Supporting Information

Visible Light-driven Photocatalytic Sulfonative Oxidation of Benzyl Secondary Amines

Yong-Xiang Lü,[a] Xin-Qian Wang,[a] Ying-Ming Pan,[b] Keyume Ablajan* [a, b]

[a] State Key Laboratory of Chemistry and Utilization of Carbon Based Energy Resources, College of Chemistry, Xinjiang University, Urumqi, 830017, PR China
[b] State Key Laboratory for Chemistry and Molecular Engineering of Medicinal Resources, Guangxi Normal University, Gui Lin, 541004, PR China

E-mail: ablajan209@hotmail.com, ablajan209@xju.edu.cn

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1. General information

All starting materials and reagents were obtained from commercial suppliers and used without further purification. Thin-layer chromatography (TLC) was performed, and visualization of the compounds was accomplished with UV light (254 nm). Products were purified by flash chromatography on silica gel (200−300 mesh). The solvent was removed under reduced pressure, and the residue was purified by column chromatography on silica gel and eluted with petroleum/ethyl acetate to afford the desired product. ^{1}H NMR and ^{13}C NMR spectra were recorded in $CDCl_3$ operating at 600 MHz and 151 MHz. Proton chemical shifts are reported relative to the residual proton signals of the deuterated solvent $CDCl_3$ (7.26 ppm) or TMS. Carbon chemical shifts were internally referenced to the deuterated solvent signals in $CDCl_3$ (77.10 ppm). Chemical shifts are reported in δ (parts per million) values. Coupling constants J are reported in Hz. Proton coupling patterns were described as singlet (s), doublet (d), triplet (t), quartet (q), and multiple (m).

2. General procedure

2.1 Optimization of reaction conditions

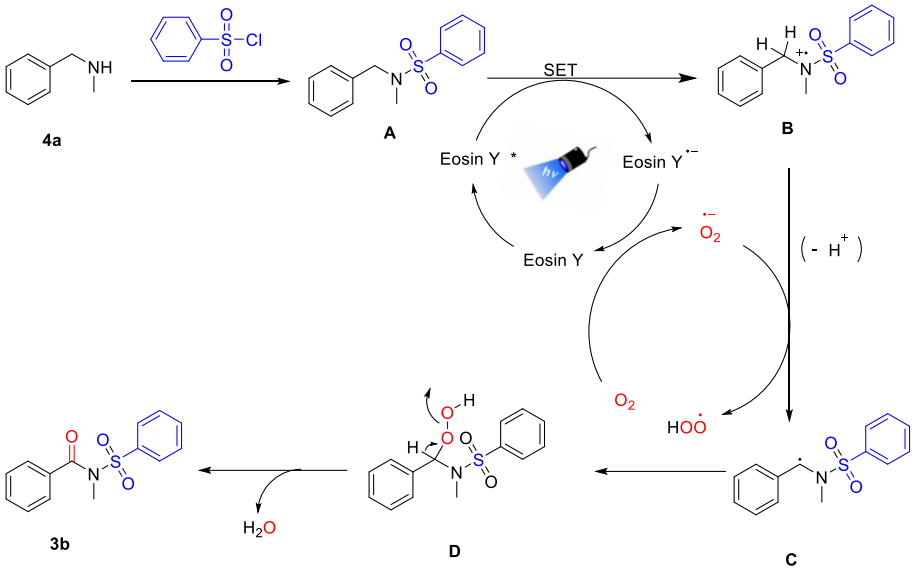
Table S1. Screening of reaction condition[a]

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

[a]

entry	Photo-catalyst	Base (equiv.)	Solvent (ml)	Yield [%][b]
1	Ir (III)	Et_3N	EA	0
2	Ir (III)	Na_2CO_3	EA	0
3	Ir (III))	\	EA	62
4	Ru (II)	\	EA	trace
5	Eosin Y	\	EA	70

Reaction Conditions: Reaction conditions for **5a**: **4a** (0.4 mmol), **2a** (0.5 mmol), O_2 balloon (1 atm.), Eosin Y (5 mol%), ethyl acetate (3.5 mL), 18 W blue LED, room temperature, 14 h. [b] Isolated yields. Ru(II) = Tris(2,2'-bipyridine)ruthenium dichloride, Ir(III) = $[Ir(ppy)_2(dtbbpy)][PF_6]$



Scheme S1. Reaction mechanism for streptobenzylamine.

2.2 General procedures

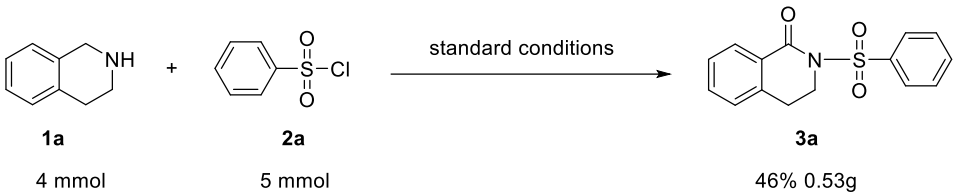
A sealed pressure vessel was charged with 1,2,3,4-Tetrahydroisoquinoline (52μL, 0.4 mmol), benzenesulfonyl chloride (64μL, 0.5 mmol), Et_3N (139μL, 1.0 mmol) and $[Ir(ppy)_2(dtbbpy)][PF_6]$ (5 mol%) were dissolved in dry ethyl acetate (3.5 mL). The reaction mixture was stirred and irradiated by 18 W Blue LEDs at room temperature under O_2 atmosphere (1 atm) for 14 h. The resulting mixture was partitioned between EtOAc and water. The combined organic phases were dried over $MgSO_4$ and concentrated under reduced pressure. Purification by column chromatography afforded the desired product. The solvents were removed via rotary evaporator, and the residue was purified with flash chromatography (silica gel, petroleum ether/ethyl acetate = 5:1) to give the product of 2-(phenylsulfonyl)-3,4-dihydroisoquinolin-1(2H)-one (**3a**) in 82% yield (117.69 mg).

Figure S1. Photoreactors used in this research (18 W blue LEDs)

2.3 Gram-scale reaction

1,2,3,4-Tetrahydroisoquinoline **1a** (4 mmol, 0.8 equiv.), benzenesulfonyl chloride **2a** (5 mmol, 1.0 equiv.), Et_3N (5 mmol, 1.0 equiv.), $[Ir(ppy)_2(dtbbpy)][PF_6]$ (5 mol%), were dissolved in EtOAc. The reaction mixture was stirred and irradiated by 18 W Blue LED at room temperature under O_2

atmosphere (1 atm) for 14 h. After the reaction was completed, 20 mL water was added to the reaction mixture, the mixture was extracted with EtOAc (3×20 mL). The combined organic phases were dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. Purification by column chromatography (petroleum ether/ethyl acetate 20:1 to 15:1) afforded the desired product in 46% yield (0.53 g).



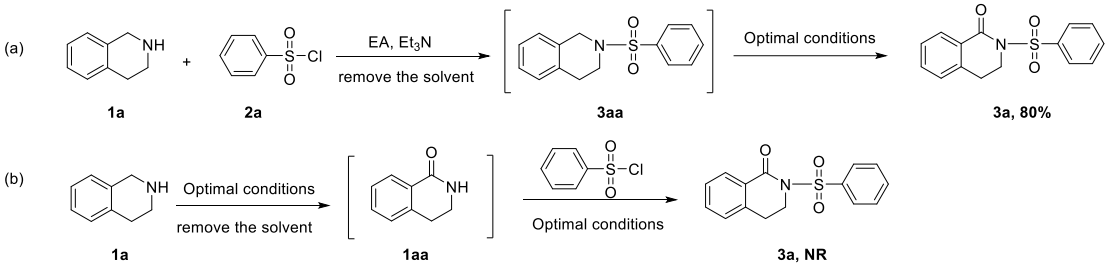
Scheme S2. Gram Scale reaction

3. Mechanistic studies

3.1 ON/OFF experiments

In order to further prove the effect of visible light irradiation, the "on/off" experiment was carried out under standard conditions. The reaction was carried out sequentially for 2 h when the lamp is turned on or turned off. It is loop for twice. The results indicated that visible light plays an important role in the reaction system.

3.2 Control experiments



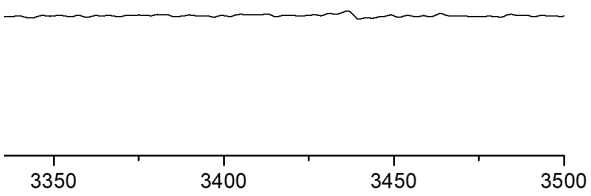
Scheme S3. Control experiments

1,2,3,4-Tetrahydroisoquinoline **1a** (0.4 mmol), and benzenesulfonyl chloride **2a** (0.5 mmol), Et_3N（1 mmol), were dissolved in ethyl acetate (3.5mL). The reaction mixture was stirred at room temperature for 14 h. After the reaction was completed, remove the solvent. Ethyl acetate as solvent, addition of Et_3N (1mmol) and, $[Ir(ppy)_2(dtbbpy)][PF_6]$ (5 mol%). The reaction mixture was stirred and irradiated by 18 W Blue LED at room temperature under O_2 atmosphere (1 atm) for 14 h to give the target product **3a** in 80% yield. However, adding 1,2,3,4-tetrahydro-isoquinoline **1a** (0.4 mmol) and then benzenesulfonyl chloride **2a** (0.5 mmol) under standard conditions did not yield sulfonated product **3a**.

3.3 Electron paramagnetic resonance (EPR) experiments

Measurement conditions: frequency: 9.6 GHz; power: 0.9187 mW; modulation amplitude: 5 G; time constant: 20.48 ms; Sweep time: 20 s; Number of scans: 3.

(a)



(b)

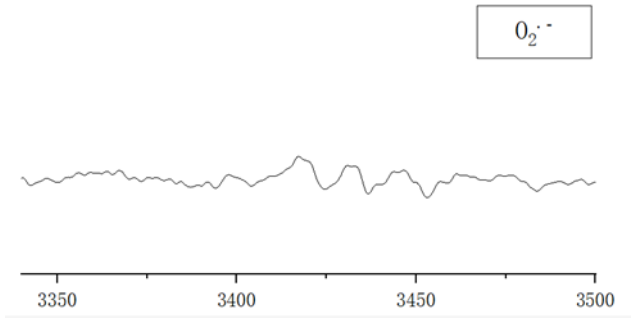


Figure S2. EPR measurement:

(a) A mixture of 1,2,3,4-Tetrahydroisoquinoline (**1a**, 0.4 mmol) and benzenesulfonyl chloride (**2a**, 0.5mmol), Et_3N (1.0 mmol), $[Ir(ppy)_2(dtbbpy)][PF_6]$ (5 mol%), ethyl acetate (3.5 mL) and 5,5-dimethyl-1-pyrroline N-oxide (DMPO, 10 mg) was irradiated by 18 W Blue LED for two minutes, but N_2 instead of O_2;

(b) A mixture of 1,2,3,4-Tetrahydroisoquinoline (**1a**, 0.4 mmol) and benzenesulfonyl chloride (**2a**, 0.5mmol), Et_3N (1 mmol), $[Ir(ppy)_2(dtbbpy)][PF_6]$ (5 mol%), ethyl acetate (3.5 mL) and 5,5-dimethyl-1-pyrroline N-oxide (DMPO, 10 mg) was irradiated by 18 W Blue LED for two minutes.

3.4 HRMS Study for Identification of Intermediates:

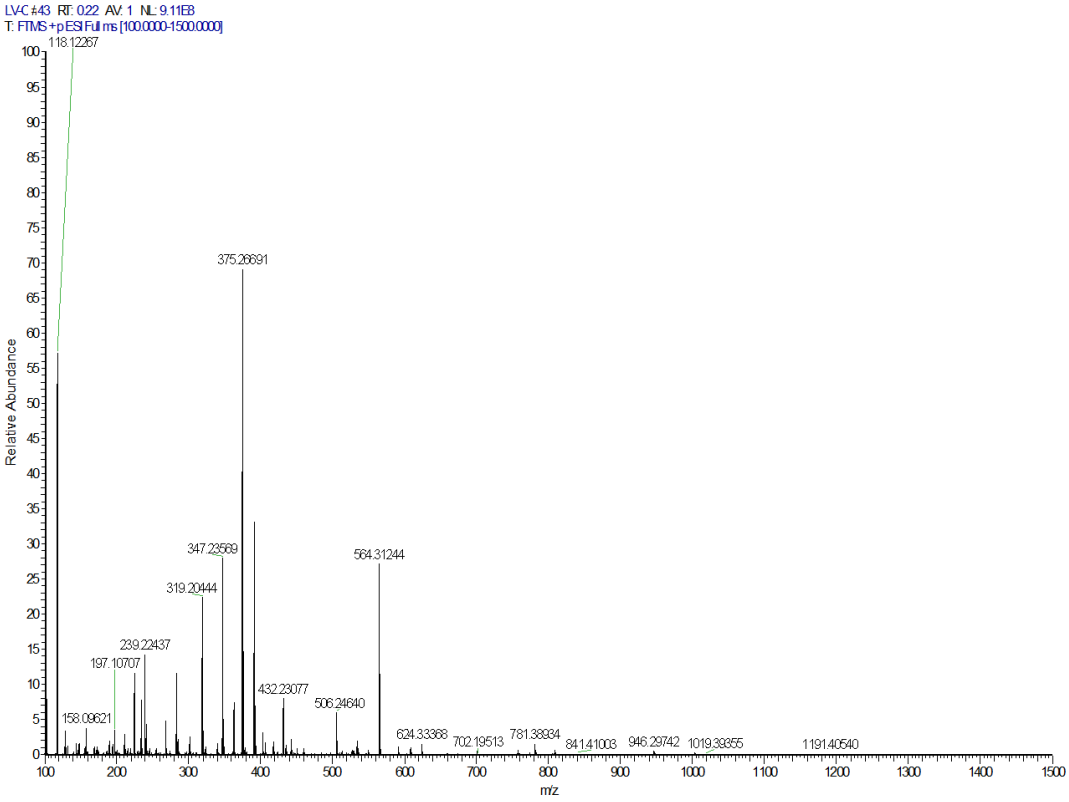


Figure S3. HRMS spectrum of reaction mixture

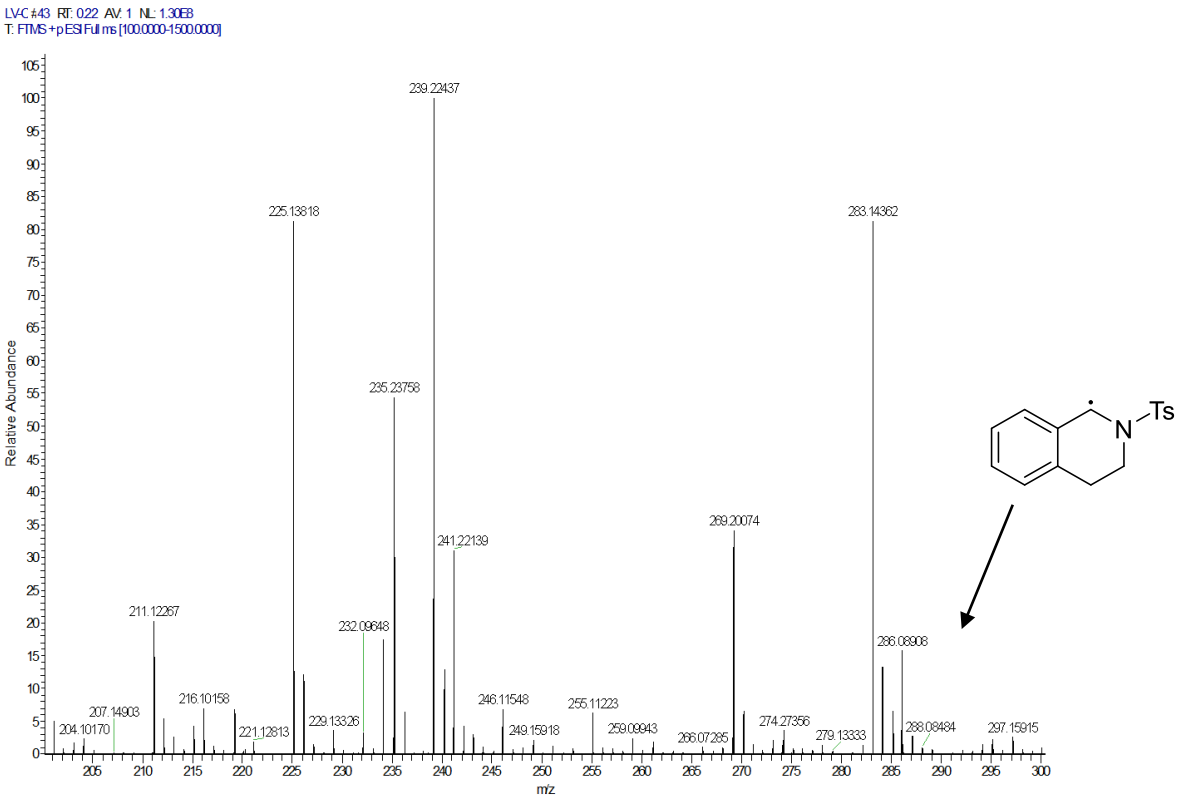
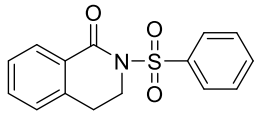


Figure S4. HRMS spectrum of reaction mixture

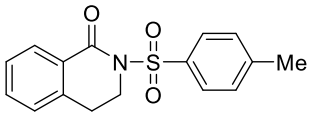
4. Characterization data for products:

(Phenylsulfonyl)-3,4-dihydroisoquinolin-1(2H)-one (**3a**)



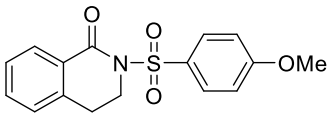
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate =18:1) with 82% yield (94.15 mg). M.p.: 157–158 ℃; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.12 – 8.09 (m, 2H), 7.99 (dd, J = 7.9, 1.4 Hz, 1H), 7.64 – 7.60 (m, 1H), 7.56 – 7.52 (m, 2H), 7.48 (td, J = 7.5, 1.4 Hz, 1H), 7.32 (td, J = 7.7, 1.2 Hz, 1H), 7.22 (d, J = 7.6 Hz, 1H), 4.25 (dd, J = 6.8, 5.8 Hz, 2H), 3.14 (t, J = 6.2 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.5, 139.4, 139.2, 133.8, 133.7, 129.3, 128.9, 128.6, 128.2, 127.6, 127.5, 44.9, 29.1; HRMS *m/z* (ESI): calcd for $C_{15}H_{13}NO_3NaS$ $[M + Na]^+$ 310.0514, found 310.0519.

3-Tosyl-3,4-dihydroisoquinolin-1(2H)-one **(3b)**[1]



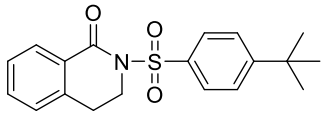
Known compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 80% yield (96.35 mg). M.p.; 135−136 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.00 – 7.95 (m, 3H), 7.48 – 7.43 (m, 1H), 7.31 (t, J = 8.2 Hz, 3H), 7.21 (d, J = 7.6 Hz, 1H), 4.22 (t, J = 6.2 Hz, 2H), 3.11 (t, J = 6.3 Hz, 2H), 2.40 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.3, 144.6, 139.1, 136.0, 133.4, 129.3, 129.0, 128.4, 128.0, 127.3, 44.6, 28.8, 21.5; HRMS *m/z* (ESI): calcd for $C_{16}H_{15}NO_3NaS$ $[M + Na]^+$ 333.0671, found 333.0676.

((4-Methoxyphenyl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3c)**



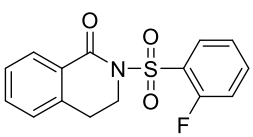
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 75% yield (95.12 mg). M.p.: 123−124 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.02 (d, J = 8.5 Hz, 2H), 7.97 (d, J = 7.9 Hz, 1H), 7.45 (t, J = 7.5 Hz, 1H), 7.29 (t, J = 7.6 Hz, 1H), 7.20 (d, J = 7.6 Hz, 1H), 6.97 (d, J = 8.6 Hz, 2H), 4.20 (t, J = 6.2 Hz, 2H), 3.84 (s, 3H), 3.10 (t, J = 6.2 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.7, 163.4, 139.3, 133.5, 130.9, 130.4, 129.1, 128.2, 127.4, 113.9, 55.7, 44.7, 28.9; HRMS *m/z* (ESI): calcd for $C_{16}H_{15}NO_4NaS$ $[M + Na]^+$ 340.0619, found 340.0624.

((4-(Tert-butyl)phenyl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3d)**



New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 74% yield (101.56 mg). M.p.: 143−144 °C; ^{1}H NMR (400 MHz, $CDCl_3$) δ 8.04 – 7.97 (m, 3H), 7.56 – 7.51 (m, 2H), 7.47 (td, J = 7.5, 1.4 Hz, 1H), 7.31 (td, J = 7.6, 1.2 Hz, 1H), 7.24 – 7.19 (m, 1H), 4.24 (dd, J = 6.8, 5.7 Hz, 2H), 3.13 (t, J = 6.2 Hz, 2H), 1.32 (s, 9H); ^{13}C NMR (101 MHz, $CDCl_3$) δ 163.4, 157.5, 139.2, 135.9, 133.4, 129.1, 128.3, 128.2, 127.4, 127.3, 125.8, 44.7, 35.2, 30.9, 28.9; HRMS *m/z* (ESI): calcd for $C_{19}H_{21}NO_3NaS$ $[M + Na]^+$ 366.4307, found 366.4312.

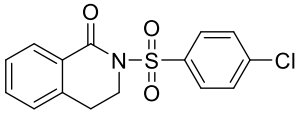
2-((2-Fluorophenyl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3e)**



New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 72% yield (87.89 mg). M.p.: 179−180 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.22

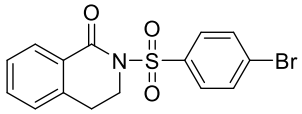
(td, *J* = 7.6, 1.8 Hz, 1H), 7.95 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.61 (tdd, *J* = 7.4, 5.0, 1.8 Hz, 1H), 7.50 (td, *J* = 7.5, 1.4 Hz, 1H), 7.37 (td, *J* = 7.7, 1.1 Hz, 1H), 7.34 – 7.31 (m, 1H), 7.27 – 7.24 (m, 1H), 7.16 (ddd, J = 9.6, 8.3, 1.0 Hz, 1H), 4.33 (t, J = 6.3 Hz, 2H), 3.17 (t, *J* = 6.3 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) *δ* 163.4, 159.6, 157.9, 139.4, 135.8, 135.8, 133.7, 132.3, 129.1, 127.9, 127.5, 127.4, 124.4, 124.4, 116.8, 116.7, 44.7, 44.7, 28.7; HRMS *m/z* (ESI): calcd for $C_{15}H_{12}FNO_3NaS$ $[M + Na]^+$ 328.0419, found 328.0423.

2-((4-Chlorophenyl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3f)**



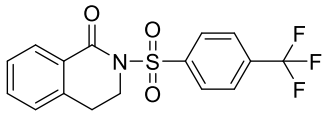
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 75% yield (89.89 mg). M.p.:138−139 °C; ^{1}H NMR (600 MHz, $CDCl_3$) *δ* 8.08 – 8.02 (m, 2H), 7.99 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.55 – 7.45 (m, 3H), 7.33 (td, *J* = 7.6, 1.2 Hz, 1H), 7.23 (d, *J* = 7.6 Hz, 1H), 4.26 – 4.20 (m, 2H), 3.14 (t, *J* = 6.2 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) *δ* 163.6, 140.5, 139.3, 137.6, 133.8, 130.2, 129.3, 129.2, 127.7, 127.5, 44.9, 29.0; HRMS *m/z* (ESI): calcd for $C_{15}H_{12}ClNO_3NaS$ $[M + Na]^+$ 344.0123, found 344.0126.

2-((4-Bromophenyl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3g)**



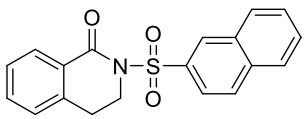
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 71% yield (104.21 mg). M.p.:163− 164 °C; ^{1}H NMR (600 MHz, $CDCl_3$) *δ* 8.01 – 7.92 (m, 3H), 7.71 – 7.63 (m, 2H), 7.48 (td, *J* = 7.5, 1.4 Hz, 1H), 7.32 (t, *J* = 7.4 Hz, 1H), 7.22 (d, *J* = 7.6 Hz, 1H), 4.22 (t, *J* = 6.3 Hz, 2H), 3.13 (t, *J* = 6.2 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) *δ* 163.4, 139.1, 137.9, 133.7, 132.0, 130.1, 129.1, 128.9, 127.8, 127.5, 127.4, 44.7, 28.8; HRMS *m/z* (ESI): calcd for $C_{15}H_{12}BrNONaS$ $[M + Na]^+$ 387.9618, found 387.9623.

3-((4-(Trifluoromethyl)phenyl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3h)**



New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 56% yield (79.53 mg). M.p.: 157−158°C; ^{1}H NMR (600 MHz, $CDCl_3$) *δ* 8.23 (d, *J* = 8.2 Hz, 2H), 7.97 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.80 (d, *J* = 8.3 Hz, 2H), 7.49 (td, *J* = 7.5, 1.4 Hz, 1H), 7.33 (td, *J* = 7.7, 1.2 Hz, 1H), 7.24 (d, *J* = 7.6 Hz, 1H), 4.27 – 4.24 (m, 2H), 3.16 (t, *J* = 6.2 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) *δ* 163.4, 142.4, 139.2, 135.2, 135.0, 133.8, 129.1, 127.7, 127.5, 127.4, 125.9, 125.9, 125.8, 123.9, 122.1, 44.8, 28.84; HRMS m/z (ESI): calcd for $C_{16}H_{12}F_3NO_3NaS$ [M + Na]+ 378.0387, found 378.0391.

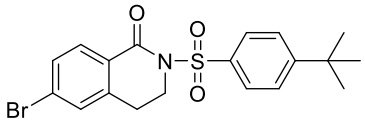
2-(Naphthalen-1-ylsulfonyl)-3,4-dihydroisoquinolin-1(2H)-one**(3i)**



New compound. The title compound was isolated as white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 60% yield (80.90 mg). M.p.: 189−190 °C; ^{1}H NMR (600 MHz, $CDCl_3$) *δ* 8.72 (d, *J* = 1.9 Hz, 1H), 8.05 – 7.99 (m, 2H), 7.96 (d, *J* = 8.6 Hz, 2H), 7.89 (d, *J* = 8.1 Hz, 1H), 7.63 (dddd, *J* = 22.9, 8.1, 6.9, 1.3 Hz, 2H), 7.46 (td, *J* = 7.5,

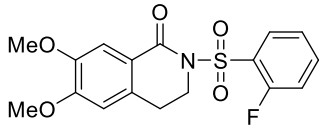
1.4 Hz, 1H), 7.33 – 7.27 (m, 1H), 7.22 (d, *J* = 7.6 Hz, 1H), 4.32 (t, *J* = 6.2 Hz, 2H), 3.16 (t, *J* = 6.2 Hz, 2H); **[13]**C NMR (151 MHz, $CDCl_3$) *δ* 163.4, 139.2, 135.9, 135.3, 133.5, 131.8, 130.7, 129.6, 129.2, 129.1, 128.9, 128.1, 127.8, 127.4, 127.4, 127.3, 122.9, 44.8, 28.9; HRMS *m/z* (ESI): calcd for $C_{19}H_{15}NO_3NaS$ $[M + Na]^+$ 360.0670, found 360.0675.

6-Bromo-2-((4-(tert-butyl)phenyl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3j)**



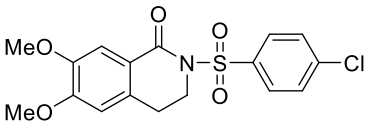
New compound. The title compound was isolated as white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 64% yield (108.30 mg). M.p.: 181−182 °C; [1]H NMR (600 MHz, $CDCl_3$) *δ* 8.00 (d, *J* = 8.4 Hz, 2H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.54 (d, *J* = 8.4 Hz, 2H), 7.45 (dd, *J* = 8.4, 1.9 Hz, 1H), 7.39 (d, *J* = 1.9 Hz, 1H), 4.23 (t, *J* = 6.2 Hz, 2H), 3.11 (t, *J* = 6.2 Hz, 2H), 1.33 (s, 9H), [13]C NMR (151 MHz, $CDCl_3$) *δ* 162.7, 157.7, 140.9, 135.7, 130.9, 130.8, 130.40, 128.5, 128.4, 127.1, 125.9, 44.5, 35.2, 30.9, 28.7; HRMS m/z (ESI): calcd for $C_{19}H_{20}BrNO_3NaS$ [M + Na]+ 444.0244, found 444.0248.

3-((2-Fluorophenyl)sulfonyl)-6,7-dimethoxy-3,4-dihydroisoquinolin-1(2H)-one **(3k)**



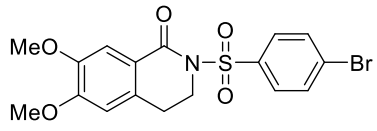
New compound. The title compound in-1(2H)-one was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 6:1) with 54% yield (78.86 mg). M.p.: 171−172°C; [1]H NMR (600 MHz, $CDCl_3$) *δ* 8.20 (td, *J* = 7.6, 1.8 Hz, 1H), 7.63 – 7.57 (m, 1H), 7.41 (s, 1H), 7.35 (td, *J* = 7.7, 1.1 Hz, 1H), 7.15 (ddd, *J* = 9.6, 8.3, 1.1 Hz, 1H), 6.67 (s, 1H), 4.30 (t, *J* = 6.3 Hz, 2H), 3.92 (s, 3H), 3.81 (s, 3H), 3.09 (t, *J* = 6.3 Hz, 2H); [13]C NMR (151 MHz, $CDCl_3$) *δ* 163.3, 159.5, 157.8, 153.6, 148.3, 135.7, 135.6, 133.9, 132.1, 127.7, 127.6, 124.4, 124.4, 120.0, 116.8, 116.7, 110.5, 109.3, 56.1, 55.9, 44.9, 44.9, 28.4; HRMS *m/z* (ESI): calcd for $C_{17}H_{16}FNO_5NaS$ $[M + Na]^+$ 388.0630, found 388.0635.

2-((4-Chlorophenyl)sulfonyl)-6,7-dimethoxy-3,4-dihydroisoquinolin-1(2H)-one **(3l)**



New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 6:1) with 53% yield (80.78 mg). M.p.: 183−184 °C; **[1]H NMR** (600 MHz, $CDCl_3$) *δ* 7.99 – 7.93 (m, 2H), 7.43 (d, *J* = 8.7 Hz, 2H), 7.37 (s, 1H), 6.57 (s, 1H), 4.13 (t, *J* = 6.2 Hz, 2H), 3.85 (s, 3H), 3.77 (s, 3H), 3.00 (t, *J* = 6.3 Hz, 2H); [13]C NMR (151 MHz, $CDCl_3$) *δ* 163.3, 153.6, 148.4, 140.2, 137.6, 133.7, 130.0, 129.0, 110.5, 109.2, 56.1, 56.0, 45.0, 28.5; HRMS *m/z* (ESI): calcd for $C_{17}H_{16}ClNO_5NaS$ $[M + Na]^+$ 404.0335, found 404.0342.

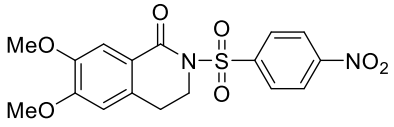
3-((4-Bromophenyl)sulfonyl)-6,7-dimethoxy-3,4-dihydroisoquinolin-1(2H)-one **(3m)**



New compound. The title compound was isolated as white solid after flash chromatography (petroleum ether/ethyl acetate = 6:1) with 48% yield (81.68 mg). M.p.: 168−169 °C; [1]H NMR (600 MHz, $CDCl_3$) *δ* 7.97 – 7.92 (m, 2H), 7.68 – 7.63 (m, 2H), 7.42 (s, 1H), 6.64 (s, 1H), 4.19 (t, *J* = 6.2 Hz, 2H), 3.91 (s, 3H), 3.83 (s, 3H), 3.06 (t, *J* = 6.3 Hz, 2H). [13]C NMR (151 MHz, $CDCl_3$) *δ* 163.3, 153.6, 148.4, 138.1, 133.7, 132.0, 130.0,

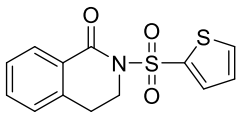
128.8, 110.5, 109.2, 56.1, 56.0, 45.0, 28.5; HRMS *m/z* (ESI): calcd for $C_{17}H_{16}BrNO_5NaS$ [M + Na]$^+$ 447.9830, found 447.9838.

6,7-Dimethoxy-2-((4-nitrophenyl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3n)**



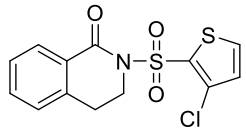
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate 6:1) with 63% yield (98.80 mg); M.p.: 208−209 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.37 (d, *J* = 8.9 Hz, 2H), 8.27 (d, *J* = 8.9 Hz, 2H), 7.40 (s, 1H), 6.66 (s, 1H), 4.24 (dd, *J* = 6.8, 5.8 Hz, 2H), 3.93 (s, 3H), 3.83 (s, 3H), 3.10 (t, *J* = 6.3 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.5, 154.1, 150.6, 148.7, 144.9, 134.0, 130.1, 124.1, 119.8, 110.7, 109.5, 56.3, 56.2, 45.3, 28.7; HRMS *m/z* (ESI): calcd for $C_{17}H_{16}N_2O_7NaS$ [M + Na]$^+$ 415.3717, found 415.3721.

2-(Thiophen-2-ylsulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3o)**



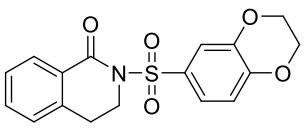
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 61% yield (71.50 mg). M.p.: 125−126 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.06 (dd, *J* = 8.0, 1.4 Hz, 1H), 7.97 (dd, *J* = 3.9, 1.4 Hz, 1H), 7.67 (dd, *J* = 5.1, 1.4 Hz, 1H), 7.49 (td, *J* = 7.5, 1.4 Hz, 1H), 7.34 (t, *J* = 7.6 Hz, 1H), 7.22 (d, *J* = 7.6 Hz, 1H), 7.11 (dd, *J* = 5.1, 3.8 Hz, 1H), 4.20 (t, *J* = 6.2 Hz, 2H), 3.14 (t, *J* = 6.2 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.49, 139.24, 138.75, 135.25, 133.73, 133.63, 129.23, 127.99, 127.50, 127.42, 127.15, 44.99, 28.76; HRMS *m/z* (ESI): calcd for $C_{13}H_{11}NO_3NaS_2$ [M + Na]$^+$ 316.0077, found 316.0081.

2-((3-chlorothiophen-2-yl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3p)**



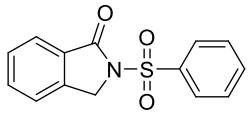
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 64% yield (83.71 mg). M.p.: 158−159 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.08 (dd, *J* = 7.9, 1.4 Hz, 1H), 7.75 (d, *J* = 4.1 Hz, 1H), 7.51 (td, *J* = 7.5, 1.4 Hz, 1H), 7.36 (td, *J* = 7.7, 1.2 Hz, 1H), 7.24 (d, *J* = 7.6 Hz, 1H), 6.95 (d, *J* = 4.1 Hz, 1H), 4.17 (dd, J = 6.8, 5.8 Hz, 2H), 3.14 (t, *J* = 6.2 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.83, 139.67, 139.37, 136.53, 134.78, 133.99, 129.44, 127.95, 127.76, 127.64, 126.59, 45.20, 28.90; HRMS m/z (ESI): calcd for $C_{13}H_{10}ClNO_3NaS_2$ [M + Na]+ 349.9688, found 349.9691.

2-((2,3-Dihydrobenzo[b][1,4]dioxin-6-yl)sulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3q)**



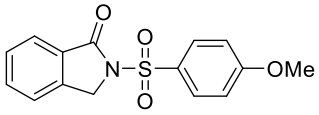
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 66% yield (91.10 mg). M.p.: 173−174 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.01 (dd, J = 7.9, 1.4 Hz, 1H), 7.63 – 7.58 (m, 2H), 7.47 (td, *J* = 7.5, 1.4 Hz, 1H), 7.32 (td, *J* = 7.7, 1.2 Hz, 1H), 7.21 (d, *J* = 7.6 Hz, 1H), 6.96 (d, *J* = 8.3 Hz, 1H), 4.30 (dd, *J* = 5.8, 2.5 Hz, 2H), 4.27 (dd, *J* = 5.7, 2.5 Hz, 2H), 4.21 (t, *J* = 6.2 Hz, 2H), 3.12 (t, *J* = 6.2 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.53, 148.45, 143.38, 139.40, 133.60, 131.46, 129.35, 128.41, 127.58, 127.49, 122.66, 118.23, 117.59, 64.72, 64.21, 44.92, 29.09; HRMS *m/z* (ESI): calcd for $C_{17}H_{15}NO_5NaS$ [M + Na]$^+$ 368.0568, found 368.0562.

3-(Phenylsulfonyl)isoindolin-1-one **(3r)**



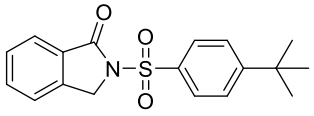
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 66% yield (72.09 mg). M.p.: 159−160 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.18 – 8.14 (m, 2H), 7.84 – 7.80 (m, 1H), 7.67 – 7.61 (m, 2H), 7.58 – 7.52 (m, 2H), 7.48 (dt, J = 7.4, 3.4 Hz, 2H), 4.93 (s, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 166.08, 141.00, 138.37, 134.09, 133.93, 130.15, 129.15, 128.88, 128.10, 125.12, 123.34, 49.86; HRMS *m/z* (ESI): calcd for $C_{14}H_{11}NO_3NaS$ $[M + Na]^+$ 296.0357, found 296.0363.

2-((4-Methoxyphenyl)sulfonyl)isoindolin-1-one **(3s)**



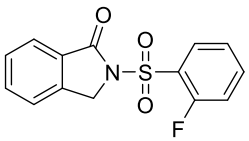
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 70% yield (84.86 mg). M.p.: 182−183 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.10 – 8.05 (m, 2H), 7.81 – 7.77 (m, 1H), 7.63 (td, J = 7.5, 1.2 Hz, 1H), 7.46 (t, J = 7.4 Hz, 2H), 7.05 – 6.93 (m, 2H), 4.90 (s, 2H), 3.85 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 166.10, 164.03, 140.98, 133.78, 130.43, 130.26, 129.78, 128.76, 124.95, 123.30, 114.25, 55.66, 49.79; HRMS m/z (ESI): calcd for $C_{15}H_{13}NO_4NaS$ [M + Na]+ 326.0462, found 326.0468.

3-((4-(Tert-butyl)phenyl)sulfonyl)isoindolin-1-one **(3t)**



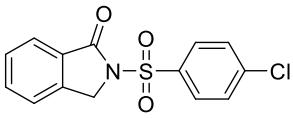
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 68% yield (89.52 mg). M.p.: 186−187 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.08 – 8.05 (m, 2H), 7.83 – 7.79 (m, 1H), 7.63 (td, J = 7.5, 1.2 Hz, 1H), 7.57 – 7.52 (m, 2H), 7.47 (t, J = 7.4 Hz, 2H), 4.91 (s, 2H), 1.31 (s, 9H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 166.08, 158.02, 141.00, 135.27, 133.82, 130.25, 128.79, 127.92, 126.17, 125.01, 123.32, 49.83, 35.25, 30.95; HRMS *m/z* (ESI): calcd for $C_{18}H_{19}NO_3NaS$ $[M + Na]^+$ 352.0983, found 352.0987.

2-((2-Fluorophenyl)sulfonyl)isoindolin-1-one **(3u)**



New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 64% yield(74.51 mg). M.p.: 180−181 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.25 (td, J = 7.6, 1.8 Hz, 1H), 7.80 (d, J = 7.7 Hz, 1H), 7.72 – 7.59 (m, 2H), 7.57 – 7.47 (m, 2H), 7.37 (t, J = 7.7 Hz, 1H), 7.19 – 7.13 (m, 1H), 5.10 (s, 2H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 166.26, 160.11, 158.42, 141.68, 136.63, 136.57, 134.33, 132.55, 129.96, 129.06, 126.68, 126.59, 125.33, 124.84, 124.82, 123.68, 117.25, 117.11, 50.11, 50.08; HRMS (ESI): calcd *m/z* for $C_{14}H_{10}FNO_3NaS$ $[M + Na]^+$ 314.0262, found 314.0267.

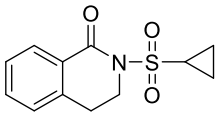
3-((4-Chlorophenyl)sulfonyl)isoindolin-1-one **(3v)**



New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 62% yield (76.14 mg). M.p.: 197−198 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.12 – 8.07 (m, 2H), 7.82 (d, J = 7.7 Hz, 1H), 7.66 (td, J = 7.6, 1.1 Hz, 1H), 7.54 – 7.47

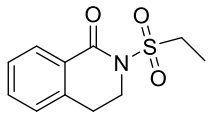
(m, 4H), 4.92 (s, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 163.47, 140.39, 139.22, 137.48, 133.73, 130.12, 129.16 (d, J = 17.3 Hz), 127.93, 127.57, 127.44, 44.80, 28.90; HRMS *m/z* (ESI): calcd for $C_{14}H_{10}ClNO_3NaS$ $[M + Na]^+$ 329.9967, found 329.9969.

(Cyclopropylsulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3w)**



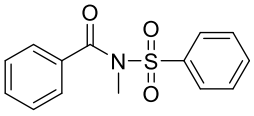
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1), with 61% yield (61.26 mg). M.p.: 82−83 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.10 (dd, J = 7.9, 1.4 Hz, 1H), 7.50 (td, J = 7.5, 1.4 Hz, 1H), 7.36 (td, J = 7.6, 1.2 Hz, 1H), 7.23 (d, J = 7.6 Hz, 1H), 4.02 (dd, J = 6.8, 5.8 Hz, 2H), 3.37 (tt, J = 8.1, 4.8 Hz, 1H), 3.06 (t, J = 6.3 Hz, 2H), 1.37 – 1.30 (m, 2H), 1.08 (ddd, J = 8.1, 3.9, 2.8 Hz, 2H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 164.37, 139.19, 133.50, 128.95, 127.98, 127.35, 44.52, 31.48, 28.66, 5.86; HRMS *m/z* (ESI): calcd for $C_{12}H_{13}NO_3NaS$ $[M + Na]^+$ 274.0513, found 274.0519.

(Ethylsulfonyl)-3,4-dihydroisoquinolin-1(2H)-one **(3x)**



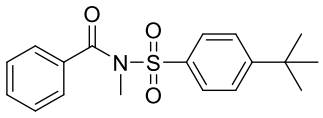
New compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 60% yield (57.37 mg). M.p.: 93−94 °C; ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.11 (dd, J = 7.9, 1.4 Hz, 1H), 7.53 (td, J = 7.5, 1.4 Hz, 1H), 7.40 (td, J = 7.7, 1.2 Hz, 1H), 7.29 – 7.21 (m, 1H), 4.12 – 4.07 (m, 2H), 3.71 (q, J = 7.4 Hz, 2H), 3.10 (t, J = 6.2 Hz, 2H), 1.38 (t, J = 7.4 Hz, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 164.74, 139.48, 133.91, 129.40, 128.18, 127.75, 127.61, 48.78, 44.52, 28.95, 8.14; HRMS *m/z* (ESI): calcd for $C_{11}H_{13}NO_3NaS$ $[M + Na]^+$ 262.0513, found 262.0518.

N-Methyl-N-(phenylsulfonyl)benzamide **(5a)**



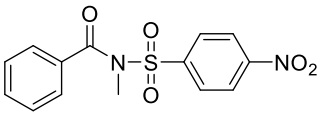
New compound. The title compound was isolated as white liquid after flash chromatography (petroleum ether/ethyl acetate = 25:1) with 70% yield (77.02 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.97 – 7.93 (m, 2H), 7.67 – 7.63 (m, 1H), 7.57 – 7.49 (m, 5H), 7.43 – 7.39 (m, 2H), 3.30 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.58, 138.34, 134.54, 133.95, 132.18, 129.16, 128.65, 128.52, 128.47, 35.80; HRMS m/z (ESI): calcd for $C_{14}H_{13}NO_3NaS$ [M + Na]+ 298.0513, found 298.0519.

N-((4-(Tert-butyl)phenyl)sulfonyl)-N-methylbenzamide **(5b)**



New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 20:1) with 87% yield (115.23 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.88 – 7.82 (m, 2H), 7.59 – 7.48 (m, 5H), 7.41 (t, J = 7.7 Hz, 2H), 3.29 (s, 3H), 1.35 (s, 9H). ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.64, 157.91, 135.22, 134.72, 132.07, 128.65, 128.41, 128.39, 126.18, 35.68, 35.44, 31.18; HRMS m/z (ESI): calcd for $C_{18}H_{21}NO_3NaS$ [M + Na]+ 354.1138, found 354.114.

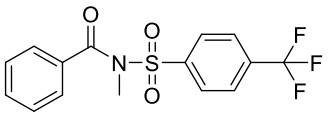
N-Methyl-N-((4-nitrophenyl)sulfonyl)benzamide **(5c)**



New compound. The title compound was isolated as a white liquid

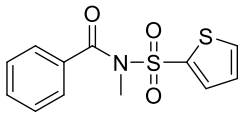
after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 77% yield (98.57 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.43 – 8.36 (m, 2H), 8.27 – 8.21 (m, 2H), 7.55 (td, J = 5.5, 4.9, 2.7 Hz, 3H), 7.49 – 7.39 (m, 2H), 3.35 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.34, 150.81, 143.94, 133.37, 132.78, 130.19, 129.32, 128.83, 128.66, 124.26, 36.54; HRMS *m/z* (ESI) calcd for $C_{14}H_{12}N_2O_5NaS$ $[M + Na]^+$ 343.0364, found 343.0368.

N-Methyl-N-((4-(trifluoromethyl)phenyl)sulfonyl)benzamide **(5d)**



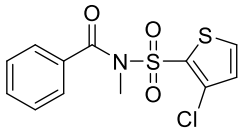
New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 87% yield (122.10 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.15 (d, J = 8.2 Hz, 2H), 7.82 (d, J = 8.3 Hz, 2H), 7.60 – 7.51 (m, 3H), 7.44 (t, J = 7.7 Hz, 2H), 3.33 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.42, 141.83, 135.63, 135.41, 133.79, 132.58, 129.31, 128.72, 128.67, 126.31, 126.28, 126.26, 126.24, 124.15, 122.34, 36.29; HRMS *m/z* (ESI): calcd for $C_{15}H_{12}F_3NO_3NaS$ $[M + Na]^+$ 366.0387, found 366.0392.

N-Methyl-N-(thiophen-2-ylsulfonyl)benzamide (**5e)**



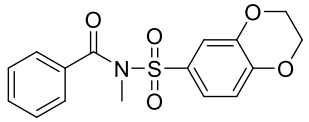
New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 74% yield (83.18 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.83 (dd, J = 3.8, 1.4 Hz, 1H), 7.70 (dd, J = 5.0, 1.4 Hz, 1H), 7.63 – 7.57 (m, 2H), 7.57 – 7.51 (m, 1H), 7.44 (dd, J = 8.5, 7.1 Hz, 2H), 7.14 (dd, J = 5.0, 3.8 Hz, 1H), 3.29 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.64, 138.07, 135.19, 134.16, 134.04, 132.44, 128.78, 128.58, 127.46, 36.07; HRMS *m/z* (ESI): calcd for $C_{12}H_{11}NO_3NaS_2$ $[M + Na]^+$ 304.0078, found 304.0082.

N-((3-Chlorothiophen-2-yl)sulfonyl)-N-methylbenzamide **(5f)**



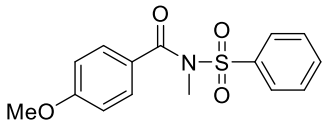
New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 70% yield (88.19 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.67 – 7.60 (m, 3H), 7.58 – 7.52 (m, 1H), 7.45 (t, J = 7.7 Hz, 2H), 6.98 (d, J = 4.1 Hz, 1H), 3.28 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.72, 139.88, 135.67, 134.72, 133.66, 132.70, 128.84, 128.75, 126.72, 36.39; HRMS *m/z* (ESI): calcd for $C_{12}H_{10}ClNO_3NaS_2$ $[M + Na]^+$ 337.9688, found 337.9692.

N-((2,3-Dihydrobenzo[b][1,4]dioxin-6-yl)sulfonyl)-N-methylbenzamide **(5g)**



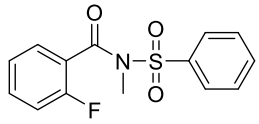
New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 77% yield (102.58 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.58 – 7.54 (m, 2H), 7.53 – 7.49 (m, 1H), 7.45 (d, J = 2.3 Hz, 1H), 7.44 – 7.39 (m, 3H), 6.96 (d, J = 8.5 Hz, 1H), 4.35 – 4.32 (m, 2H), 4.31 – 4.28 (m, 2H), 3.26 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.63, 148.55, 143.57, 134.78, 132.06, 130.48, 128.65, 128.39, 122.39, 118.19, 117.80, 64.76, 64.25, 35.65; HRMS *m/z* (ESI): calcd for $C_{16}H_{15}NO_5NaS$ $[M + Na]^+$ 356.0568, found 356.0574.

Methoxy-*N*-methyl-N-(phenylsulfonyl)benzamide **(5h)**



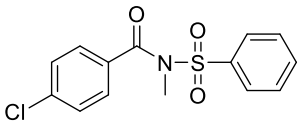
New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 15:1) with 81% yield (305.07 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.00 – 7.91 (m, 2H), 7.68 – 7.58 (m, 3H), 7.54 (t, J = 7.8 Hz, 2H), 6.94 – 6.87 (m, 2H), 3.86 (s, 3H), 3.25 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 171.40, 163.19, 138.18, 133.82, 131.56, 129.13, 128.51, 126.43, 113.77, 55.62, 36.04; HRMS *m/z* (ESI): calcd for $C_{15}H_{15}NO_4NaS$ $[M + Na]^+$ 328.0619, found 328.0621.

2-Fluoro-*N*-methyl-N-(phenylsulfonyl)benzamide **(5i)**



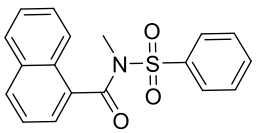
New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 15:1) with 71% yield (83.23 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.94 – 7.85 (m, 2H), 7.68 – 7.62 (m, 1H), 7.57 – 7.51 (m, 2H), 7.45 (dddd, J = 8.4, 7.3, 5.3, 1.8 Hz, 1H), 7.36 (ddd, J = 7.6, 6.7, 1.8 Hz, 1H), 7.19 (td, J = 7.6, 1.0 Hz, 1H), 7.07 (ddd, J = 9.6, 8.4, 1.0 Hz, 1H), 3.34 (d, J = 1.0 Hz, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 166.71, 159.67, 158.00, 138.39, 134.10, 132.99, 132.93, 129.58, 129.57, 129.18, 128.33, 124.48, 124.46, 123.81, 123.70, 116.08, 115.94, 34.10, 34.09; HRMS *m/z* (ESI): calcd for $C_{14}H_9FNO_3NaS$ $[M + Na]^+$ 316.0419, found 316.0423.

4-Chloro-*N*-methyl-N-(phenylsulfonyl)benzamide **(5j)**



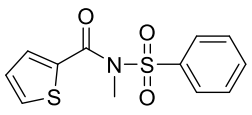
New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 15:1) with 75% yield (92.71 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.90 (dd, J = 8.5, 1.3 Hz, 2H), 7.69 – 7.62 (m, 1H), 7.58 – 7.48 (m, 4H), 7.42 – 7.36 (m, 2H), 3.26 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 170.74, 138.63, 138.05, 134.10, 133.04, 130.25, 129.28, 128.76, 128.39, 35.55; HRMS *m/z* (ESI): calcd for $C_{14}H_{12}ClNO_3NaS$ $[M + Na]^+$ 332.0124, found 332.0126.

N-Methyl-N-(phenylsulfonyl)thiophene-2-carboxamide **(5k)**



New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 15:1) with 68% yield (88.42 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 7.94 – 7.88 (m, 3H), 7.87 – 7.83 (m, 1H), 7.63 – 7.58 (m, 2H), 7.51 – 7.47 (m, 3H), 7.47 – 7.40 (m, 3H), 3.31 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 170.81, 138.80, 134.04, 133.47, 132.71, 131.06, 129.58, 129.11, 128.72, 128.51, 127.74, 126.82, 125.63, 124.83, 124.35, 34.91; HRMS *m/z* (ESI): calcd for $C_{18}H_{15}NO_3NaS$ $[M + Na]^+$ 348.0670, found 348.0675.

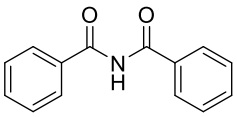
N-Methyl-N-(phenylsulfonyl)-1-naphthamide **(5l)**



New compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 15:1) with 66% yield (74.18 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.03 – 7.97 (m, 2H), 7.68 (dd, J = 3.8, 1.2 Hz, 1H), 7.66 – 7.61 (m, 2H), 7.57 – 7.53 (m, 2H), 7.11 (dd, J = 5.0, 3.8 Hz, 1H), 3.44 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 164.67, 138.17, 136.81, 133.93, 133.77, 133.33, 129.15, 128.65, 127.65, 36.23; HRMS *m/z* (ESI): calcd for

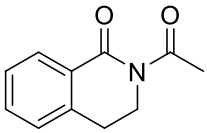
$C_{12}H_{11}NO_3NaS_2$ $[M + Na]^+$ 304.0078, found 304.0083.

Benzoylbenzamide **(5m)**[2]



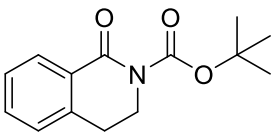
Known compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 18:1) with 61% yield (54.92 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.89 (s, 1H), 7.87 (dt, J = 7.0, 1.3 Hz, 4H), 7.65 – 7.58 (m, 2H), 7.52 (t, J = 7.8 Hz, 4H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 166.42, 133.52, 133.28, 129.07, 128.06.

2-acetyl-3,4-dihydroisoquinolin-1(2H)-one **(7a)**[3]



Known compound. The title compound was isolated as a white solid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 61% yield (47.65 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.15 (dd, J = 7.9, 1.4 Hz, 1H), 7.51 (td, J = 7.5, 1.4 Hz, 1H), 7.39 (td, J = 7.7, 1.0 Hz, 1H), 7.26 – 7.24 (m, 1H), 4.14 – 4.09 (m, 2H), 2.99 (t, J = 6.2 Hz, 2H), 2.67 (s, 3H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 173.92, 165.92, 140.41, 133.55, 129.69, 129.18, 127.53, 127.51, 41.88, 28.29, 27.80.

Tert-butyl 1-oxo-3,4-dihydroisoquinoline-2(1H)-carboxylate **(7b)**[3]



Known compound. The title compound was isolated as a white liquid after flash chromatography (petroleum ether/ethyl acetate = 12:1) with 65% yield (64.25 mg); ^{1}H NMR (600 MHz, $CDCl_3$) δ 8.15 (dd, J = 7.9, 1.4 Hz, 1H), 7.45 (dd, J = 7.5, 1.4 Hz, 1H), 7.35 (dd, J = 7.8, 1.2 Hz, 1H), 7.20 (d, J = 7.6 Hz, 1H), 4.01 – 3.97 (m, 2H), 3.00 (t, J = 6.2 Hz, 2H), 1.58 (s, 9H); ^{13}C NMR (151 MHz, $CDCl_3$) δ 164.07, 153.27, 139.66, 132.96, 129.74, 129.48, 127.33, 127.27, 83.32, 44.55, 28.45, 28.22.

5. References

(1) L. C. Finney, L. J. Mitchell and C. J. Moody, *Green Chem*., 2018, **20**, 2242-2249.
(2) C. Sivaraj, T. Gandhi, *RSC Adv.* 2023, **13**, 9231-9236.
(3) K. C. C. Aganda, B. Hong, A. Lee, *Adv. Synth. Catal.* 2019, **361**, 1124-1129.

6. Copies of ^{1}H NMR and ^{13}C NMR spectra

Figure S5. ^{1}H NMR (600 MHz) spectrum of compound **3a** in $CDCl_3$

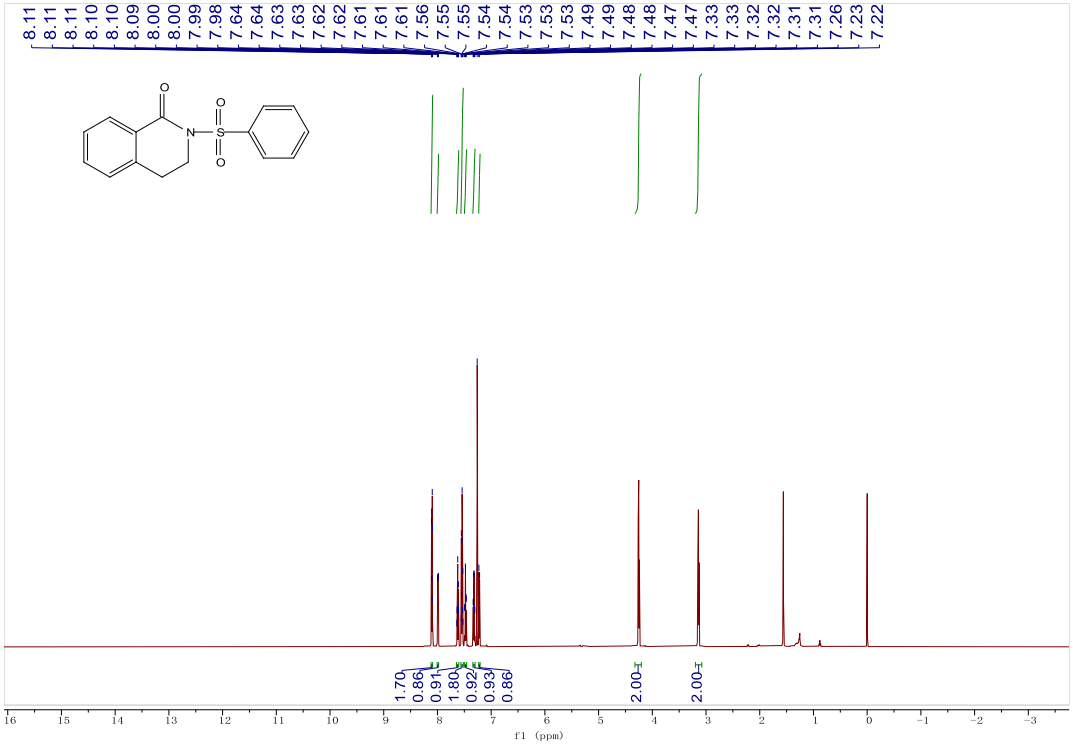


Figure S6. ^{13}C NMR (151 MHz) spectrum of compound **3a** in $CDCl_3$

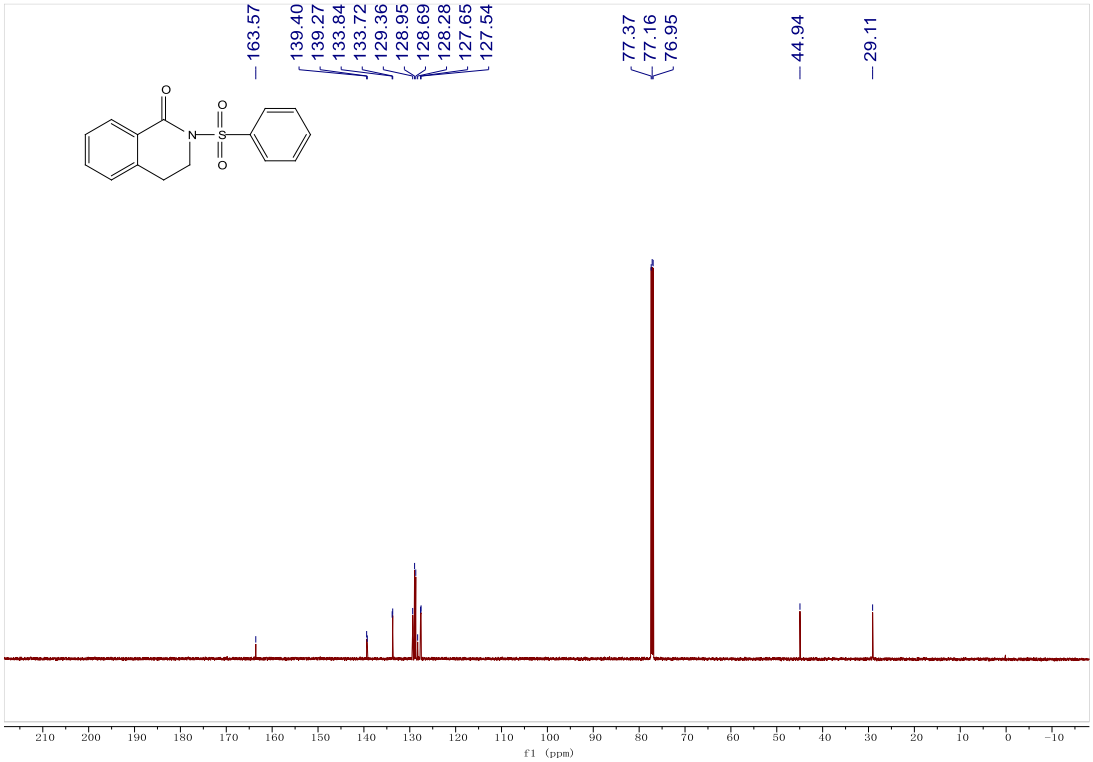


Figure S7.[1]H NMR (600 MHz) spectrum of compound **3b** in $CDCl_3$

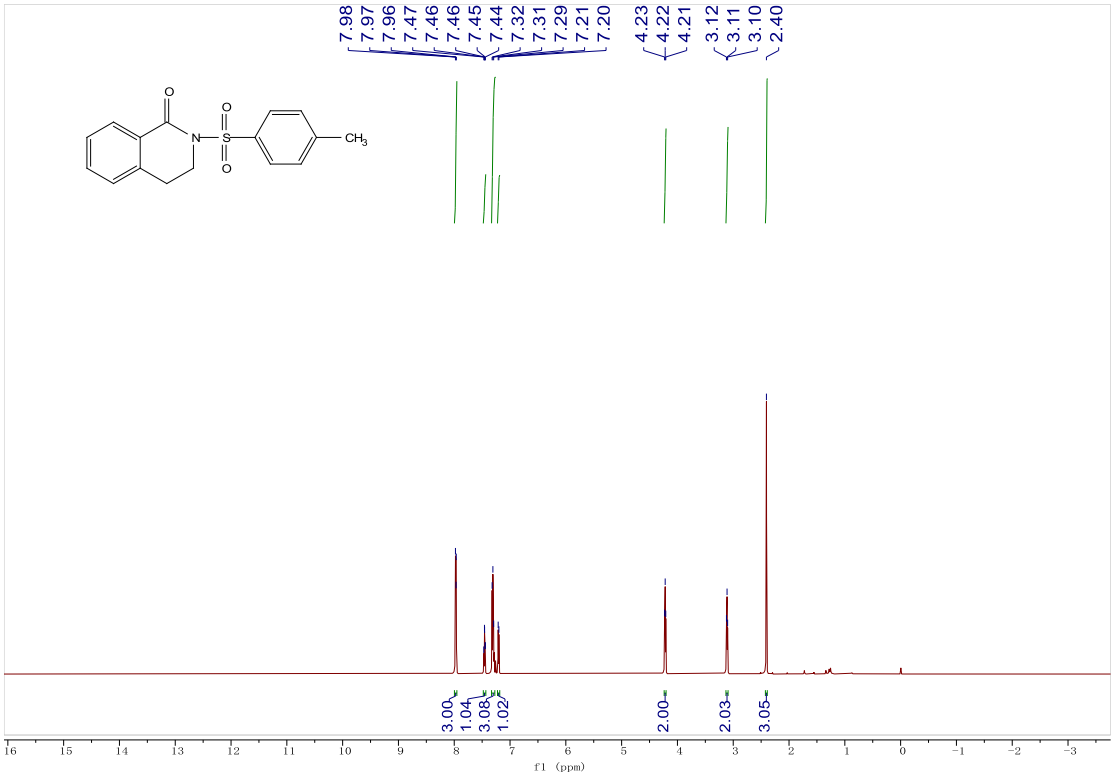


Figure S8.[13]C NMR (151 MHz) spectrum of compound **3b** in $CDCl_3$

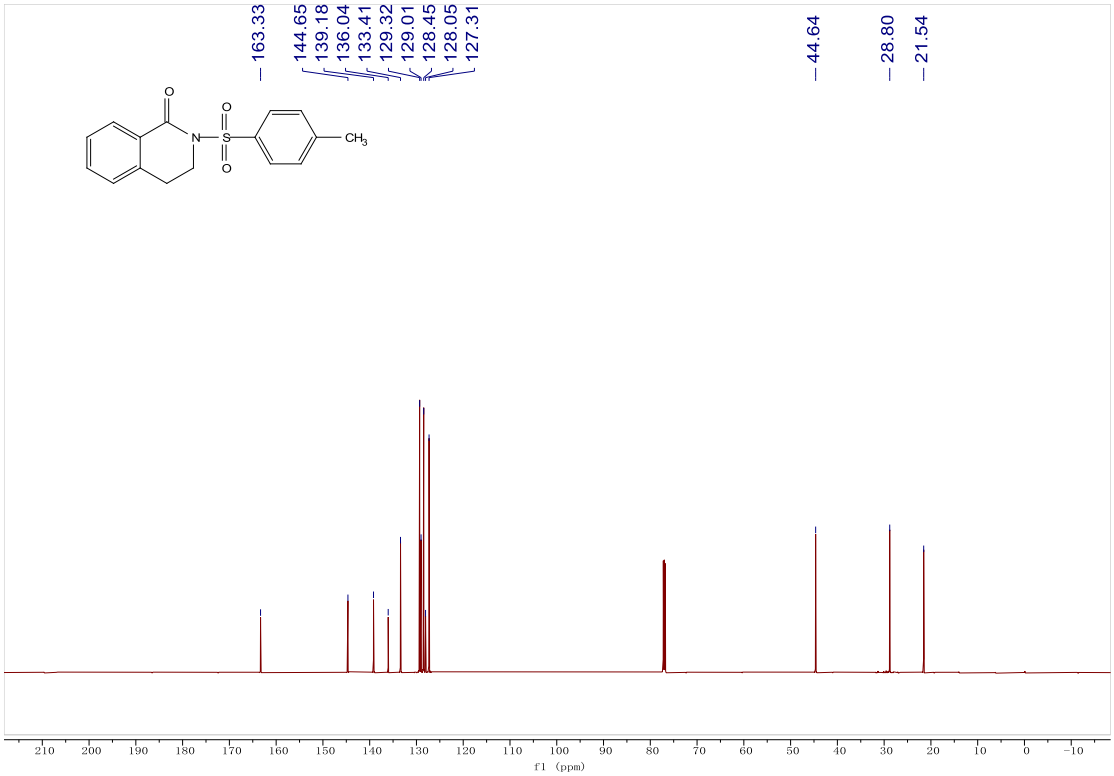


Figure S9. ^{1}H NMR (600 MHz) spectrum of compound **3c** in $CDCl_3$

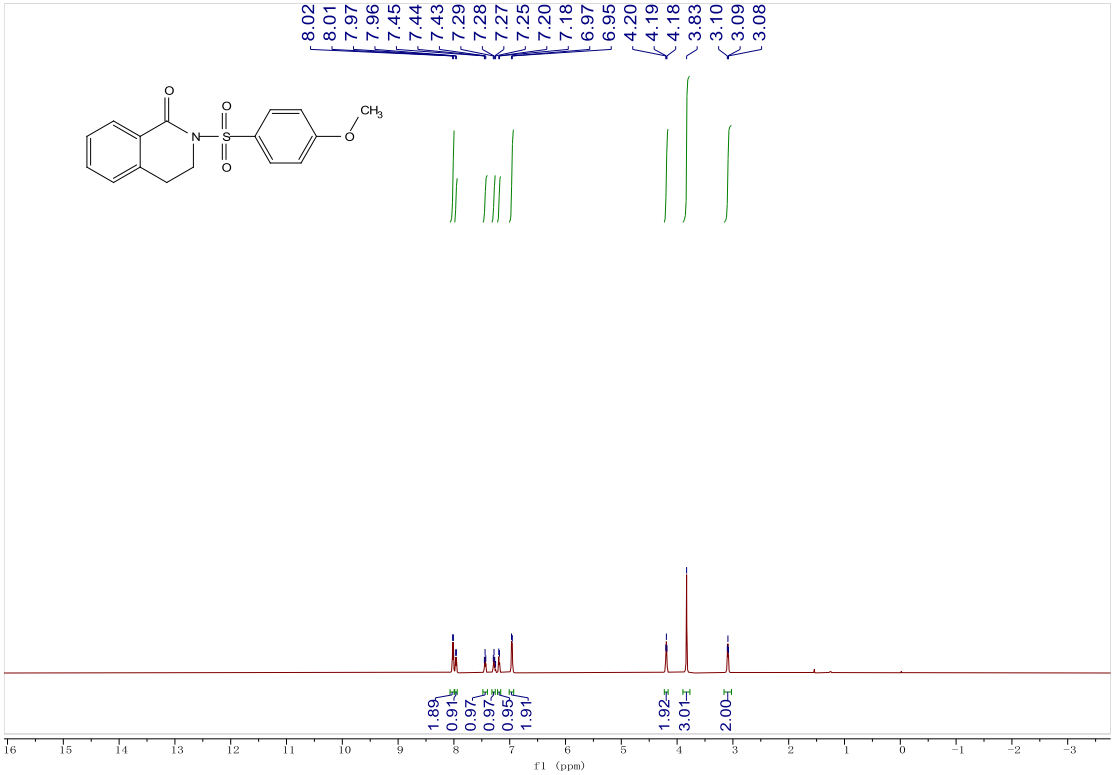


Figure S10. ^{13}C NMR (151 MHz) spectrum of compound **3c** in $CDCl_3$

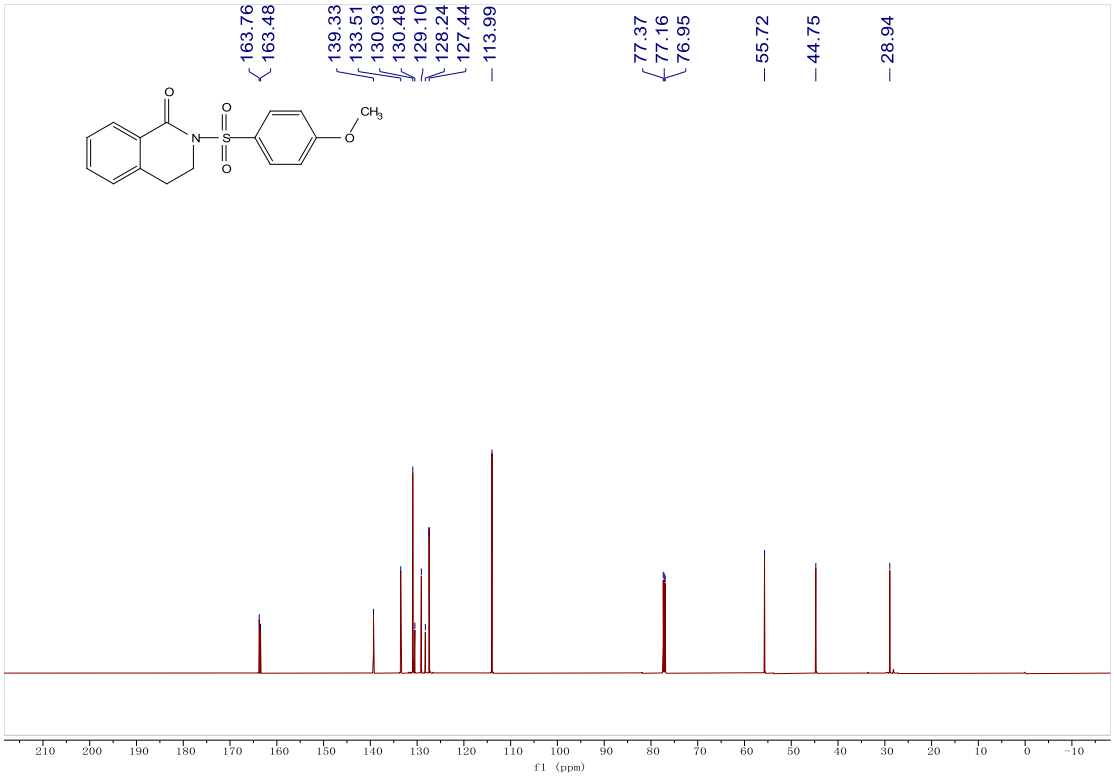


Figure S11. ^{1}H NMR (400 MHz) spectrum of compound **3d** in $CDCl_3$

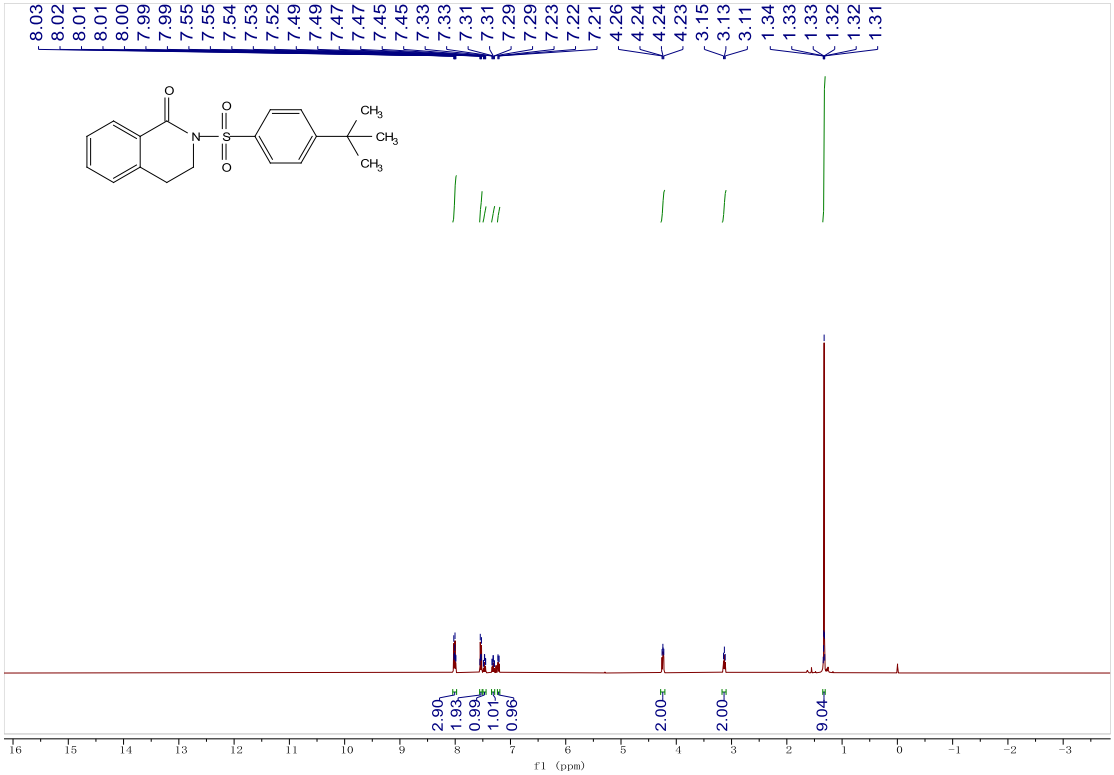


Figure S12. ^{13}C NMR (101 MHz) spectrum of compound **3d** in $CDCl_3$

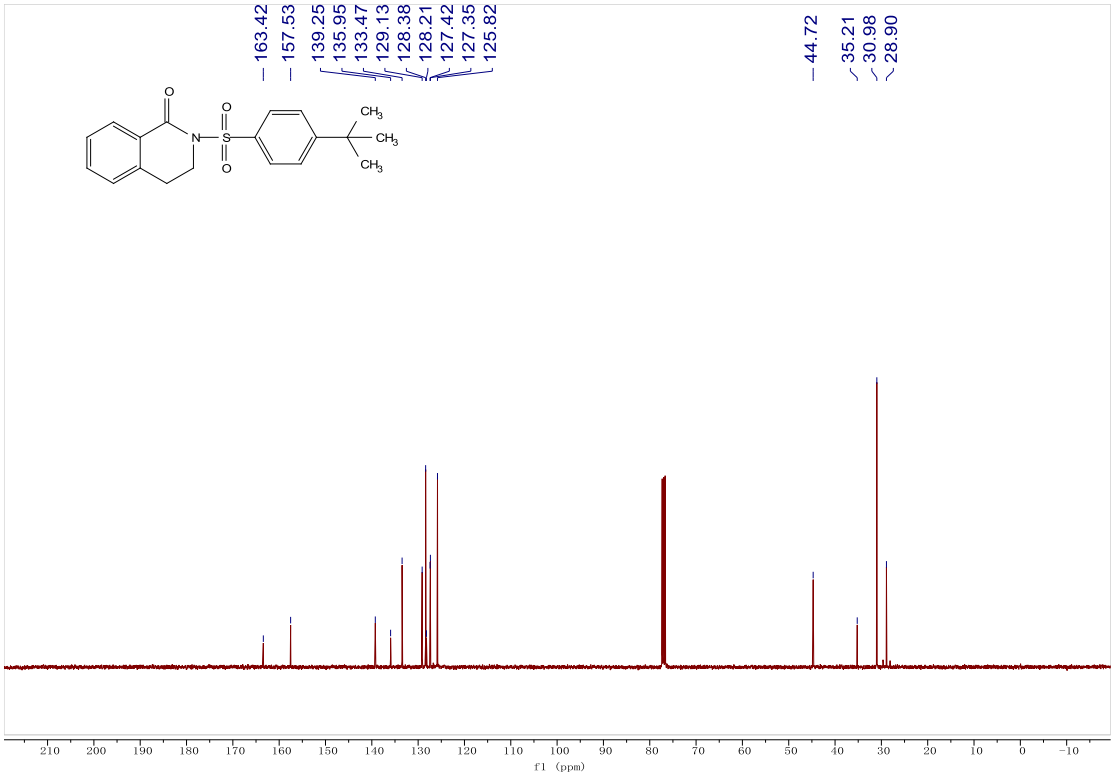


Figure S13. ^{1}H NMR (600 MHz) spectrum of compound **3e** in $CDCl_3$

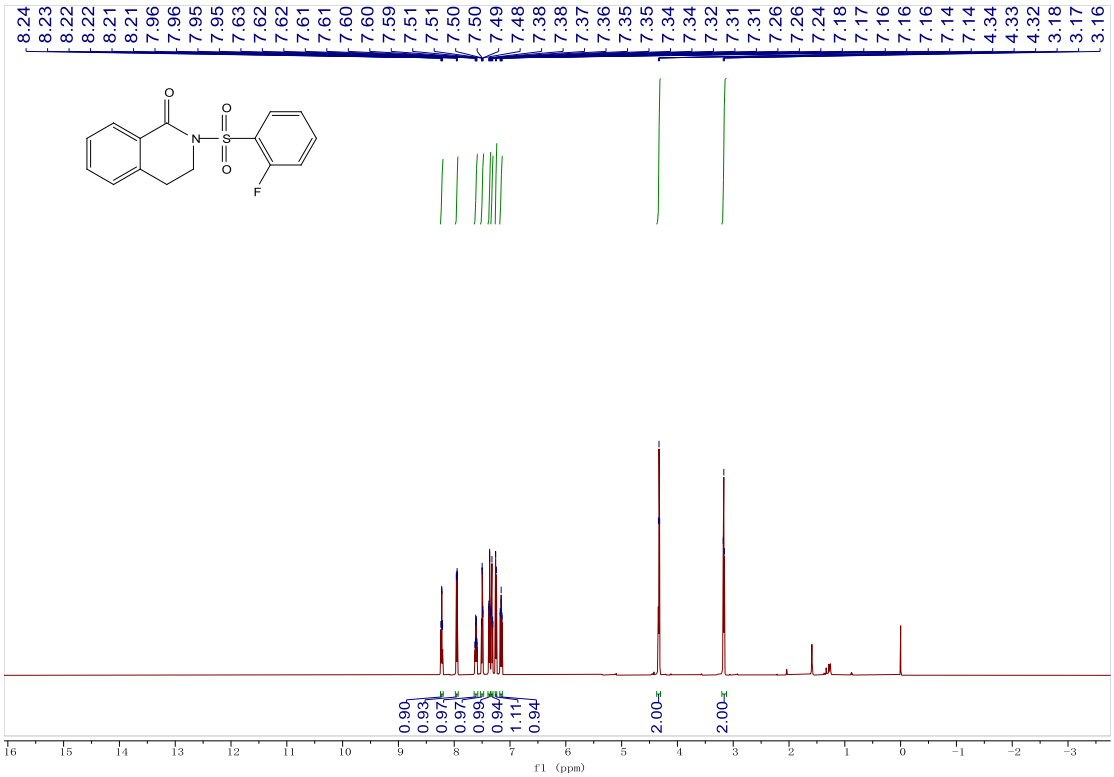


Figure S14. ^{13}C NMR (151 MHz) spectrum of compound **3e** in $CDCl_3$

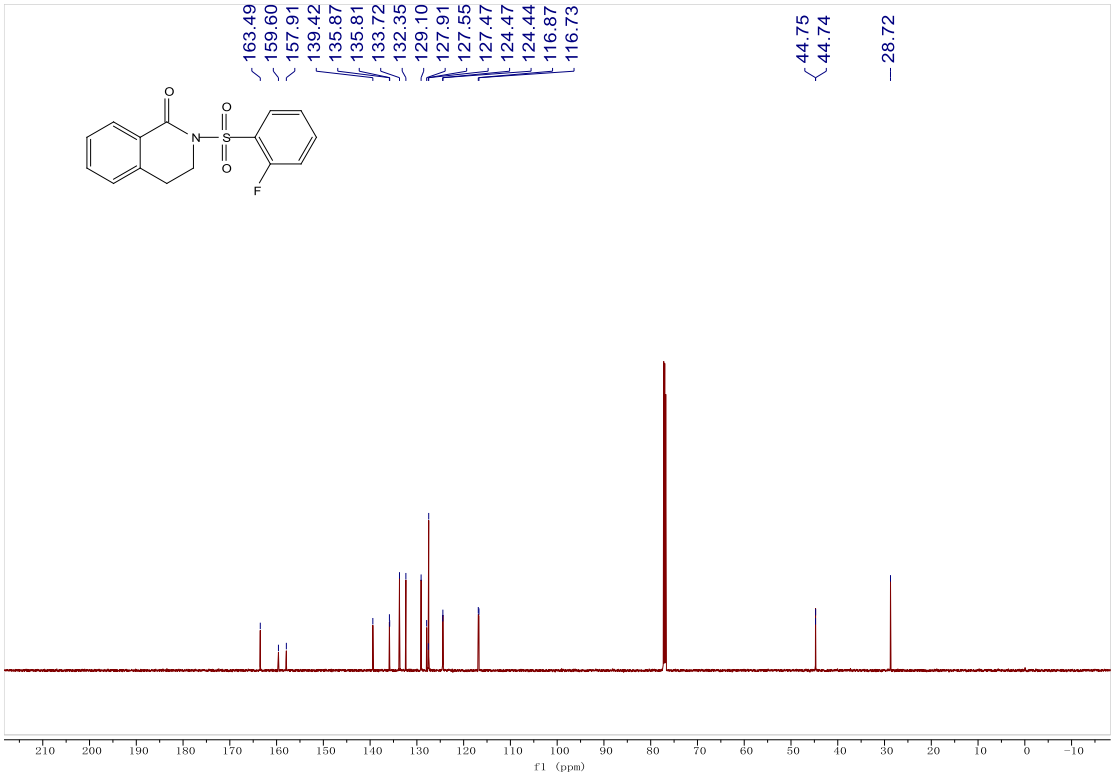


Figure S15. ^{1}H NMR (600 MHz) spectrum of compound **3f** in $CDCl_3$

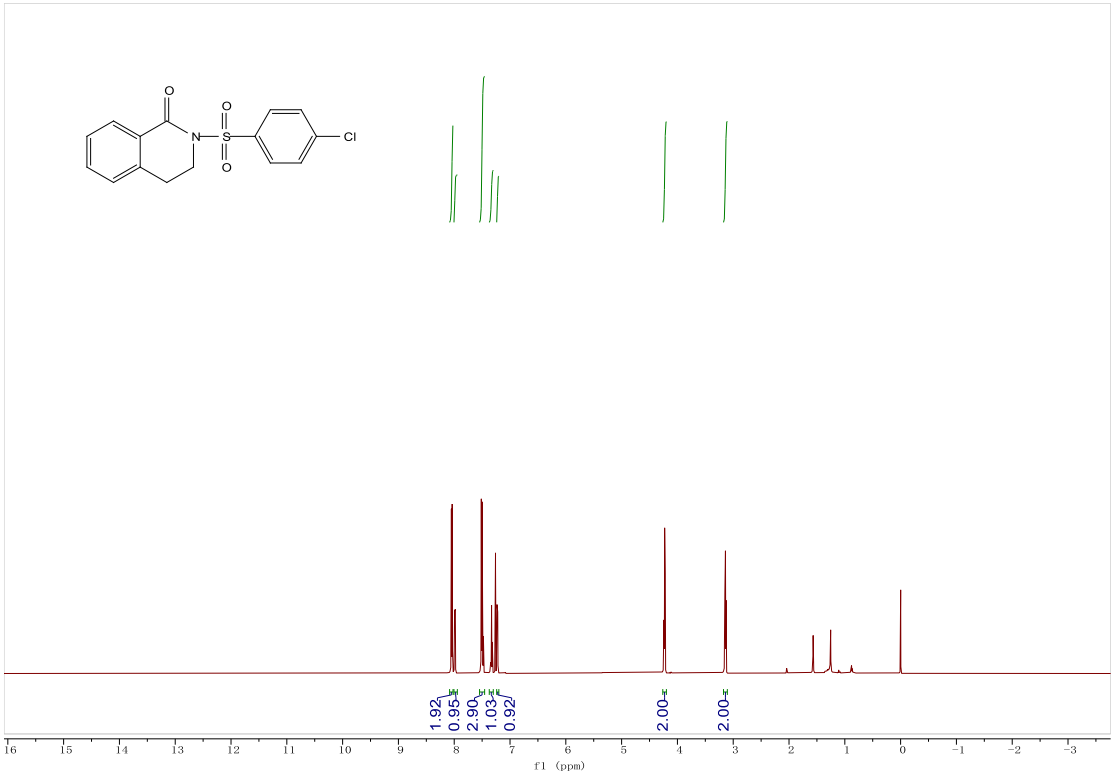


Figure S16. ^{13}C NMR (151 MHz) spectrum of compound **3f** in $CDCl_3$

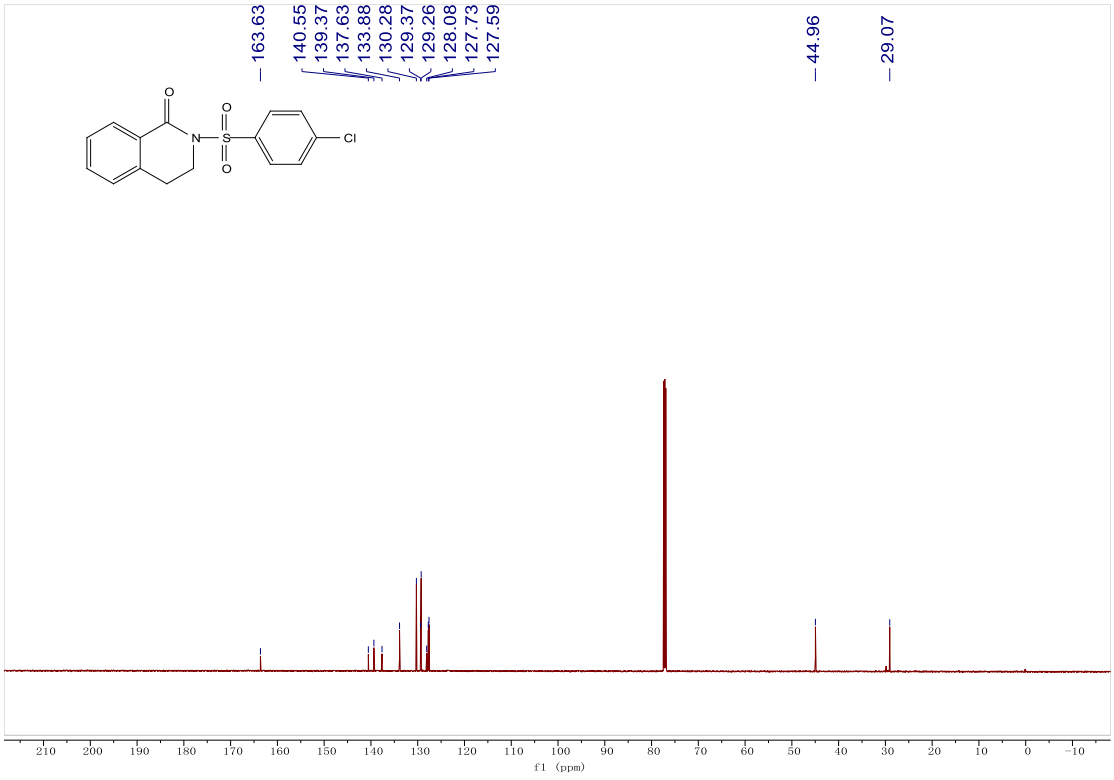


Figure S17. ^{1}H NMR (600 MHz) spectrum of compound **3g** in $CDCl_3$

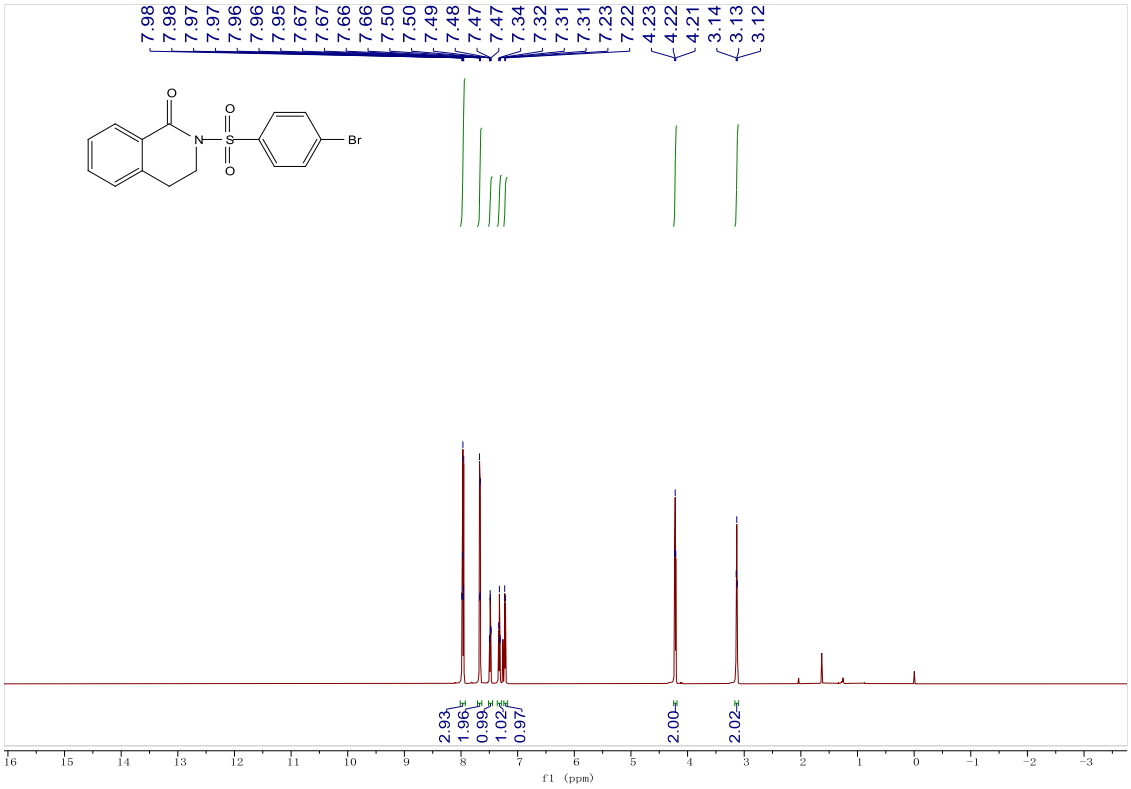


Figure S18. ^{13}C NMR (151 MHz) spectrum of compound **3g** in $CDCl_3$

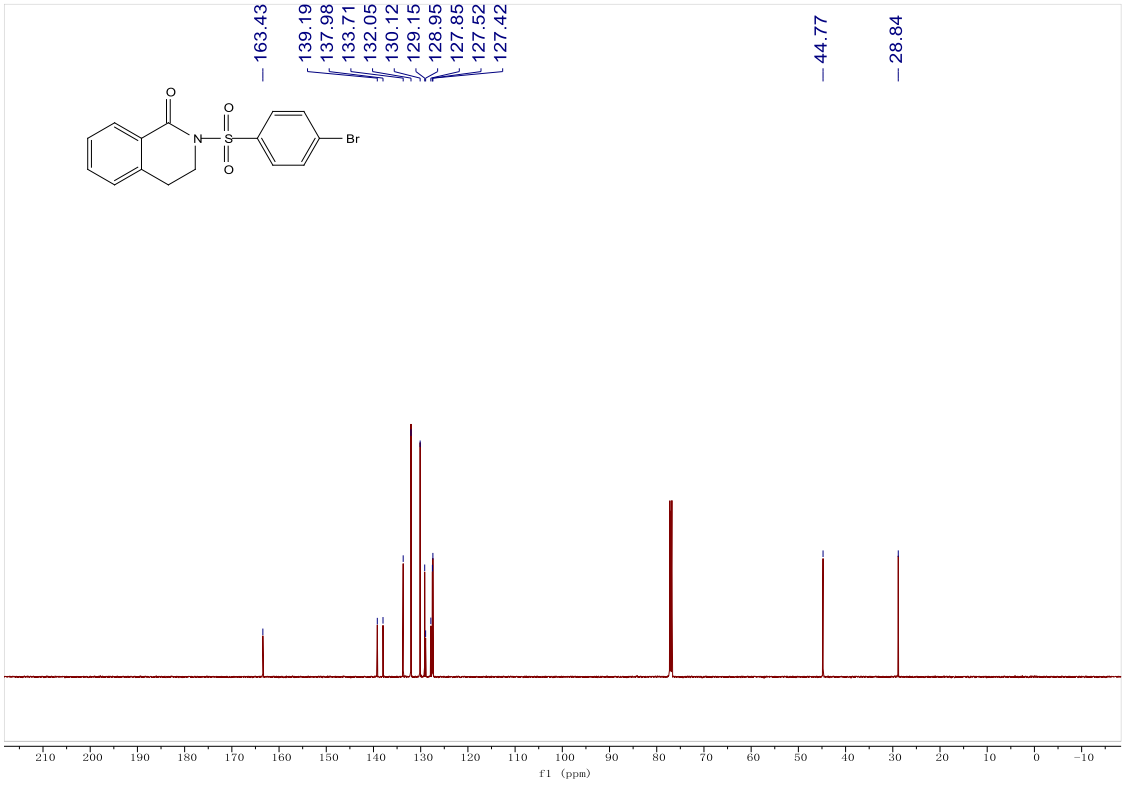


Figure S19. ^{1}H NMR (600 MHz) spectrum of compound **3h** in $CDCl_3$

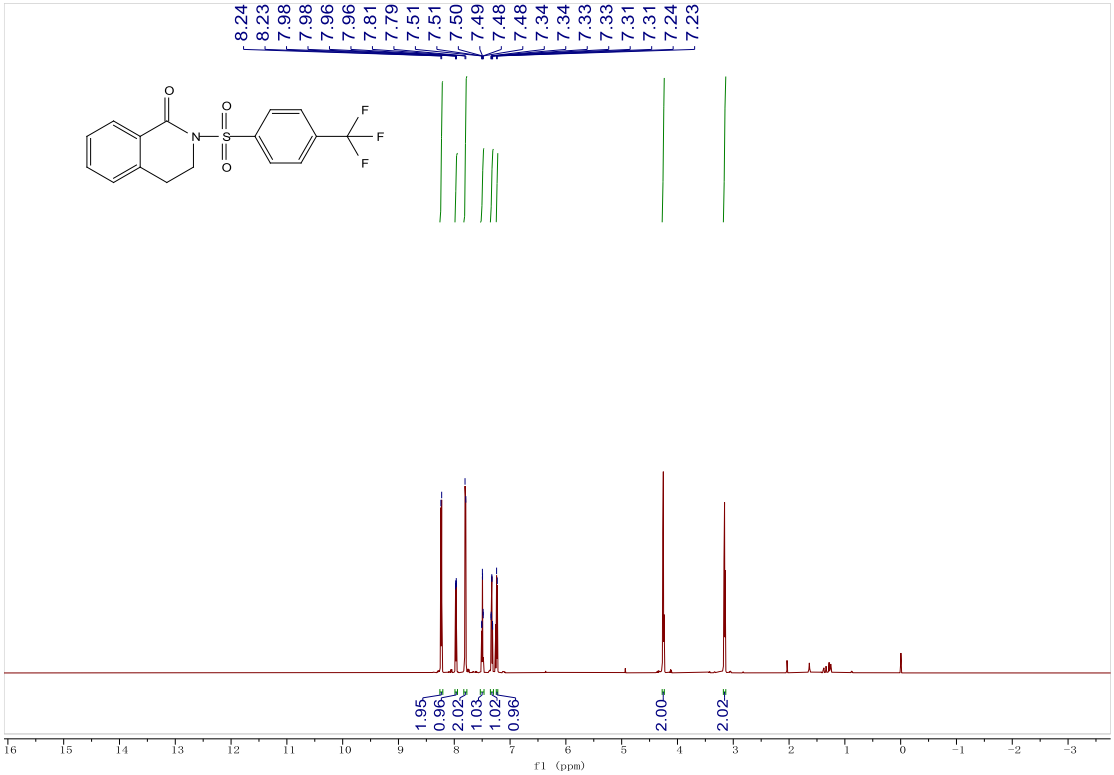


Figure S20. ^{13}C NMR (151 MHz) spectrum of compound **3h** in $CDCl_3$

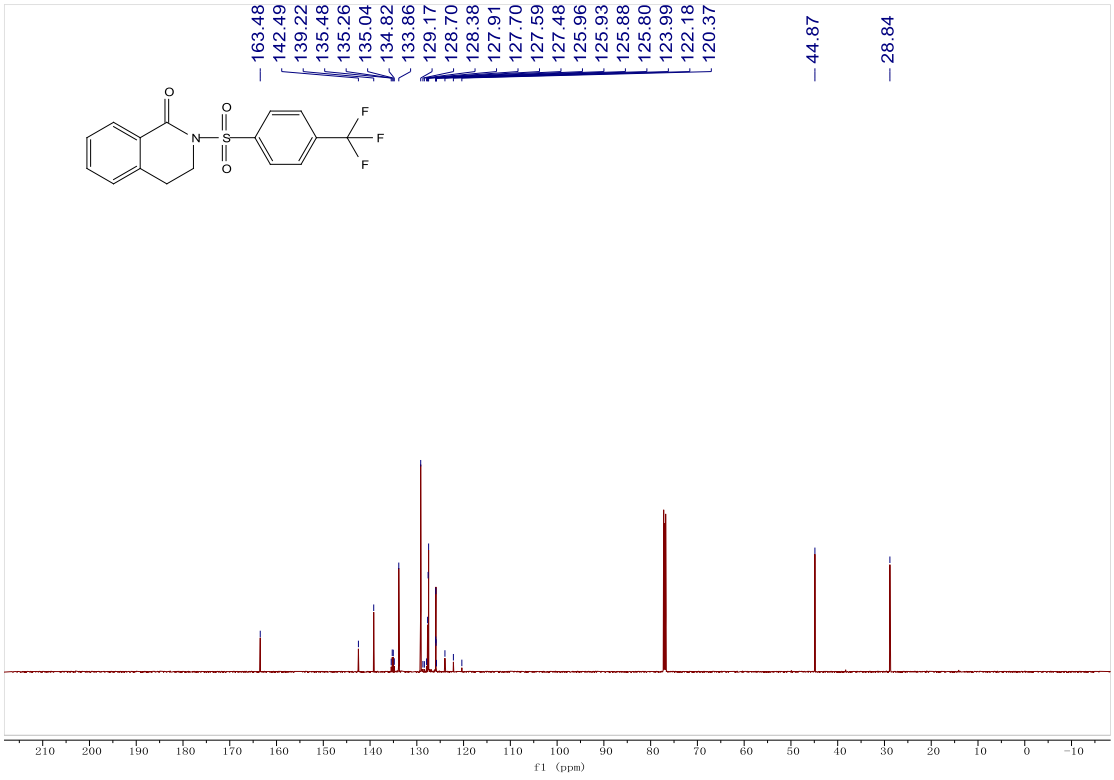


Figure S21. ^{1}H NMR (600 MHz) spectrum of compound **3i** $CDCl_3$

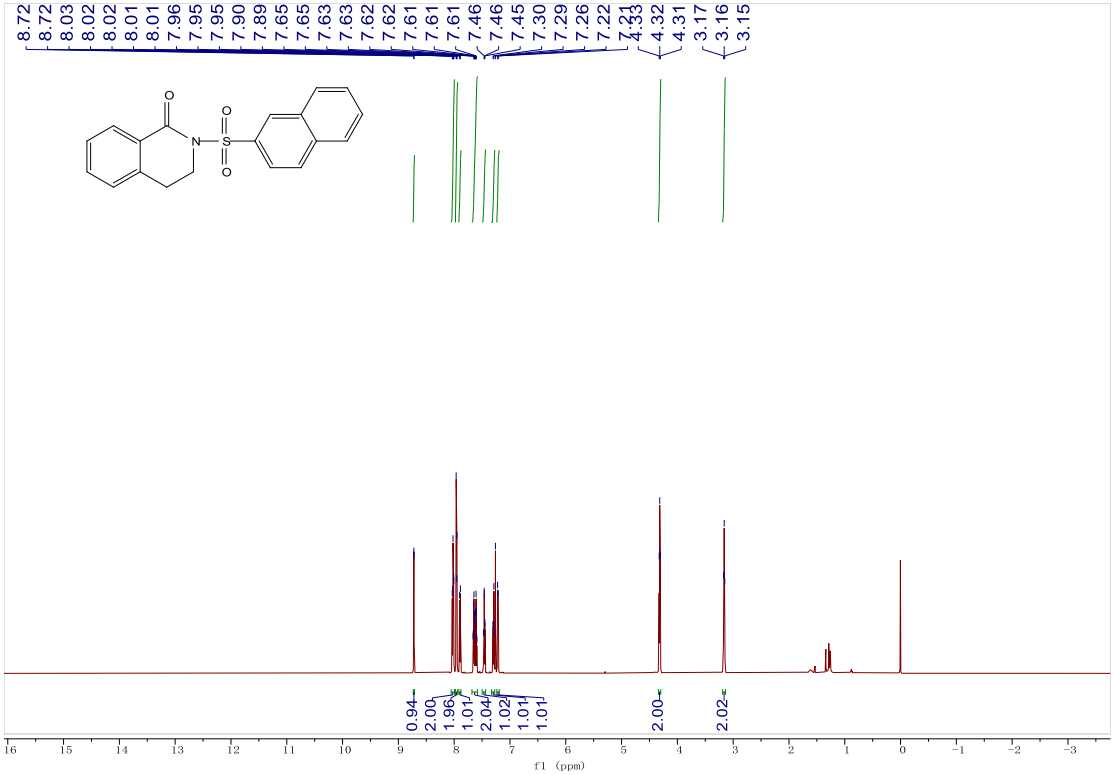


Figure S22. ^{13}C NMR (151 MHz) spectrum of compound **3i** in $CDCl_3$

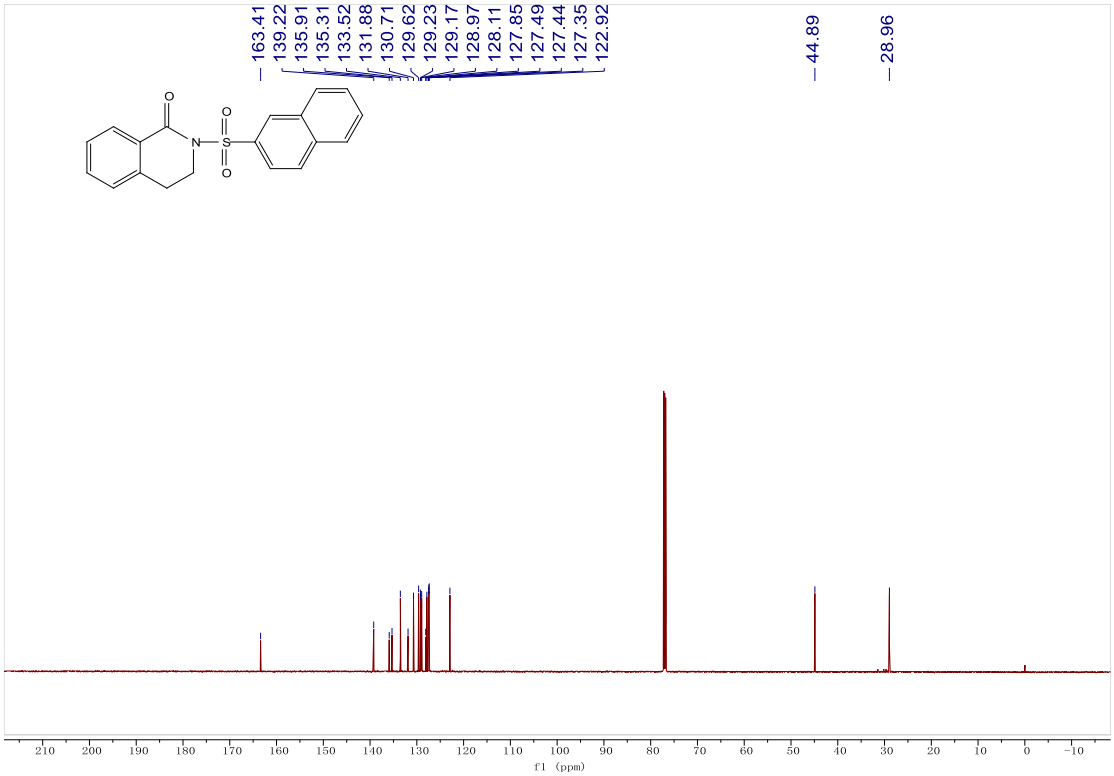


Figure S23. ^{1}H NMR (600 MHz) spectrum of compound **3j** in $CDCl_3$

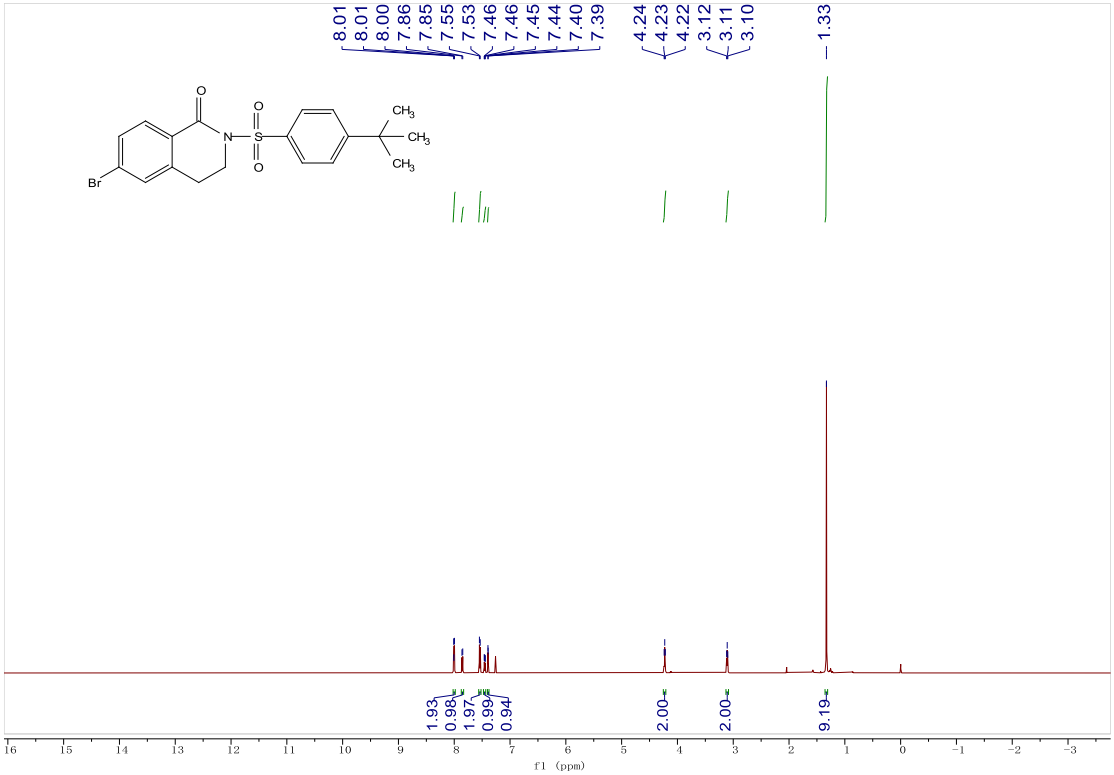


Figure S24. ^{13}C NMR (151 MHz) spectrum of compound **3j** in $CDCl_3$

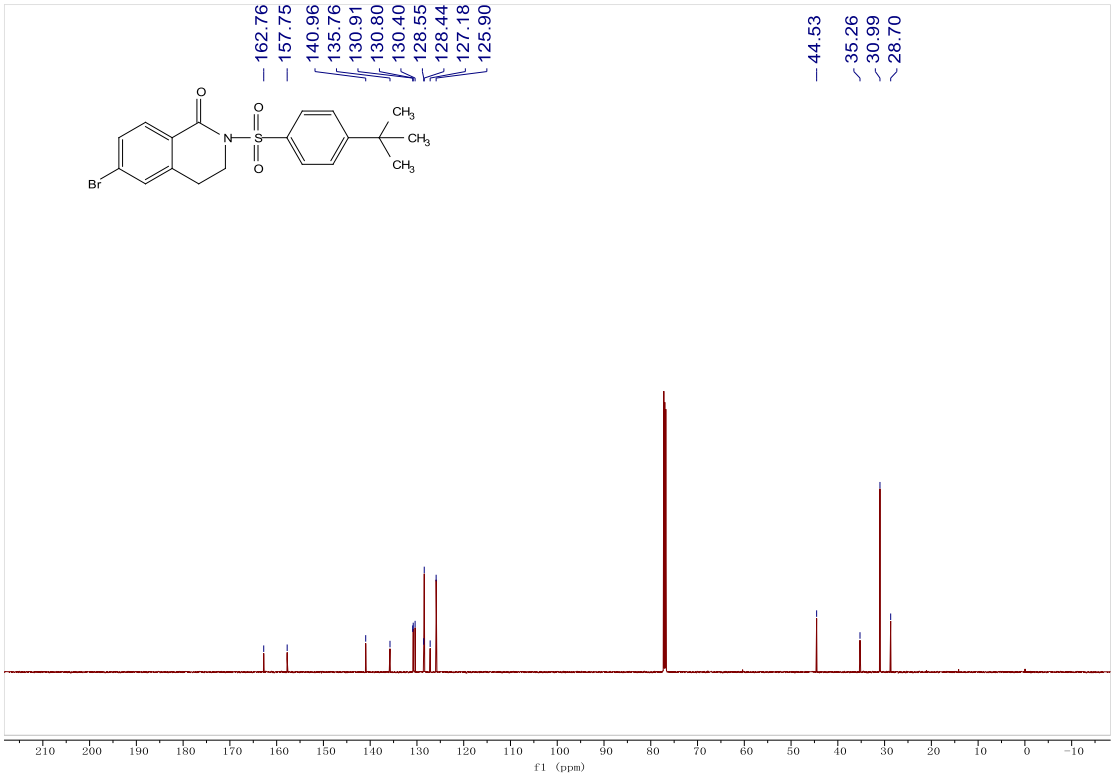


Figure S25. ^{1}H NMR (600 MHz) spectrum of compound **3k** in $CDCl_3$

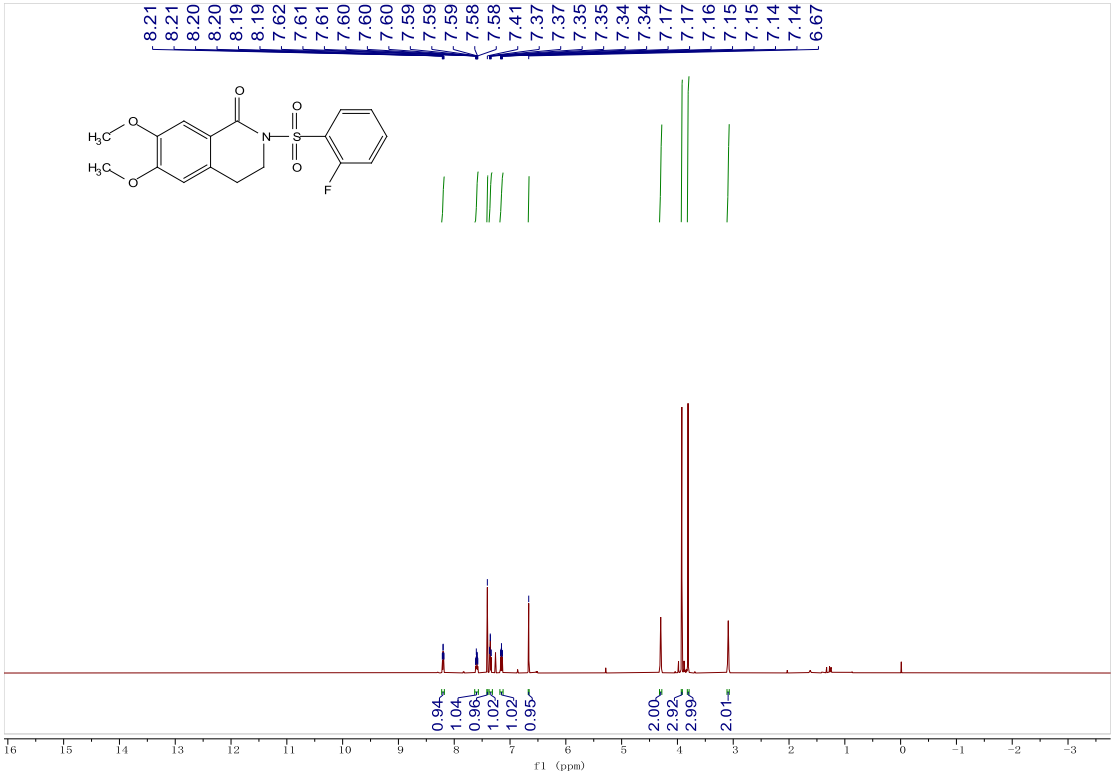


Figure S26. ^{13}C NMR (151 MHz) spectrum of compound **3k** in $CDCl_3$

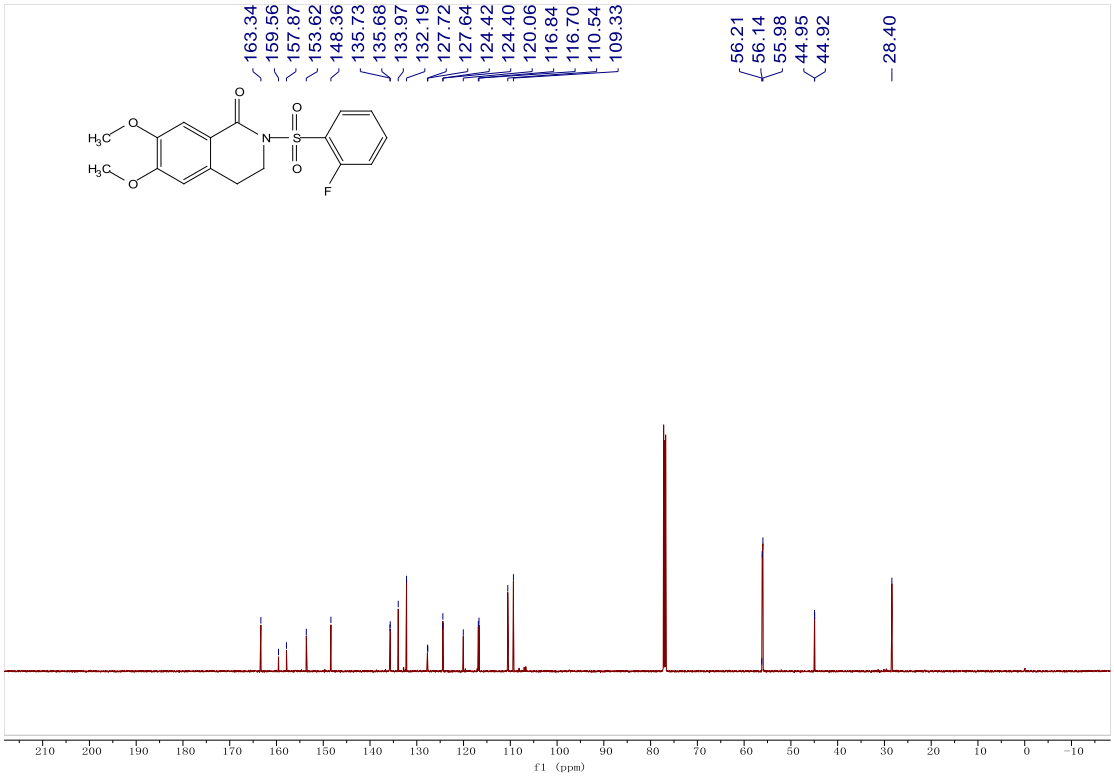


Figure S27. ^{1}H NMR (600 MHz) spectrum of compound **3l** in $CDCl_3$

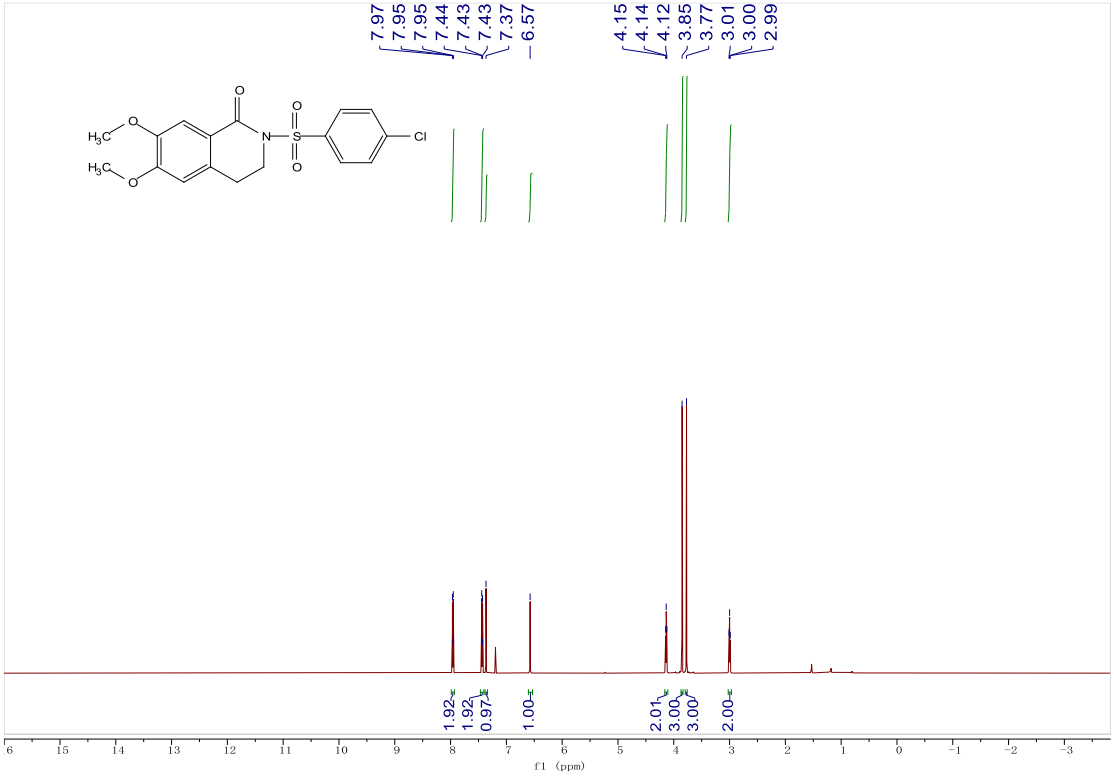


Figure S28. ^{13}C NMR (151 MHz) spectrum of compound **3l** in $CDCl_3$

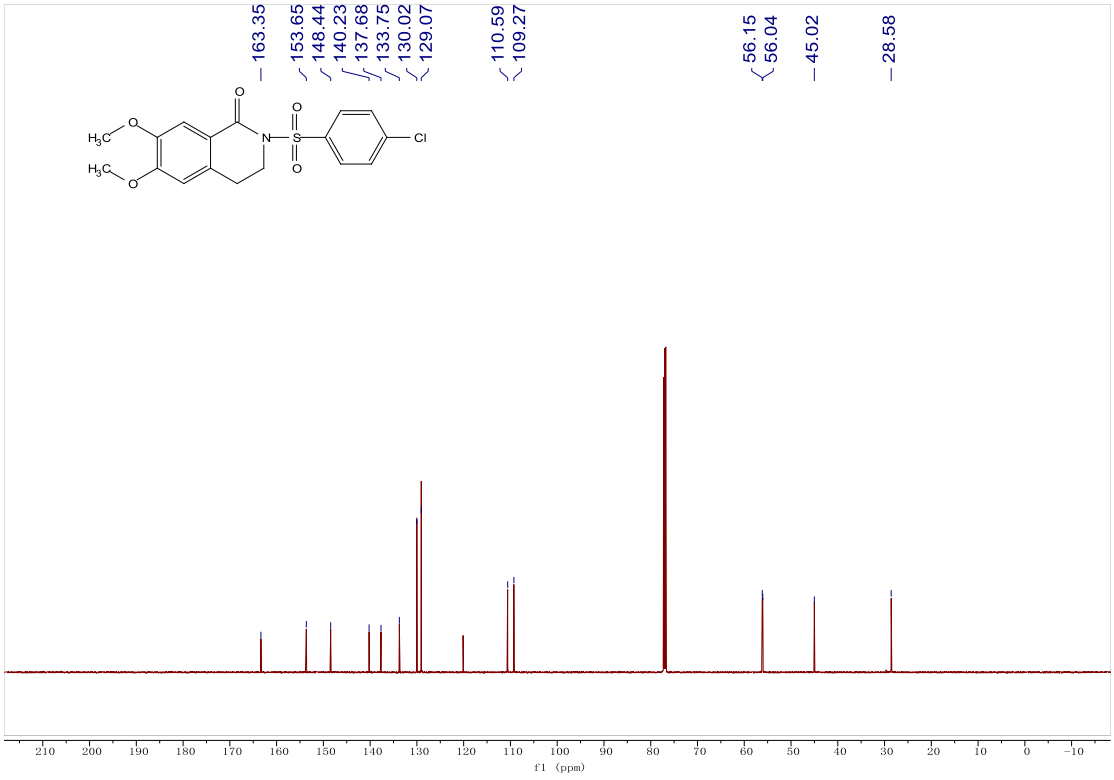


Figure S29. ^{1}H NMR (600 MHz) spectrum of compound **3m** in $CDCl_3$

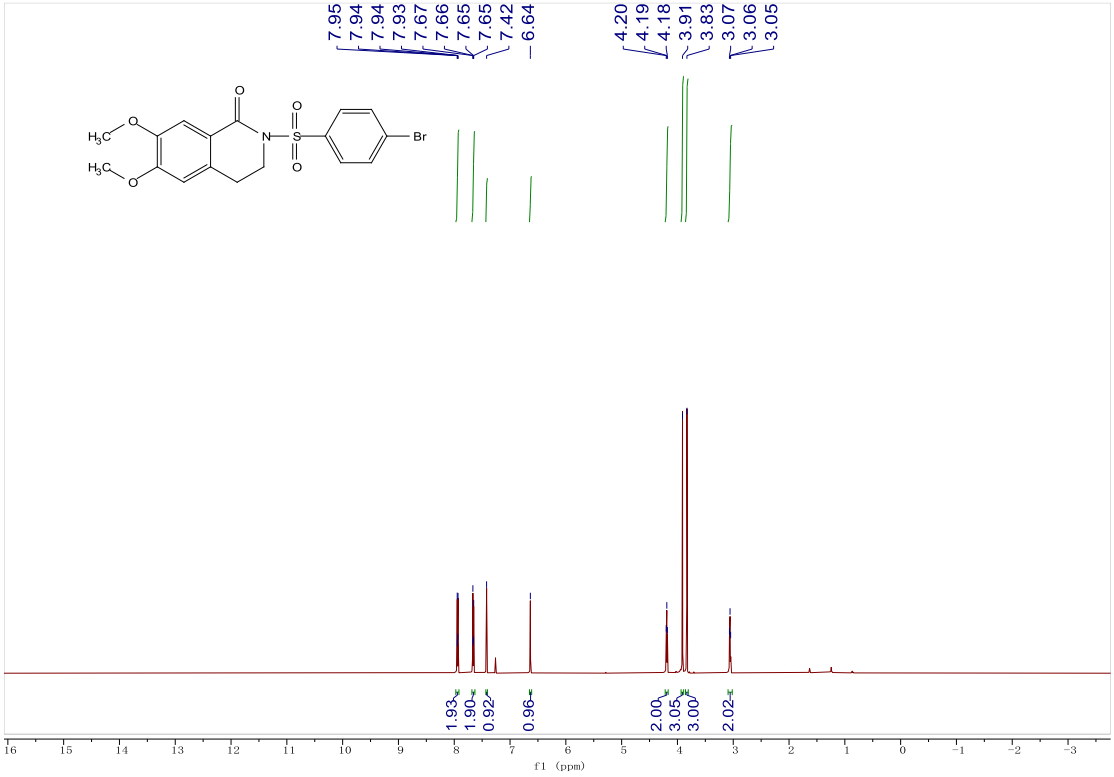


Figure S30. ^{13}C NMR (151 MHz) spectrum of compound **3m** in $CDCl_3$

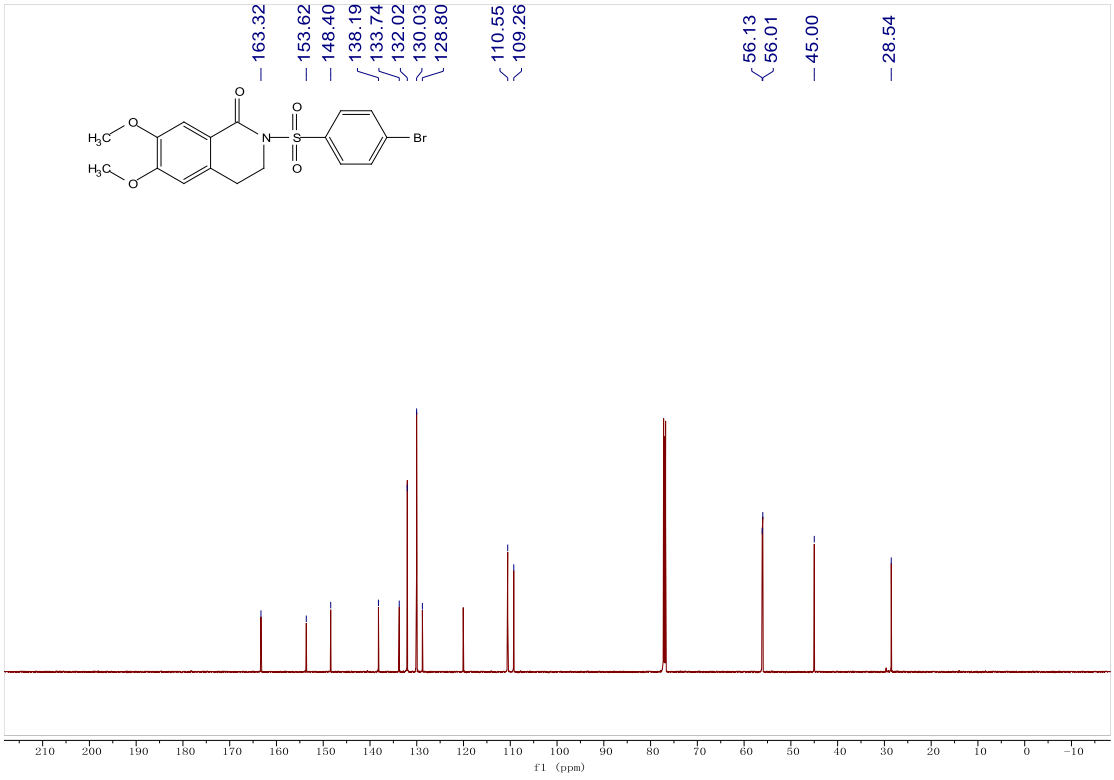


Figure S31. ^{1}H NMR (600 MHz) spectrum of compound **3n** in $CDCl_3$

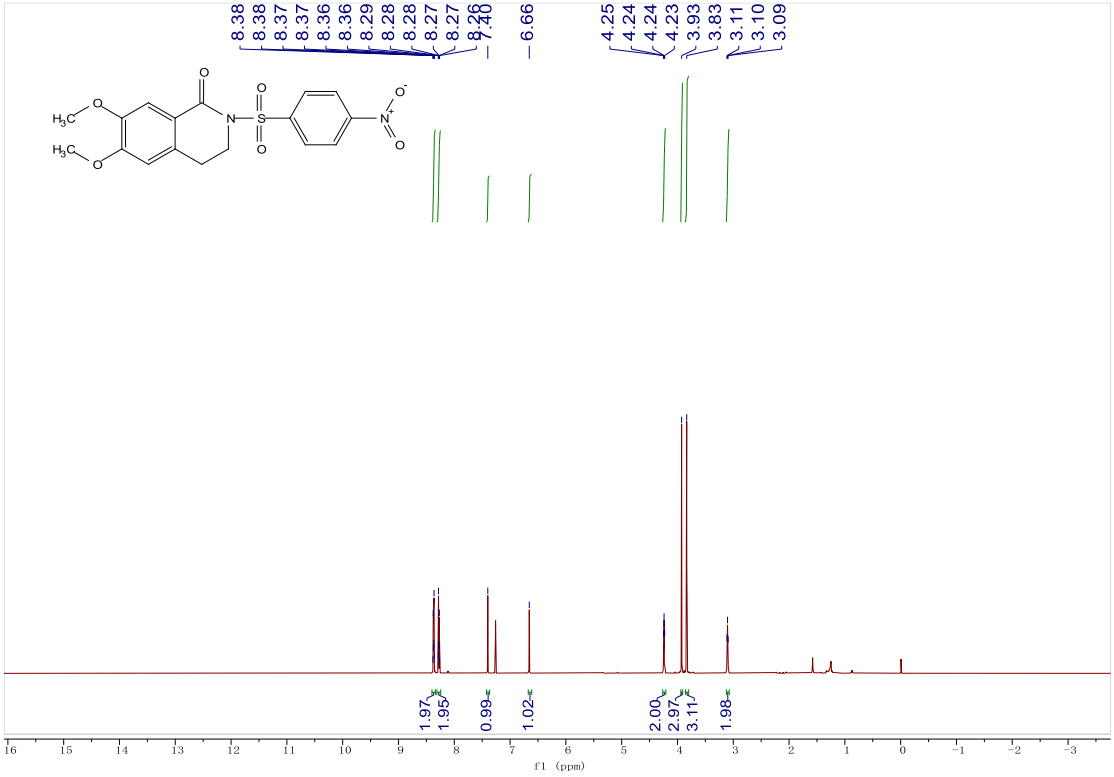


Figure S32. ^{13}C NMR (151 MHz) spectrum of compound **3n** in $CDCl_3$

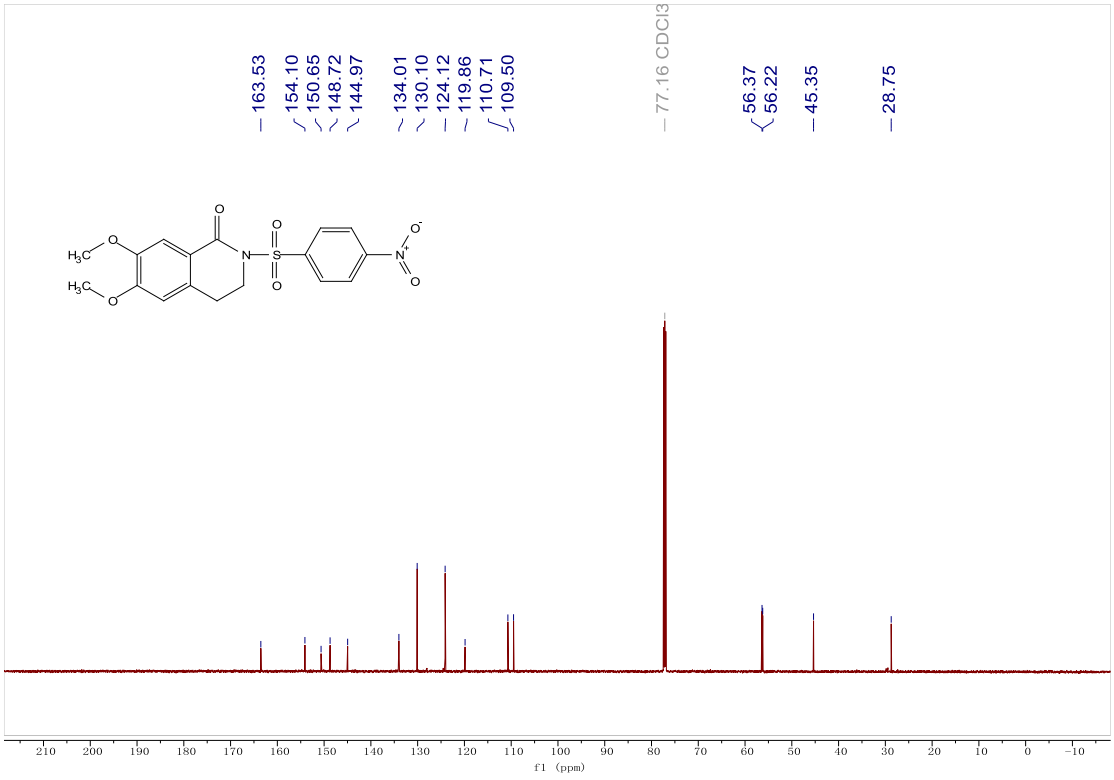


Figure S33. ^{1}H NMR (600 MHz) spectrum of compound **3o** in $CDCl_3$

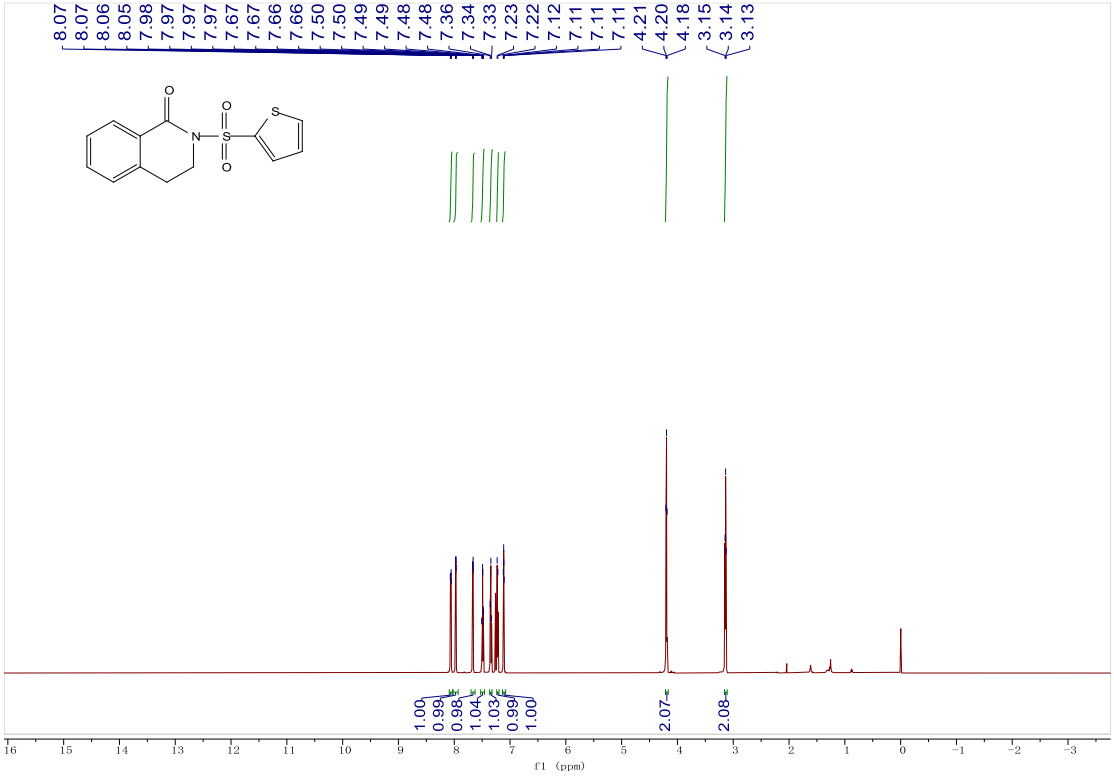


Figure S34. ^{13}C NMR (151 MHz) spectrum of compound **3o** in $CDCl_3$

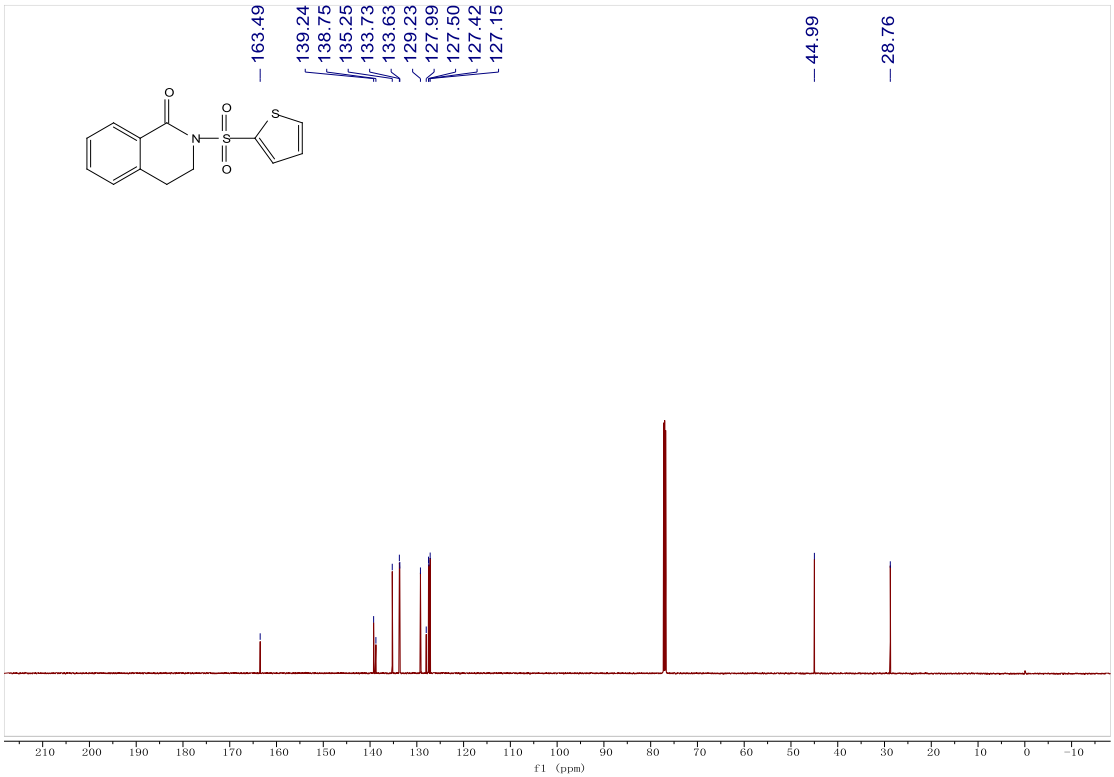


Figure S35. ^{1}H NMR (600 MHz) spectrum of compound **3p** in $CDCl_3$

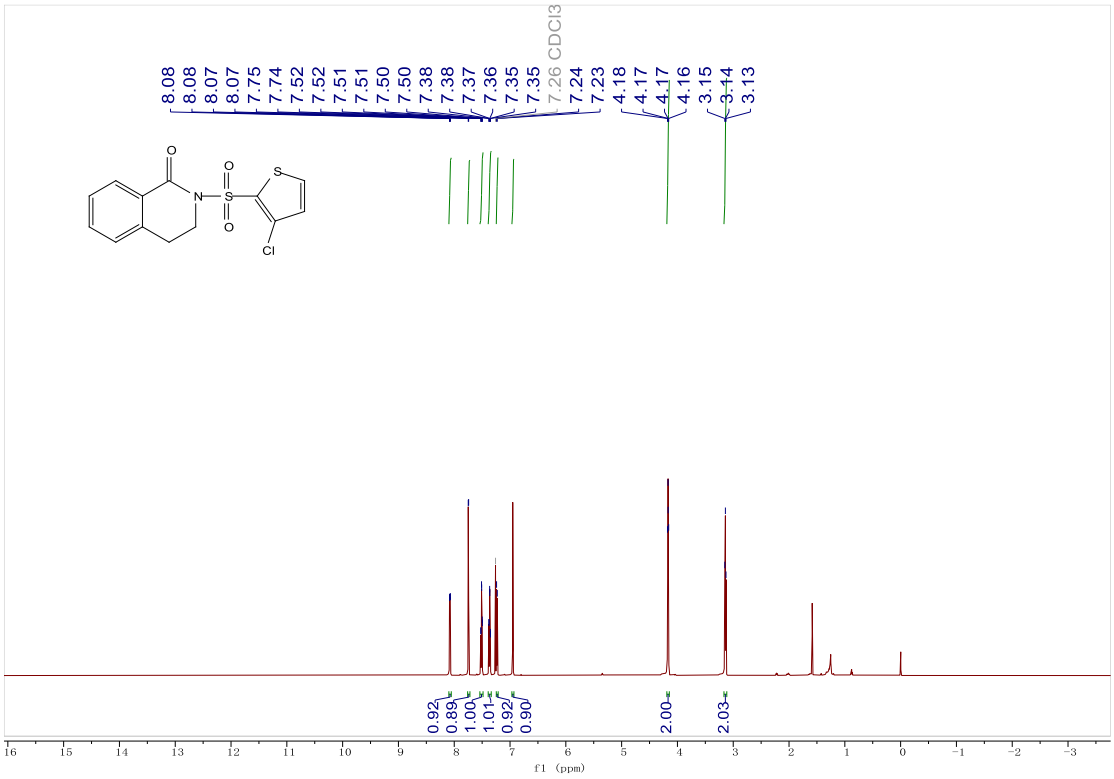


Figure S36. ^{13}C NMR (151 MHz) spectrum of compound **3p** in $CDCl_3$

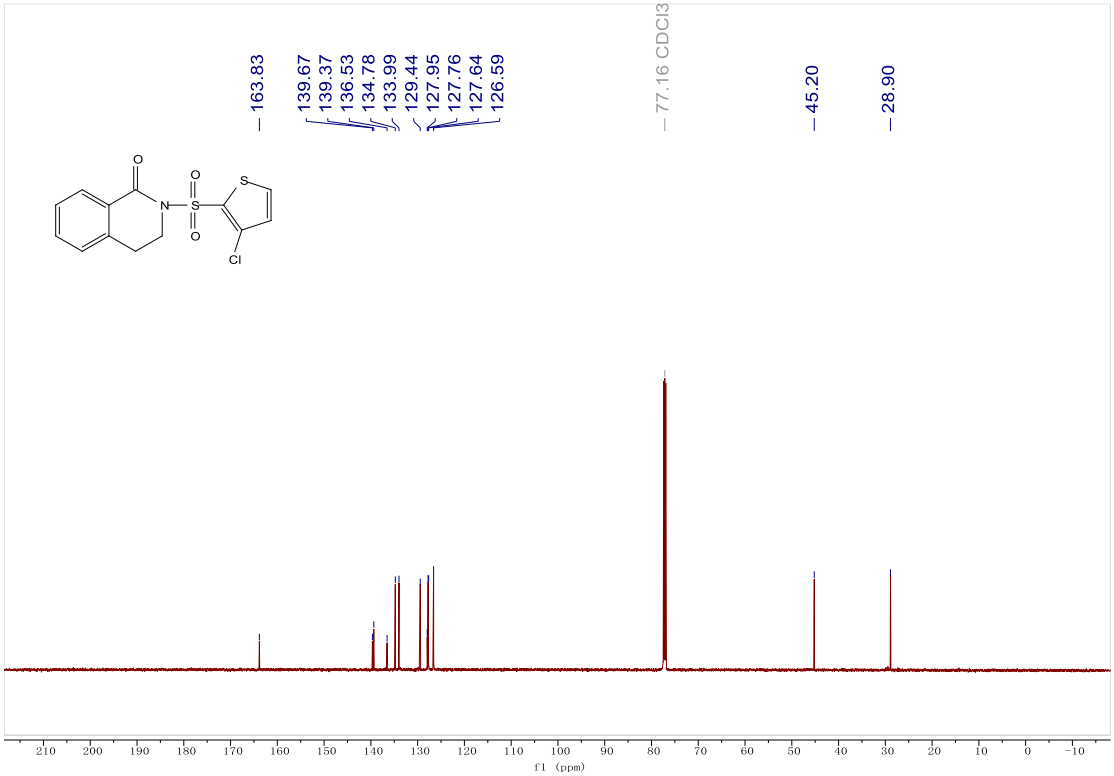


Figure S37. ^{1}H NMR (600 MHz) spectrum of compound **3q** in $CDCl_3$

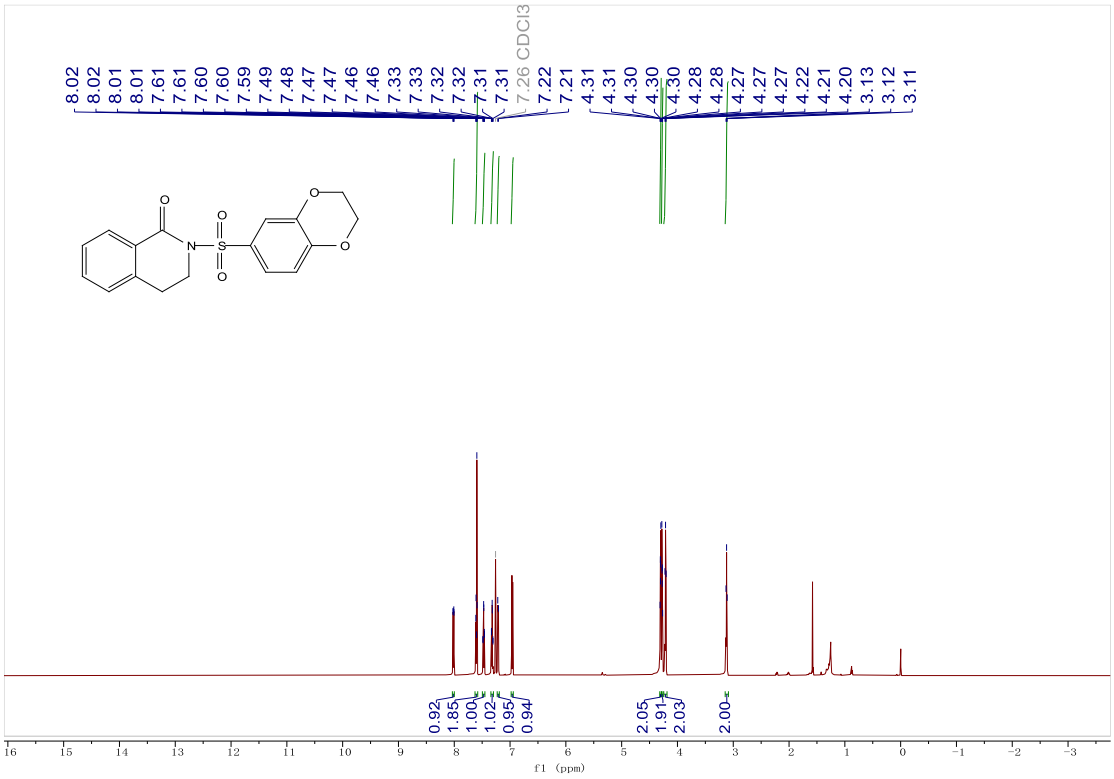


Figure S38. ^{13}C NMR (151 MHz) spectrum of compound **3q** in $CDCl_3$

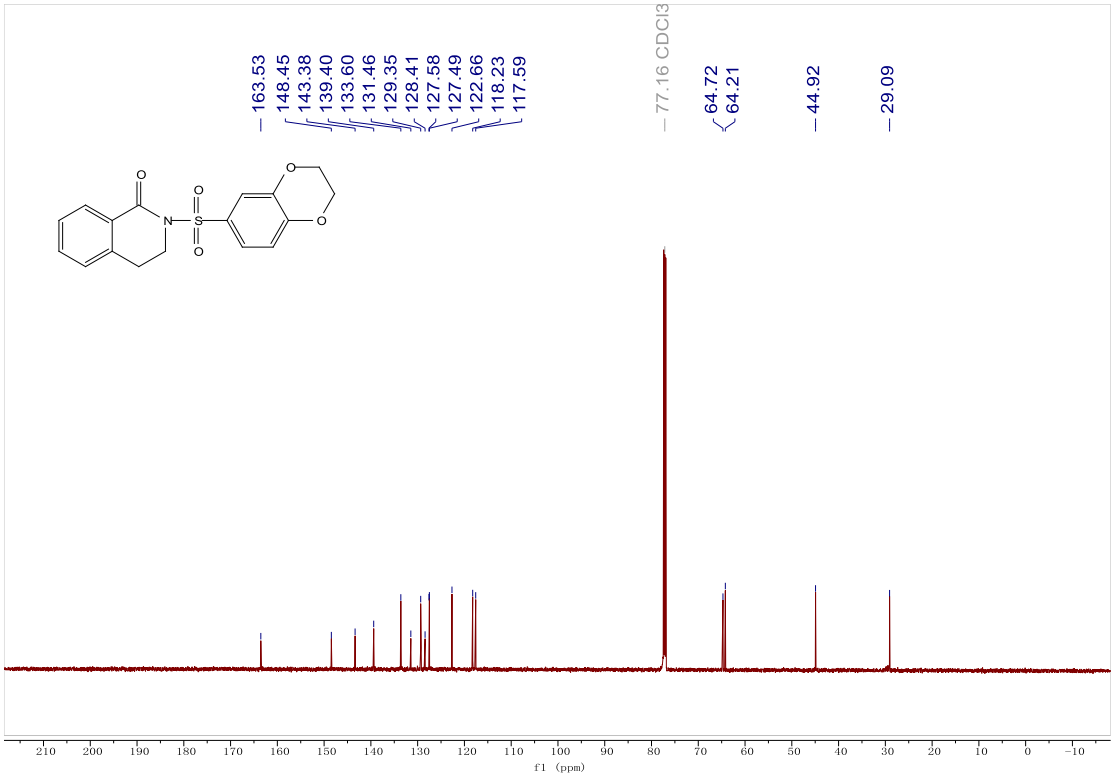


Figure S39. ^{1}H NMR (600 MHz) spectrum of compound **3r** in $CDCl_3$

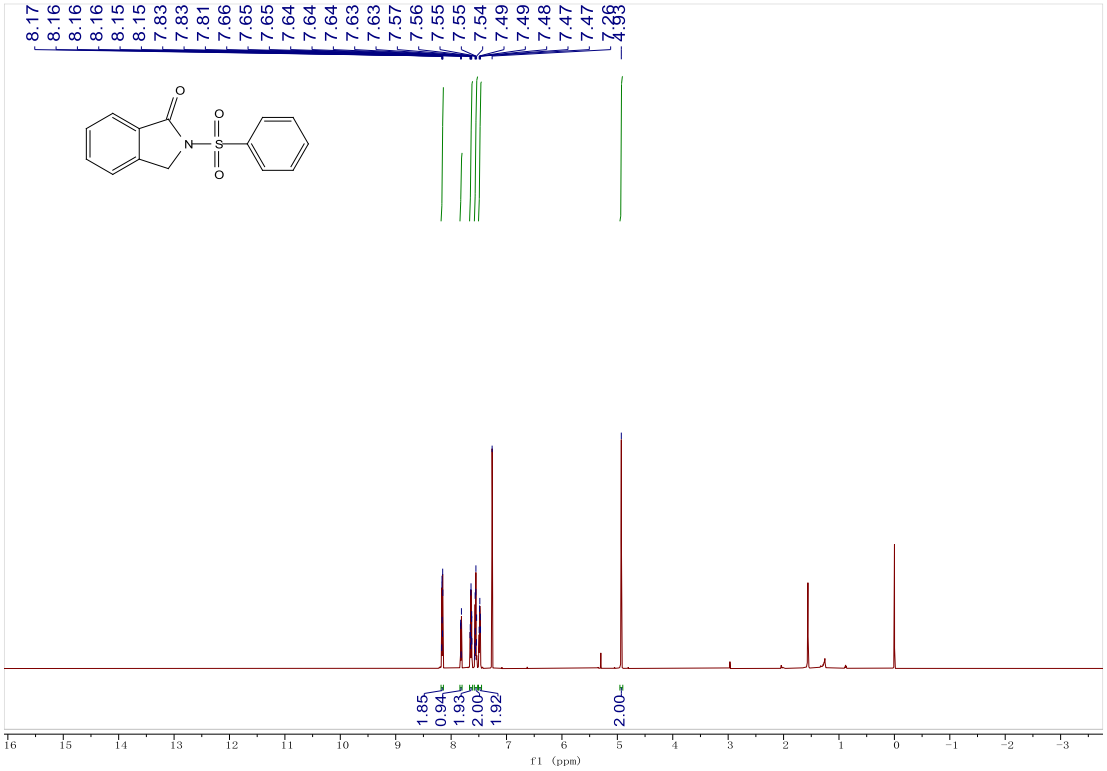


Figure S40. ^{13}C NMR (151 MHz) spectrum of compound **3r** in $CDCl_3$

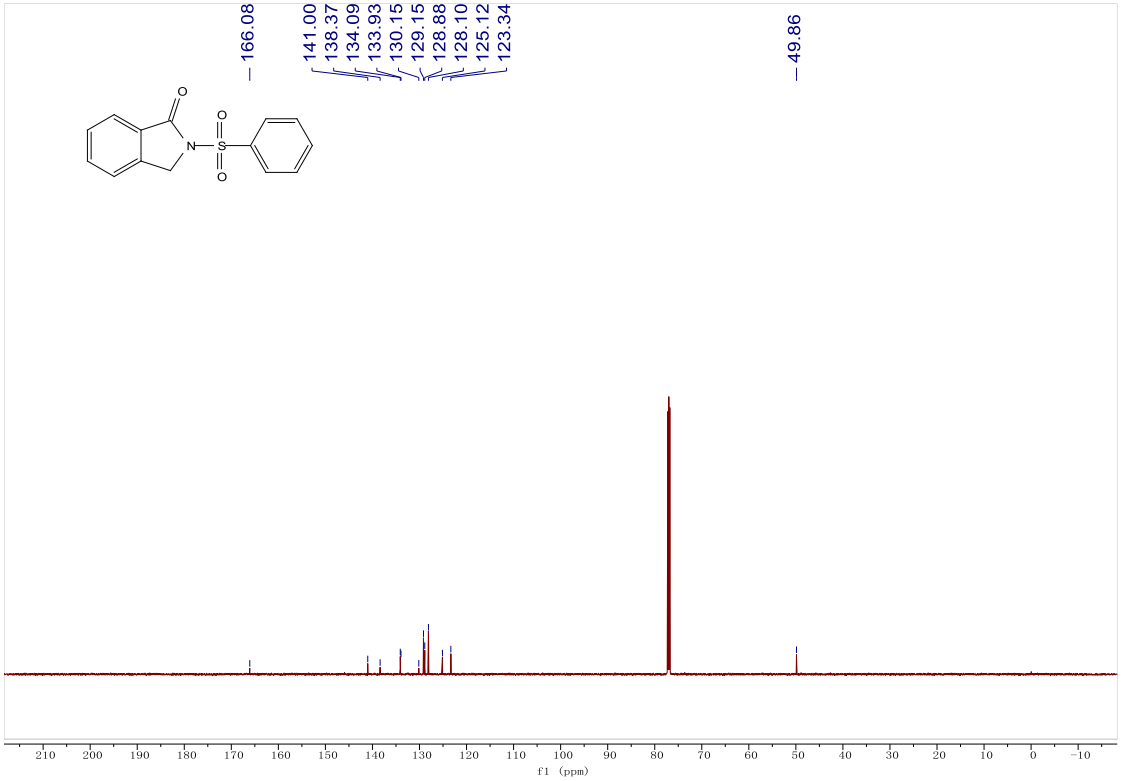


Figure S41. ^{1}H NMR (600 MHz) spectrum of compound **3s** in $CDCl_3$

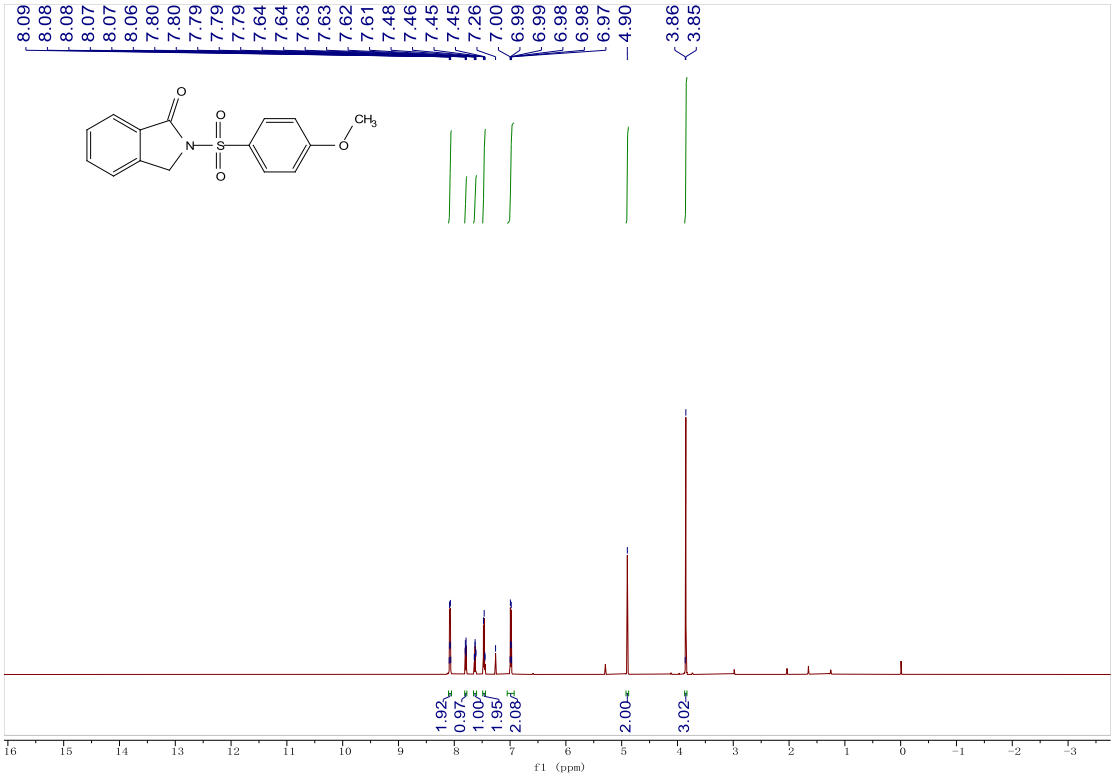


Figure S42. ^{13}C NMR (151 MHz) spectrum of compound **3s** in $CDCl_3$

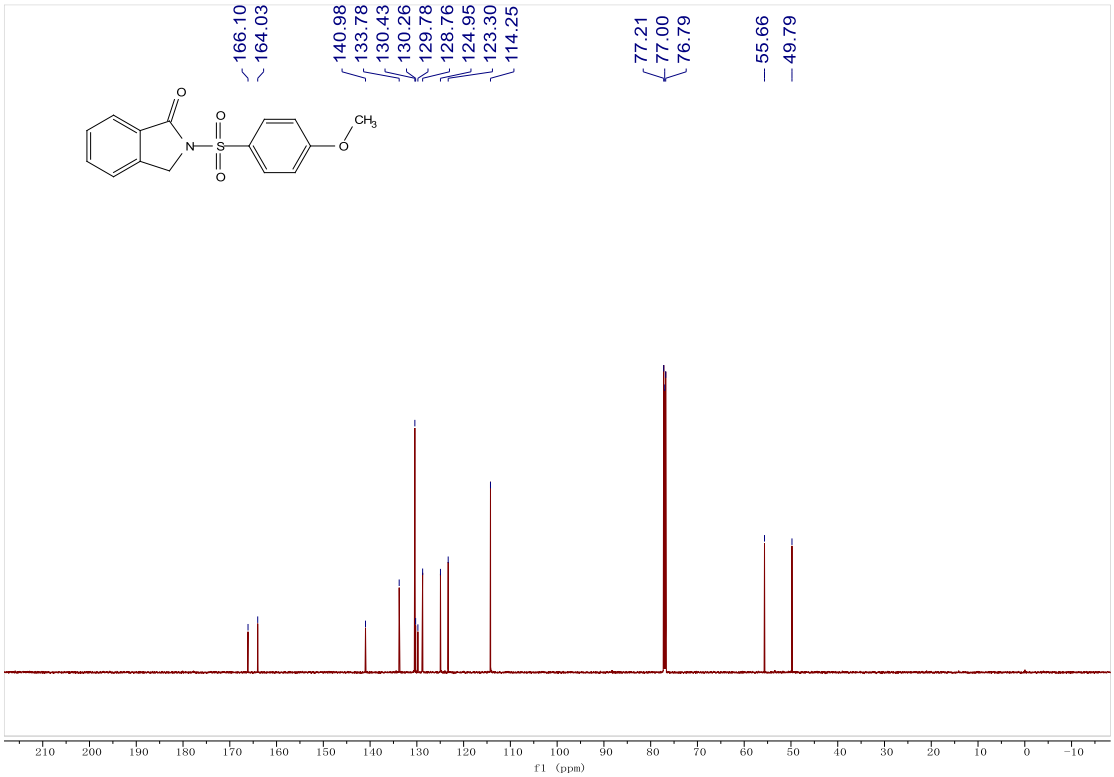


Figure S43. ^{1}H NMR (600 MHz) spectrum of compound **3t** in $CDCl_3$

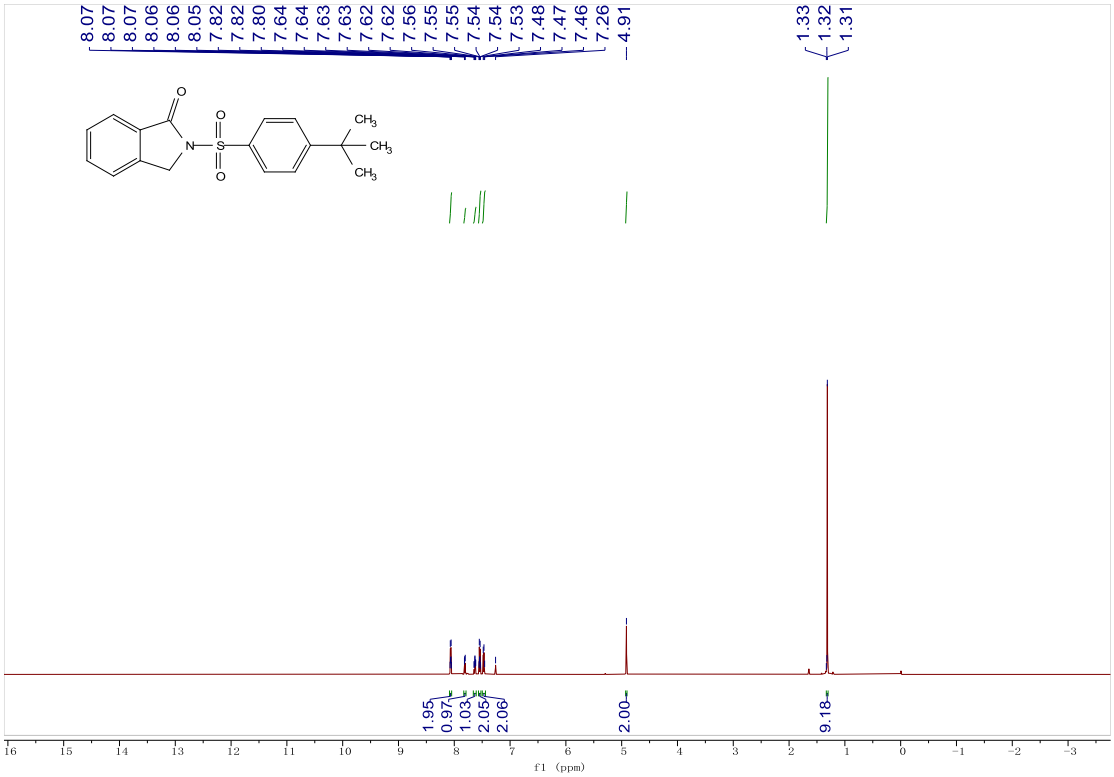


Figure S44. ^{13}C NMR (151 MHz) spectrum of compound **3t** in $CDCl_3$

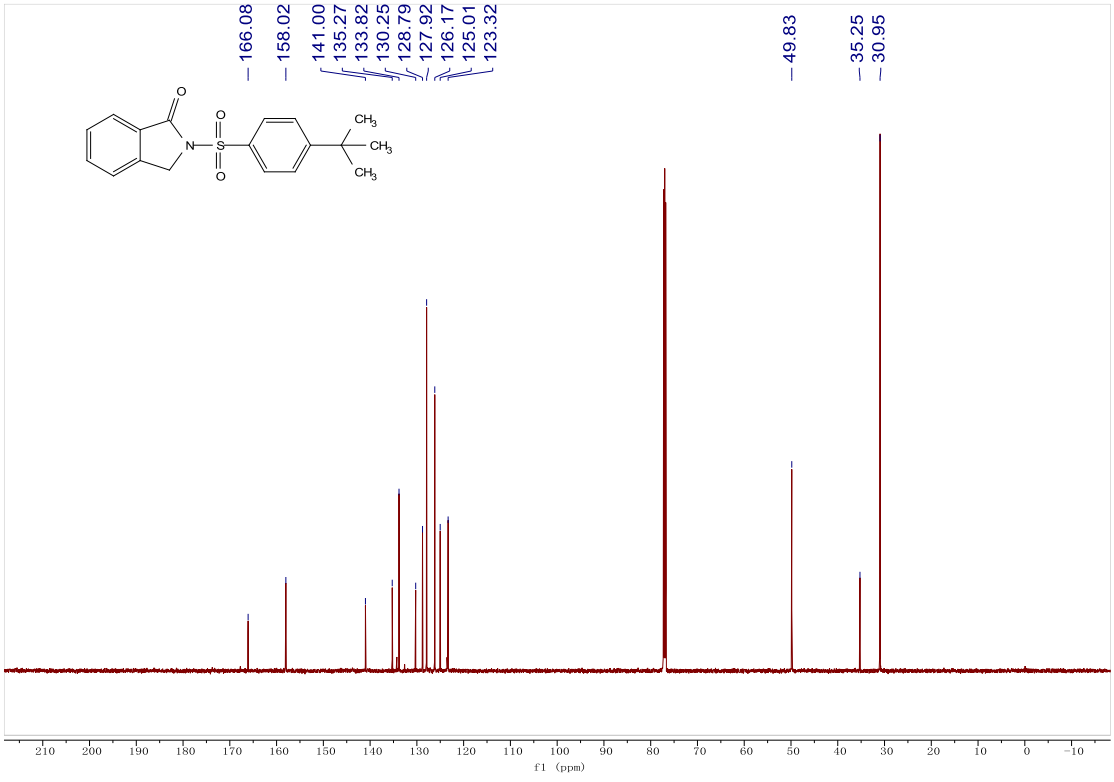


Figure S45. ^{1}H NMR (600 MHz) spectrum of compound **3u** in $CDCl_3$

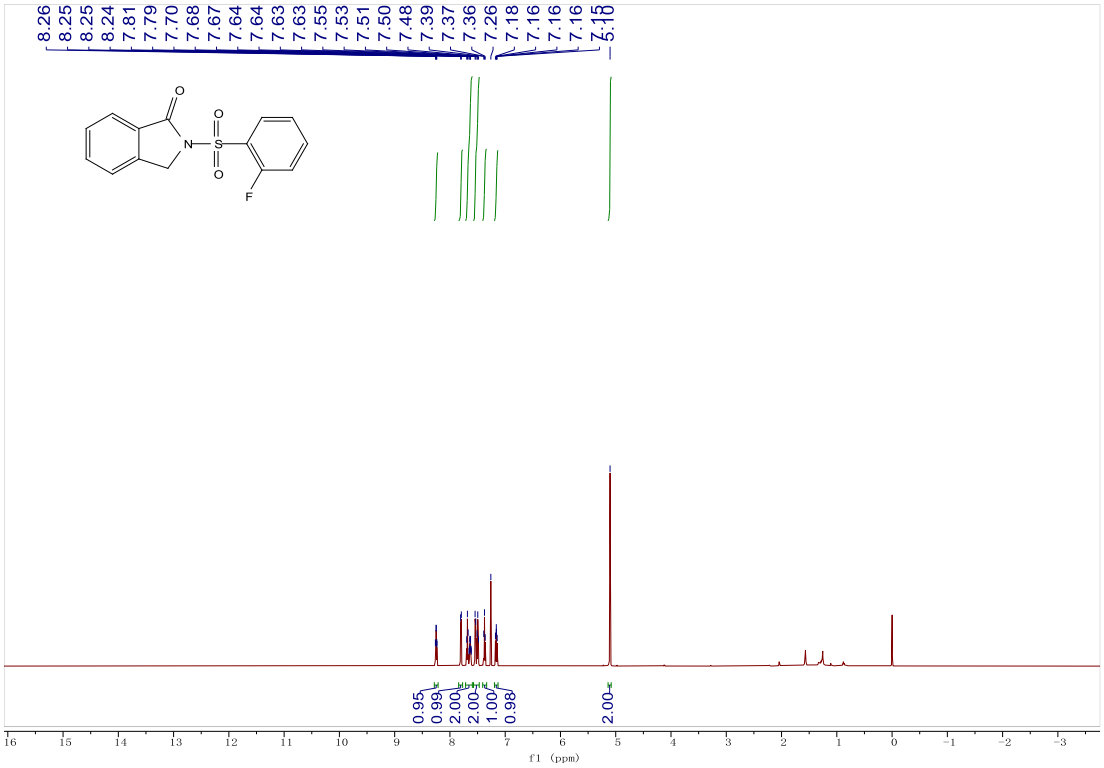


Figure S46. ^{13}C NMR (151 MHz) spectrum of compound **3u** in $CDCl_3$

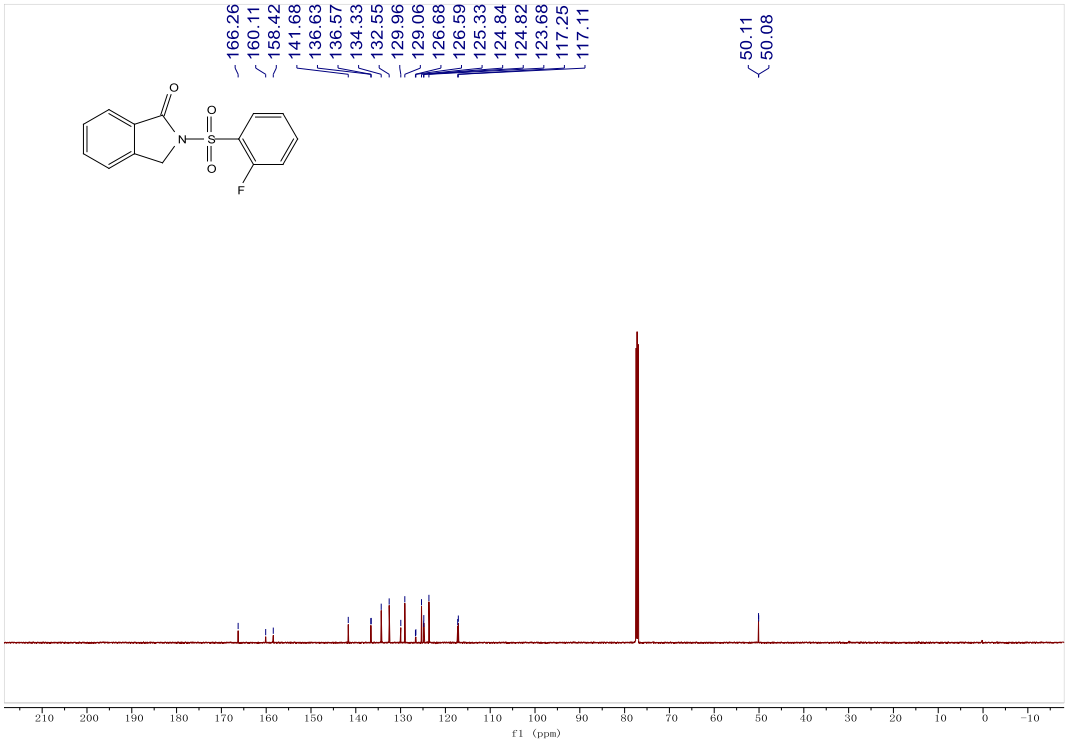


Figure S47. ^{1}H NMR (600 MHz) spectrum of compound **3v** in $CDCl_3$

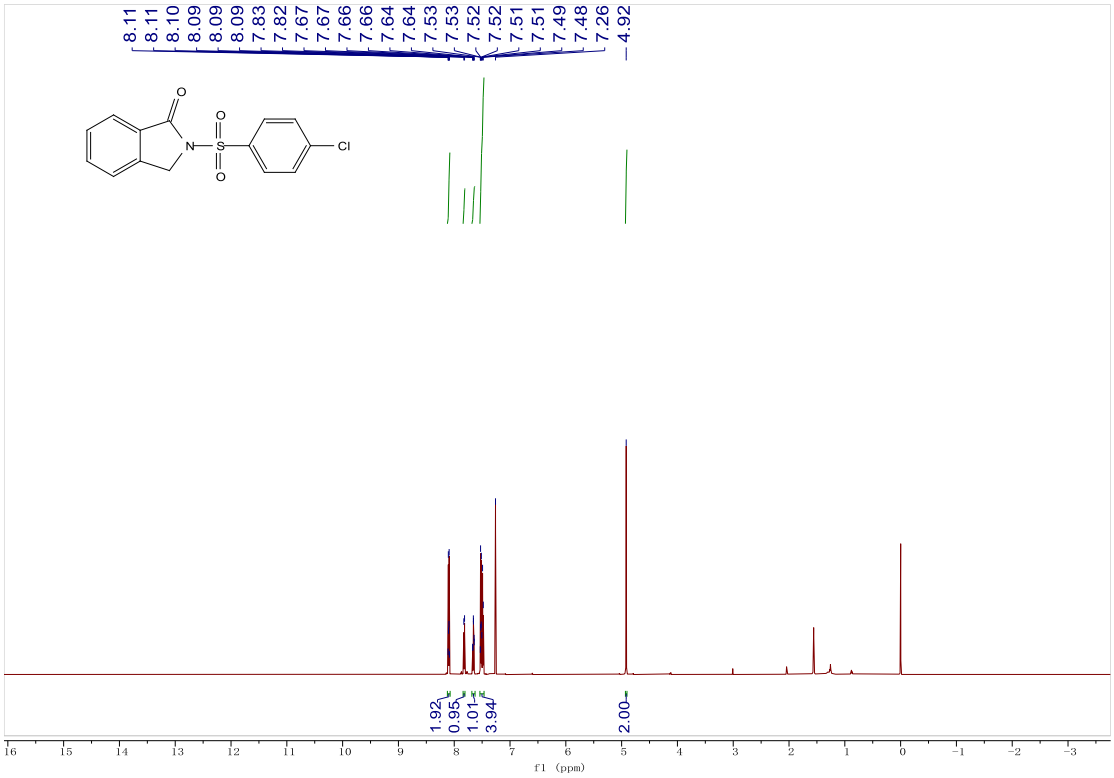


Figure S48. ^{13}C NMR (151 MHz) spectrum of compound **3v** in $CDCl_3$

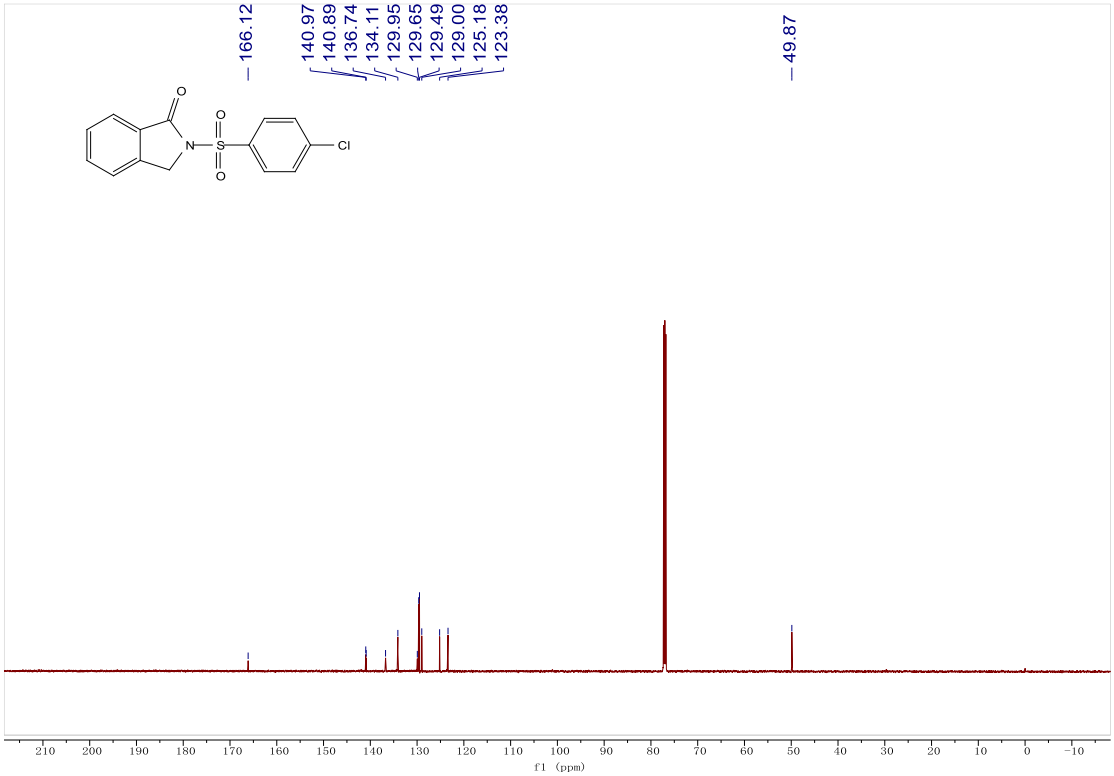


Figure S49. ^{1}H NMR (600 MHz) spectrum of compound **3w** in $CDCl_3$

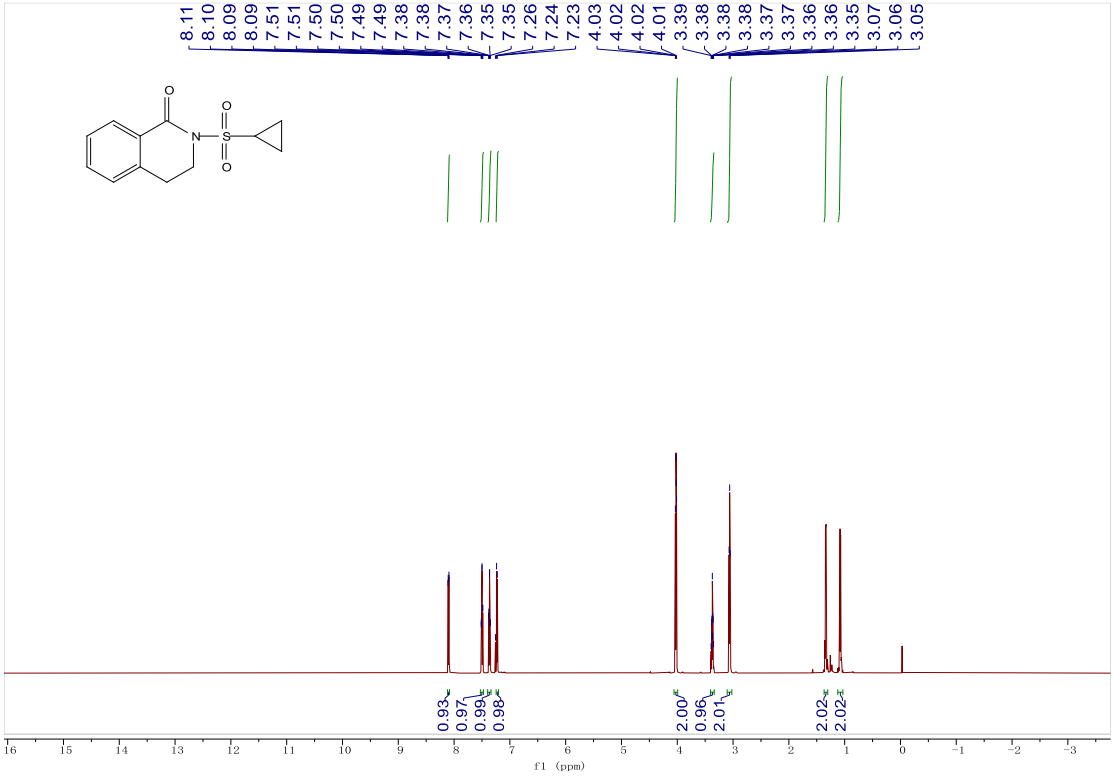


Figure S50. ^{13}C NMR (151 MHz) spectrum of compound **3w** in $CDCl_3$

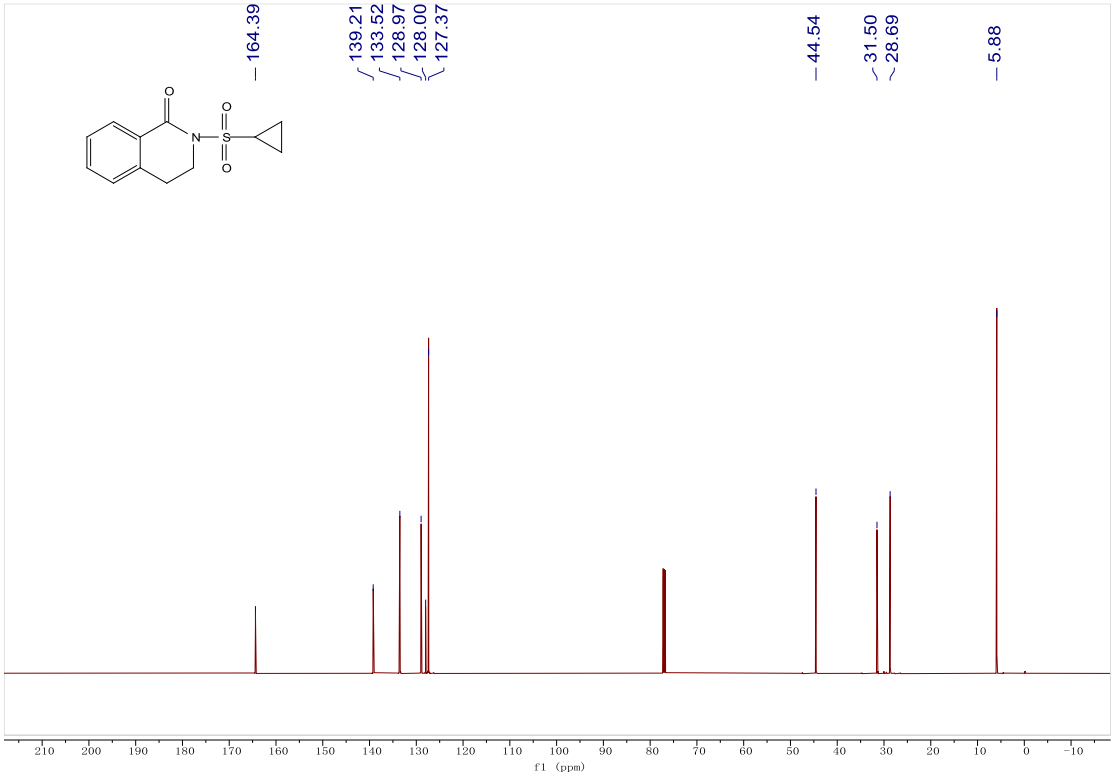


Figure S51. ^{1}H NMR (600 MHz) spectrum of compound **3x** in $CDCl_3$

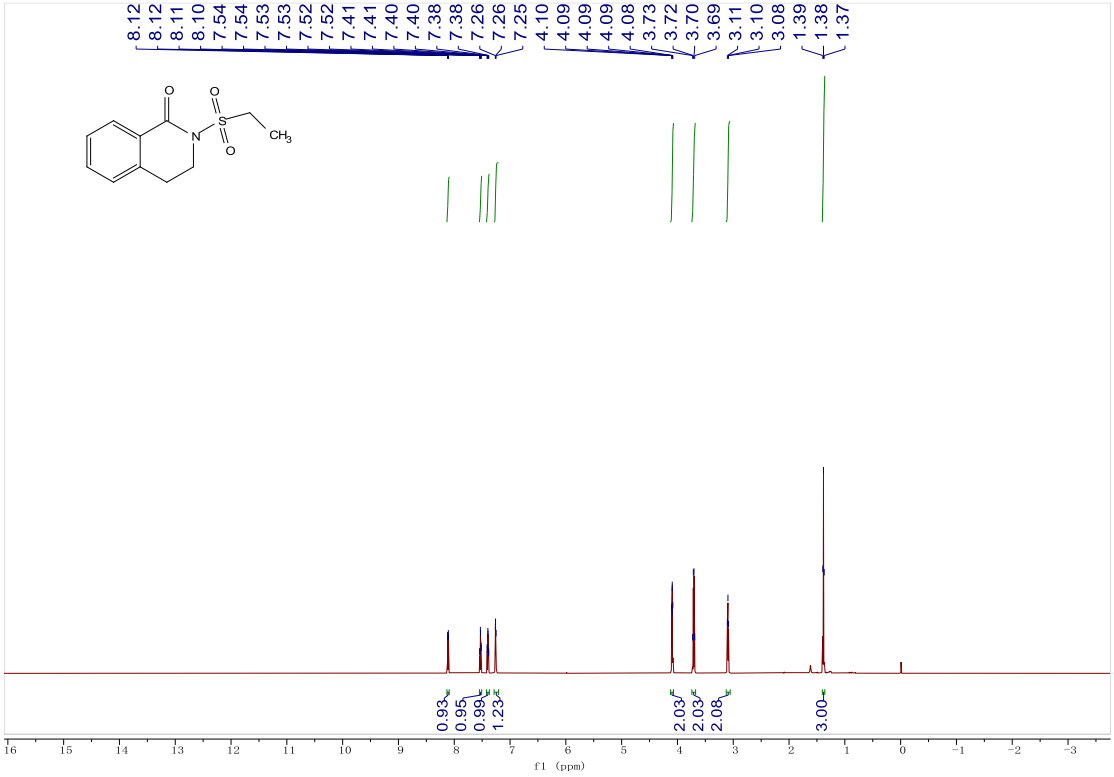


Figure S52. ^{13}C NMR (151 MHz) spectrum of compound **3x** in $CDCl_3$

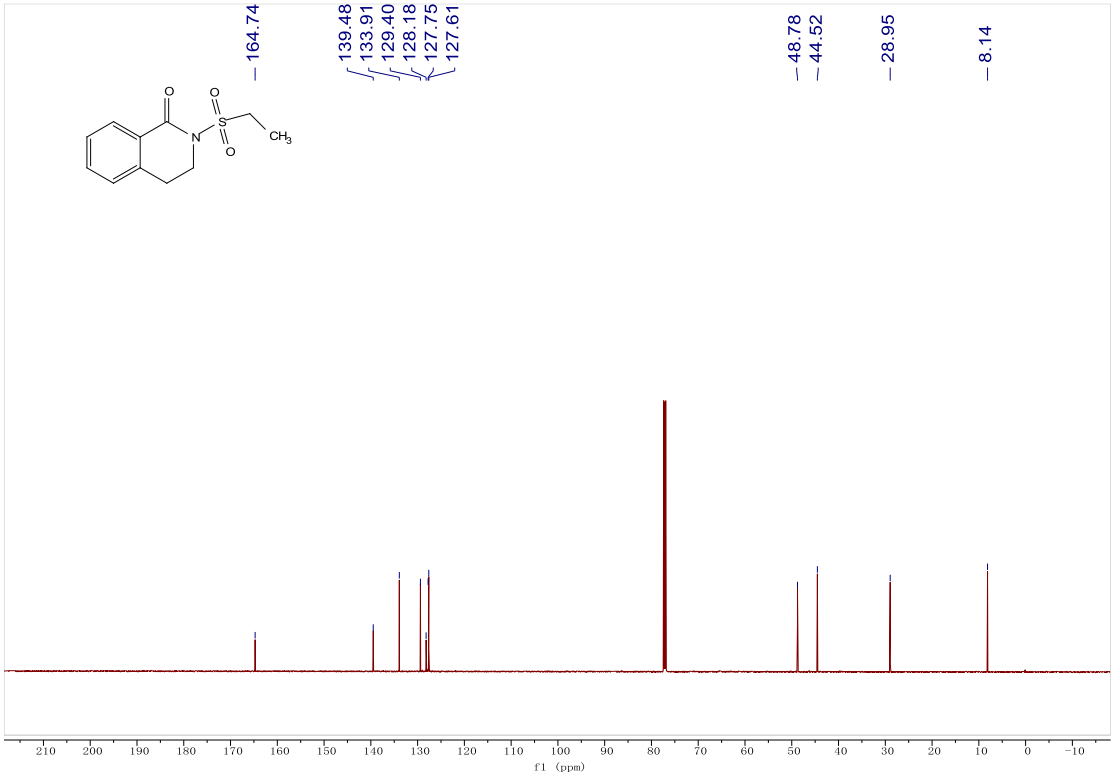


Figure S53. ^{1}H NMR (600 MHz) spectrum of compound **5a** in $CDCl_3$

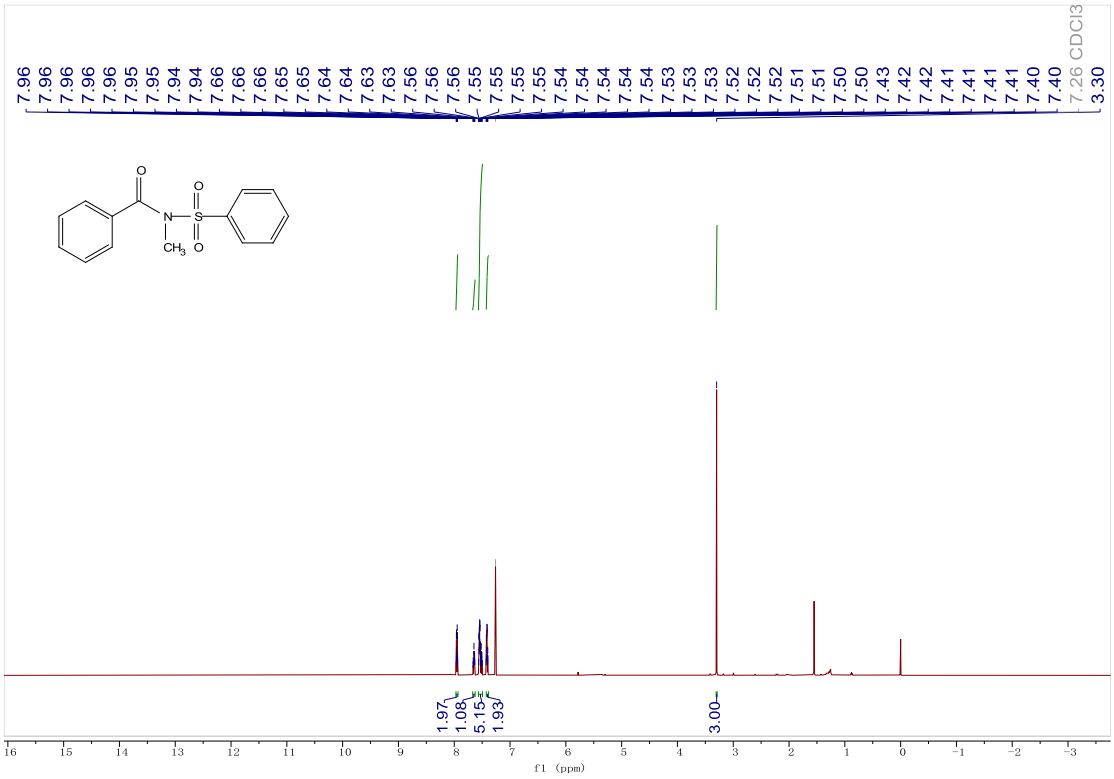


Figure S54. ^{13}C NMR (151 MHz) spectrum of compound **5a** in $CDCl_3$

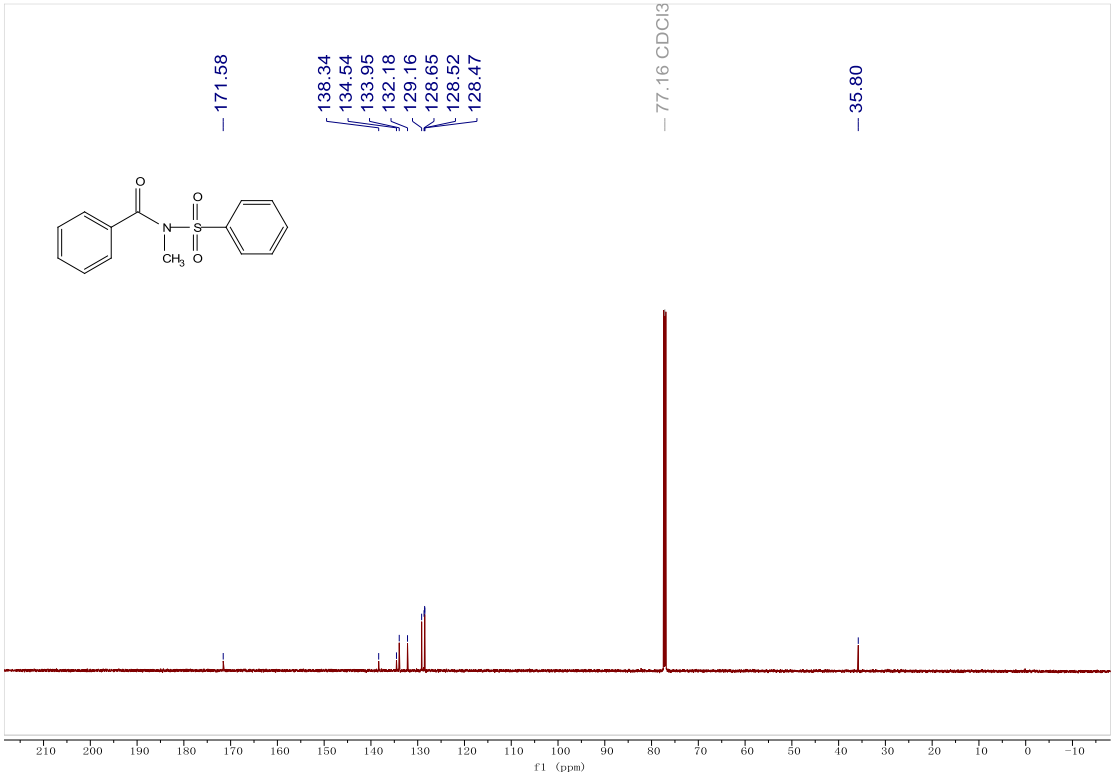


Figure S55. ^{1}H NMR (600 MHz) spectrum of compound **5b** in $CDCl_3$

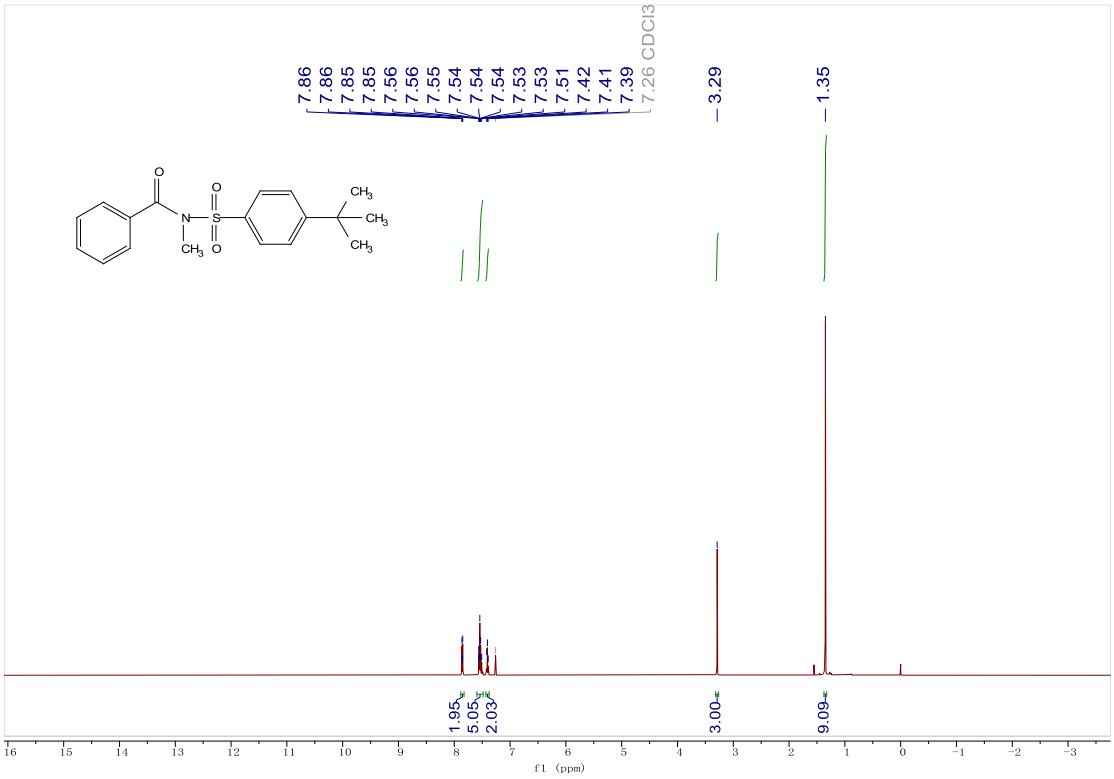


Figure S56. ^{13}C NMR (151 MHz) spectrum of compound **5b** in $CDCl_3$

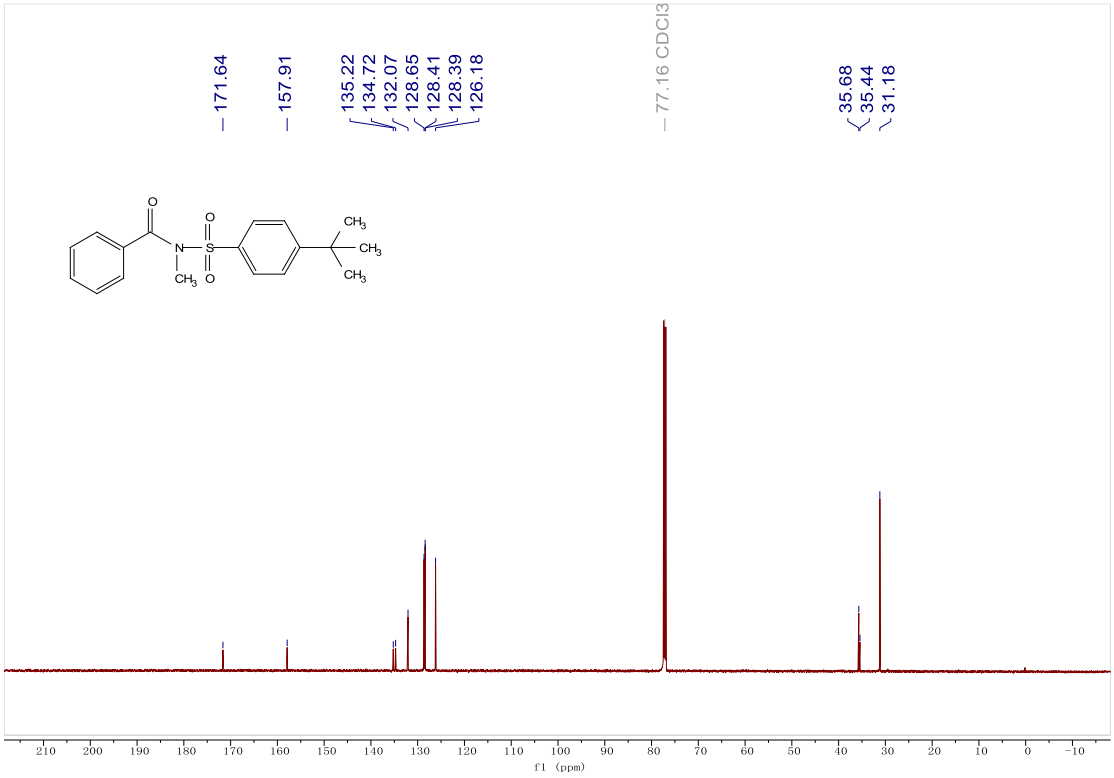


Figure S57. ^{1}H NMR (600 MHz) spectrum of compound **5c** in $CDCl_3$

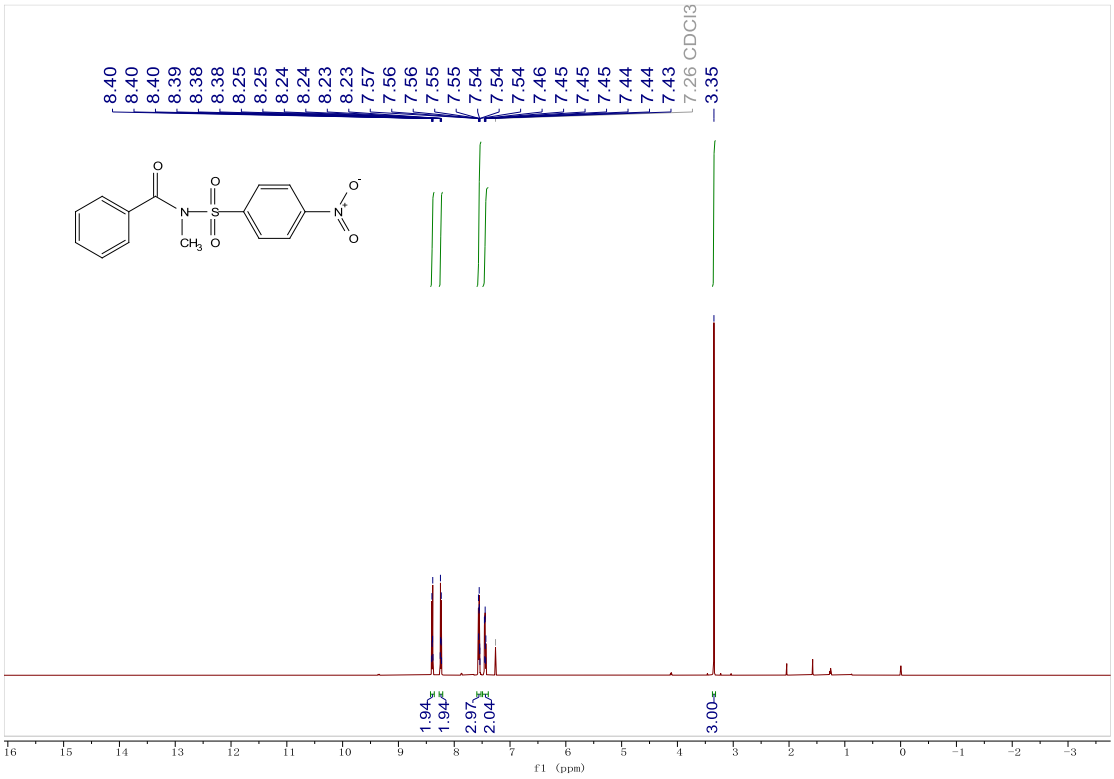


Figure S58. ^{13}C NMR (151 MHz) spectrum of compound **5c** in $CDCl_3$

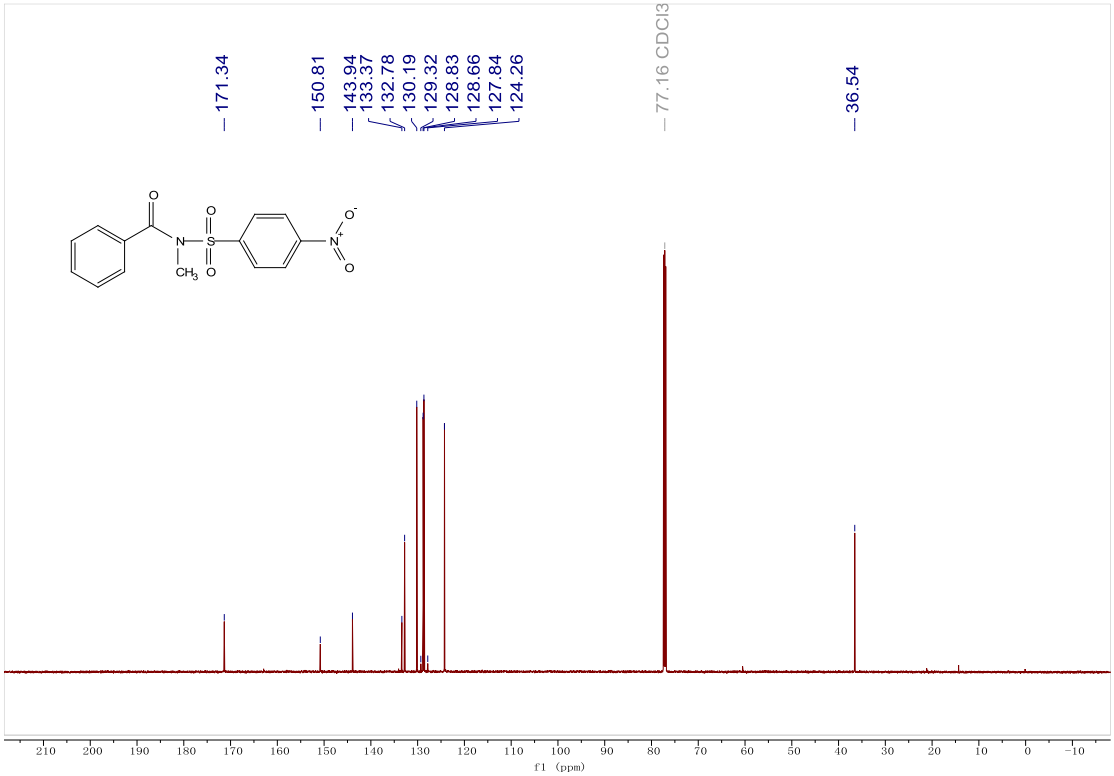


Figure S59. ^{1}H NMR (600 MHz) spectrum of compound **5d** in $CDCl_3$

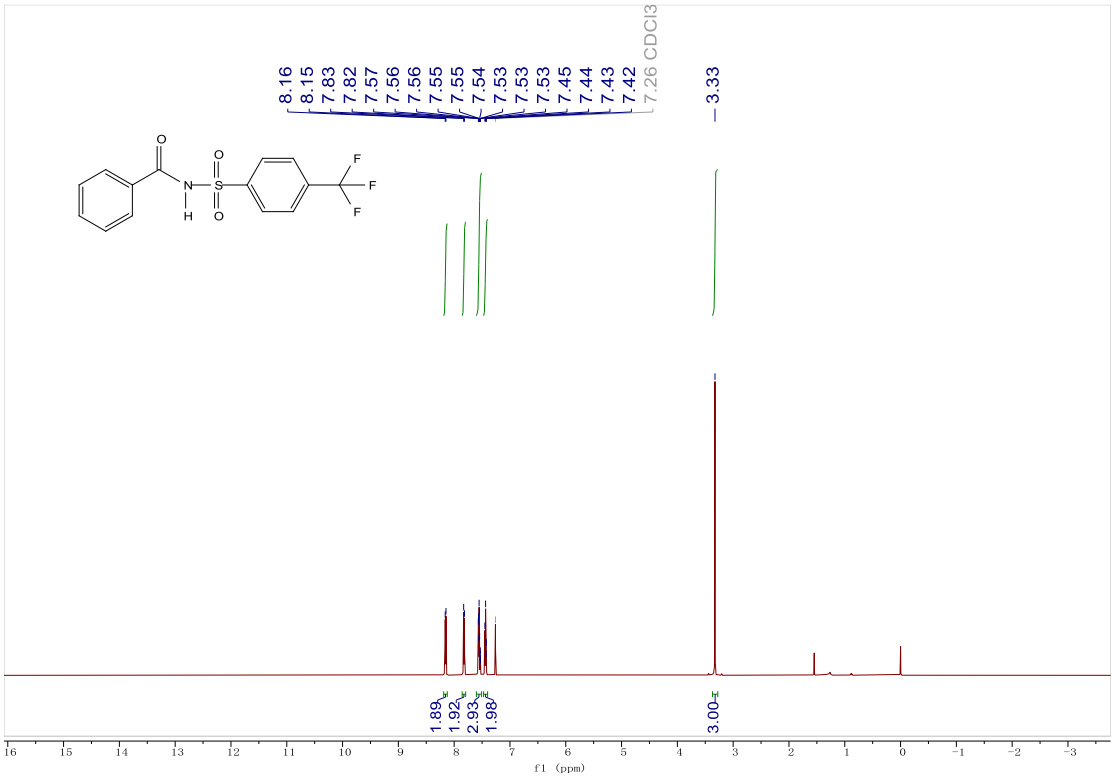


Figure S60. ^{13}C NMR (151 MHz) spectrum of compound **5d** in $CDCl_3$

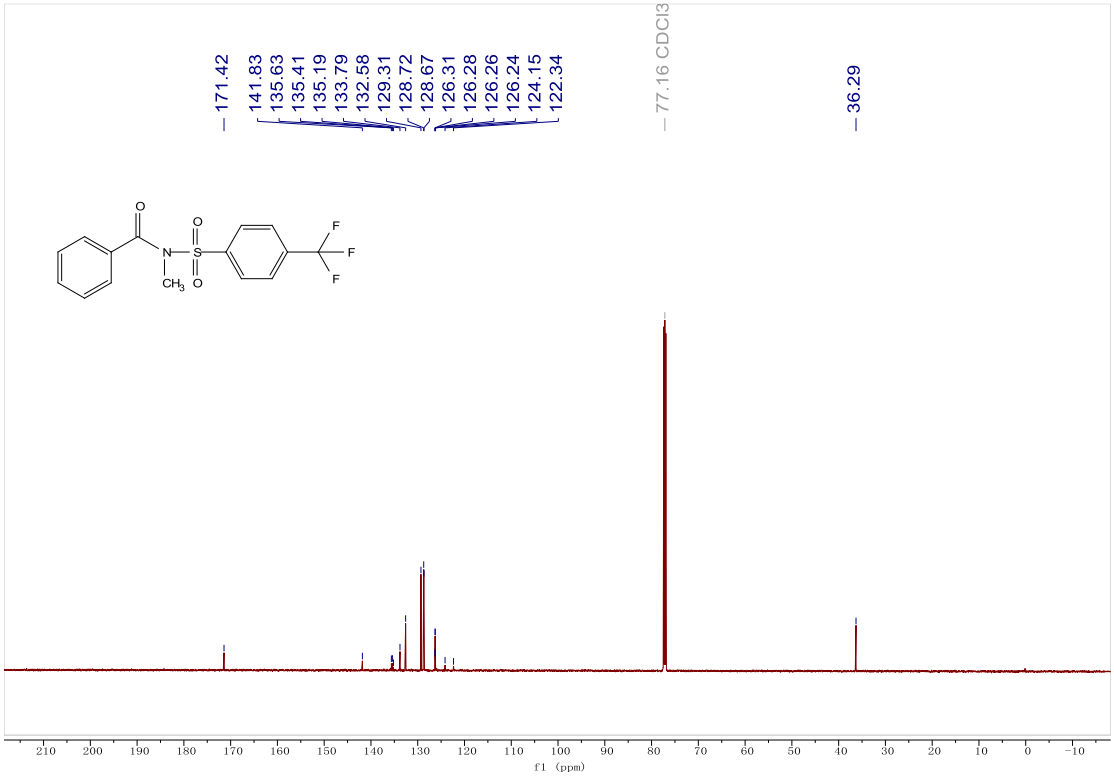


Figure S61. ^{1}H NMR (600 MHz) spectrum of compound **5e** in $CDCl_3$

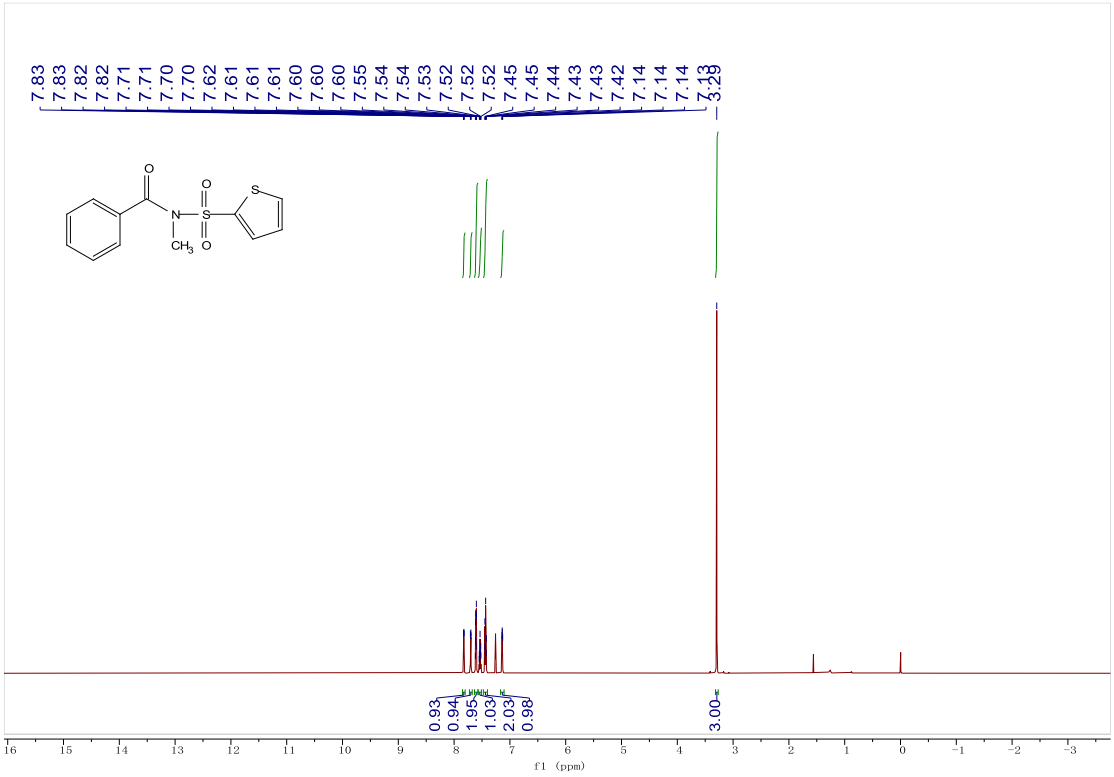


Figure S62. ^{13}C NMR (151 MHz) spectrum of compound **5e** in $CDCl_3$

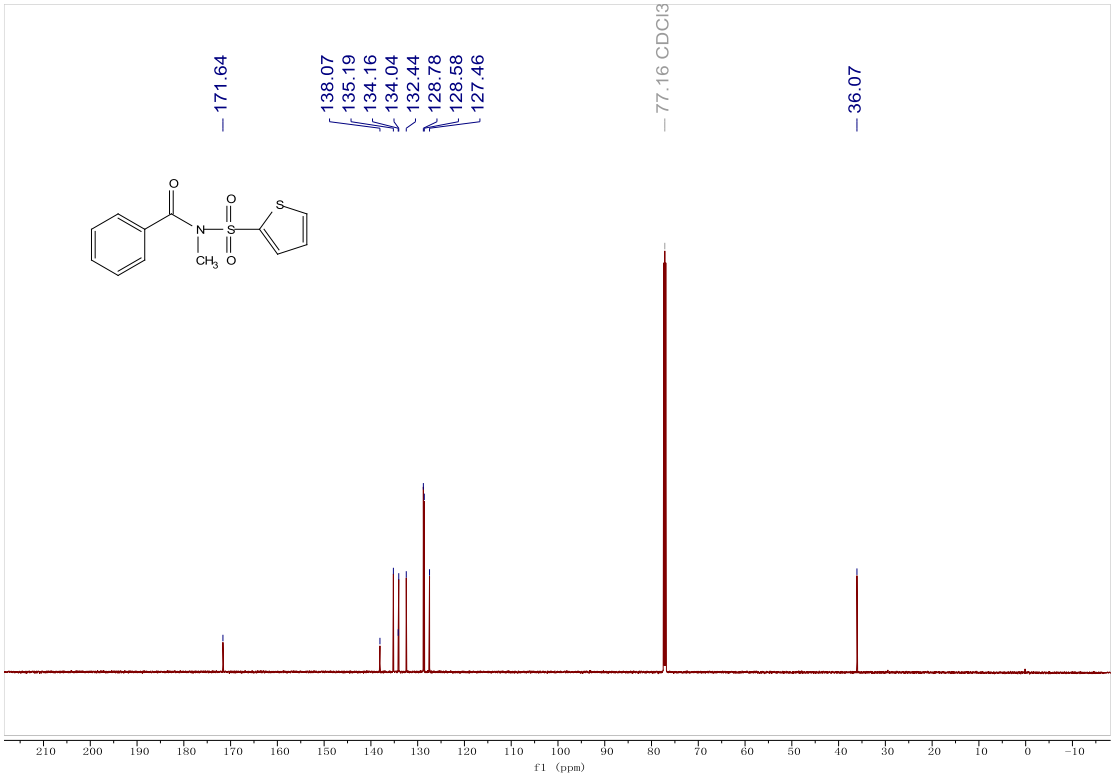


Figure S63. ^{1}H NMR (600 MHz) spectrum of compound **5f** in $CDCl_3$

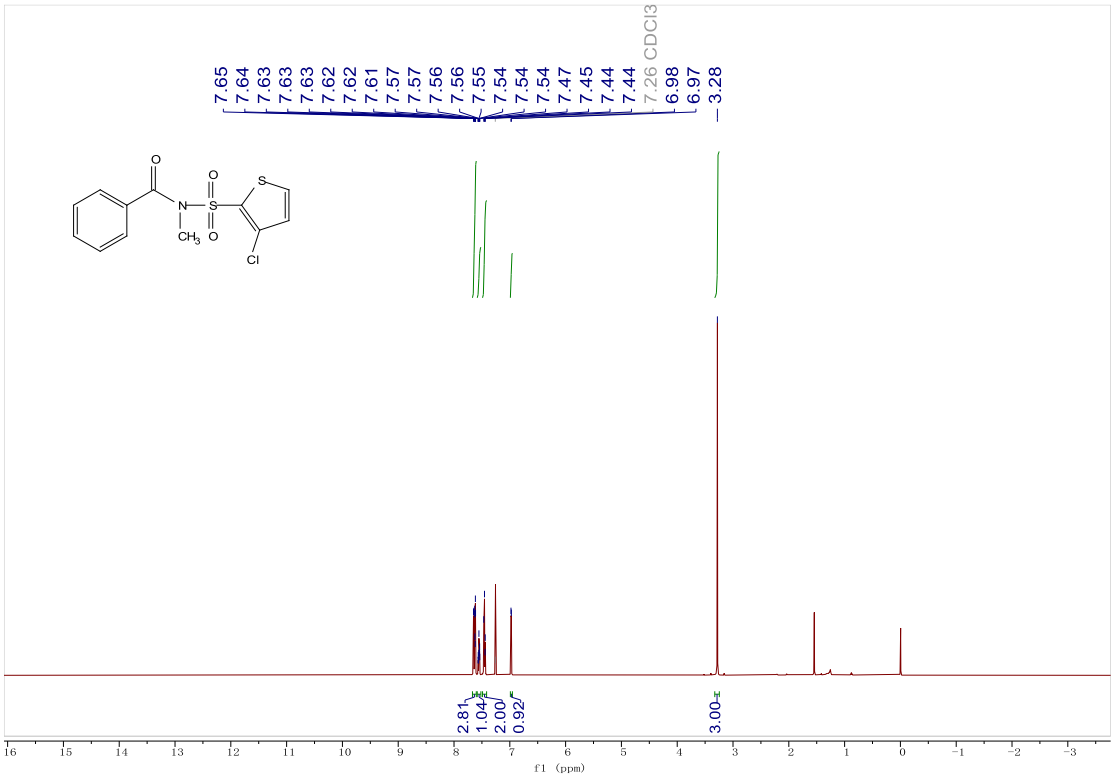


Figure S64. ^{13}C NMR (151 MHz) spectrum of compound **5f** in $CDCl_3$

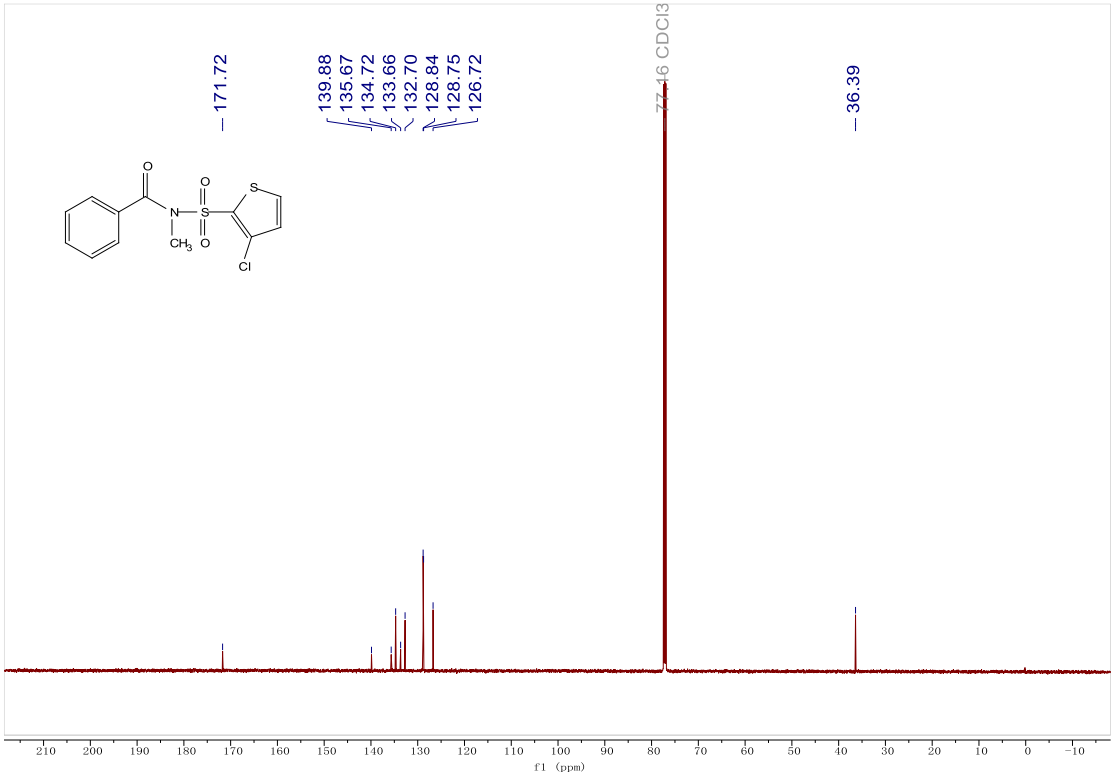


Figure S65. ^{1}H NMR (600 MHz) spectrum of compound **5g** in $CDCl_3$

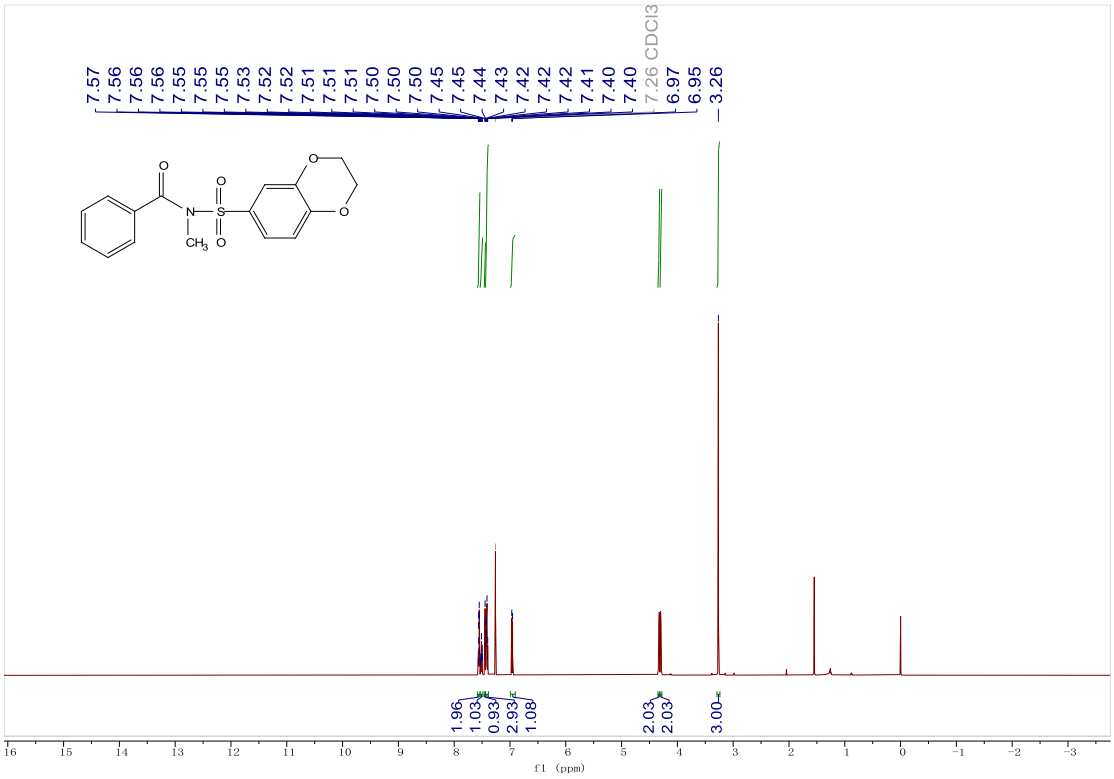


Figure S66. ^{13}C NMR (151 MHz) spectrum of compound **5g** in $CDCl_3$

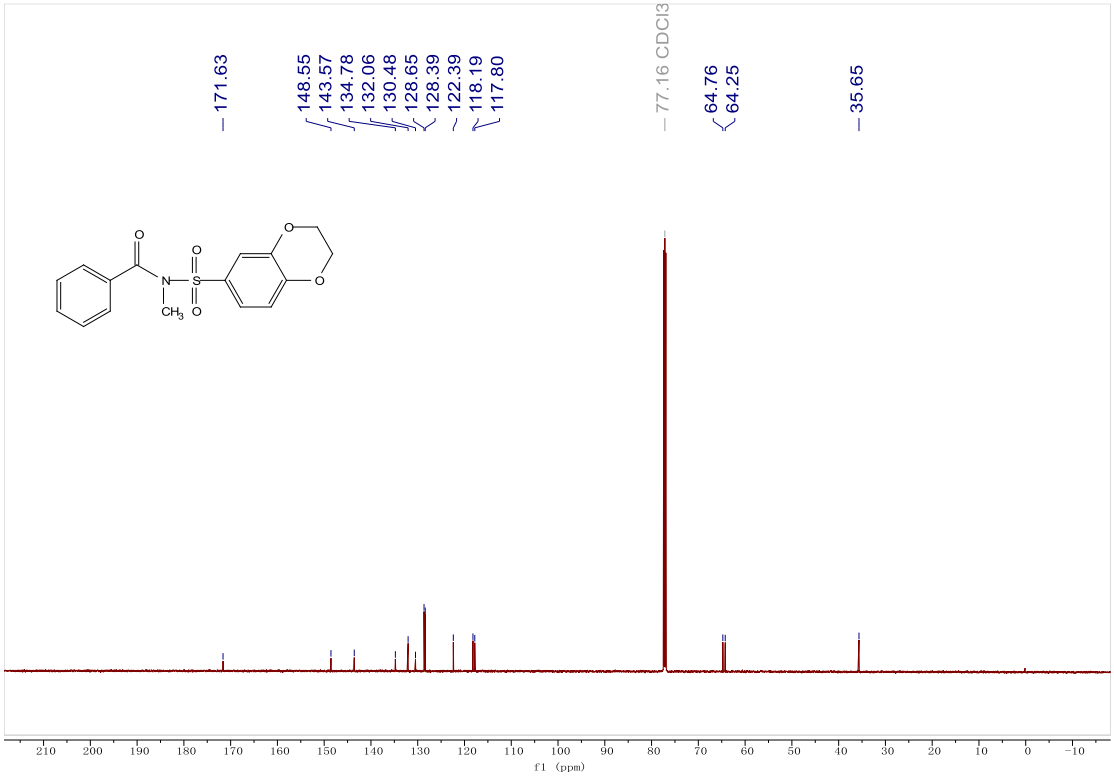


Figure S67. ^{1}H NMR (600 MHz) spectrum of compound **5h** in $CDCl_3$

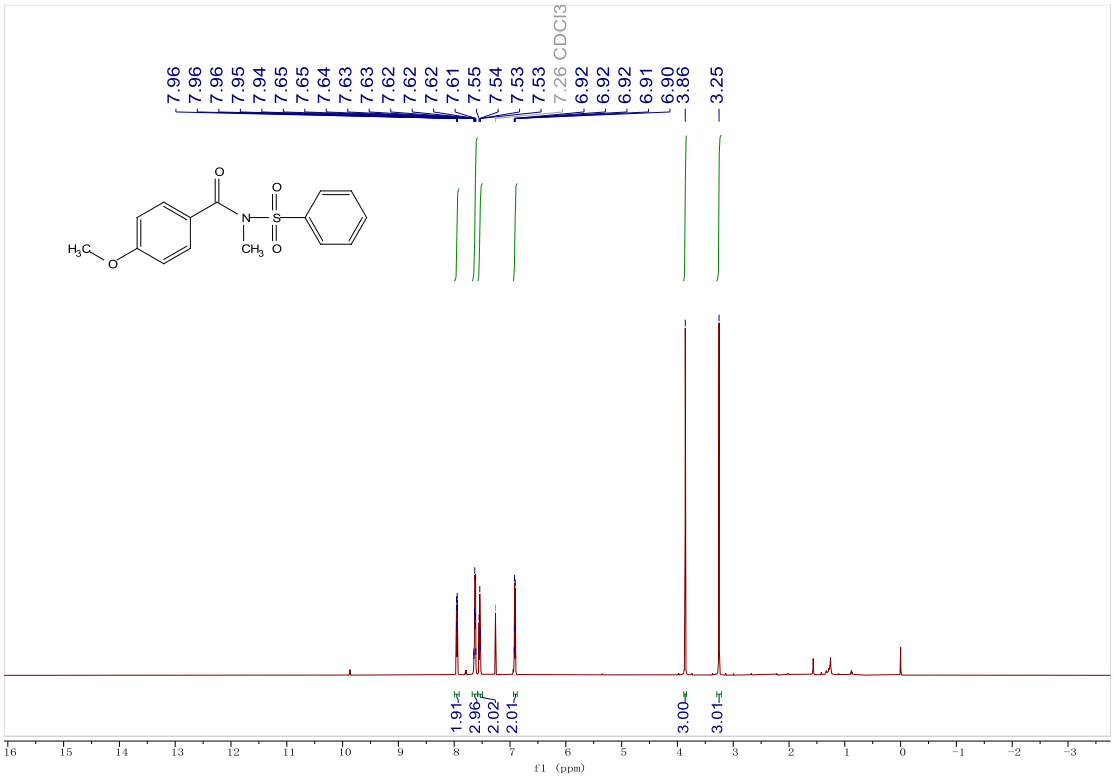


Figure S68. ^{13}C NMR (151 MHz) spectrum of compound **5h** in $CDCl_3$

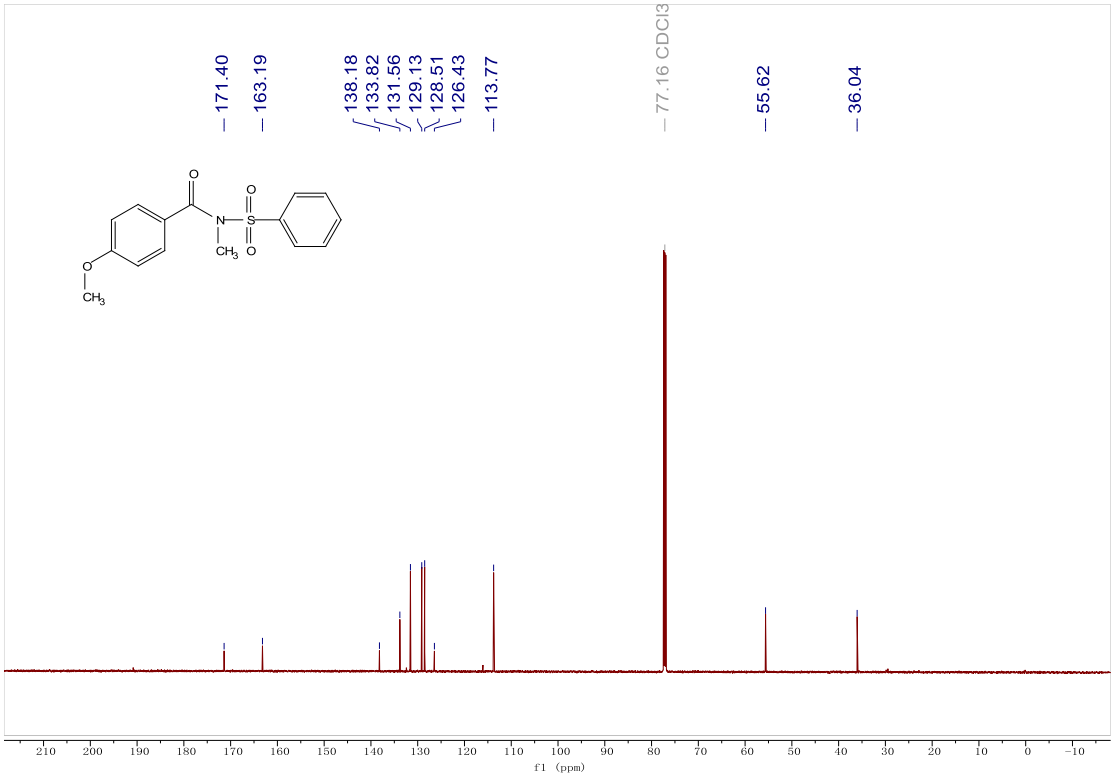


Figure S69. ^{1}H NMR (600 MHz) spectrum of compound **5i** in $CDCl_3$

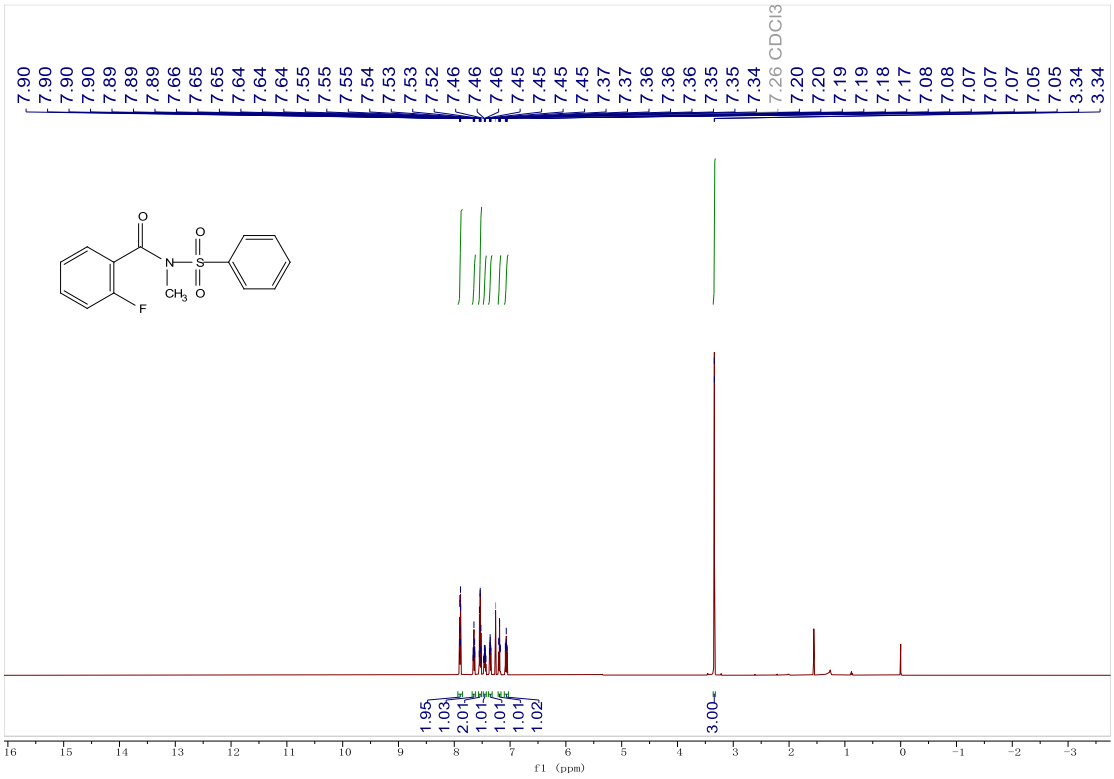


Figure S70. ^{13}C NMR (151 MHz) spectrum of compound **5i** in $CDCl_3$

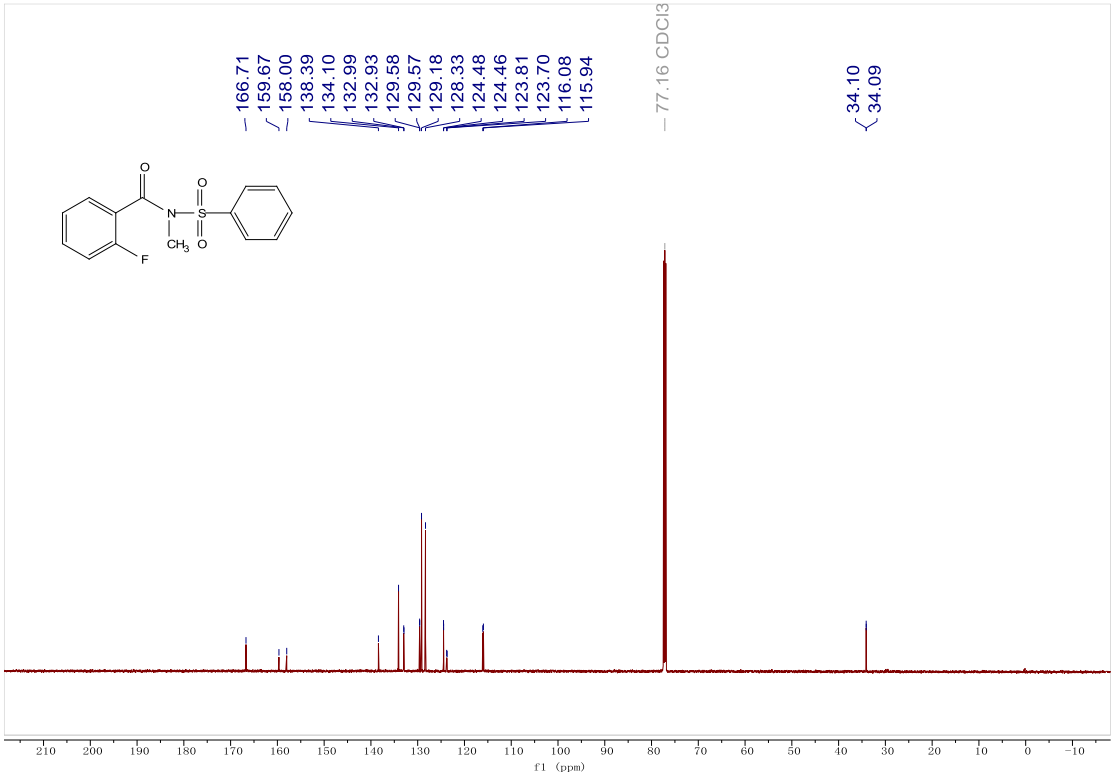


Figure S71. ^{1}H NMR (600 MHz) spectrum of compound **5j** in $CDCl_3$

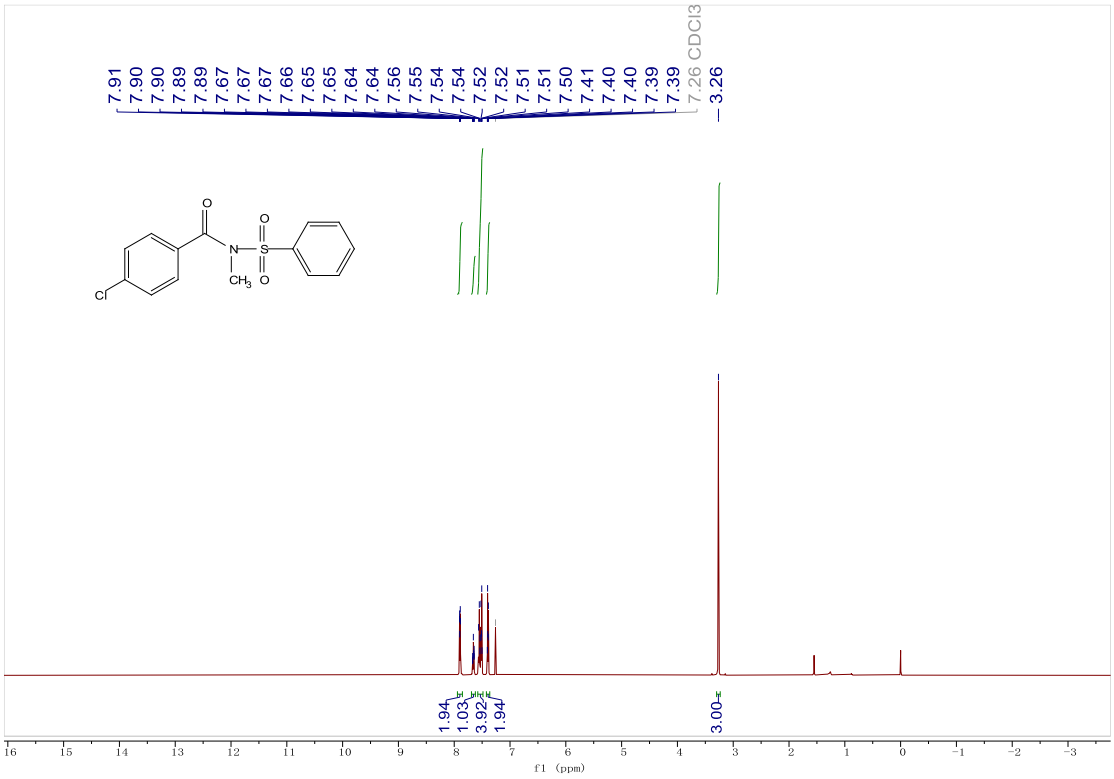


Figure S72. ^{13}C NMR (151 MHz) spectrum of compound **5j** in $CDCl_3$

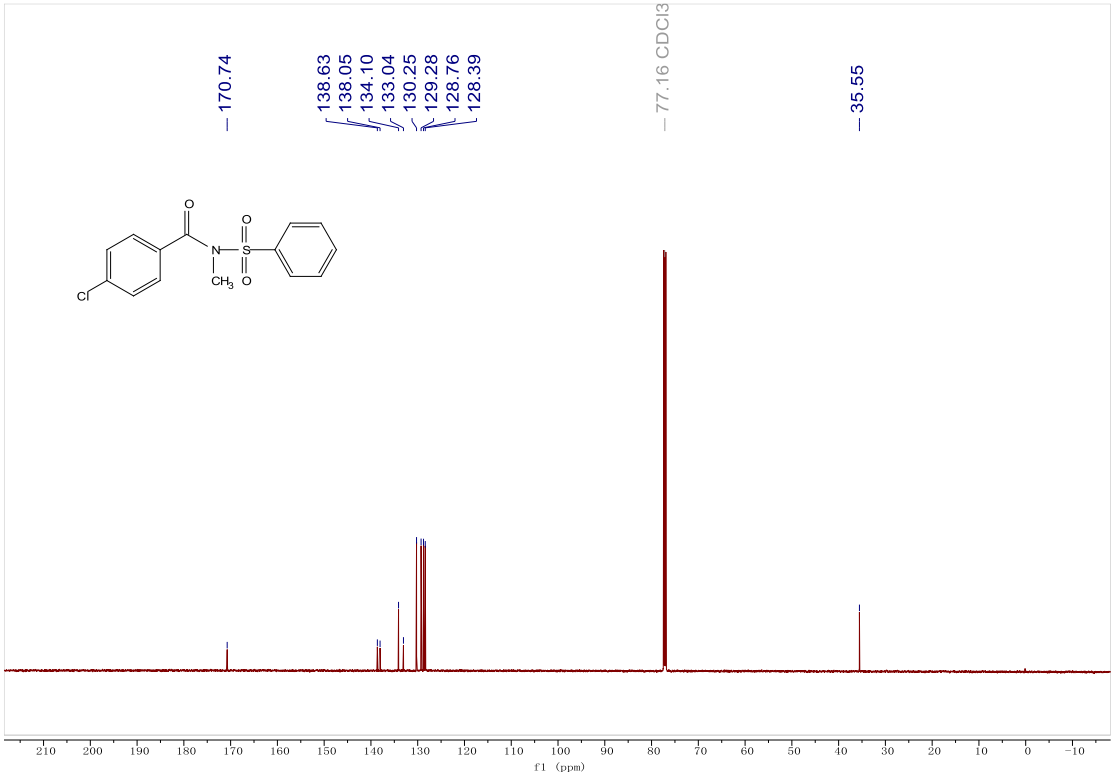


Figure S73. ^{1}H NMR (600 MHz) spectrum of compound **5k** in $CDCl_3$

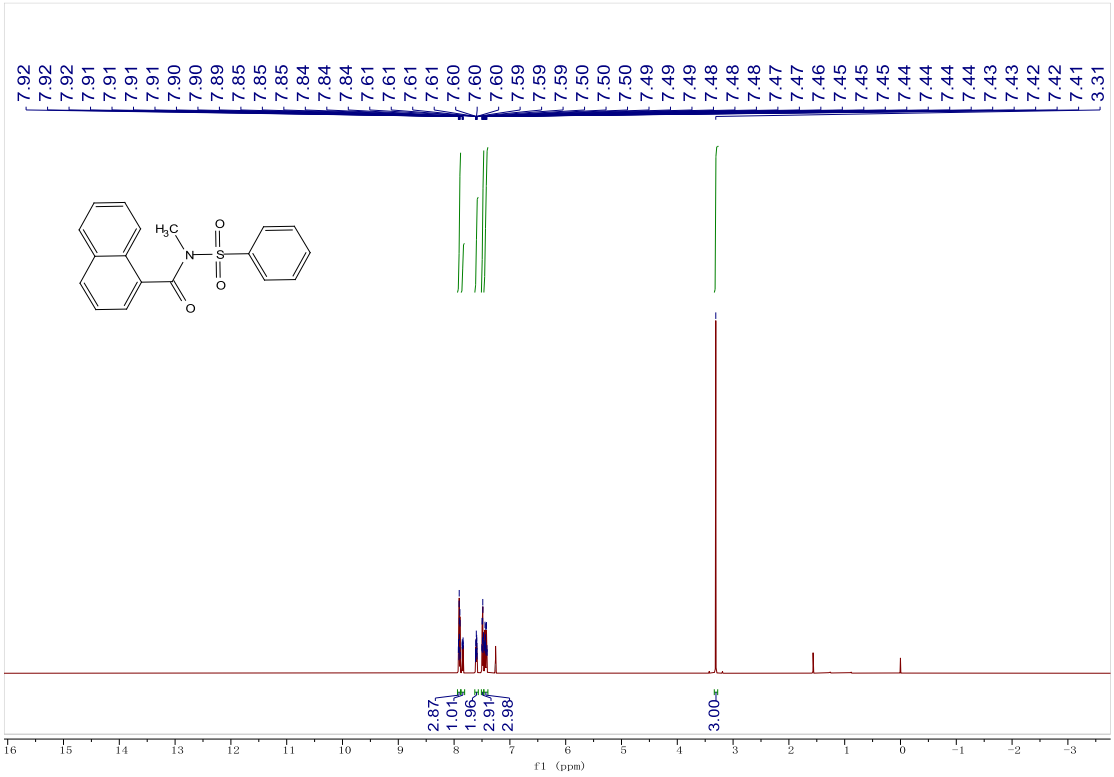


Figure S74. ^{13}C NMR (151 MHz) spectrum of compound **5k** in $CDCl_3$

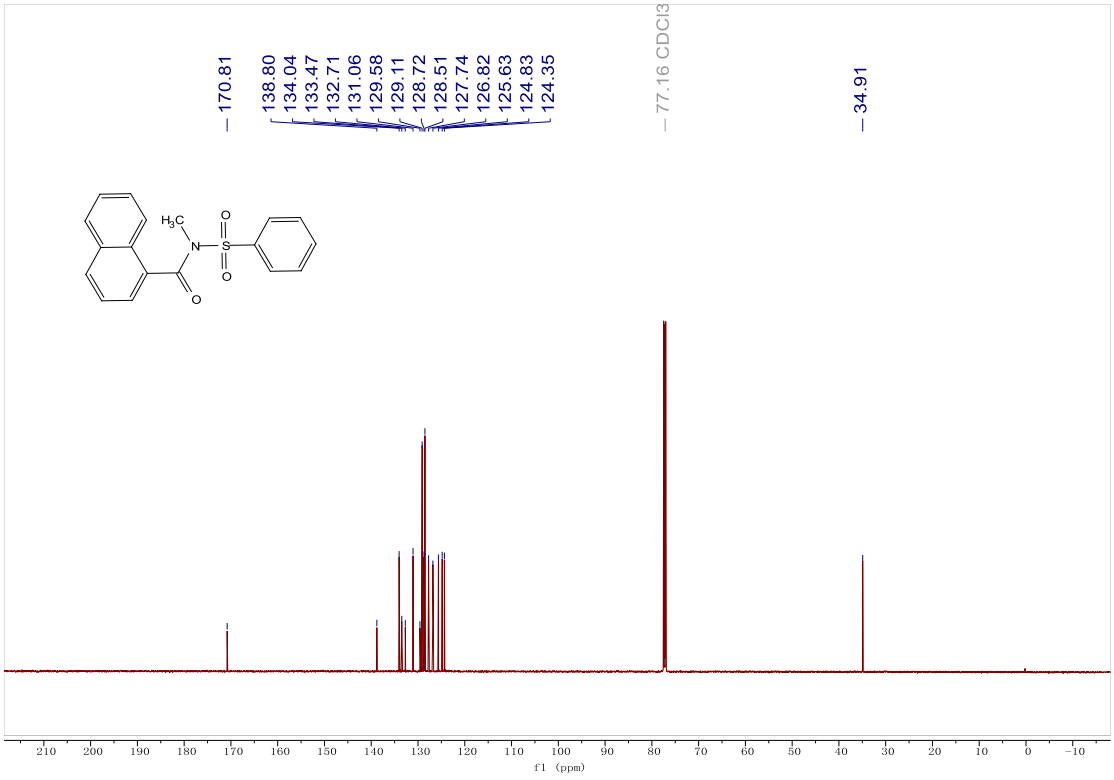


Figure S75. ^{1}H NMR (600 MHz) spectrum of compound **5l** in $CDCl_3$

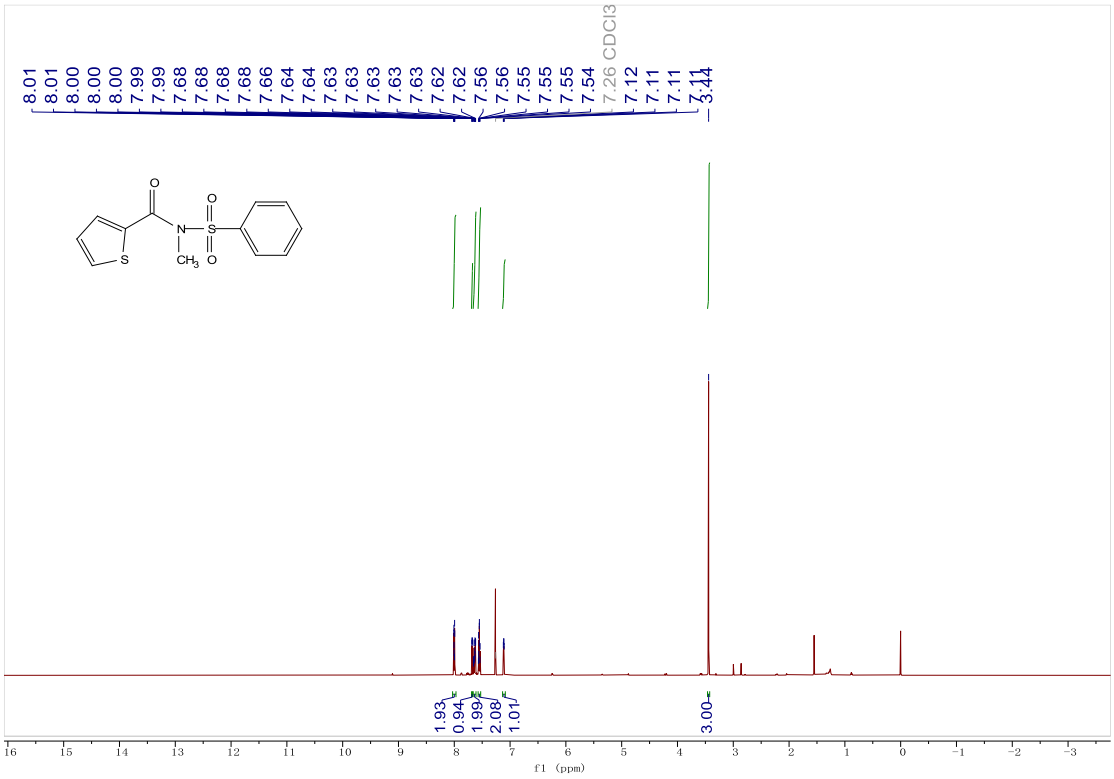


Figure S76. ^{13}C NMR (151 MHz) spectrum of compound **5l** in $CDCl_3$

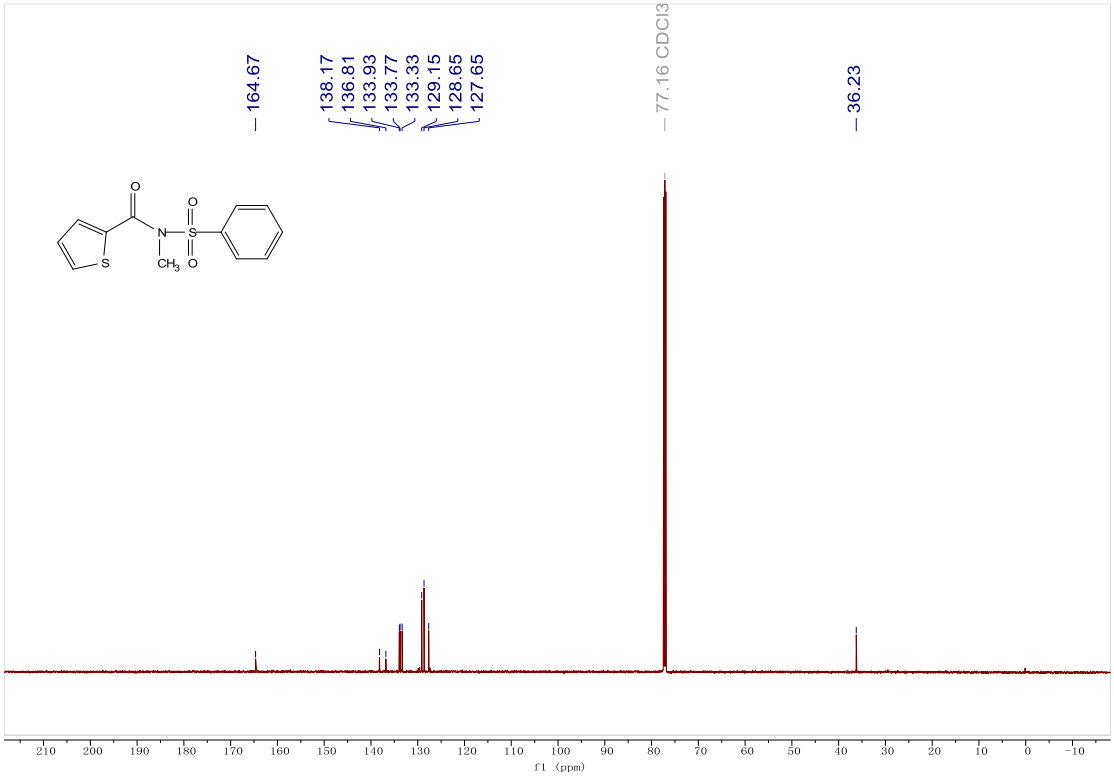


Figure S77. ^{1}H NMR (600 MHz) spectrum of compound **3m** in $CDCl_3$

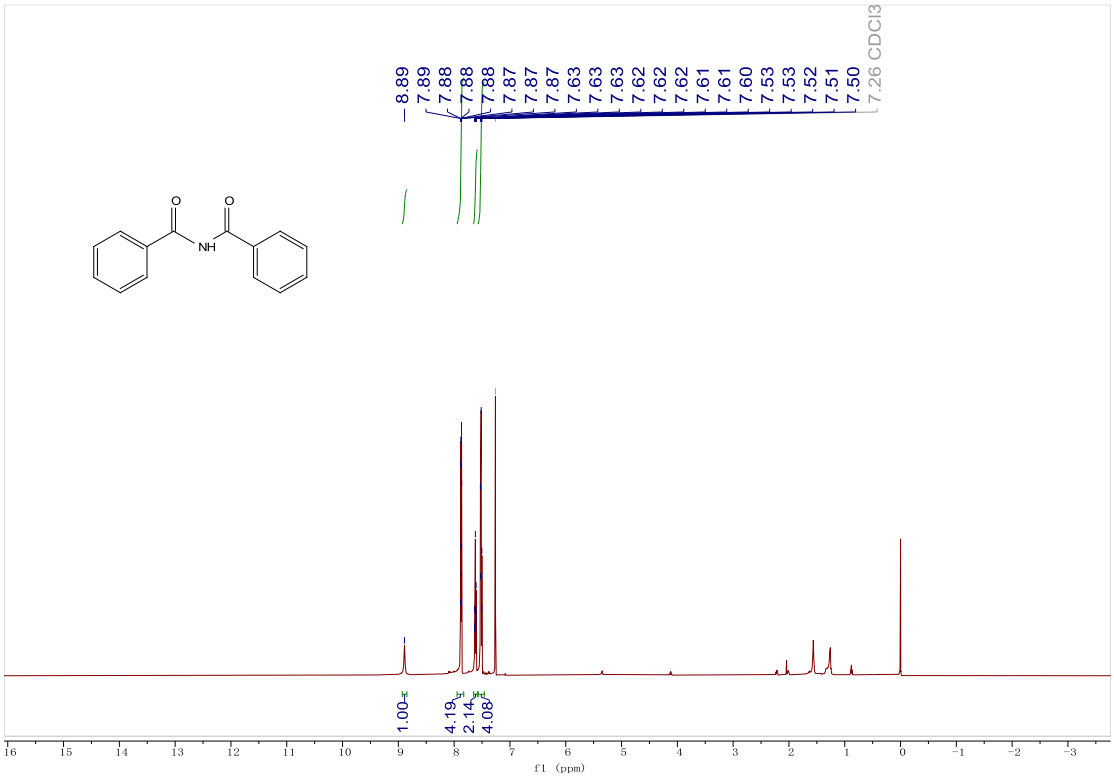


Figure S78. ^{13}C NMR (151 MHz) spectrum of compound **5m** in $CDCl_3$

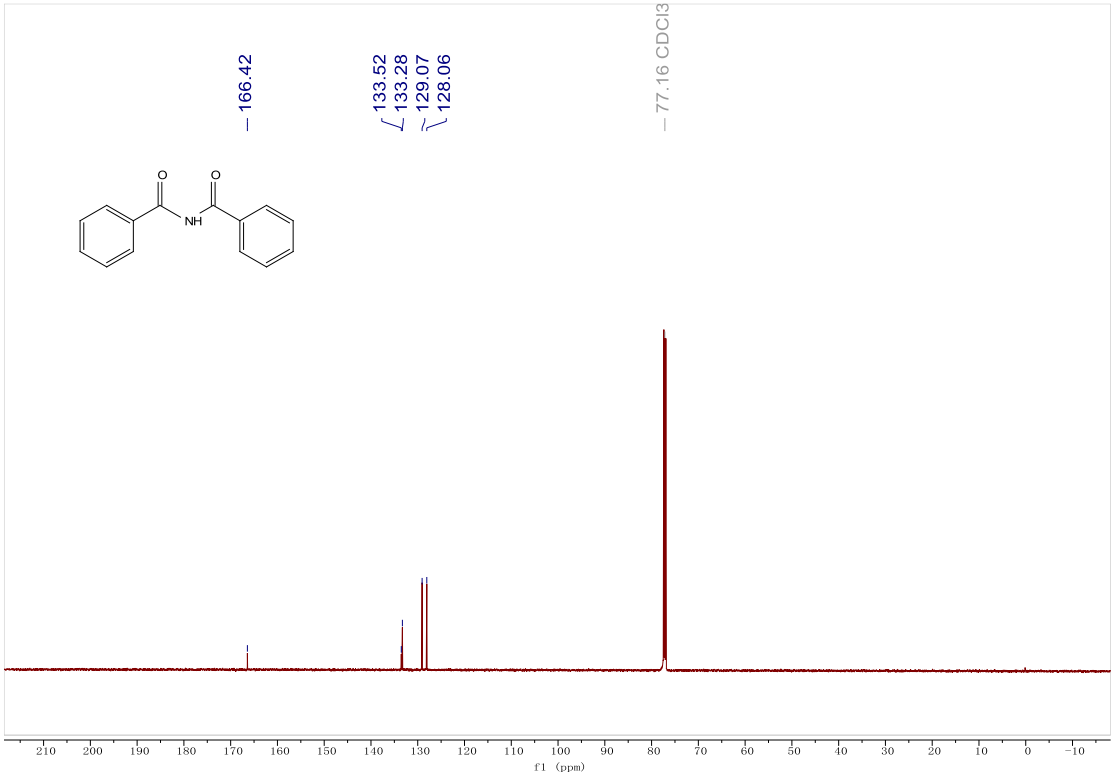


Figure S79. ^{1}H NMR (600 MHz) spectrum of compound **7a** in $CDCl_3$

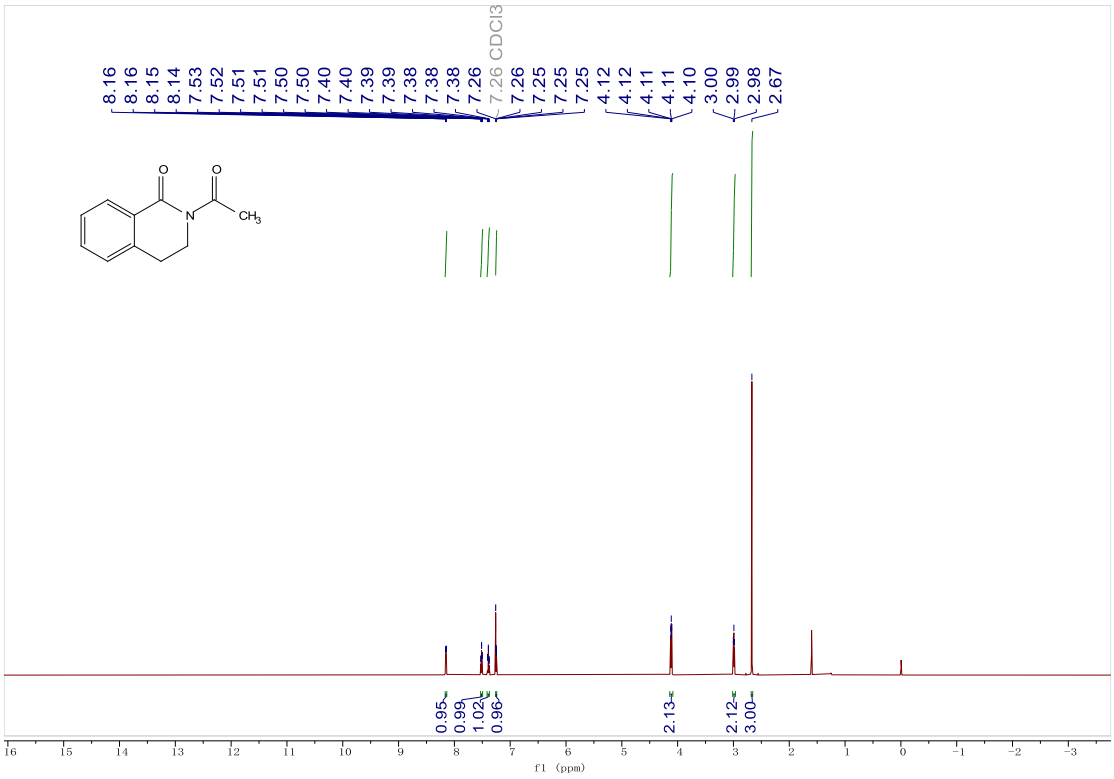


Figure S80. ^{13}C NMR (151 MHz) spectrum of compound **7a** in $CDCl_3$

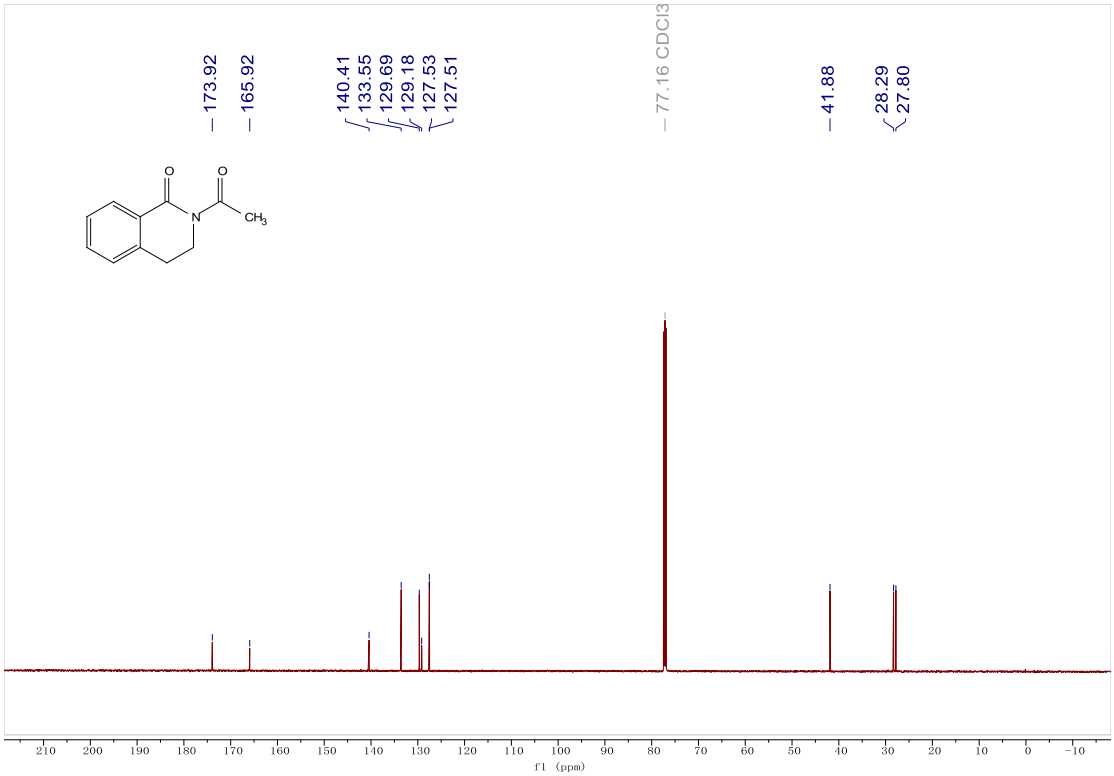


Figure S81. ^{1}H NMR (600 MHz) spectrum of compound **7b** in $CDCl_3$

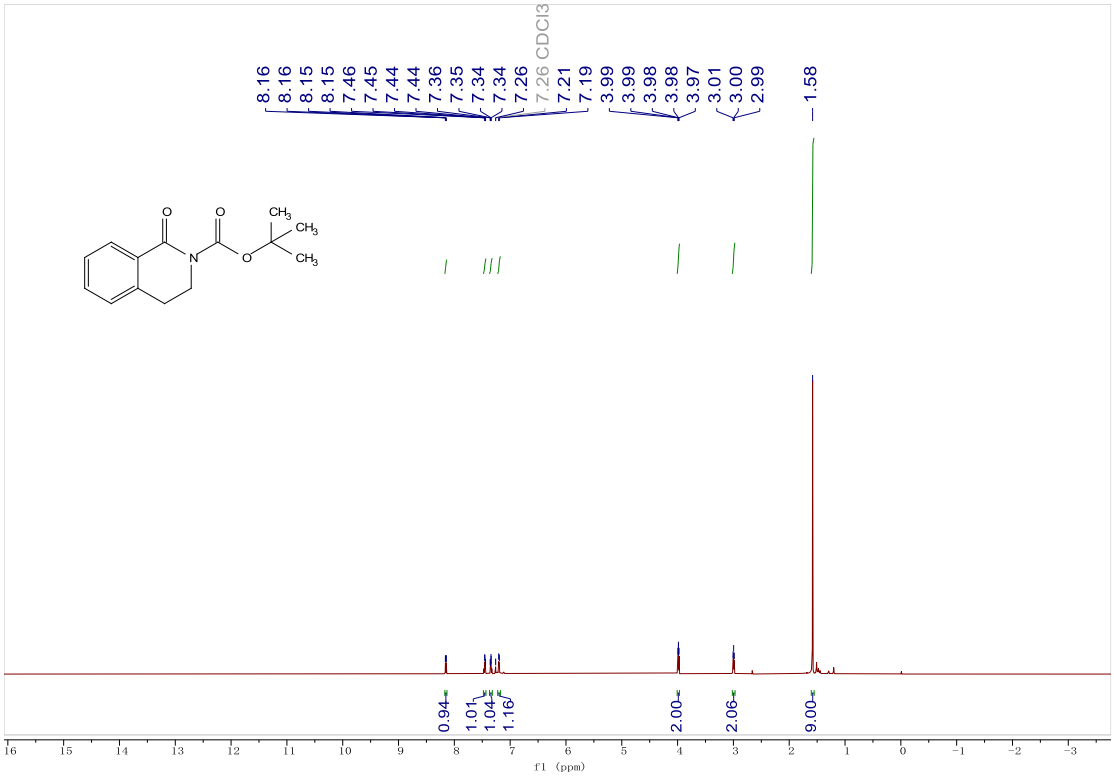


Figure S82. ^{13}C NMR (151 MHz) spectrum of compound **7b** in $CDCl_3$