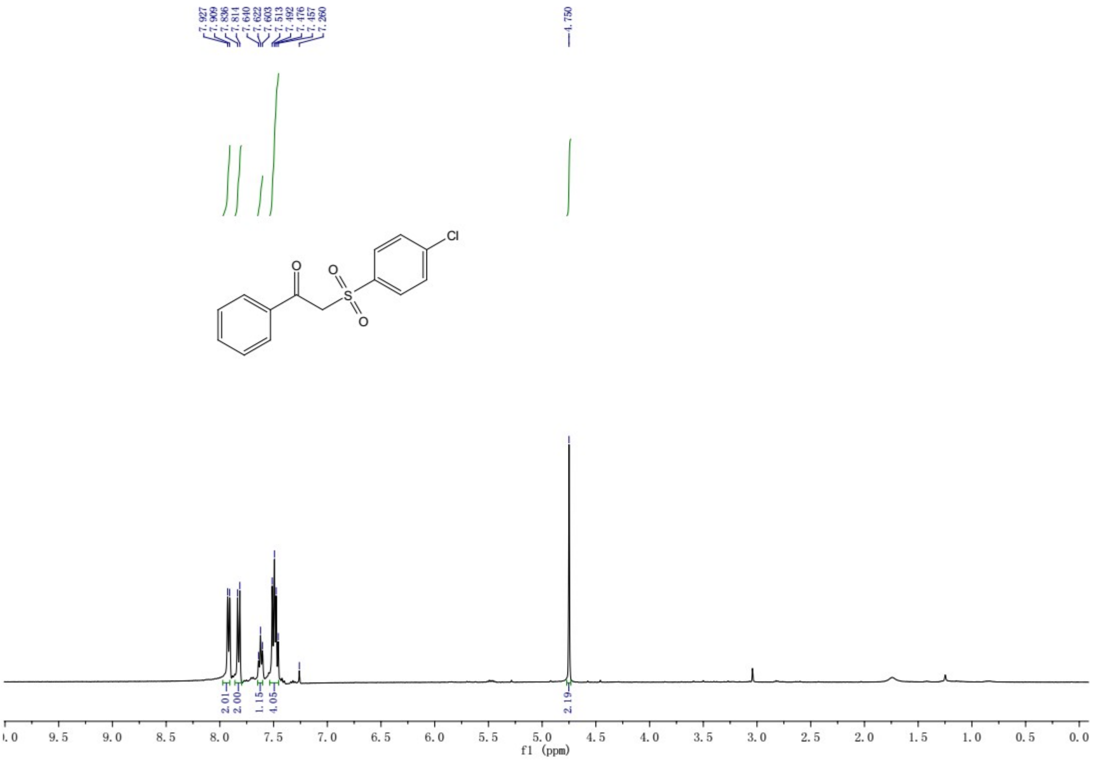
^{13}C NMR spectrum for compound **3r** ($CDCl_3$, 101 MHz)



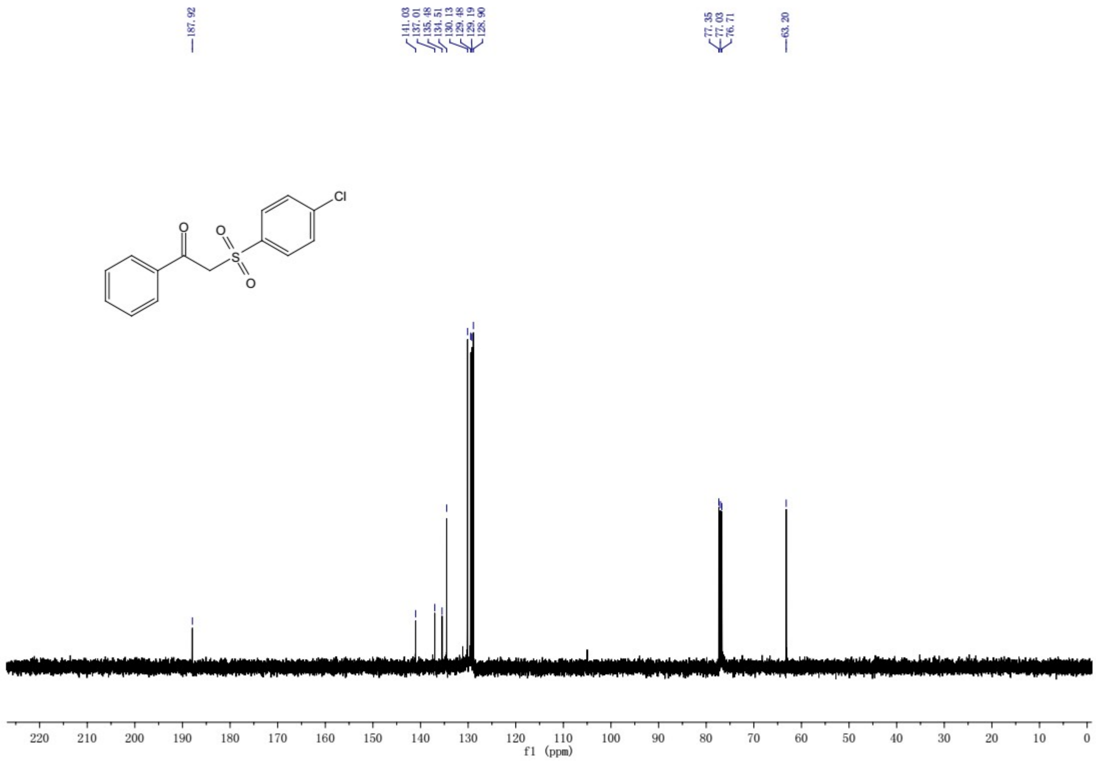
^{19}F NMR spectrum for compound **3r** ($CDCl_3$, 376 MHz)



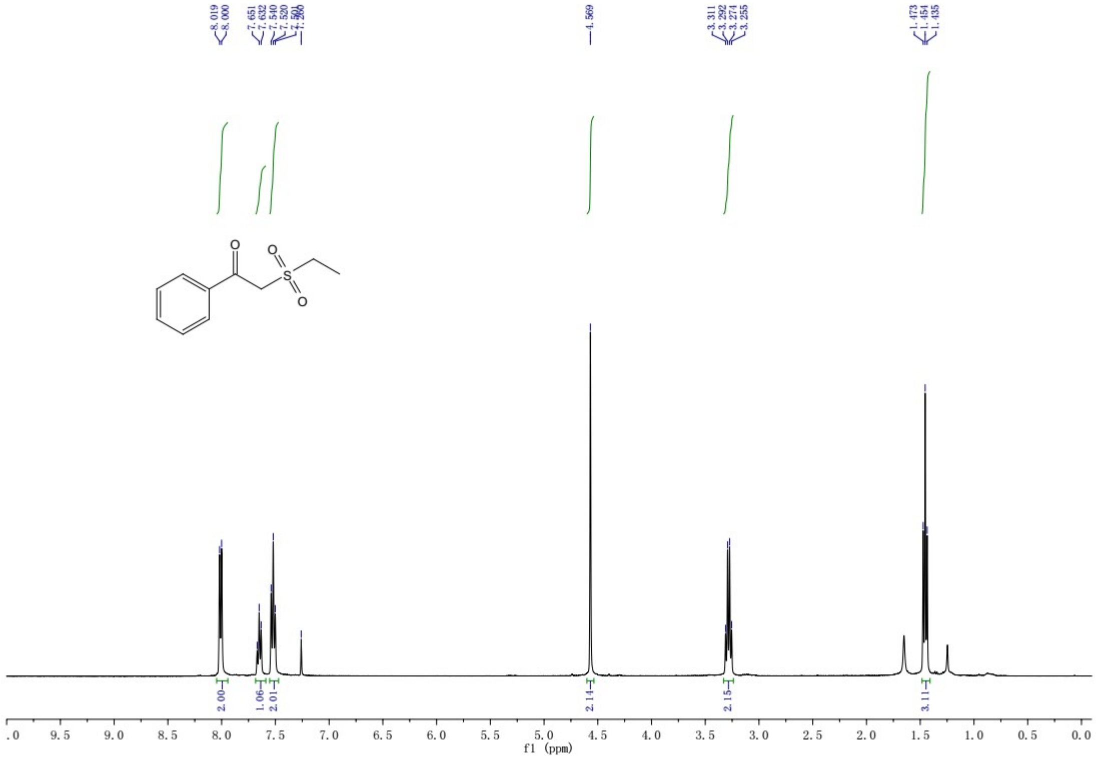
^{1}H NMR spectrum for compound **3s** ($CDCl_3$, 400 MHz)



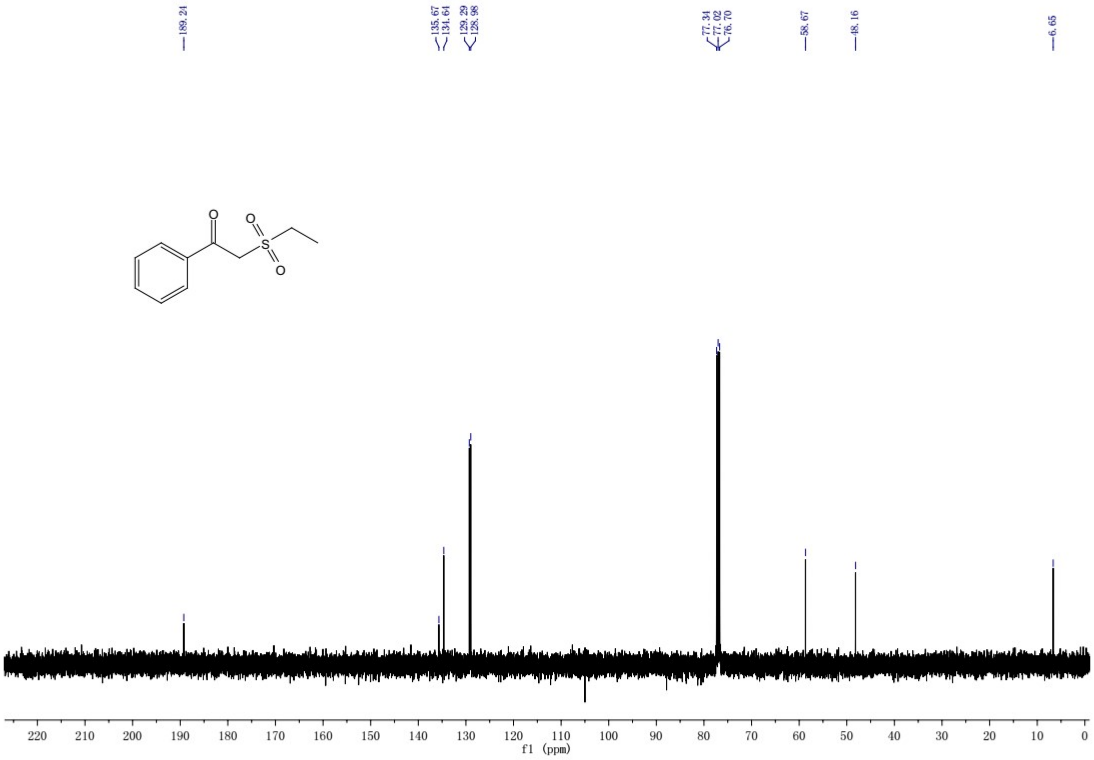
^{13}C NMR spectrum for compound **3s** ($CDCl_3$, 101 MHz)



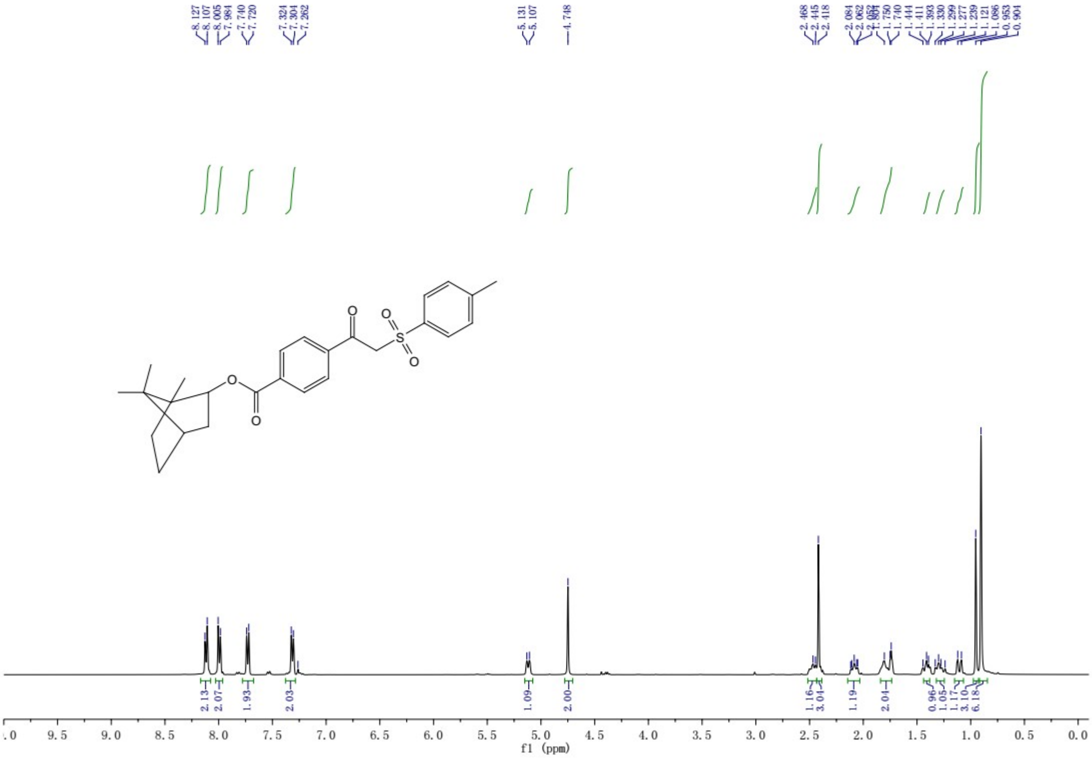
^{1}H NMR spectrum for compound **3t** ($CDCl_3$, 400 MHz)



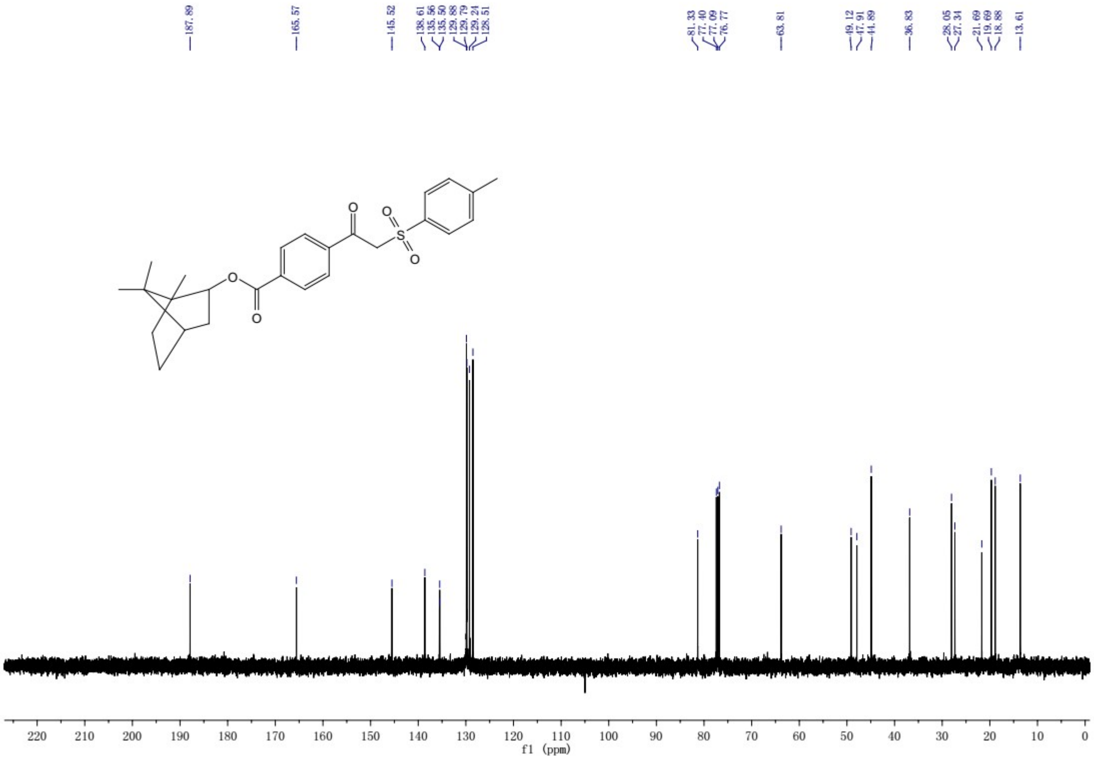
^{13}C NMR spectrum for compound **3t** ($CDCl_3$, 101 MHz)



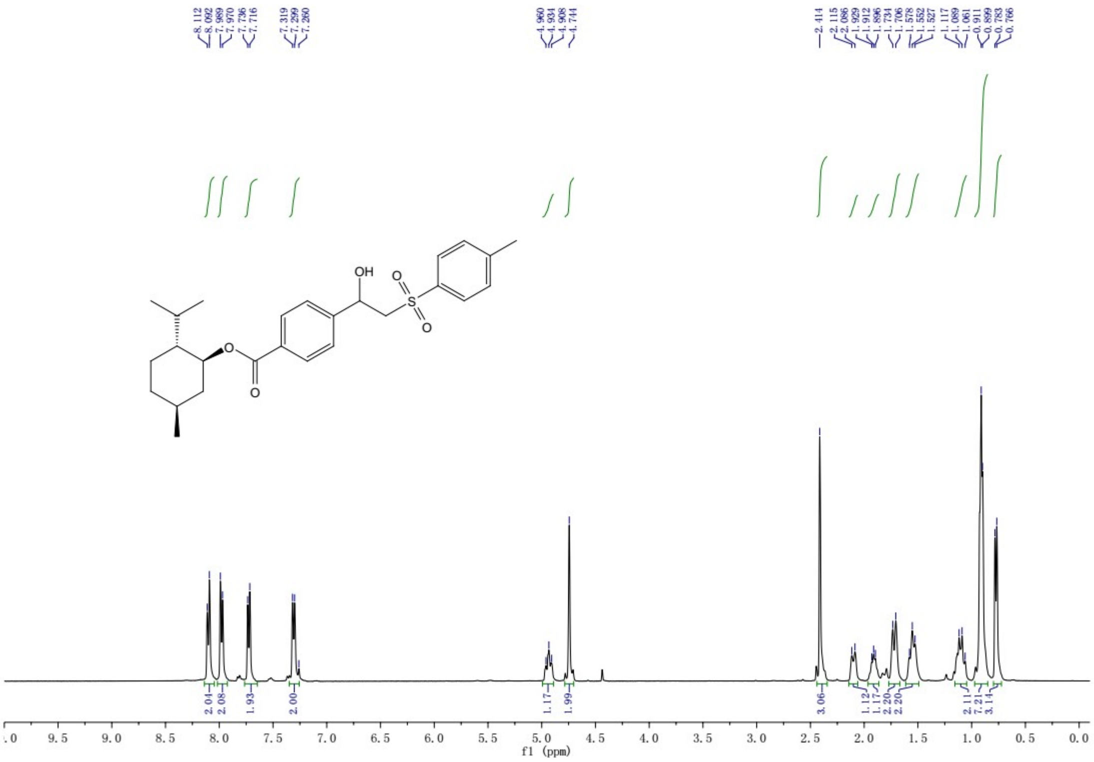
^{1}H NMR spectrum for compound **3u** ($CDCl_3$, 400 MHz)



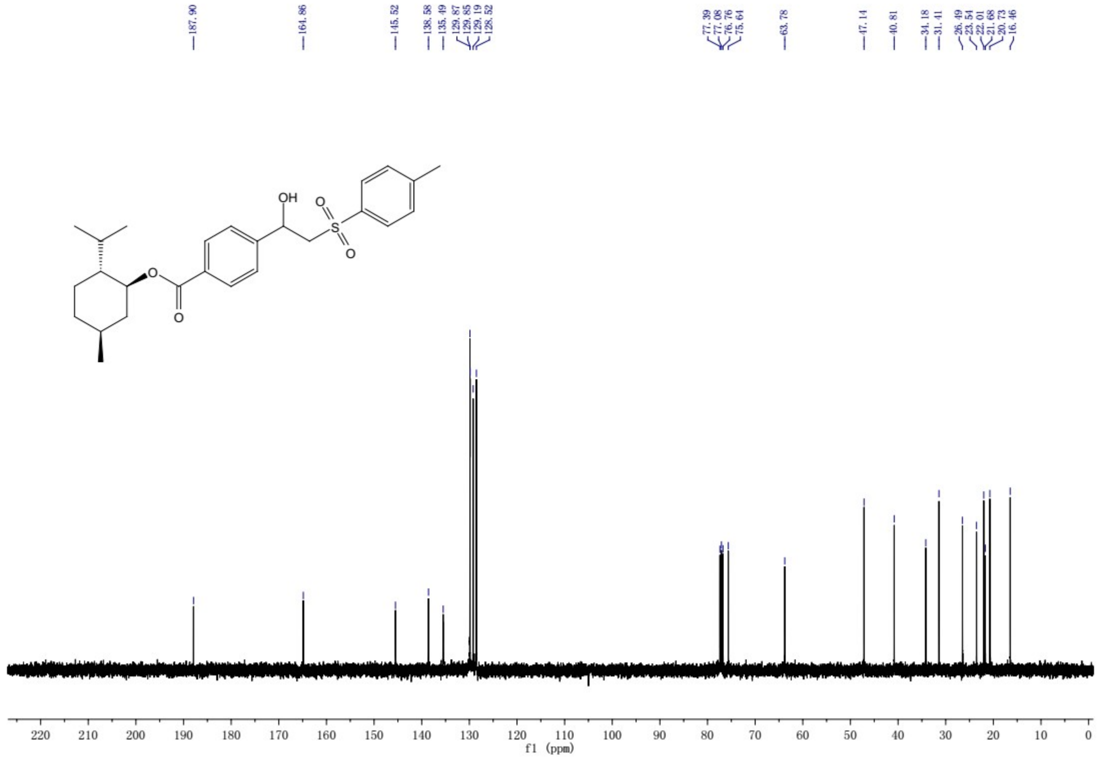
^{13}C NMR spectrum for compound **3u** ($CDCl_3$, 101 MHz)



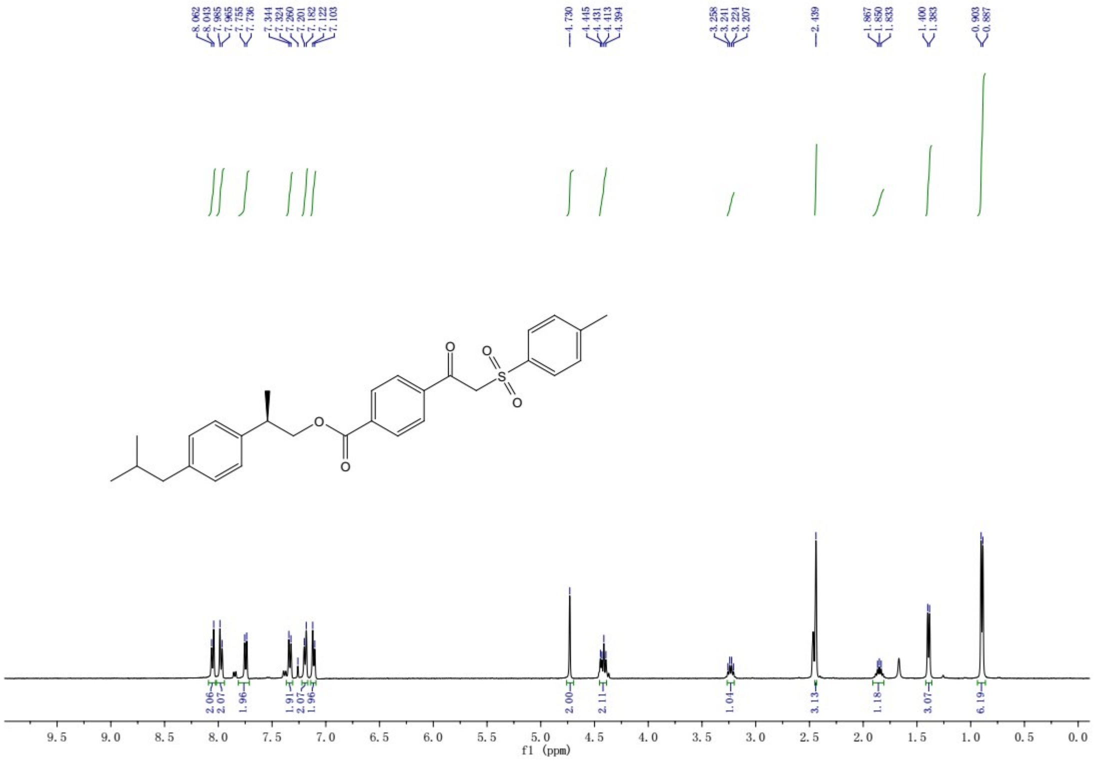
^{1}H NMR spectrum for compound **3v** (CDCl$_3$, 400 MHz)



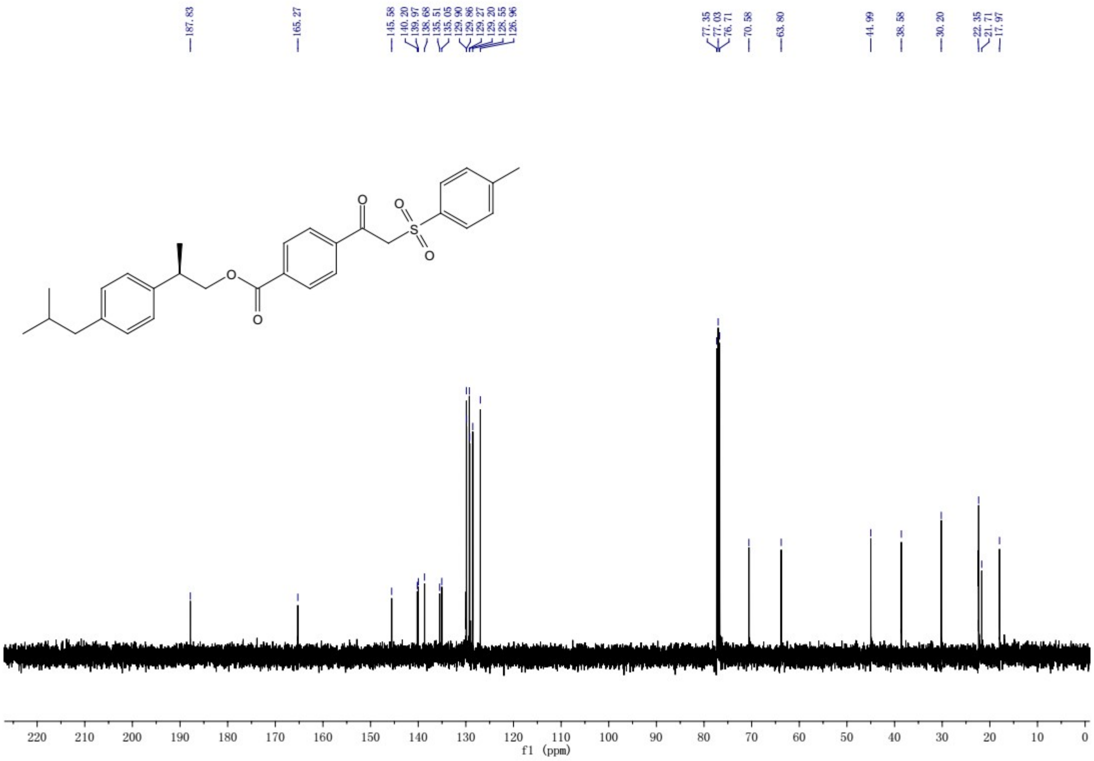
^{13}C NMR spectrum for compound **3v** (CDCl$_3$, 101 MHz)



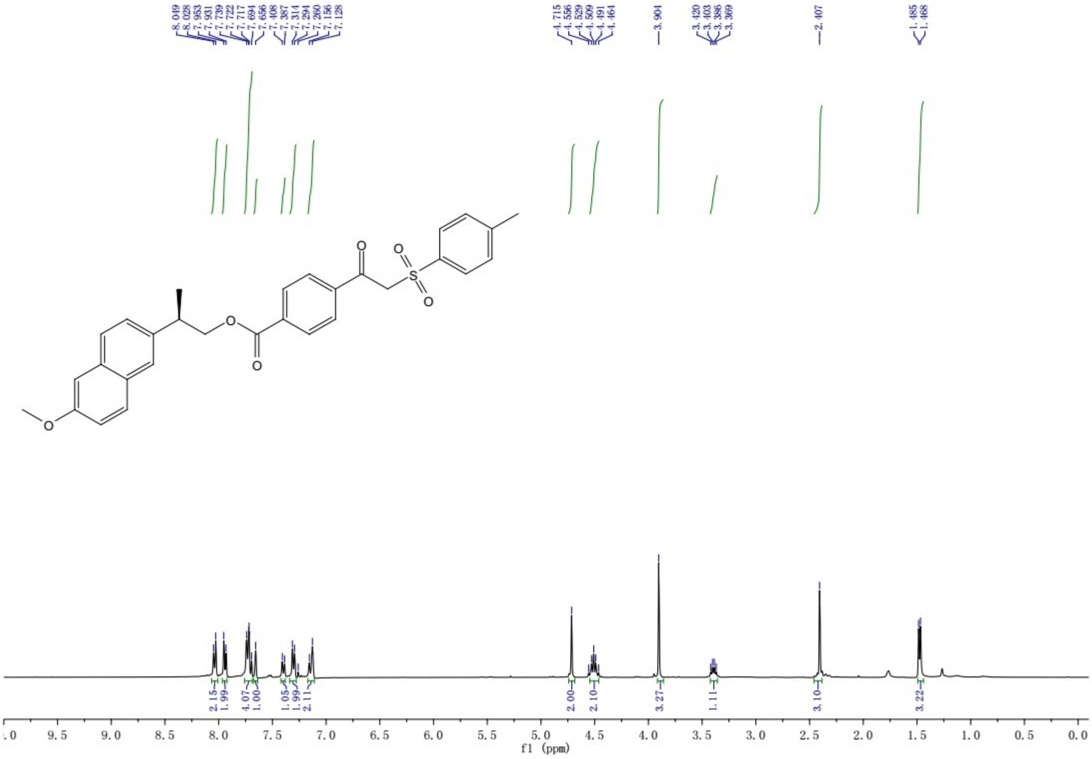
^{1}H NMR spectrum for compound **3w** ($CDCl_3$, 400 MHz)



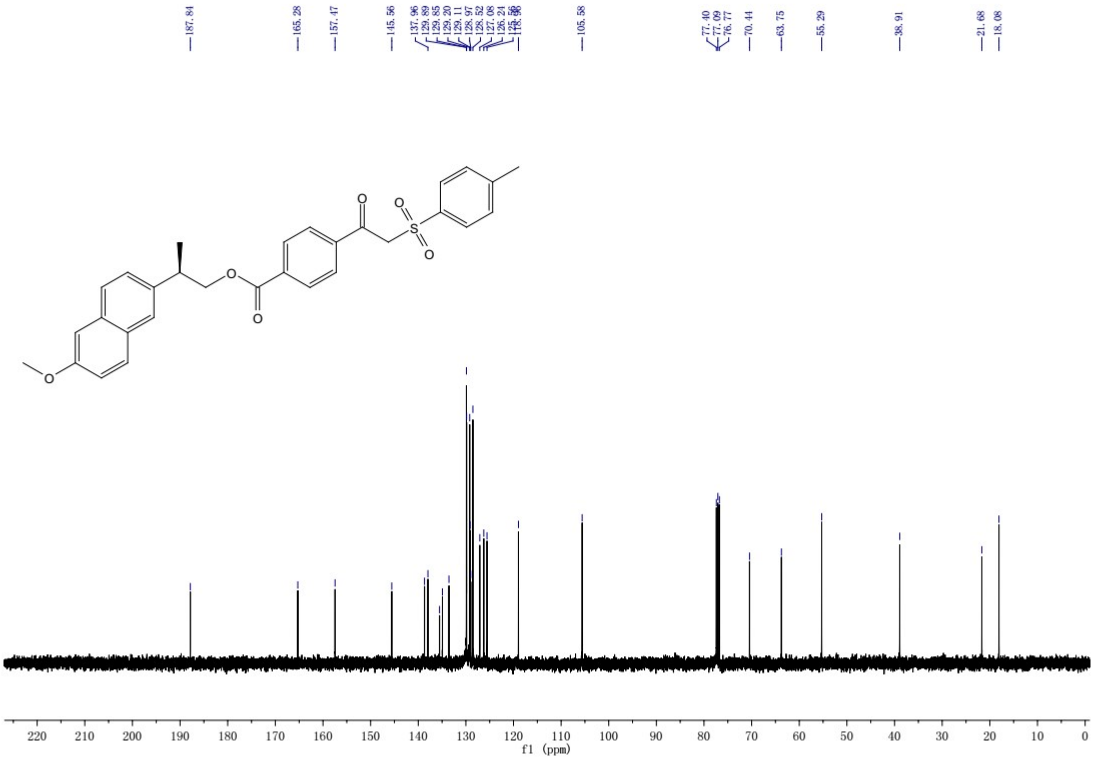
^{13}C NMR spectrum for compound **3w** ($CDCl_3$, 101 MHz)



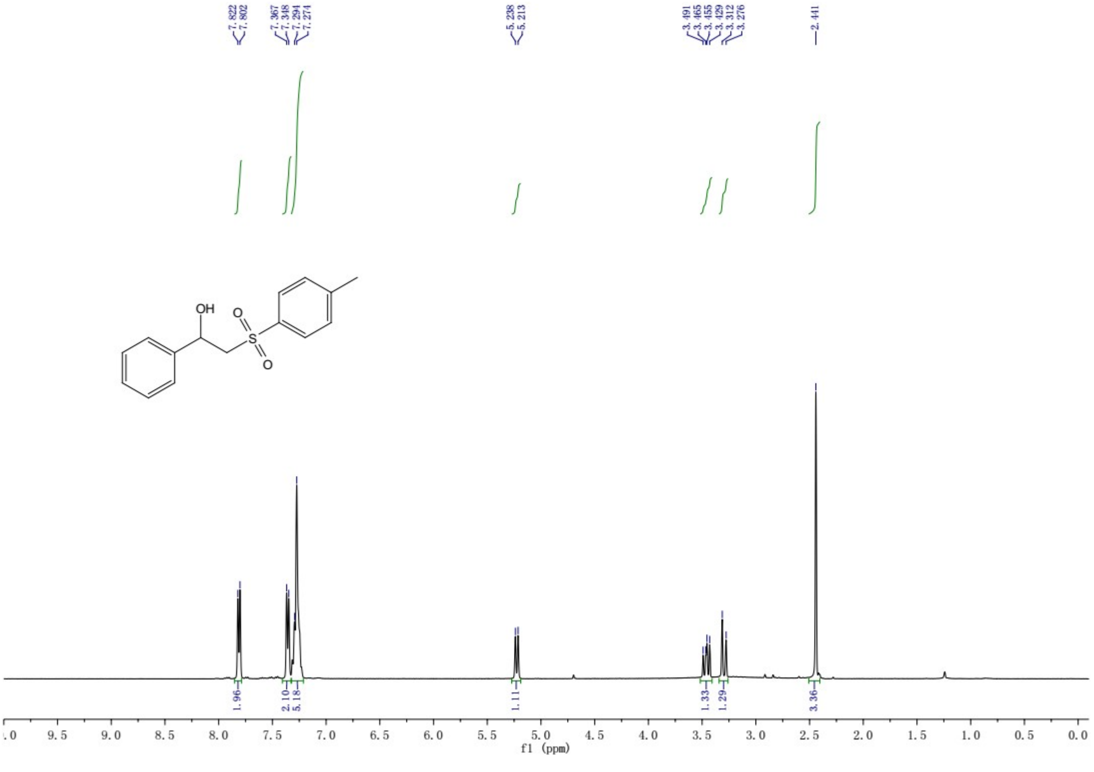
^{1}H NMR spectrum for compound **3x** ($CDCl_3$, 400 MHz)



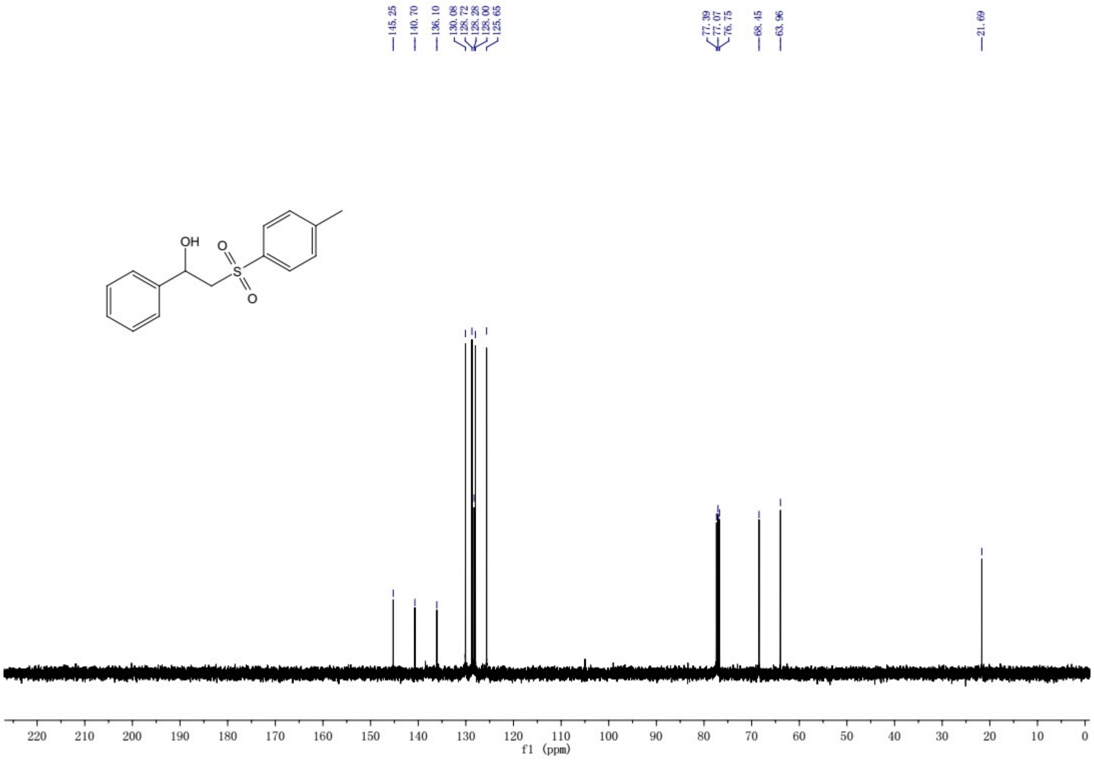
^{13}C NMR spectrum for compound **3x** ($CDCl_3$, 101 MHz)



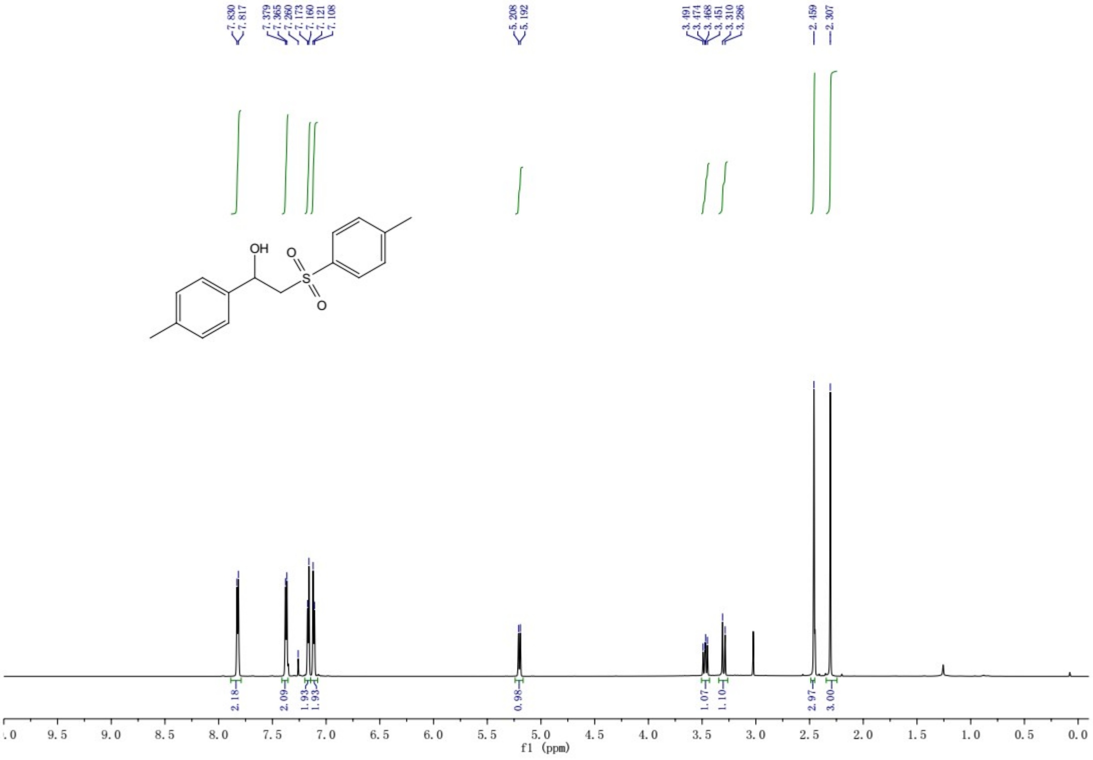
^{1}H NMR spectrum for compound **4a** (CDCl$_3$, 400 MHz)



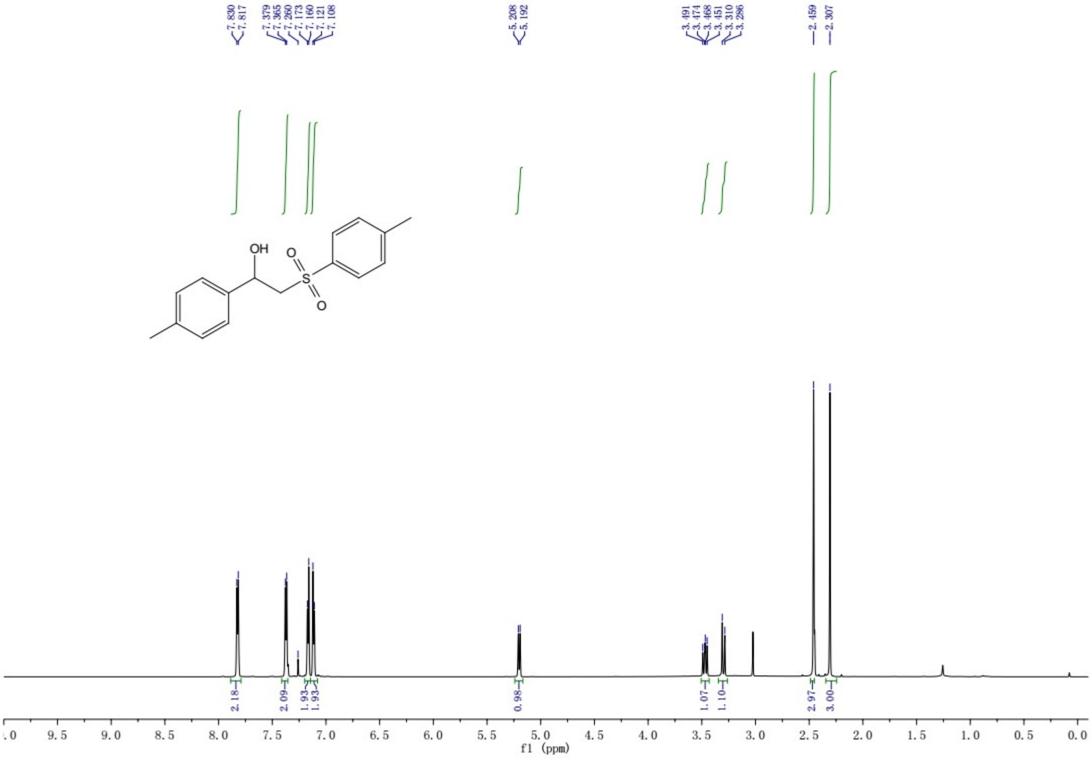
^{13}C NMR spectrum for compound **4a** ($CDCl_3$, 101 MHz)



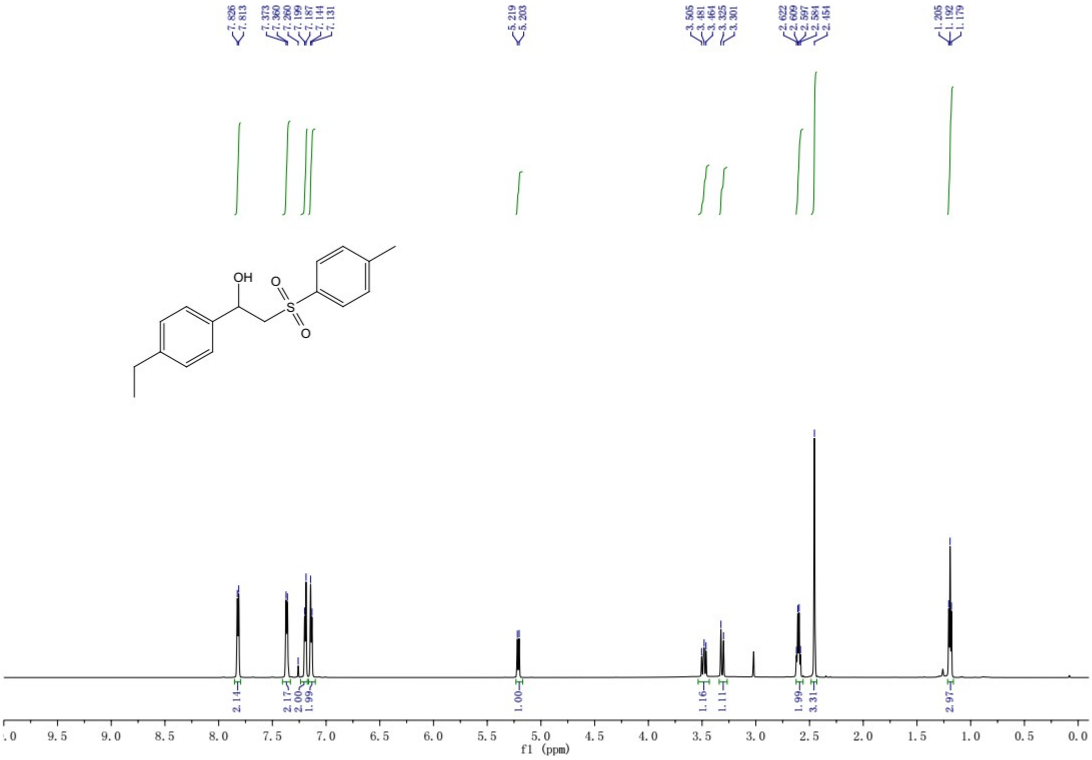
^{1}H NMR spectrum for compound **4b** ($CDCl_3$, 600 MHz)



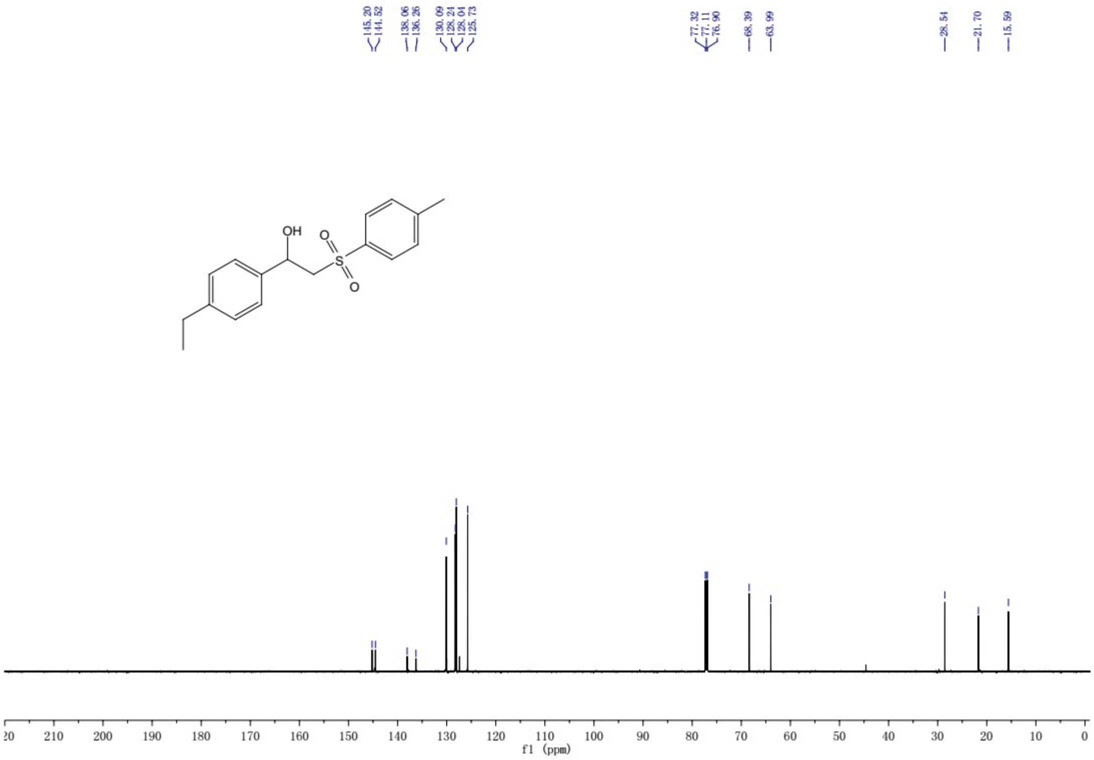
^{13}C NMR spectrum for compound **4b** ($CDCl_3$, 151 MHz)



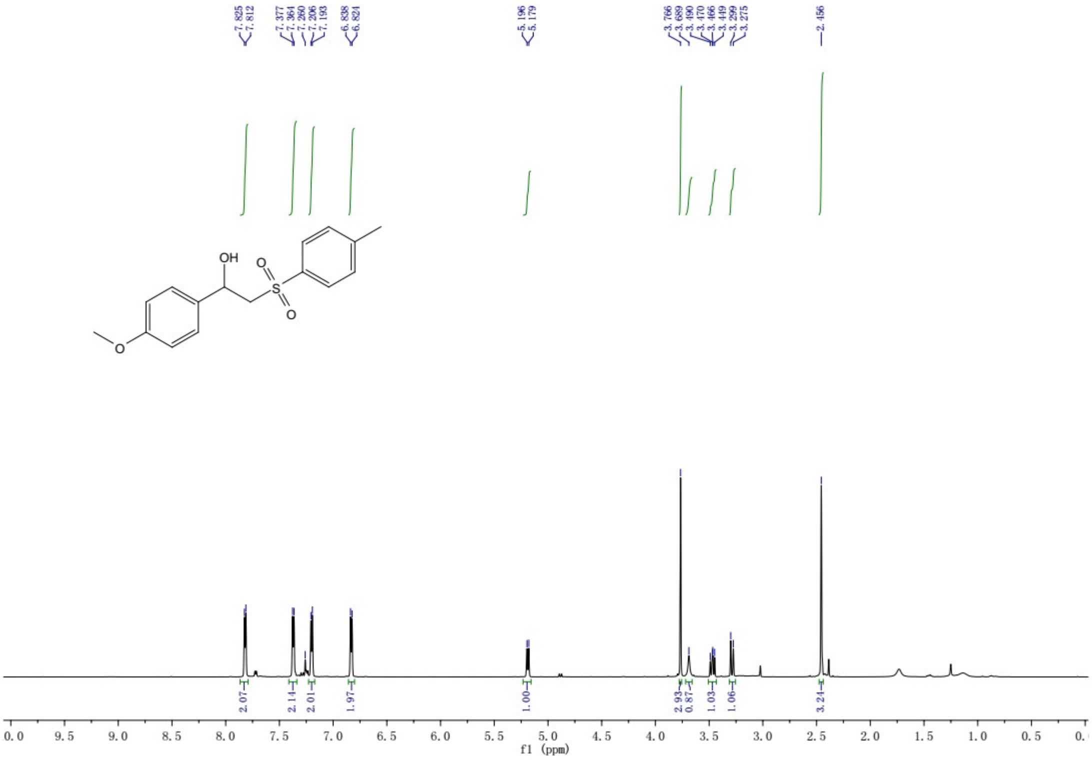
^{1}H NMR spectrum for compound **4c** ($CDCl_3$, 600 MHz)



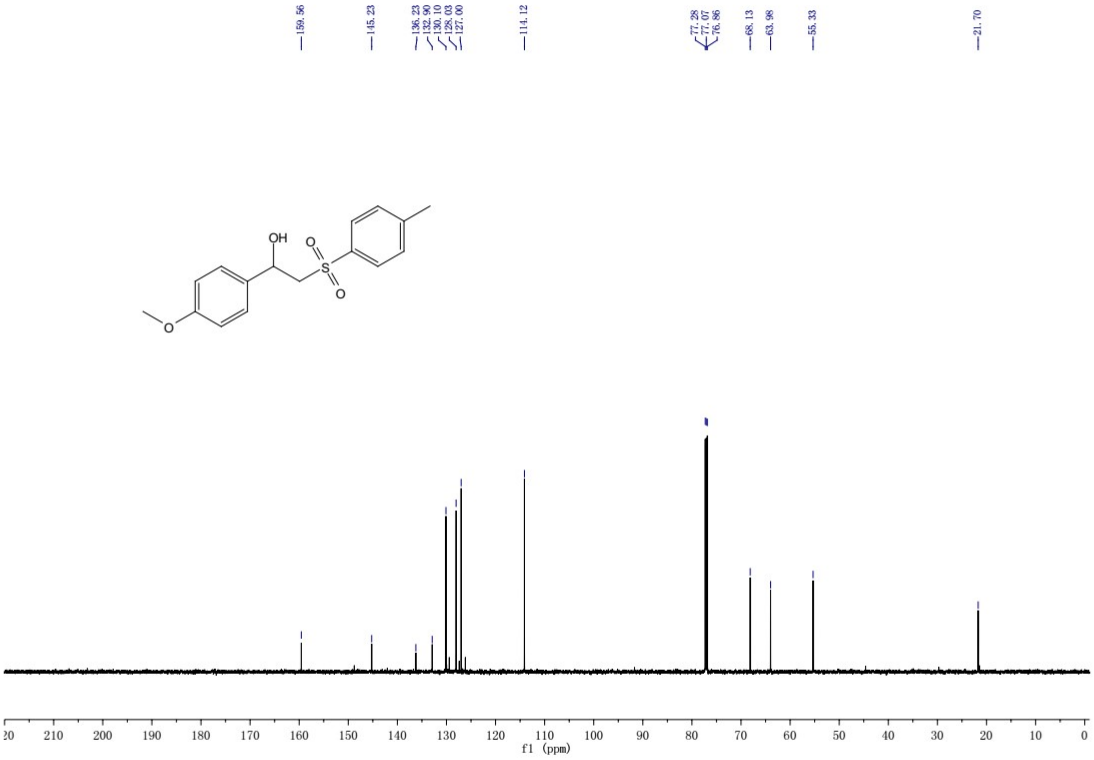
^{13}C NMR spectrum for compound **4c** ($CDCl_3$, 151 MHz)



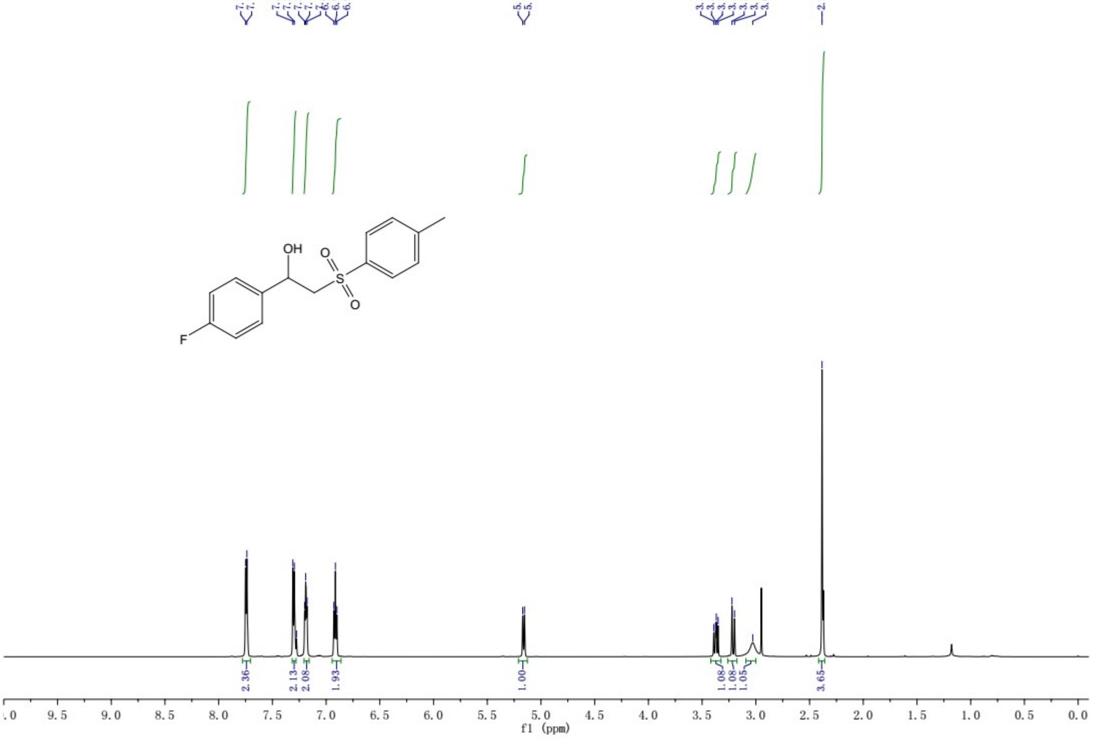
^{1}H NMR spectrum for compound **4d** ($CDCl_3$, 600 MHz)



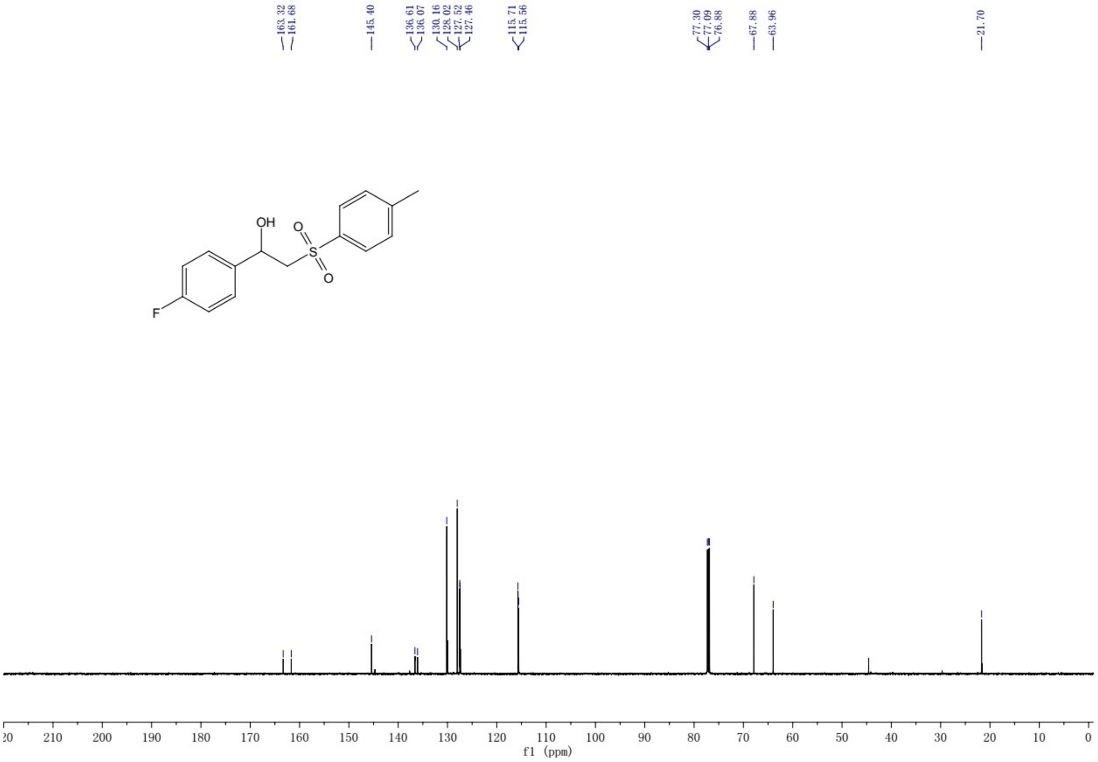
^{13}C NMR spectrum for compound **4d** ($CDCl_3$, 151 MHz)



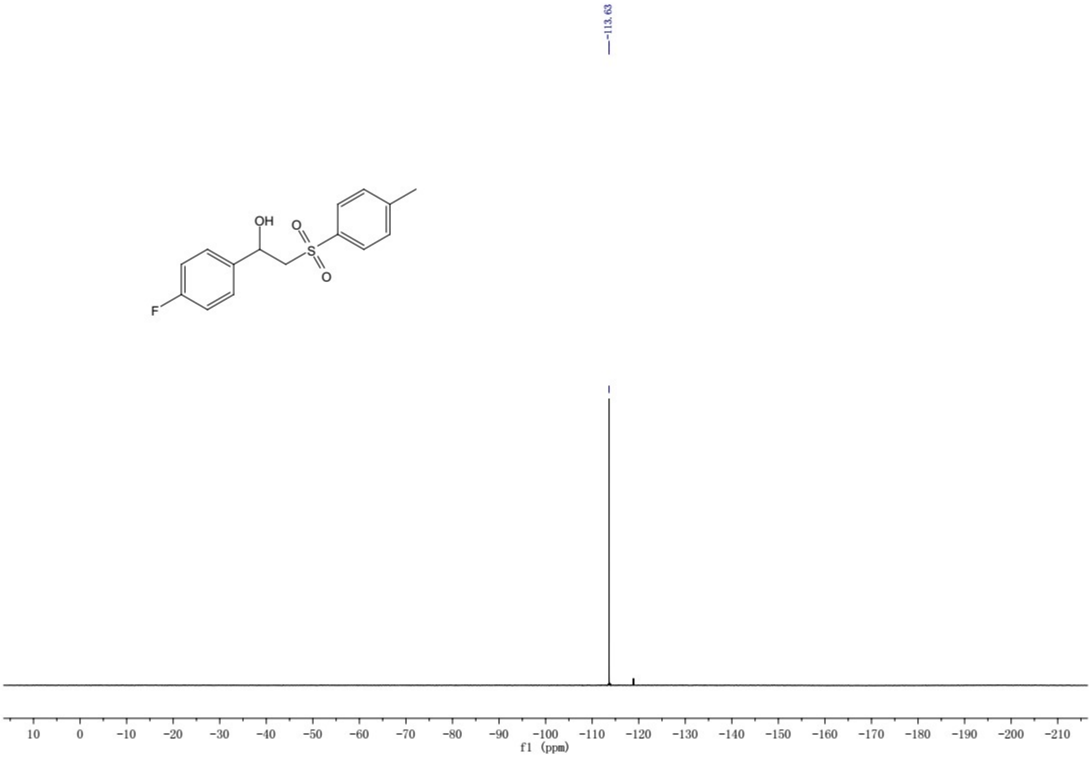
^{1}H NMR spectrum for compound **4e** ($CDCl_3$, 600 MHz)



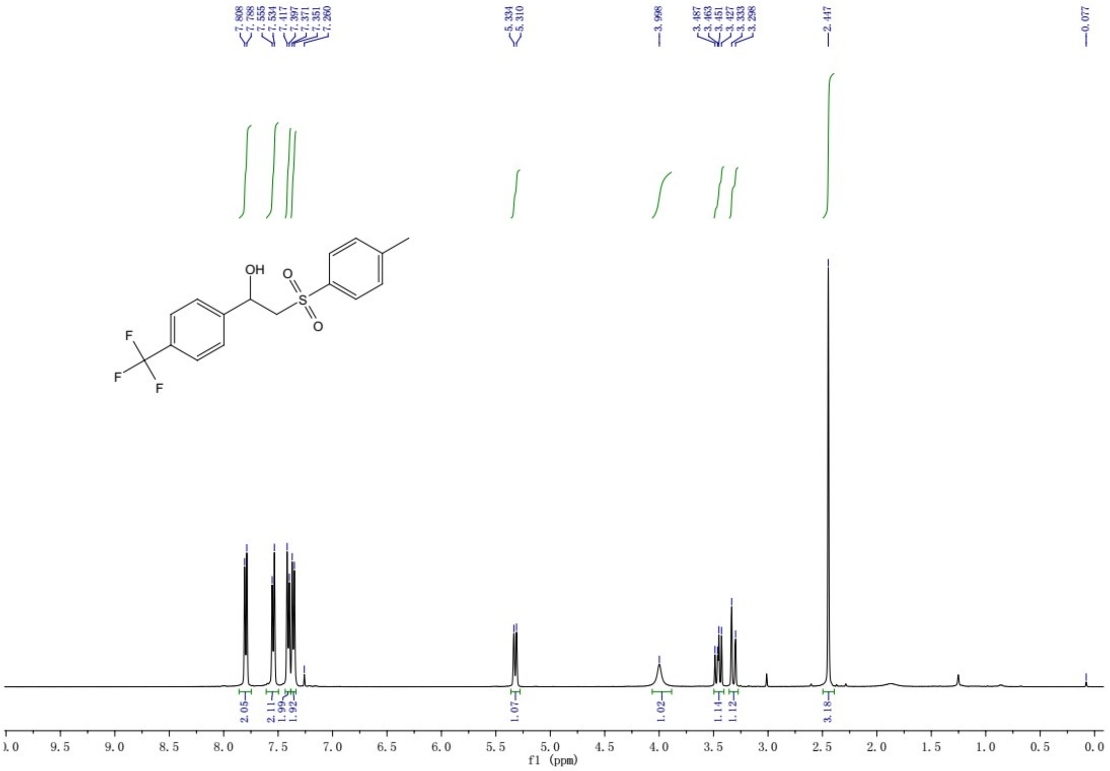
^{13}C NMR spectrum for compound **4e** ($CDCl_3$, 151 MHz)



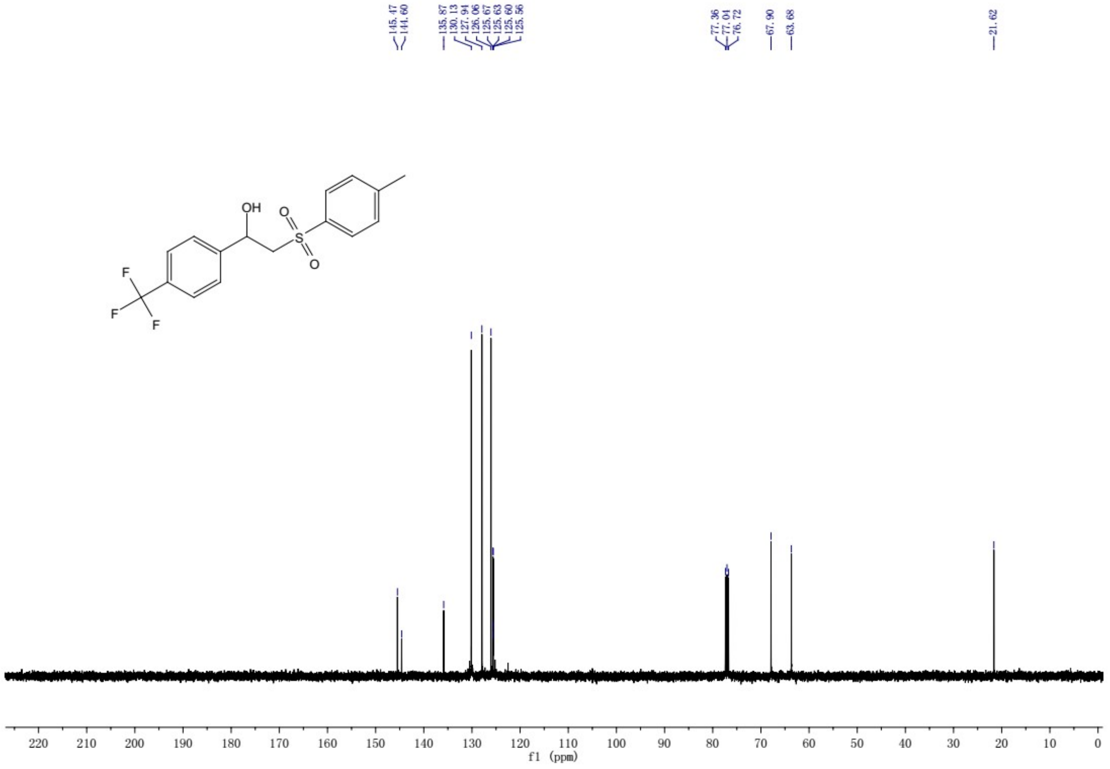
^{19}F NMR spectrum for compound **4e** ($CDCl_3$, 576 MHz)



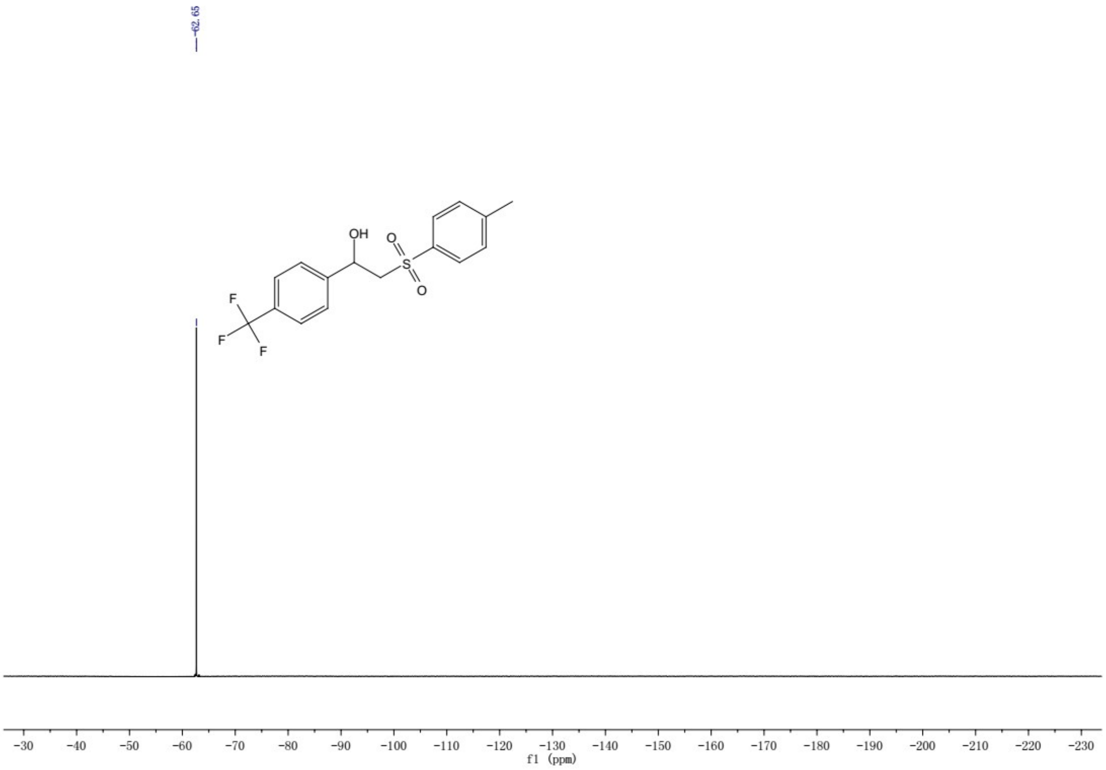
^{1}H NMR spectrum for compound **4f** (CDCl$_3$, 400 MHz)



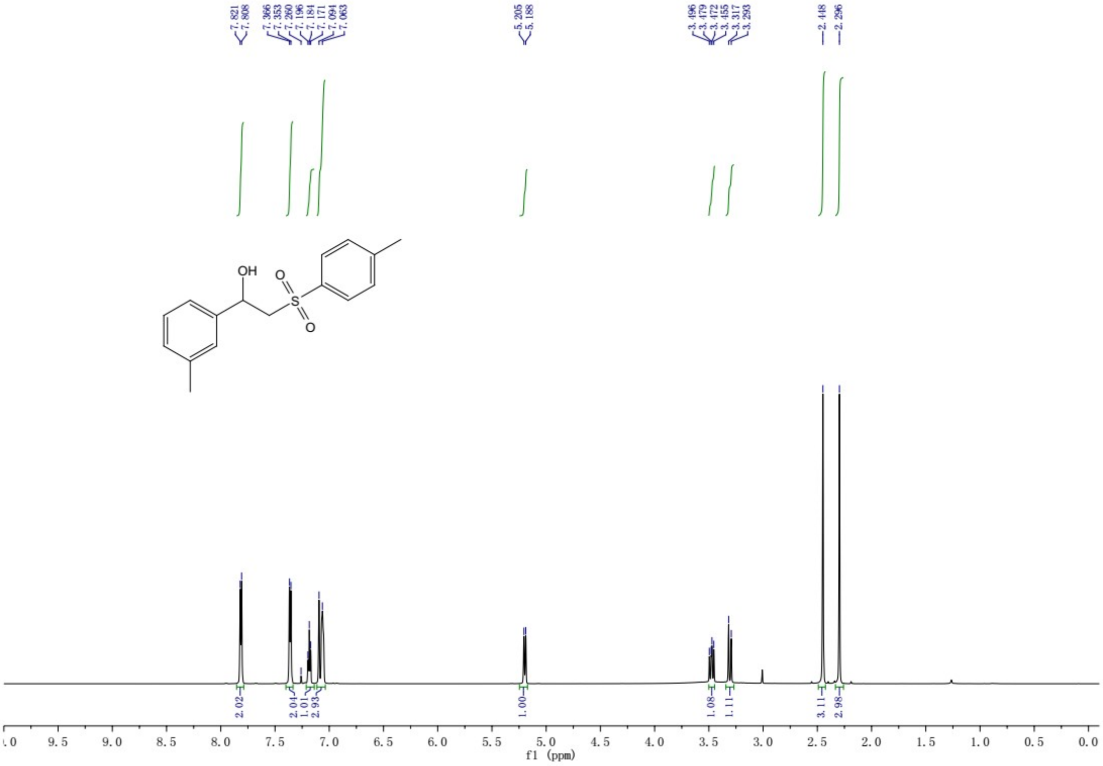
^{13}C NMR spectrum for compound **4f** (CDCl$_3$, 101 MHz)



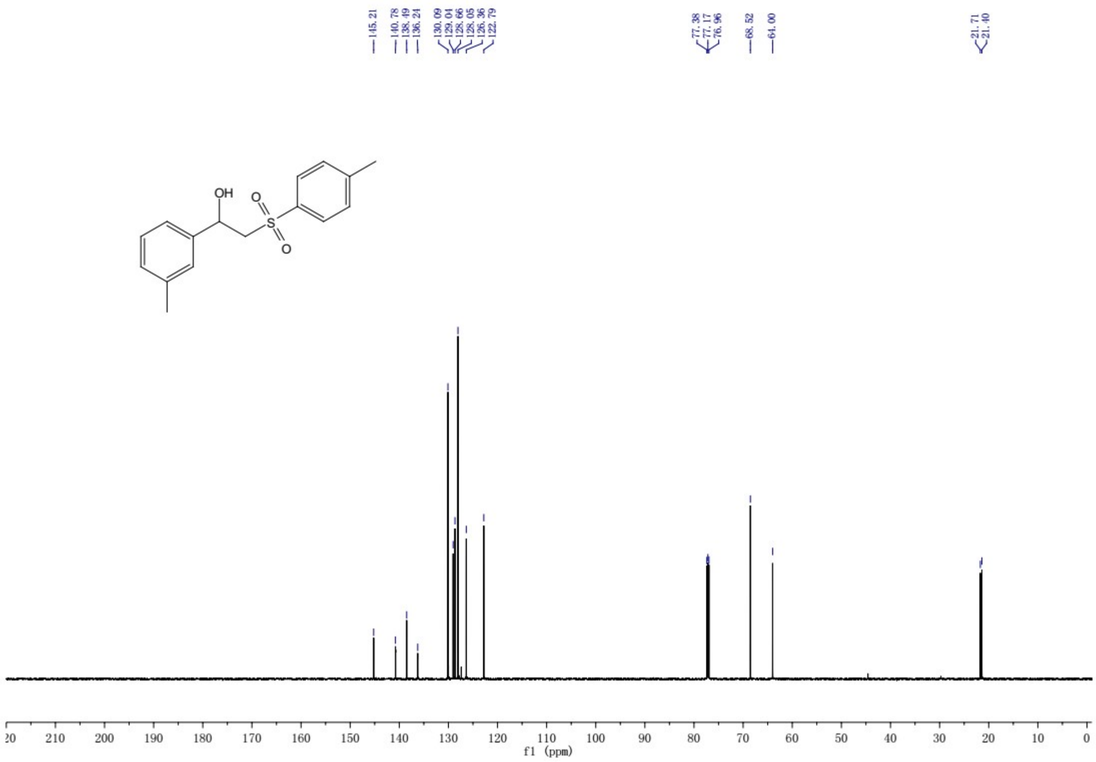
^{19}F NMR spectrum for compound **4f** ($CDCl_3$, 376 MHz)



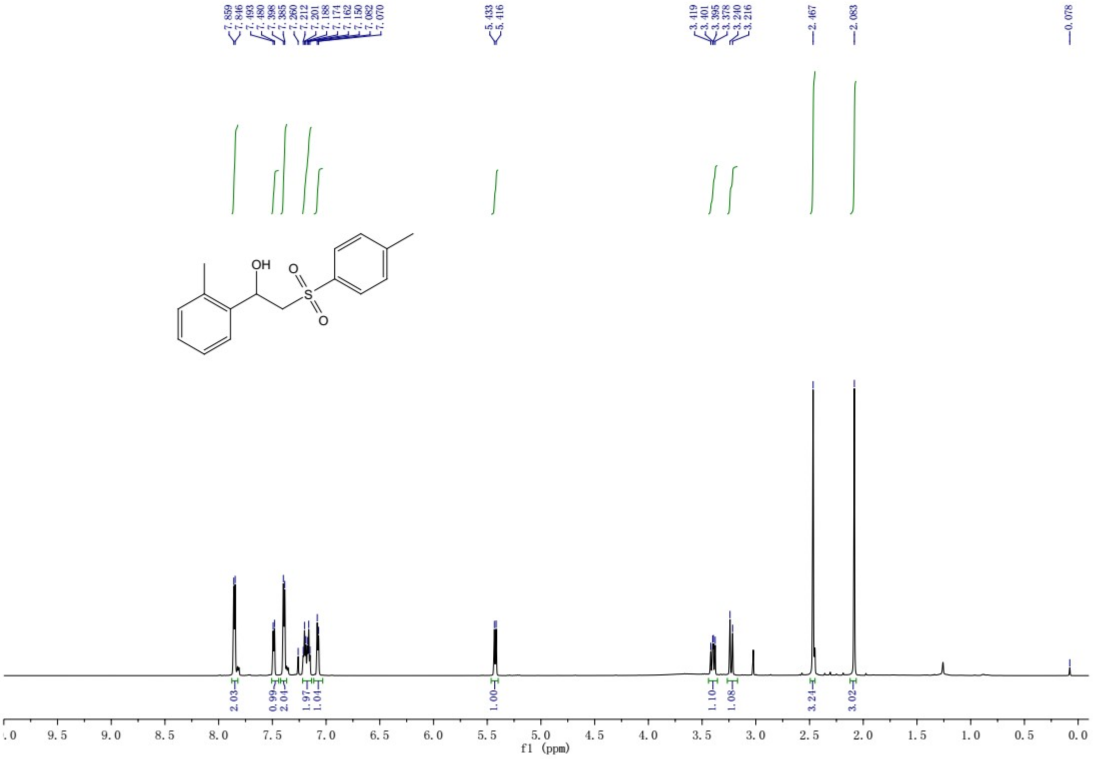
^{1}H NMR spectrum for compound **4g** ($CDCl_3$, 600 MHz)



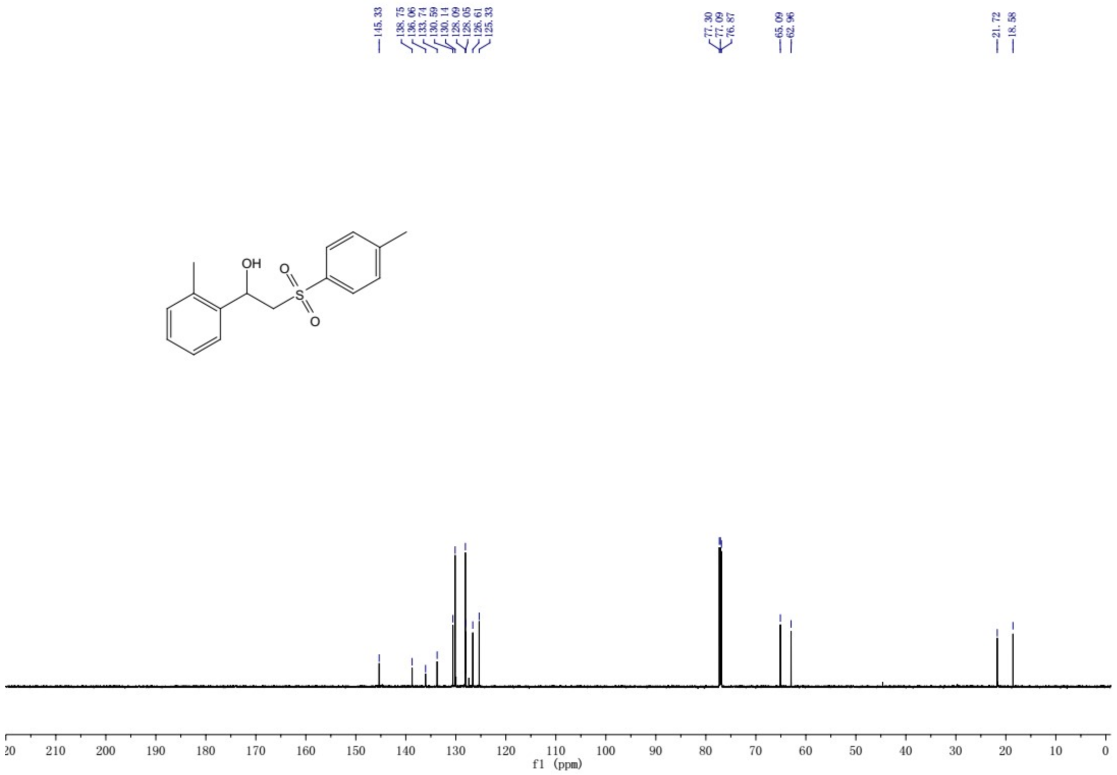
^{13}C NMR spectrum for compound **4g** (CDCl$_3$, 151 MHz)



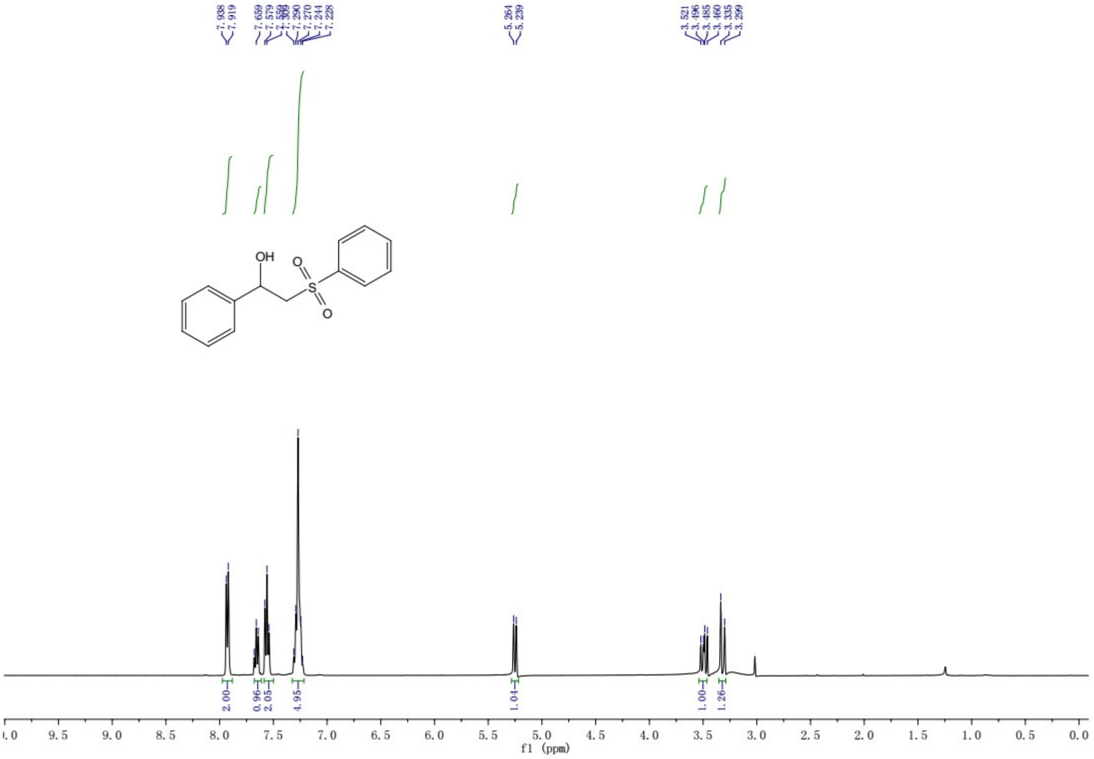
^{1}H NMR spectrum for compound **4h** (CDCl$_3$, 600 MHz)



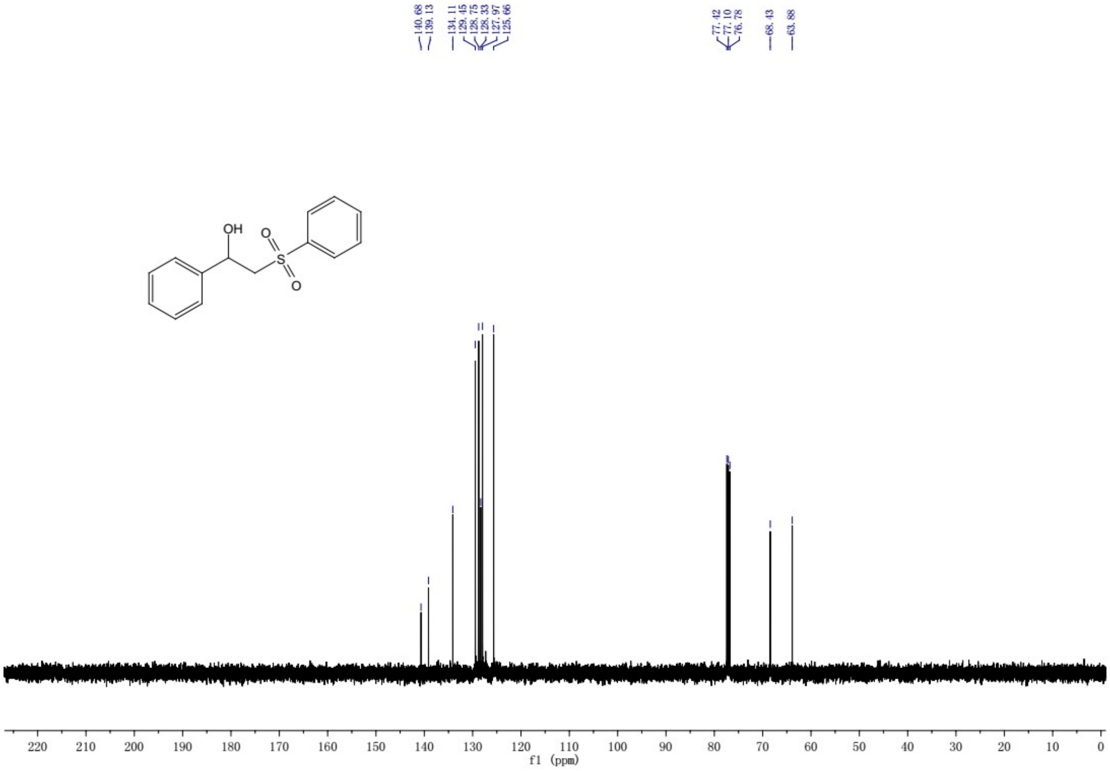
^{13}C NMR spectrum for compound **4h** ($CDCl_3$, 151 MHz)



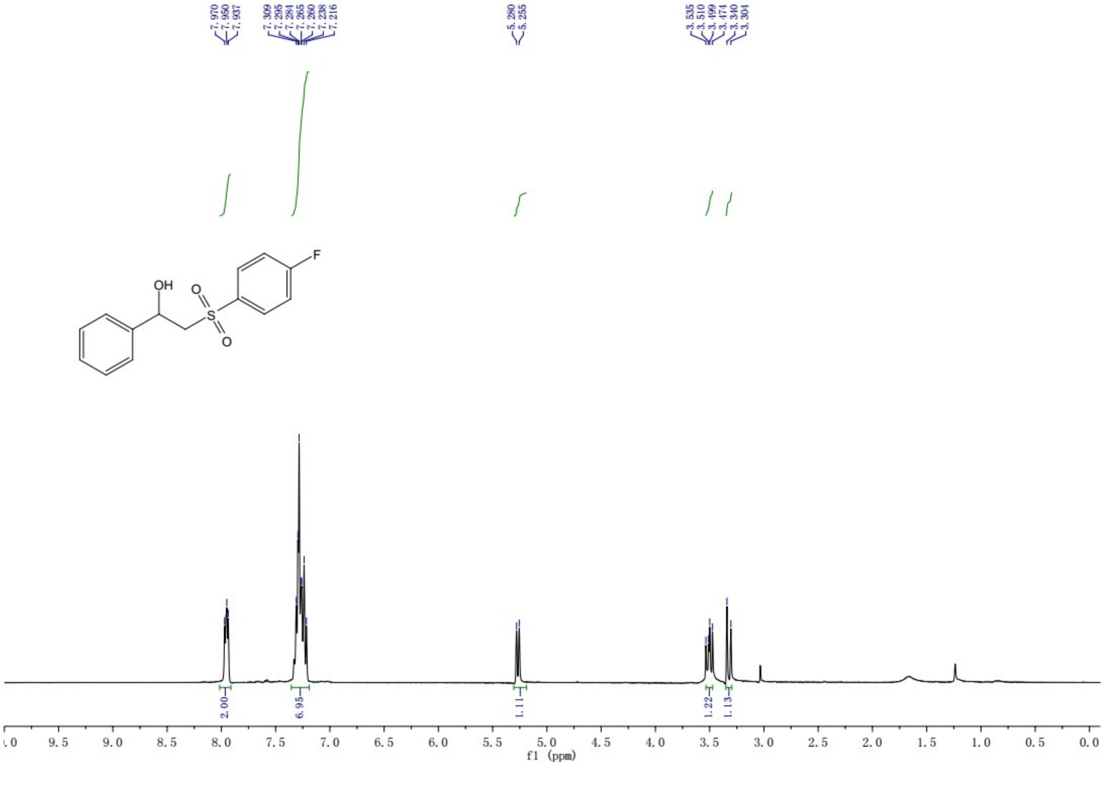
^1H NMR spectrum for compound **4i** ($CDCl_3$, 400 MHz)



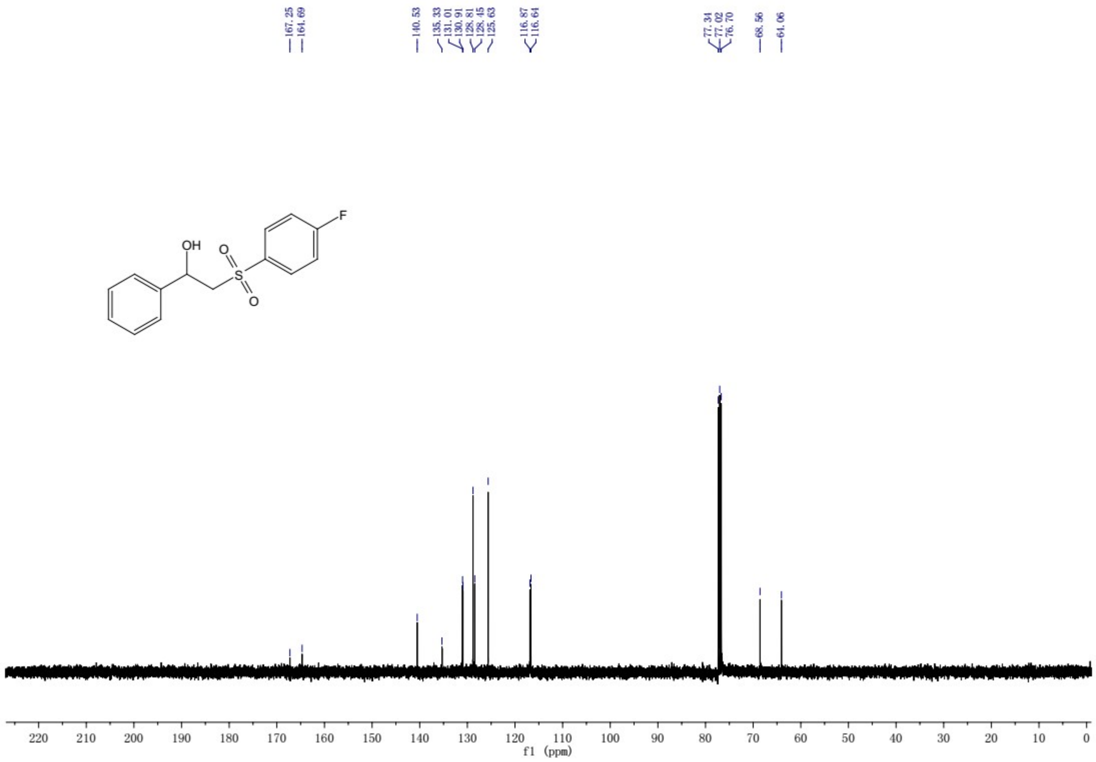
^{13}C NMR spectrum for compound **4i** (CDCl$_3$, 101 MHz)



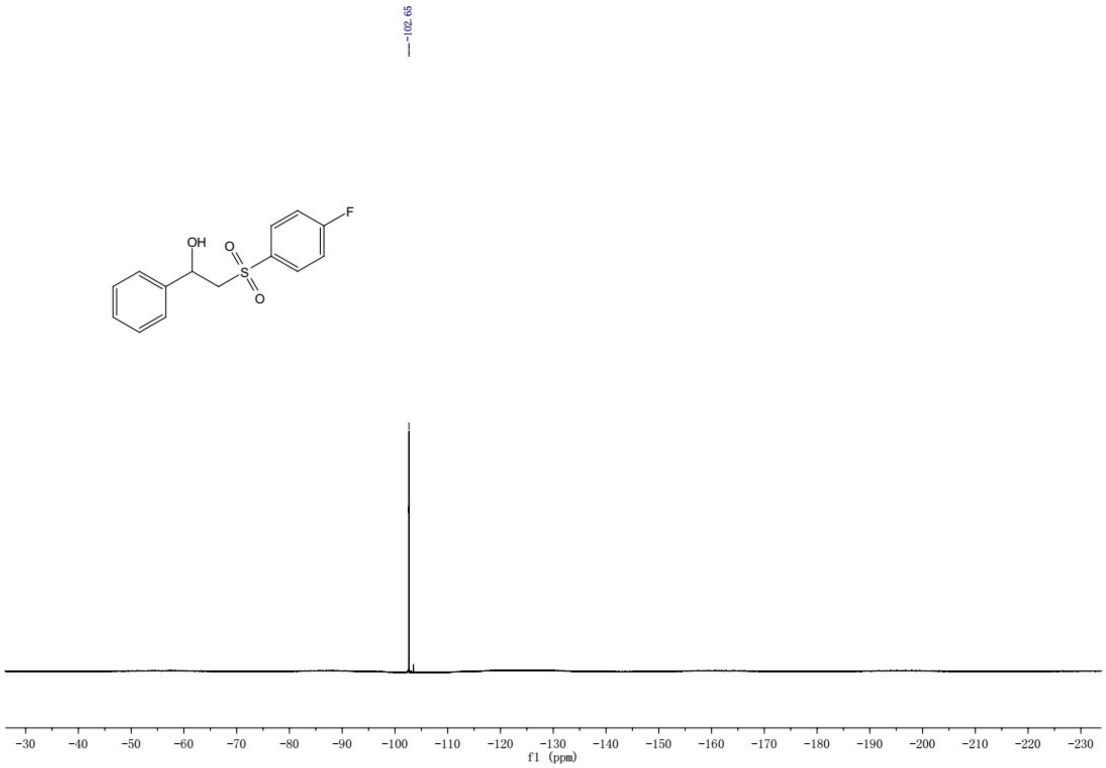
^{1}H NMR spectrum for compound **4j** (CDCl$_3$, 400 MHz)



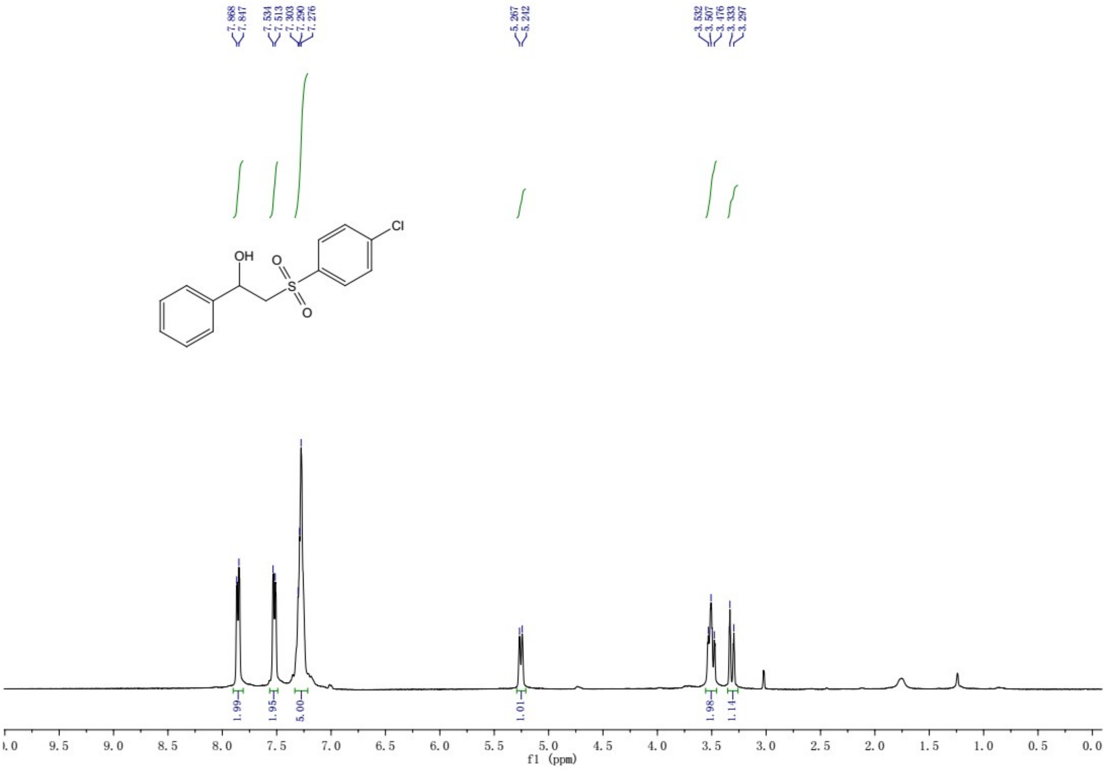
^{13}C NMR spectrum for compound **4j** ($CDCl_3$, 101 MHz)



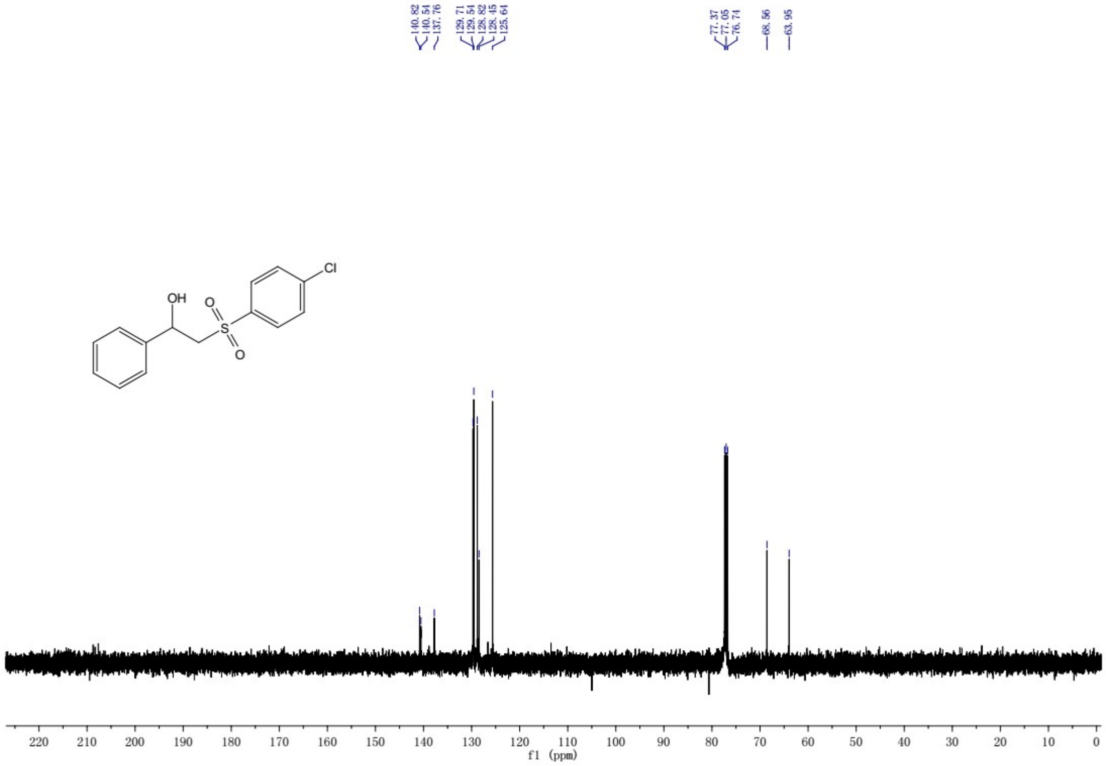
^{19}F NMR spectrum for compound **4j** ($CDCl_3$, 376 MHz)



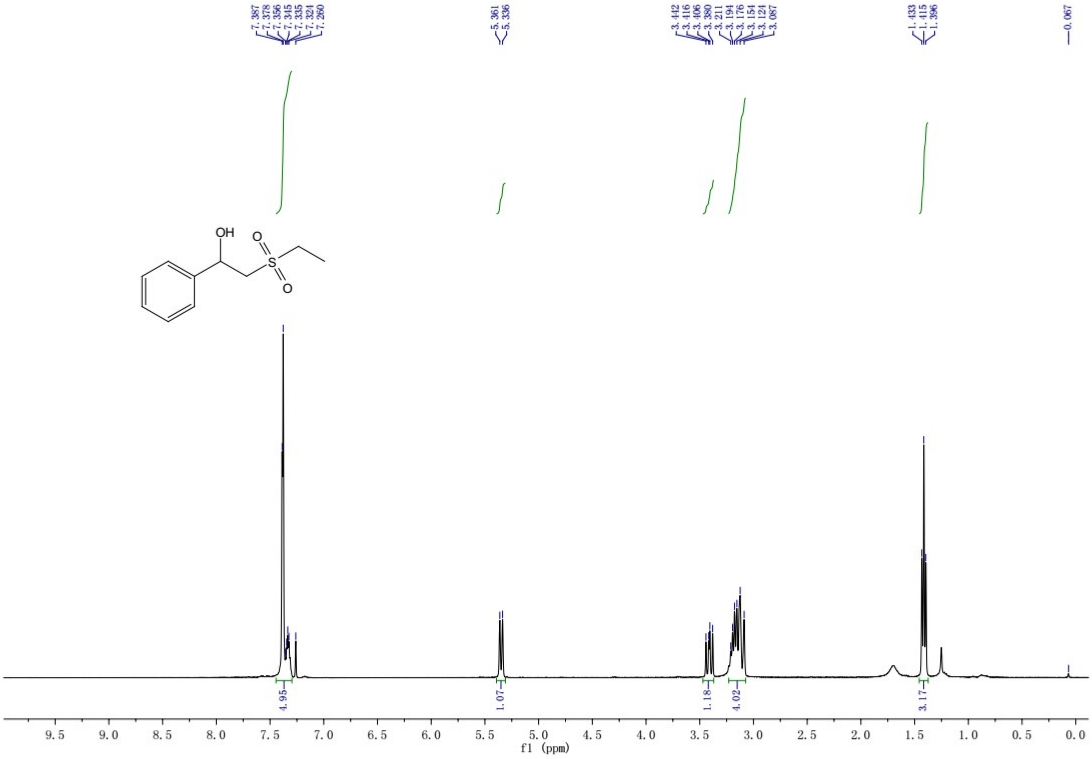
^{1}H NMR spectrum for compound **4k** ($CDCl_3$, 400 MHz)



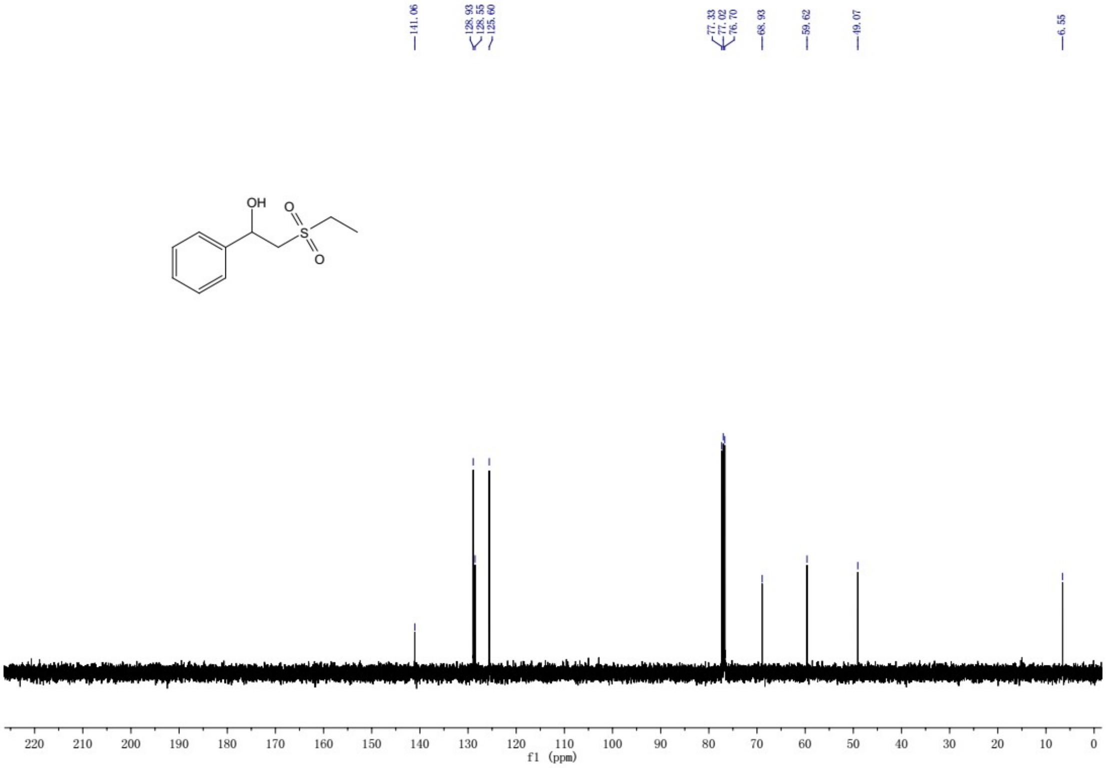
^{13}C NMR spectrum for compound **4k** ($CDCl_3$, 101 MHz)



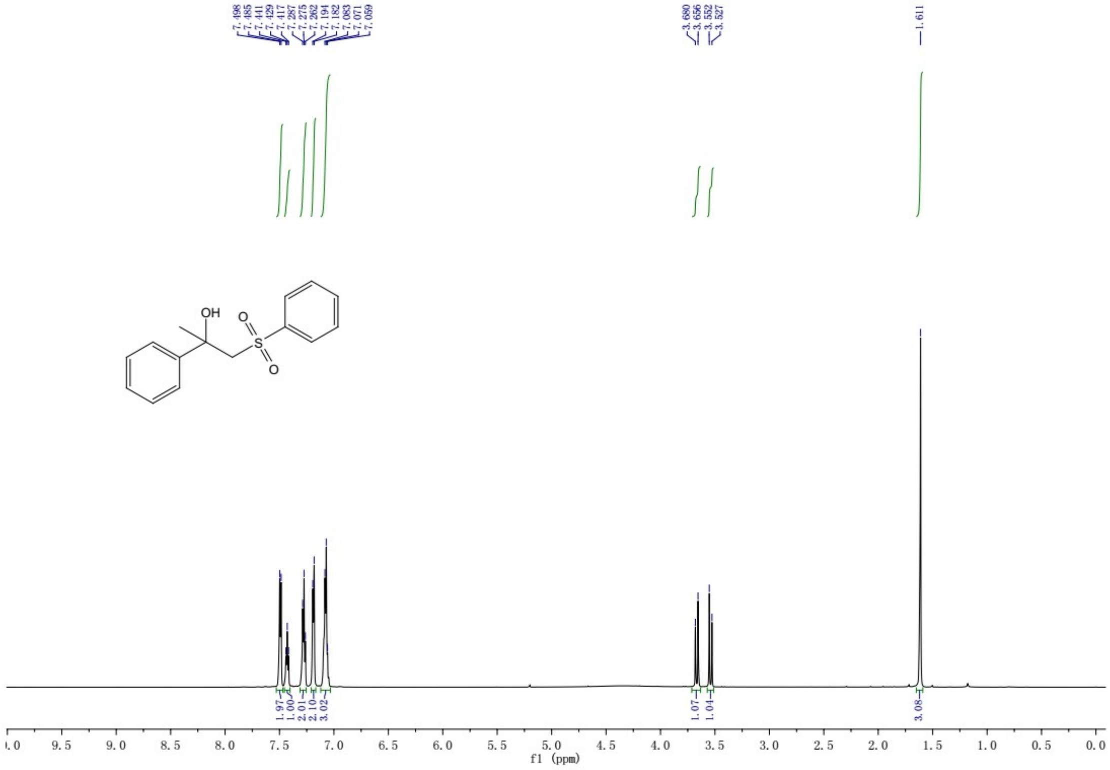
^{1}H NMR spectrum for compound **4l** ($CDCl_3$, 400 MHz)



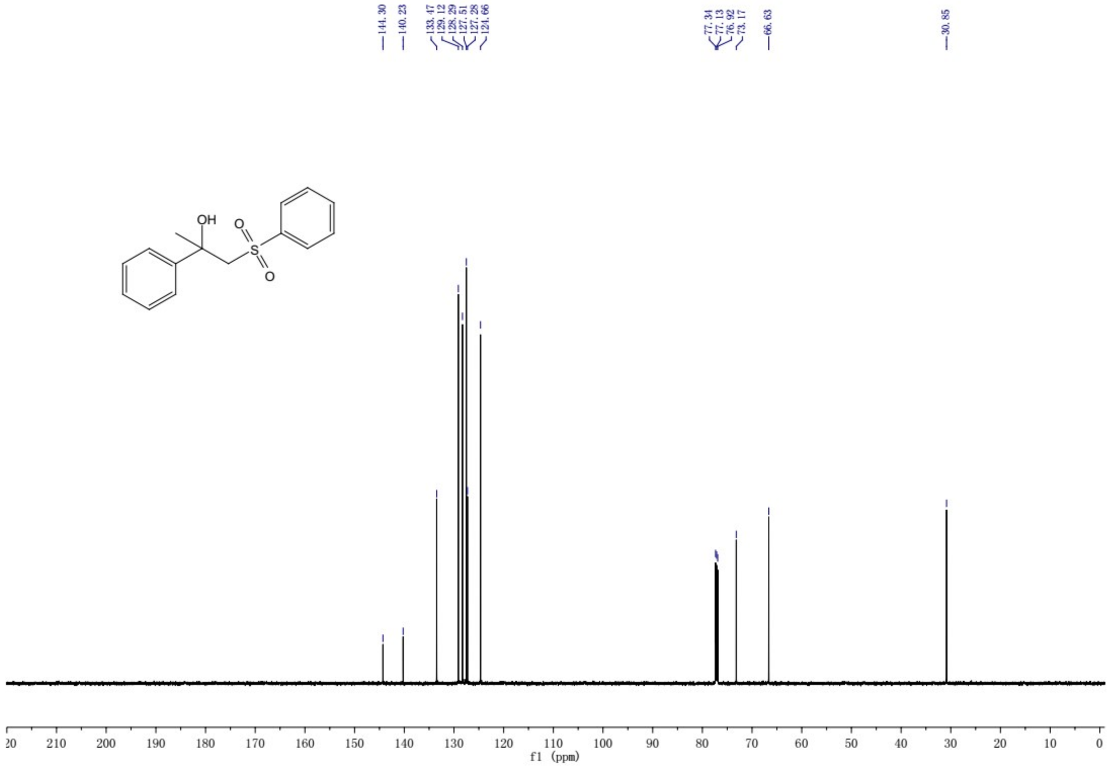
^{13}C NMR spectrum for compound **4l** ($CDCl_3$, 101 MHz)



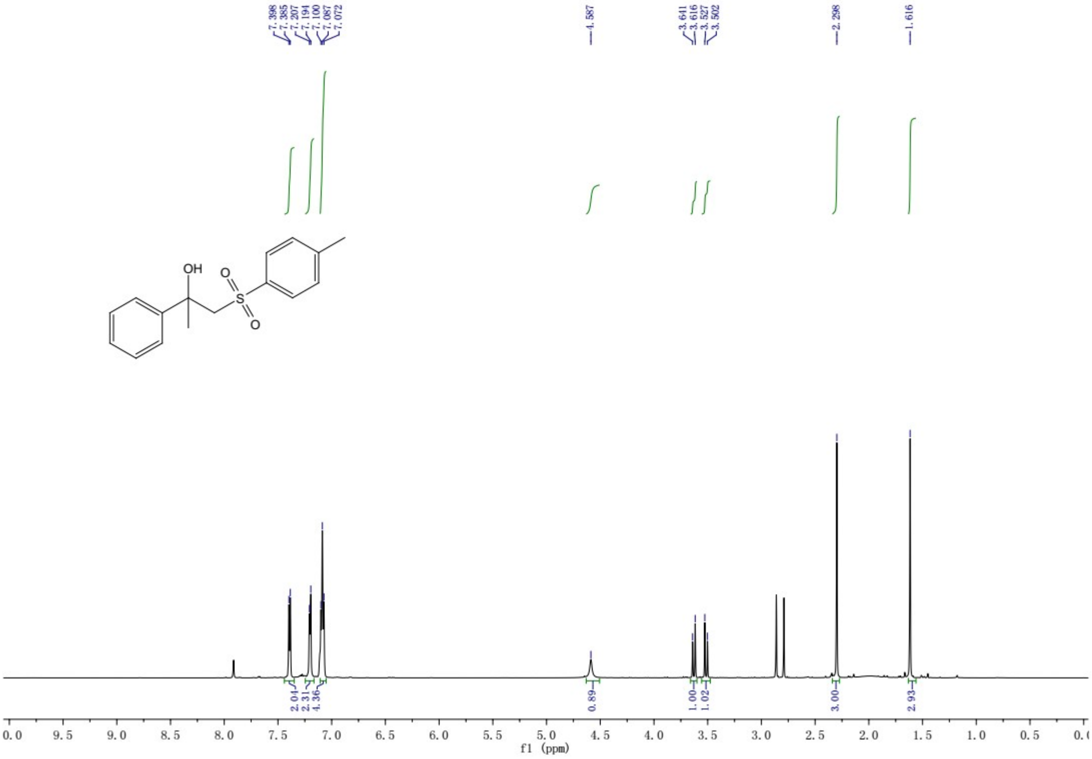
^{1}H NMR spectrum for compound **4m** ($CDCl_3$, 600 MHz)



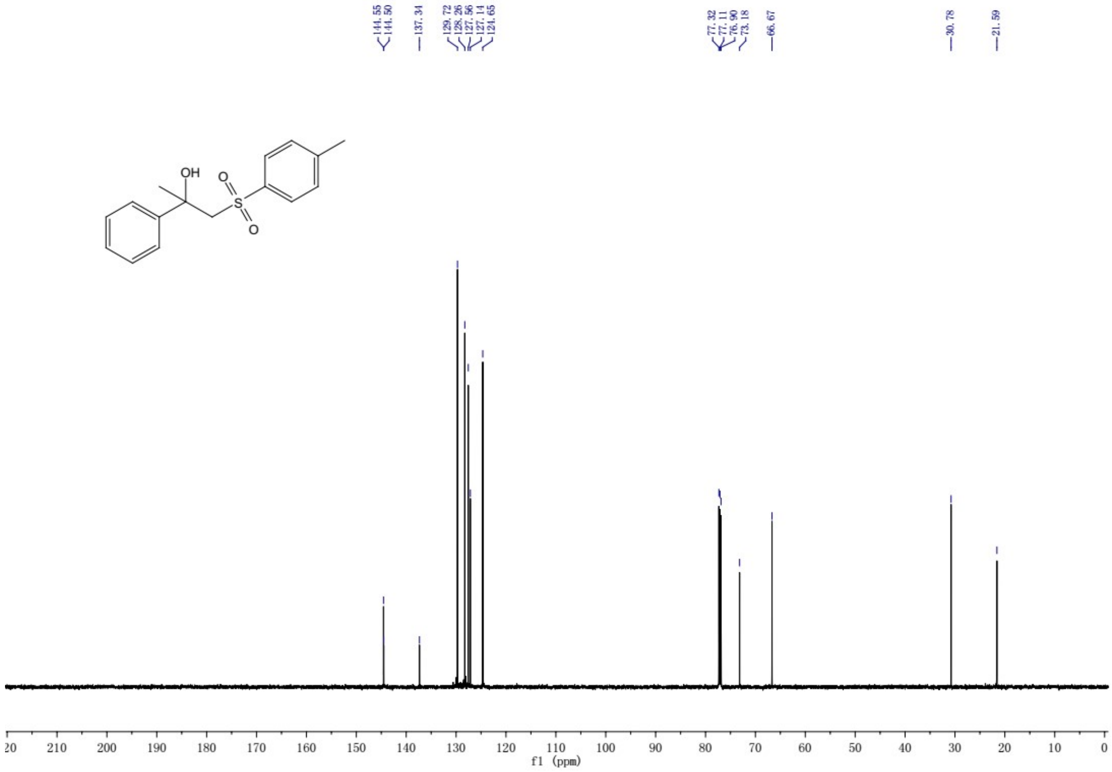
^{13}C NMR spectrum for compound **4m** ($CDCl_3$, 151 MHz)



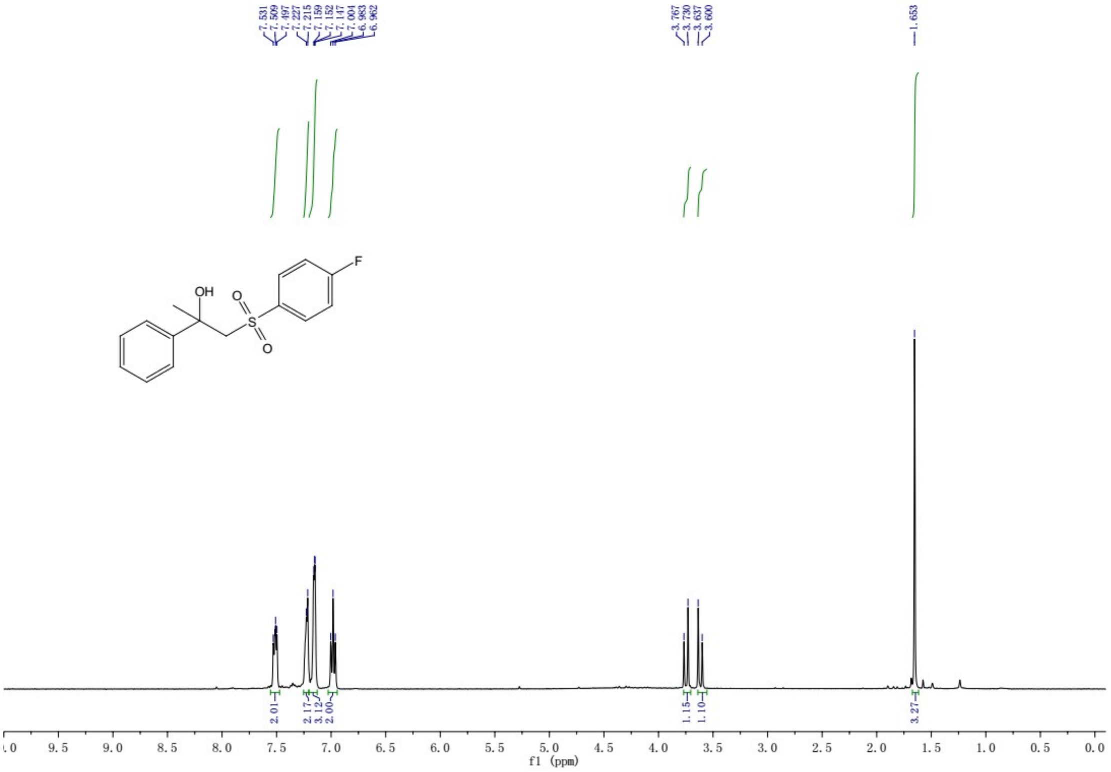
^{1}H NMR spectrum for compound **4n** ($CDCl_3$, 600 MHz)



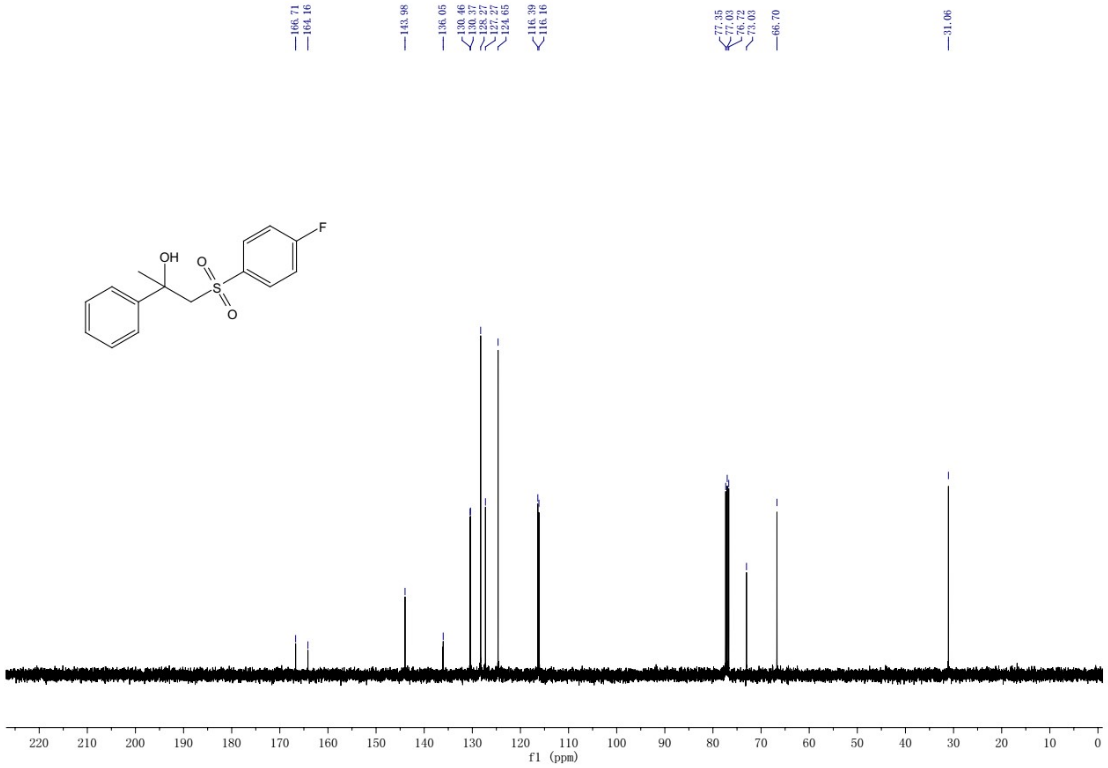
^{13}C NMR spectrum for compound **4n** ($CDCl_3$, 151 MHz)



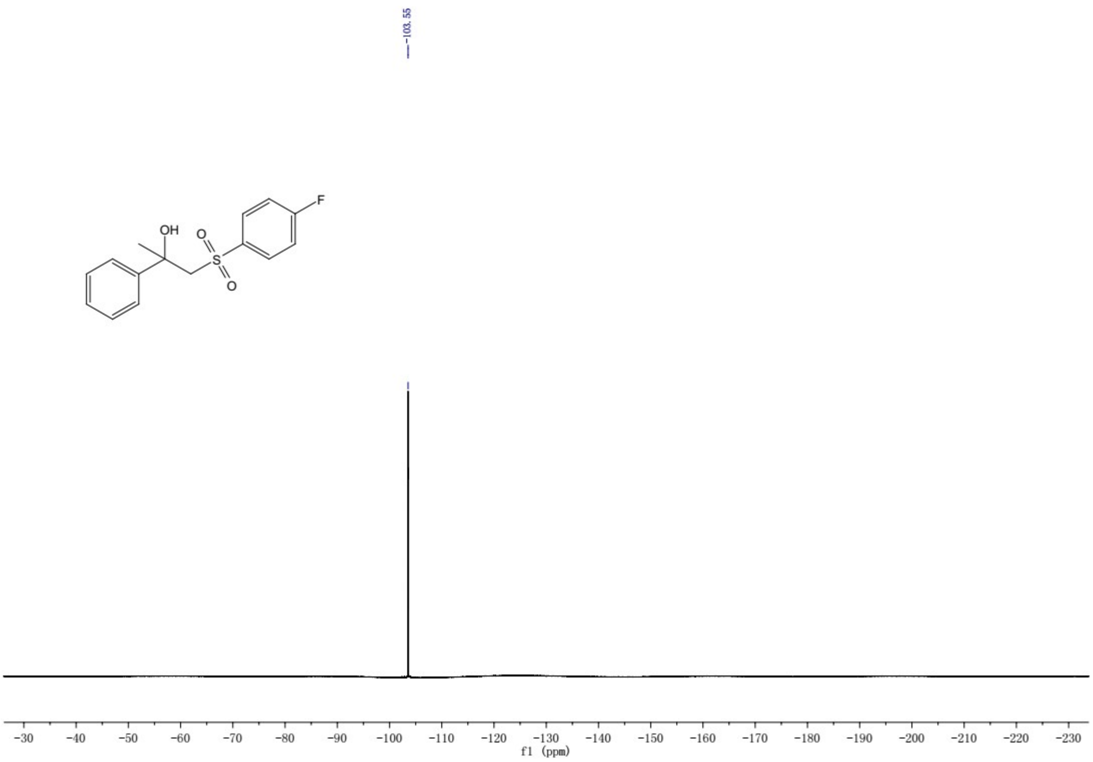
^{1}H NMR spectrum for compound **4o** ($CDCl_3$, 400 MHz)



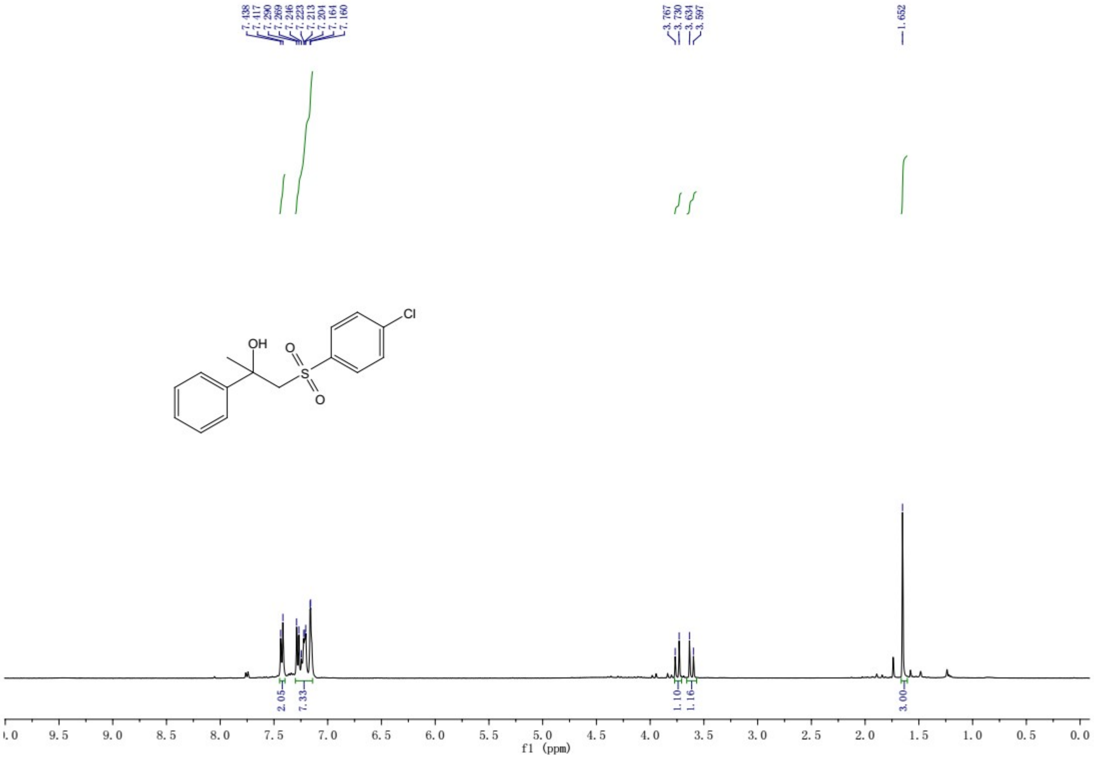
^{13}C NMR spectrum for compound **4o** ($CDCl_3$, 101 MHz)



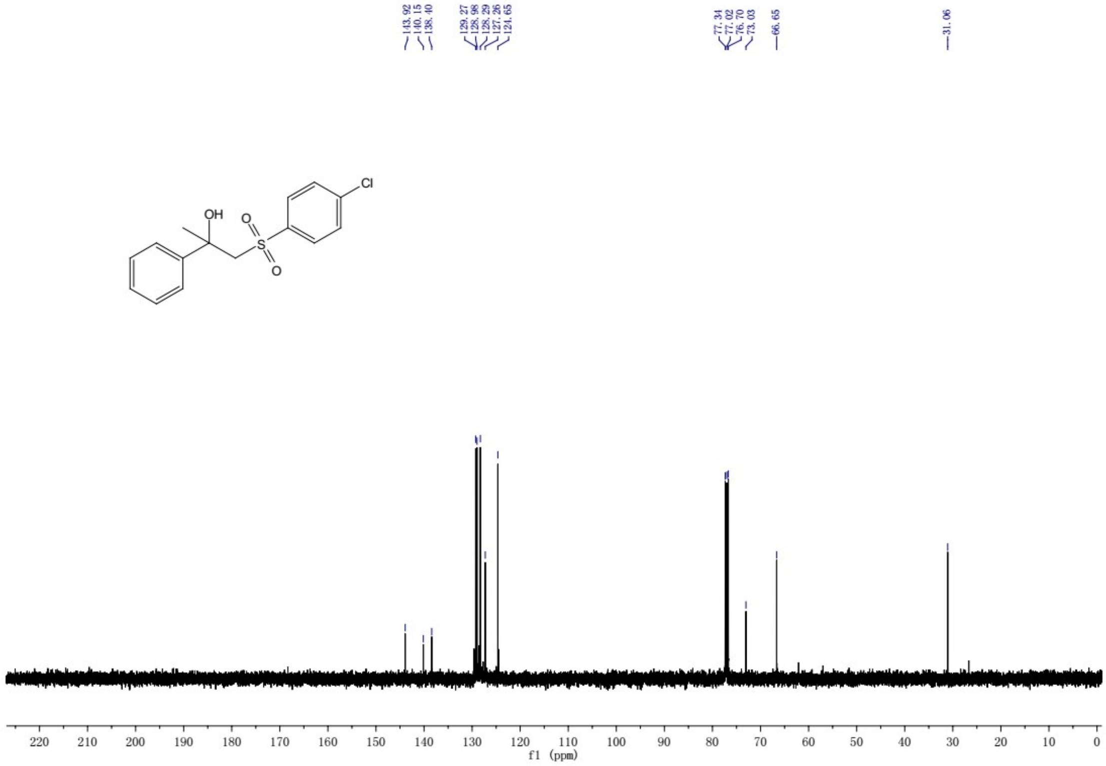
^{19}F NMR spectrum for compound **4o** ($CDCl_3$, 376 MHz)



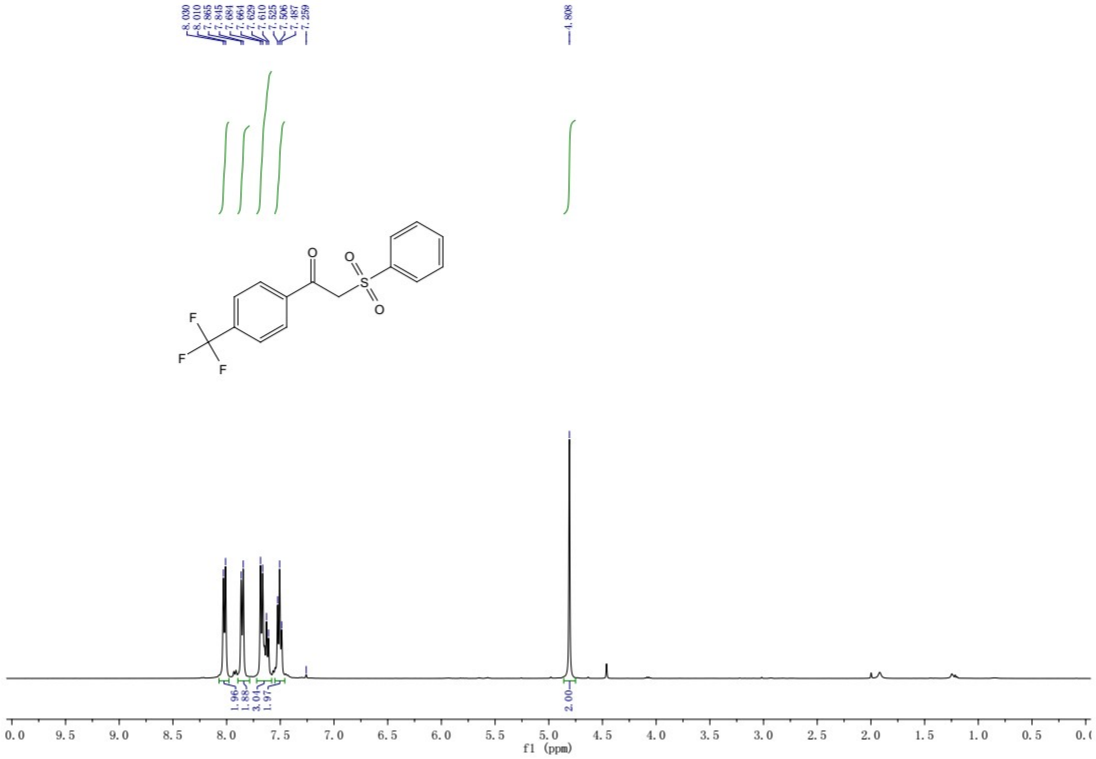
^{1}H NMR spectrum for compound **4p** ($CDCl_3$, 400 MHz)



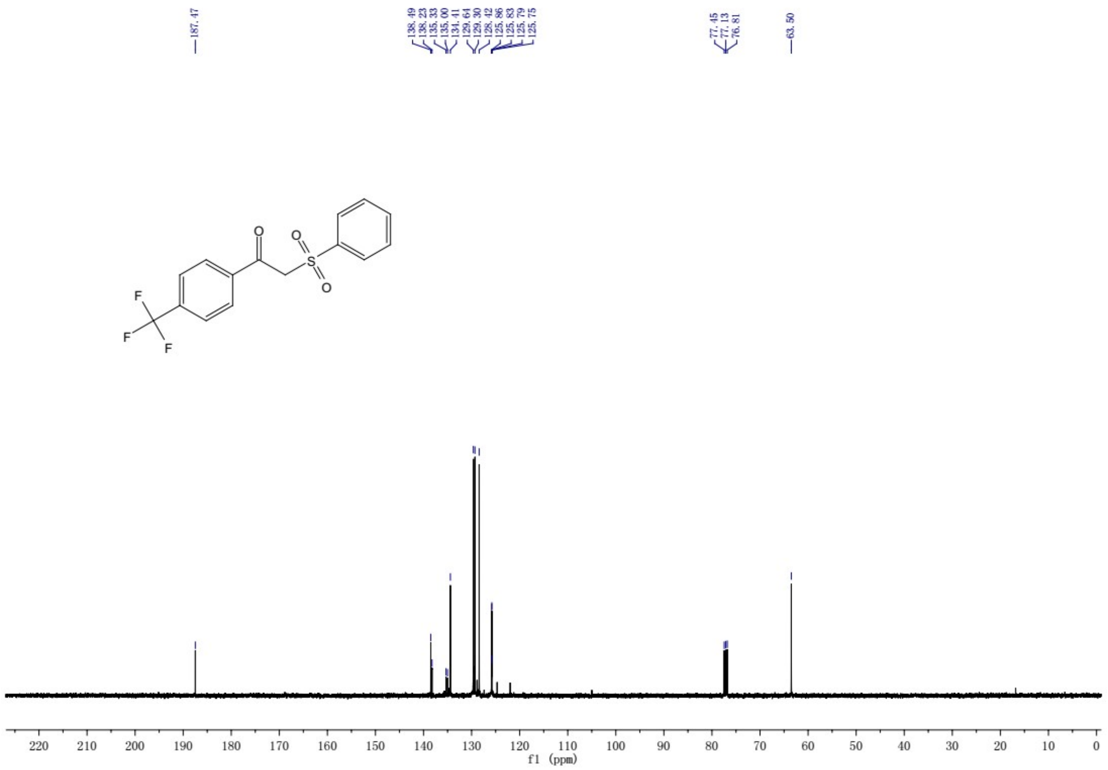
^{13}C NMR spectrum for compound **4p** ($CDCl_3$, 101 MHz)



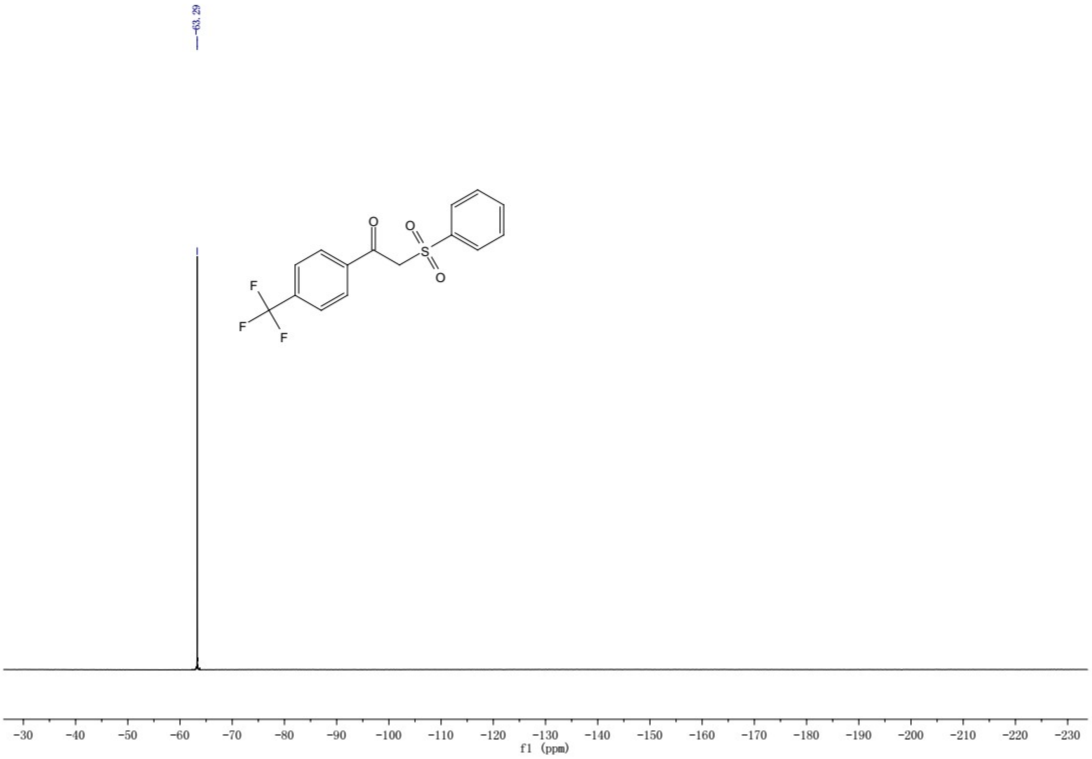
^{1}H NMR spectrum for compound **5** ($CDCl_3$, 400 MHz)



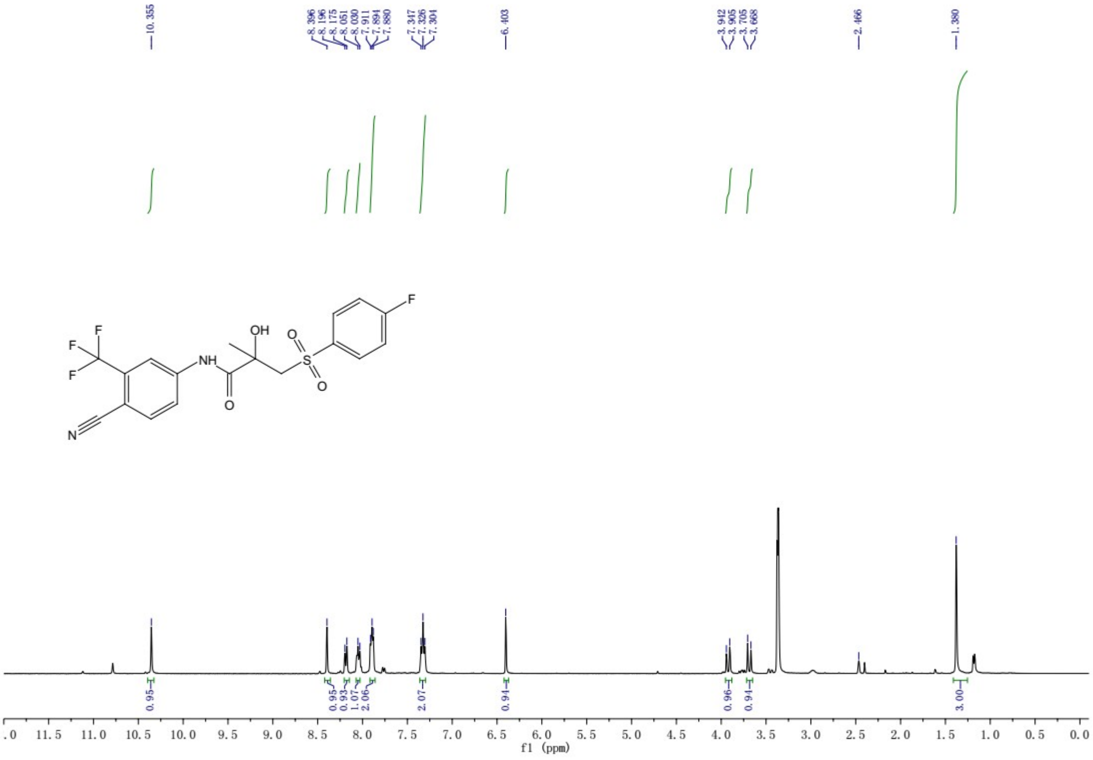
^{13}C NMR spectrum for compound **5** ($CDCl_3$, 101 MHz)



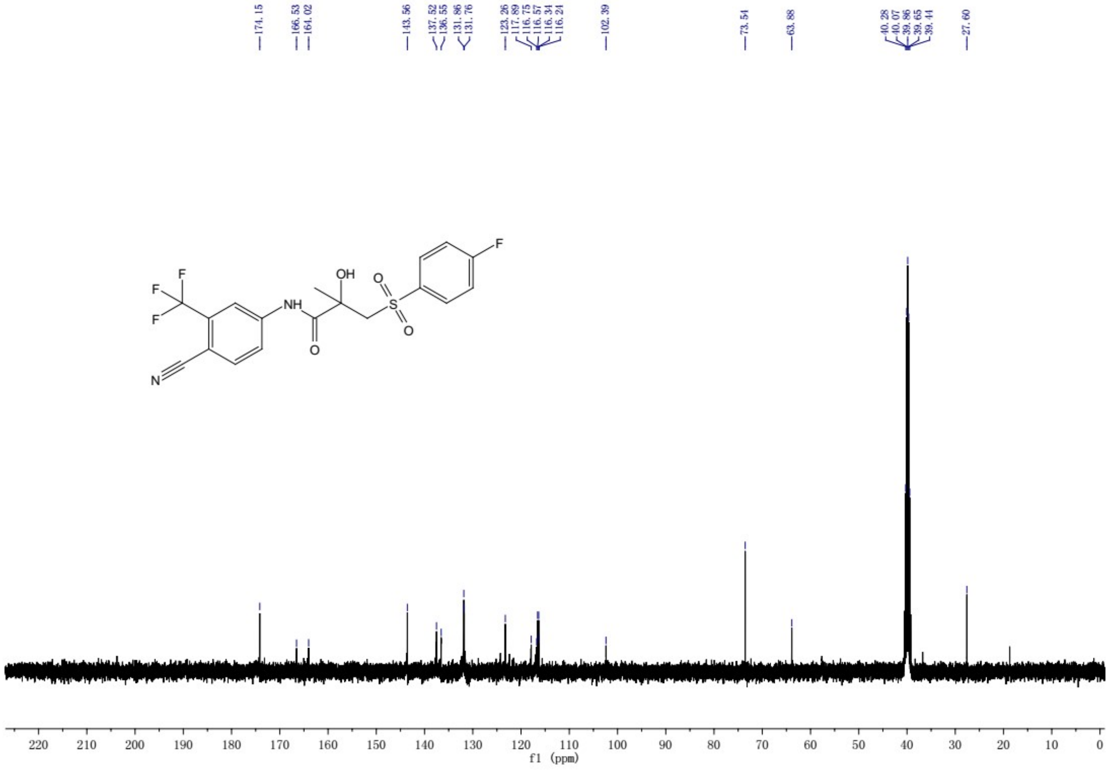
^{19}F NMR spectrum for compound **5** ($CDCl_3$, 376 MHz)



^{1}H NMR spectrum for compound **6** (DMSO-D$_6$, 400 MHz)



^{13}C NMR spectrum for compound **6** (DMSO-D$_6$, 101 MHz)



^{19}F NMR spectrum for compound **6** (DMSO-D$_6$, 376 MHz)