

# **Iridium-Catalyzed Reductive Sulfonamidation of Alkoxy Aryl Alkynes**

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## **Supporting Information**

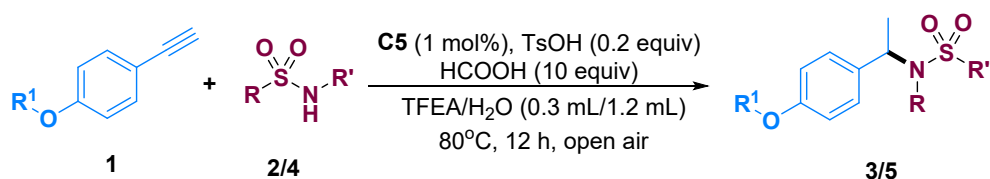
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## A. General Methods

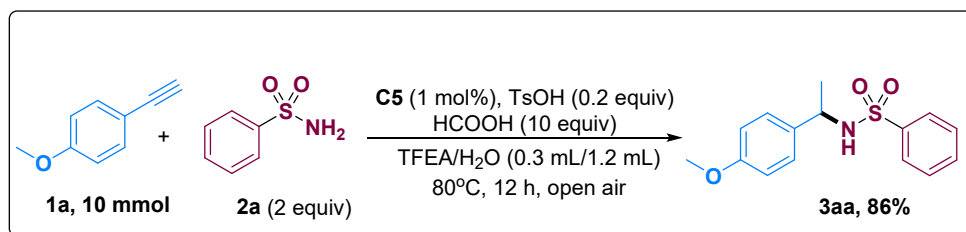
All reactions were magnetically stirred and conducted under air and applied dried Schlenk tubes under confined conditions. Solvents and reagents were purchased commercially and used without further purification. Column chromatography was carried out using silica gel (100-400 mesh) and detected at 254 nm.  $^1\text{H}$ ,  $^{13}\text{C}$ ,  $^{19}\text{F}$  Nuclear magnetic resonance (NMR) spectra for compound characterization were recorded on a Bruker AVANCE-NEO 400 WB spectrometer in a suitable deuterated solvent unless specified otherwise. HRMS was performed on a high-resolution mass spectrometer (LCMS-IT-TOF). Melt points were measured with WRR melting point apparatus.

## B. Procedure for reductive sulfonamidation of aryl alkynes



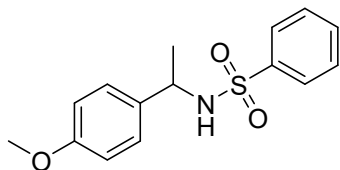
In the Schlenk tube, **1** (0.5 mmol), **2** (2.0 equiv., 1.0 mmol), **C5** (1.0 mol %, 0.005 mmol), HCO<sub>2</sub>H (10.0 equiv., 5.0 mmol), TFEA (0.3 mL) and H<sub>2</sub>O (1.2 mL) were added. The mixture was stirred at 80 °C for 12 h under confined conditions. After the reaction was completed, the mixture was diluted with EtOAc (5.0 mL) carefully quenched with 5.0 mL of saturated NaHCO<sub>3</sub> solution. To determine the separation yield of product, the mixture was extracted with EtOAc (10.0 mL × 3 times), the organic layers were combined, washed with saturated NaCl. and dried with anhydrous MgSO<sub>4</sub>. After removal of the EtOAc under vacuum, the crude product was purified by column chromatography on silica gel with hexanes or petroleum ether/ethyl acetate (5:1 to 200:1) to give the desired products.

### C. Procedure for gram-scale experiment

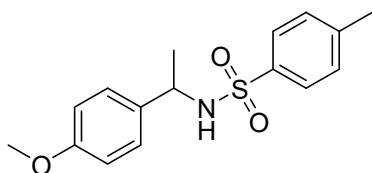


In the Schlenk tube were added **1a** (10.0 mmol), **2a** (2.0 equiv., 20.0 mmol), **C5** (1.0 mol%), HCO<sub>2</sub>H (10.0 equiv., 100.0 mmol), TFEA (6.0 mL), and H<sub>2</sub>O (24 mL). The mixture was stirred at 80 °C for 12 h under confined conditions. After the reaction was completed, the mixture was diluted with EtOAc (50.0 mL) carefully quenched with 50.0 mL of saturated NaHCO<sub>3</sub> solution. To determine the separation yield of product, the mixture was extracted with EtOAc (50.0 mL × 3 times), the organic layers were combined and washed with saturated NaCl and dried with anhydrous MgSO<sub>4</sub>. After removal of the EtOAc under vacuum, the crude product was purified by column chromatography on silica gel with petroleum ether/ethyl acetate (50:1) to give the desired product.

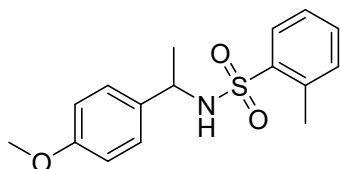
#### D. Analytical Data



***N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3aa)**<sup>1</sup>: Prepared in 79% yield (114.7 mg) as a yellow solid; m.p. 105-107°C.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.78-7.67 (m, 2H), 7.51-7.44 (m, 1H), 7.37 (dd,  $J = 8.4, 7.0$  Hz, 2H), 7.00 (d,  $J = 8.7$  Hz, 2H), 6.68 (d,  $J = 8.7$  Hz, 2H), 5.36 (d,  $J = 7.1$  Hz, 1H), 4.47-4.40 (m, 1H), 3.73 (s, 3H), 1.39 (d,  $J = 6.9$  Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  158.8, 140.6, 134.0, 132.2, 128.7, 127.3, 126.9, 113.7, 55.2, 53.1, 23.4.

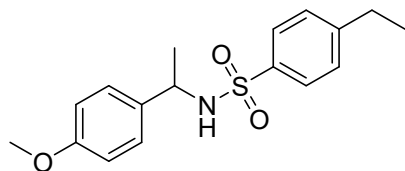


***N*-(1-(4-methoxyphenyl)ethyl)-4-methylbenzenesulfonamide (3ab)**<sup>2</sup>: Prepared in 78% yield (118.7 mg) as a yellow oil.  $R_f = 0.42$  (petroleum ether/ethyl acetate = 3/1, v/v). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.62 (d,  $J = 8.3$  Hz, 2H), 7.17 (d,  $J = 8.0$  Hz, 2H), 7.01 (d,  $J = 8.6$  Hz, 2H), 6.69 (d,  $J = 8.6$  Hz, 2H), 5.37 (d,  $J = 7.0$  Hz, 1H), 4.43 - 4.36 (m, 1H), 3.73 (s, 3H), 2.37 (s, 3H), 1.38 (d,  $J = 6.9$  Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  158.7, 142.9, 137.6, 134.2, 129.3, 127.3, 127.0, 113.7, 55.1, 53.0, 23.3, 21.4.

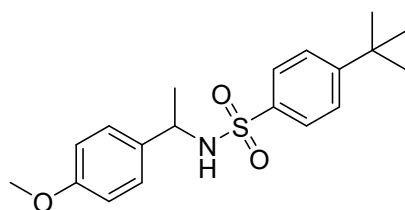


***N*-(1-(4-methoxyphenyl)ethyl)-2-methylbenzenesulfonamide (3ac)**: Prepared in 51% yield (77.7 mg) as a yellow oil.  $R_f = 0.42$  (petroleum ether/ethyl acetate = 3/1, v/v). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.85 (dd,  $J = 8.0, 1.4$  Hz, 1H), 7.38 (td,  $J = 7.5, 1.4$  Hz, 1H), 7.24-7.16 (m, 2H), 6.97 (d,  $J = 8.7$  Hz, 2H), 6.68 (d,  $J = 8.7$  Hz, 2H), 5.06 (d,  $J = 6.9$  Hz, 1H), 4.42-4.35 (m, 1H), 3.73 (s, 3H), 2.52 (s, 3H), 1.42 (d,  $J = 6.8$  Hz, 3H).

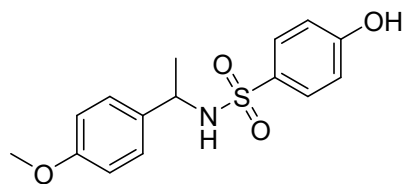
$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.8, 138.4, 136.8, 133.8, 132.4, 132.2, 129.5, 127.2, 125.9, 113.7, 55.2, 53.0, 23.2, 20.1. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{16}\text{H}_{18}\text{NO}_3\text{S}$  304.1013; Found 304.1017.



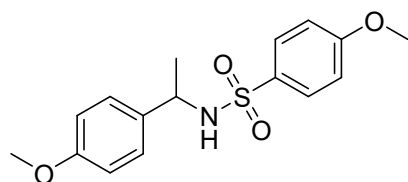
***N*-(1-(4-methoxyphenyl)ethyl)-2-methylbenzenesulfonamide (3ad)**: Prepared in 77% yield (123.3 mg) as a yellow solid; m.p. 120-122°C.  $R_f$  = 0.44 (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.63 (d,  $J$  = 8.4 Hz, 2H), 7.18 (d,  $J$  = 8.3 Hz, 2H), 7.00 (d,  $J$  = 8.7 Hz, 2H), 6.68 (d,  $J$  = 8.7 Hz, 2H), 5.24 (d,  $J$  = 7.1 Hz, 1H), 4.44 (d,  $J$  = 6.9 Hz, 1H), 3.73 (s, 3H), 2.67 (q,  $J$  = 7.6 Hz, 2H), 1.39 (d,  $J$  = 6.9 Hz, 3H), 1.23 (t,  $J$  = 7.6 Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.7, 149.1, 137.8, 134.1, 128.2, 127.3, 127.1, 113.7, 55.1, 53.1, 28.7, 23.5, 15.2. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{17}\text{H}_{20}\text{NO}_3\text{S}$  318.1169; Found 318.1174.



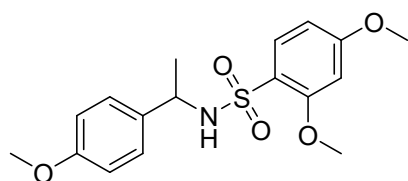
**4-(tert-butyl)-*N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3ae)**: Prepared in 78% yield (135.3 mg) as a yellow solid; m.p. 115-117°C.  $R_f$  = 0.40 (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.62 (d,  $J$  = 8.5 Hz, 2H), 7.34 (d,  $J$  = 8.5 Hz, 2H), 6.97 (d,  $J$  = 8.6 Hz, 2H), 6.64 (d,  $J$  = 8.6 Hz, 2H), 5.45 (d,  $J$  = 7.3 Hz, 1H), 4.47-4.40 (m, 1H), 3.72 (s, 3H), 1.40 (d,  $J$  = 6.9 Hz, 3H), 1.30 (s, 9H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.6, 155.8, 137.4, 134.1, 127.2, 126.8, 125.6, 113.6, 55.0, 53.1, 34.9, 31.0, 23.6. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{19}\text{H}_{24}\text{NO}_3\text{S}$  346.1482; Found 346.1487.



**4-hydroxy-*N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3af):** Prepared in 57% yield (87.5 mg) as a yellow oil.  $R_f = 0.22$  (petroleum ether/ethyl acetate = 2/1, v/v).  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.52 (d,  $J = 8.7$  Hz, 2H), 6.99 (d,  $J = 8.6$  Hz, 2H), 6.75 (d,  $J = 8.3$  Hz, 2H), 6.69 (d,  $J = 8.6$  Hz, 2H), 5.32 (d,  $J = 7.0$  Hz, 1H), 4.39-4.32 (m, 1H), 3.71 (d,  $J = 0.8$  Hz, 3H), 2.47 (s, 1H), 1.36 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.9, 158.7, 134.1, 131.2, 129.3, 127.3, 115.7, 113.9, 55.3, 53.1, 23.3. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{15}\text{H}_{16}\text{NO}_4\text{S}$  306.0806; Found 306.0810.

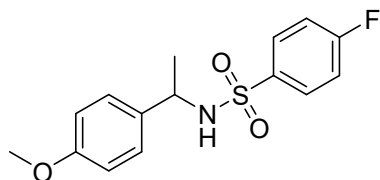


**4-methoxy-*N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3ag)<sup>3</sup>:** Prepared in 78% yield (125.2 mg) as a yellow solid; m.p. 118-120°C.  $R_f = 0.42$  (petroleum ether/ethyl acetate = 2/1, v/v).  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.65 (d,  $J = 8.8$  Hz, 2H), 7.01 (d,  $J = 8.6$  Hz, 2H), 6.83 (d,  $J = 8.9$  Hz, 2H), 6.70 (d,  $J = 8.6$  Hz, 2H), 5.22 (d,  $J = 7.0$  Hz, 1H), 4.42-4.36 (m, 1H), 3.83 (s, 3H), 3.74 (s, 3H), 1.39 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  162.5, 158.7, 134.2, 132.2, 129.1, 127.3, 113.9, 113.7, 55.5, 55.2, 53.0, 23.4.

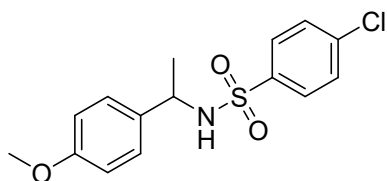


**2,4-dimethoxy-*N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3ah):** Prepared in 50% yield (87.5 mg) as a yellow solid; m.p. 108-110°C.  $R_f = 0.28$  (petroleum ether/ethyl acetate = 2/1, v/v).  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.75 (d,  $J = 8.7$  Hz, 1H), 6.97-6.89 (m, 2H), 6.70-6.62 (m, 2H), 6.47 (dd,  $J = 8.7, 2.3$  Hz, 1H), 6.27 (d,  $J = 2.3$  Hz, 1H), 5.19 (d,  $J = 7.6$  Hz, 1H), 4.27-4.20 (m, 1H), 3.83 (s, 3H), 3.73 (s, 3H), 3.68

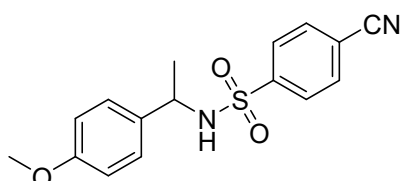
(s, 3H), 1.43 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  164.5, 158.7, 157.2, 134.2, 131.8, 127.1, 120.0, 113.5, 104.1, 98.9, 55.7, 55.6, 55.2, 53.4, 23.1. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{17}\text{H}_{20}\text{NO}_5\text{S}$  350.1068; Found 350.1073.



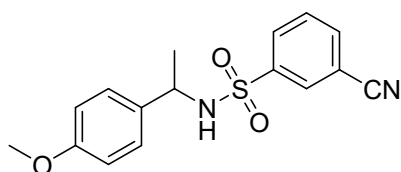
**4-fluoro-*N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3ai):** Prepared in 76% yield (116.8 mg) as a yellow oil.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.76-7.64 (m, 2H), 7.04-6.95 (m, 4H), 6.69 (d,  $J = 8.7$  Hz, 2H), 5.44 (d,  $J = 7.2$  Hz, 1H), 4.47-4.41 (m, 1H), 3.74 (s, 3H), 1.41 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  164.7 (d,  $J = 254.2$  Hz), 158.9, 136.8 (d,  $J = 3.3$  Hz), 133.7, 129.7 (d,  $J = 9.3$  Hz), 127.3, 115.8 (d,  $J = 22.5$  Hz), 113.8, 55.2, 53.3, 23.5.  $^{19}\text{F}$  NMR (377 MHz,  $\text{CDCl}_3$ )  $\delta$  -106.0. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{15}\text{H}_{15}\text{FNO}_3\text{S}$  308.0762; Found 308.0766.



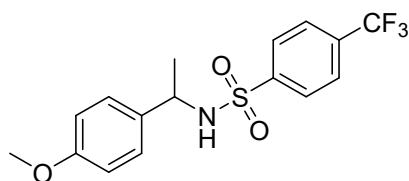
**4-chloro-*N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3aj):** Prepared in 81% yield (131.1 mg) as a yellow solid; m.p. 115-118°C.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.59 (d,  $J = 8.6$  Hz, 2H), 7.29 (d,  $J = 8.6$  Hz, 2H), 6.97 (d,  $J = 8.7$  Hz, 2H), 6.68 (d,  $J = 8.6$  Hz, 2H), 5.46 (d,  $J = 7.2$  Hz, 1H), 4.47-4.41 (m, 1H), 3.74 (s, 3H), 1.40 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.9, 139.2, 138.5, 133.6, 128.9, 128.4, 127.3, 113.8, 55.2, 53.3, 23.4. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{15}\text{H}_{15}\text{ClNO}_3\text{S}$  324.0467; Found 324.0471.



**4-cyano-*N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3ak):** Prepared in 53% yield (83.3 mg) as a yellow oil.  $R_f = 0.24$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.72 (d,  $J = 8.2$  Hz, 2H), 7.61 (d,  $J = 8.2$  Hz, 2H), 6.94 (d,  $J = 8.6$  Hz, 2H), 6.66 (d,  $J = 8.6$  Hz, 2H), 5.45 (d,  $J = 7.1$  Hz, 1H), 4.54-4.48 (m, 1H), 3.75 (s, 3H), 1.43 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.0, 145.0, 133.1, 132.4, 127.5, 127.3, 117.3, 115.6, 113.8, 55.2, 53.6, 23.4. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{16}\text{H}_{15}\text{N}_2\text{O}_3\text{S}$  315.0809; Found 315.0814.



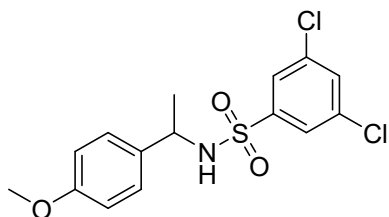
**3-cyano-*N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3al):** Prepared in 51% yield (79.8 mg) as a yellow oil  $R_f = 0.32$  (petroleum ether/ethyl acetate = 2/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.85 (ddd,  $J = 8.0, 1.9, 1.1$  Hz, 1H), 7.74 (t,  $J = 1.7$  Hz, 1H), 7.68 (dt,  $J = 7.7, 1.4$  Hz, 1H), 7.45 (t,  $J = 7.9$  Hz, 1H), 6.95 (d,  $J = 8.7$  Hz, 2H), 6.66 (d,  $J = 8.7$  Hz, 2H), 5.40 (d,  $J = 6.9$  Hz, 1H), 4.58-4.52 (m, 1H), 3.77 (s, 3H), 1.46 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.1, 142.5, 135.1, 132.8, 130.8, 130.8, 129.7, 127.5, 117.2, 113.9, 113.0, 55.3, 53.8, 23.6. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{16}\text{H}_{15}\text{N}_2\text{O}_3\text{S}$  315.0809; Found 315.0815.



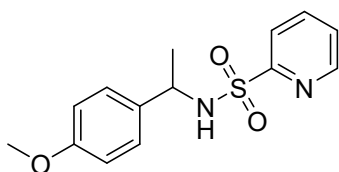
***N*-(1-(4-methoxyphenyl)ethyl)-4-(trifluoromethyl)benzenesulfonamide (3am):** Prepared in 50% yield (89.8 mg) as a yellow oil.  $R_f = 0.41$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.75 (d,  $J = 8.2$  Hz, 2H), 7.56 (d,  $J = 8.3$  Hz, 2H), 6.96-6.91 (m, 2H), 6.66-6.59 (m, 2H), 5.63 (d,  $J = 7.3$  Hz, 1H), 4.53 - 4.47 (m, 1H), 3.70 (s, 3H), 1.42 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.9, 144.2, 133.7 (d,  $J = 33.0$  Hz), 133.2, 127.5, 127.3, 125.7 (q,  $J = 3.7$  Hz), 123.2



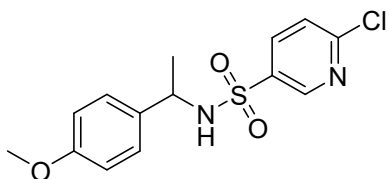
(d,  $J = 272.9$  Hz), 113.7, 55.1, 53.6, 23.5.  $^{19}\text{F}$  NMR (377 MHz,  $\text{CDCl}_3$ )  $\delta$  -63.1. HRMS-ESI (m/z):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{16}\text{H}_{15}\text{F}_3\text{NO}_3\text{S}$  358.0730; Found 358.0735.



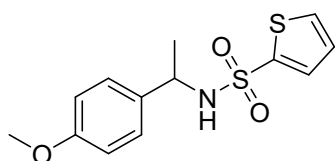
**3,5-dichloro-*N*-(1-(4-methoxyphenyl)ethyl)benzenesulfonamide (3an)**: Prepared in 52% yield (92.5 mg) as a white solid; m.p. 130-133°C.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.41 (d,  $J = 1.9$  Hz, 2H), 7.36 (d,  $J = 1.9$  Hz, 1H), 6.98 (d,  $J = 8.6$  Hz, 2H), 6.69 (d,  $J = 8.6$  Hz, 2H), 5.50 (d,  $J = 7.0$  Hz, 1H), 4.56-4.50 (m, 1H), 3.76 (s, 3H), 1.46 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.0, 143.5, 135.3, 132.8, 131.8, 127.5, 125.4, 113.7, 55.2, 53.8, 23.4. HRMS-ESI (m/z):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{15}\text{H}_{14}\text{Cl}_2\text{NO}_3\text{S}$  358.0077; Found 358.0085.



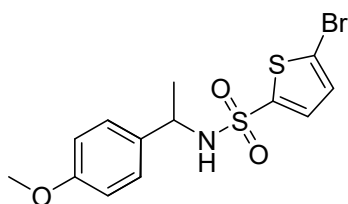
***N*-(1-(4-methoxyphenyl)ethyl)pyridine-2-sulfonamide (3ao)**: Prepared in 26% yield (37.5 mg) as a yellow oil.  $R_f = 0.32$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.57 (d,  $J = 4.8$  Hz, 1H), 7.82-7.68 (m, 2H), 7.37 (ddd,  $J = 7.3, 4.7, 1.6$  Hz, 1H), 7.03 (d,  $J = 8.6$  Hz, 2H), 6.65 (d,  $J = 8.7$  Hz, 2H), 5.63 (d,  $J = 7.3$  Hz, 1H), 4.59-4.53 (m, 1H), 3.72 (s, 3H), 1.44 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.8, 157.8, 149.7, 137.6, 133.8, 127.5, 126.1, 122.1, 113.6, 55.2, 53.6, 23.2. HRMS-ESI (m/z):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{14}\text{H}_{15}\text{N}_2\text{O}_3\text{S}$  291.0809; Found 291.0814.



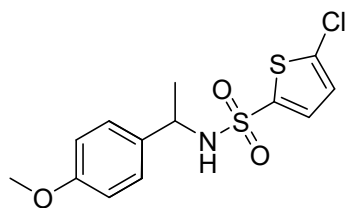
**6-chloro-*N*-(1-(4-methoxyphenyl)ethyl)pyridine-3-sulfonamide (3ap)**: Prepared in 15% yield (23.4 mg) as a yellow oil.  $R_f = 0.34$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.60 (dd,  $J = 2.6, 0.7$  Hz, 1H), 7.71 (dd,  $J = 8.4, 2.5$  Hz, 1H), 7.20 (dd,  $J = 8.4, 0.7$  Hz, 1H), 6.96 (d,  $J = 8.7$  Hz, 2H), 6.69 (d,  $J = 8.7$  Hz, 2H), 5.09 (d,  $J = 6.7$  Hz, 1H), 4.57-4.51 (m, 1H), 3.77 (s, 3H), 1.47 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.3, 154.7, 148.3, 137.0, 136.5, 132.7, 127.5, 124.0, 114.0, 55.3, 53.7, 23.5. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{14}\text{H}_{14}\text{ClN}_2\text{O}_3\text{S}$  325.0419; Found 325.0424.



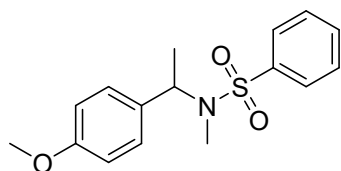
***N*-(1-(4-methoxyphenyl)ethyl)thiophene-2-sulfonamide (3aq)**: Prepared in 77% yield (114.5 mg) as a yellow oil.  $R_f = 0.39$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.49 (dd,  $J = 5.0, 1.4$  Hz, 1H), 7.43 (dd,  $J = 3.8, 1.4$  Hz, 1H), 7.09-7.03 (m, 2H), 6.95 (dd,  $J = 5.0, 3.8$  Hz, 1H), 6.75 (d,  $J = 8.8$  Hz, 2H), 5.34 (d,  $J = 7.1$  Hz, 1H), 4.53-4.47 (m, 1H), 3.75 (s, 3H), 1.44 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.8, 141.7, 133.9, 132.1, 131.6, 127.2, 127.1, 113.8, 55.2, 53.4, 23.4. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{13}\text{H}_{14}\text{NO}_3\text{S}_2$  296.0421; Found 296.0425.



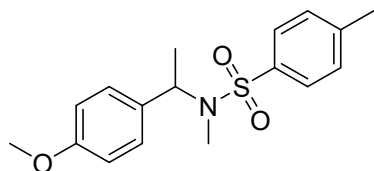
**5-bromo-*N*-(1-(4-methoxyphenyl)ethyl)thiophene-2-sulfonamide (3ar)**: Prepared in 69% yield (130.1 mg) as a yellow oil.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.11 (d,  $J = 4.0$  Hz, 1H), 7.06 (d,  $J = 8.7$  Hz, 2H), 6.88 (d,  $J = 4.0$  Hz, 1H), 6.76 (d,  $J = 8.8$  Hz, 2H), 5.42 (d,  $J = 7.2$  Hz, 1H), 4.53-4.47 (m, 1H), 3.77 (s, 3H), 1.46 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.0, 142.6, 133.6, 132.2, 130.0, 127.3, 119.5, 113.8, 55.2, 53.6, 23.4. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{13}\text{H}_{13}\text{BrNO}_3\text{S}_2$  373.9526; Found 373.9531.



**5-chloro-*N*-(1-(4-methoxyphenyl)ethyl)thiophene-2-sulfonamide (3as):** Prepared in 77% yield (127.4 mg) as a yellow oil.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.14 (d,  $J = 4.0$  Hz, 1H), 7.07 (d,  $J = 8.7$  Hz, 2H), 6.80-6.71 (m, 3H), 5.52 (d,  $J = 7.3$  Hz, 1H), 4.53-4.47 (m, 1H), 3.76 (s, 3H), 1.46 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.9, 139.7, 136.8, 133.6, 131.5, 127.3, 126.3, 113.8, 55.2, 53.6, 23.4. HRMS-ESI ( $m/z$ ):  $[\text{M-H}]^+$  Calcd for  $\text{C}_{13}\text{H}_{13}\text{ClNO}_3\text{S}_2$  330.0031; Found 330.0036.

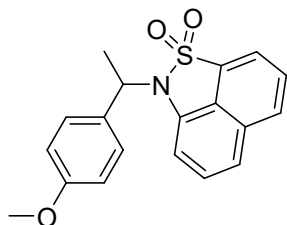


***N*-(1-(4-methoxyphenyl)ethyl)-*N*-methylbenzenesulfonamide (3at):** Prepared in 52% yield (79.8 mg) as a yellow solid; m.p. 118-120°C.  $R_f = 0.30$  (petroleum ether/ethyl acetate = 5/1, v/v).  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.89-7.81 (m, 2H), 7.55 (dd,  $J = 18.3, 7.4$  Hz, 3H), 7.21-7.15 (m, 2H), 6.83 (d,  $J = 8.8$  Hz, 2H), 5.25 (q,  $J = 7.0$  Hz, 1H), 3.79 (s, 3H), 2.57 (s, 3H), 1.26 (d,  $J = 7.0$  Hz, 3H).  $^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.9, 140.2, 132.3, 131.6, 129.0, 128.4, 127.0, 113.6, 55.2, 54.3, 28.2, 15.4. HRMS-ESI ( $m/z$ ):  $[\text{M-H}]^+$  Calcd for  $\text{C}_{16}\text{H}_{18}\text{NO}_3\text{S}$  304.1013; Found 304.1014.



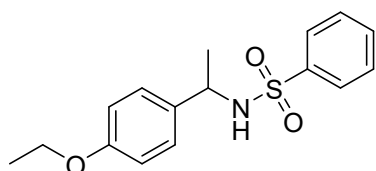
***N*-(1-(4-methoxyphenyl)ethyl)-*N*,4-dimethylbenzenesulfonamide (3au):** Prepared in 51% yield (81.1 mg) as a yellow oil.  $R_f = 0.48$  (petroleum ether/ethyl acetate = 5/1, v/v).  $^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.73 (d,  $J = 8.3$  Hz, 2H), 7.31 (d,  $J = 8.0$  Hz, 2H), 7.21 (d,  $J = 8.7$  Hz, 2H), 6.83 (d,  $J = 8.8$  Hz, 2H), 5.24 (q,  $J = 7.0$  Hz, 1H), 3.78 (s,

3H), 2.55 (s, 3H), 2.43 (s, 3H), 1.25 (d,  $J = 7.1$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.8, 143.0, 137.2, 131.8, 129.6, 128.4, 127.0, 113.6, 55.2, 54.2, 28.1, 21.4, 15.2. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{17}\text{H}_{20}\text{NO}_3\text{S}$  318.1169; Found 318.1173.

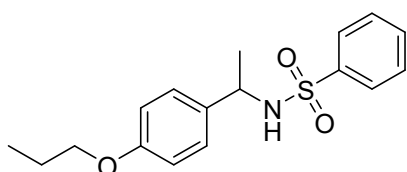


**(1-(4-methoxyphenyl)ethyl)-2H-naphtho[1,8-cd]isothiazole 1,1-dioxide (3av):**

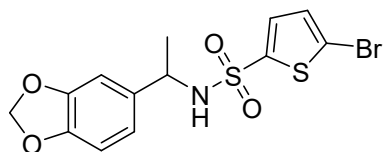
Prepared in 31% yield (52.8 mg) as a yellow solid; m.p. 105-107°C.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.01 (dd,  $J = 16.9, 7.7$  Hz, 2H), 7.78-7.70 (m, 1H), 7.53-7.46 (m, 2H), 7.36 (d,  $J = 8.5$  Hz, 1H), 7.32-7.26 (m, 1H), 6.90 (d,  $J = 8.8$  Hz, 2H), 6.30 (dd,  $J = 7.3, 0.8$  Hz, 1H), 5.52 (q,  $J = 7.0$  Hz, 1H), 3.80 (s, 3H), 1.98 (d,  $J = 7.0$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.0, 134.0, 131.2, 131.1, 130.7, 130.1, 129.1, 128.2, 127.8, 119.6, 119.2, 117.8, 114.0, 105.2, 55.2, 51.3, 16.9. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{19}\text{H}_{16}\text{NO}_3\text{S}$  338.0856; Found 338.0862.



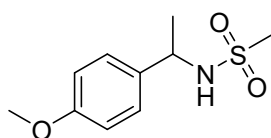
**N-(1-(4-ethoxyphenyl)ethyl)benzenesulfonamide (3ba):** Prepared in 61% yield (92.7 mg) as a yellow oil.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.78-7.68 (m, 2H), 7.49-7.44 (m, 1H), 7.37 (t,  $J = 7.7$  Hz, 2H), 6.98 (d,  $J = 8.5$  Hz, 2H), 6.67 (d,  $J = 8.5$  Hz, 2H), 5.39 (d,  $J = 7.1$  Hz, 1H), 4.46-4.39 (m, 1H), 3.94 (q,  $J = 6.9$  Hz, 2H), 1.41-1.34 (m, 6H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.1, 140.6, 133.8, 132.2, 128.7, 127.2, 126.9, 114.3, 63.3, 53.1, 23.4, 14.7. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{16}\text{H}_{18}\text{NO}_3\text{S}$  304.1013; Found 304.1017.



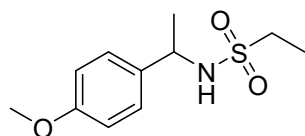
***N*-(1-(4-propoxyphenyl)ethyl)benzenesulfonamide (3ca)**: Prepared in 54% yield (86.6 mg) as a yellow oil.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.73 (dt,  $J = 7.2, 1.3$  Hz, 2H), 7.49-7.43 (m, 1H), 7.36 (t,  $J = 7.9$  Hz, 2H), 7.02-6.94 (m, 2H), 6.71-6.63 (m, 2H), 5.50 (d,  $J = 7.1$  Hz, 1H), 4.46-4.39 (m, 1H), 3.83 (t,  $J = 6.6$  Hz, 2H), 1.76 (q,  $J = 7.1$  Hz, 2H), 1.38 (d,  $J = 6.9$  Hz, 3H), 1.00 (t,  $J = 7.4$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  158.2, 140.6, 133.8, 132.1, 128.7, 127.2, 126.9, 114.3, 69.3, 53.1, 23.4, 22.4, 10.4. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{17}\text{H}_{20}\text{NO}_3\text{S}$  318.1169; Found 318.1173.



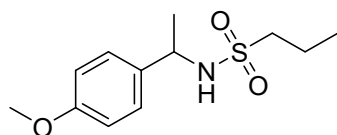
***N*-(1-(benzo[*d*][1,3]dioxol-5-yl)ethyl)-5-bromothiophene-2-sulfonamide (3dr)**: Prepared in 35% yield (67.5 mg) as a yellow oil.  $R_f = 0.24$  (petroleum ether/ethyl acetate = 5/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.14 (d,  $J = 3.9$  Hz, 1H), 6.91 (d,  $J = 4.0$  Hz, 1H), 6.67 (d,  $J = 7.9$  Hz, 1H), 6.64-6.59 (m, 2H), 5.94-5.91 (m, 2H), 5.13 (d,  $J = 6.9$  Hz, 1H), 4.51-4.44 (m, 1H), 1.45 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  147.8, 147.0, 142.6, 135.4, 132.4, 129.9, 119.7, 119.7, 108.1, 106.4, 101.1, 54.0, 23.5. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{13}\text{H}_{11}\text{BrNO}_4\text{S}_2$  387.9318; Found 387.9319.



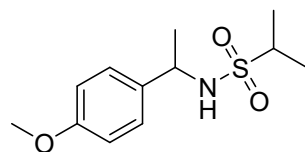
***N*-(1-(4-methoxyphenyl)ethyl)methanesulfonamide (5aa)**: Prepared in 35% yield (40.5 mg) as a yellow oil.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.27 (d,  $J = 8.6$  Hz, 2H), 6.90 (d,  $J = 8.6$  Hz, 2H), 4.91 (d,  $J = 6.8$  Hz, 1H), 4.63-4.57 (m, 1H), 3.81 (s, 3H), 2.60 (s, 3H), 1.52 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.2, 134.4, 127.4, 114.2, 55.3, 53.2, 41.8, 23.9. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{10}\text{H}_{14}\text{NO}_3\text{S}$  228.0700; Found 228.0699.



***N*-(1-(4-methoxyphenyl)ethyl)ethanesulfonamide (5ab)**: Prepared in 24% yield (28.9 mg) as a yellow oil.  $R_f = 0.42$  (petroleum ether/ethyl acetate = 5/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.26 (d,  $J = 8.6$  Hz, 2H), 6.89 (d,  $J = 8.6$  Hz, 2H), 4.69 (d,  $J = 6.8$  Hz, 1H), 4.62-4.56 (m, 1H), 3.81 (s, 3H), 2.76 (dd,  $J = 14.3, 7.3$  Hz, 1H), 2.61 (dd,  $J = 14.3, 7.2$  Hz, 1H), 1.52 (d,  $J = 6.8$  Hz, 3H), 1.18 (t,  $J = 7.3$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.1, 134.6, 127.4, 114.1, 55.3, 53.1, 47.9, 24.1, 8.1. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{11}\text{H}_{16}\text{NO}_3\text{S}$  242.0856; Found 242.0858.

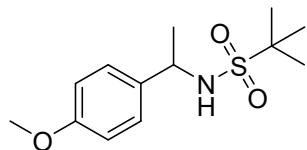


***N*-(1-(4-methoxyphenyl)ethyl)propane-1-sulfonamide (5ac)**: Prepared in 59% yield (75.5 mg) as a yellow oil.  $R_f = 0.42$  (petroleum ether/ethyl acetate = 5/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.26 (d,  $J = 8.7$  Hz, 2H), 6.89 (d,  $J = 8.7$  Hz, 2H), 4.97 (d,  $J = 7.0$  Hz, 1H), 4.60-4.54 (m, 1H), 3.80 (s, 3H), 2.70 (ddd,  $J = 14.0, 10.3, 5.7$  Hz, 1H), 2.53 (ddd,  $J = 14.0, 10.2, 5.3$  Hz, 1H), 1.71-1.60 (m, 2H), 1.51 (d,  $J = 6.9$  Hz, 3H), 0.85 (t,  $J = 7.5$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.1, 134.7, 127.4, 114.1, 55.3, 55.2, 53.0, 24.0, 17.1, 12.7. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{12}\text{H}_{18}\text{NO}_3\text{S}$  256.1013; Found 256.1016.

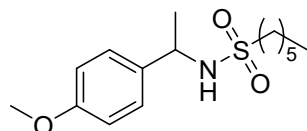


***N*-(1-(4-methoxyphenyl)ethyl)propane-2-sulfonamide (5ad)**: Prepared in 39% yield (50.6 mg) as a yellow oil.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 5/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.29-7.23 (m, 2H), 6.90-6.86 (m, 2H), 4.88 (d,  $J = 7.4$  Hz, 1H), 4.62-4.55 (m, 1H), 3.80 (s, 3H), 2.81-2.71 (m, 1H), 1.52 (d,  $J = 6.9$  Hz, 3H), 1.28 (d,  $J = 6.9$  Hz, 3H), 1.17 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$

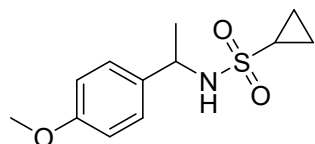
158.9, 135.1, 127.3, 114.0, 55.2, 53.6, 53.2, 24.4, 16.3 (d,  $J = 41.4$  Hz). HRMS-ESI (m/z):  $[M-H]^+$  Calcd for  $C_{12}H_{18}NO_3S$  256.1013; Found 256.1015.



***N*-(1-(4-methoxyphenyl)ethyl)-2-methylpropane-2-sulfonamide (5ae)**<sup>4</sup>: Prepared in 79% yield (114.7 mg) as a yellow oil.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 5/1, v/v).  $^1H$  NMR (400 MHz,  $CDCl_3$ )  $\delta$  7.24 (d,  $J = 8.8$  Hz, 2H), 6.90-6.86 (m, 2H), 4.63 (dq,  $J = 8.8, 6.9$  Hz, 1H), 4.35 (d,  $J = 10.0$  Hz, 1H), 3.80 (s, 3H), 1.55 (d,  $J = 6.9$  Hz, 3H), 1.32 (s, 9H).  $^{13}C$  NMR (100 MHz,  $CDCl_3$ )  $\delta$  158.8, 135.7, 127.1, 114.0, 59.7, 55.2, 53.9, 25.4, 24.2.

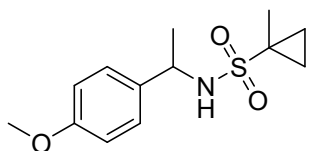


***N*-(1-(4-methoxyphenyl)ethyl)methanesulfonamide-pentane (5af)**: Prepared in 77% yield (115.2 mg) as a yellow oil.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1H$  NMR (400 MHz,  $CDCl_3$ )  $\delta$  7.27 (d,  $J = 8.6$  Hz, 2H), 6.88 (d,  $J = 8.7$  Hz, 2H), 5.09 (d,  $J = 7.1$  Hz, 1H), 4.60-4.53 (m, 1H), 3.80 (s, 3H), 2.70 (ddd,  $J = 14.0, 10.9, 5.3$  Hz, 1H), 2.58-2.51 (m, 1H), 1.67-1.59 (m, 1H), 1.51 (d,  $J = 6.9$  Hz, 3H), 1.25-1.09 (m, 7H), 0.85 (t,  $J = 7.2$  Hz, 3H).  $^{13}C$  NMR (100 MHz,  $CDCl_3$ )  $\delta$  159.1, 134.8, 127.4, 114.0, 55.2, 53.5, 53.0, 31.1, 27.7, 24.0, 23.3, 22.2, 13.8. HRMS-ESI (m/z):  $[M-H]^+$  Calcd for  $C_{15}H_{24}NO_3S$  298.1482; Found 298.1486.



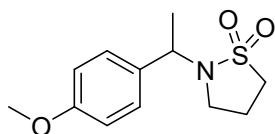
***N*-(1-(4-methoxyphenyl)ethyl)cyclopropanesulfonamide (5ag)**: Prepared in 79% yield (114.7 mg) as a yellow solid; m.p. 105-107°C.  $R_f = 0.40$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1H$  NMR (400 MHz,  $CDCl_3$ )  $\delta$  7.28 (d,  $J = 8.6$  Hz, 2H), 6.88 (d,  $J = 8.7$  Hz, 2H), 5.01 (d,  $J = 7.4$  Hz, 1H), 4.63-4.56 (m, 1H), 3.80 (s, 3H), 2.03 (tt,  $J = 8.1, 4.9$  Hz, 1H), 1.52 (d,  $J = 6.9$  Hz, 3H), 1.08-0.97 (m, 2H), 0.80-0.65 (m, 2H).  $^{13}C$

NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  158.9, 135.3, 127.3, 114.0, 55.2, 53.1, 31.2, 24.3, 5.7 (d,  $J$  = 65.1 Hz). HRMS-ESI (m/z): [M-H]<sup>+</sup> Calcd for C<sub>12</sub>H<sub>16</sub>NO<sub>3</sub>S 254.0856; Found 254.0859.

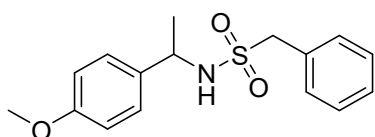


**N-(1-(4-methoxyphenyl)ethyl)-1-methylcyclopropane-1-sulfonamide (5ah):**

Prepared in 40% yield (50.9 mg) as a yellow oil.  $R_f$  = 0.41 (petroleum ether/ethyl acetate = 3/1, v/v). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.27 (d,  $J$  = 8.7 Hz, 2H), 6.88 (d,  $J$  = 8.7 Hz, 2H), 4.98 (d,  $J$  = 7.4 Hz, 1H), 4.58-4.51 (m, 1H), 3.80 (s, 3H), 1.52 (d,  $J$  = 6.9 Hz, 3H), 1.35 (s, 3H), 1.30 (dd,  $J$  = 9.9, 4.9 Hz, 1H), 1.15 (dt,  $J$  = 11.0, 5.9 Hz, 1H), 0.71-0.64 (m, 1H), 0.51-0.42 (m, 1H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  158.9, 135.6, 127.3, 113.9, 55.2, 53.2, 35.6, 24.6, 18.1, 12.6 (d,  $J$  = 71.6 Hz). HRMS-ESI (m/z): [M-H]<sup>+</sup> Calcd for C<sub>13</sub>H<sub>18</sub>NO<sub>3</sub>S 268.1013; Found 268.1016.



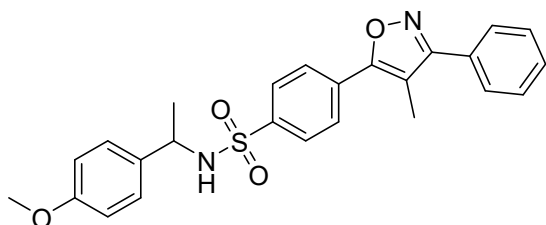
**2-(1-(4-methoxyphenyl)ethyl)isothiazolidine 1,1-dioxide (5ai):** Prepared in 66% yield (83.6 mg) as a yellow oil.  $R_f$  = 0.39 (petroleum ether/ethyl acetate = 3/1, v/v). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.31 (d,  $J$  = 8.6 Hz, 2H), 6.88 (d,  $J$  = 8.8 Hz, 2H), 4.73 (q,  $J$  = 6.9 Hz, 1H), 3.80 (s, 3H), 3.21-3.11 (m, 3H), 2.89 (ddd,  $J$  = 9.2, 7.5, 6.1 Hz, 1H), 2.30-2.16 (m, 2H), 1.62 (d,  $J$  = 6.9 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)  $\delta$  158.9, 132.3, 128.4, 113.7, 55.2, 52.2, 47.5, 42.2, 18.5, 18.3. HRMS-ESI (m/z): [M-H]<sup>+</sup> Calcd for C<sub>12</sub>H<sub>16</sub>NO<sub>3</sub>S 254.0856; Found 254.0856.



**N-(1-(4-methoxyphenyl)ethyl)-1-phenylmethanesulfonamide (5aj):** Prepared in 51% yield (77.3 mg) as a white solid; m.p. 144-146°C.  $R_f$  = 0.37 (petroleum ether/ethyl acetate = 3/1, v/v). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)  $\delta$  7.33-7.26 (m, 3H), 7.24-7.20 (m,

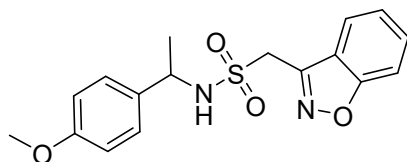


2H), 7.13 (dd,  $J = 7.7, 1.8$  Hz, 2H), 6.92-6.87 (m, 2H), 4.81 (d,  $J = 7.2$  Hz, 1H), 4.56-4.49 (m, 1H), 3.96-3.86 (m, 2H), 3.80 (s, 3H), 1.46 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  159.1, 134.7, 130.7, 129.1, 128.5, 128.4, 127.6, 114.1, 59.7, 55.3, 53.4, 23.9. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{16}\text{H}_{18}\text{NO}_3\text{S}$  304.1013; Found 304.1016.



***N*-(1-(4-methoxyphenyl)ethyl)-4-(4-methyl-3-phenylisoxazol-5-**

**yl)benzenesulfonamide (T1):** Prepared in 21% yield (48.1 mg) as a yellow oil.  $R_f = 0.32$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.69 (d,  $J = 8.4$  Hz, 2H), 7.40-7.31 (m, 5H), 7.16 (d,  $J = 8.3$  Hz, 2H), 7.02 (d,  $J = 8.6$  Hz, 2H), 6.70 (d,  $J = 8.7$  Hz, 2H), 5.12 (d,  $J = 7.1$  Hz, 1H), 4.54-4.47 (m, 1H), 3.71 (s, 3H), 2.46 (s, 3H), 1.44 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  167.2, 161.0, 158.9, 139.9, 134.7, 133.8, 129.9, 129.7, 128.6, 128.4 (2C) 127.4, 127.3, 114.4, 113.8, 55.2, 53.2, 23.6, 11.6. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{25}\text{H}_{23}\text{N}_2\text{O}_4\text{S}$  447.1384; Found 447.1391.



**1-(benzo[*d*]isoxazol-3-yl)-*N*-(1-(4-methoxyphenyl)ethyl)methanesulfonamide (T2):**

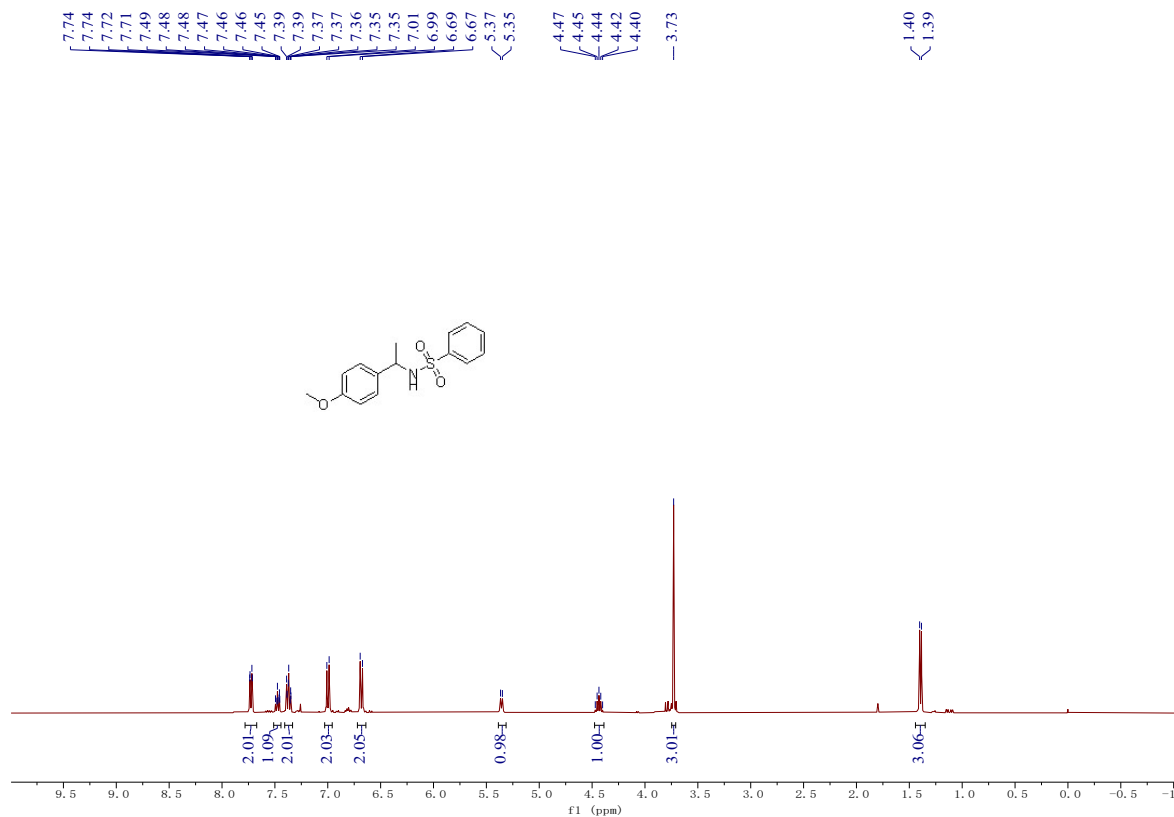
Prepared in 23% yield (39.3 mg) as a yellow oil.  $R_f = 0.36$  (petroleum ether/ethyl acetate = 3/1, v/v).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.80 (d,  $J = 8.1$  Hz, 1H), 7.66-7.47 (m, 2H), 7.35 (ddd,  $J = 8.0, 4.5, 3.4$  Hz, 1H), 7.30-7.25 (m, 2H), 6.87 (d,  $J = 8.7$  Hz, 2H), 4.96 (d,  $J = 6.8$  Hz, 1H), 4.67-4.60 (m, 1H), 4.46-4.33 (m, 2H), 3.78 (s, 3H), 1.55 (d,  $J = 6.9$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  163.5, 159.2, 149.7, 133.8, 130.4, 127.7, 124.1, 122.4, 120.9, 114.1, 109.9, 55.2, 53.9, 50.0, 23.5. HRMS-ESI ( $m/z$ ):  $[\text{M}-\text{H}]^+$  Calcd for  $\text{C}_{17}\text{H}_{17}\text{N}_2\text{O}_4\text{S}$  345.0915; Found 345.0919.

## E. References

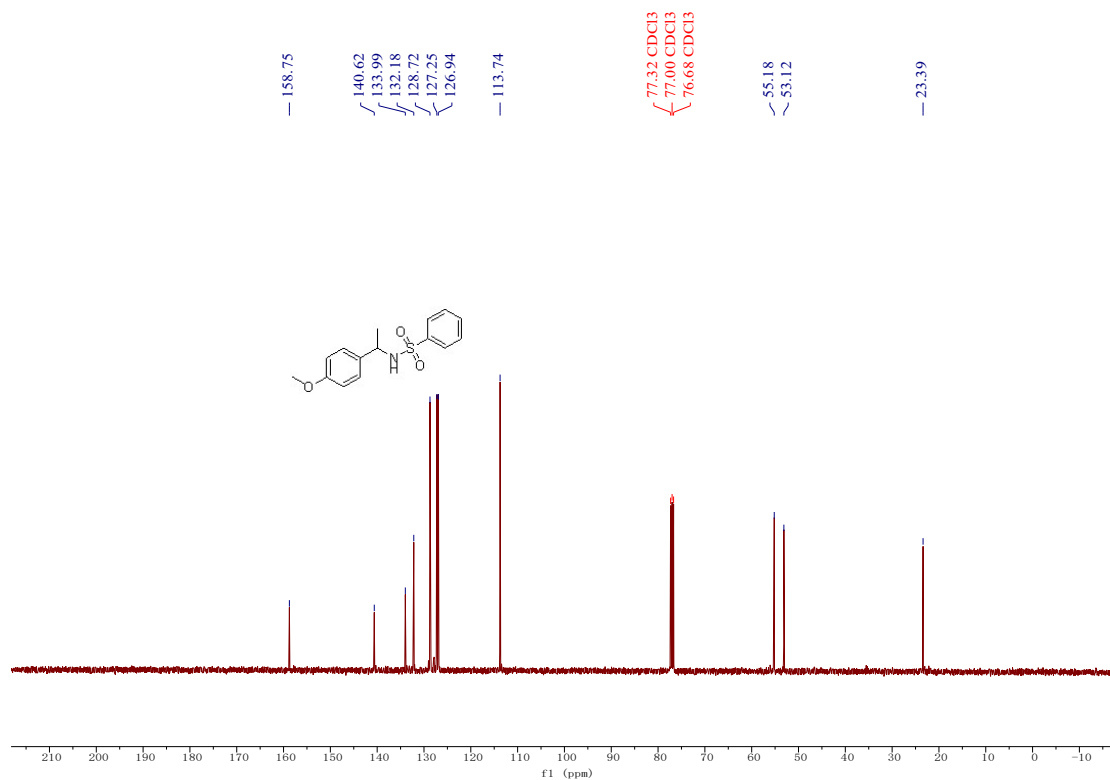
1. Yang, F.; Chen, J.; Shen, G.; Zhang, X.; Fan, B. Asymmetric transfer hydrogenation reactions of *N*-sulfonylimines by using alcohols as hydrogen sources. *Chem. Commun.* **2018**, *54*, 4963-4966.
2. Hayrapetyan, D.; Yussupova, L.; Kaipov, A.; Galyamova, A. Electrochemical synthesis of spirocyclic morpholines and tetrahydrofurans via an oxidative dearomatisation strategy. *Org. Biomol. Chem.* **20**, 7090-7094.
3. Mu, Q.-Q.; Nie, Y.-X.; Li, H.; Bai, X.-F.; Liu, X.-W.; Xu, Z.; Xu, L.-W. Catalytic asymmetric oxidative carbonylation-induced kinetic resolution of sterically hindered benzylamines to chiral isoindolinones. *Chem. Commun.* **2021**, *57*, 1778-1781.
4. Li, B.; Chen, J.; Zhang, Z.; Gridnev, I. D.; Zhang, W. Nickel-catalyzed asymmetric hydrogenation of *N*-sulfonyl imines. *Angew. Chem. Int. Ed.* **2019**, *58*, 7329-7334.

## F. NMR Spectra

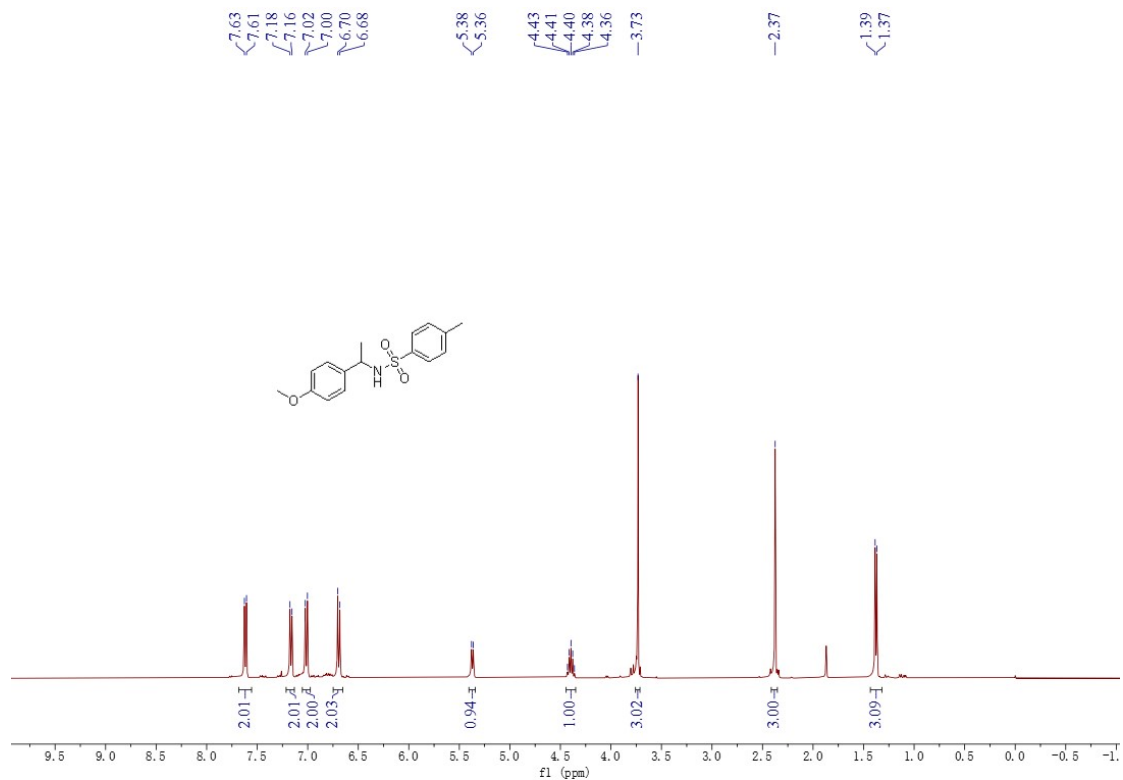
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3aa



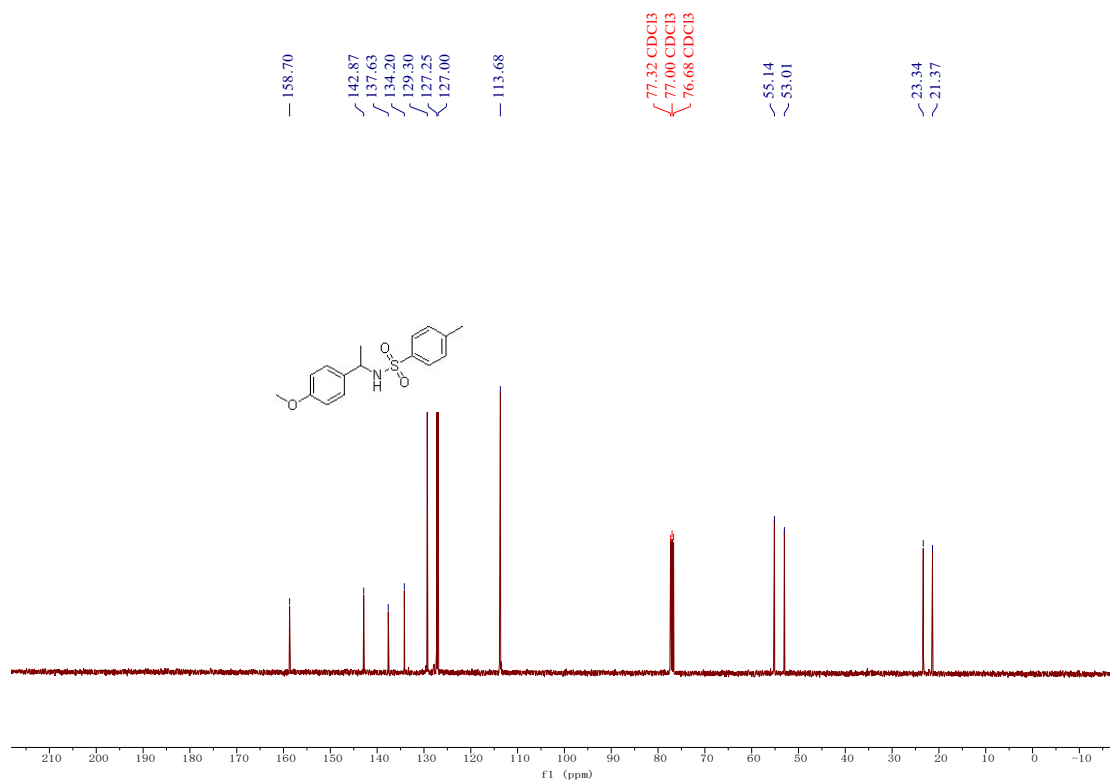
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3aa



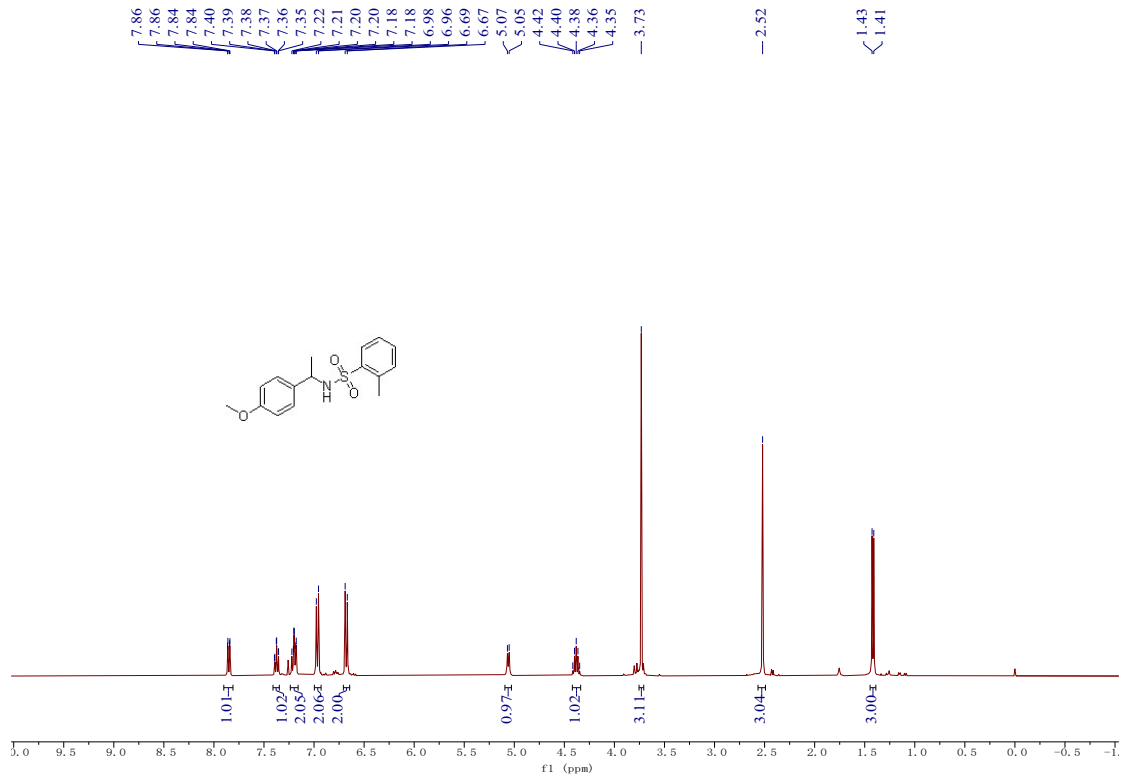
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ab



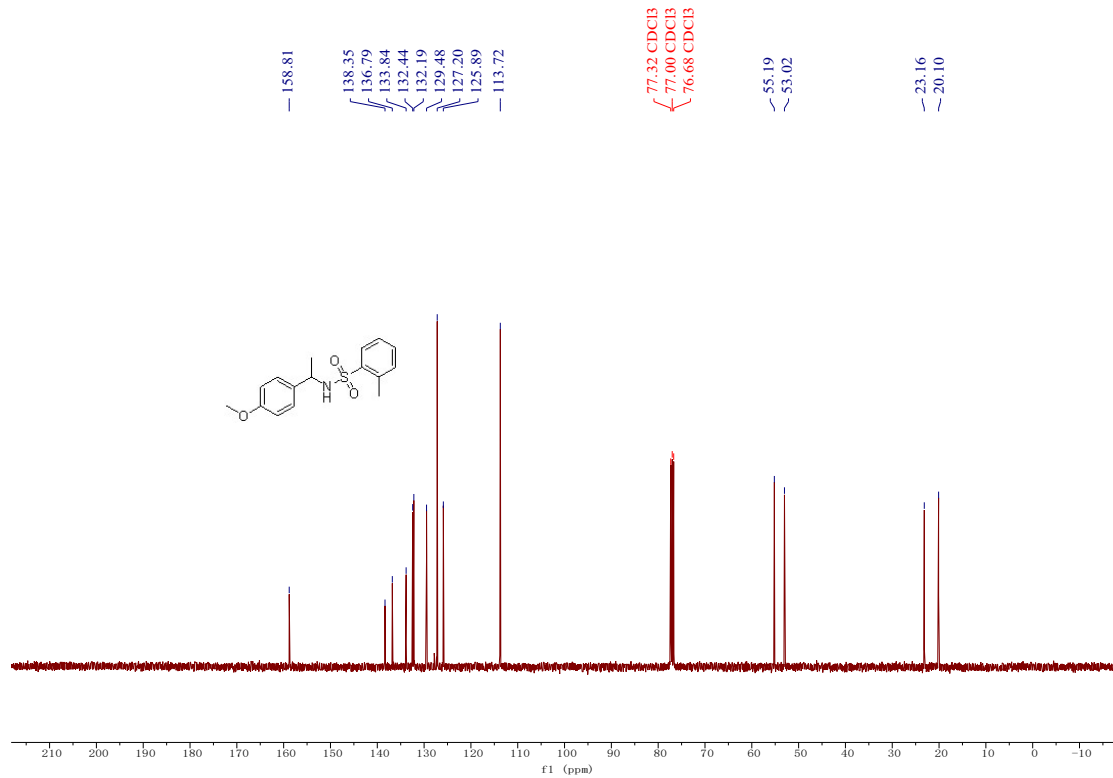
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ab



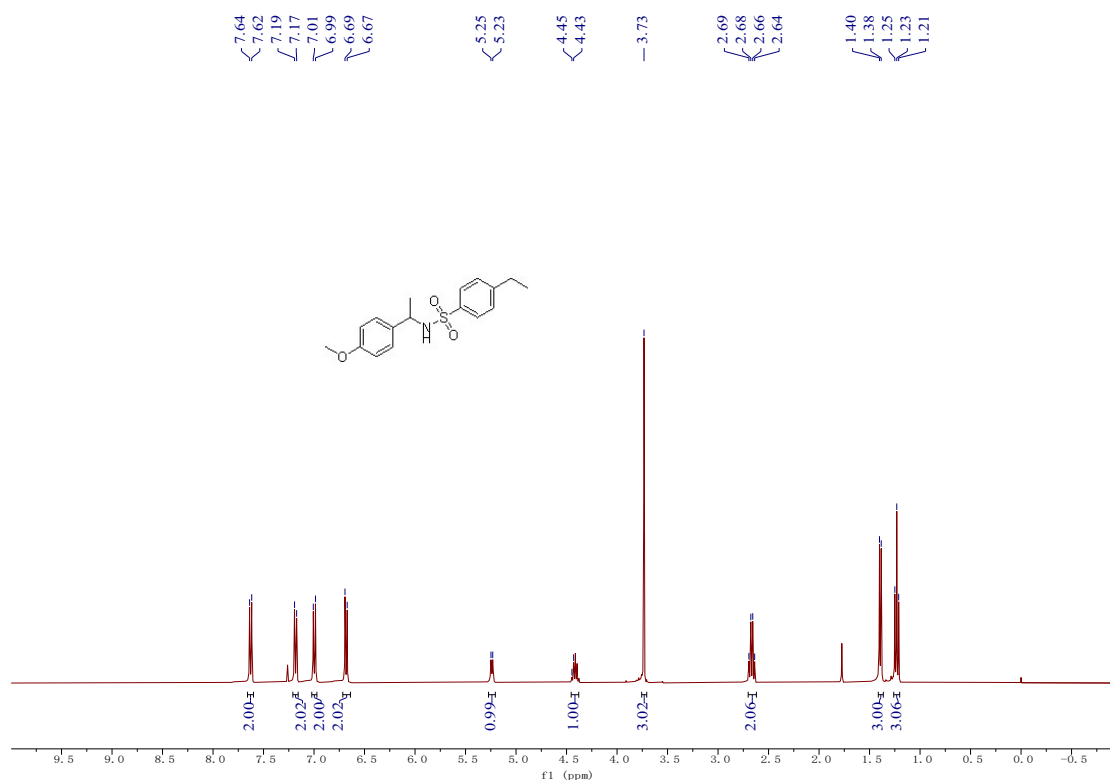
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ac



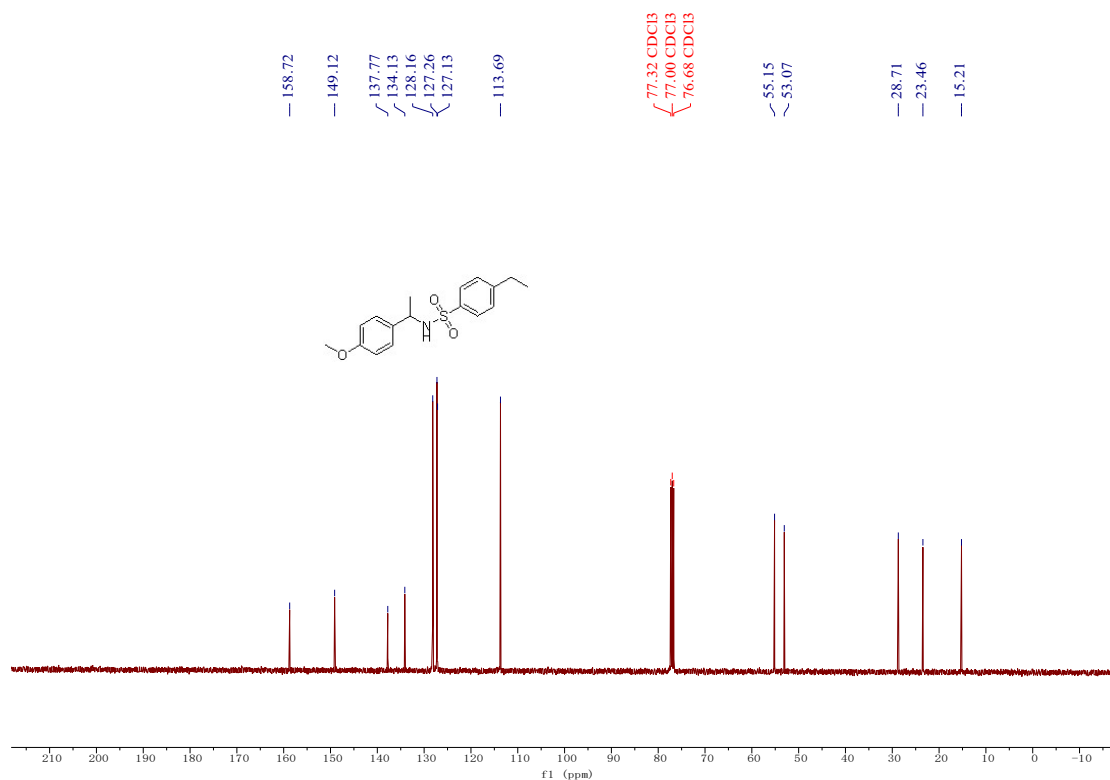
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ac



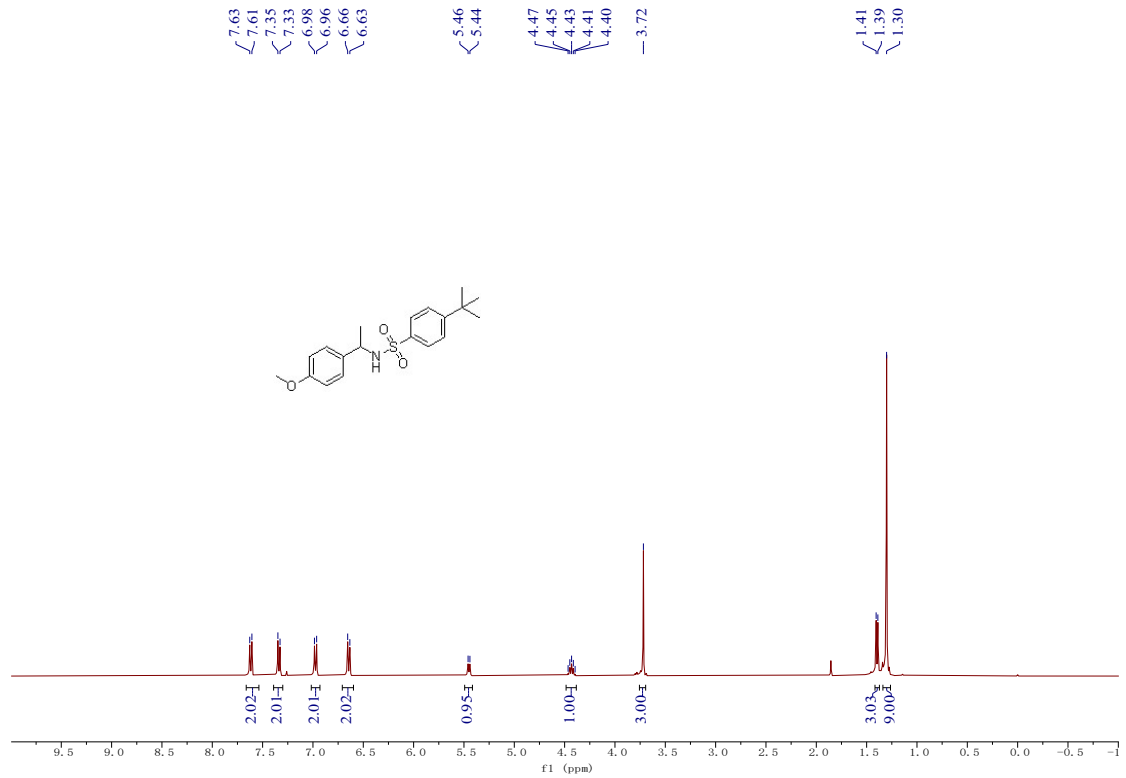
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ad



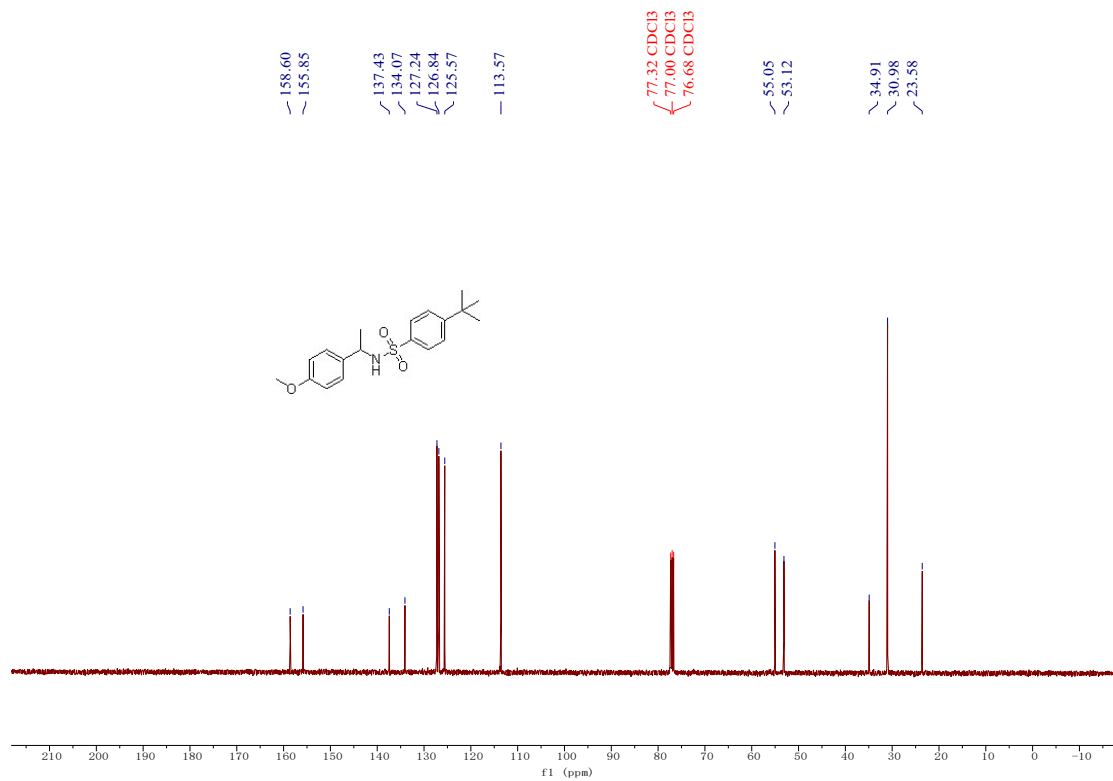
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ad



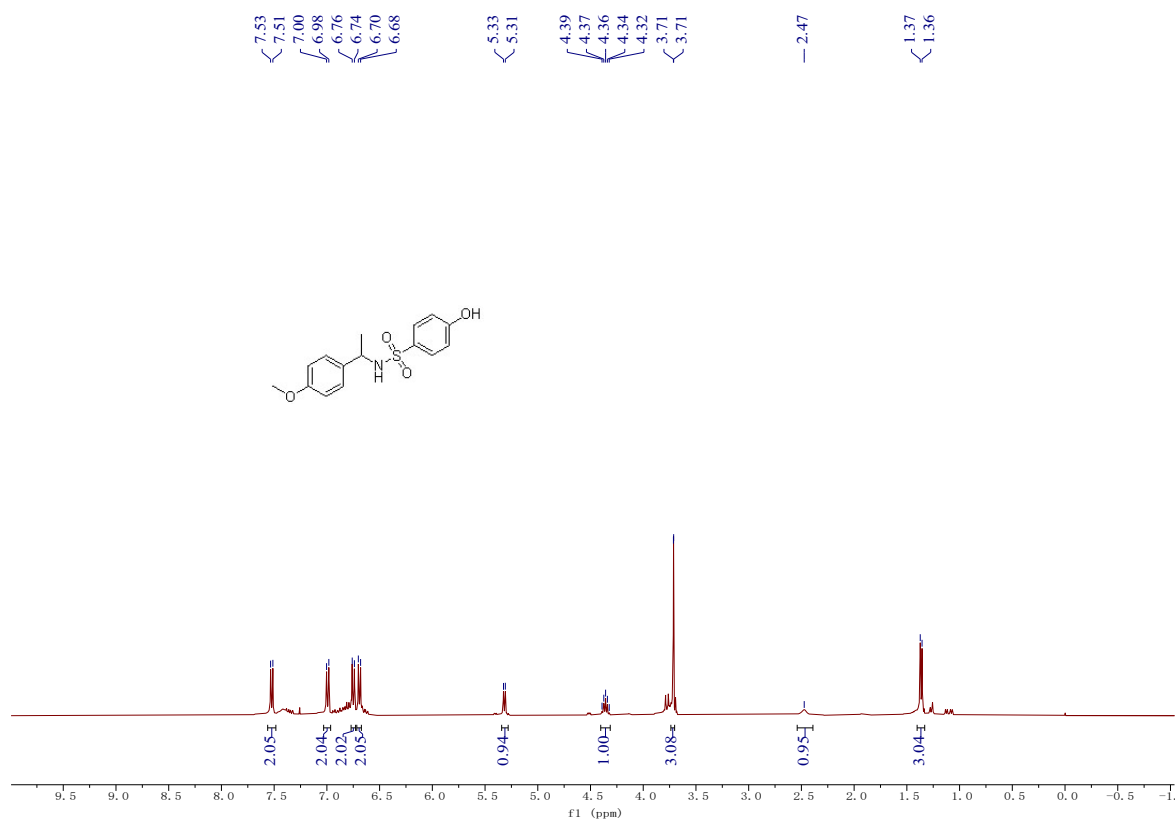
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ae



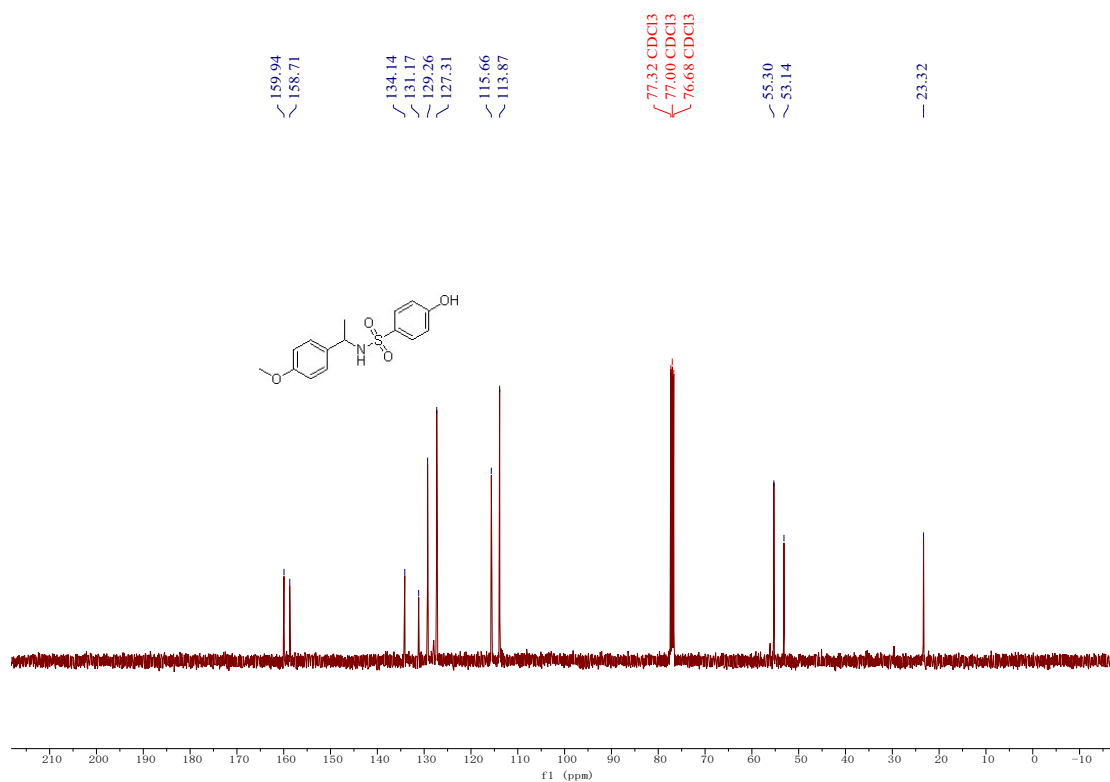
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ae



### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3af

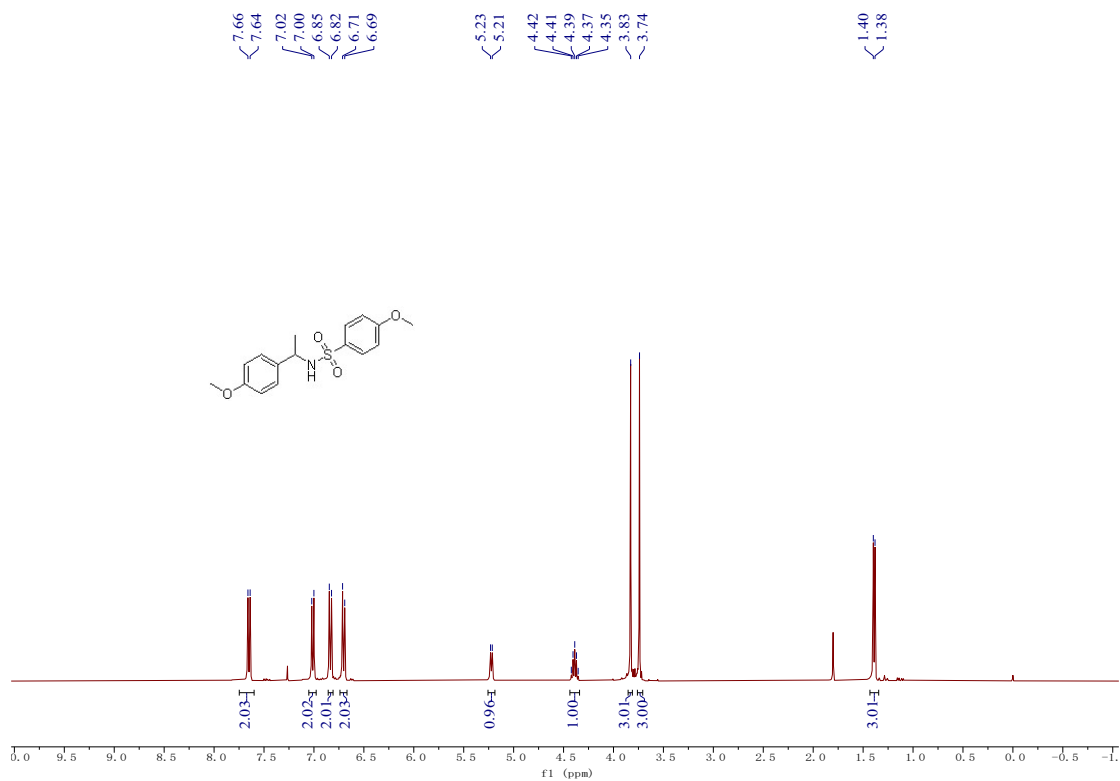


### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3af

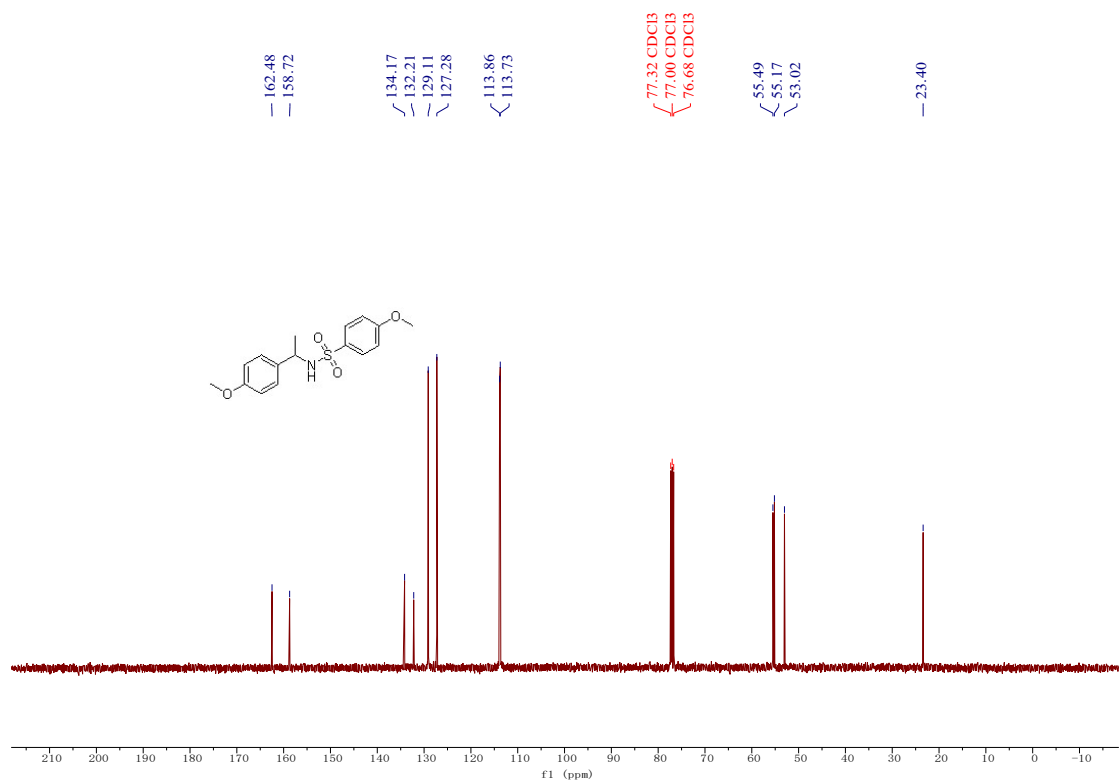




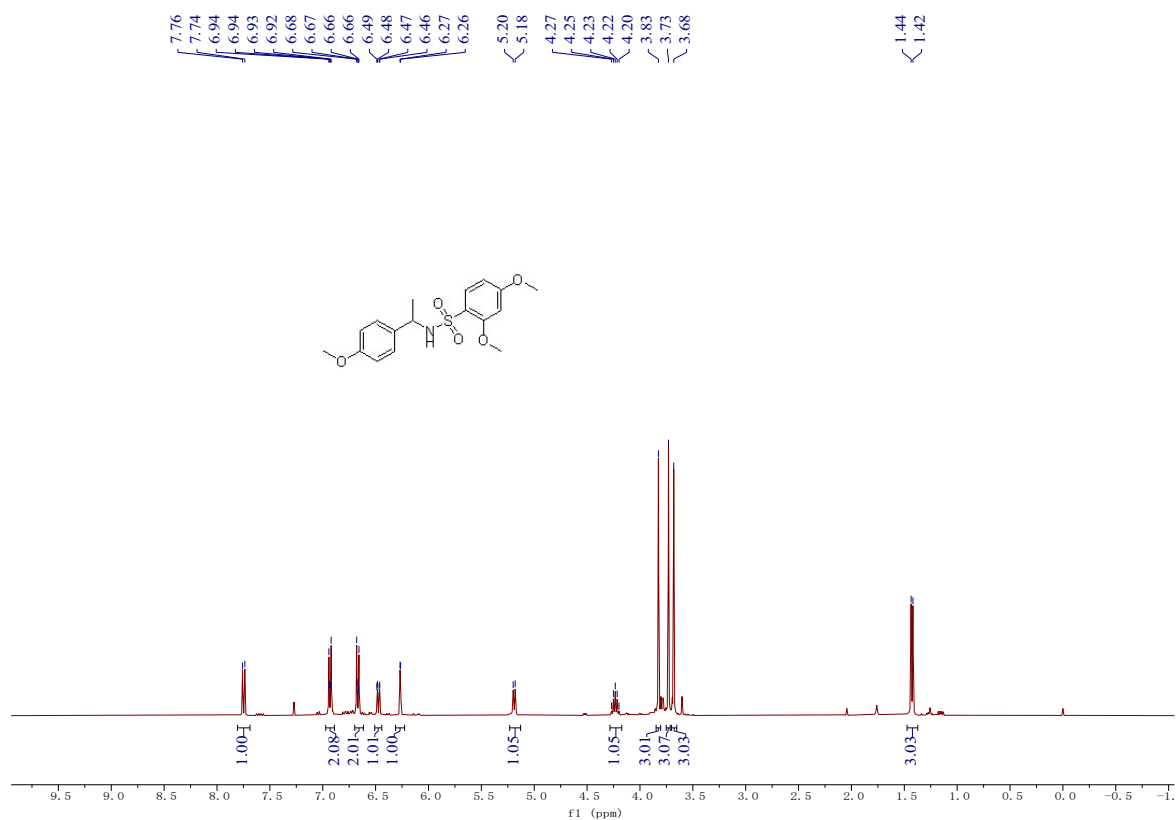
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ag



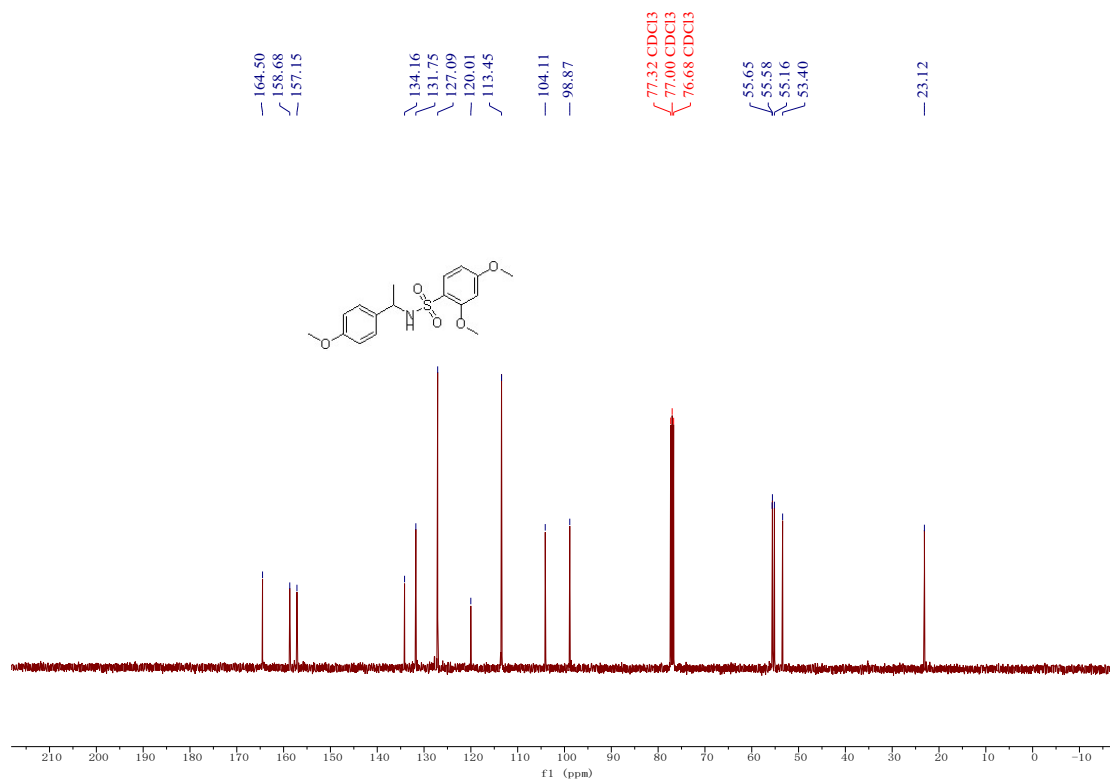
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ag



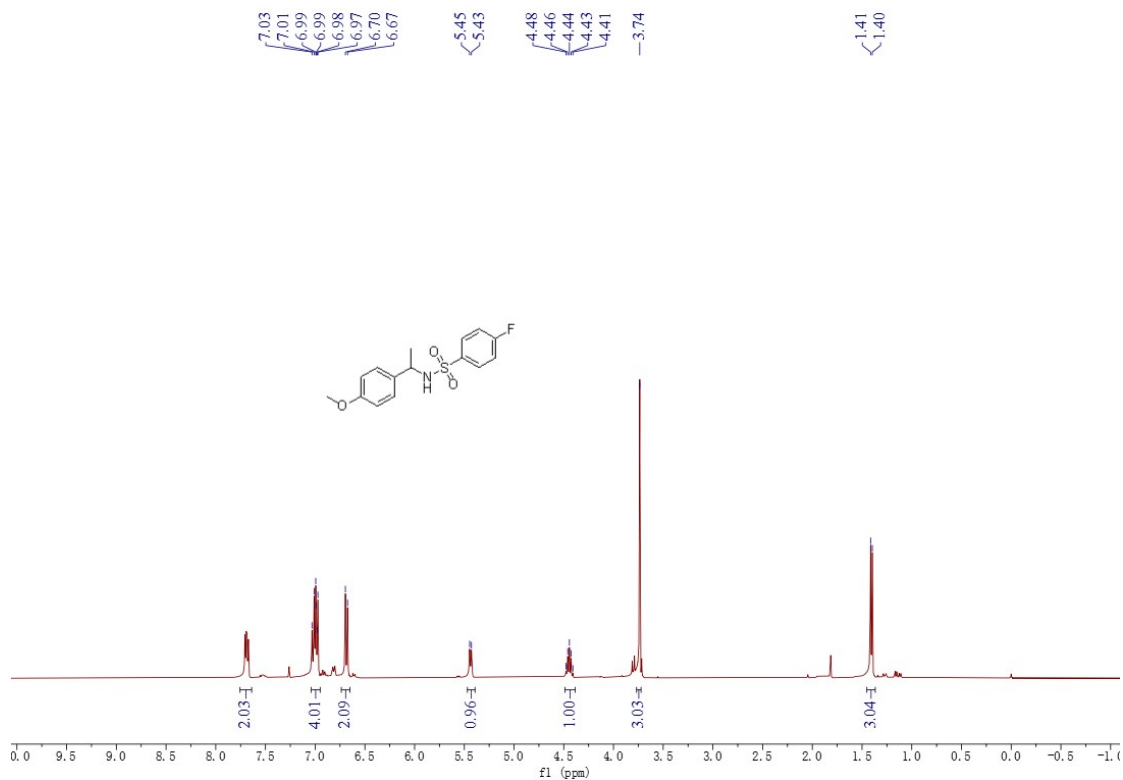
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ah



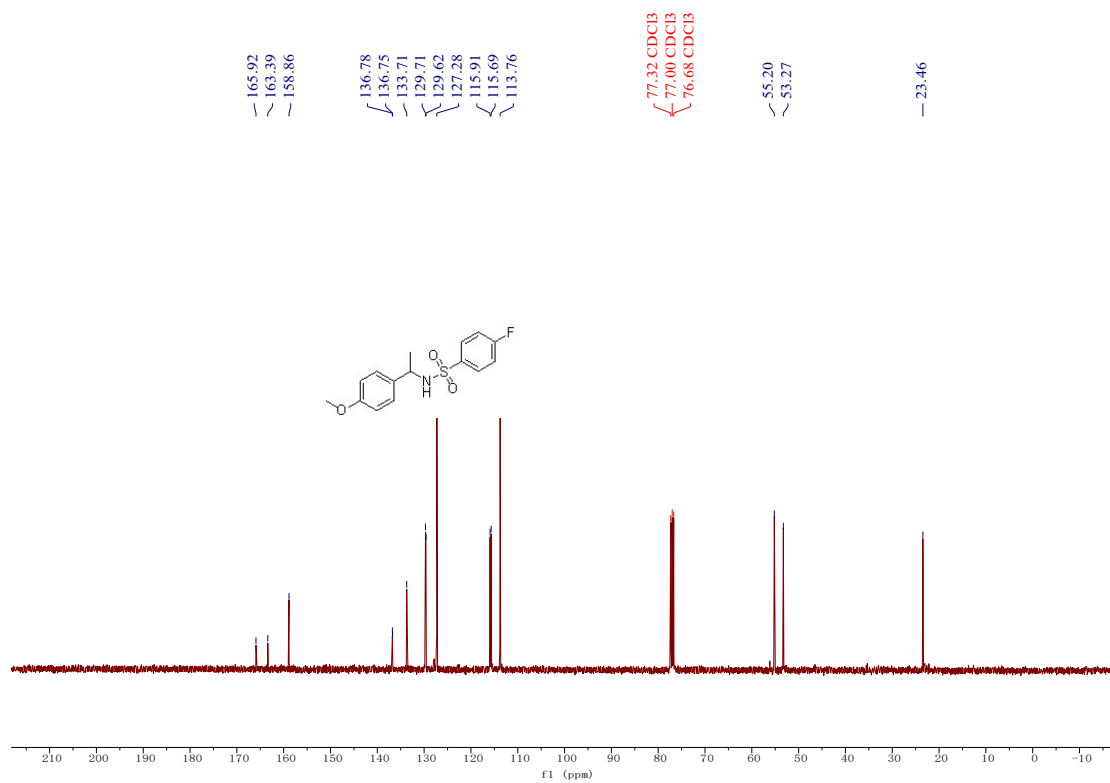
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ah



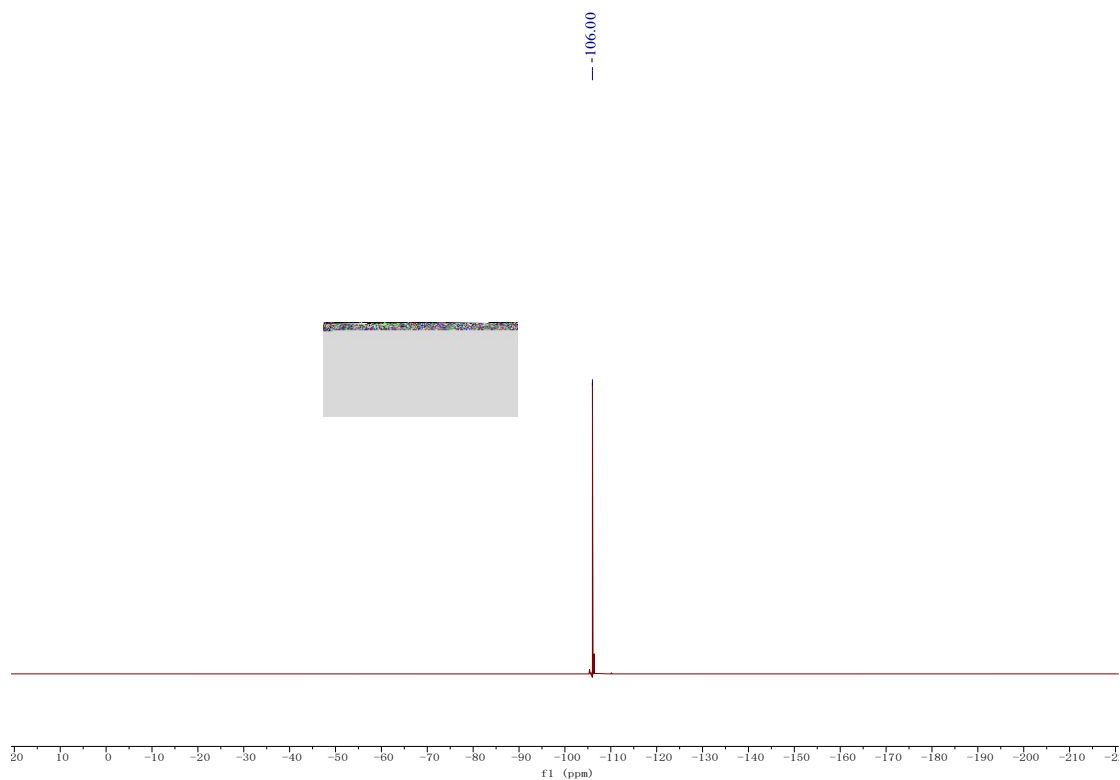
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ai



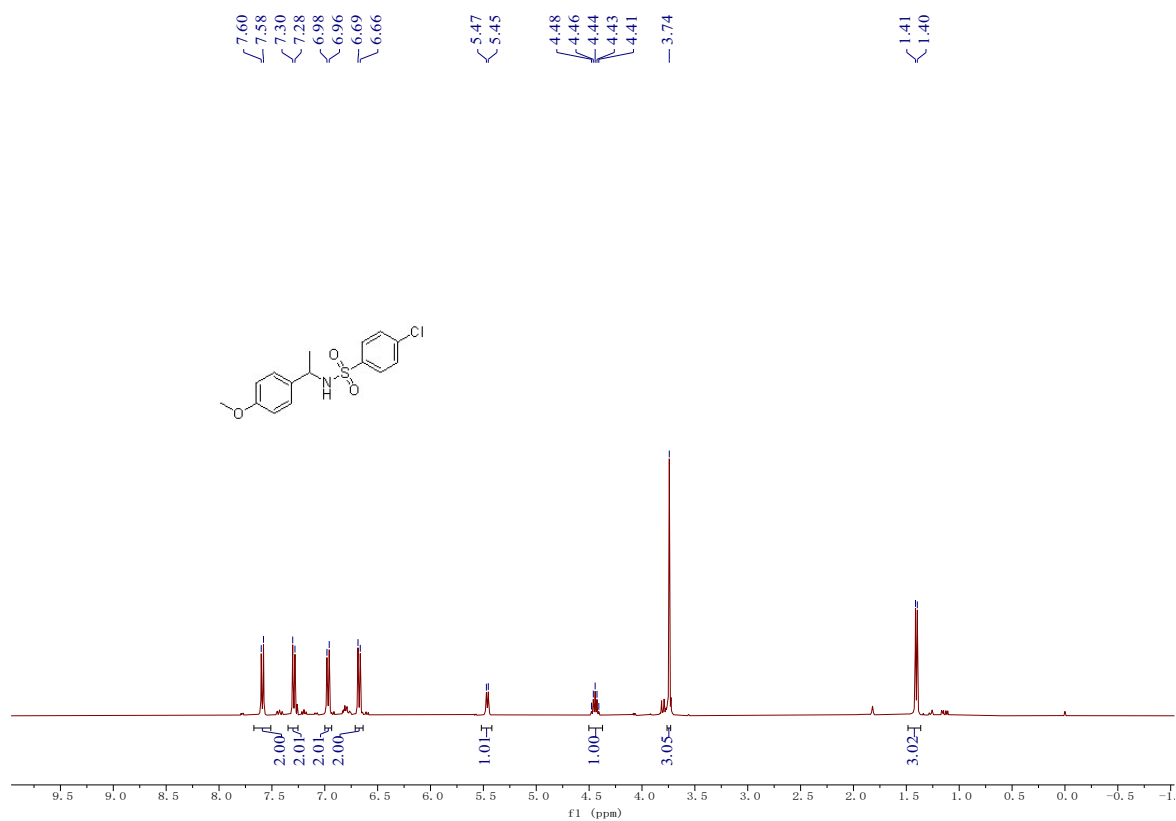
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ai



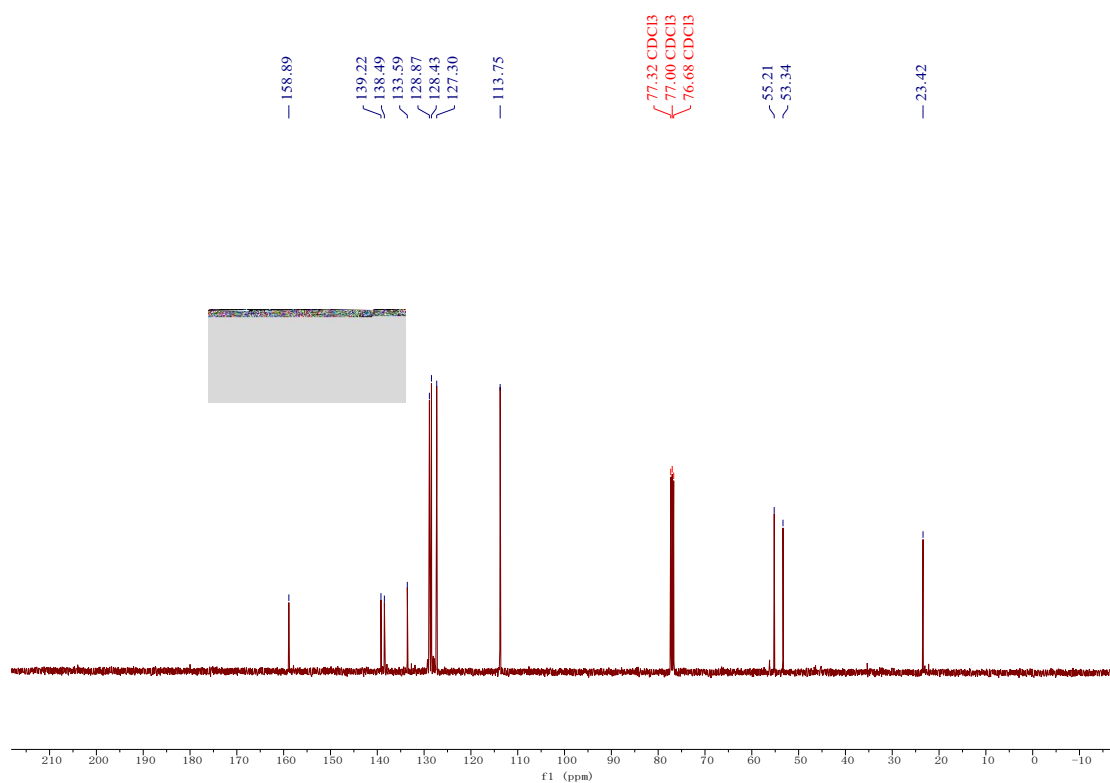
### <sup>19</sup>F NMR (377 MHz, CDCl<sub>3</sub>) spectrum of 3ai



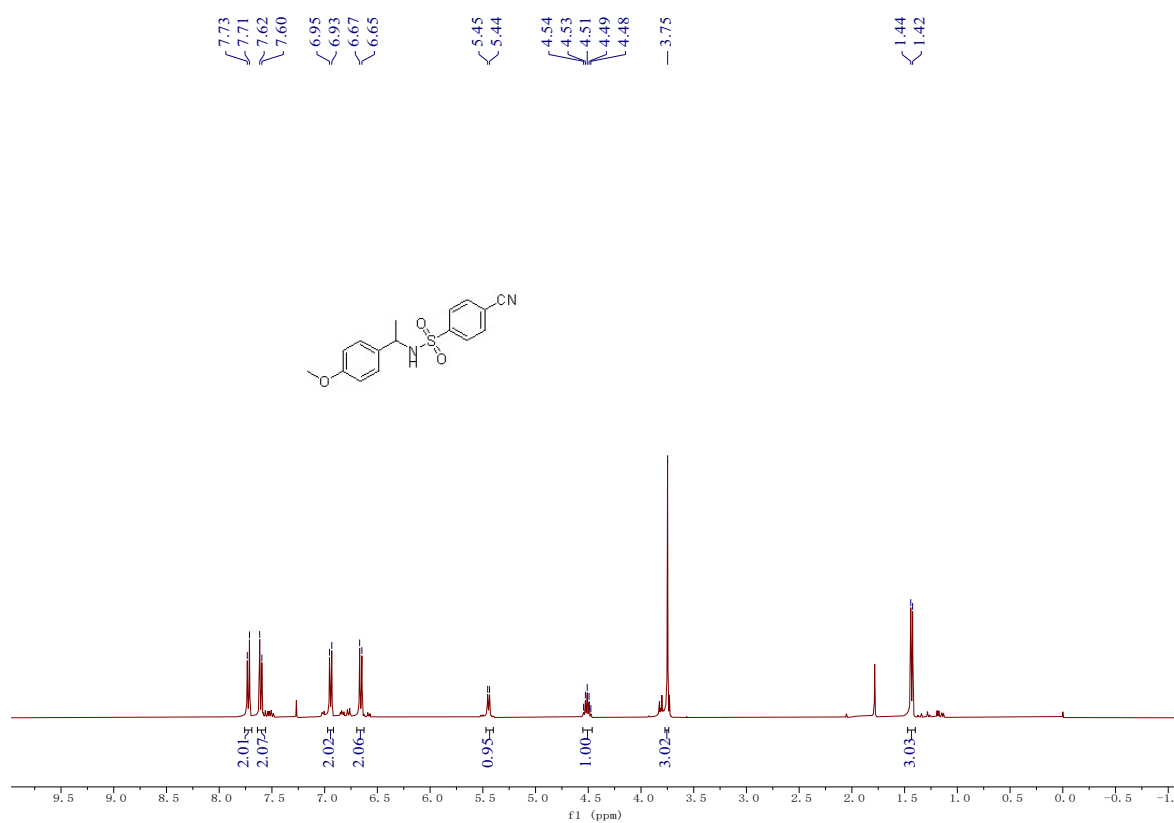
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3aj



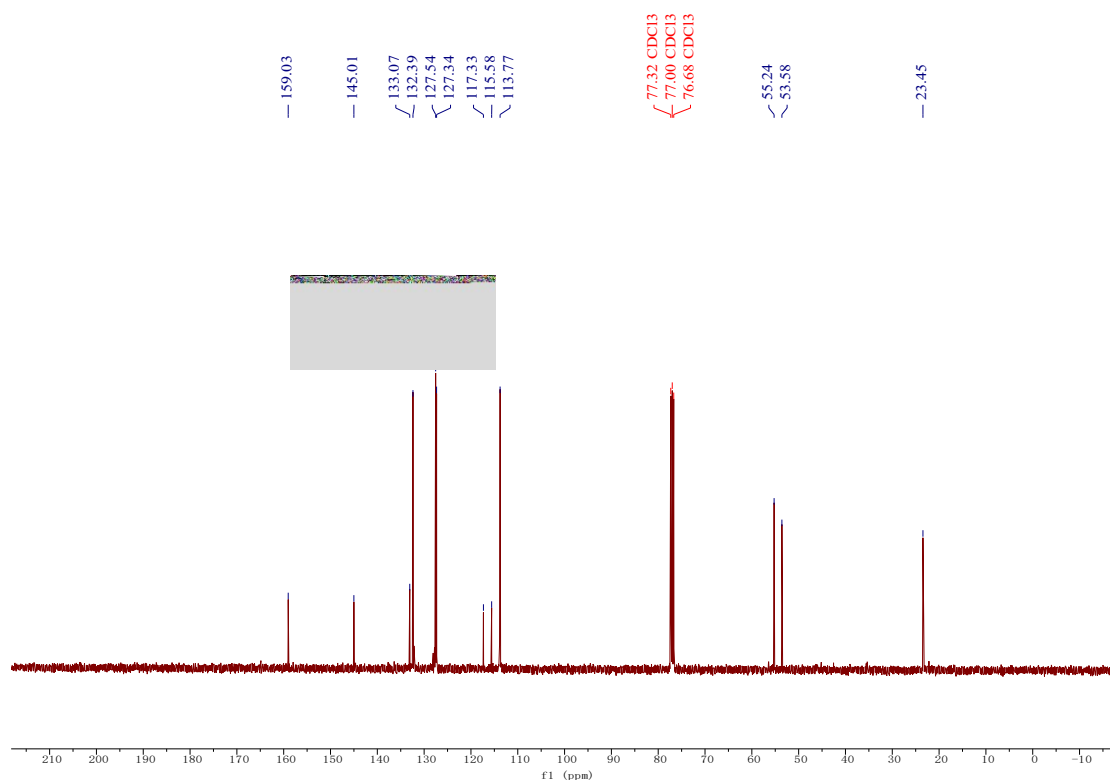
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3aj



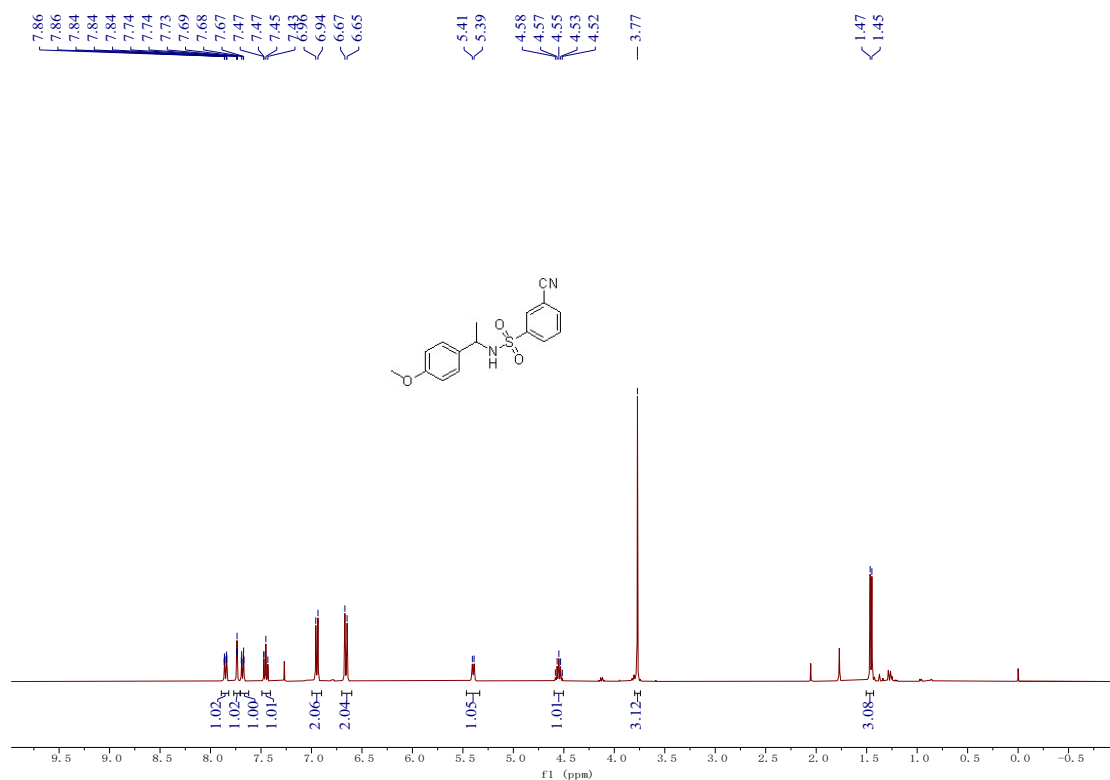
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ak



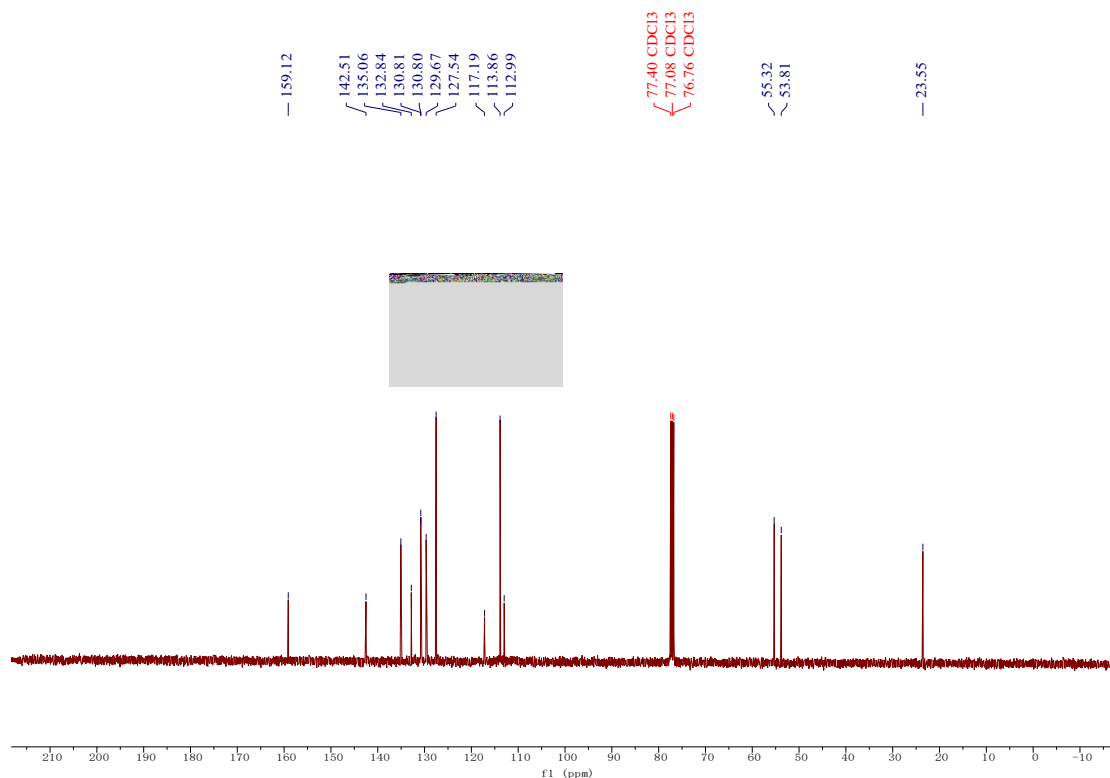
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ak



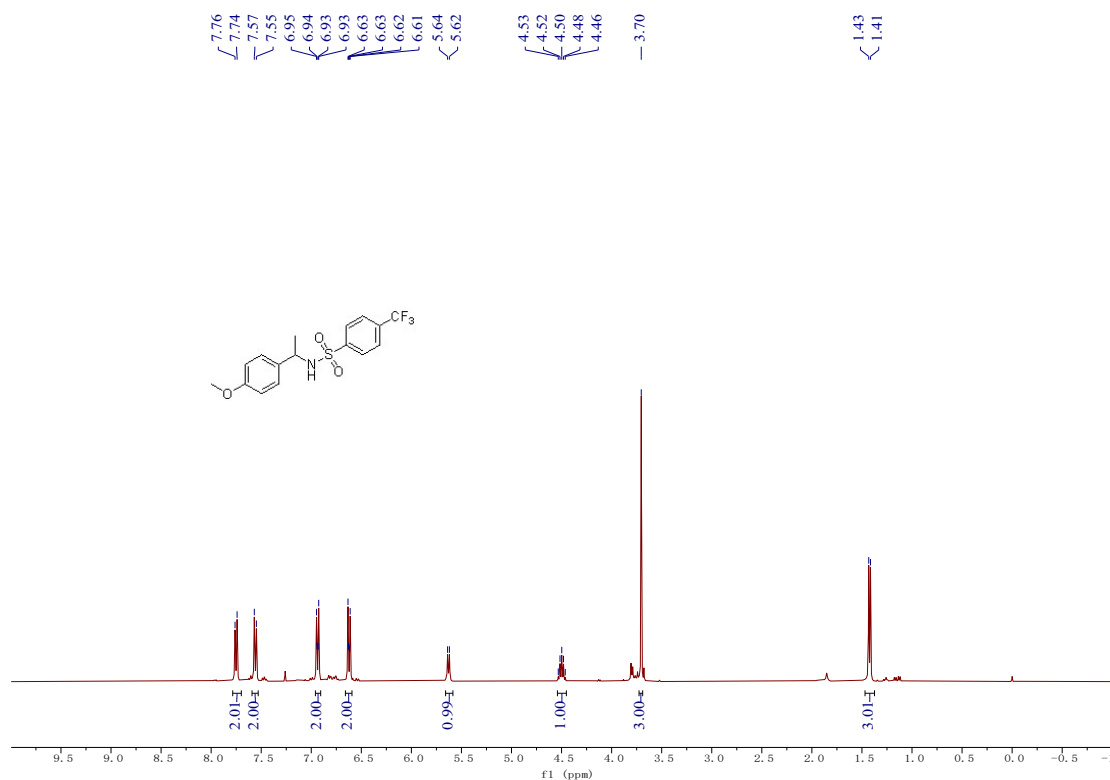
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3al



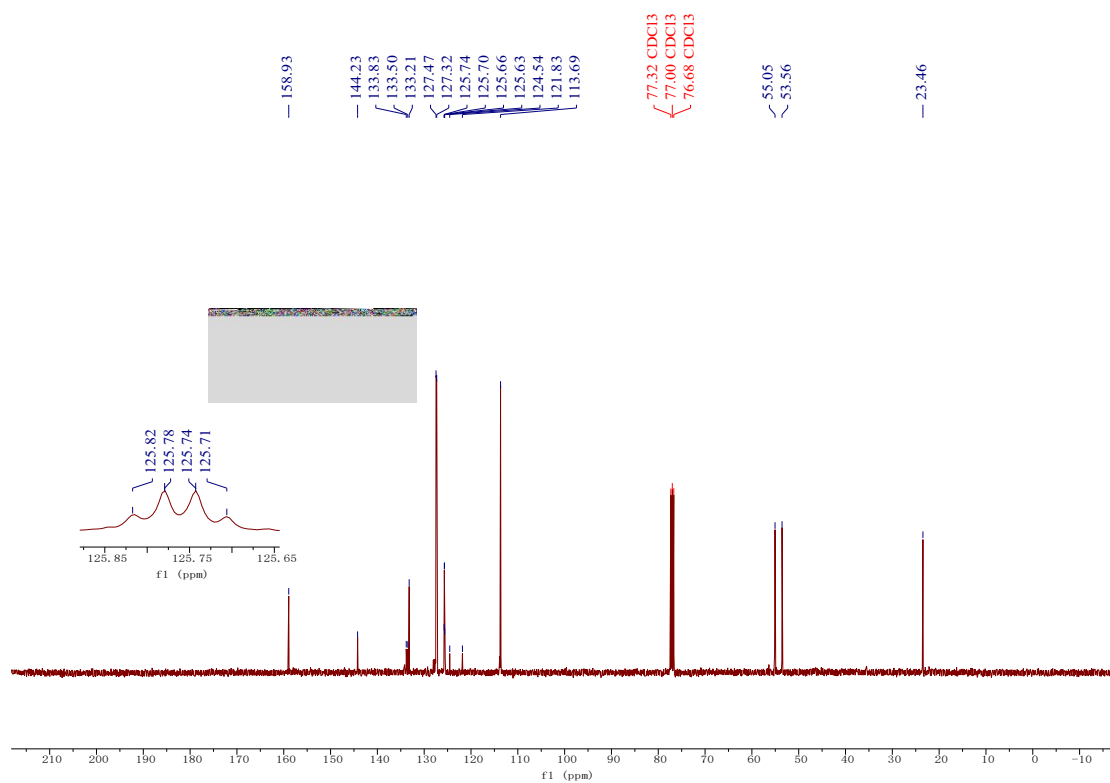
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3a



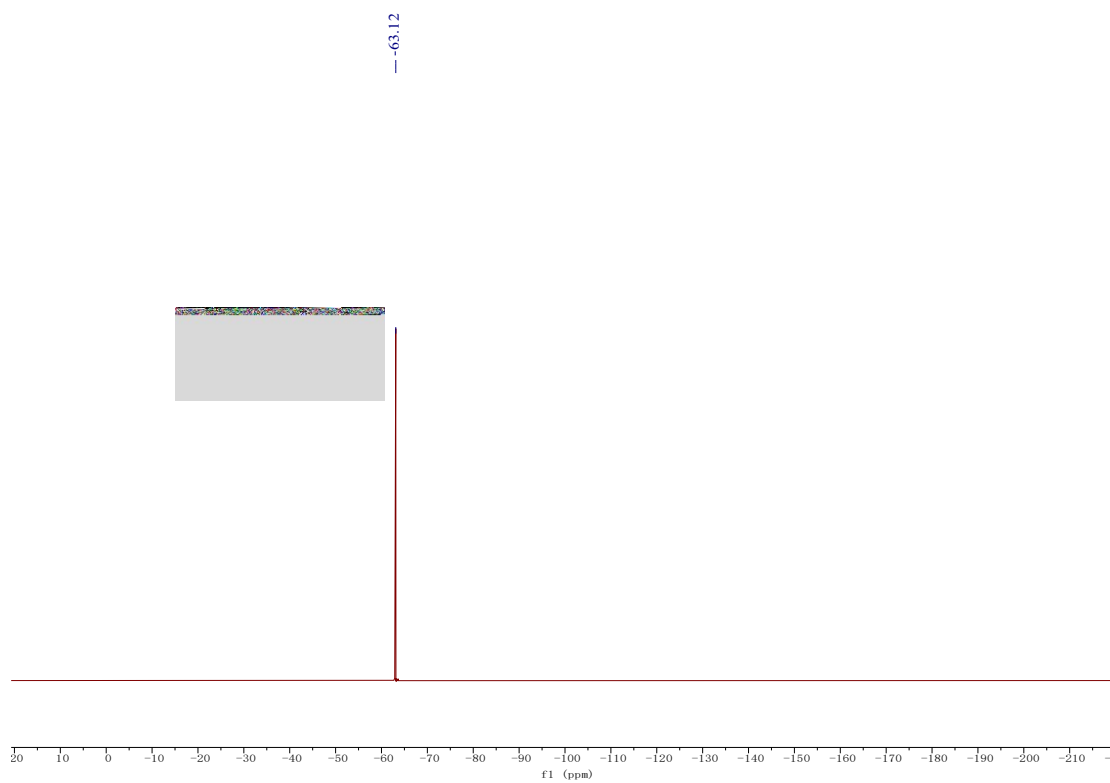
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3am



### $^{13}\text{C}$ NMR (100 MHz, $\text{CDCl}_3$ ) spectrum of 3am

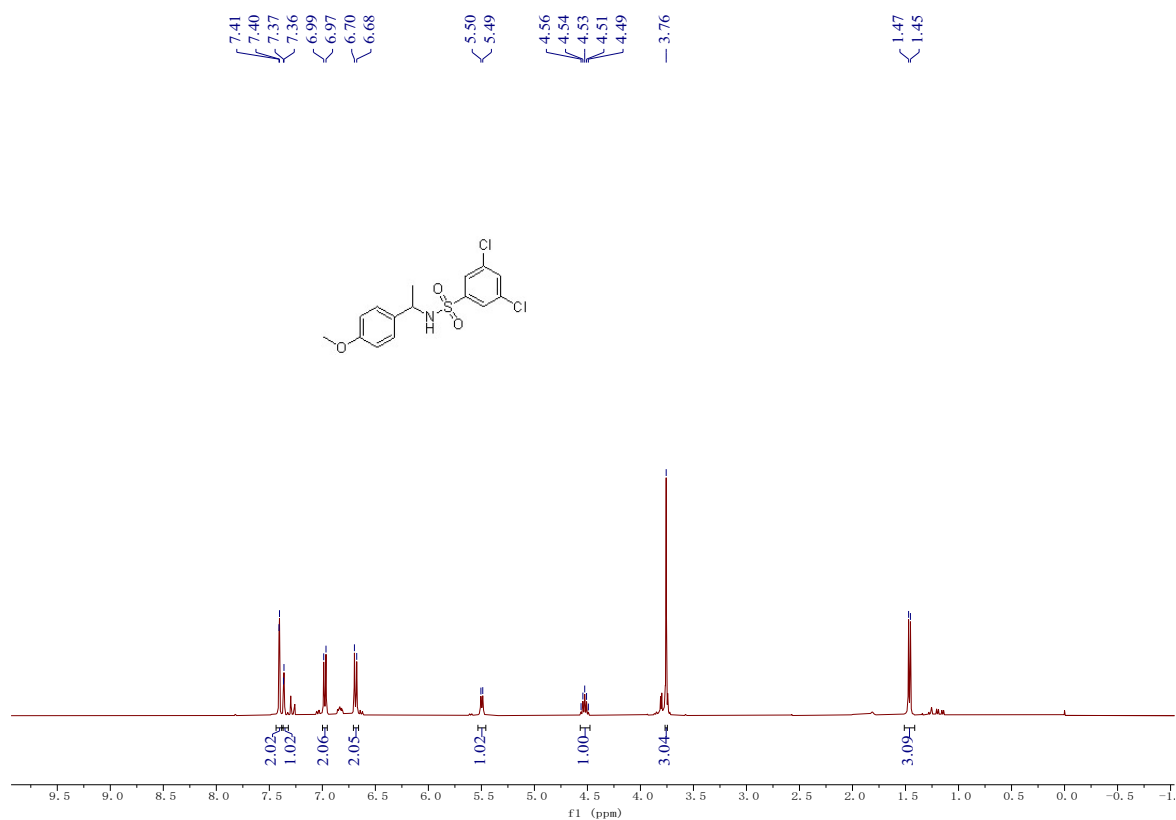


### $^{19}\text{F}$ NMR (377 MHz, $\text{CDCl}_3$ ) spectrum of 3am

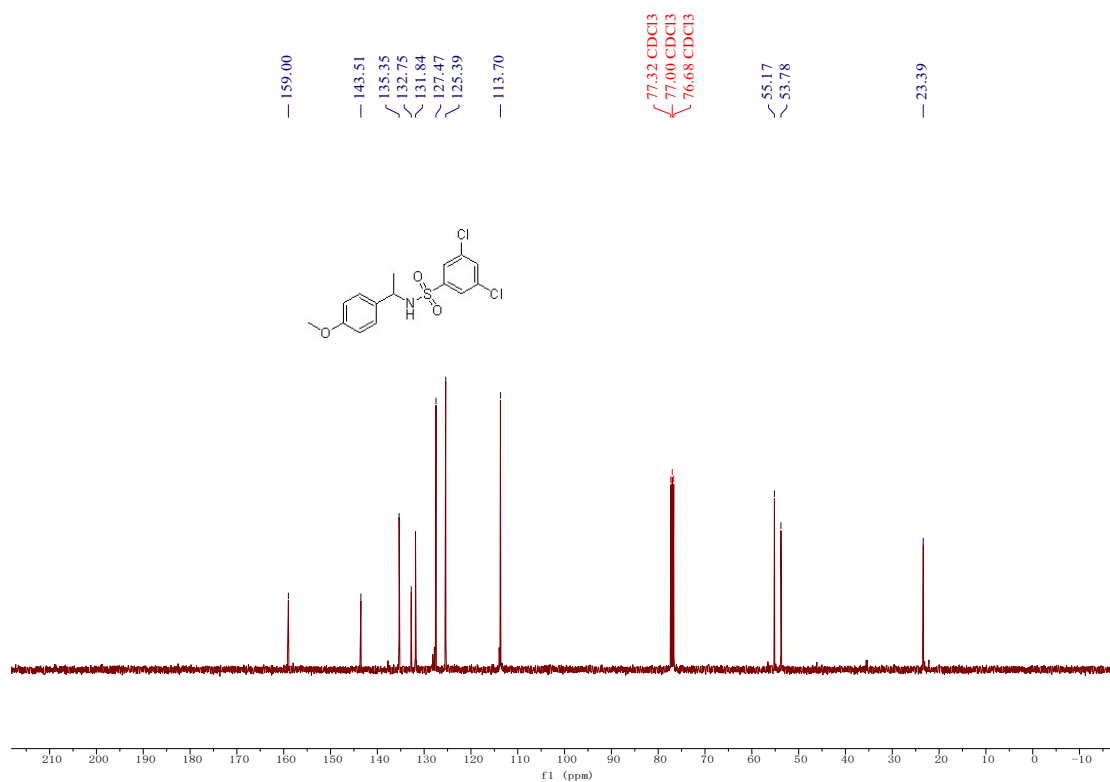




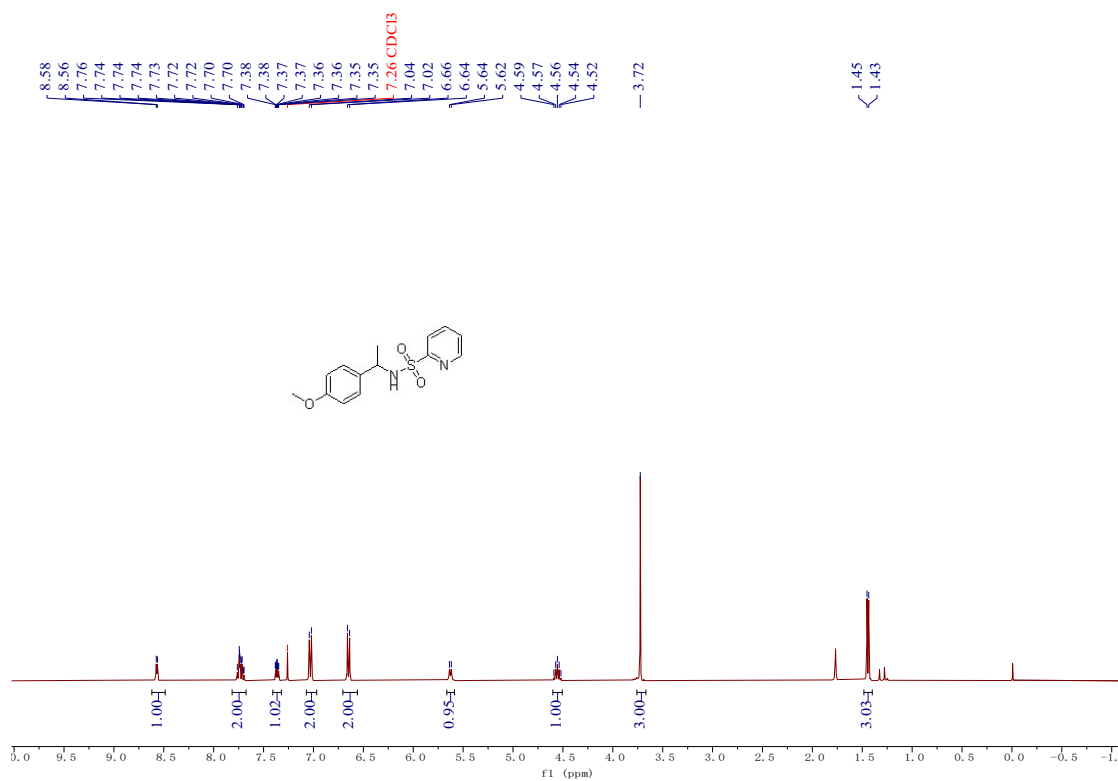
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3an



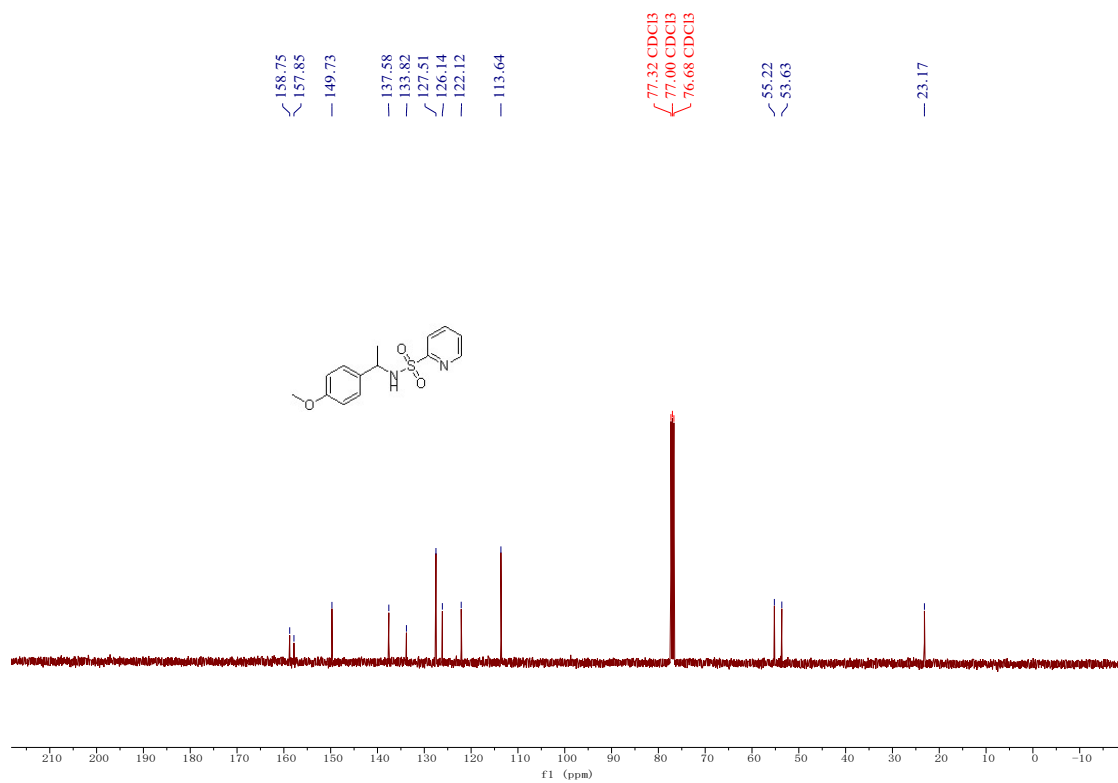
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3an



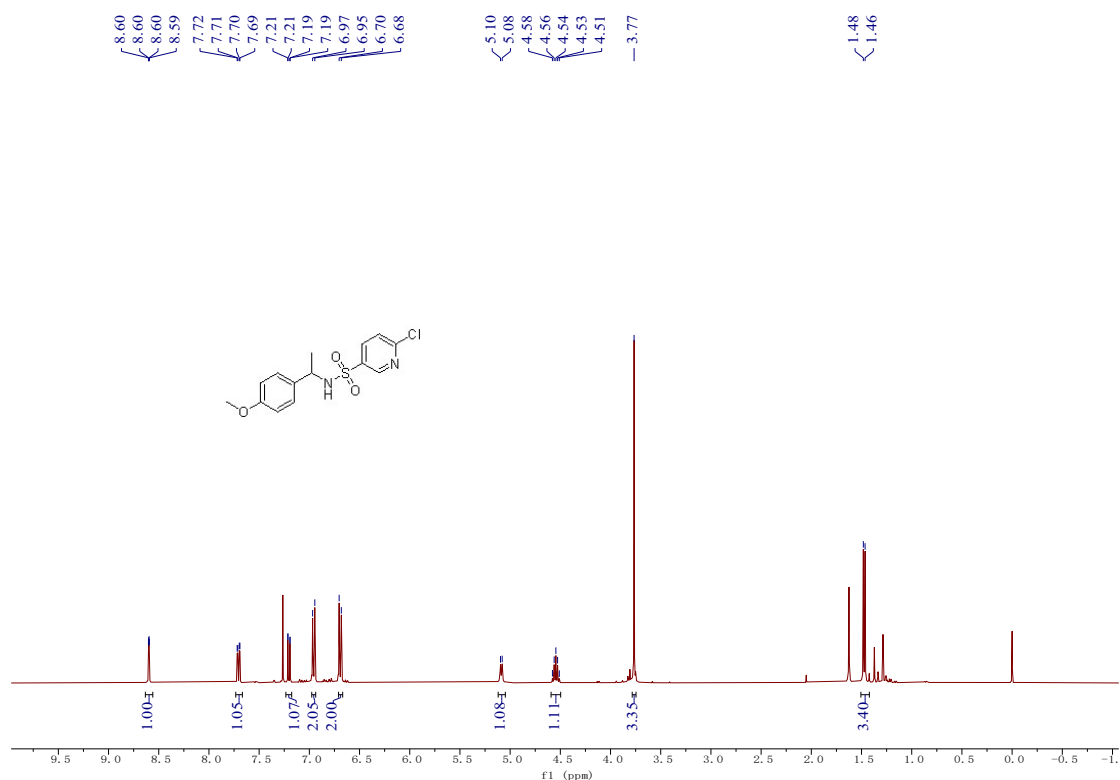
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ao



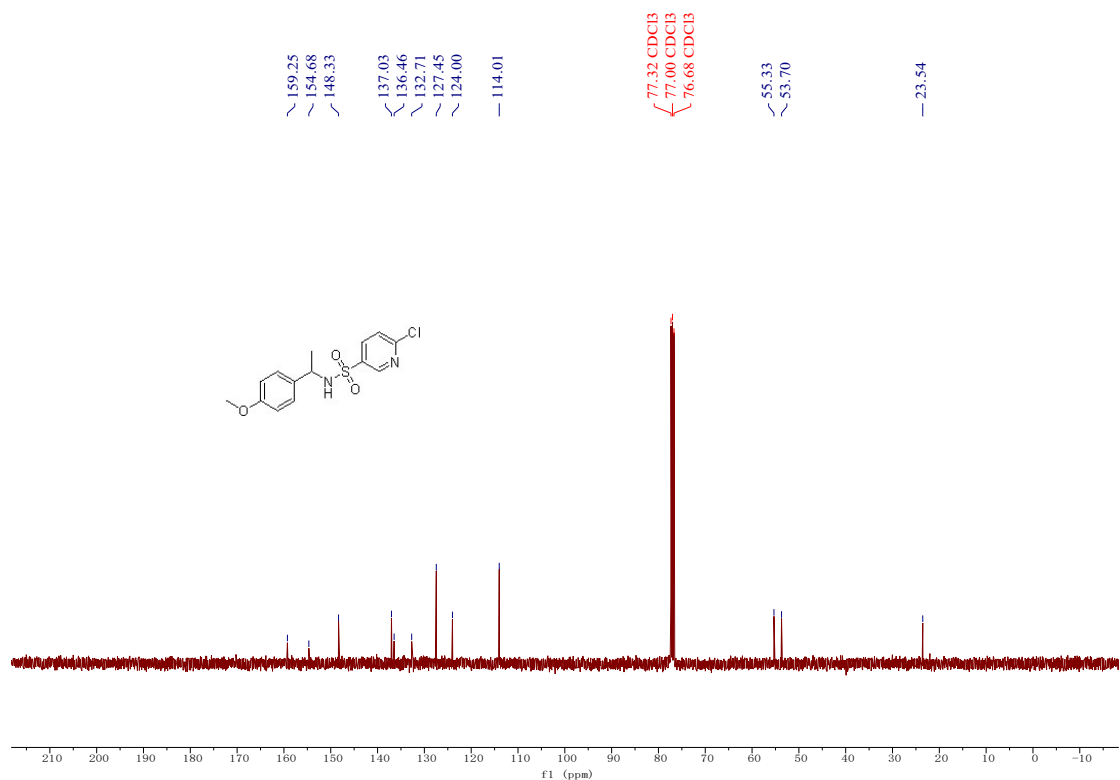
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ao



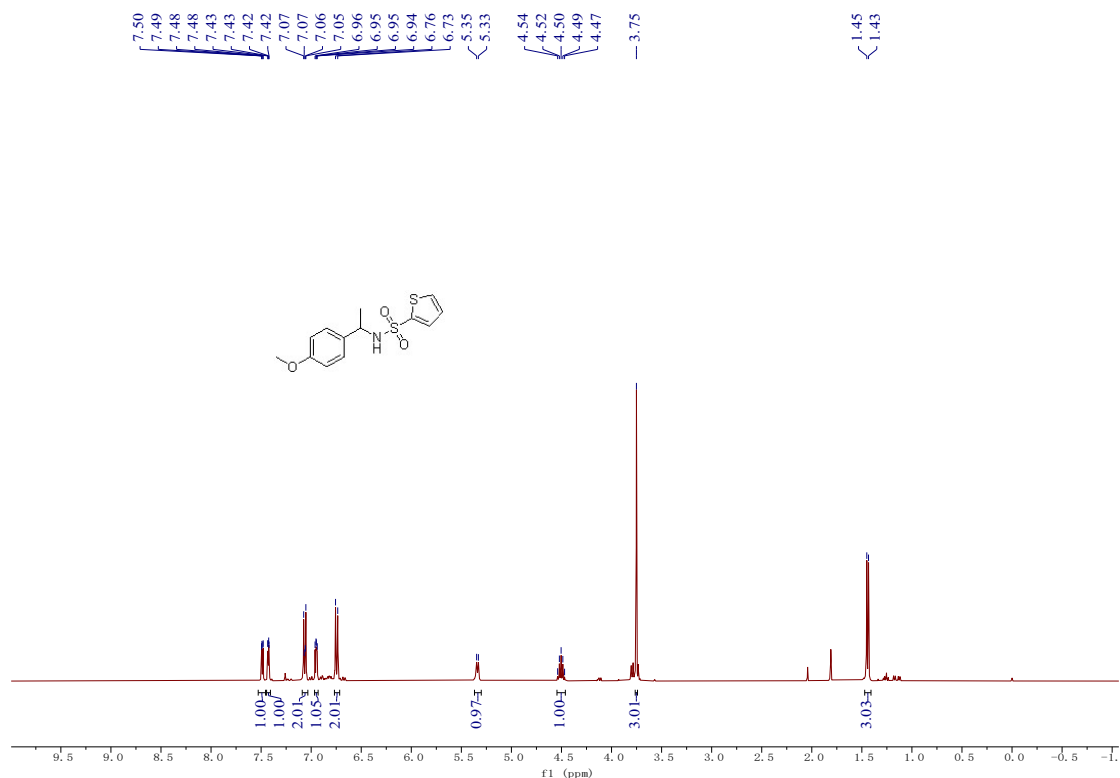
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ap



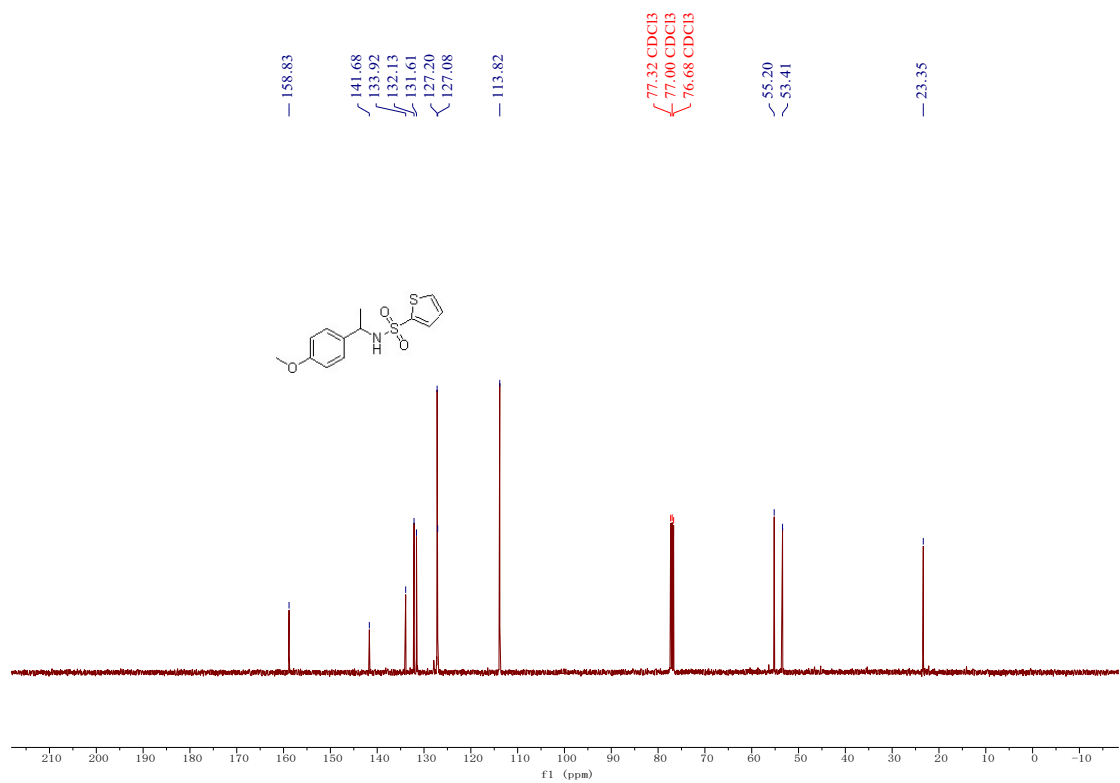
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ap



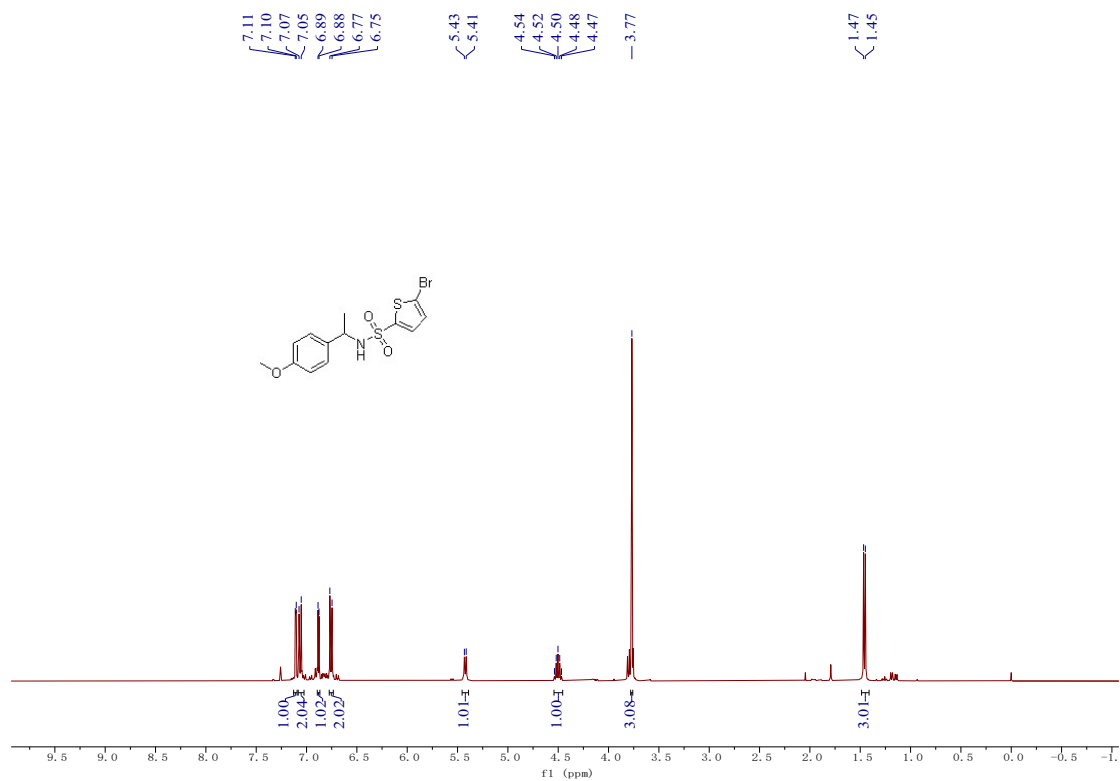
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3aq



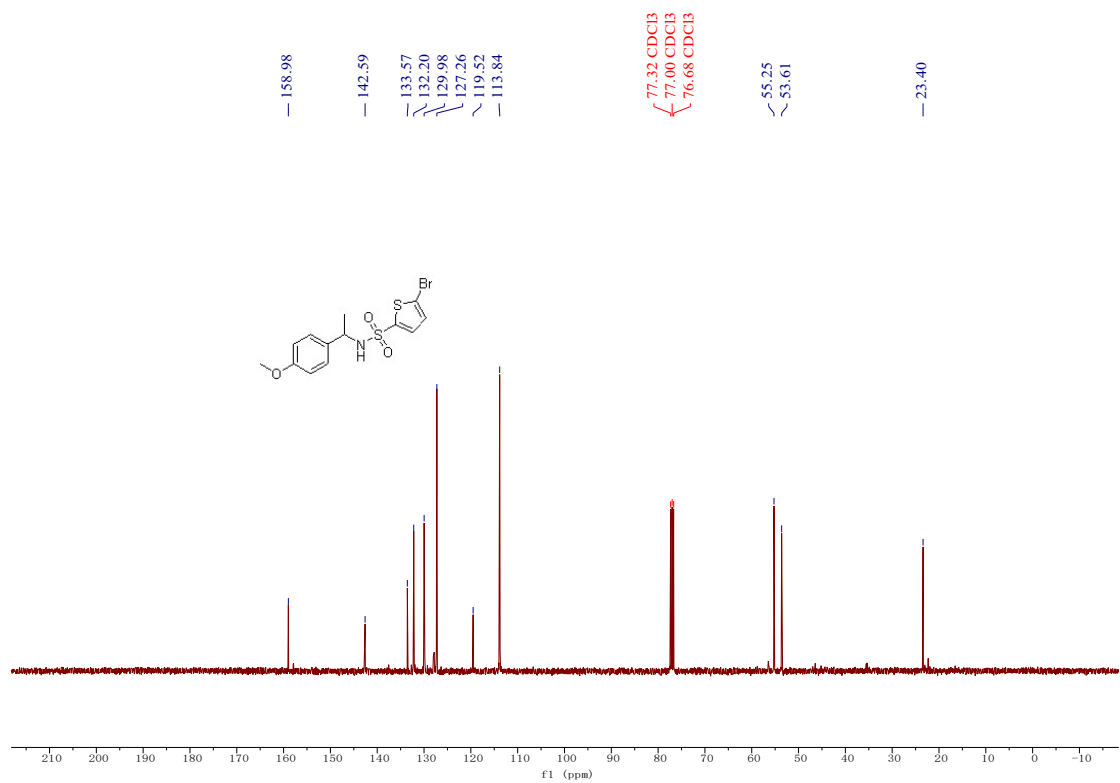
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3aq



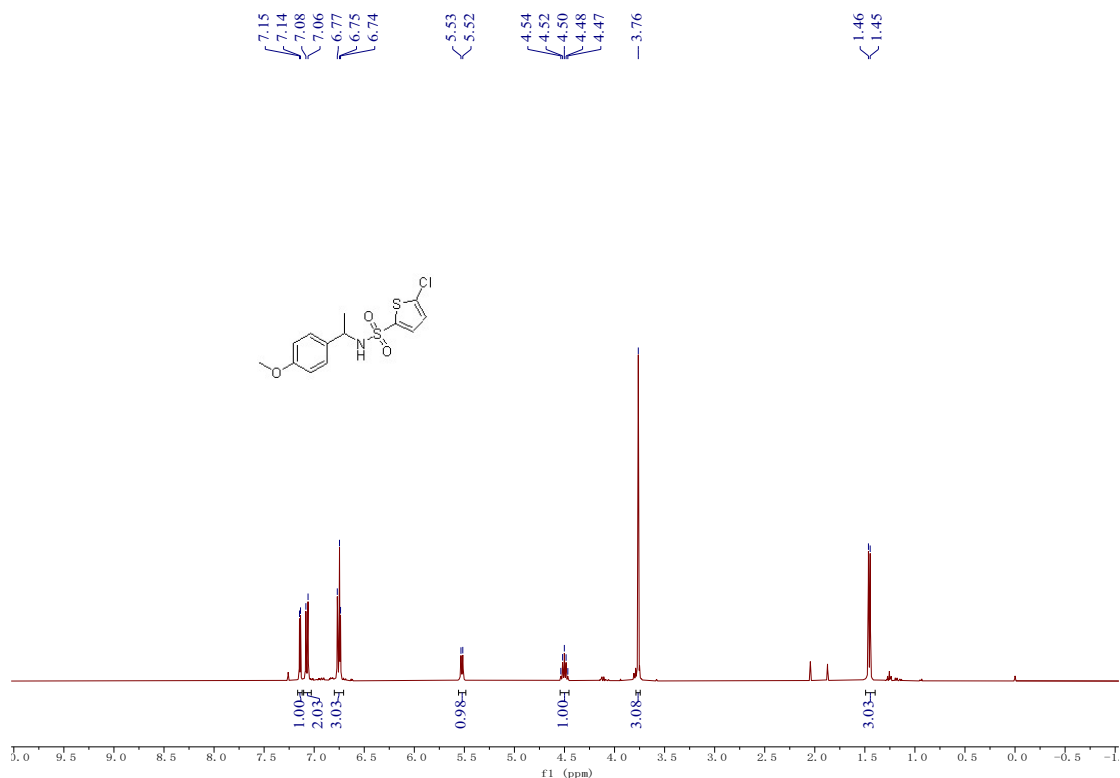
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ar



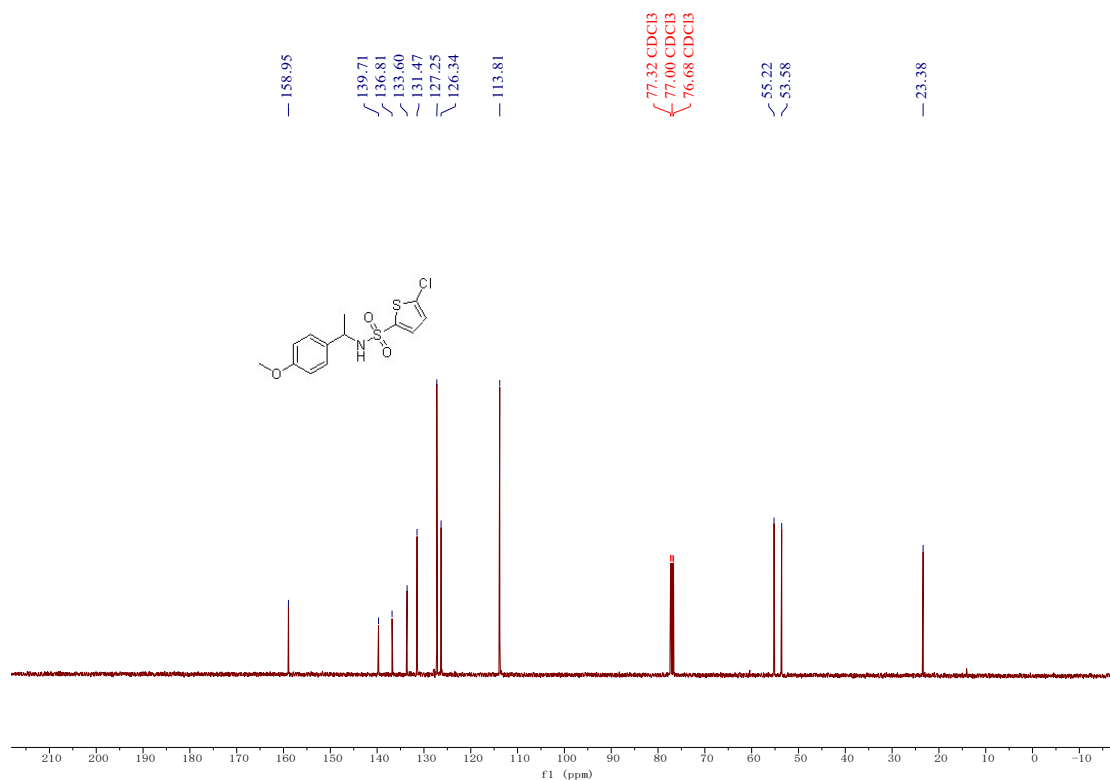
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ar



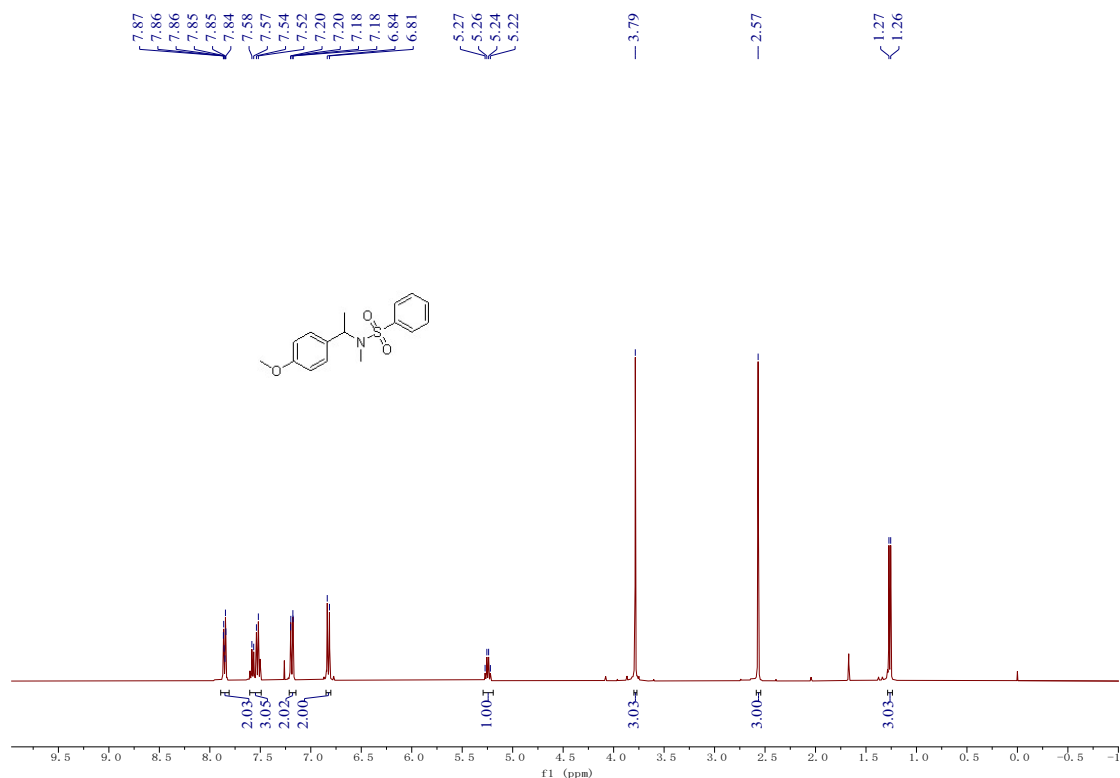
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3as



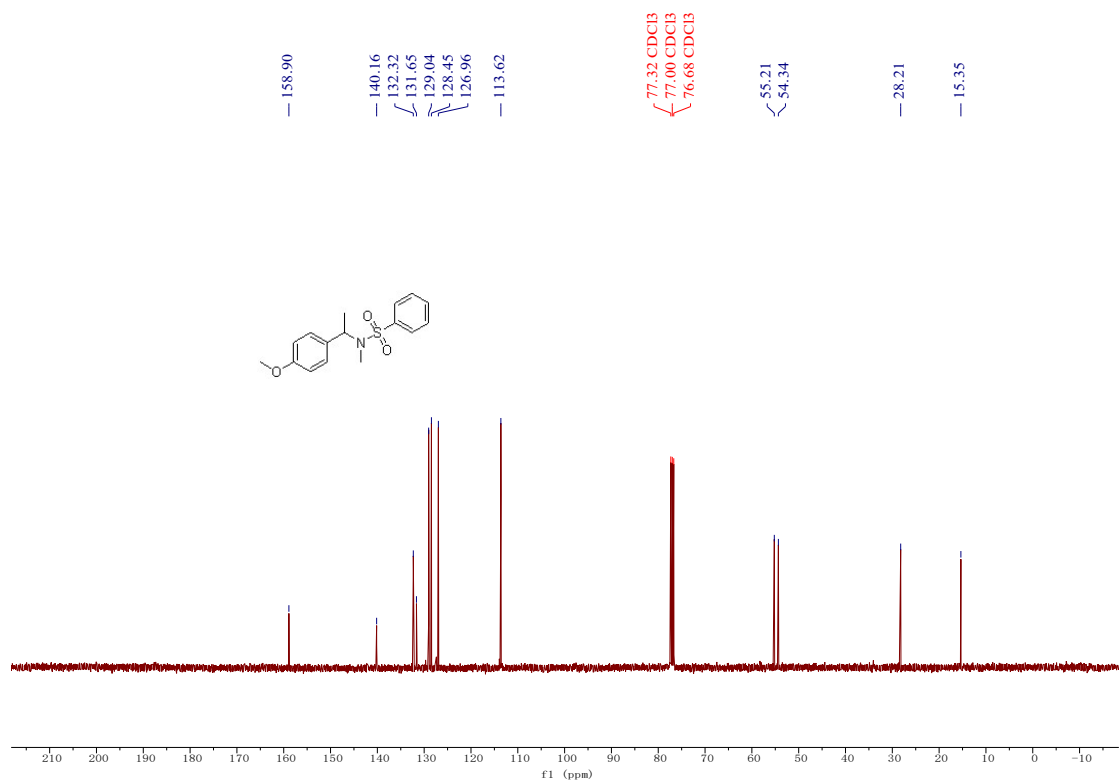
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3as



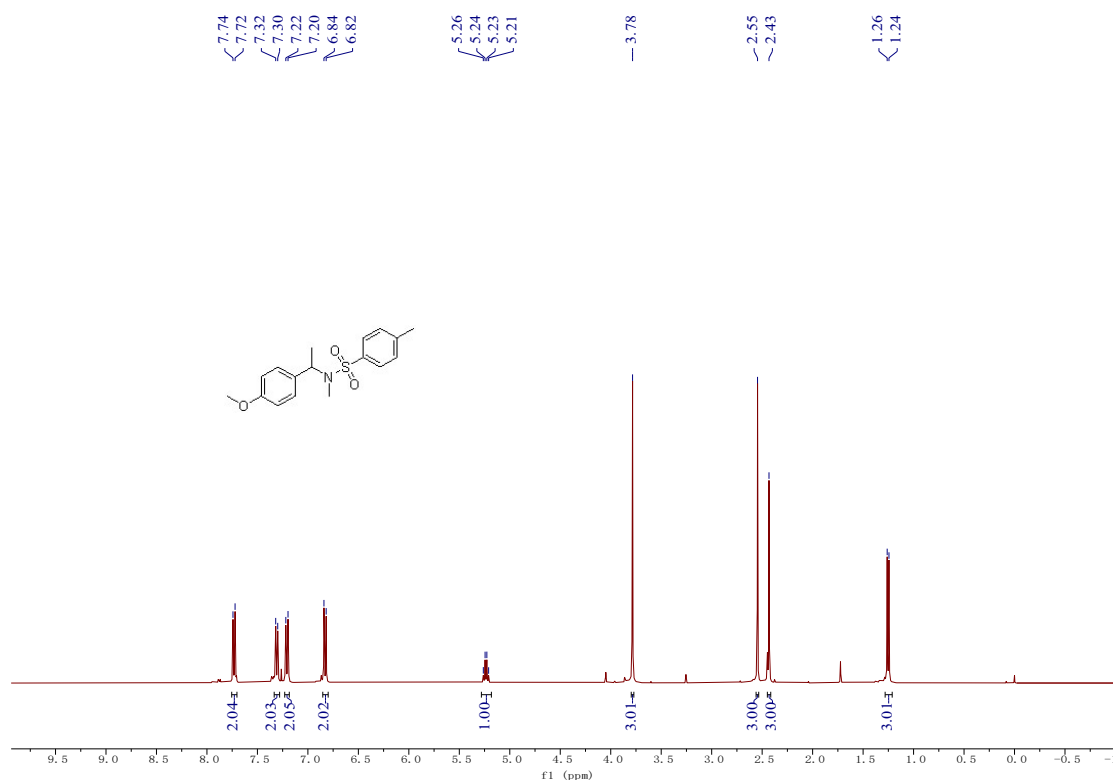
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3at



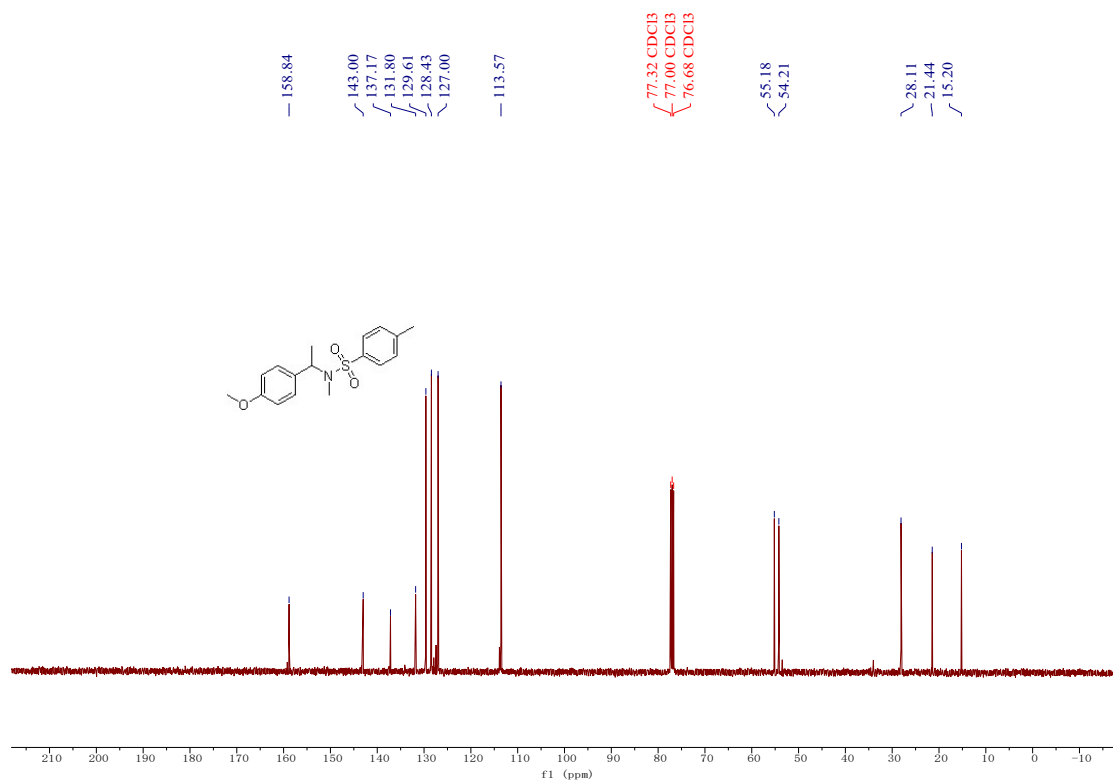
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3at



### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3au

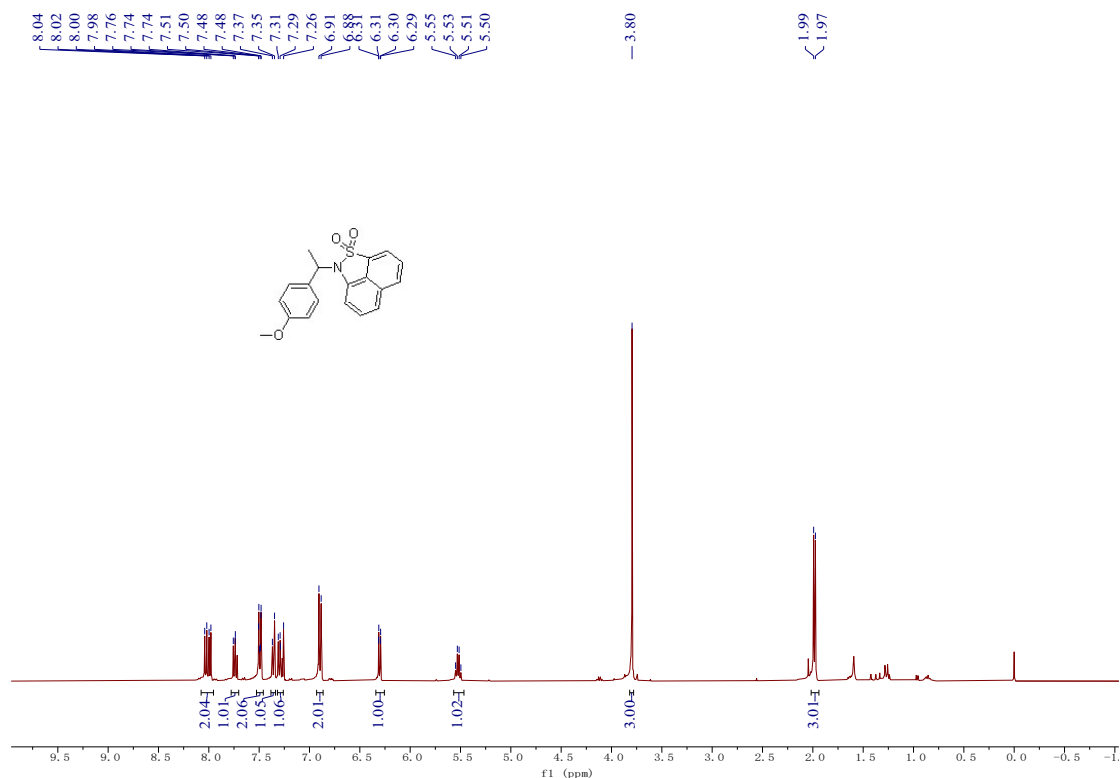


### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3au

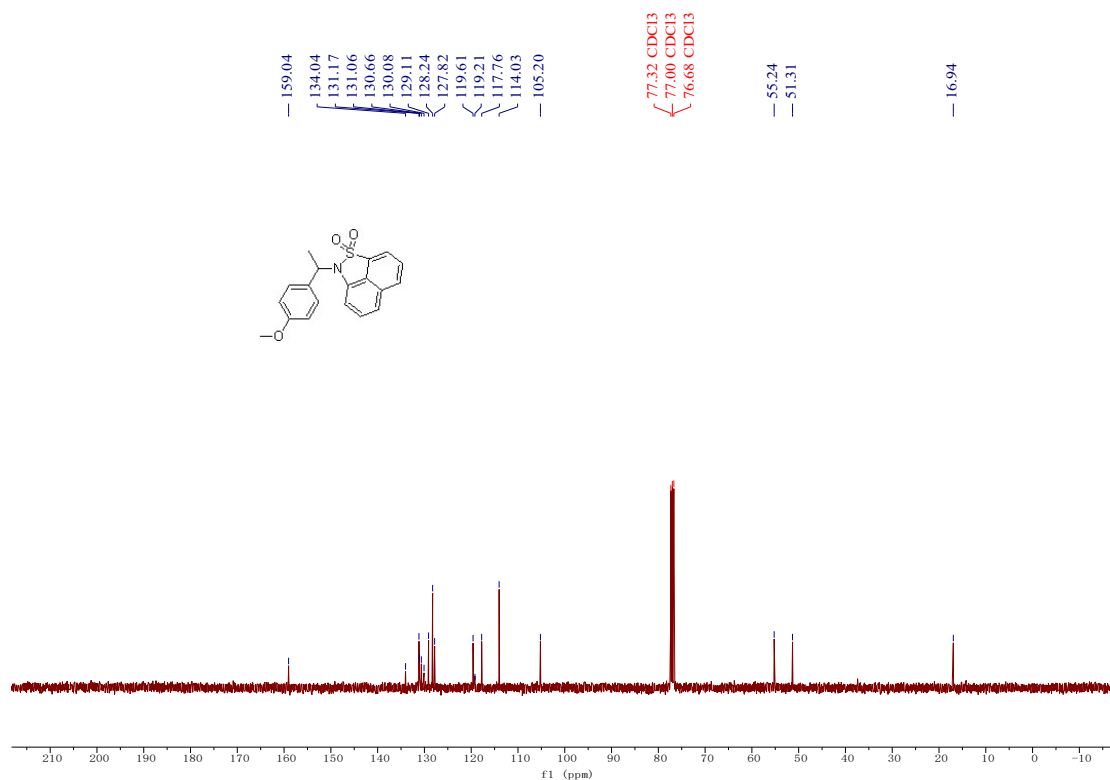




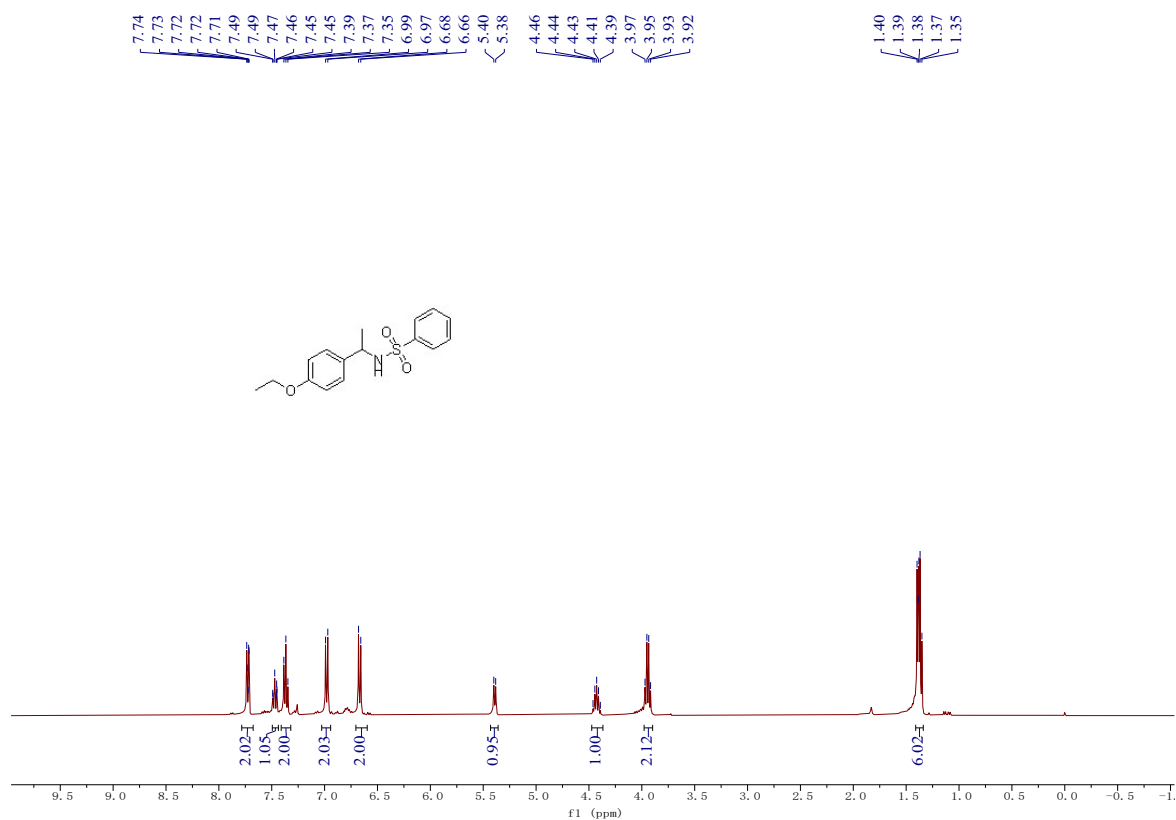
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3av



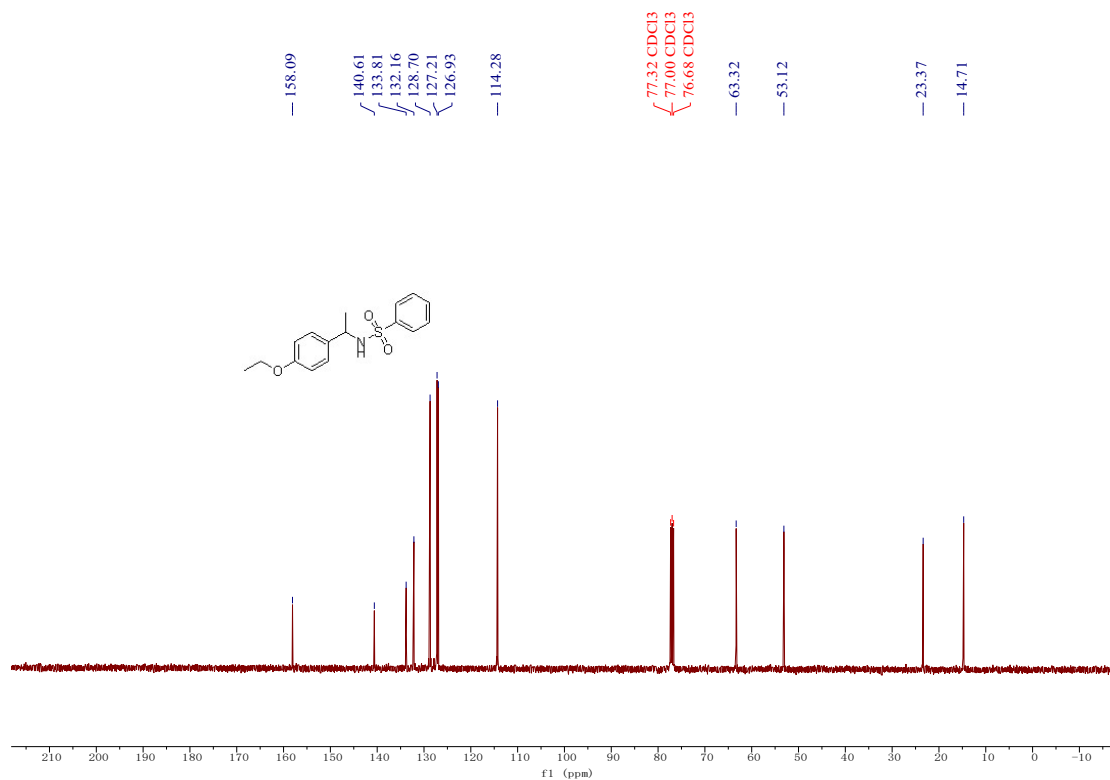
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3av



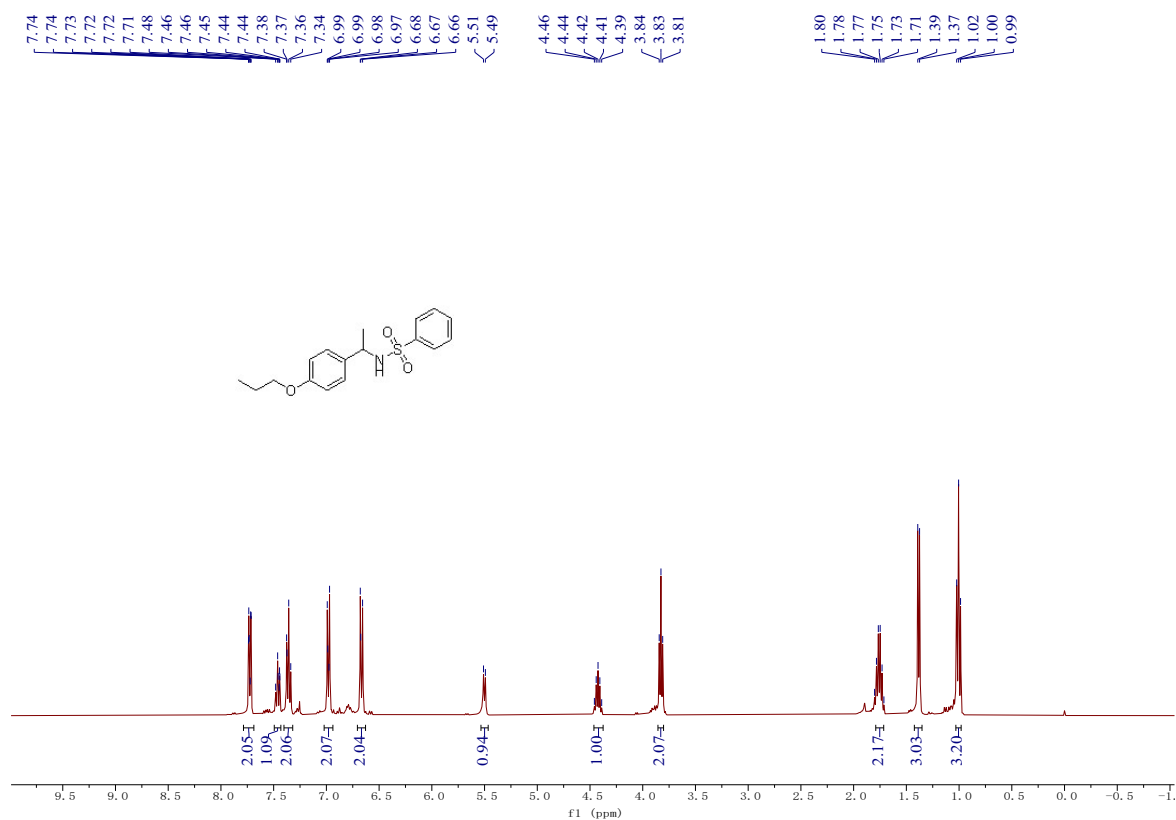
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ba



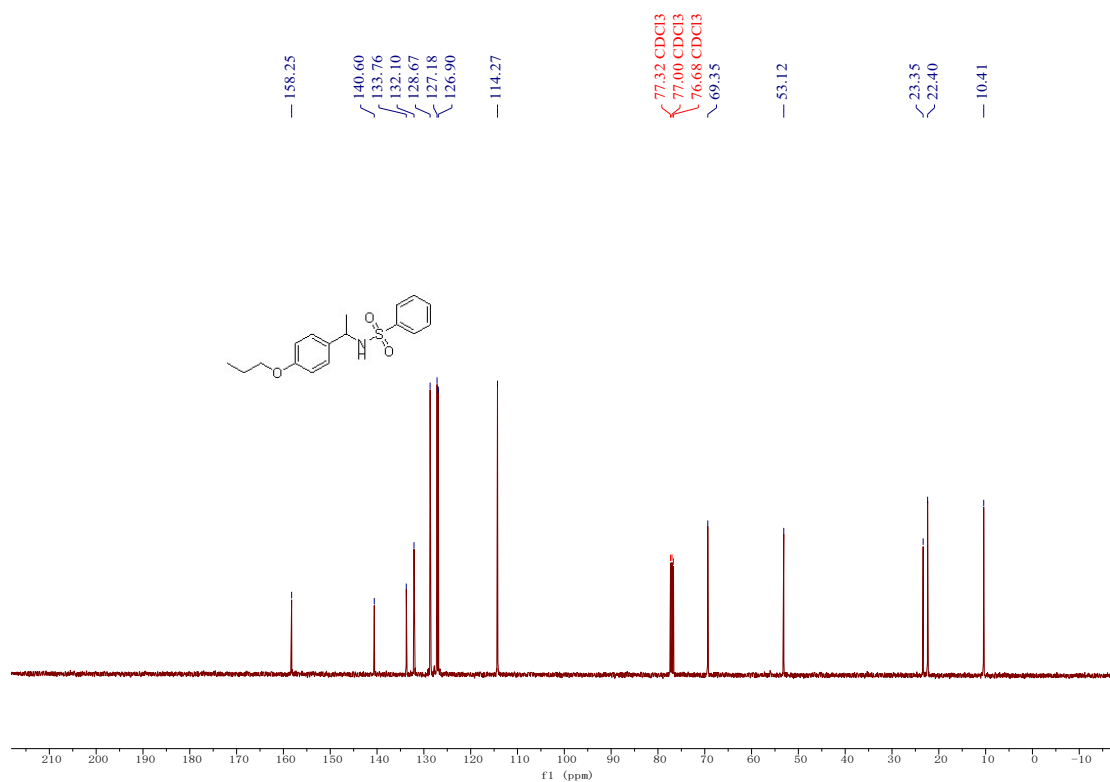
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ba



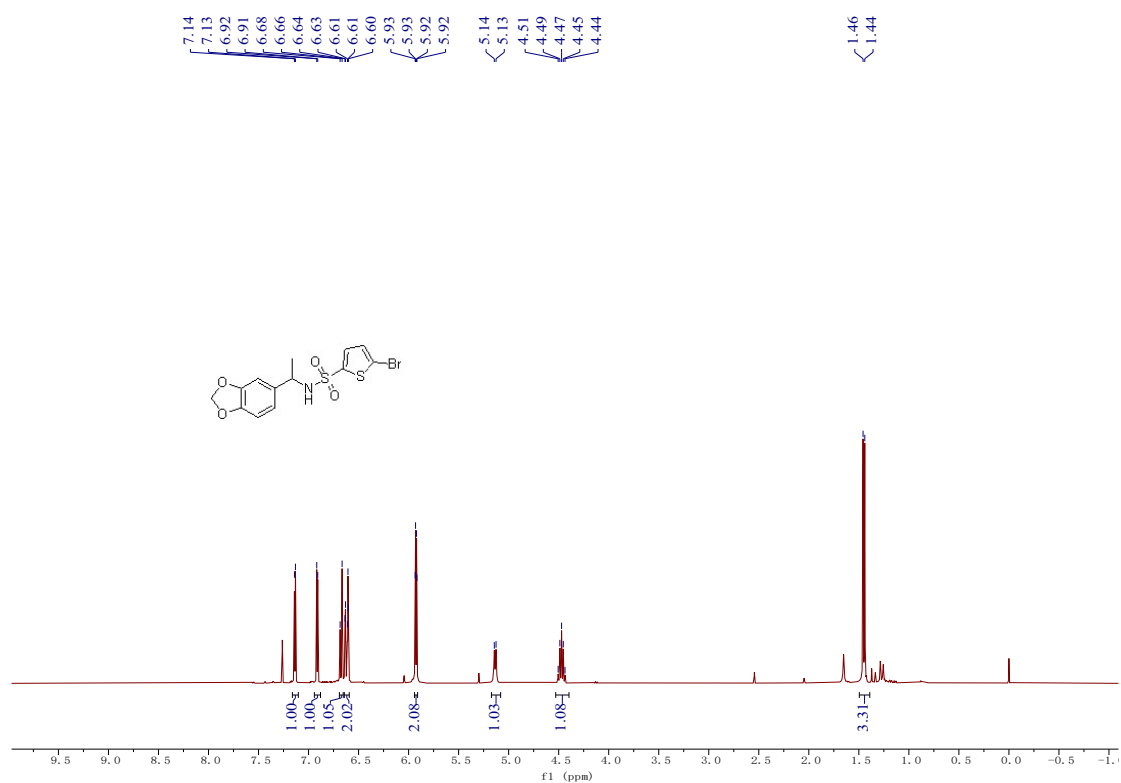
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3ca



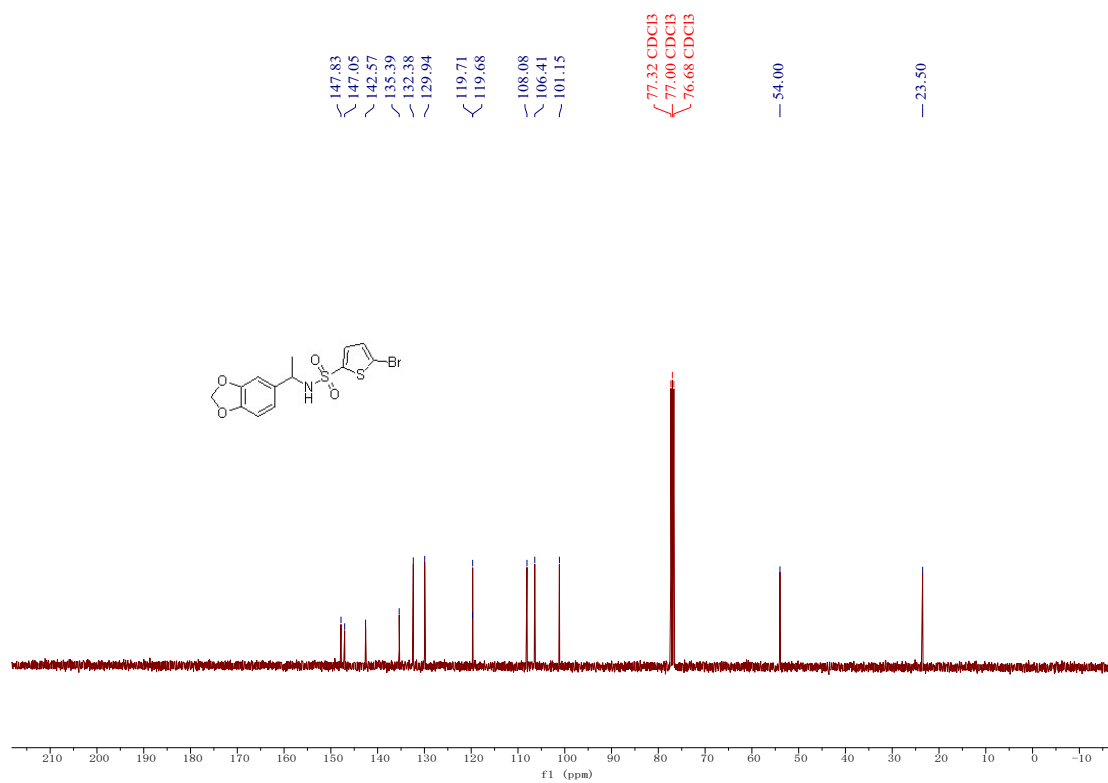
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3ca



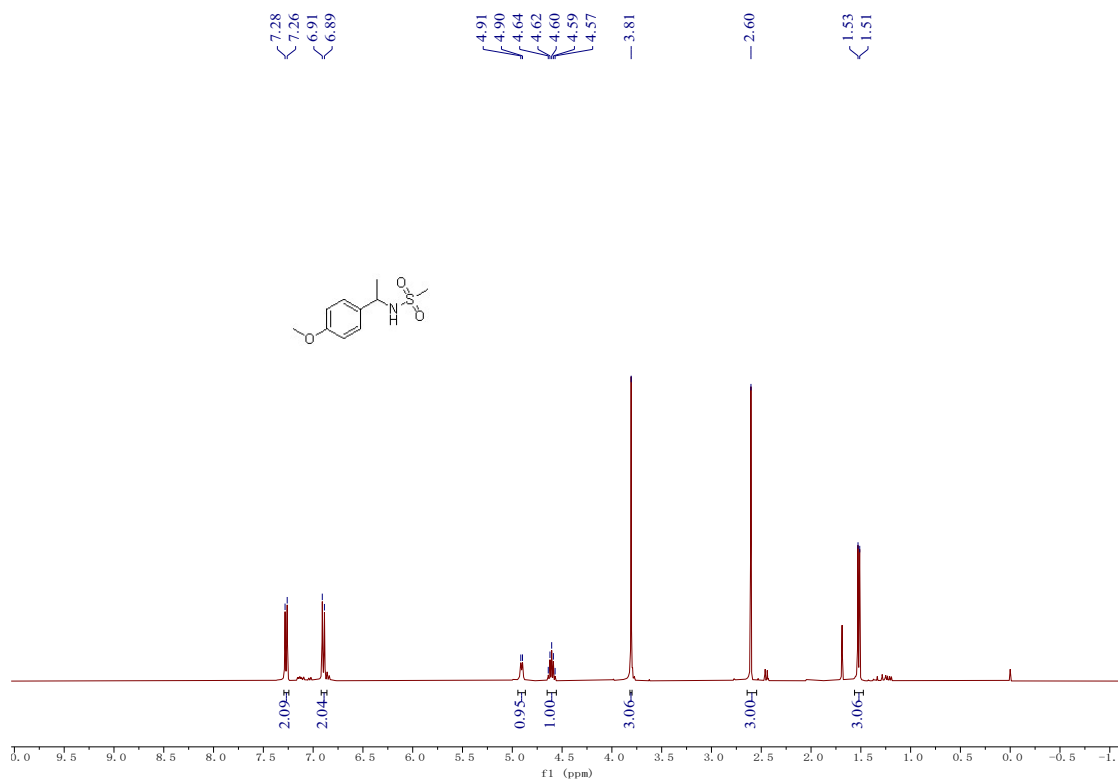
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 3dr



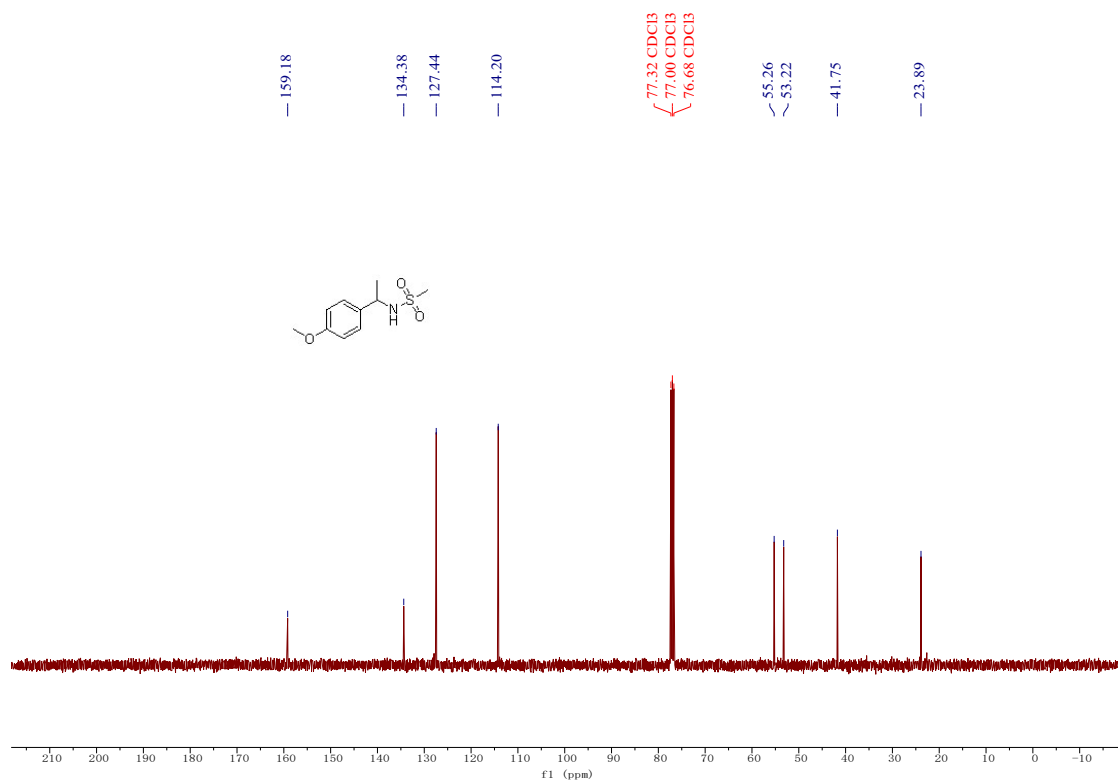
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 3dr



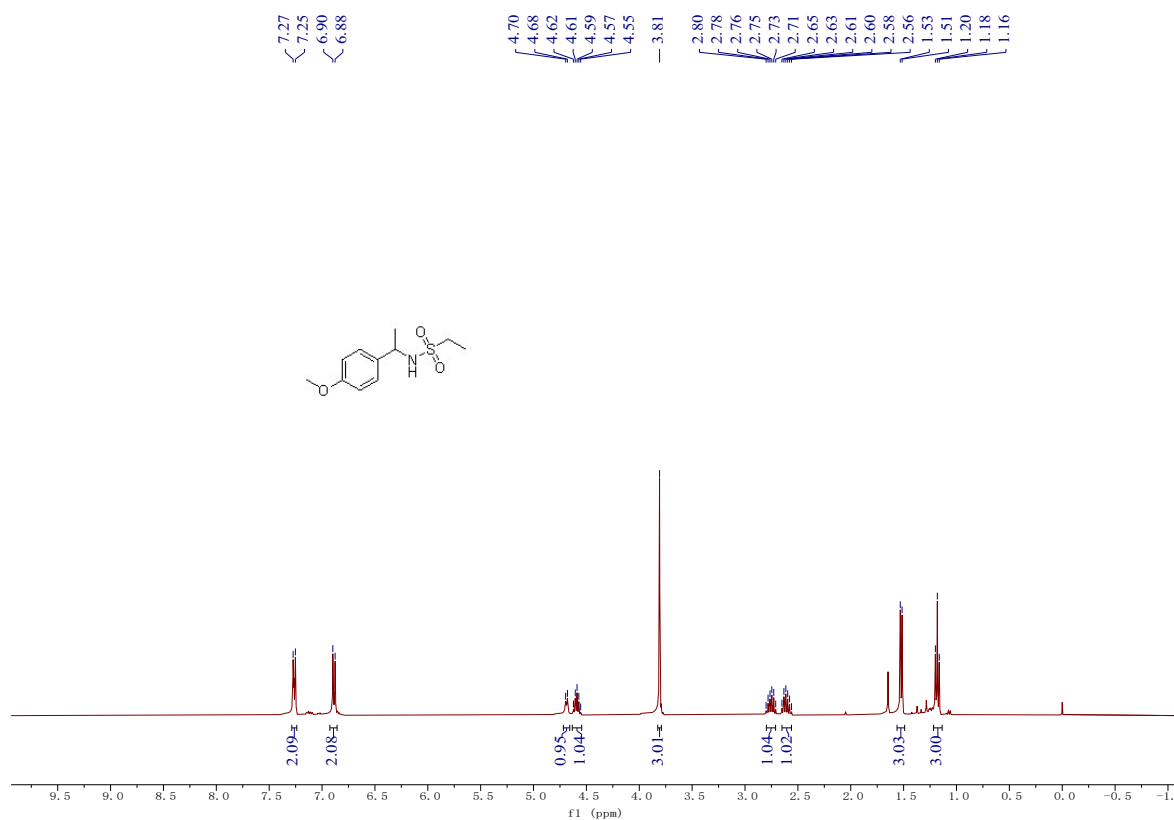
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5aa



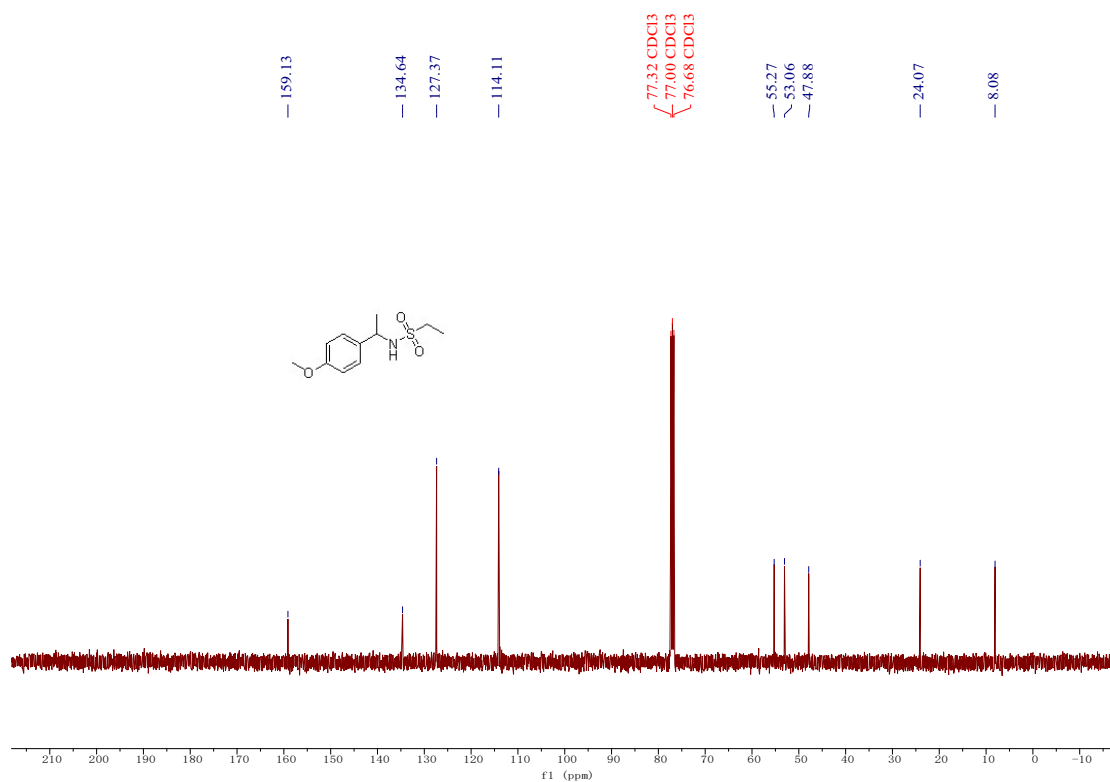
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5aa



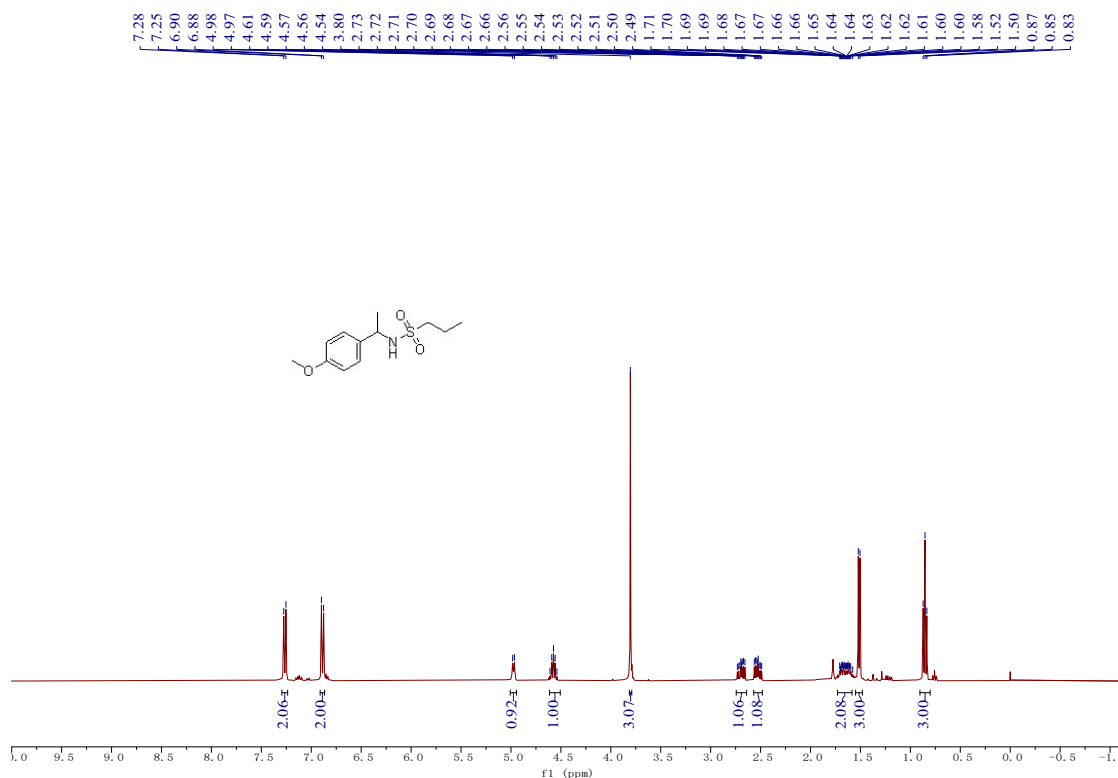
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5ab



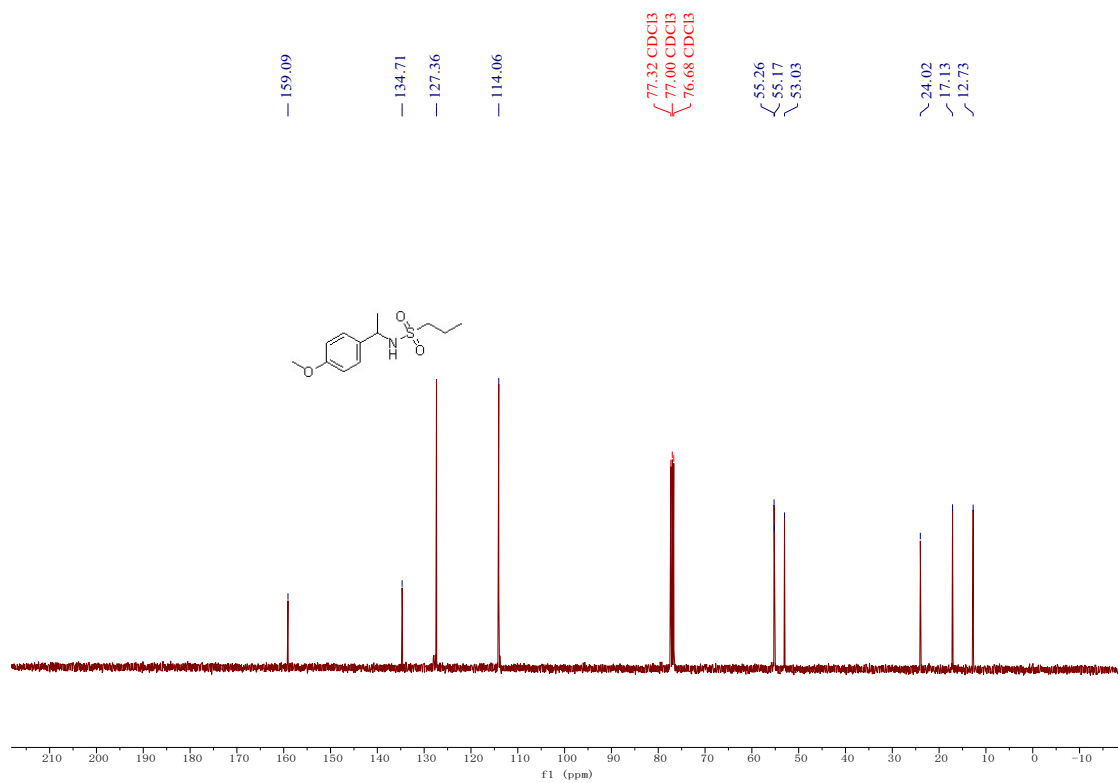
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5ab



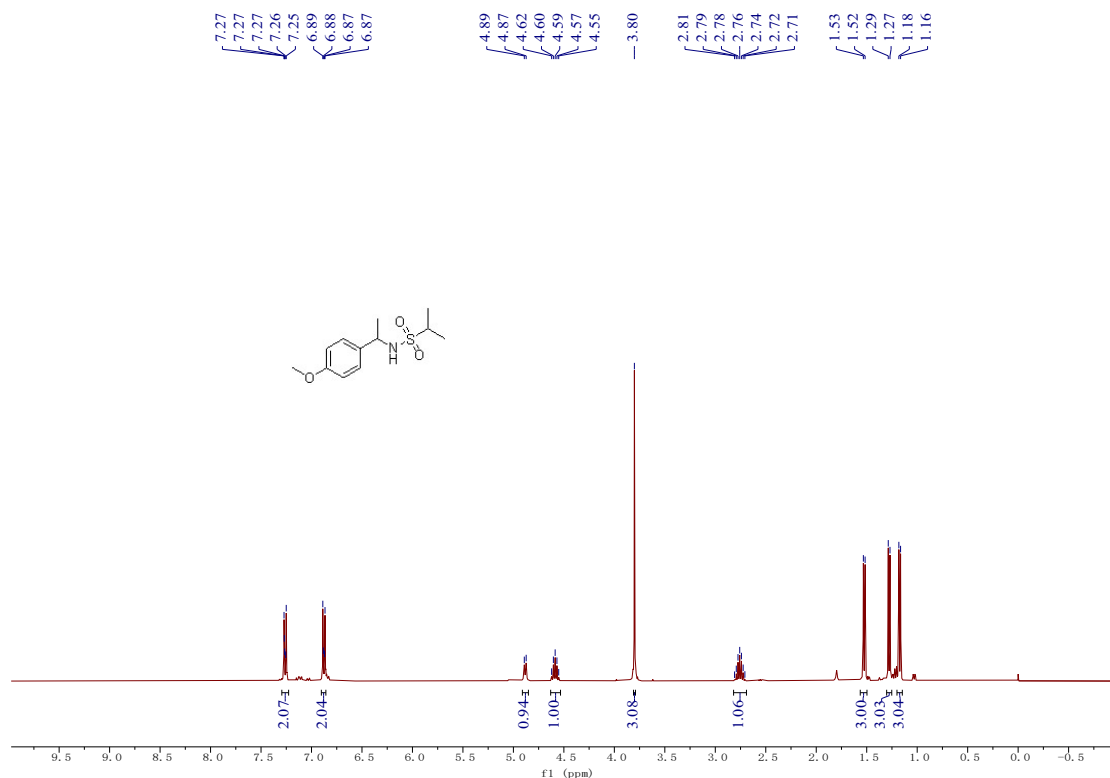
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5ac



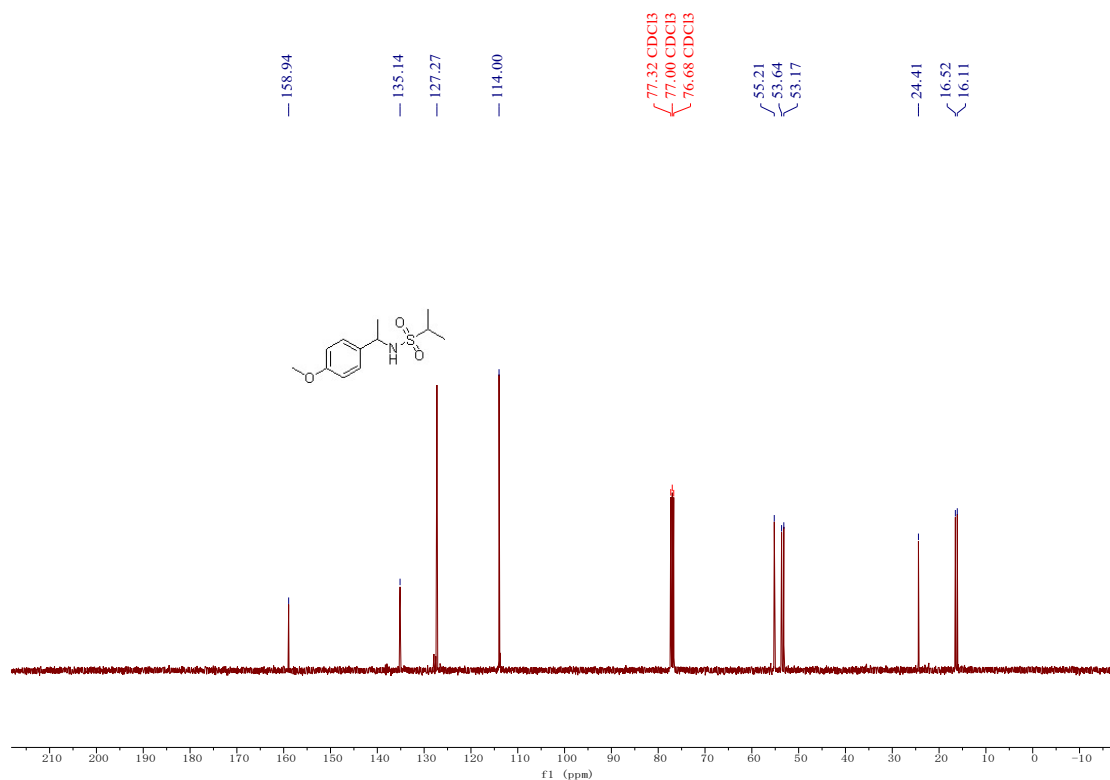
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5ac



### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5ad

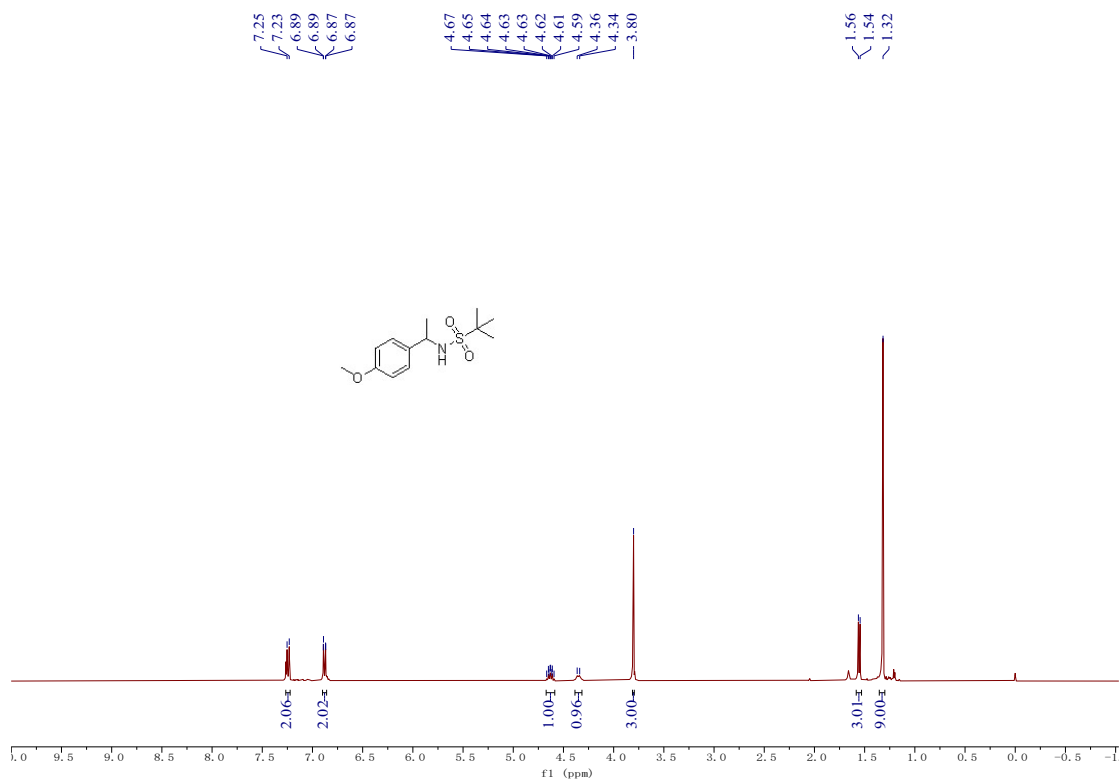


### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5ad

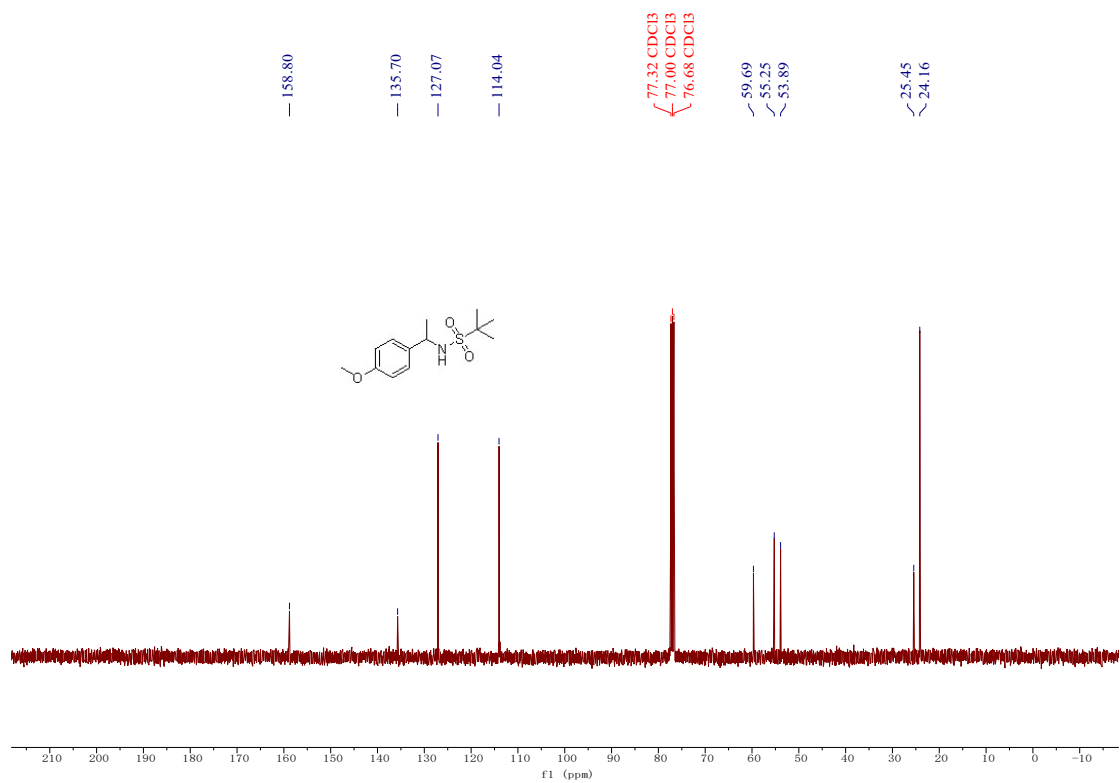




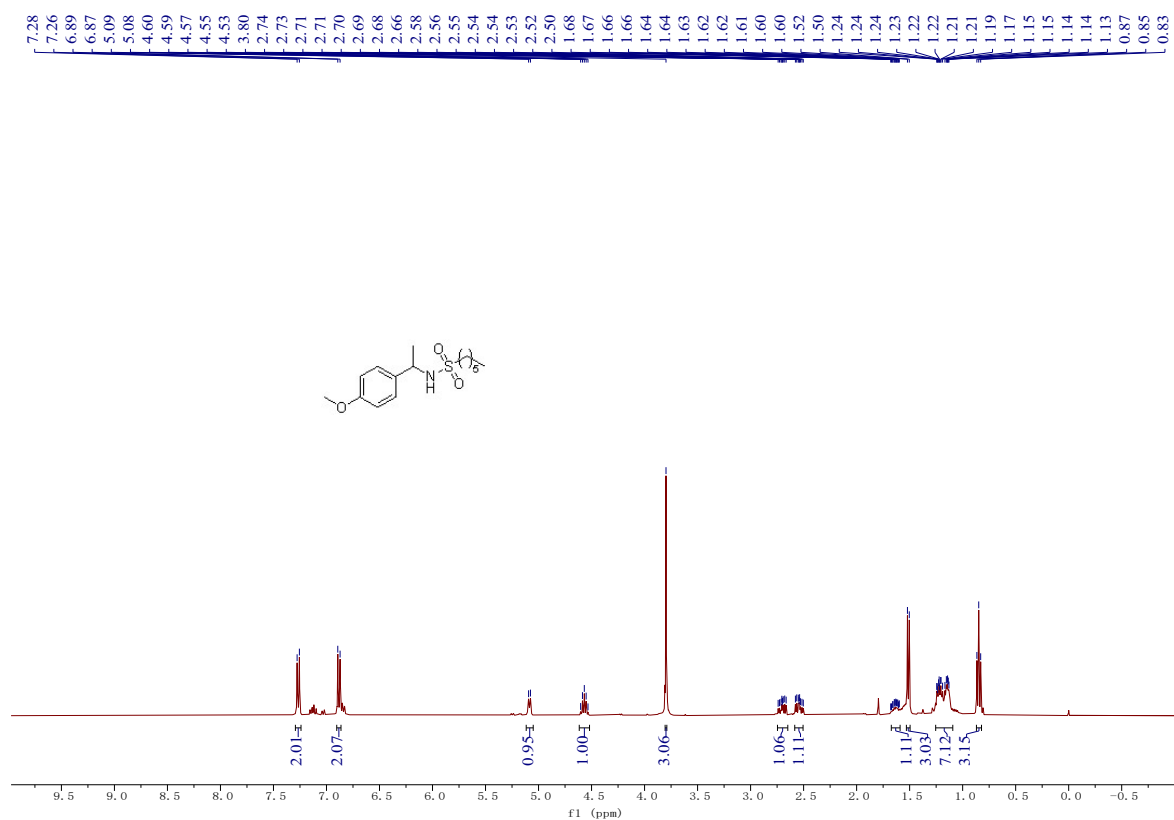
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5ae



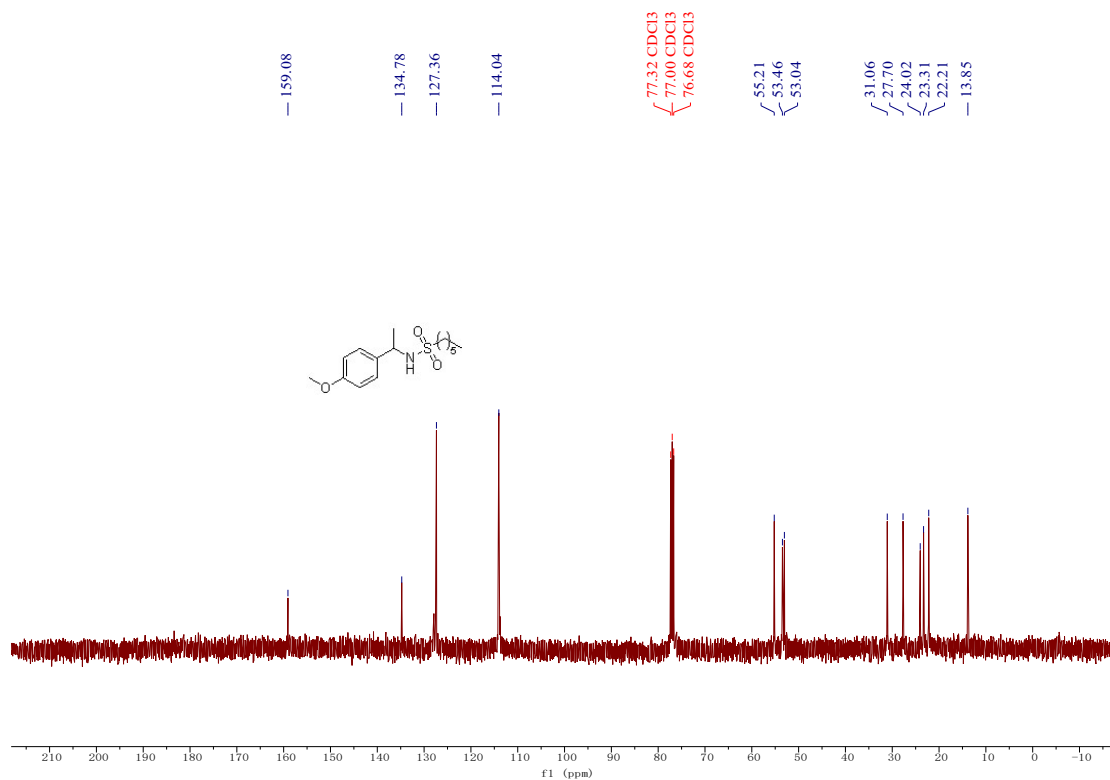
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5ae



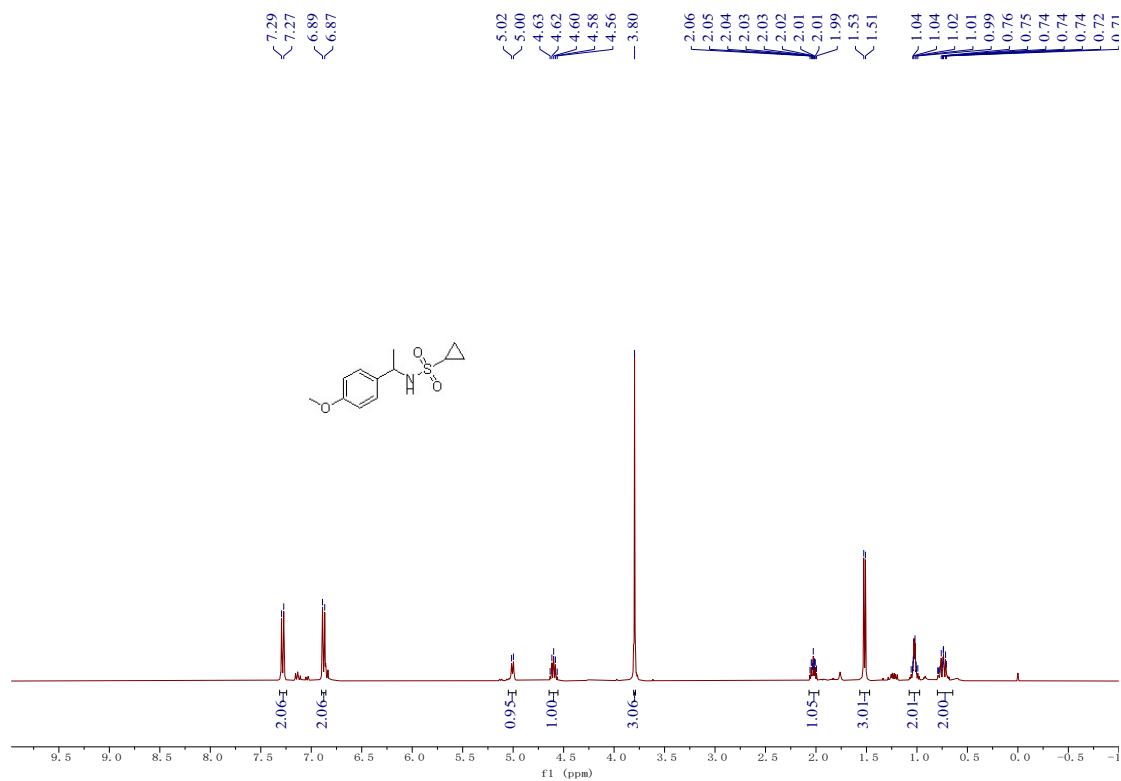
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5af



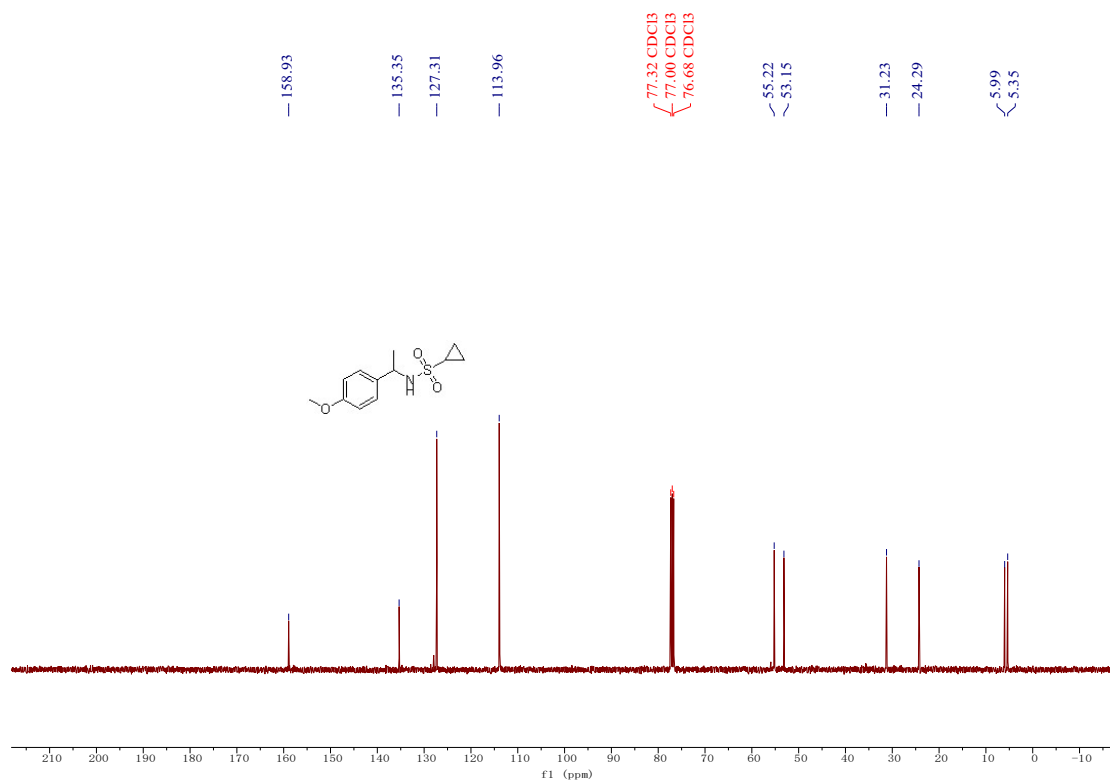
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5af



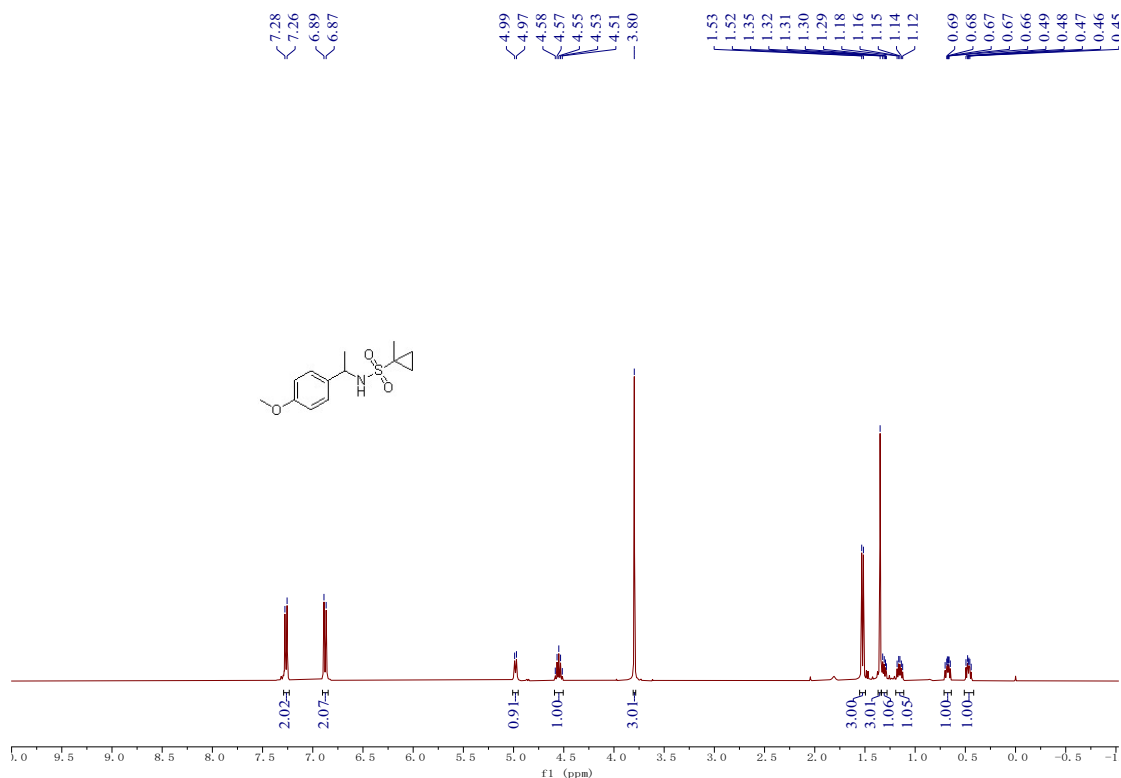
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5ag



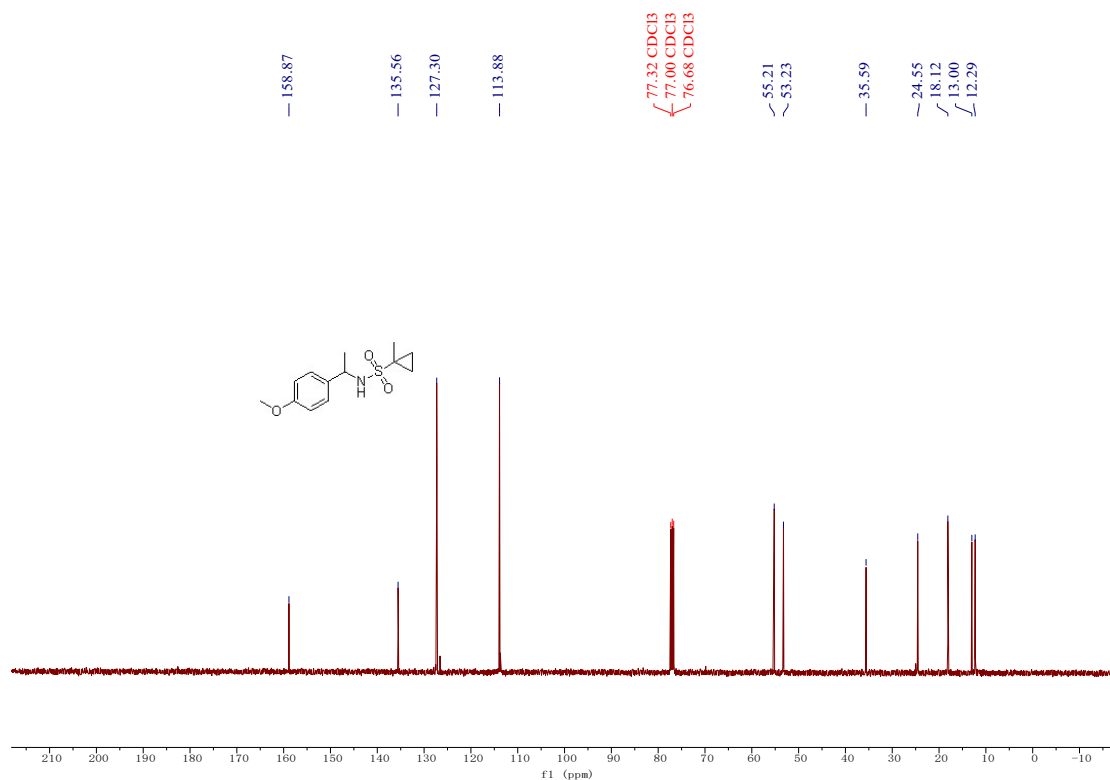
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5ag



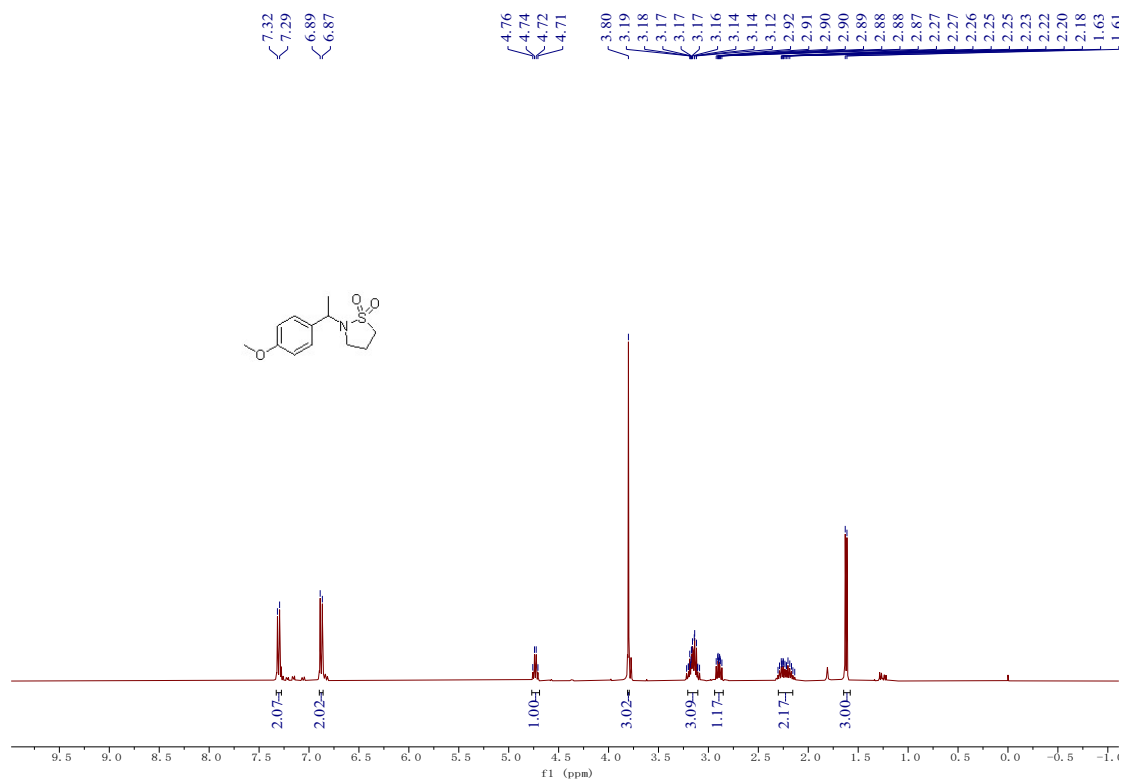
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5ah



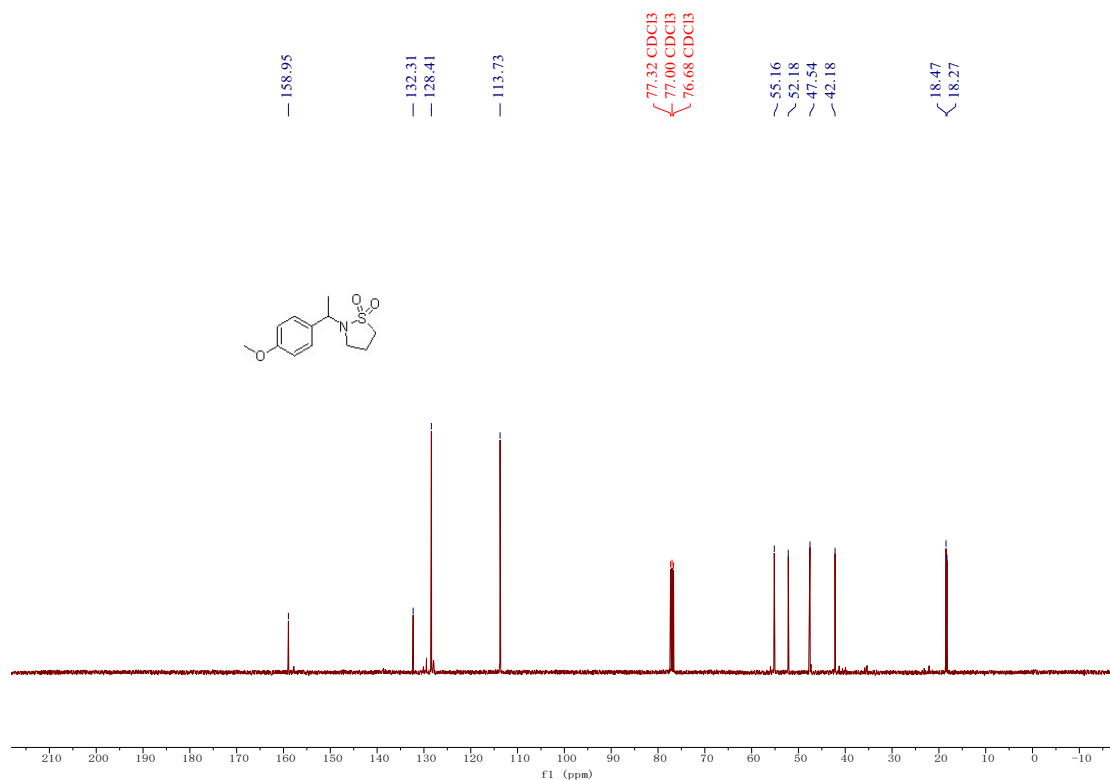
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5ah



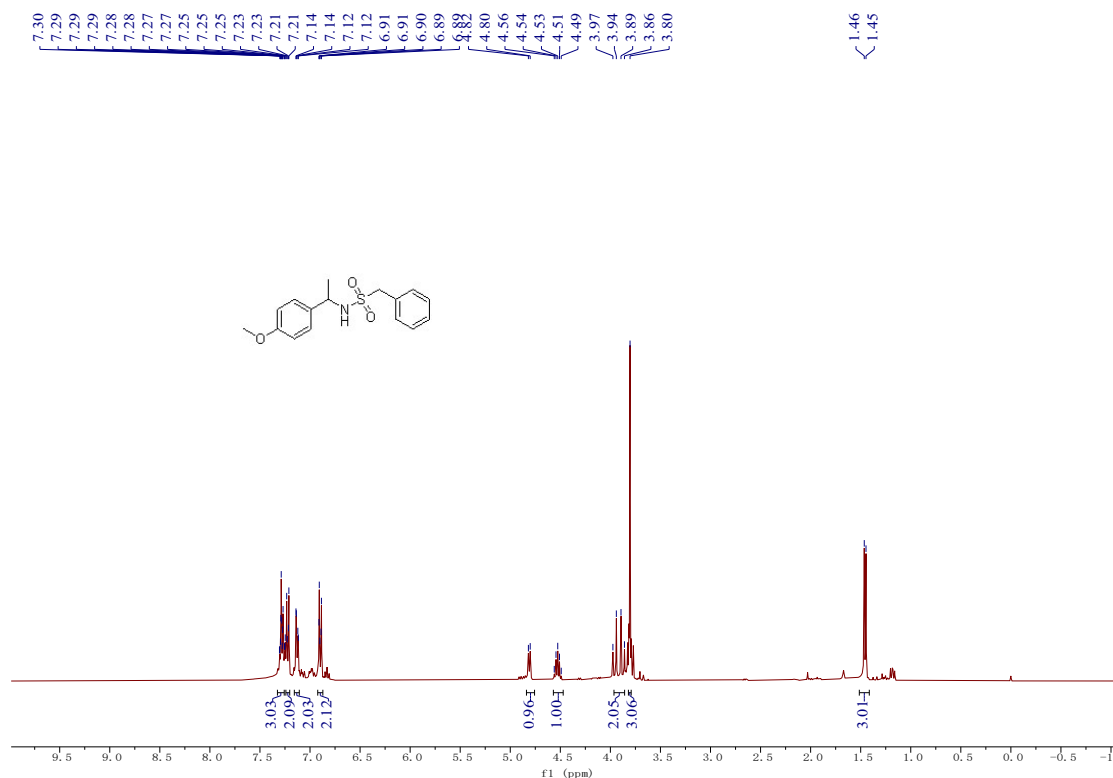
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5ai



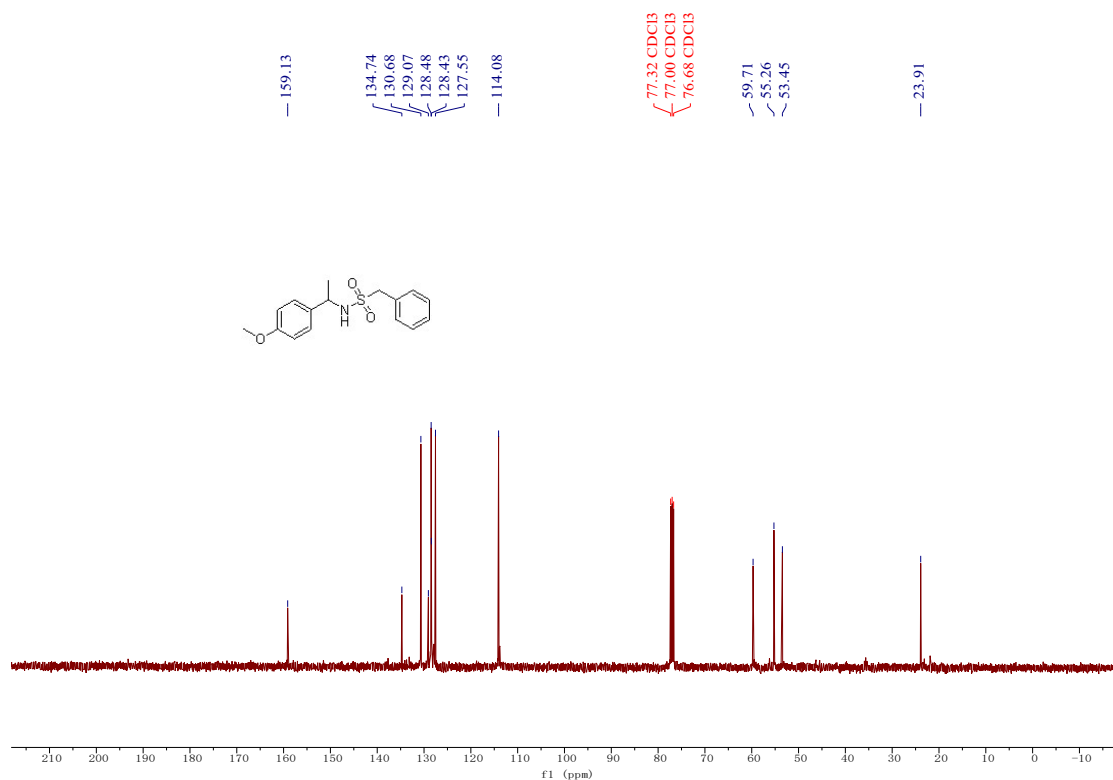
### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5ai



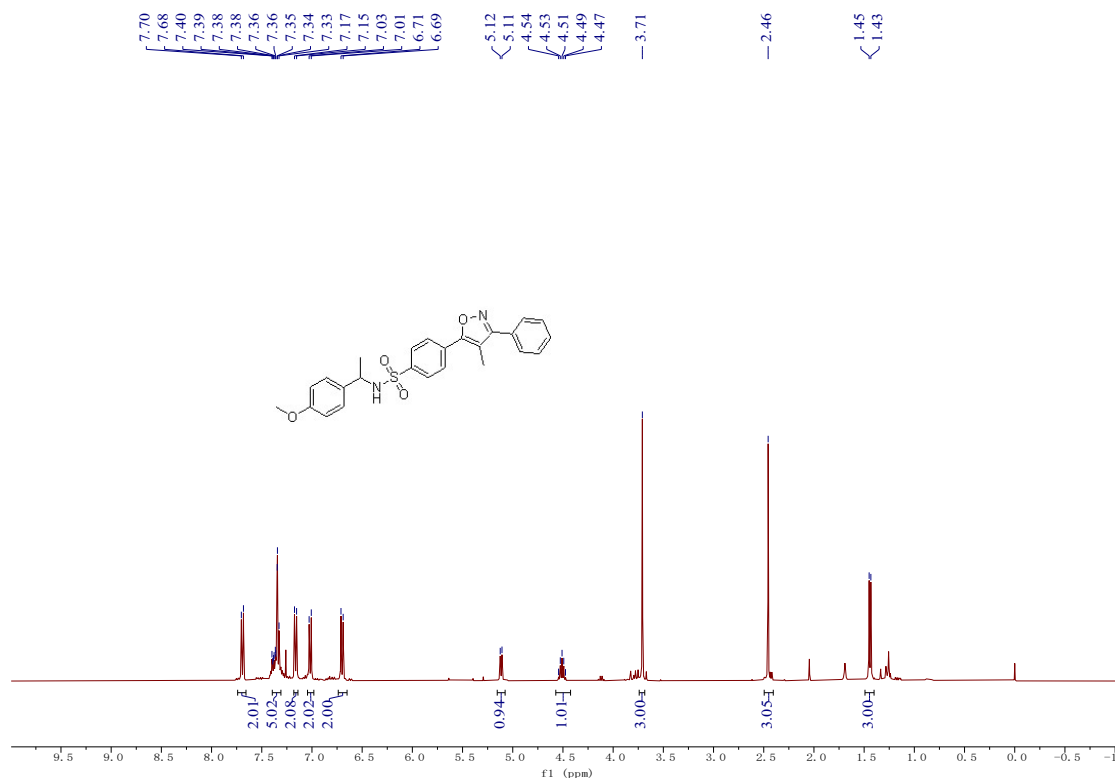
**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of 5aj**



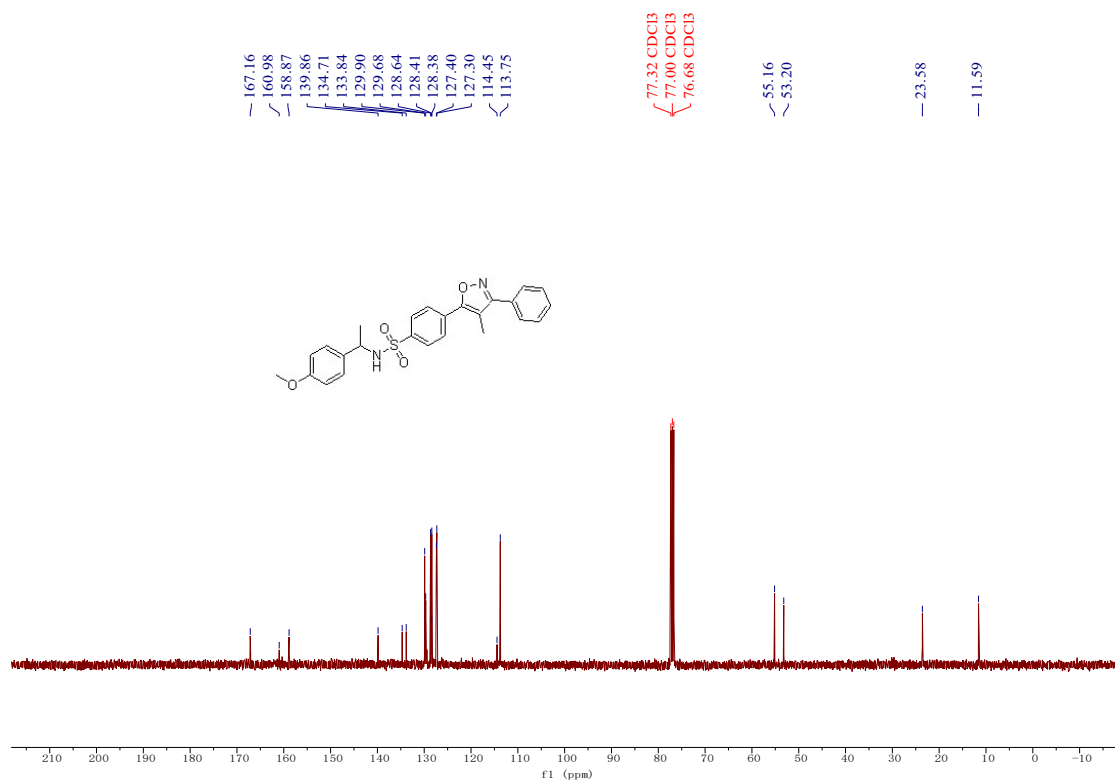
**<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of 5aj**



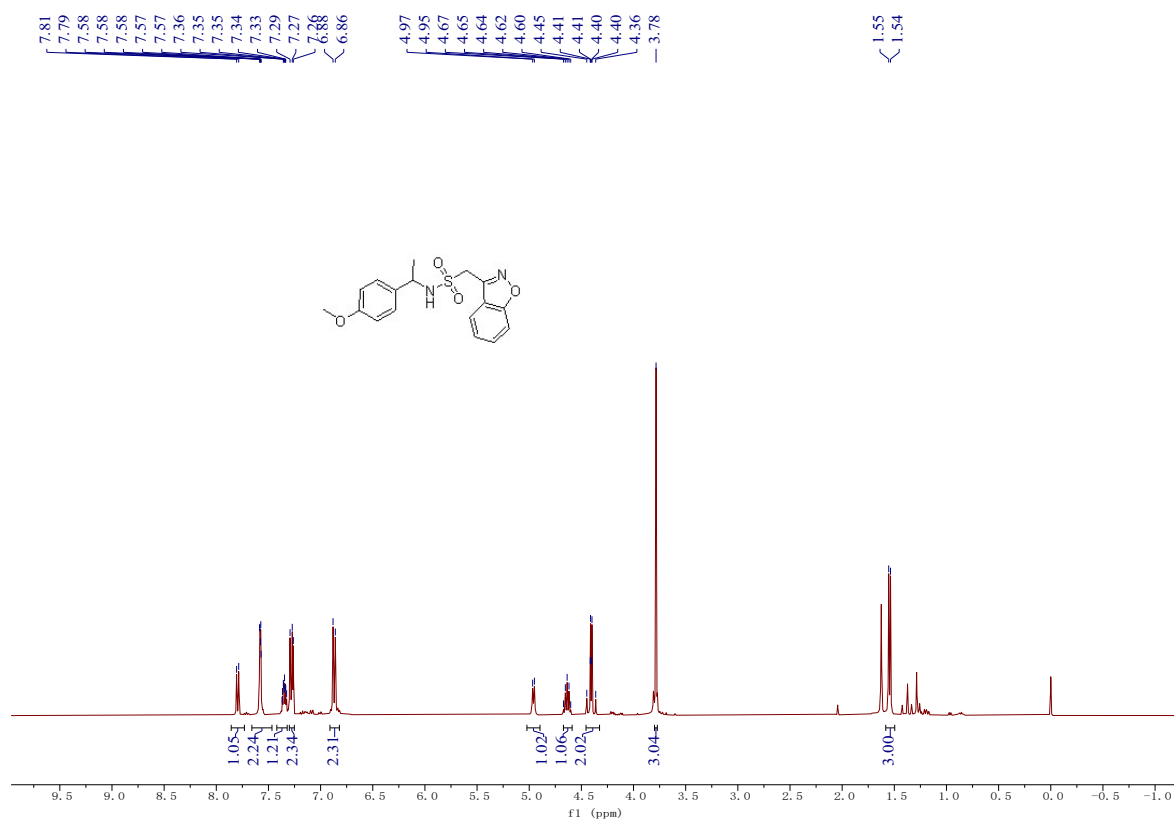
### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of T1



### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of T1



### <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of T2



### <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of T2

