

## Supporting Information

### Chemoselective acylation of *N*-acylglutarimides with *N*-acylpyrroles and aryl esters under transition-metal-free conditions

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## General Information

All reactions were conducted under an atmosphere of dry nitrogen with oven-dried glassware or vacuum line techniques. All anhydrous solvents were purchased from Sigma-Aldrich and used without further purification. Unless otherwise stated, reagents were commercially available and used without purification. Chemicals were purchased from Sigma-Aldrich, TCI China, Acros, Alfa Aesar or J&K. Progress of reactions was monitored by thin-layer chromatography using TLC plates and visualized by short-wave ultraviolet light. Flash chromatography was performed with Qingdao Haiyang flash silica gel (200–300 mesh). The NMR spectra were obtained using a Bruker AVANCE III 500 MHz spectrometers (Bruker Co., Switzerland) with TMS as the internal standard. The infrared spectra were obtained with KBr plates by using a FTIR650 FT-IR Spectrometer. High resolution mass spectrometry (HRMS) data were obtained on an Agilent Q-TOF 1290 LC/6224 MS system using electrospray ionization (ESI) in positive or negative mode. Melting points were determined on a Thermal Values analytical microscope and were uncorrected.

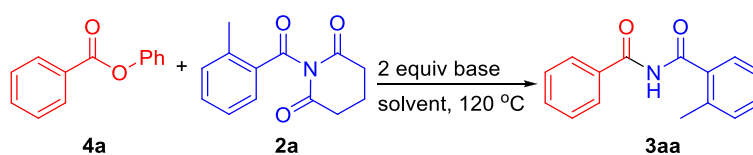
**Preparation of *N*-acylglutarimides:** *N*-acylglutarimides were prepared according to the literature.<sup>1</sup>

**Preparation of *N*-acylpyrroles:** *N*-acylpyrroles were prepared according to the literature.<sup>2</sup>

**Preparation of aryl esters:** aryl esters were prepared according to the literature.<sup>3</sup>

## Reaction optimization of acylation of *N*-acylglutarimide with aryl esters

Table S1. Reaction Optimization<sup>a</sup>



entry	solvent	temp (°C)	base	yield <sup>b</sup> (%)
1	THF	120	NaHMDS	37
2	DME	120	NaHMDS	88
3	CPME	120	NaHMDS	65
4	1,4-dioxane	120	NaHMDS	40
5	toluene	120	NaHMDS	66
6	DME	120	KHMDS	55
7	DME	120	LiHMDS	75
8	DME	120	LiO <sup>t</sup> Bu	trace
9	DME	120	NaO <sup>t</sup> Bu	trace
10	DME	120	KO <sup>t</sup> Bu	Null

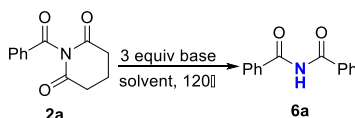
11	DME	100	NaHMDS	75
12	DME	80	NaHMDS	60
13	DME	60	NaHMDS	trace
14	DME	120	NaHMDS <sup>c</sup>	93
15	DME	120	NaHMDS <sup>d</sup>	60

<sup>a</sup>Reactions were conducted with **4a** (0.1 mmol), **2a** (0.1 mmol), base (0.2 mmol), solvent (1 mL), 12 h.

<sup>b</sup> Isolated yields. <sup>c</sup>3 equiv of NaHMDS. <sup>d</sup>1 equiv of NaHMDS.

### Reaction optimization of the synthesis of symmetric imides

Table S2. Reaction Optimization<sup>a</sup>



entry	solvent	temp (°C)	base	yield(%) <sup>b</sup>
1	THF	120	NaHMDS	40
2	DME	120	NaHMDS	90
3	CPME	120	NaHMDS	60
4	1,4-dioxane	120	NaHMDS	45
5	toluene	120	NaHMDS	80
6	DME	120	KHMDS	42
7	DME	120	LiHMDS	69
8	DME	120	LiO <sup>t</sup> Bu	35
9	DME	120	NaO <sup>t</sup> Bu	trace
10	DME	120	KO <sup>t</sup> Bu	30
11	DME	100	NaHMDS	85
12	DME	80	NaHMDS	65
13	DME	60	NaHMDS	trace
14	DME	120	NaHMDS <sup>c</sup>	85
15	DME	120	NaHMDS <sup>d</sup>	50

<sup>a</sup>Reactions were conducted with **2a** (0.1 mmol), base (0.3 mmol), solvent (1 mL), 12 h. <sup>b</sup>Isolated yields.

<sup>c</sup>2 equiv of NaHMDS. <sup>d</sup>1 equiv of NaHMDS.

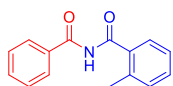
### Synthesis of diarylimides

**General Procedure A:** An oven-dried 10 mL vial equipped with a stir bar was charged with *N*-acylglutarimides (0.1 mmol) and LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol) under a nitrogen atmosphere. A solution of *N*-acylpyrroles (0.1 mmol) in 1.0 mL of dry DME was added to the reaction mixture at rt by syringe and the color of the reaction mixture turned to light yellow. The reaction mixture was stirred for 12 h at 120 °C in an oil bath. After cooling to room temperature, the reaction mixture was quenched with three drops of H<sub>2</sub>O and the vial was opened to the air, passed through a short pad of silica gel and

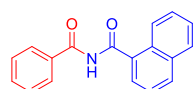
eluted with ethyl acetate (1 mL × 3). The combined organics were concentrated under reduced pressure. The crude material was loaded onto a silica gel column and purified by flash chromatography.

**General Procedure B:** An oven-dried 10 mL vial equipped with a stir bar was charged with *N*-acylglutarimides (0.1 mmol) and  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) under a nitrogen atmosphere. A solution of aryl ester (0.1 mmol) in 1.0 mL of dry DME was taken up by syringe and added to the mixture and the color of the reaction mixture turned to light yellow. After stirring for 12 h at 120 °C in an oil bath. The reaction mixture was quenched with three drops of  $\text{H}_2\text{O}$  and the vial was opened to the air, passed through a short pad of silica gel and eluted with ethyl acetate (1 mL × 3). The combined organics were concentrated *in vacuo*. The crude material was loaded onto a silica gel column and purified by flash chromatography.

**General Procedure C:** To an oven-dried 10 mL vial equipped with a stir bar was added *N*-acylglutarimides (0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) and THF (1 mL). The color of the reaction mixture turned to light yellow. The reaction mixture was then heated to 120 °C and stirred for 12 h in an oil bath. After cooling to room temperature, the reaction mixture was quenched with three drops of  $\text{H}_2\text{O}$  and the vial was opened to the air, passed through a short pad of silica gel and eluted with ethyl acetate (1 mL × 3). The combined organics were concentrated under reduced pressure. The crude material was loaded onto a silica gel column for purification of imides.

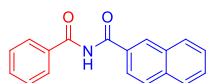


***N*-benzoyl-2-methylbenzamide (3aa).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol),  $\text{LiN}(\text{SiMe}_3)_2$  (33.3 mg, 0.2 mmol), and **2a** (23.1 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22.0 mg, 92% yield) as a white solid.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.14 (s, 1H), 7.88 – 7.87 (m, 2H), 7.61 – 7.58 (m, 1H), 7.50 – 7.44 (m, 3H), 7.40 – 7.37 (m, 1H), 7.27 – 7.25 (m, 2H), 2.47 (s, 3H). The NMR spectral data match the previously published data.<sup>4</sup>

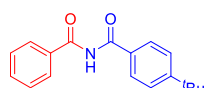


***N*-benzoyl-1-naphthamide (3ab).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol),  $\text{LiN}(\text{SiMe}_3)_2$  (33.3 mg, 0.2 mmol), and **2b** (26.7 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (23.9 mg, 87% yield) as a white solid.  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.69 (s, 1H), 8.19 (dd,  $J = 8.4, 7.0$  Hz, 1H), 8.10 (d,  $J = 8.3$  Hz, 1H), 8.04 – 8.02 (m, 1H), 7.97 – 7.95 (m, 2H), 7.81 (dd,  $J = 7.1, 1.1$  Hz, 1H), 7.64

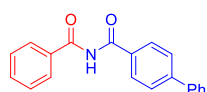
– 7.57 (m, 4H), 7.54 – 7.51 (m, 2H). The NMR spectral data match the previously published data.<sup>5</sup>



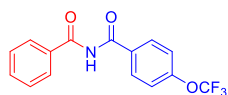
***N*-benzoyl-2-naphthamide (3ac).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.3 mmol), and **2c** (26.7 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (24.5 mg, 89% yield) as a white solid. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.45 (s, 1H), 8.58 (d, *J* = 1.1 Hz, 1H), 8.07 – 8.06 (m, 1H), 7.99 (t, *J* = 9.5 Hz, 2H), 7.94 – 7.89 (m, 3H), 7.65 – 7.58 (m, 3H), 7.53 – 7.50 (m, 2H). The NMR spectral data match the previously published data.<sup>4</sup>



***N*-benzoyl-4-(tert-butyl)benzamide (3ad).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **2d** (27.3 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (25.5 mg, 91% yield) as a yellow solid. mp: 150 – 152 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 9.10 (s, 1H), 7.86 – 7.85 (m, 2H), 7.83 – 7.80 (m, 2H), 7.60 – 7.57 (m, 1H), 7.51 – 7.47 (m, 4H), 1.34 (s, 9H). <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, CDCl<sub>3</sub>): δ 165.6, 165.2, 155.9, 132.5, 132.0, 129.4, 127.8, 126.9, 126.9, 124.8, 34.1, 30.0; IR (thin film): 3440, 3070, 2928, 2251, 2125, 1732, 1676, 1602, 1483 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>18</sub>H<sub>20</sub>NO<sub>2</sub> 282.1489; found 282.1513.

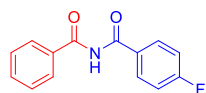


***N*-benzoyl-[1,1'-biphenyl]-4-carboxamide (3ae).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **2e** (29.3 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22.6 mg, 75% yield) as a colorless oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.38 (s, 1H), 8.03 – 8.01 (m, 2H), 7.95 – 7.93 (m, 2H), 7.84 – 7.82 (m, 2H), 7.78 – 7.76 (m, 2H), 7.67 – 7.64 (m, 1H), 7.56 – 7.51 (m, 4H), 7.46 – 7.43 (m, 1H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, CDCl<sub>3</sub>): δ 166.6, 166.4, 145.9, 139.6, 133.3, 133.1, 131.9, 129.0, 128.8, 128.7, 128.4, 128.0, 127.4, 127.3; IR (thin film): 3448, 3128, 1892, 1720, 1665, 1411, 1387, 1264, 1010 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>20</sub>H<sub>16</sub>NO<sub>2</sub> 302.1176; found 302.1185.

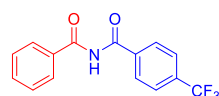


***N*-benzoyl-4-(trifluoromethoxy)benzamide (3af).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **2f** (30.1 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude

material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (26.2 mg, 85% yield) as a yellow oil.  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.46 (s, 1H), 8.07 – 8.04 (m, 2H), 7.95 – 7.93 (m, 2H), 7.66 – 7.63 (m, 1H), 7.55 – 7.51 (m, 4H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  168.0, 167.2, 151.5, 134.1, 133.5, 133.2, 131.6, 129.0 (d,  $J_{\text{C(Ar)-F}} = 36.3$  Hz), 121.0, 120.4 (q,  $J_{\text{C-F}} = 257.4$  Hz); IR (thin film): 3235, 3140, 3061, 2924, 2852, 1717, 1672, 1597, 1487  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{15}\text{H}_{11}\text{F}_3\text{NO}_3$  310.0686; found 310.0691.



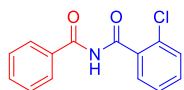
**benzoyl-4-fluorobenzamide (3ag).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol),  $\text{LiN}(\text{SiMe}_3)_2$  (33.3 mg, 0.2 mmol), and **2g** (23.5 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22.4 mg, 92% yield) as a yellow oil.  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.40 (s, 1H), 8.06 – 8.03 (m, 2H), 7.96 – 7.95 (m, 2H), 7.69 – 7.66 (m, 1H), 7.58 – 7.55 (dd,  $J = 10.6, 4.8$  Hz, 2H), 7.41 – 7.38 (m, 2H); The NMR spectral data match the previously published data.<sup>4</sup>



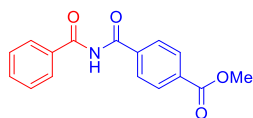
**benzoyl-4-(trifluoromethyl)benzamide (3ah).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol),  $\text{LiN}(\text{SiMe}_3)_2$  (33.3 mg, 0.2 mmol), and **2h** (28.5 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22.0 mg, 75% yield) as a white solid.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.40 (s, 1H), 7.94 (d,  $J = 8.1$  Hz, 2H), 7.88 – 7.86 (m, 2H), 7.72 (d,  $J = 8.3$  Hz, 2H), 7.61 (t,  $J = 7.5$  Hz, 1H), 7.48 (t,  $J = 7.8$  Hz, 2H). The NMR spectral data match the previously published data.<sup>4</sup>



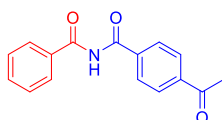
**N-benzoyl-[1,1'-biphenyl]-2-carboxamide (3ai).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol),  $\text{LiN}(\text{SiMe}_3)_2$  (33.3 mg, 0.2 mmol), and **2i** (29.3 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (23.4 mg, 78% yield) as a colorless oil.  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.19 (s, 1H), 7.53 – 7.37 (m, 7H), 7.35 – 7.30 (m, 6H), 7.28 – 7.23 (m, 1H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  170.8, 166.3, 139.9, 139.4, 136.1, 132.9, 132.7, 130.3, 129.8, 128.6, 128.4, 128.3, 128.2, 127.9, 127.5, 127.3; IR (thin film): 3452, 3241, 3148, 1721, 1685, 1511, 1487, 1473, 1264  $\text{cm}^{-1}$ ;  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{20}\text{H}_{16}\text{NO}_2$  302.1176; found 302.1185.



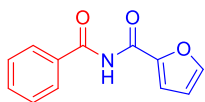
**N-benzoyl-2-chlorobenzamide (3aj).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **2j** (25.1 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22 mg, 85% yield) as a white solid. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.10 (s, 1H), 8.50-8.47 (m, 2H), 8.12 – 8.07 (m, 1H), 8.04 – 7.97 (m, 3H), 7.96 – 7.93 (m, 2H), 7.90 – 7.87 (m, 1H). The NMR spectral data match the previously published data.<sup>6</sup>



**methyl 4-(benzoylcarbamoyl)benzoate (3ak).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **2k** (27.5 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22.6 mg, 80% yield) as a yellow oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.48 (s, 1H), 8.05 – 8.02 (m, 2H), 7.98 – 7.95 (m, 2H), 7.90 – 7.88 (m, 2H), 7.63 – 7.59 (m, 1H), 7.51 – 7.48 (m, 2H), 3.86 (s, 3H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 167.6, 167.5, 165.7, 138.1, 133.5, 132.8, 132.7, 129.1, 128.9, 128.7, 128.5, 52.5; IR (thin film): 3374, 2924, 2853, 1734, 1713, 1504, 1486, 1468, 1244 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>16</sub>H<sub>14</sub>NO<sub>4</sub> 284.0917; found 284.0921.

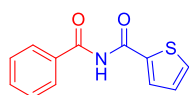


**4-acetyl-N-benzoylbenzamide (3al).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol), NaN(SiMe<sub>3</sub>)<sub>2</sub> (56 mg, 0.3 mmol), and **2l** (25.9 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (17.4 mg, 65% yield) as a yellow oil. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 9.28 (s, 1H), 8.03 (d, *J* = 8.4 Hz, 2H), 7.93 – 7.88 (m, 4H), 7.63 – 7.60 (m, 1H), 7.51 (t, *J* = 7.8 Hz, 2H), 2.63 (s, 3H). The NMR spectral data match the previously published data.<sup>5</sup>



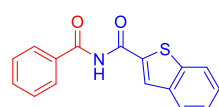
**N-benzoylfuran-2-carboxamide (3am).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **2m** (20.7 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (13.1 mg, 61% yield) as a yellow solid. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 9.37 (s, 1H), 7.89 (dd, *J* = 5.2, 3.3 Hz, 2H), 7.62 – 7.58 (m, 2H), 7.51 (dd, *J* = 10.5, 4.8 Hz, 2H), 7.40 – 7.39 (m, 1H), 6.62 (dd, *J* = 3.6,

1.7 Hz, 1H); The NMR spectral data match the previously published data.<sup>4</sup>



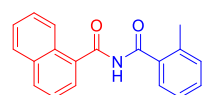
**benzoylthiophene-2-carboxamide (3an).** The reaction was performed following

General Procedure A with **1a** (17.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **2n** (22.3 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (16.4 mg, 71% yield) as a white solid. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.30 (s, 1H), 8.17 (dd, *J* = 3.8, 1.0 Hz, 1H), 8.00 – 7.99 (m, 1H), 7.89 – 7.87 (m, 2H), 7.66 – 7.63 (m, 1H), 7.53 (dd, *J* = 10.7, 4.8 Hz, 2H), 7.25 (dd, *J* = 4.9, 3.9 Hz, 1H). The NMR spectral data match the previously published data.<sup>4</sup>



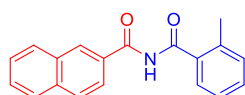
**N-benzoylbenzo[b]thiophene-2-carboxamide (3ao).** The reaction was performed following General Procedure A with **1a** (17.1 mg, 0.1 mmol),

LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **2o** (27.3 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (19.7 mg, 70% yield) as a yellow oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO) δ 11.47 (s, 1H), 8.48 (s, 1H), 8.02 – 8.01 (m, 1H), 7.95 (d, *J* = 7.7 Hz, 1H), 7.88 – 7.86 (m, 2H), 7.62 – 7.58 (m, 1H), 7.51 – 7.46 (m, 3H), 7.44 – 7.41 (m, 1H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO) δ 167.3, 161.7, 141.3, 138.9, 138.1, 133.9, 132.7, 129.3, 128.7, 128.4, 127.3, 126.0, 125.3, 123.0; IR (thin film): 3440, 3261, 3150, 1688, 1625, 1499, 1387, 1313, 1254 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>16</sub>H<sub>12</sub>NO<sub>2</sub>S 282.0583; found 282.0590.



**N-(2-methylbenzoyl)-1-naphthamide (3ba).** The reaction was performed

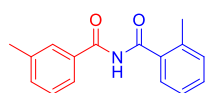
following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1b** (22.1 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (23.1 mg, 80% yield) as a yellow oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.70 (s, 1H), 8.21 (d, *J* = 8.4 Hz, 1H), 8.10 (d, *J* = 8.3 Hz, 1H), 8.03 – 8.02 (m, 1H), 7.84 (dd, *J* = 7.1, 1.1 Hz, 1H), 7.64 – 7.58 (m, 4H), 7.42 – 7.39 (m, 1H), 7.30 – 7.27 (m, 2H), 2.41 (s, 3H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 169.5, 168.9, 135.9, 135.4, 133.1, 132.8, 131.1, 130.7, 130.5, 129.6, 128.5, 127.8, 127.4, 126.6, 126.4, 125.6, 124.9, 124.7, 19.5; IR (thin film): 3227, 3143, 3063, 2960, 1720, 1677, 1512, 1243, 1195 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>19</sub>H<sub>16</sub>NO<sub>2</sub> 290.1176; found 290.1160.



**N-(2-methylbenzoyl)-2-naphthamide (3ca).** The reaction was performed

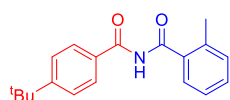


following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1c** (22.1 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (24.0 mg, 83% yield) as a white solid. mp: 159 – 161 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 9.15 (br s, 1H), 8.41 (s, 1H), 7.95 – 7.92 (m, 2H), 7.91 – 7.89 (m, 2H), 7.64 – 7.61 (m, 1H), 7.59 – 7.55 (m, 1H), 7.51 – 7.50 (m, 1H), 7.43 – 7.39 (m, 1H), 7.29 – 7.28 (m, 2H), 2.50 (s, 3H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, CDCl<sub>3</sub>): δ 169.7, 165.7, 137.0, 135.7, 134.9, 132.6, 131.5, 131.2, 130.2, 129.4, 129.2, 129.1, 128.9, 128.0, 127.4, 127.1, 126.0, 123.9, 20.1; IR (thin film): 3436, 3239, 2963, 1737, 1717, 1677, 1496, 1259, 1225 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>19</sub>H<sub>16</sub>NO<sub>2</sub> 290.1176; found 290.1210.



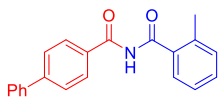
**methyl-*N*-(3-methylbenzoyl)benzamide (3da).** The reaction was performed following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1d** (18.5 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C.

The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (23.3 mg, 92% yield) as a white solid. mp: 100 – 102 °C; <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.38 (s, 1H), 7.76 (s, 1H), 7.73 (d, *J* = 7.6 Hz, 1H), 7.46 (dd, *J* = 12.8, 5.1 Hz, 2H), 7.42 – 7.38 (m, 2H), 7.30 – 7.26 (m, 2H), 2.38 (s, 6H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 170.2, 166.8, 137.8, 136.0, 135.5, 133.4, 133.2, 130.5, 130.2, 129.0, 128.4, 127.5, 125.8, 125.6, 20.9, 19.3; IR (thin film): 3238, 3143, 2924, 2852, 1738, 1718, 1679, 1581, 1504 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>16</sub>H<sub>16</sub>NO<sub>2</sub> 254.1176; found 254.1144.

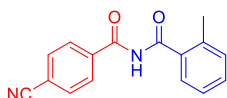


**(4-(tert-butyl)benzoyl)-2-methylbenzamide (3ea).** The reaction was performed following General Procedure A with **2a** (23.1 mg, 0.1 mmol),

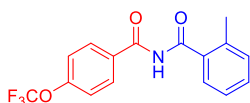
LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1e** (22.7 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (26.0 mg, 88% yield) as a white solid. mp: 124 – 125 °C; <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.33 (s, 1H), 7.84 (d, *J* = 8.4 Hz, 2H), 7.50 – 7.47 (m, 2H), 7.40 – 7.39 (m, 1H), 7.45 – 7.32 (m, 1H), 7.24 – 7.19 (m, 2H), 2.32 (s, 3H), 1.26 (s, 9H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 170.4, 166.5, 155.9, 136.1, 135.4, 130.5, 130.4, 130.1, 128.6, 127.4, 125.6, 125.3, 34.8, 30.9, 19.4; IR (thin film): 3260, 3023, 2963, 2919, 2850, 1734, 1717, 1659, 1500 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>19</sub>H<sub>22</sub>NO<sub>2</sub> 296.1645; found 296.1617.



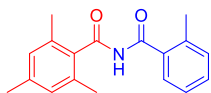
***N*-(2-methylbenzoyl)-[1,1'-biphenyl]-4-carboxamide (3fa).** The reaction was performed following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1f** (24.7 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22.1 mg, 70% yield) as a colorless oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.43 (s, 1H), 7.98 – 7.96 (m, 2H), 7.76 – 7.74 (m, 2H), 7.69 – 7.67 (m, 2H), 7.45 – 7.42 (m, 3H), 7.37 – 7.31 (m, 2H), 7.23 – 7.19 (m, 2H), 2.33 (s, 3H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 170.3, 166.5, 144.3, 138.9, 136.0, 135.5, 132.0, 130.6, 130.2, 129.4, 129.1, 128.4, 127.6, 127.0, 126.6, 125.6, 19.4; IR (thin film): 3259, 3061, 3024, 2927, 2250, 1732, 1682, 1559, 1455 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>21</sub>H<sub>18</sub>NO<sub>2</sub> 316.1332; found 316.1300.



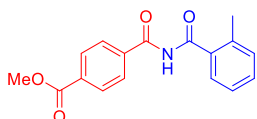
***N*-(4-cyanobenzoyl)-2-methylbenzamide (3ga).** The reaction was performed following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1g** (19.6 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22.4 mg, 85% yield) as a white solid. mp: 130 – 132 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.93 (s, 1H), 7.88 (d, *J* = 8.4 Hz, 2H), 7.72 (d, *J* = 8.4 Hz, 2H), 7.43 (d, *J* = 7.7 Hz, 1H), 7.38 – 7.35 (m, 1H), 7.24 – 7.19 (m, 2H), 2.41 (s, 3H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, CDCl<sub>3</sub>): δ 168.0, 164.2, 136.4, 135.9, 132.7, 131.5, 130.62, 130.61, 127.7, 126.1, 125.0, 116.6, 115.4, 19.0; IR (thin film): 3288, 3102, 2925, 2853, 2233, 1720, 1677, 1659, 1510 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>16</sub>H<sub>13</sub>N<sub>2</sub>O<sub>2</sub> 265.0972; found 265.0944.



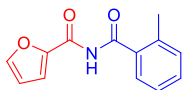
**2-methyl-*N*-(4-(trifluoromethoxy)benzoyl)benzamide (3ha).** The reaction was performed following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1h** (25.5 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (30.0 mg, 93% yield) as a white solid. mp: 132 – 134 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 9.16 (s, 1H), 7.88 – 7.87 (m, 2H), 7.37 (d, *J* = 7.7 Hz, 1H), 7.33 – 7.30 (m, 1H), 7.23 (d, *J* = 8.1 Hz, 2H), 7.20 – 7.16 (m, 2H), 2.38 (s, 3H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, CDCl<sub>3</sub>): δ 169.0, 163.8, 151.7, 135.9, 133.4, 130.3, 130.2, 130.1, 129.2, 126.0, 124.8, 119.6, 119.2 (q, *J*<sub>C-F</sub> = 259.1 Hz), 18.8; IR (thin film): 3270, 2925, 2854, 1724, 1676, 1606, 1500, 1476, 1289 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>16</sub>H<sub>13</sub>F<sub>3</sub>NO<sub>3</sub> 324.0842; found 324.0833.



**2,4,6-trimethyl-N-(2-methylbenzoyl)benzamide (3ia).** The reaction was performed following General Procedure A with **2a** (23.1 mg, 0.1 mmol),  $\text{KN}(\text{SiMe}_3)_2$  (39.9 mg, 0.2 mmol), and **1i** (21.3 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (19.7 mg, 70% yield) as a white solid. mp: 121 – 123 °C;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.65 (s, 1H), 7.46 (d,  $J = 7.6$  Hz, 1H), 7.38 (t,  $J = 7.2$  Hz, 1H), 7.26 – 7.23 (m, 2H), 6.86 (s, 2H), 2.45 (s, 3H), 2.31 (s, 6H), 2.28 (s, 3H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  169.7, 166.0, 138.1, 136.6, 132.8, 132.48, 132.45, 130.6, 130.4, 127.4, 125.9, 125.0, 20.2, 19.1, 18.3; IR (thin film): 3451, 3240, 2921, 2851, 1723, 1681, 1478, 1231, 1097  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{18}\text{H}_{20}\text{NO}_2$  282.1489; found 282.1451.

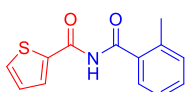


**Methyl-4-((2-methylbenzoyl)carbamoyl)benzoate (3ja).** The reaction was performed following General Procedure A with **2a** (23.1 mg, 0.1 mmol),  $\text{LiN}(\text{SiMe}_3)_2$  (33.3 mg, 0.2 mmol), and **1j** (22.9 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (22.3 mg, 75% yield) as a white solid. mp: 126 – 128 °C;  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.61 (s, 1H), 8.07 – 8.05 (m, 2H), 8.02 – 8.01 (m, 2H), 7.52 (dd,  $J = 7.6, 1.0$  Hz, 1H), 7.43 – 7.40 (m, 1H), 7.31 – 7.27 (m, 2H), 3.89 (s, 3H), 2.38 (s, 3H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  170.3, 166.8, 166.0, 137.9, 136.2, 136.0, 133.3, 131.1, 130.9, 129.6, 129.4, 128.2, 126.1, 53.0, 19.9; IR (thin film): 3227, 2924, 2854, 1720, 1465, 1378, 1279, 1138, 1107  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{17}\text{H}_{16}\text{NO}_4$  298.1074; found 298.1055.



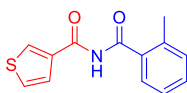
**(2-methylbenzoyl)furan-2-carboxamide (3ka).** The reaction was performed following General Procedure A with **2a** (23.1 mg, 0.1 mmol),  $\text{LiN}(\text{SiMe}_3)_2$  (33.3 mg, 0.2 mmol), and **1k** (16.1 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (12.6 mg, 55% yield) as a yellow oil.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.09 (s, 1H), 7.55 (d,  $J = 1.0$  Hz, 1H), 7.48 – 7.46 (m, 1H), 7.41 – 7.38 (m, 1H), 7.35 (dd,  $J = 5.5, 2.4$  Hz, 1H), 7.28 – 7.25 (m, 2H), 6.60 (dd,  $J = 3.6, 1.7$  Hz, 1H), 2.49 (s, 3H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  167.3, 153.9, 145.3, 144.5, 135.8, 133.6, 130.3, 130.1, 125.9, 124.8, 117.1, 112.2, 18.8; IR (thin film): 3274, 3145, 2925, 2852, 1713, 1675, 1571, 1499, 1238  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for

C<sub>13</sub>H<sub>12</sub>NO<sub>3</sub> 230.0812; found 230.0799.



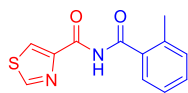
**(2-methylbenzoyl)thiophene-2-carboxamide (3la).** The reaction was performed

following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1l** (17.7 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (15.9 mg, 65% yield) as a white solid. mp: 134 – 135 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 9.35 (s, 1H), 7.84 (dd, *J* = 3.8, 1.1 Hz, 1H), 7.64 (dd, *J* = 5.0, 1.1 Hz, 1H), 7.42 (d, *J* = 7.7 Hz, 1H), 7.39 – 7.36 (m, 1H), 7.26 – 7.23 (m, 2H), 7.13 (dd, *J* = 4.9, 3.9 Hz, 1H), 2.46 (s, 3H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, CDCl<sub>3</sub>): δ 168.7, 158.2, 136.3, 135.4, 133.7, 132.6, 130.1, 129.9, 127.2, 125.9, 124.8, 18.8, one resonance was not observed due to coincidental overlap; IR (thin film): 3247, 3136, 3104, 1726, 1713, 1673, 1522, 1499, 1413 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>13</sub>H<sub>12</sub>NO<sub>2</sub>S 246.0583; found 246.0577.



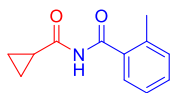
**N-(2-methylbenzoyl)thiophene-3-carboxamide (3ma).** The reaction was performed

following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1m** (17.7 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (14.2 mg, 58% yield) as a yellow solid. mp: 135 – 137 °C; <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.25 (s, 1H), 8.51 (dd, *J* = 2.9, 1.3 Hz, 1H), 7.61 – 7.54 (m, 2H), 7.39 – 7.33 (m, 2H), 7.26 – 7.21 (m, 2H), 2.32 (s, 3H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 170.2, 161.0, 136.3, 136.1, 135.2, 132.6, 130.4, 130.0, 127.6, 127.33, 127.26, 125.6, 19.3; IR (thin film): 3245, 3108, 3096, 2926, 1719, 1672, 1495, 1481, 1238 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>13</sub>H<sub>12</sub>NO<sub>2</sub>S 246.0583; found 246.0577.



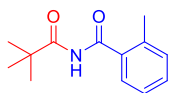
**(2-methylbenzoyl)thiazole-4-carboxamide (3na).** The reaction was performed

following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1n** (17.8 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (13.8 mg, 56% yield) as a yellow solid. mp: 134 – 136 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 10.24 (s, 1H), 8.82 (d, *J* = 2.1 Hz, 1H), 8.39 (d, *J* = 2.1 Hz, 1H), 7.55 – 7.53 (m, 1H), 7.44 – 7.40 (m, 1H), 7.31 – 7.27 (m, 2H), 2.54 (s, 3H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, CDCl<sub>3</sub>): δ 167.0, 157.0, 152.3, 148.8, 136.2, 133.4, 130.5, 130.2, 126.1, 125.6, 125.0, 19.1; IR (thin film): 3475, 3349, 3121, 3076, 2923, 2851, 1740, 1691, 1510 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>12</sub>H<sub>11</sub>N<sub>2</sub>O<sub>2</sub>S 247.0536; found 247.0501.



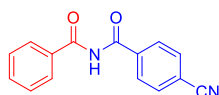
**(cyclopropanecarbonyl)-2-methylbenzamide (30a).** The reaction was performed

following General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1o** (13.5 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (13.8 mg, 68% yield) as a white solid. mp: 142 – 143 °C; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.36 (s, 1H), 7.47 (d, *J* = 7.7 Hz, 1H), 7.41 – 7.38 (m, 1H), 7.29 – 7.26 (m, 2H), 2.96 – 2.91 (m, 1H), 2.51 (s, 3H), 1.21 – 1.20 (m, 2H), 1.07 – 1.04 (m, 2H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, CDCl<sub>3</sub>): δ 176.6, 168.4, 137.4, 134.5, 131.7, 131.5, 127.0, 126.1, 20.2, 14.7, 11.2; IR (thin film): 3447, 3002, 2682, 1926, 1810, 1773, 1682, 1512, 1313 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>12</sub>H<sub>14</sub>NO<sub>2</sub> 204.1019; found 204.0991.



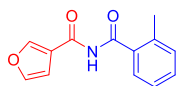
**2-methyl-N-pivaloylbenzamide (3pa).** The reaction was performed following

General Procedure A with **2a** (23.1 mg, 0.1 mmol), LiN(SiMe<sub>3</sub>)<sub>2</sub> (33.3 mg, 0.2 mmol), and **1p** (15.1 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (13.4 mg, 61% yield) as a white solid. mp: 135 – 137 °C; <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 10.57 (s, 1H), 7.33 – 7.30 (m, 1H), 7.24 – 7.20 (m, 3H), 2.26 (s, 3H), 1.14 (s, 9H). The NMR spectral data match the previously published data.<sup>7</sup>



**benzoyl-4-cyanobenzamide (5ah).** The reaction was performed following

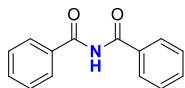
General Procedure B with **4a** (19.8 mg, 0.1 mmol), NaN(SiMe<sub>3</sub>)<sub>2</sub> (56 mg, 0.3 mmol), and 4-(2,6-dioxopiperidine-1-carbonyl)benzotrile (24.2 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (16.5 mg, 56% yield) as a yellow solid. mp: 136 – 137 °C; <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.54 (s, 1H), 8.01 – 7.96 (m, 4H), 7.92 – 7.90 (m, 2H), 7.64 – 7.60 (m, 1H), 7.52 – 7.49 (m, 2H). The NMR spectral data match the previously published data.<sup>8</sup>



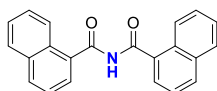
**N-(2-methylbenzoyl)furan-3-carboxamide (5la).** The reaction was performed

following General Procedure B with **2a** (23.1 mg, 0.1 mmol), NaN(SiMe<sub>3</sub>)<sub>2</sub> (56 mg, 0.3 mmol), and phenyl furan-3-carboxylate (18.8 mg, 0.1 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (14.9 mg, 65% yield) as a yellow oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 10.55 (s, 1H), 8.88 (dd, *J* = 1.5, 0.8 Hz, 1H), 8.14 (dd, *J* = 4.1, 2.4 Hz, 1H), 7.90 (dd, *J* = 7.6, 1.2 Hz, 1H), 7.83 – 7.80 (m, 1H), 7.73 – 7.67 (m, 2H), 7.38 (dd, *J* = 1.9, 0.8 Hz, 1H), 2.85 (s, 3H);

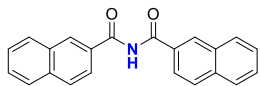
$^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  170.0, 160.8, 147.8, 144.6, 136.2, 135.2, 130.5, 130.1, 127.4, 125.6, 121.9, 109.6, 19.3; IR (thin film): 3274, 3145, 2925, 2852, 1713, 1675, 1571, 1499, 1238, 1159  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{13}\text{H}_{12}\text{NO}_3$  230.0812; found 230.0782.



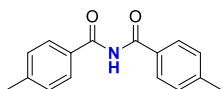
***N*-benzoylbenzamide (6a)**. The reaction was performed following General Procedure C with *N*-benzoylglutarimide (21.7 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (10.1 mg, 90% yield) as a white solid.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.99 (s, 1H), 7.88 – 7.86 (m, 4H), 7.63 – 7.60 (m, 2H), 7.53 – 7.50 (m, 4H). The NMR spectral data match the previously published data.<sup>4</sup>



***N*-(1-naphthoyl)-1-naphthamide (6b)**. The reaction was performed following General Procedure C with **2b** (26.7 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (11.0 mg, 68% yield) as a white solid.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.89 (s, 1H), 8.37 (d,  $J$  = 8.4 Hz, 2H), 7.99 (d,  $J$  = 8.3 Hz, 2H), 7.90 – 7.88 (m, 2H), 7.78 (dd,  $J$  = 7.1, 1.0 Hz, 2H), 7.61 – 7.54 (m, 4H), 7.48 (dd,  $J$  = 8.2, 7.2 Hz, 2H). The NMR spectral data match the previously published data.<sup>9</sup>

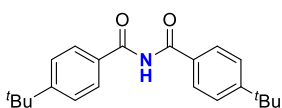


***N*-(2-naphthoyl)-2-naphthamide (6c)**. The reaction was performed following General Procedure C with **2c** (26.7 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (11.0 mg, 68% yield) as a white solid. mp: 115 – 117 °C;  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.58 (s, 1H), 8.61 (s, 2H), 8.06 (d,  $J$  = 8.0 Hz, 2H), 8.03 – 7.98 (m, 4H), 7.94 (dd,  $J$  = 8.6, 1.6 Hz, 2H), 7.66 – 7.58 (m, 4H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  168.3, 135.2, 132.4, 131.6, 130.2, 129.7, 128.9, 128.5, 128.2, 127.4, 125.3; IR (thin film): 3434, 3266, 3204, 1825, 1713, 1600, 1422, 1410, 1400  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{22}\text{H}_{16}\text{NO}_2$  326.1176; found 326.1160.



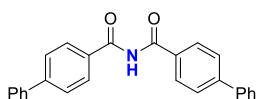
**methyl-*N*-(4-methylbenzoyl)benzamide (6d)**. The reaction was performed following General Procedure C with **2d** (23.1 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (8.5 mg, 68% yield) as a white solid.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.06 (s, 1H), 7.76 – 7.75 (m, 4H),

7.27 (d,  $J = 7.8$  Hz, 4H), 2.41 (s, 6H). The NMR spectral data match the previously published data.<sup>10</sup>



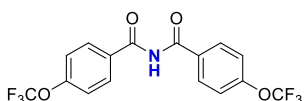
**4-(tert-butyl)-N-(4-(tert-butyl)benzoyl)benzamide (6e).** The reaction

was performed following General Procedure C with **2e** (27.3 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (12.6 mg, 75% yield) as a colorless oil.  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.16 (s, 1H), 7.86 – 7.84 (m, 4H), 7.55 – 7.53 (m, 4H), 1.32 (s, 18H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  167.5, 155.7, 131.1, 128.6, 125.2, 34.8, 30.9; IR (thin film): 3440, 3236, 3204, 2252, 2126, 1659, 1294, 1153, 1027  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{22}\text{H}_{28}\text{NO}_2$  338.2115; found 338.2090.



**([1,1'-biphenyl]-4-carbonyl)-[1,1'-biphenyl]-4-carboxamide (6f).** The

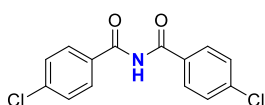
reaction was performed following General Procedure C with **2f** (29.3 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (10.9 mg, 58% yield) as a colorless oil.  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.21 (s, 1H), 7.97 (d,  $J = 8.3$  Hz, 4H), 7.70 (d,  $J = 8.3$  Hz, 4H), 7.62 – 7.60 (m, 4H), 7.47 (t,  $J = 7.5$  Hz, 4H), 7.42 – 7.39 (m, 2H). The NMR spectral data match the previously published data.<sup>9</sup>



**4-(trifluoromethoxy)-N-(4-(trifluoromethoxy)benzoyl)benzamide**

**(6g).** The reaction was performed following General Procedure C with **2g** (30.1 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in

DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (12.8 mg, 65% yield) as a white solid. mp: 120 – 122 °C;  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.53 (s, 1H), 8.06 – 8.04 (m, 4H), 7.52 (d,  $J = 8.1$  Hz, 4H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  165.0, 151.7, 130.2, 129.3, 119.6, 119.2 (q,  $J_{\text{C-F}} = 259.2$  Hz); IR (thin film): 3451, 2963, 1715, 1659, 1606, 1469, 1296  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{16}\text{H}_{10}\text{F}_6\text{NO}_4$  394.0509; found 394.0480.

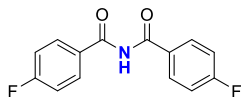


**4-chloro-N-(4-chlorobenzoyl)benzamide (6h).** The reaction was

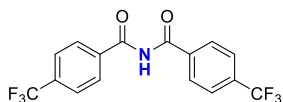
performed following General Procedure C with **2h** (25.1 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to



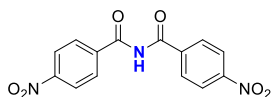
give the product (8.8 mg, 60% yield) as a colorless oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.45 (s, 1H), 7.93 – 7.92 (m, 4H), 7.61 – 7.60 (m, 4H). The NMR spectral data match the previously published data.<sup>10</sup>



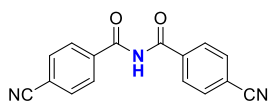
**4-fluoro-*N*-(4-fluorobenzoyl)benzamide (6i).** The reaction was performed following General Procedure C with **2i** (23.5 mg, 0.1 mmol), NaN(SiMe<sub>3</sub>)<sub>2</sub> (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (8.5 mg, 65% yield) as a colorless oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.36 (s, 1H), 8.01 – 7.98 (m, 4H), 7.38 – 7.34 (m, 4H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 167.1, 165.2 (d, *J*<sub>C-F</sub> = 250.6 Hz), 132.1 (d, *J*<sub>C-F</sub> = 9.4 Hz), 130.8 (d, *J*<sub>C-F</sub> = 2.9 Hz), 115.9 (d, *J*<sub>C-F</sub> = 22.0 Hz); IR (thin film): 3304, 3077, 2919, 2850, 1724, 1682, 1604, 1516, 1489 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>14</sub>H<sub>10</sub>F<sub>2</sub>NO<sub>2</sub> 262.0674; found 262.0644.



**4-(trifluoromethyl)-*N*-(4-(trifluoromethyl)benzoyl)benzamide (6j).** The reaction was performed following General Procedure C with **2j** (28.5 mg, 0.1 mmol), NaN(SiMe<sub>3</sub>)<sub>2</sub> (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (15.3 mg, 85% yield) as a white solid. mp: 133 – 135 °C; <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO) δ 11.71 (s, 1H), 8.06 (d, *J* = 8.1 Hz, 4H), 7.85 (d, *J* = 8.2 Hz, 4H); <sup>13</sup>C{<sup>1</sup>H} NMR (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO) δ 167.0, 137.6, 132.2 (q, *J*<sub>C(Ar)-F</sub> = 32.0 Hz), 129.51, 125.4 (q, *J*<sub>C(Ar)-F</sub> = 3.7 Hz), 123.8 (q, *J*<sub>C-F</sub> = 272.6 Hz); IR (thin film): 3466, 3022, 2887, 2850, 1701, 1666, 1485, 1262, 1192 cm<sup>-1</sup>; HRMS (ESI) *m/z*: [M + H]<sup>+</sup> calcd for C<sub>16</sub>H<sub>10</sub>F<sub>6</sub>NO<sub>2</sub> 362.0610; found 362.0588.



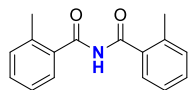
**4-nitro-*N*-(4-nitrobenzoyl)benzamide (6k).** The reaction was performed following General Procedure C with **2k** (26.2 mg, 0.1 mmol), NaN(SiMe<sub>3</sub>)<sub>2</sub> (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (7.1 mg, 45% yield) as a colorless oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.95 (s, 1H), 8.37 – 8.35 (m, 4H), 8.15 – 8.13 (m, 4H). The NMR spectral data match the previously published data.<sup>11</sup>



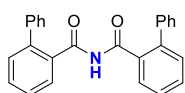
**4-cyano-*N*-(4-cyanobenzoyl)benzamide (6l).** The reaction was performed following General Procedure C with **2l** (24.2 mg, 0.1 mmol), NaN(SiMe<sub>3</sub>)<sub>2</sub> (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash



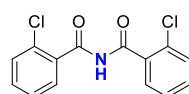
chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (8.3 mg, 60% yield) as a colorless oil.  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.79 (s, 1H), 8.07 – 8.01 (m, 8H). The NMR spectral data match the previously published data.<sup>5</sup>



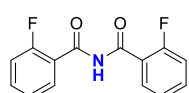
**2-methyl-*N*-(2-methylbenzoyl)benzamide (6m).** The reaction was performed following General Procedure C with **2a** (23.1 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (10.1 mg, 80% yield) as a white solid. mp: 115 – 117 °C;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.47 (s, 1H), 7.49 (d,  $J$  = 7.8 Hz, 2H), 7.42 – 7.38 (m, 2H), 7.29 – 7.27 (m, 4H), 2.51 (s, 6H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $\text{CDCl}_3$ ):  $\delta$  168.4, 137.3, 134.2, 131.6, 131.3, 127.0, 125.9, 20.0; IR (thin film): 3366, 3124, 3004, 2779, 2126, 1654, 1394, 1250, 1024  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{16}\text{H}_{16}\text{NO}_2$  254.1176; found 254.1140.



***N*-([1,1'-biphenyl]-2-carbonyl)-[1,1'-biphenyl]-2-carboxamide (6n).** The reaction was performed following General Procedure C with **2n** (29.3 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (13.2 mg, 70% yield) as a yellow solid. mp: 140 – 142 °C;  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  10.34 (s, 1H), 7.97 – 7.94 (m, 2H), 7.91 – 7.79 (m, 14H), 7.63 (dd,  $J$  = 7.6, 0.9 Hz, 2H);  $^{13}\text{C}\{^1\text{H}\}$  NMR (125 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  169.3, 139.9, 139.5, 135.5, 130.4, 129.9, 128.54, 128.51, 127.7, 127.5, 127.0.  $\text{cm}^{-1}$ ; HRMS (ESI)  $m/z$ :  $[\text{M} + \text{H}]^+$  calcd for  $\text{C}_{26}\text{H}_{20}\text{NO}_2$  378.1489; found 378.1466.

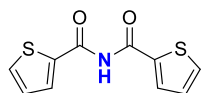


**2-chloro-*N*-(2-chlorobenzoyl)benzamide (6o).** The reaction was performed following General Procedure C with **2o** (25.1 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (9.4 mg, 64% yield) as a colorless oil.  $^1\text{H}$  NMR (500 MHz,  $(\text{CD}_3)_2\text{SO}$ ):  $\delta$  11.11 (s, 1H), 8.07 – 8.05 (m, 2H), 7.97 – 7.95 (m, 4H), 7.91 – 7.88 (m, 2H). The NMR spectral data match the previously published data.<sup>5</sup>



**2-fluoro-*N*-(2-fluorobenzoyl)benzamide (6p).** The reaction was performed following General Procedure C with **2p** (23.5 mg, 0.1 mmol),  $\text{NaN}(\text{SiMe}_3)_2$  (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash

chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (8.6 mg, 66% yield) as a colorless oil. <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 10.19 (s, 1H), 8.15 – 8.12 (m, 2H), 7.60 – 7.58 (m, 2H), 7.35 – 7.32 (m, 2H), 7.23 – 7.18 (m, 2H). The NMR spectral data match the previously published data.<sup>12</sup>



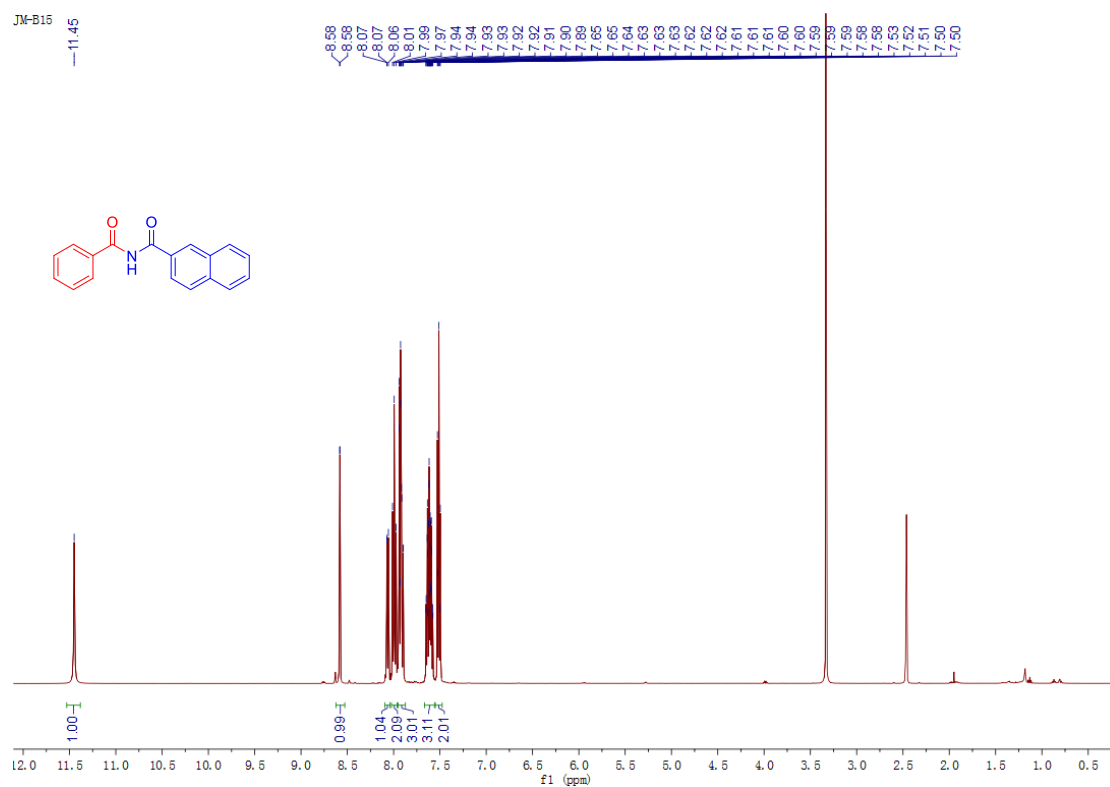
***N*-(thiophene-2-carbonyl)thiophene-2-carboxamide (6q)**. The reaction was performed following General Procedure C with **2q** (22.3 mg, 0.1 mmol), NaN(SiMe<sub>3</sub>)<sub>2</sub> (56 mg, 0.3 mmol) dissolved in DME (1 mL) at 120 °C. The crude material was purified by flash chromatography on silica gel (eluted with hexanes:EtOAc = 5:1) to give the product (6.9 mg, 58% yield) as a yellow oil. <sup>1</sup>H NMR (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO): δ 11.12 (s, 1H), 8.07 (dd, *J* = 3.8, 0.8 Hz, 2H), 7.96 (dd, *J* = 4.9, 0.6 Hz, 2H), 7.22 (dd, *J* = 4.8, 4.0 Hz, 2H). The NMR spectral data match the previously published data.<sup>13</sup>

## References

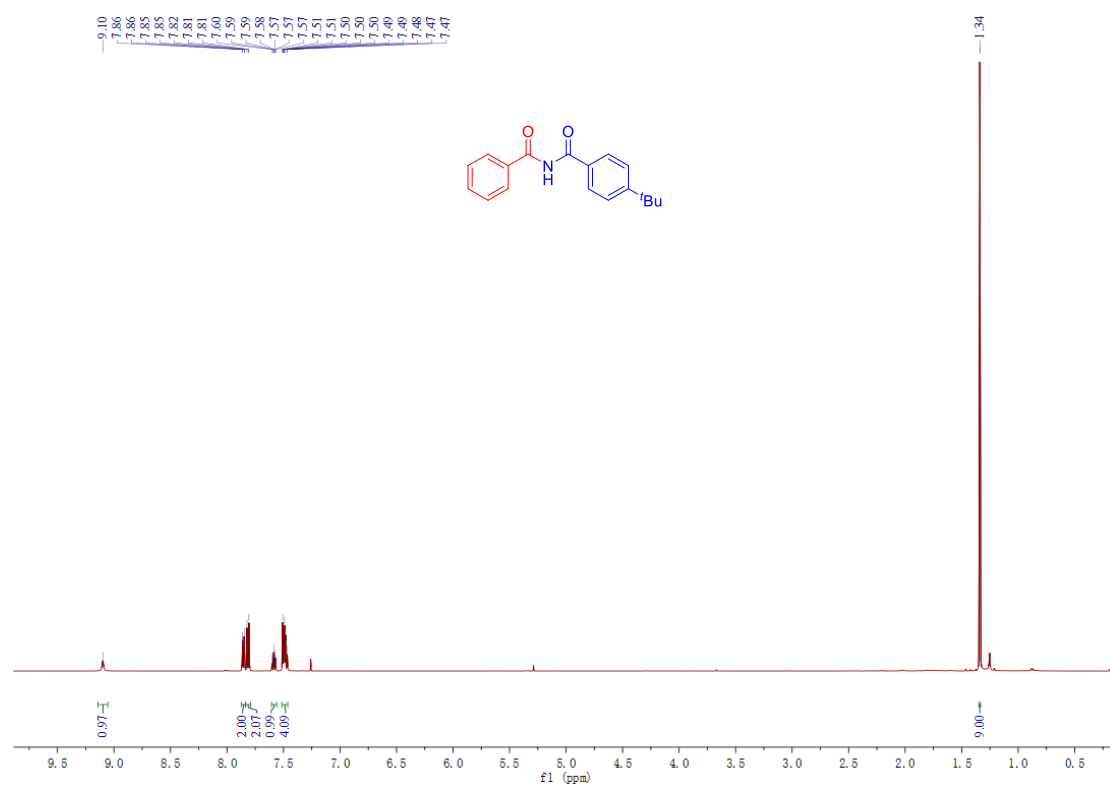
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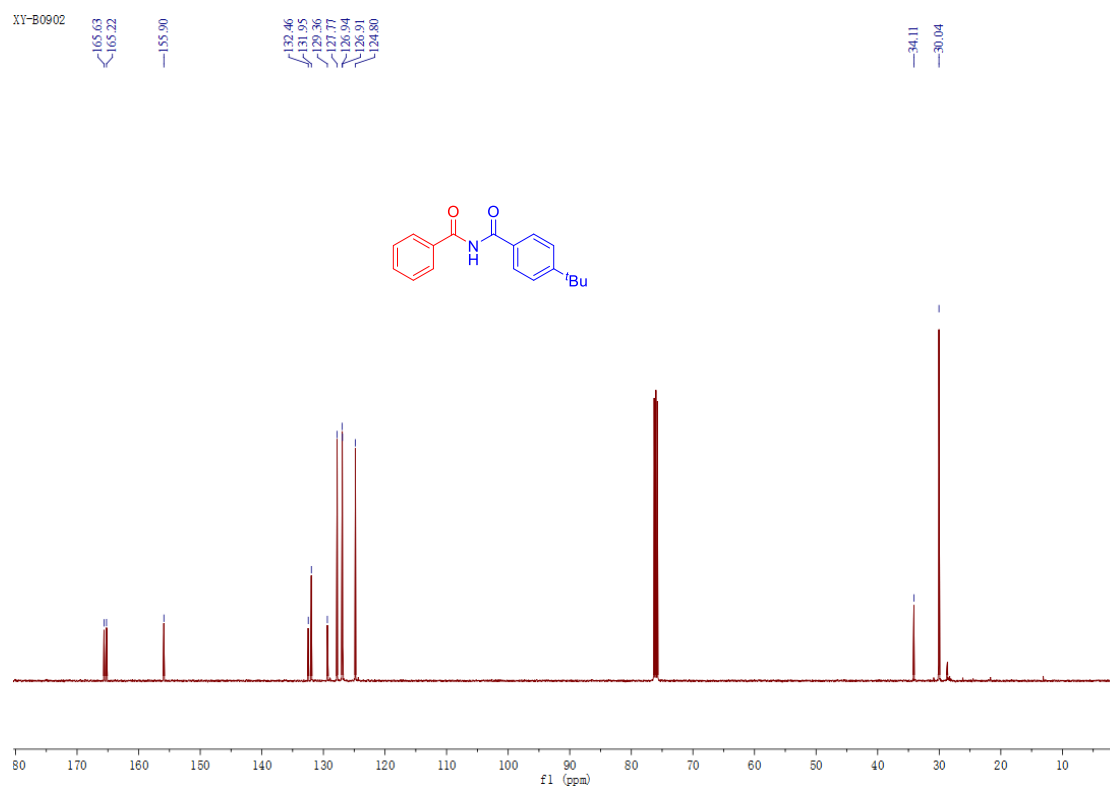
Supplementary Figure 3. <sup>1</sup>H NMR Spectrum of 3ac (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



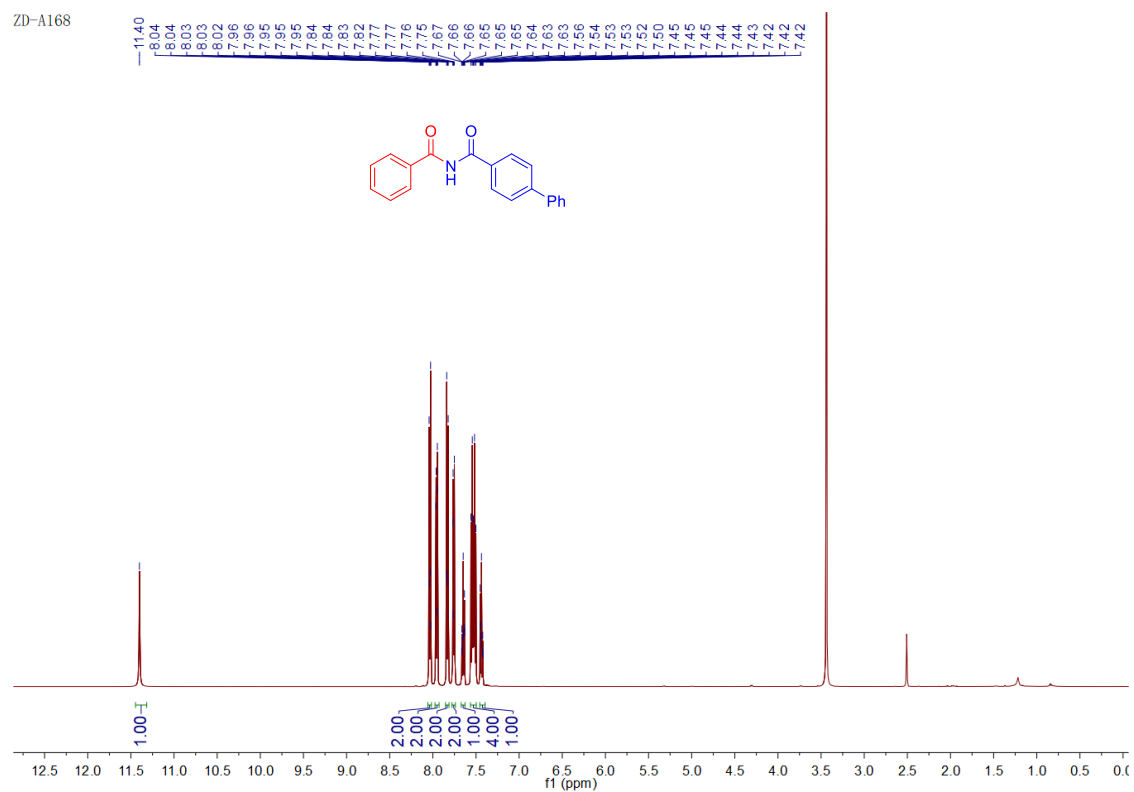
Supplementary Figure 4. <sup>1</sup>H NMR Spectrum of 3ad (500 MHz, CDCl<sub>3</sub>)



Supplementary Figure 5. <sup>13</sup>C NMR Spectrum of 3ad (125 MHz, CDCl<sub>3</sub>)

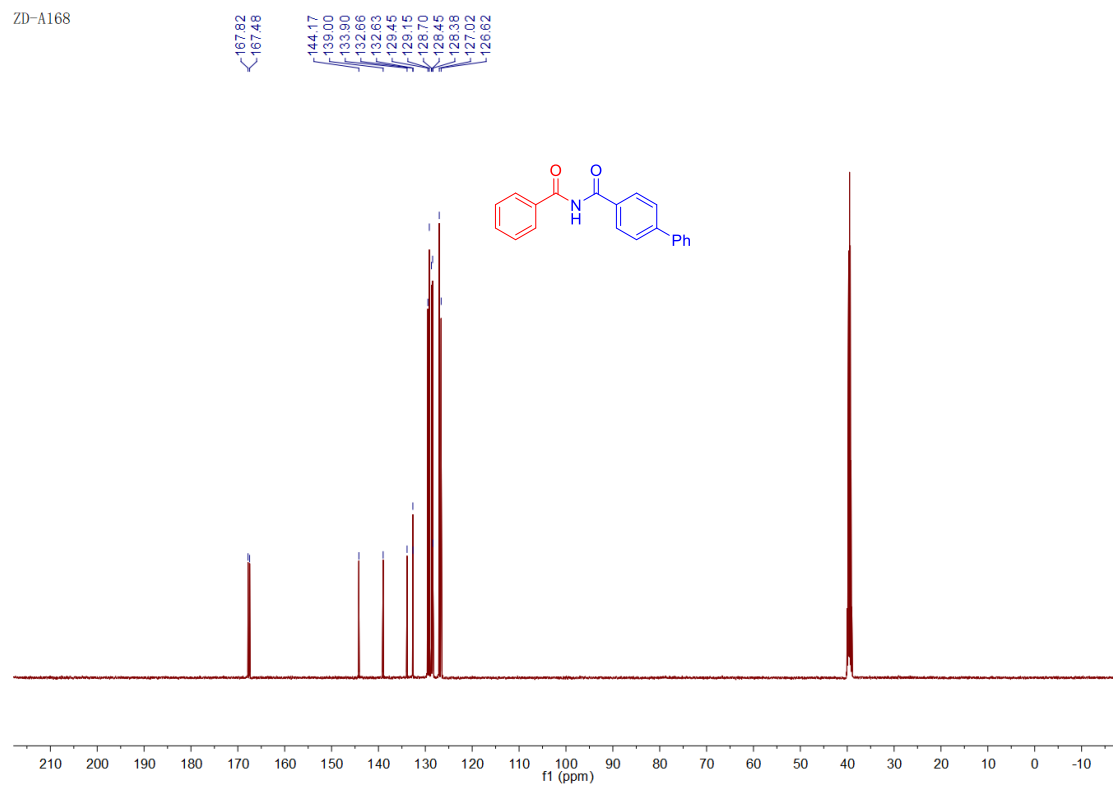


Supplementary Figure 6. <sup>1</sup>H NMR Spectrum of 3ae (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



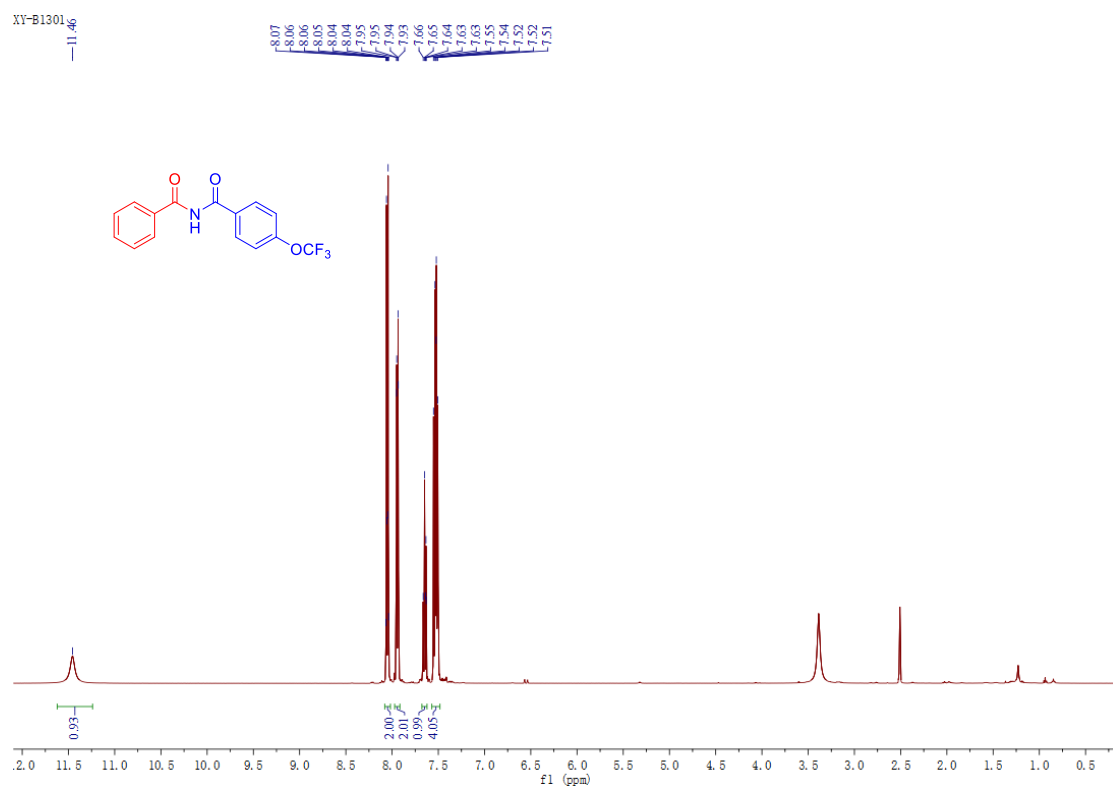
### Supplementary Figure 7. $^{13}\text{C}$ NMR Spectrum of 3ae (125 MHz, $\text{CDCl}_3$ )

ZD-A168

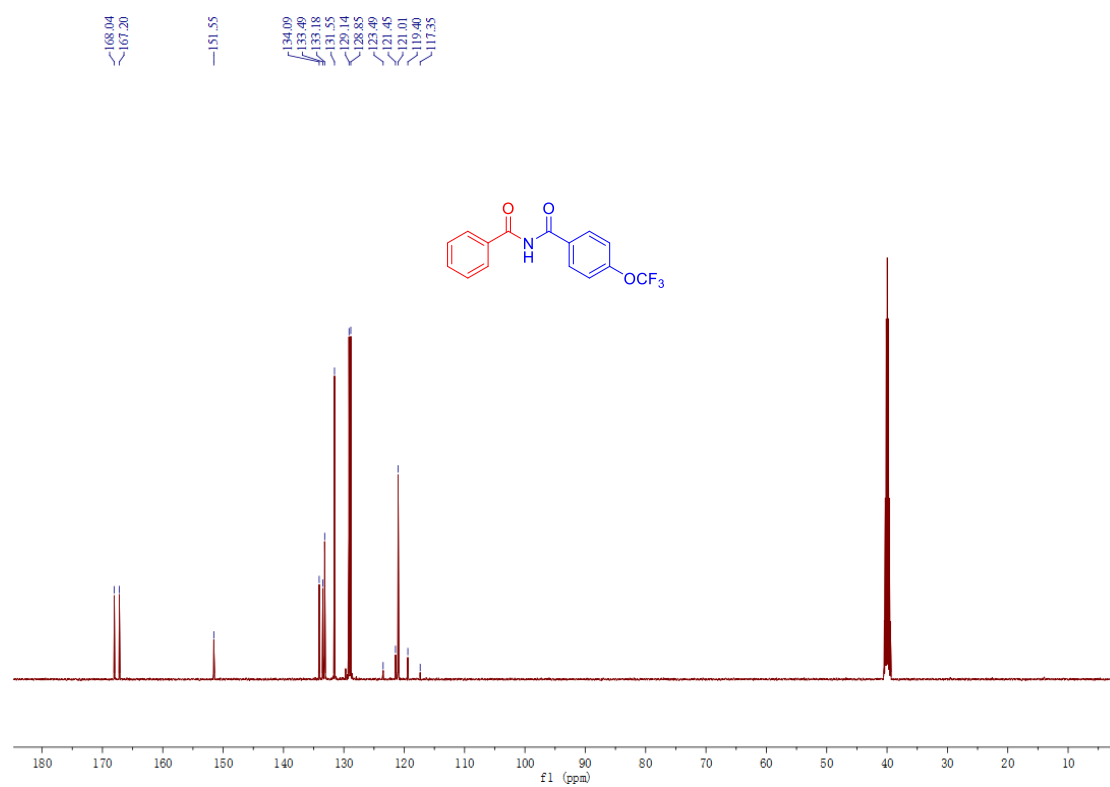


### Supplementary Figure 8. $^1\text{H}$ NMR Spectrum of 3af (500 MHz, $(\text{CD}_3)_2\text{SO}$ )

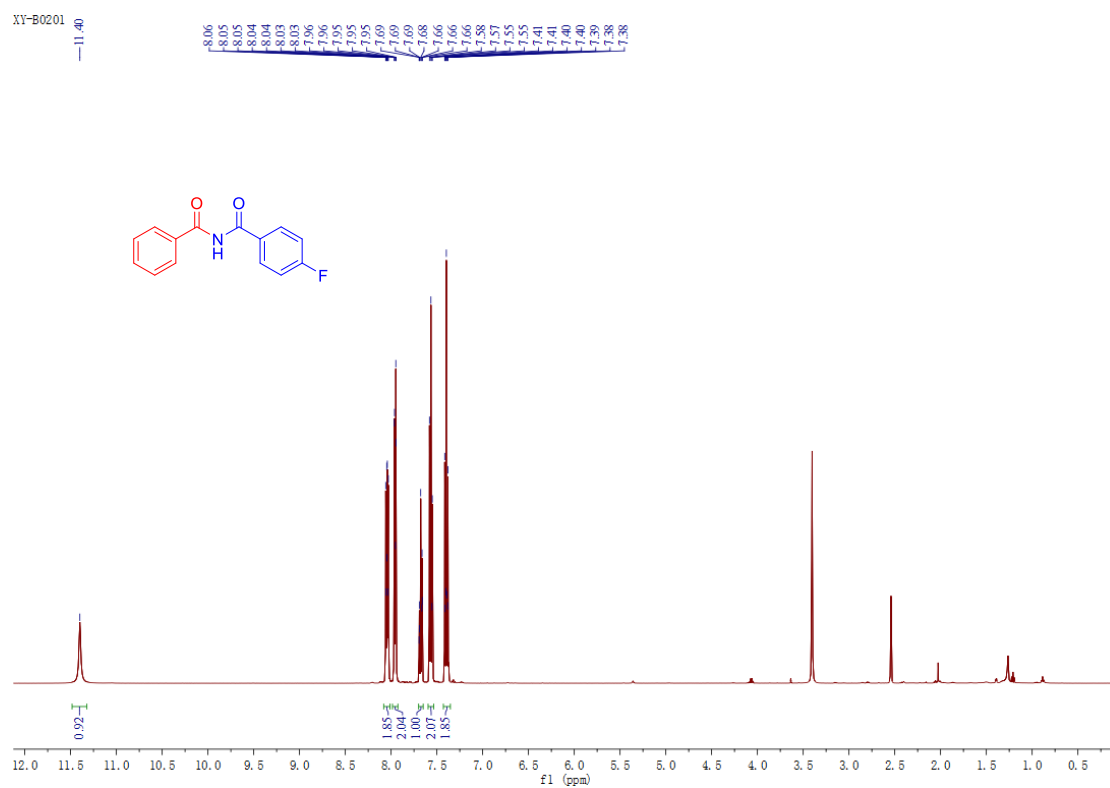
XY-B1301



Supplementary Figure 9.  $^{13}\text{C}$  NMR Spectrum of 3af (125 MHz,  $(\text{CD}_3)_2\text{SO}$ )

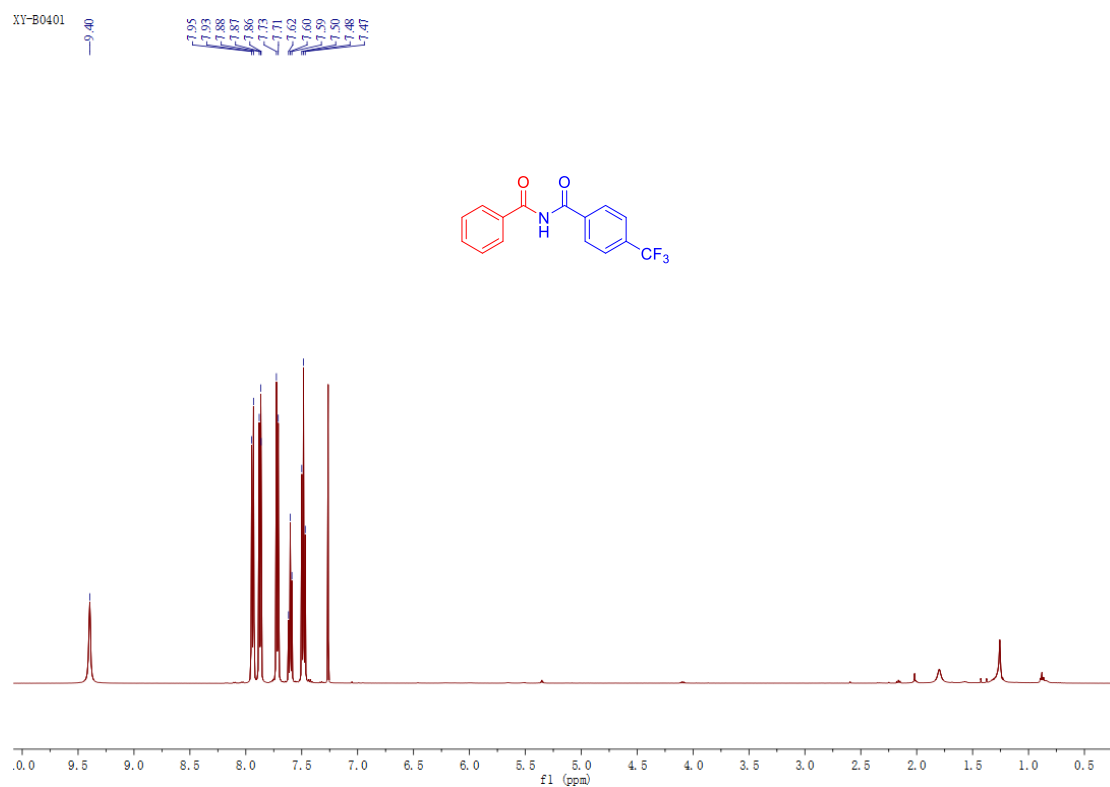


Supplementary Figure 10.  $^1\text{H}$  NMR Spectrum of 3ag (500 MHz,  $(\text{CD}_3)_2\text{SO}$ )

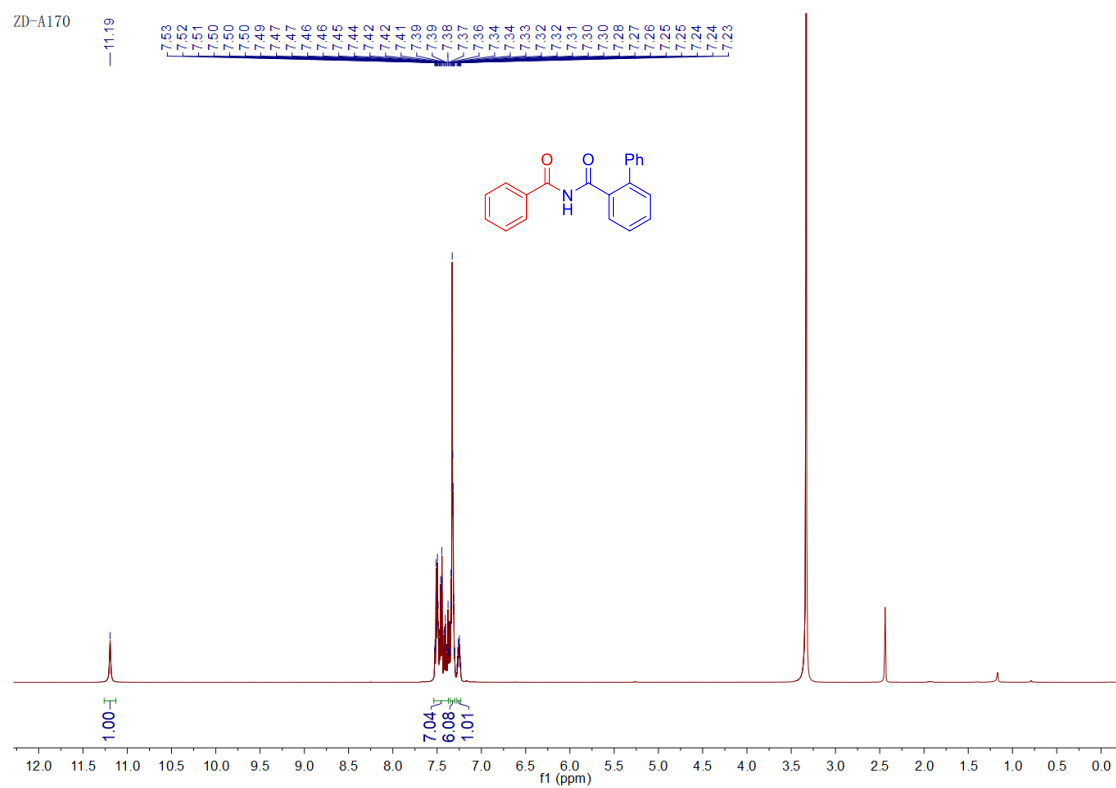




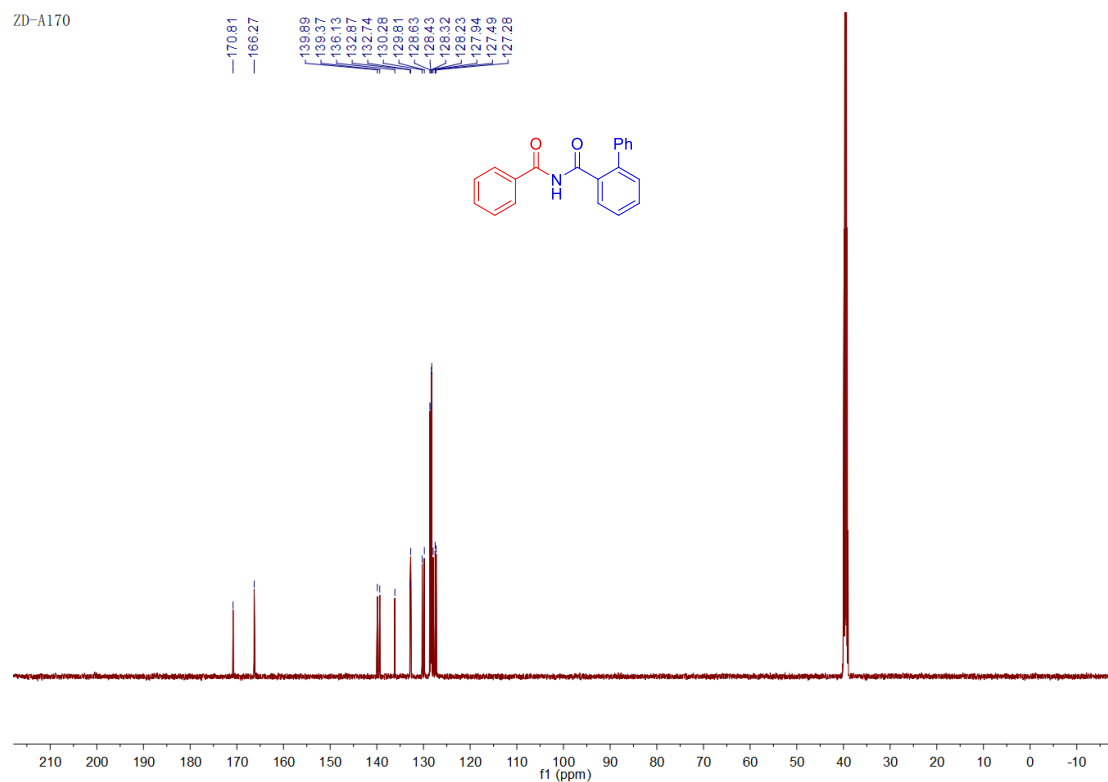
Supplementary Figure 11. <sup>1</sup>H NMR Spectrum of 3ah (500 MHz, CDCl<sub>3</sub>)



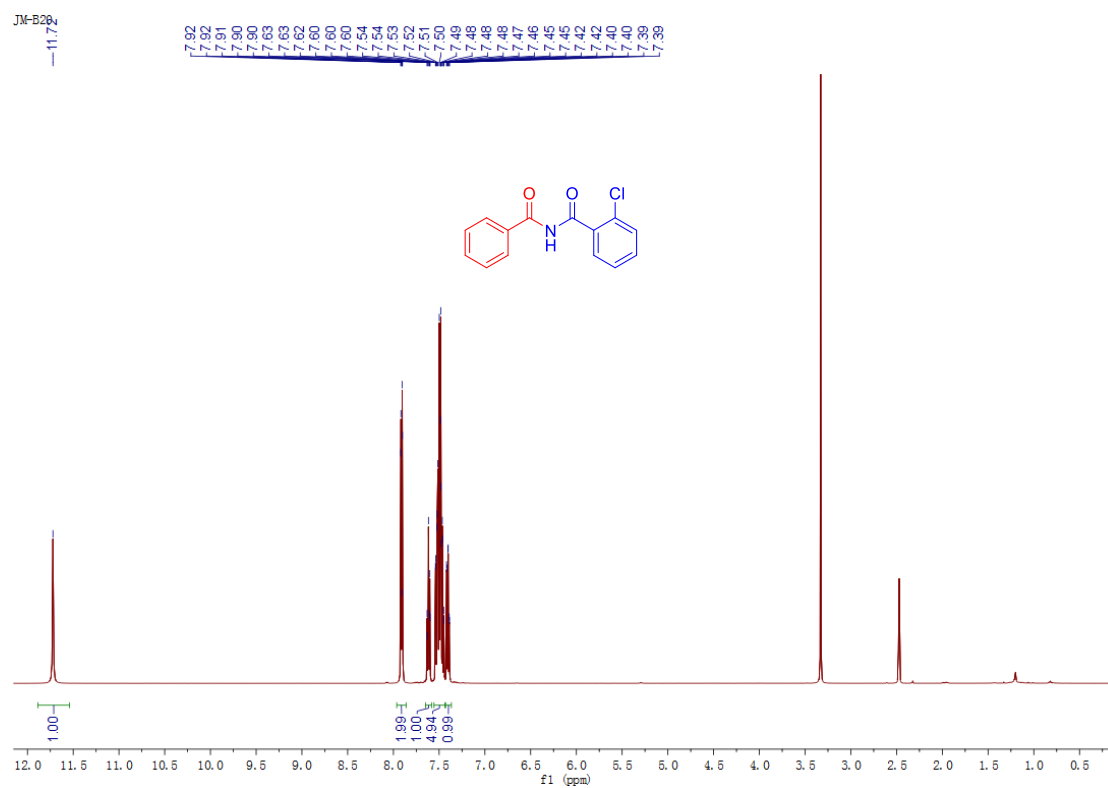
Supplementary Figure 12. <sup>1</sup>H NMR Spectrum of 3ai (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



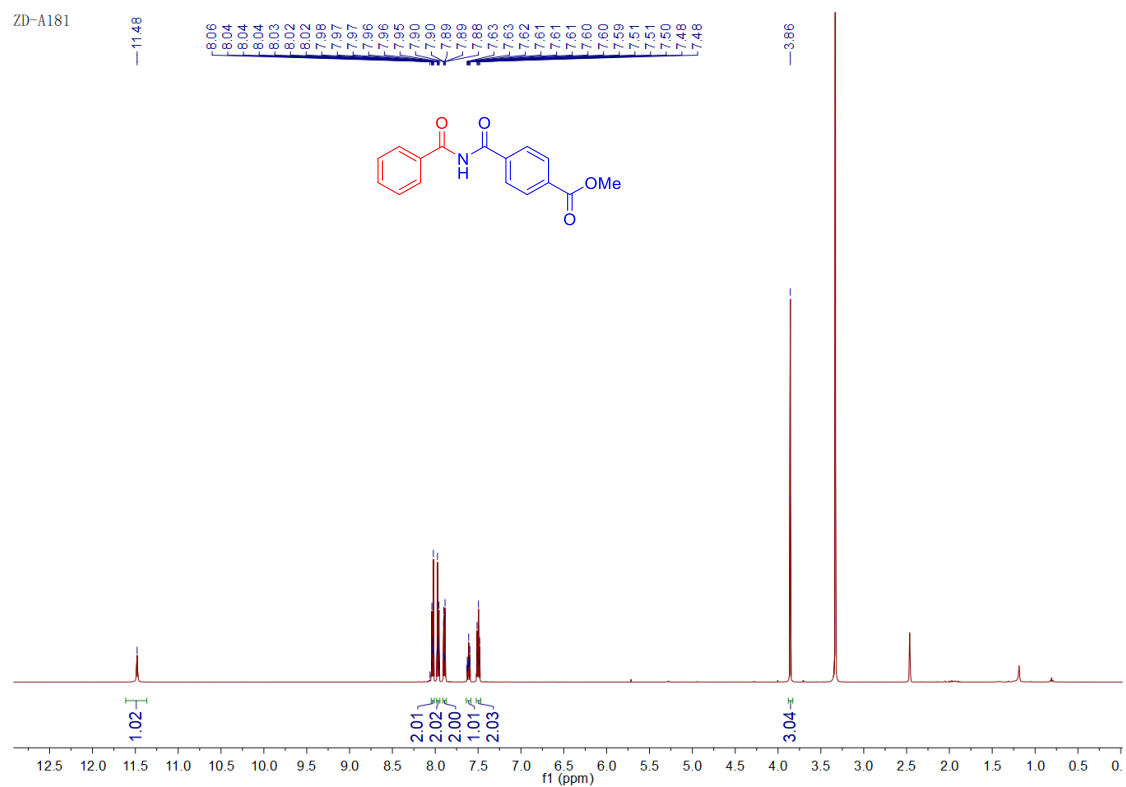
Supplementary Figure 13.  $^{13}\text{C}$  NMR Spectrum of 3ai (125 MHz,  $(\text{CD}_3)_2\text{SO}$ )



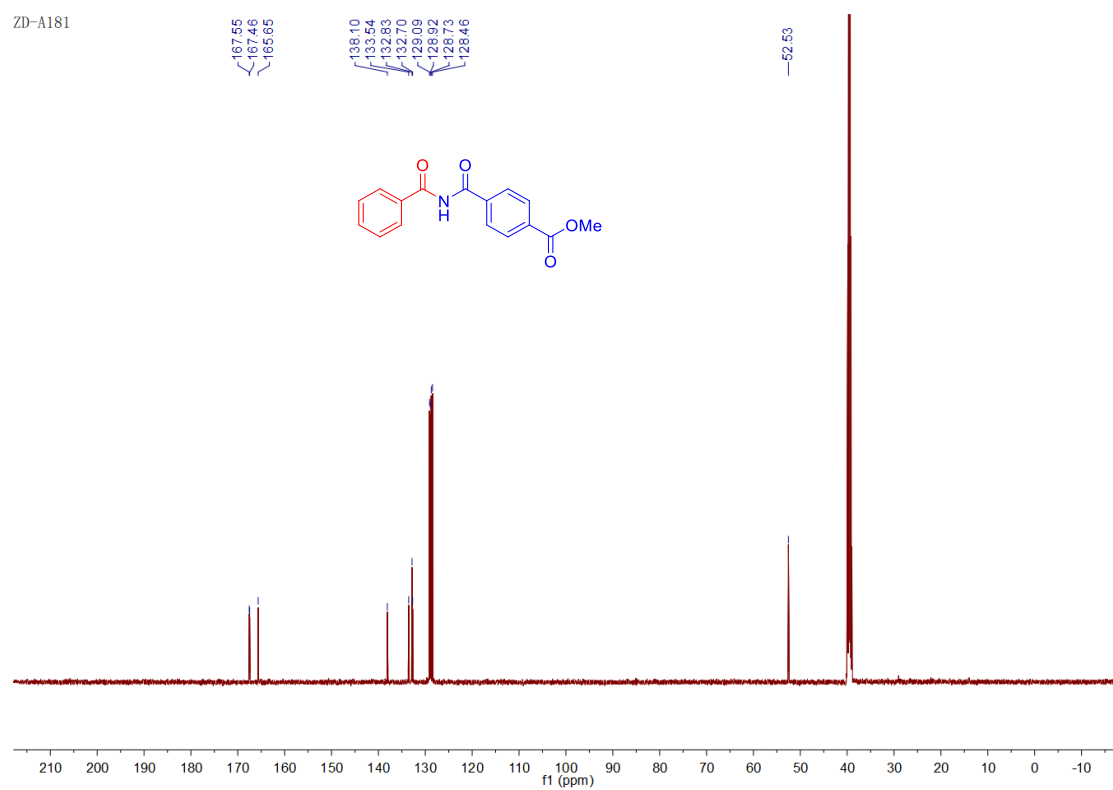
Supplementary Figure 14.  $^1\text{H}$  NMR Spectrum of 3aj (500 MHz,  $(\text{CD}_3)_2\text{SO}$ )



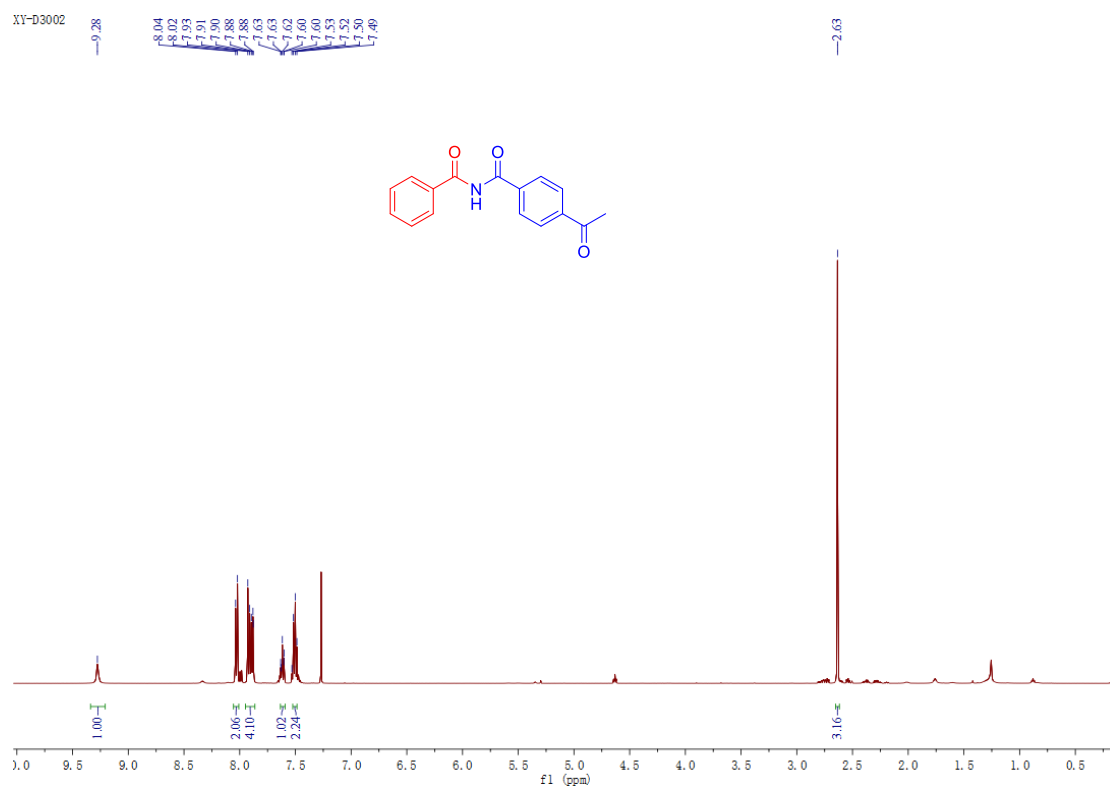
### Supplementary Figure 15. <sup>1</sup>H NMR Spectrum of 3ak (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



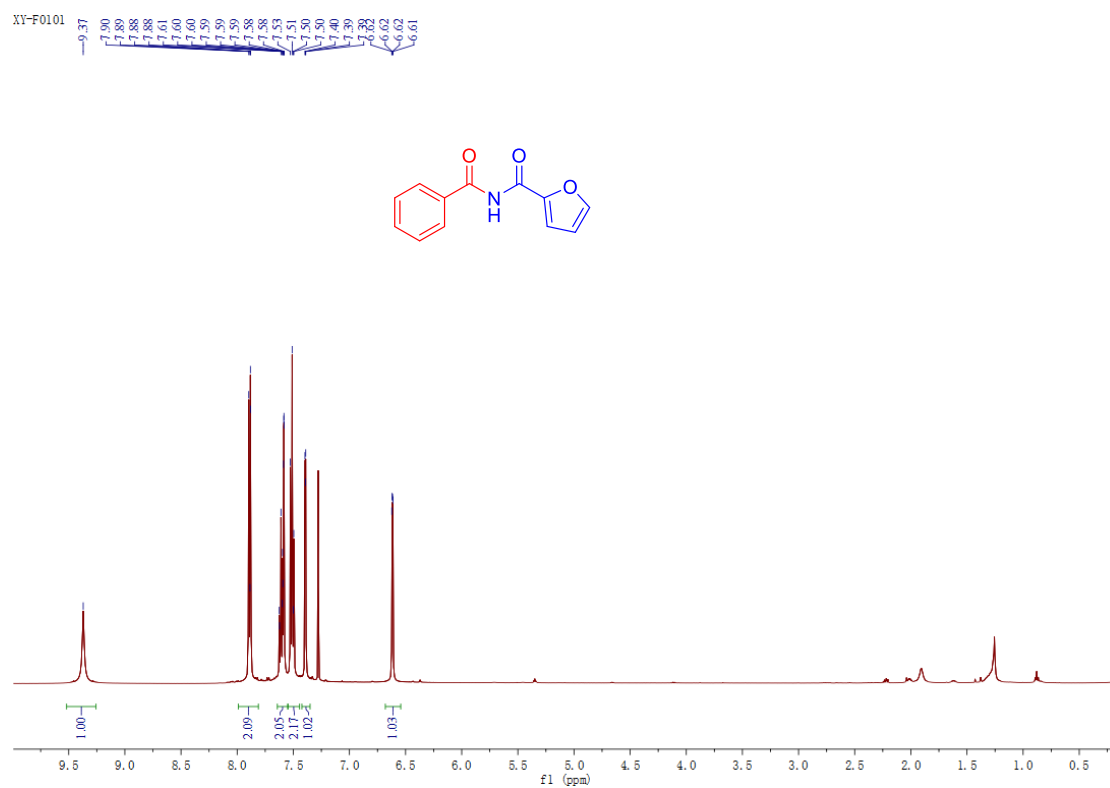
### Supplementary Figure 16. <sup>13</sup>C NMR Spectrum of 3ak (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



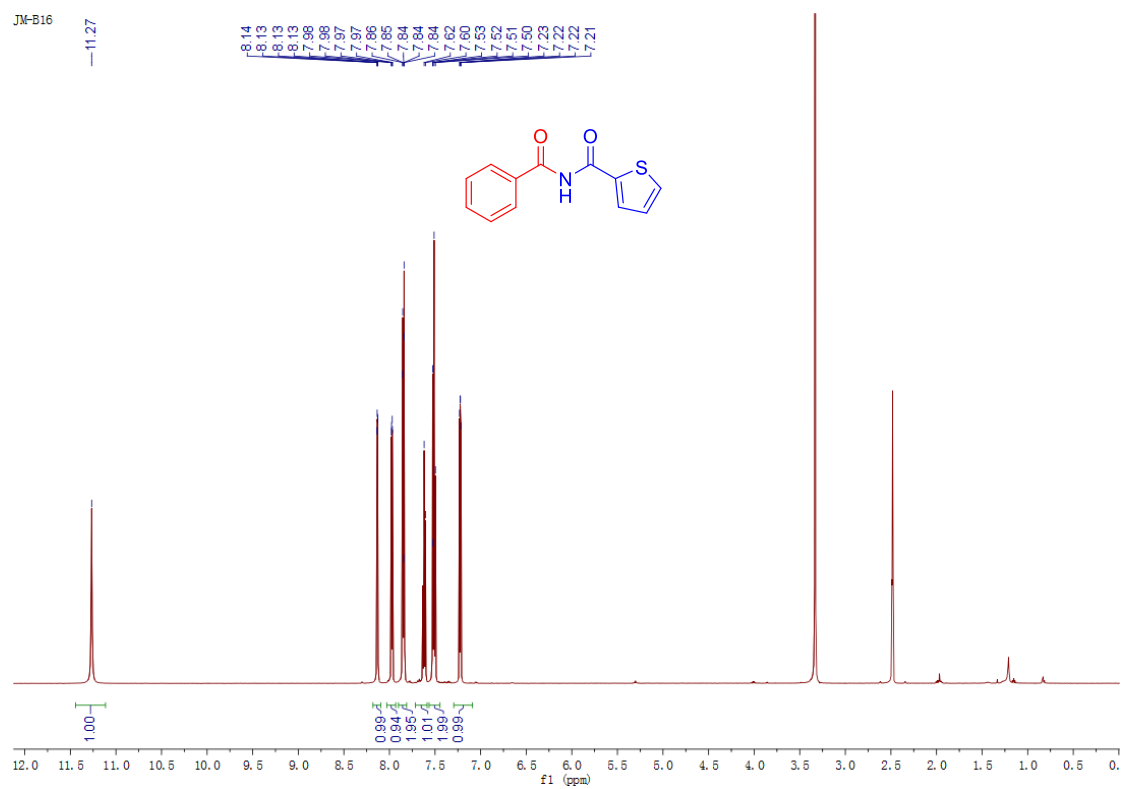
Supplementary Figure 17. <sup>1</sup>H NMR Spectrum of 3al (500 MHz, CDCl<sub>3</sub>)



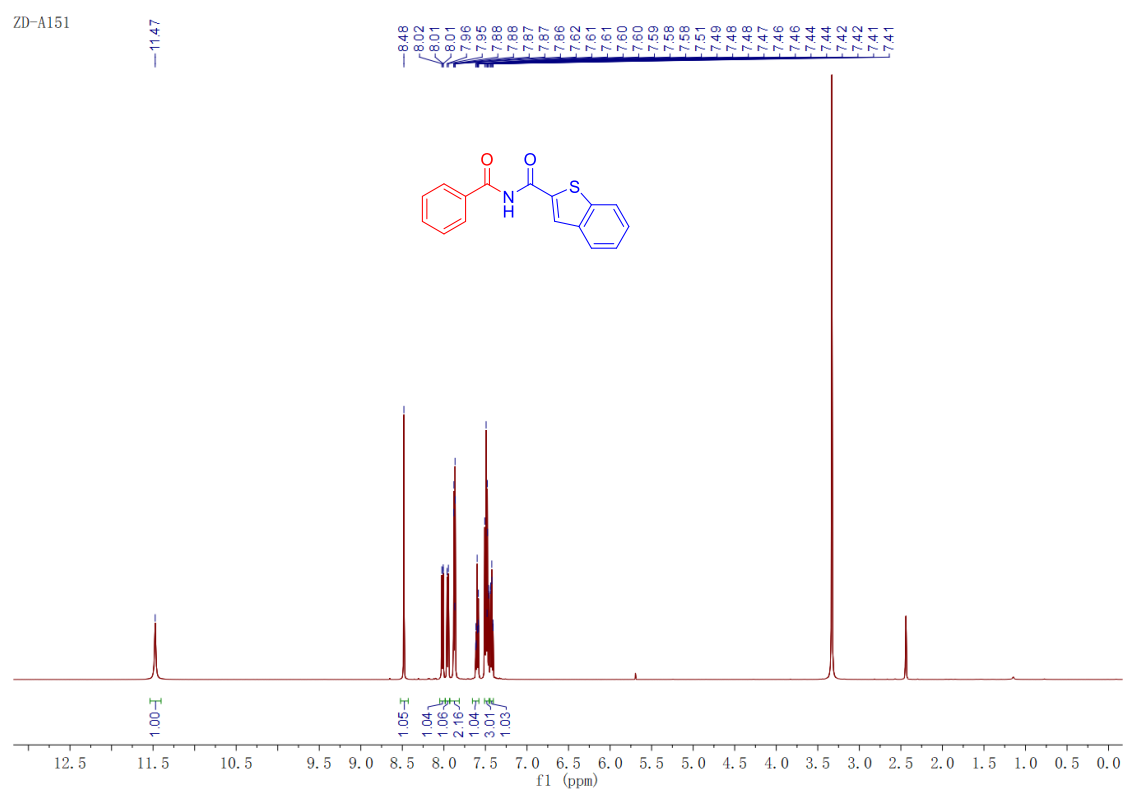
Supplementary Figure 18. <sup>1</sup>H NMR Spectrum of 3am (500 MHz, CDCl<sub>3</sub>)



Supplementary Figure 19. <sup>1</sup>H NMR Spectrum of 3an (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)

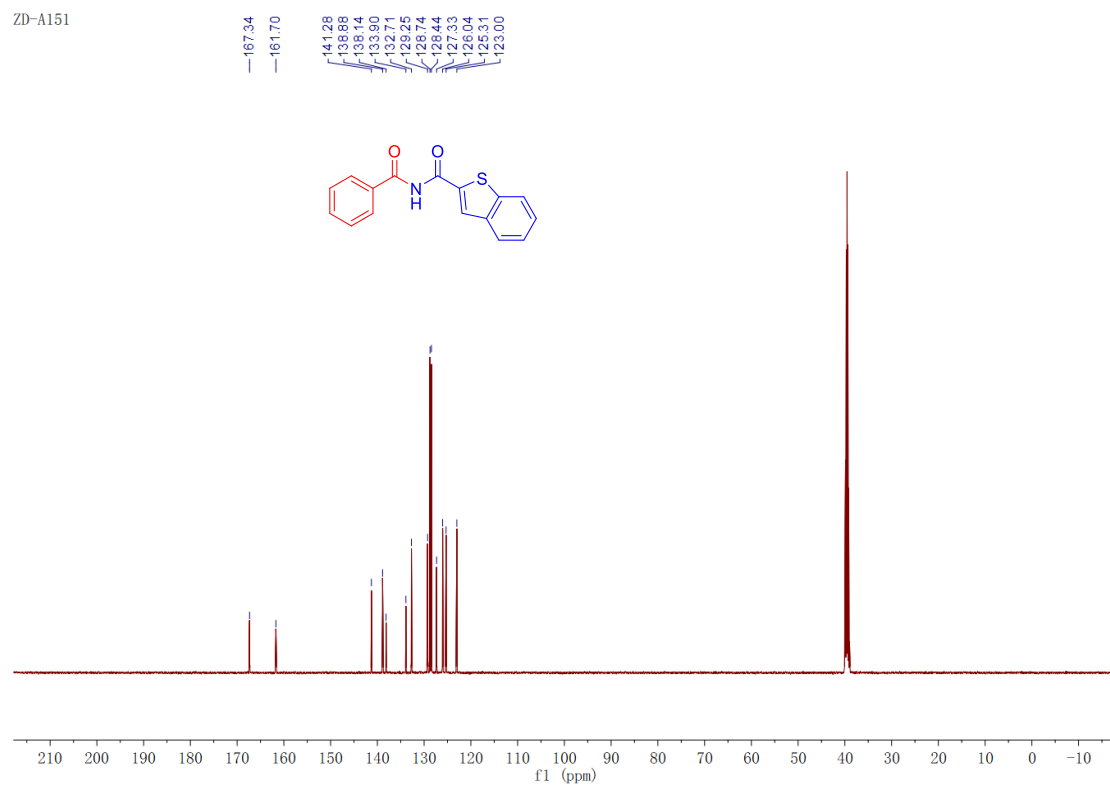


Supplementary Figure 20. <sup>1</sup>H NMR Spectrum of 3ao (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



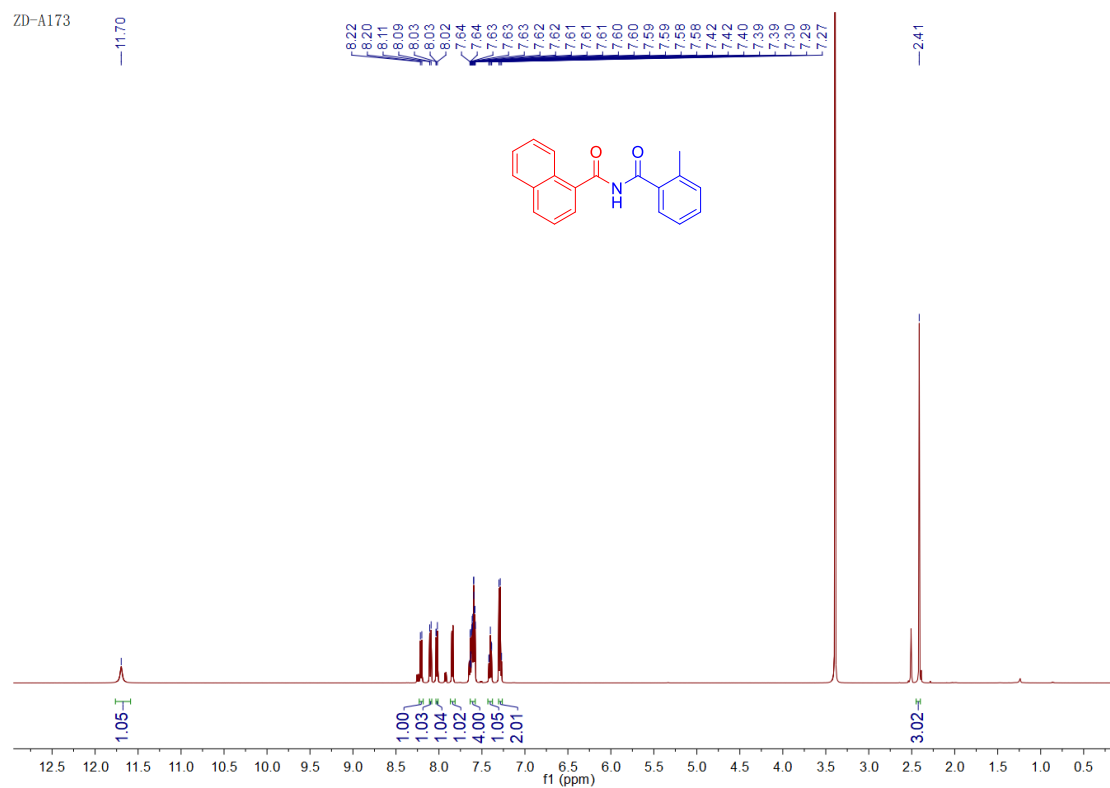
### Supplementary Figure 21. $^{13}\text{C}$ NMR Spectrum of 3ao (125 MHz, $(\text{CD}_3)_2\text{SO}$ )

ZD-A151



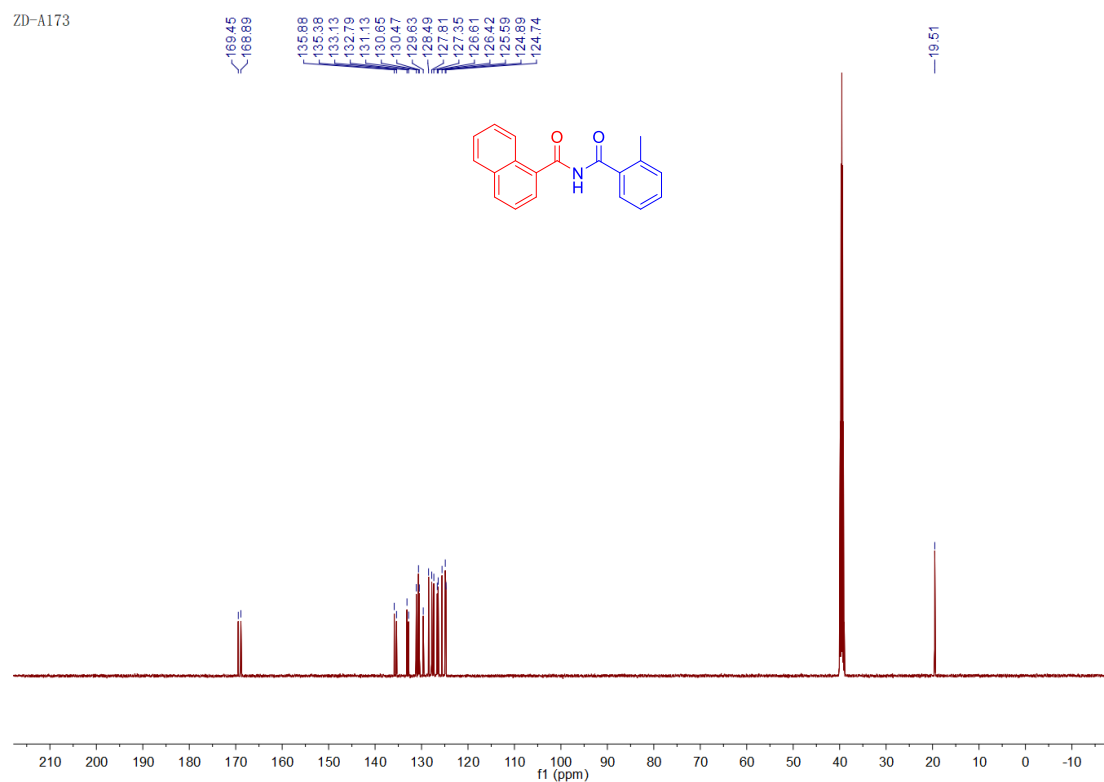
### Supplementary Figure 22. $^1\text{H}$ NMR Spectrum of 3ba (500 MHz, $(\text{CD}_3)_2\text{SO}$ )

ZD-A173



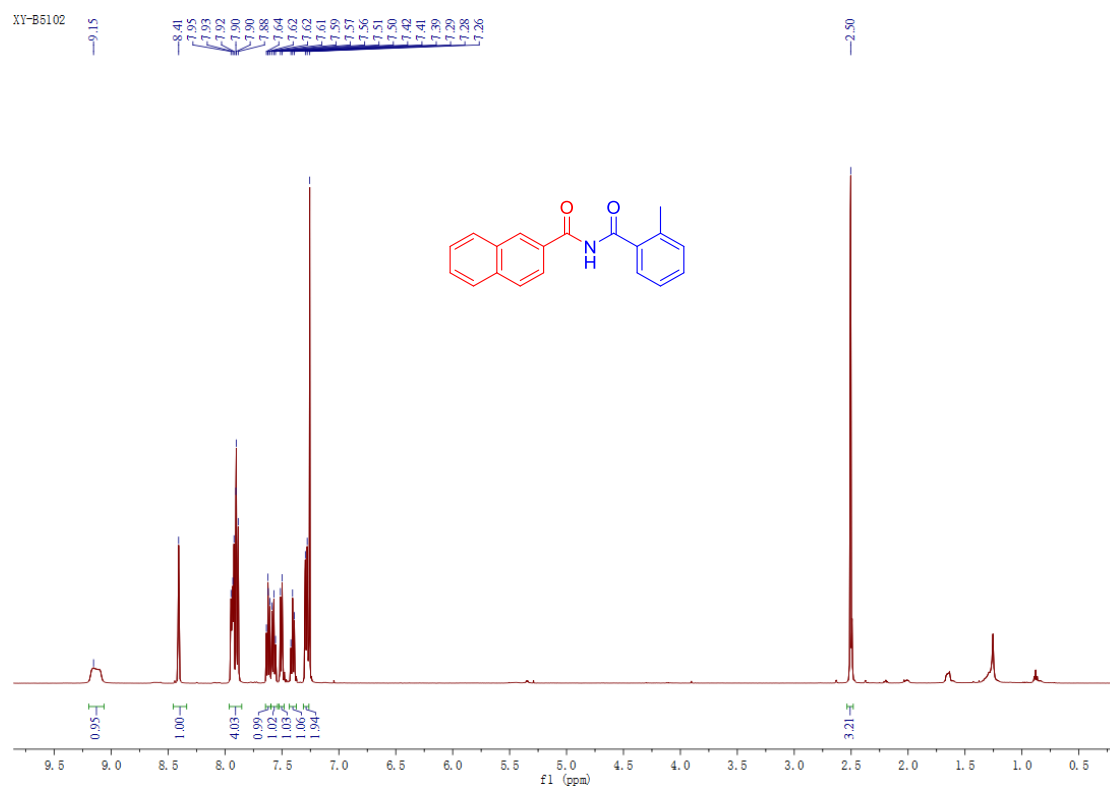
### Supplementary Figure 23. $^{13}\text{C}$ NMR Spectrum of 3ba (125 MHz, $(\text{CD}_3)_2\text{SO}$ )

ZD-A173

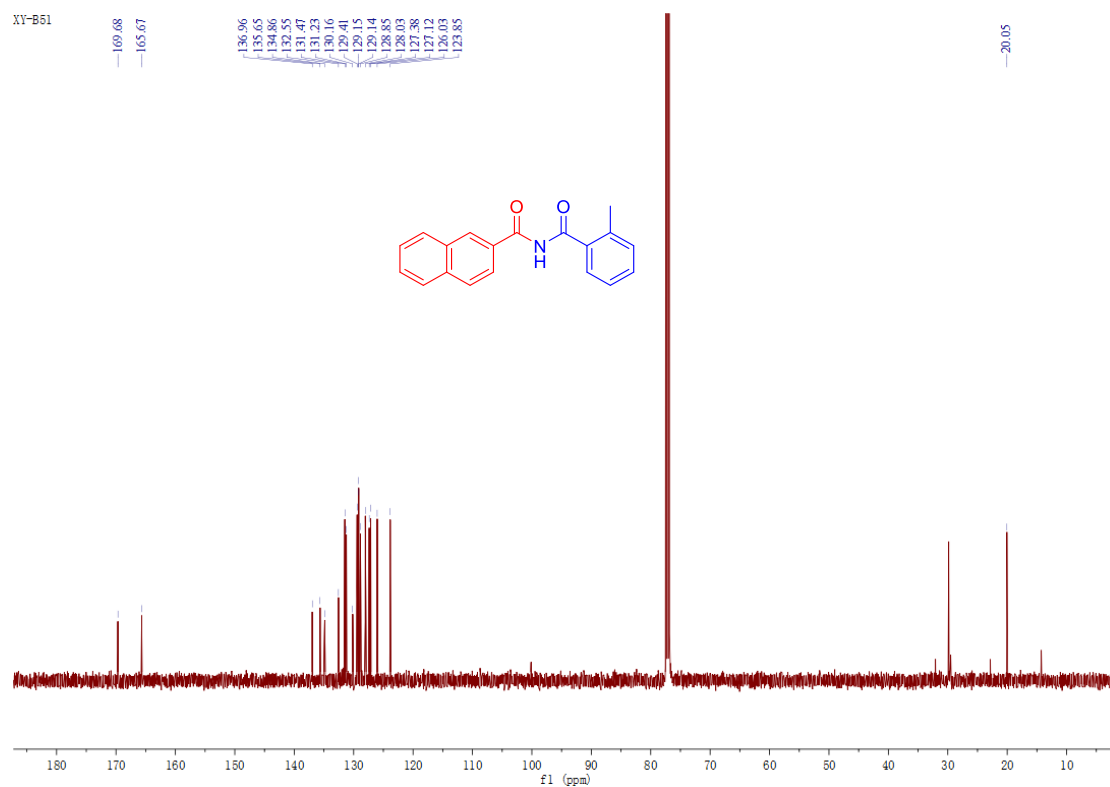


### Supplementary Figure 24. $^1\text{H}$ NMR Spectrum of 3ca (500 MHz, $\text{CDCl}_3$ )

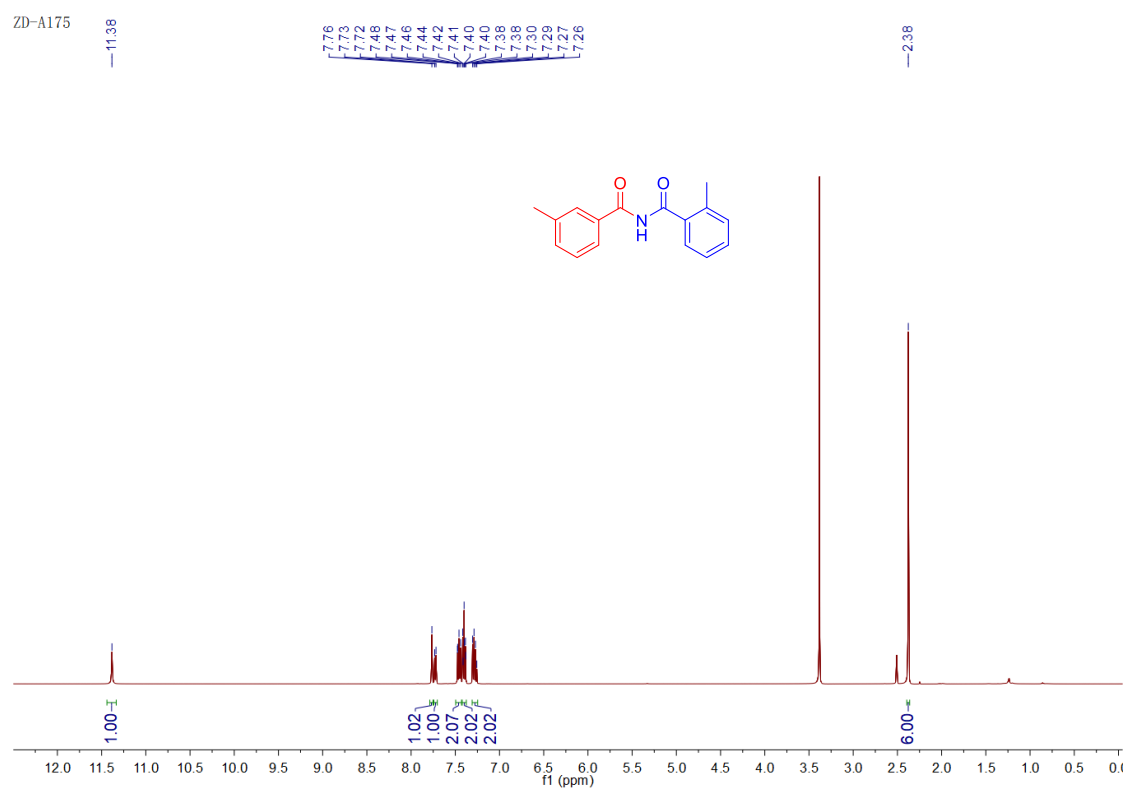
XY-B5102



Supplementary Figure 25.  $^{13}\text{C}$  NMR Spectrum of 3ca (125 MHz,  $\text{CDCl}_3$ )

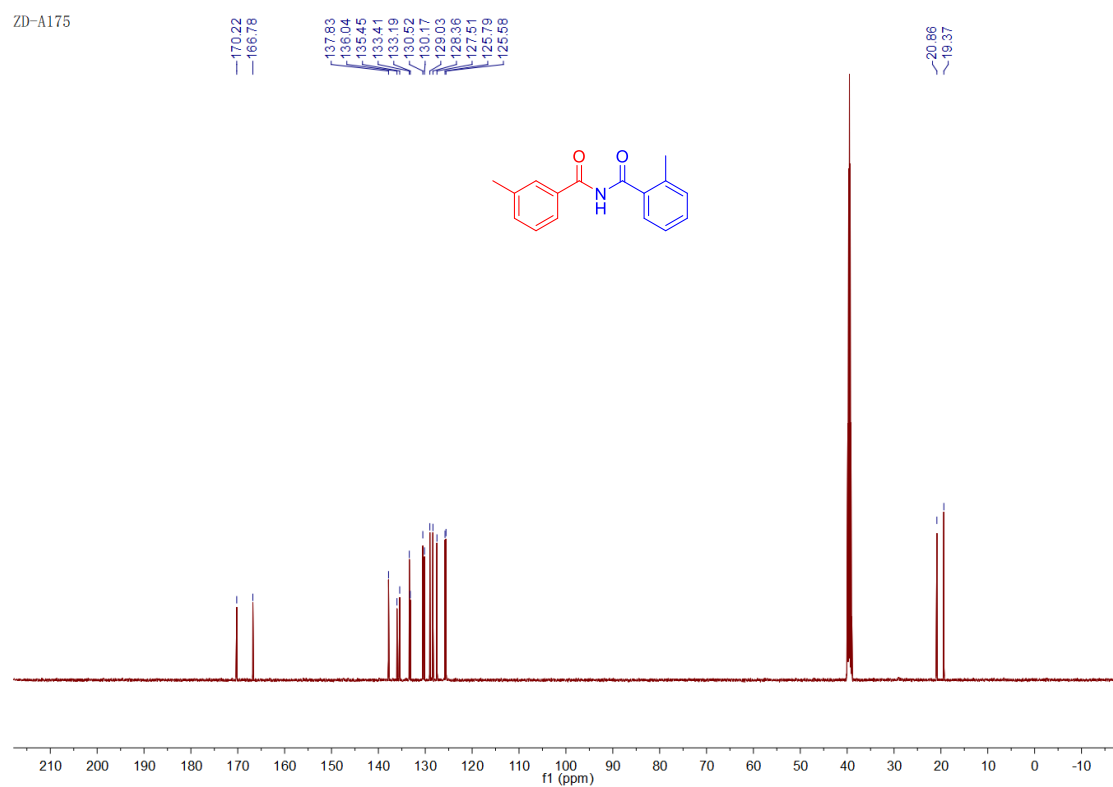


Supplementary Figure 26.  $^1\text{H}$  NMR Spectrum of 3da (500 MHz,  $(\text{CD}_3)_2\text{SO}$ )

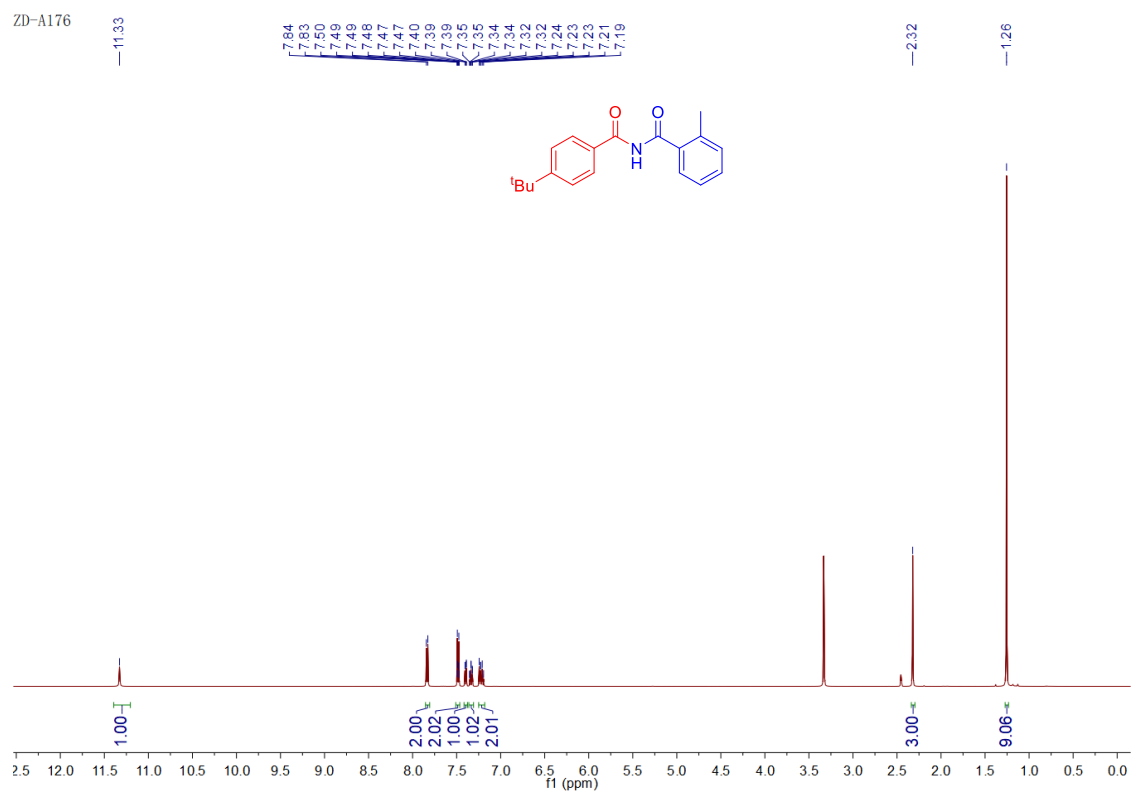




### Supplementary Figure 27. <sup>13</sup>C NMR Spectrum of 3da (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



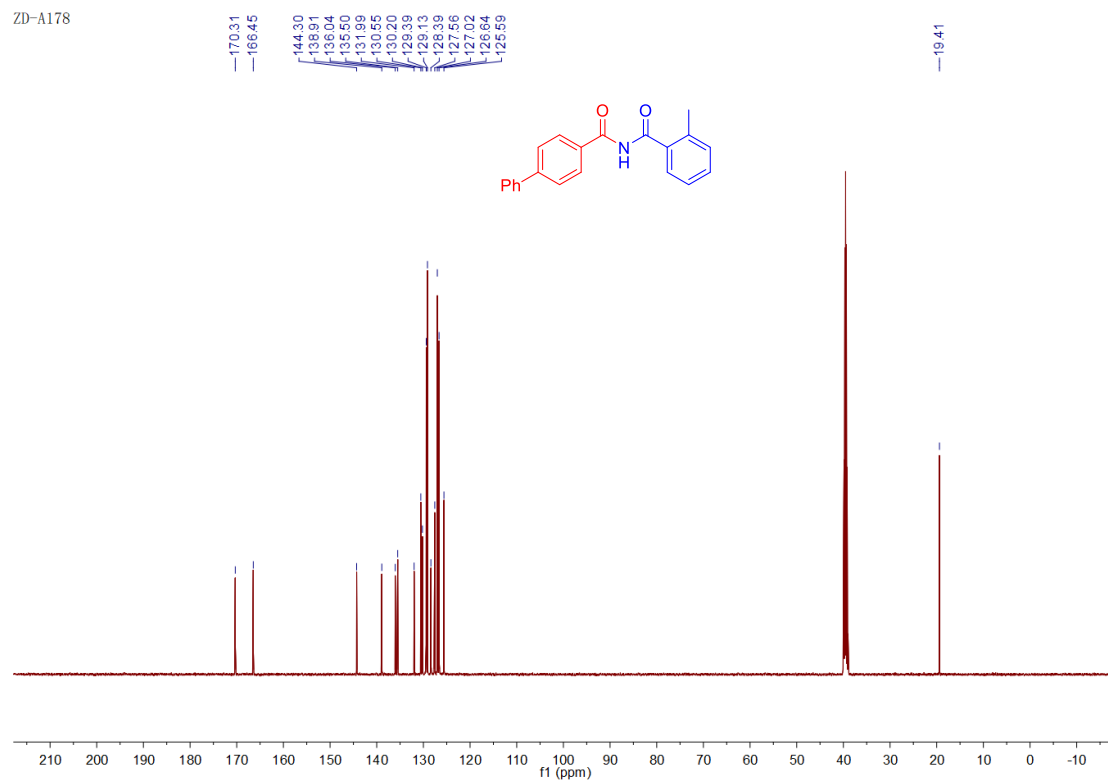
### Supplementary Figure 28. <sup>1</sup>H NMR Spectrum of 3ea (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)





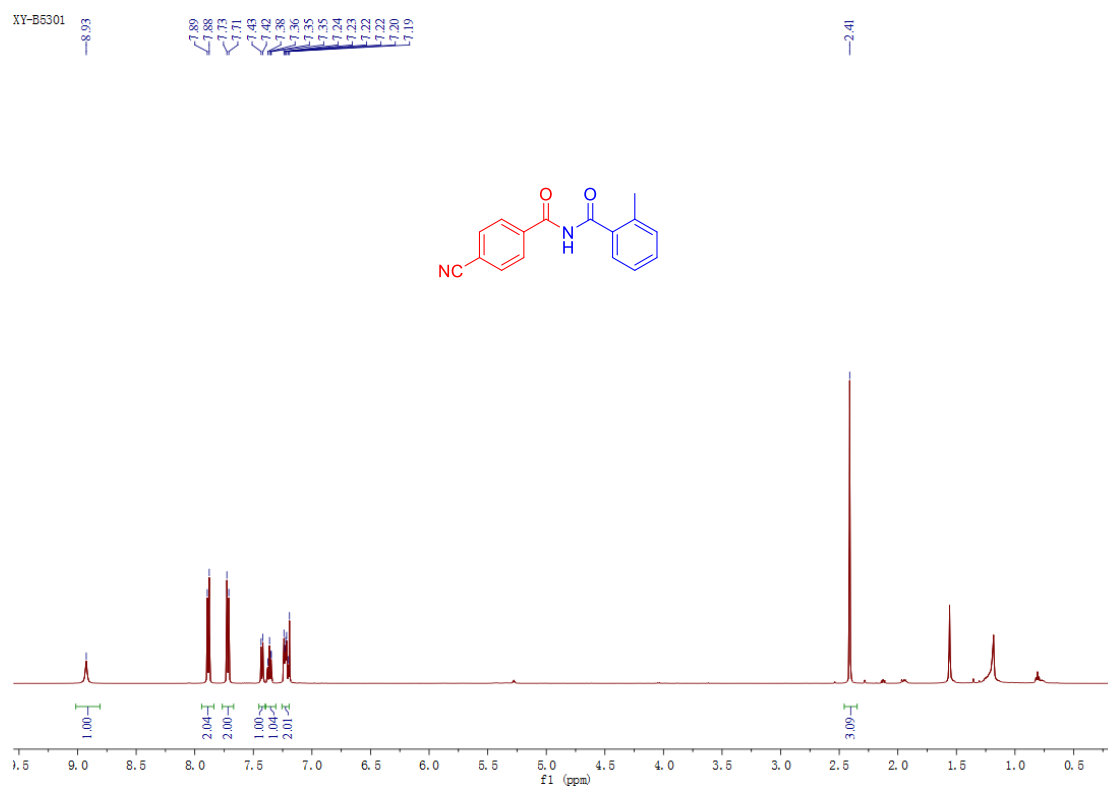
### Supplementary Figure 31. $^{13}\text{C}$ NMR Spectrum of 3fa (125 MHz, $(\text{CD}_3)_2\text{SO}$ )

ZD-A178

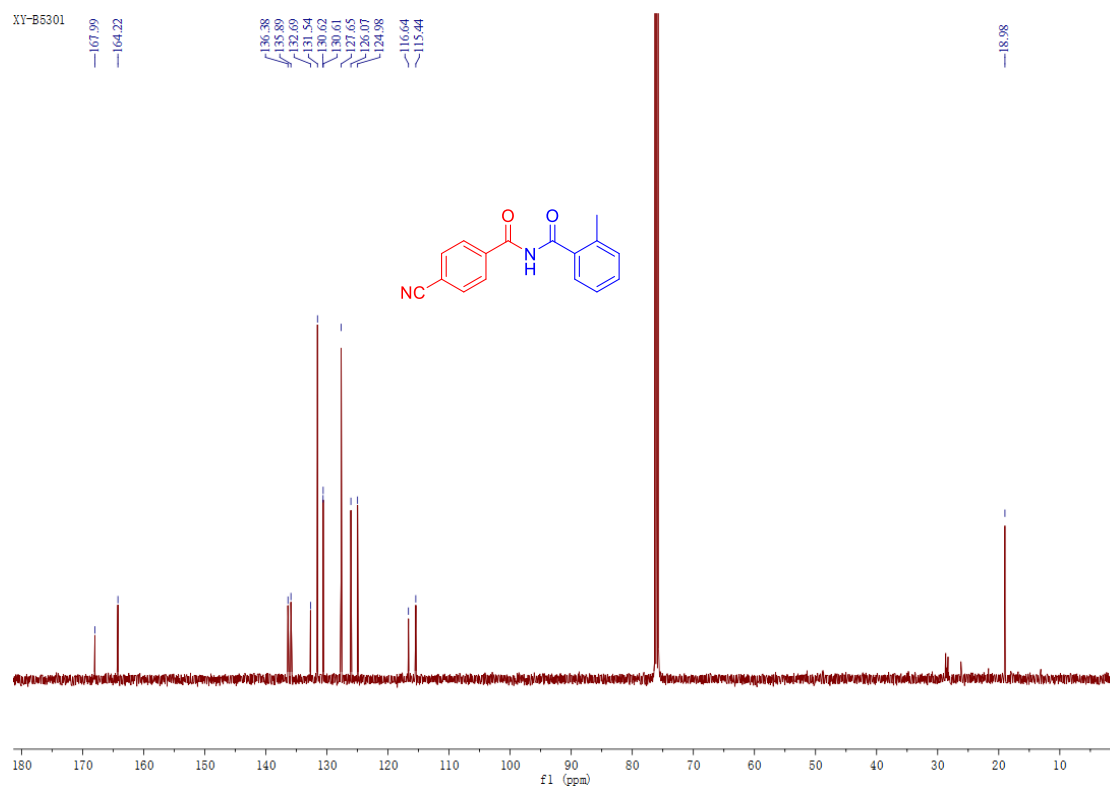


### Supplementary Figure 32. $^1\text{H}$ NMR Spectrum of 3ga (500 MHz, $\text{CDCl}_3$ )

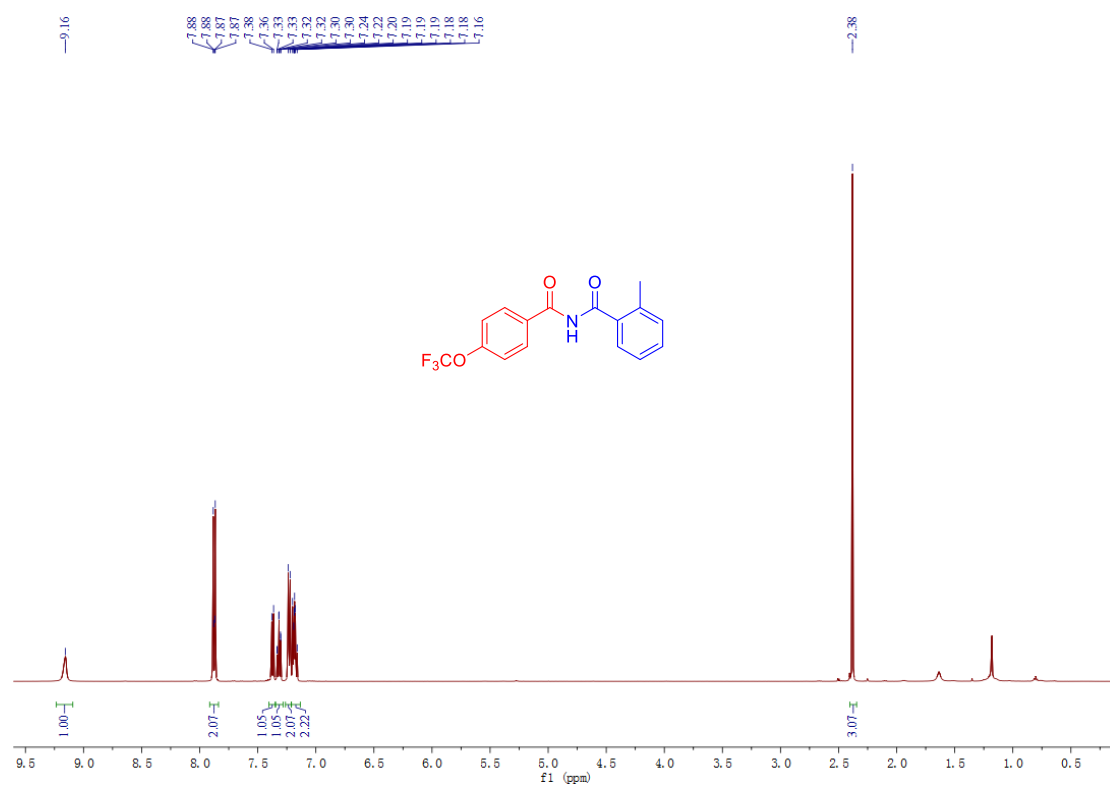
XY-B5301



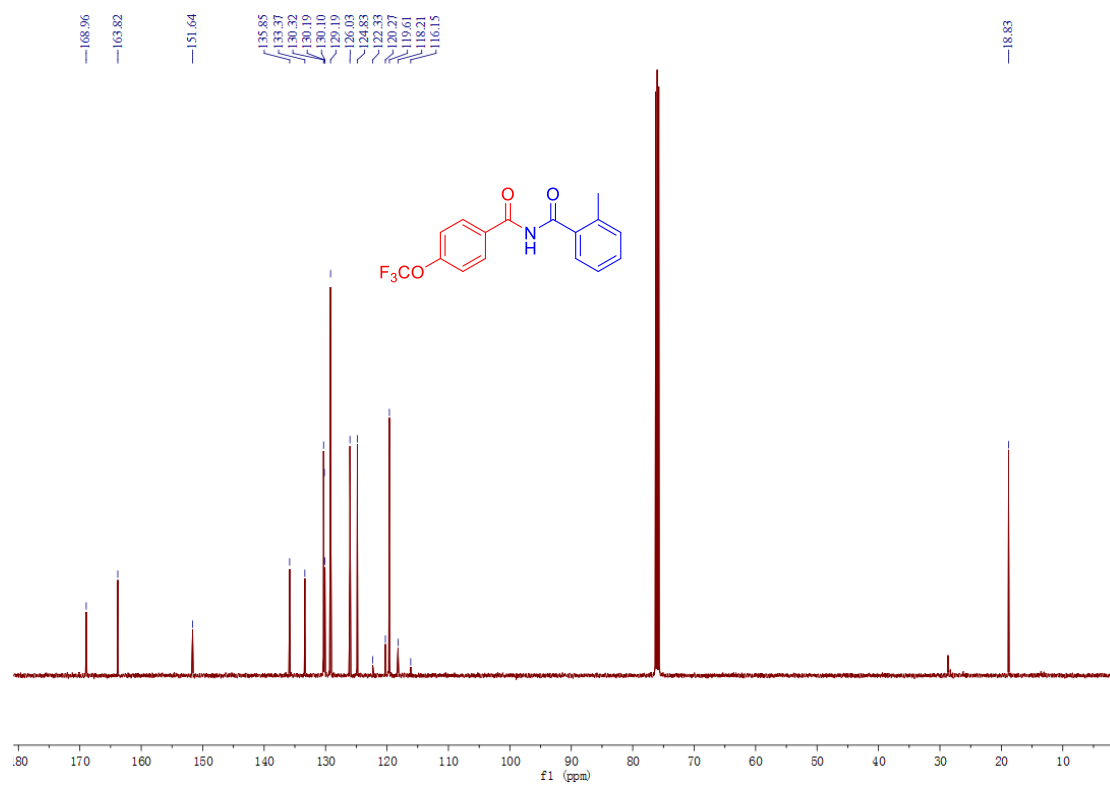
Supplementary Figure 33.  $^{13}\text{C}$  NMR Spectrum of 3ga (125 MHz,  $\text{CDCl}_3$ )



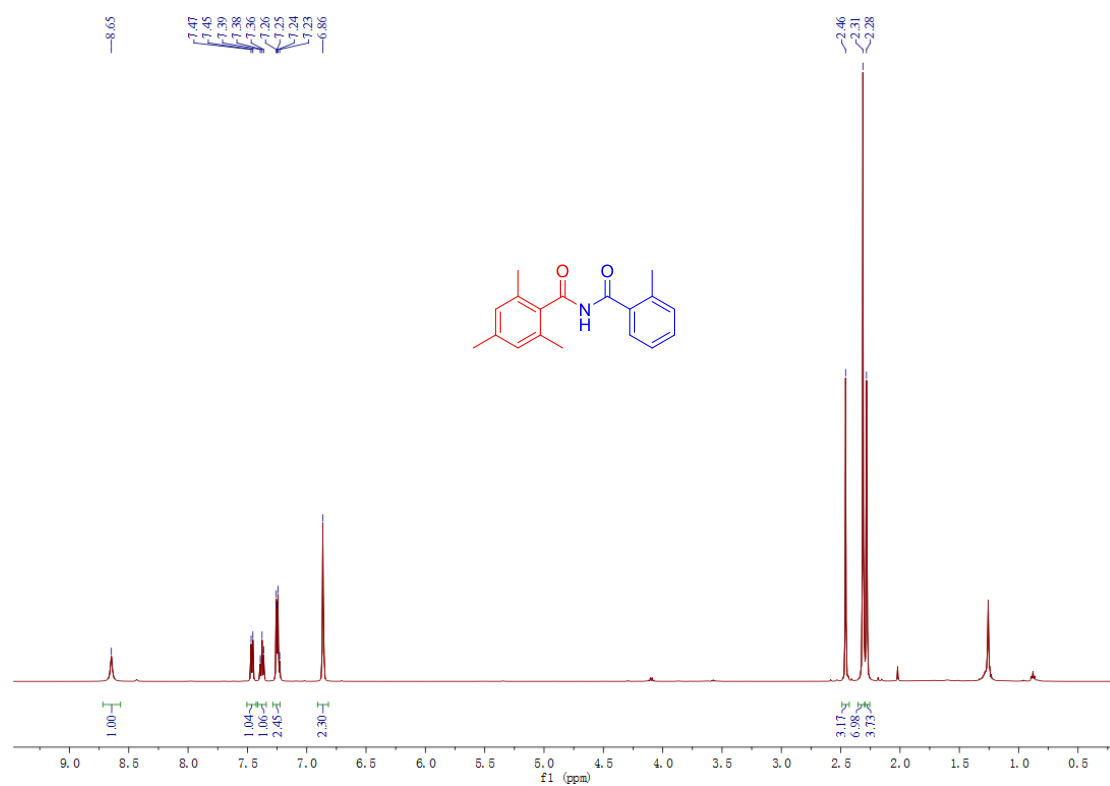
Supplementary Figure 34.  $^1\text{H}$  NMR Spectrum of 3ha (500 MHz,  $\text{CDCl}_3$ )



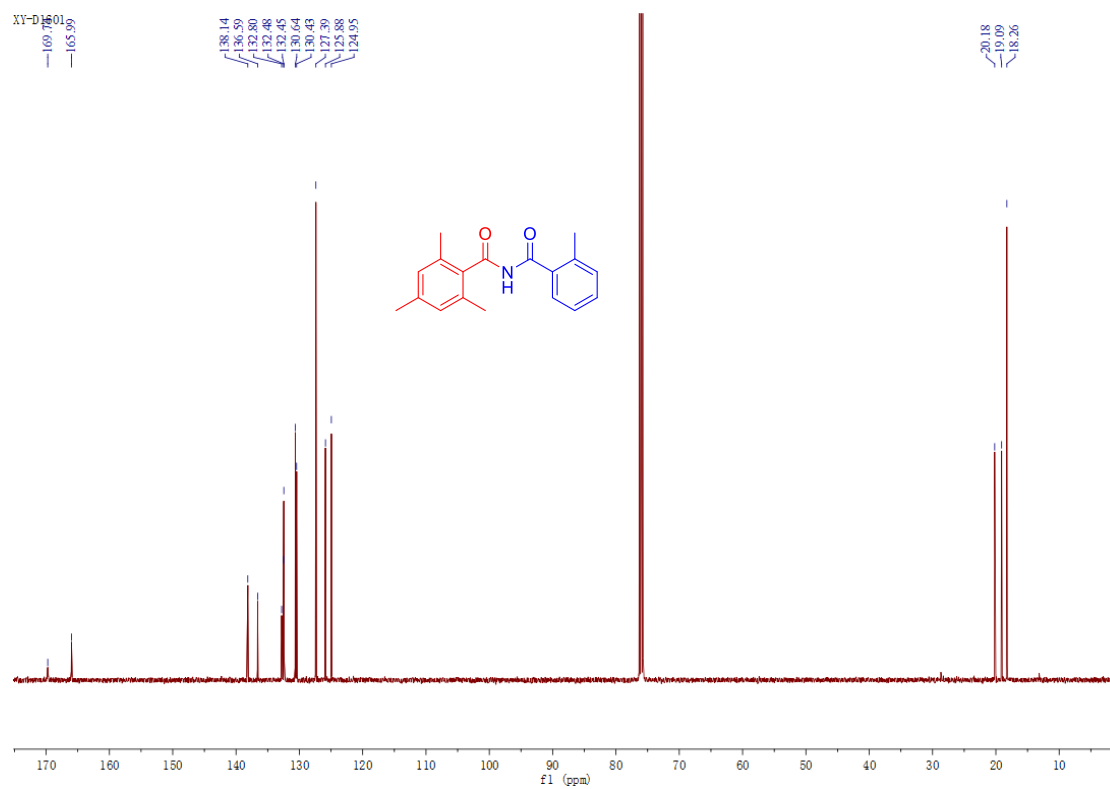
Supplementary Figure 35.  $^{13}\text{C}$  NMR Spectrum of 3ha (125 MHz,  $\text{CDCl}_3$ )



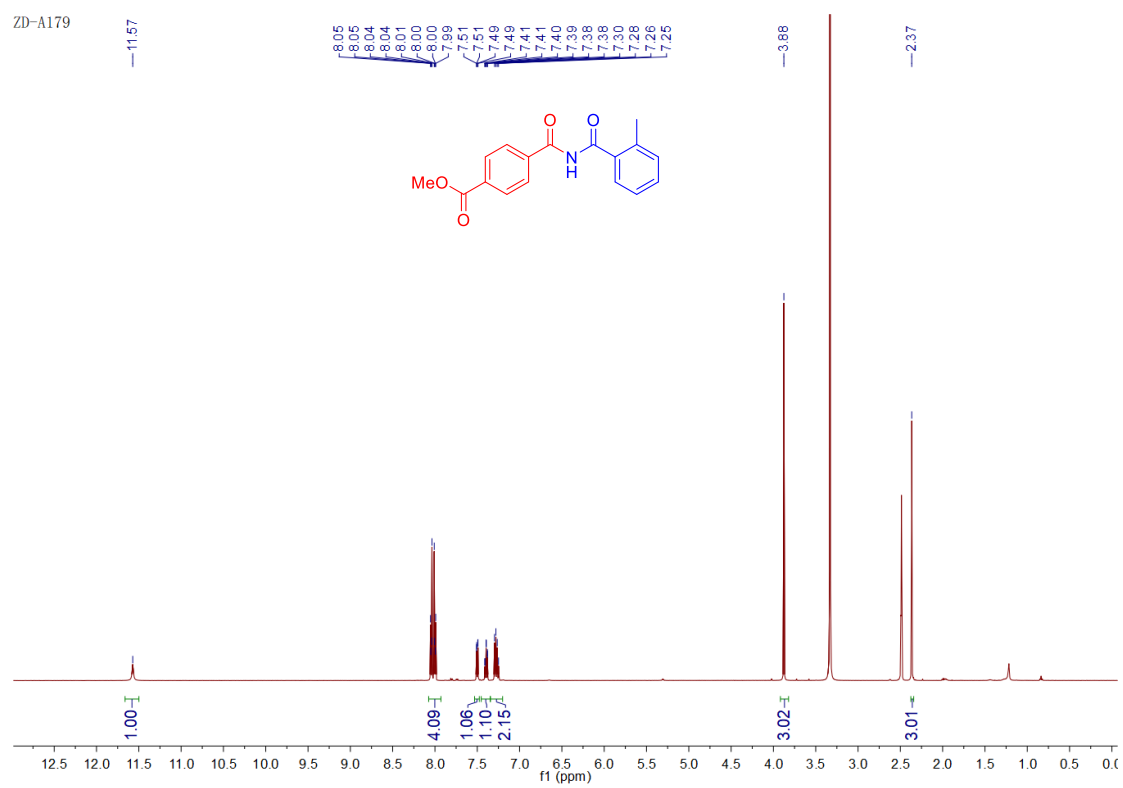
Supplementary Figure 36.  $^1\text{H}$  NMR Spectrum of 3ia (500 MHz,  $\text{CDCl}_3$ )



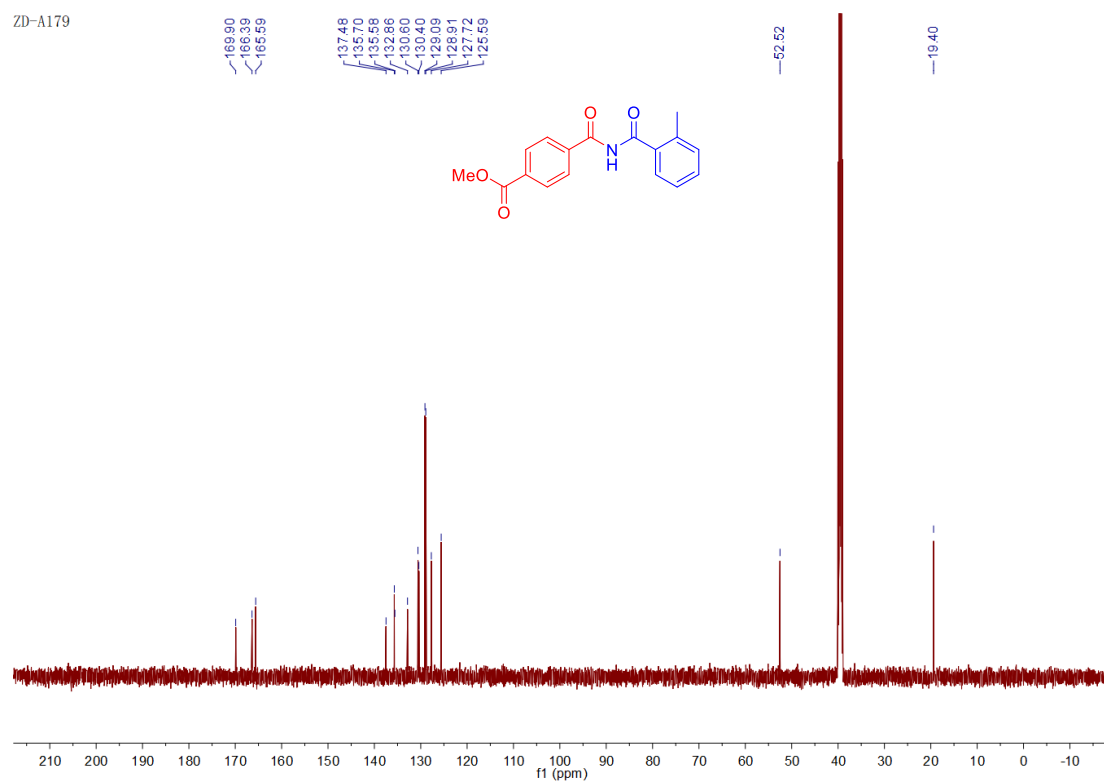
Supplementary Figure 37.  $^{13}\text{C}$  NMR Spectrum of 3ia (125 MHz,  $\text{CDCl}_3$ )



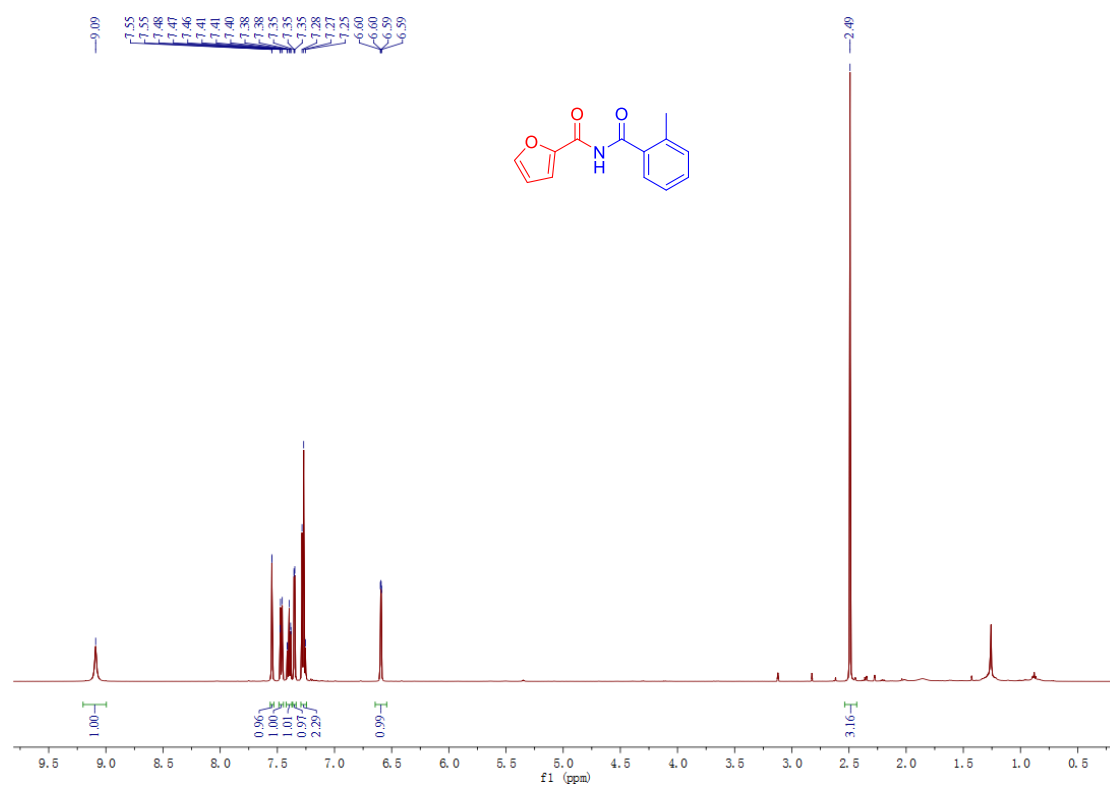
Supplementary Figure 38.  $^1\text{H}$  NMR Spectrum of 3ja (500 MHz,  $(\text{CD}_3)_2\text{SO}$ )



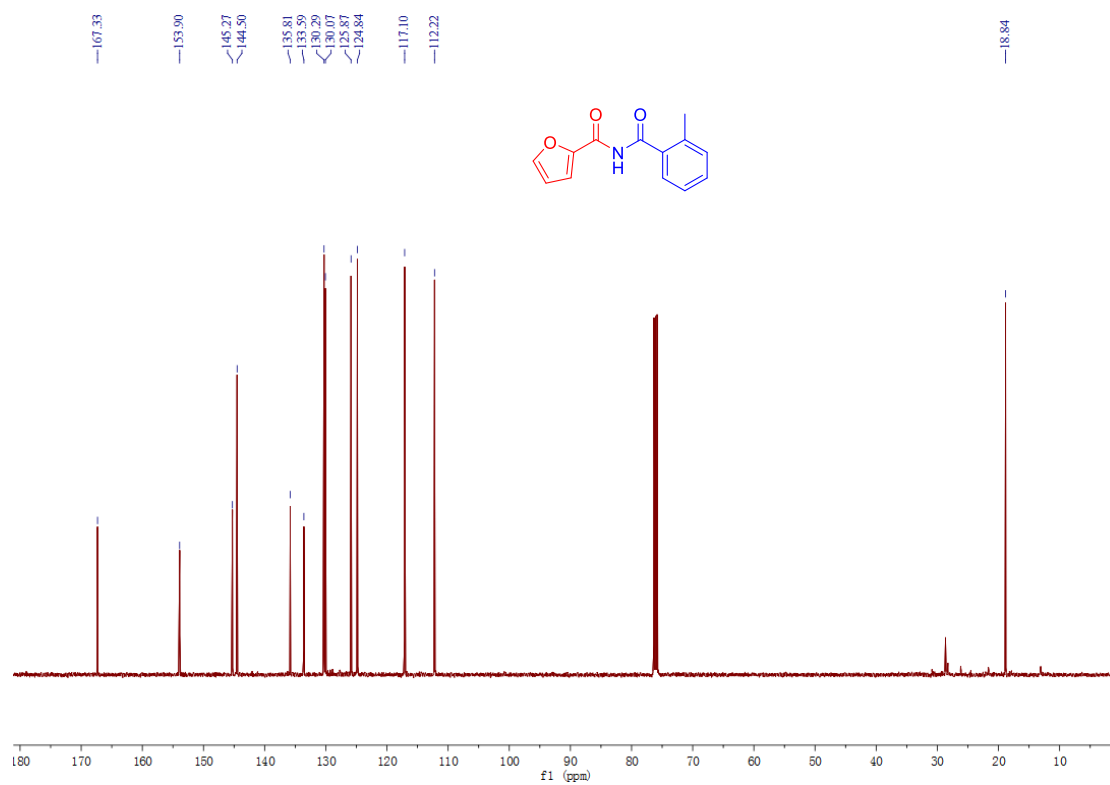
### Supplementary Figure 39. $^{13}\text{C}$ NMR Spectrum of 3ja (125 MHz, $(\text{CD}_3)_2\text{SO}$ )



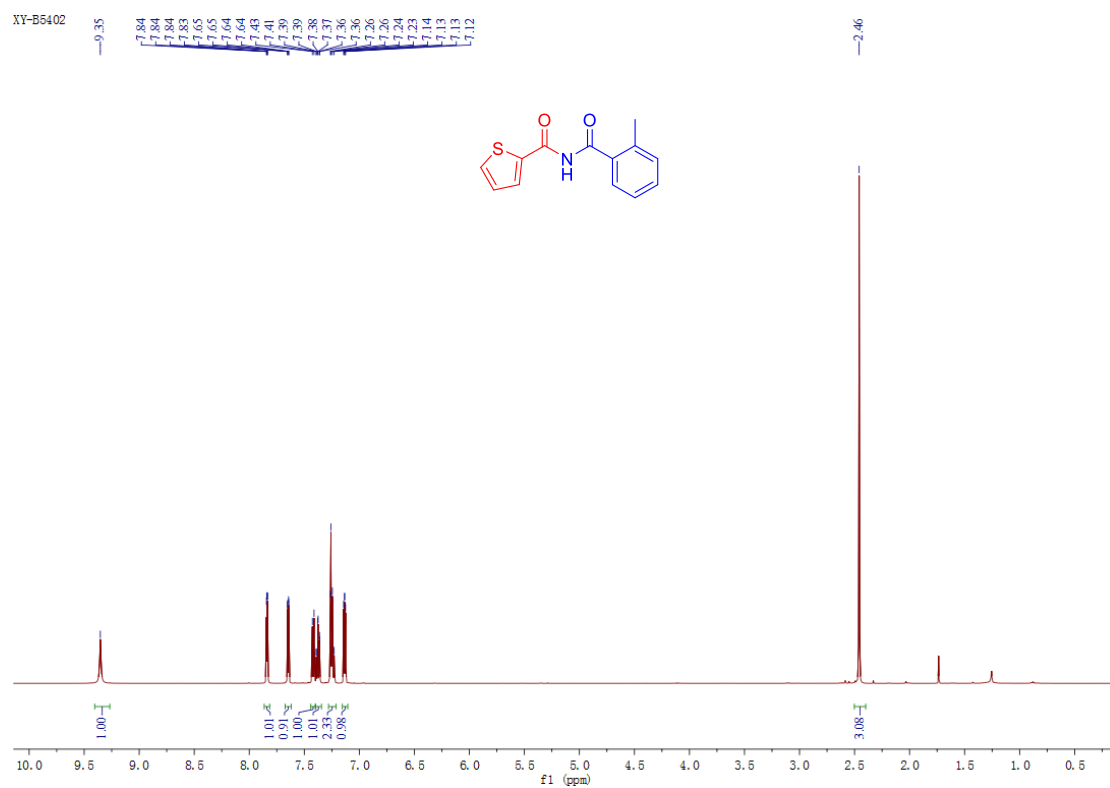
### Supplementary Figure 40. $^1\text{H}$ NMR Spectrum of 3ka (500 MHz, $\text{CDCl}_3$ )



Supplementary Figure 41. <sup>13</sup>C NMR Spectrum of 3ka (125 MHz, CDCl<sub>3</sub>)



Supplementary Figure 42. <sup>1</sup>H NMR Spectrum of 3la (500 MHz, CDCl<sub>3</sub>)

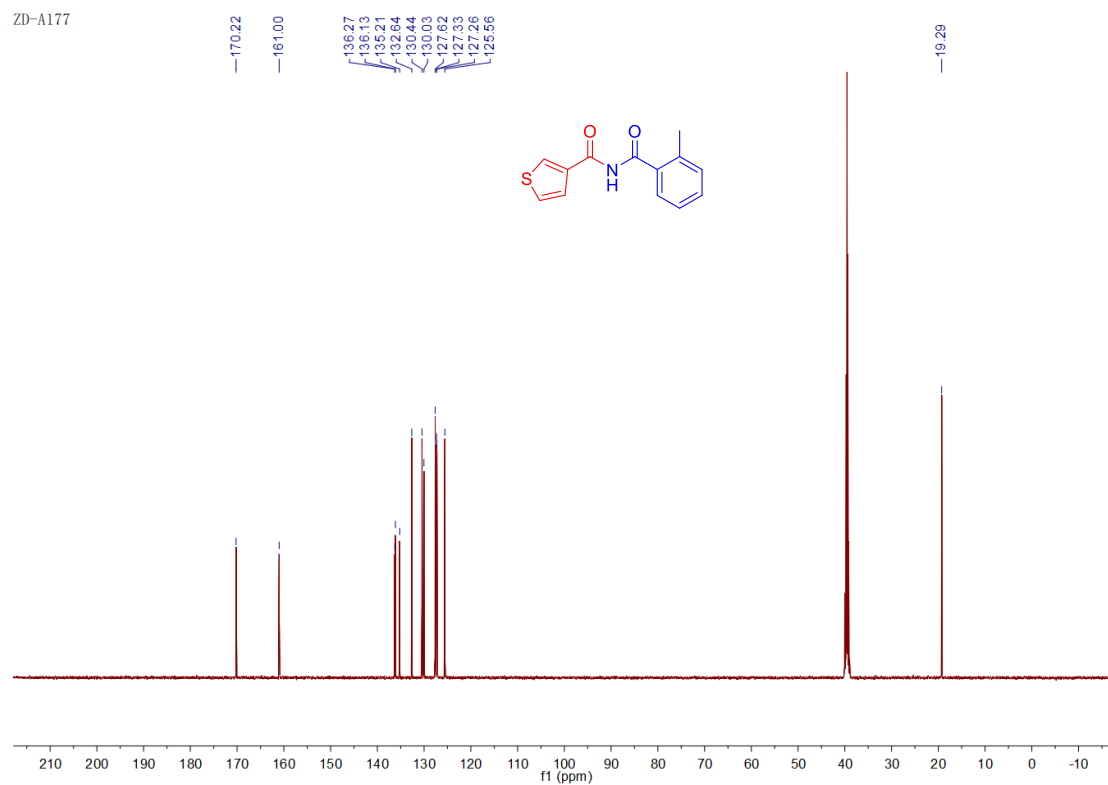




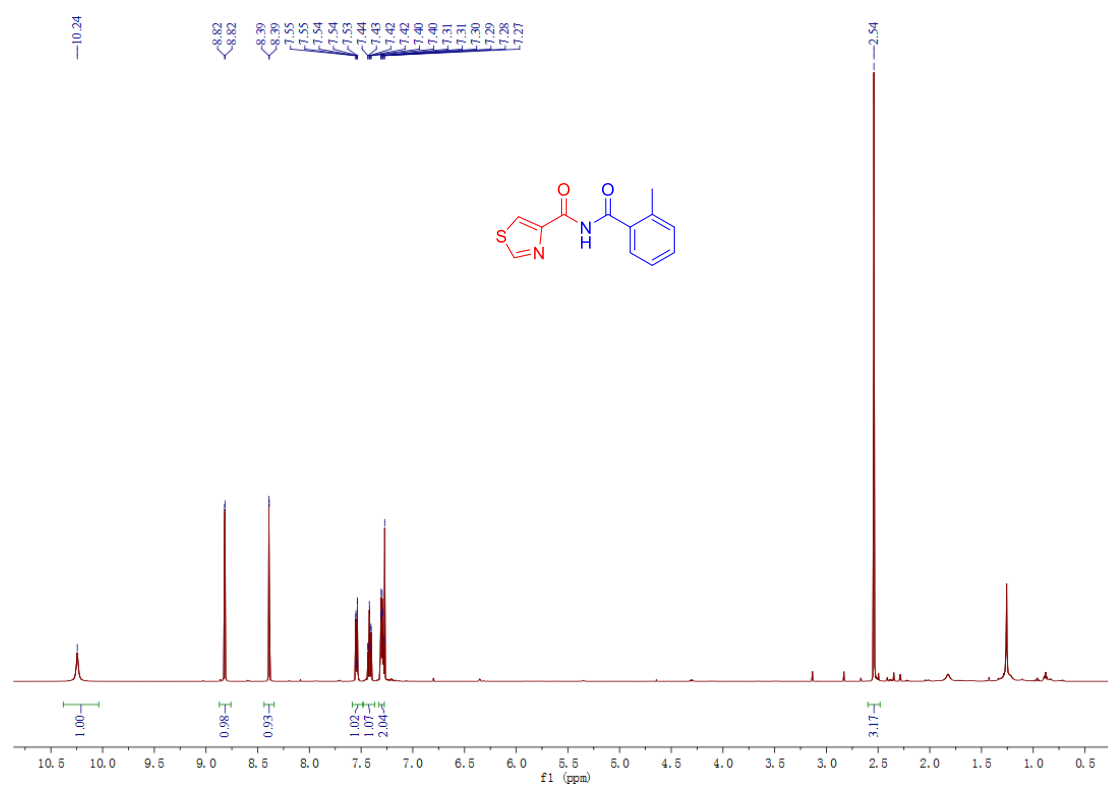


### Supplementary Figure 45. $^{13}\text{C}$ NMR Spectrum of 3ma (125 MHz, $(\text{CD}_3)_2\text{SO}$ )

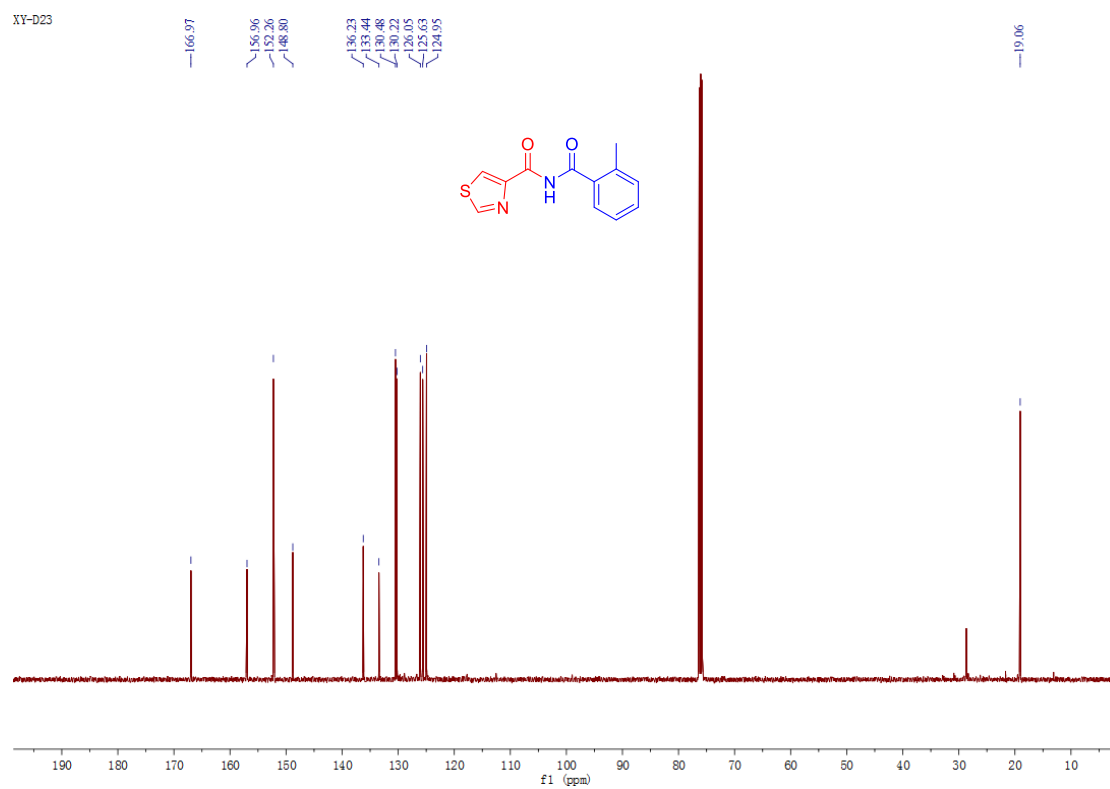
ZD-A177



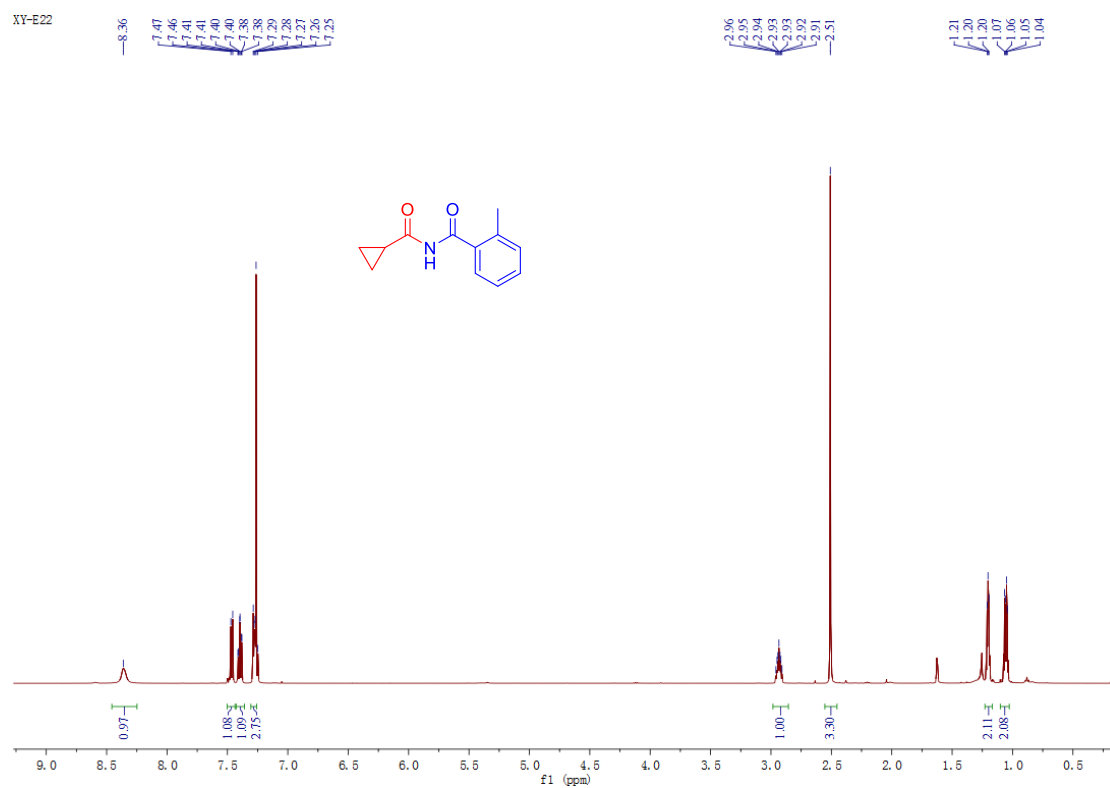
### Supplementary Figure 46. $^1\text{H}$ NMR Spectrum of 3na (500 MHz, $\text{CDCl}_3$ )



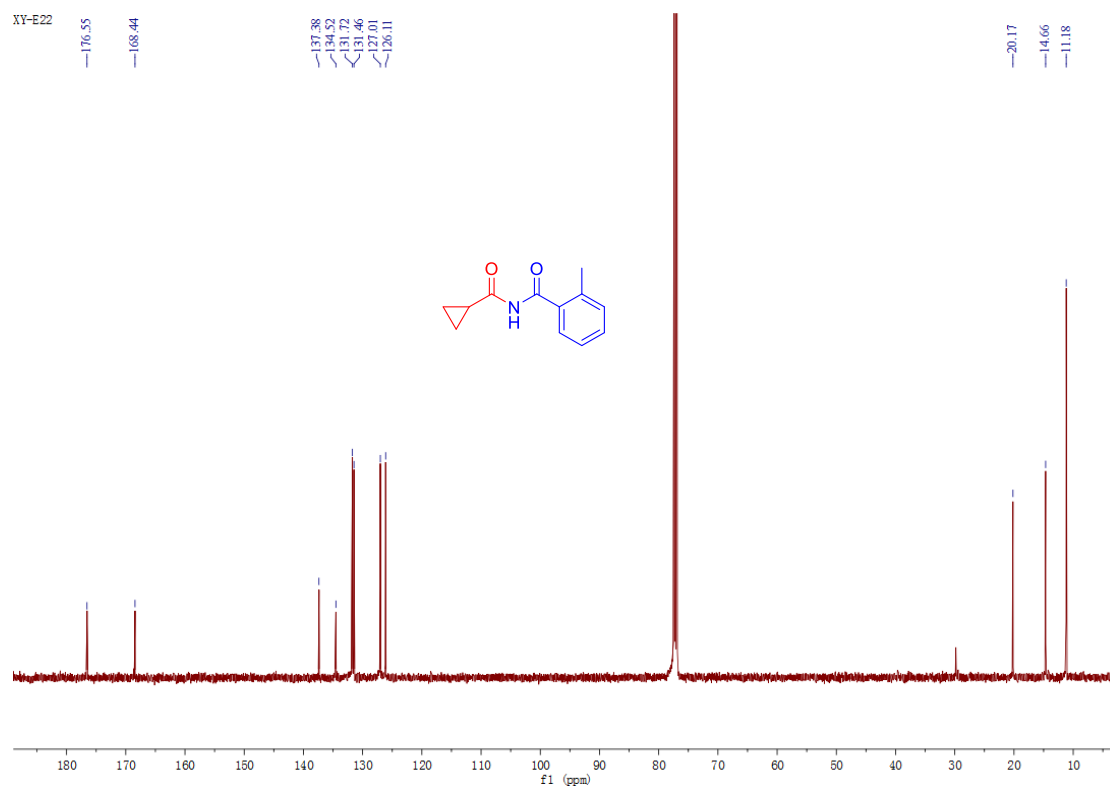
Supplementary Figure 47. <sup>13</sup>C NMR Spectrum of 3na (125 MHz, CDCl<sub>3</sub>)



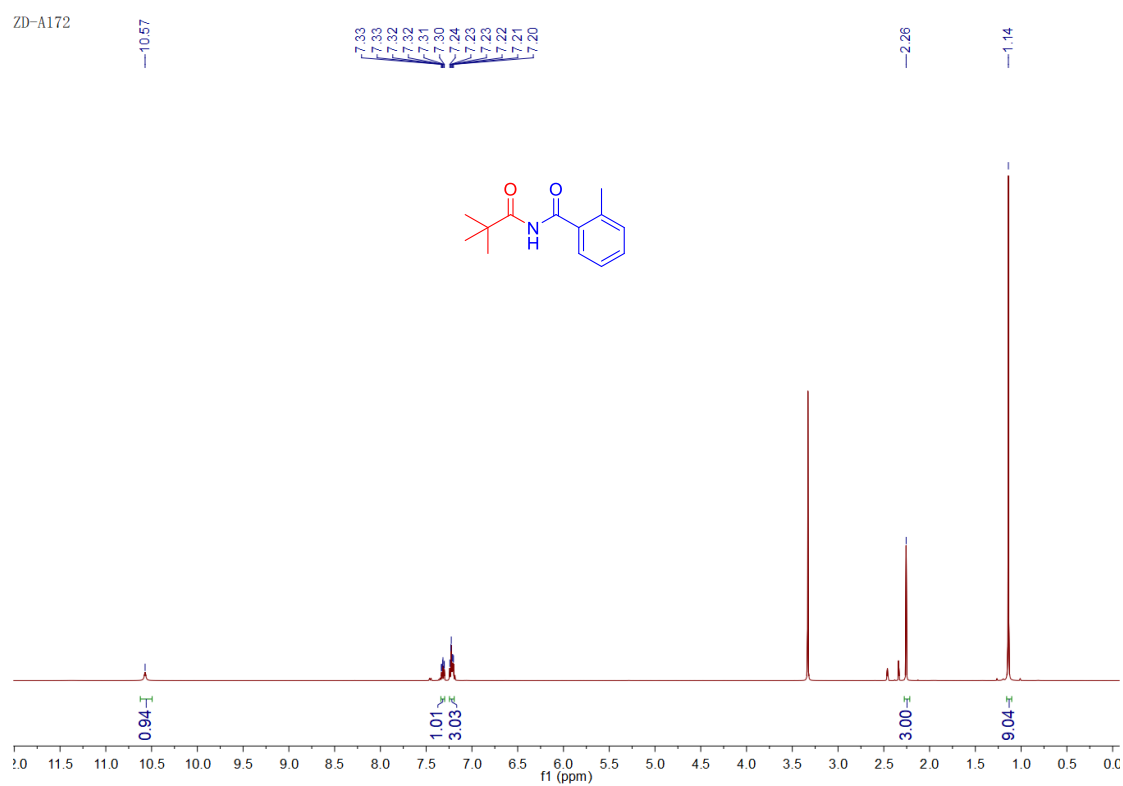
Supplementary Figure 48. <sup>1</sup>H NMR Spectrum of 3oa (500 MHz, CDCl<sub>3</sub>)



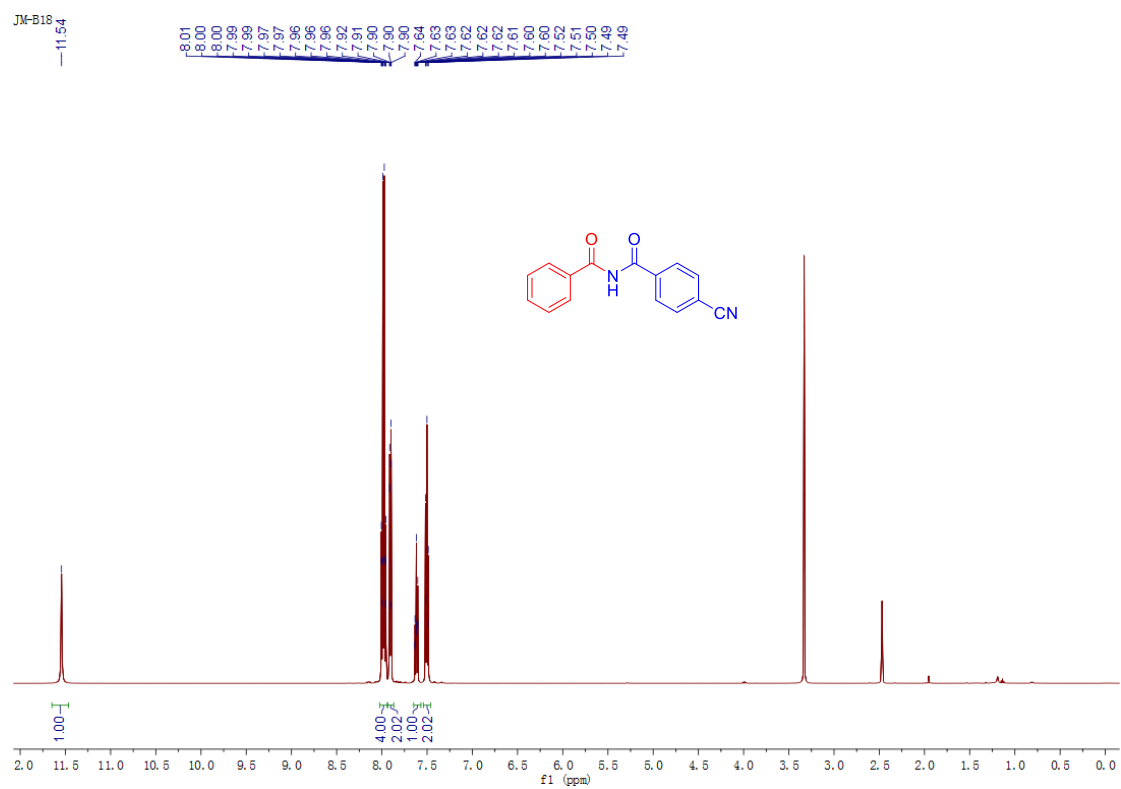
Supplementary Figure 49.  $^{13}\text{C}$  NMR Spectrum of 30a (125 MHz,  $\text{CDCl}_3$ )



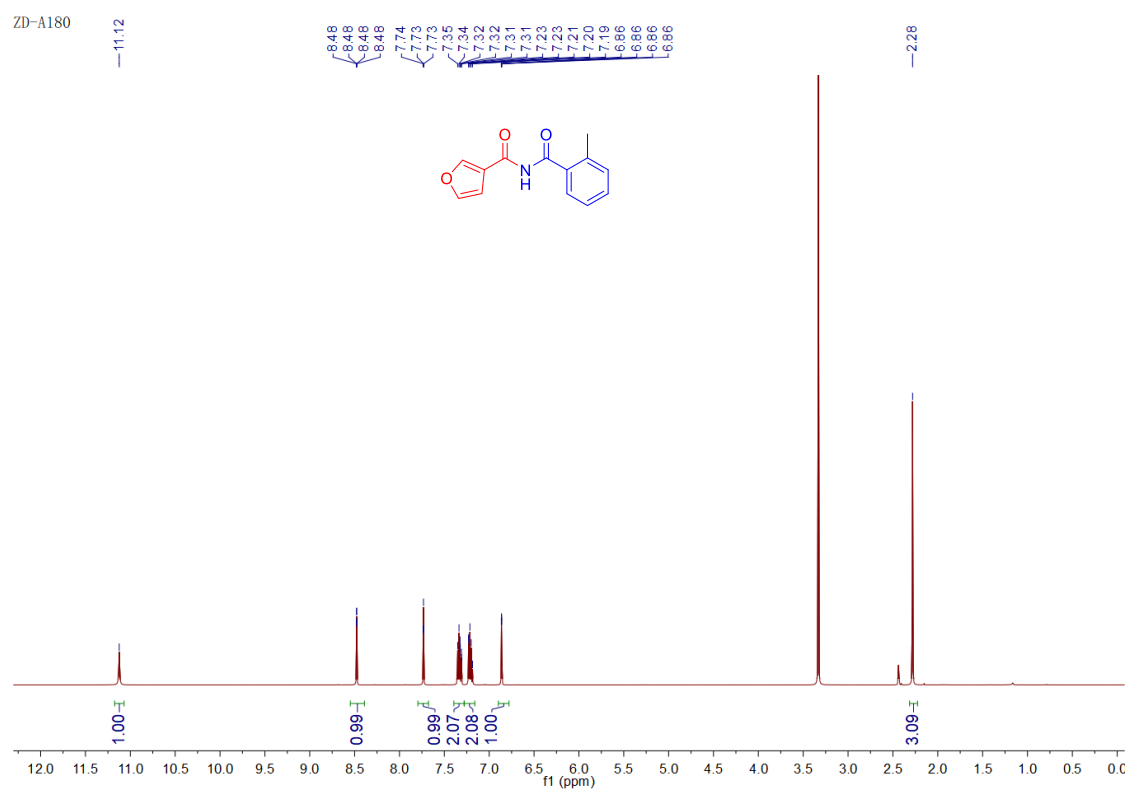
Supplementary Figure 50.  $^1\text{H}$  NMR Spectrum of 3pa (500 MHz,  $(\text{CD}_3)_2\text{SO}$ )



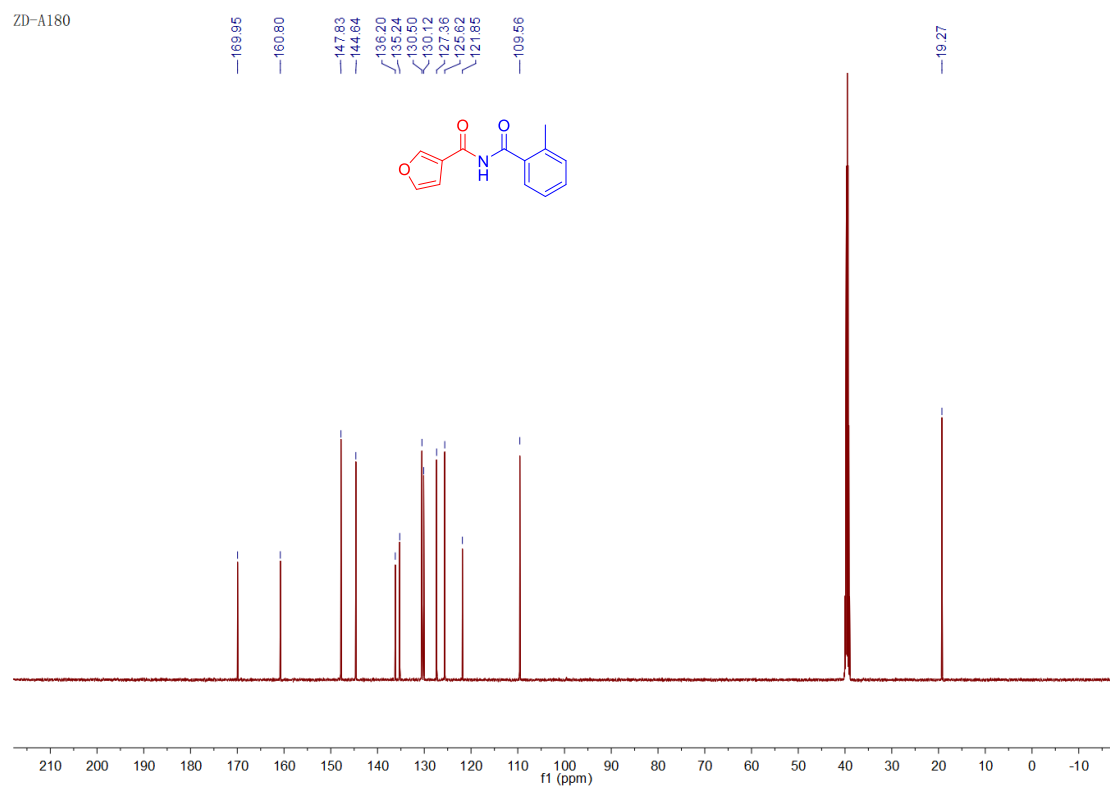
Supplementary Figure 51. <sup>1</sup>H NMR Spectrum of 5ah (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



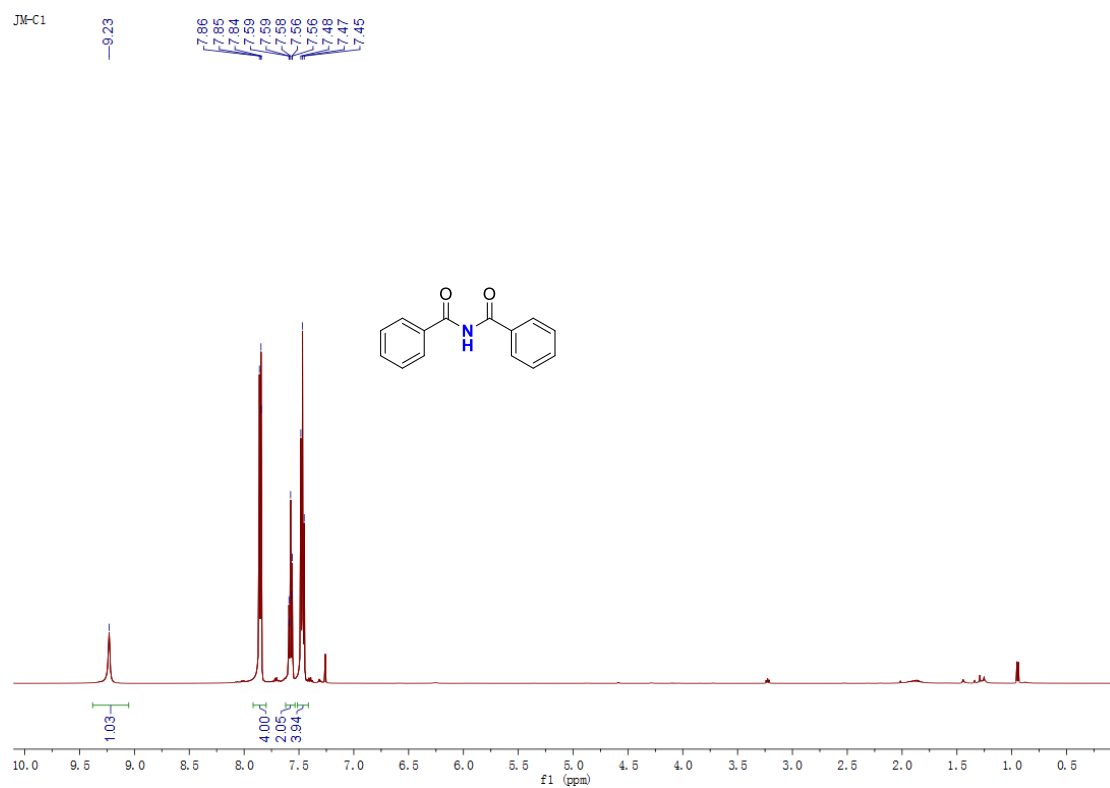
Supplementary Figure 52. <sup>1</sup>H NMR Spectrum of 5la (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



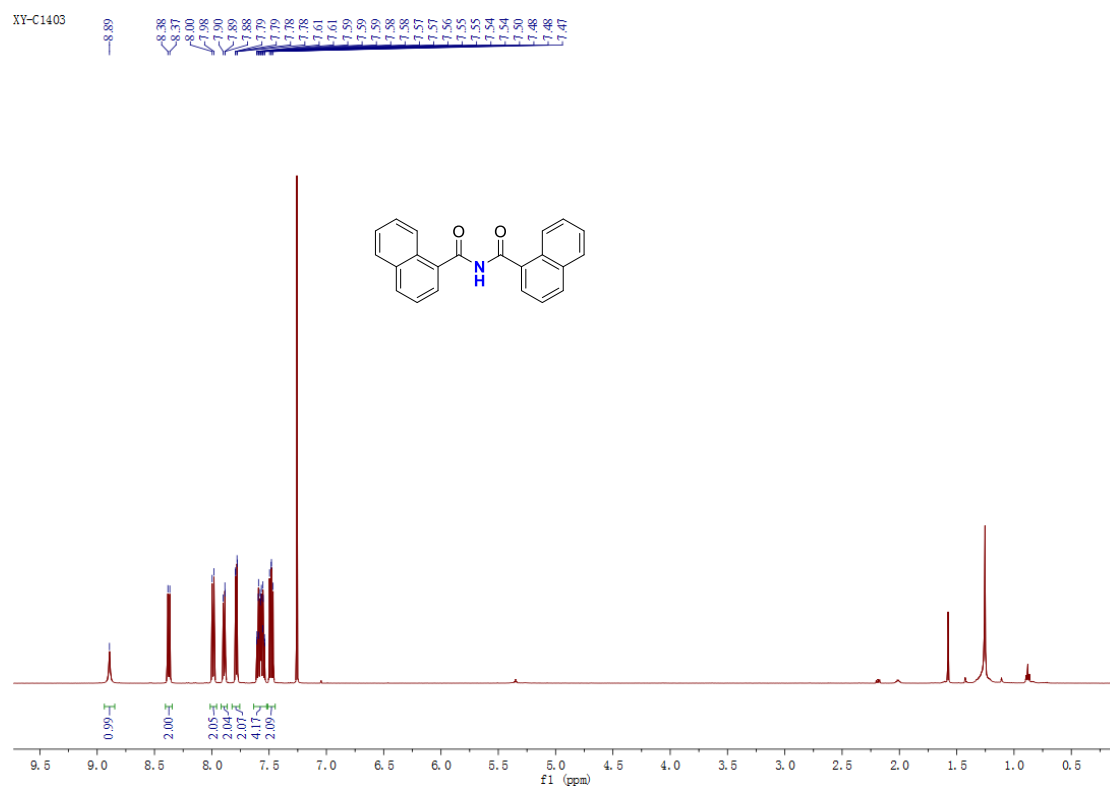
### Supplementary Figure 53. $^{13}\text{C}$ NMR Spectrum of 5la (125 MHz, $(\text{CD}_3)_2\text{SO}$ )



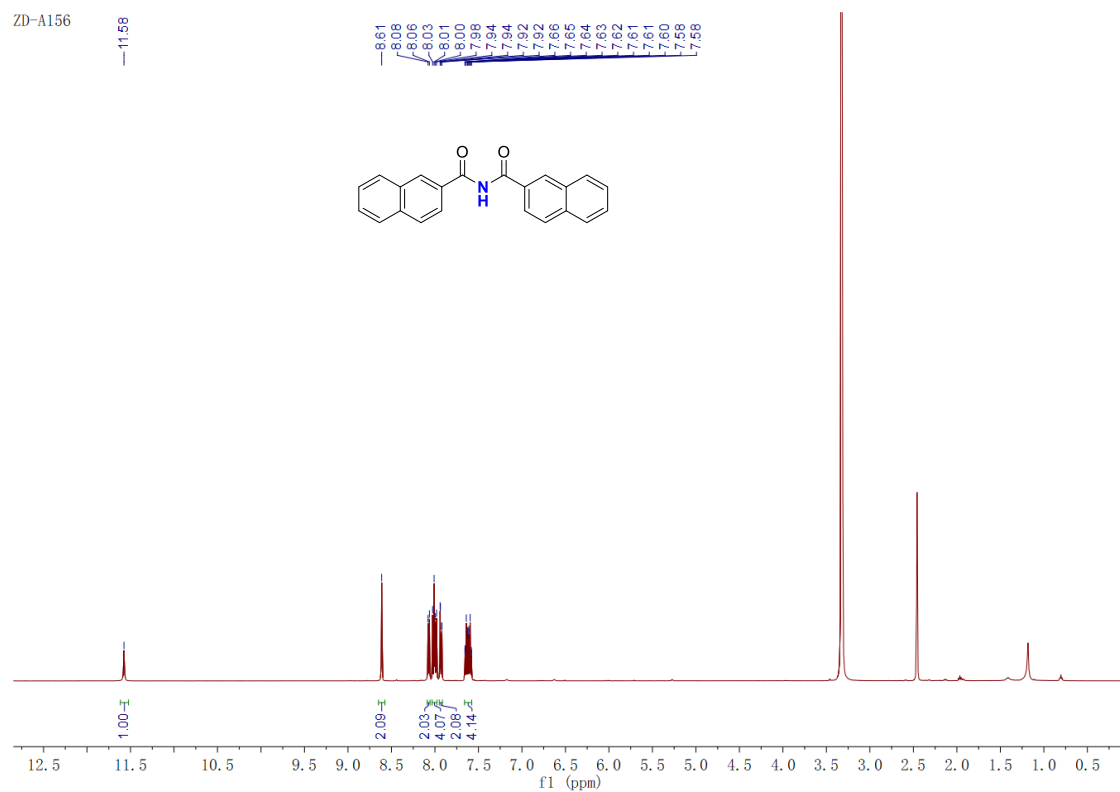
### Supplementary Figure 54. $^1\text{H}$ NMR Spectrum of 6a (500 MHz, $\text{CDCl}_3$ )



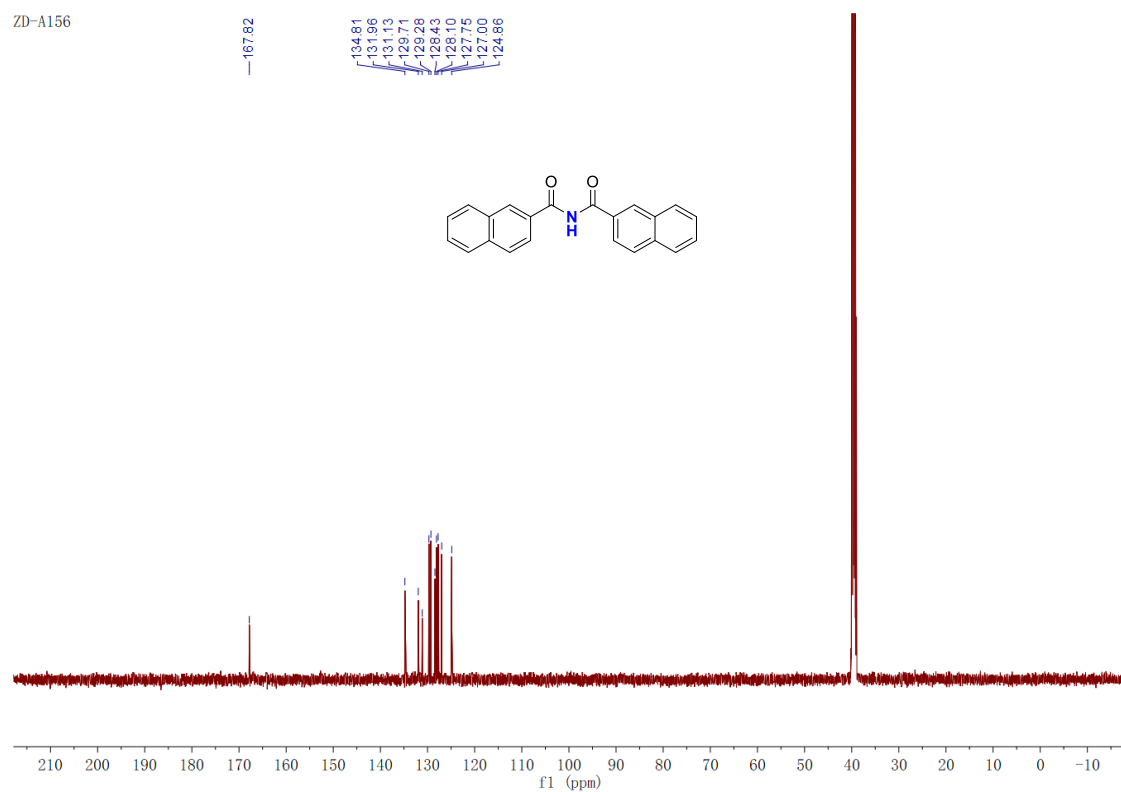
Supplementary Figure 55. <sup>1</sup>H NMR Spectrum of 6b (500 MHz, CDCl<sub>3</sub>)



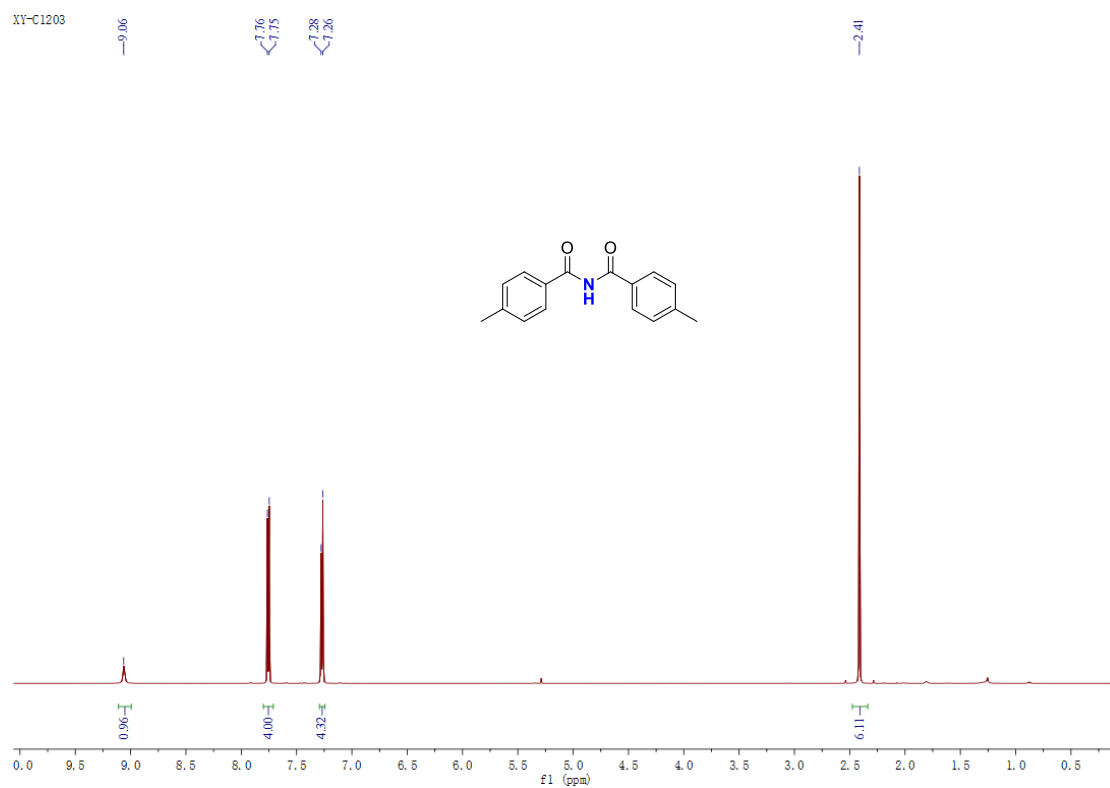
Supplementary Figure 50. <sup>1</sup>H NMR Spectrum of 6c (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



Supplementary Figure 57.  $^{13}\text{C}$  NMR Spectrum of 6c (125 MHz,  $(\text{CD}_3)_2\text{SO}$ )

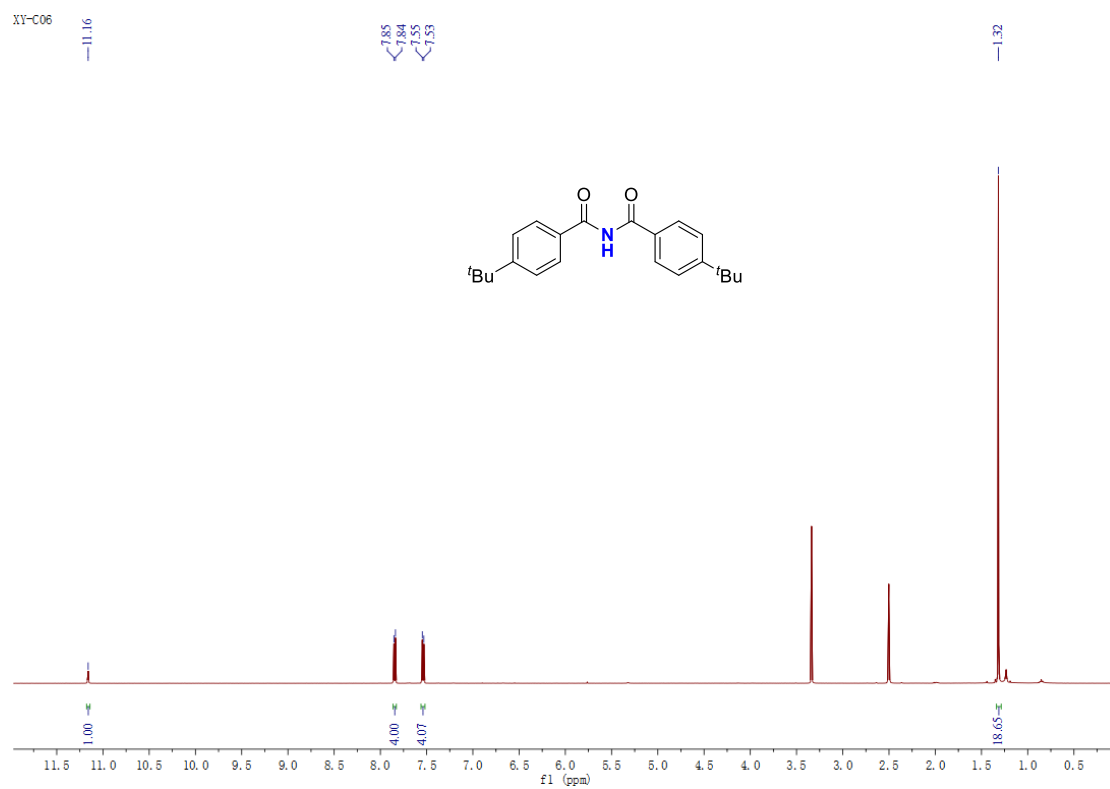


Supplementary Figure 58.  $^1\text{H}$  NMR Spectrum of 6d (500 MHz,  $\text{CDCl}_3$ )

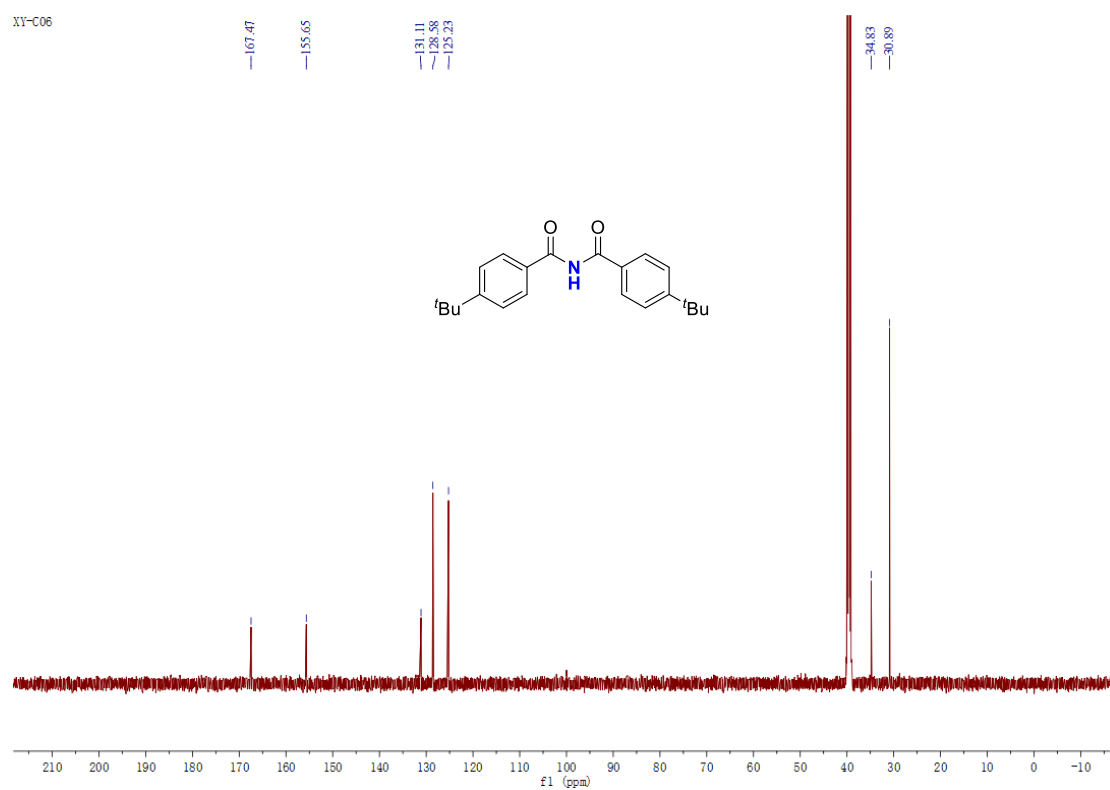




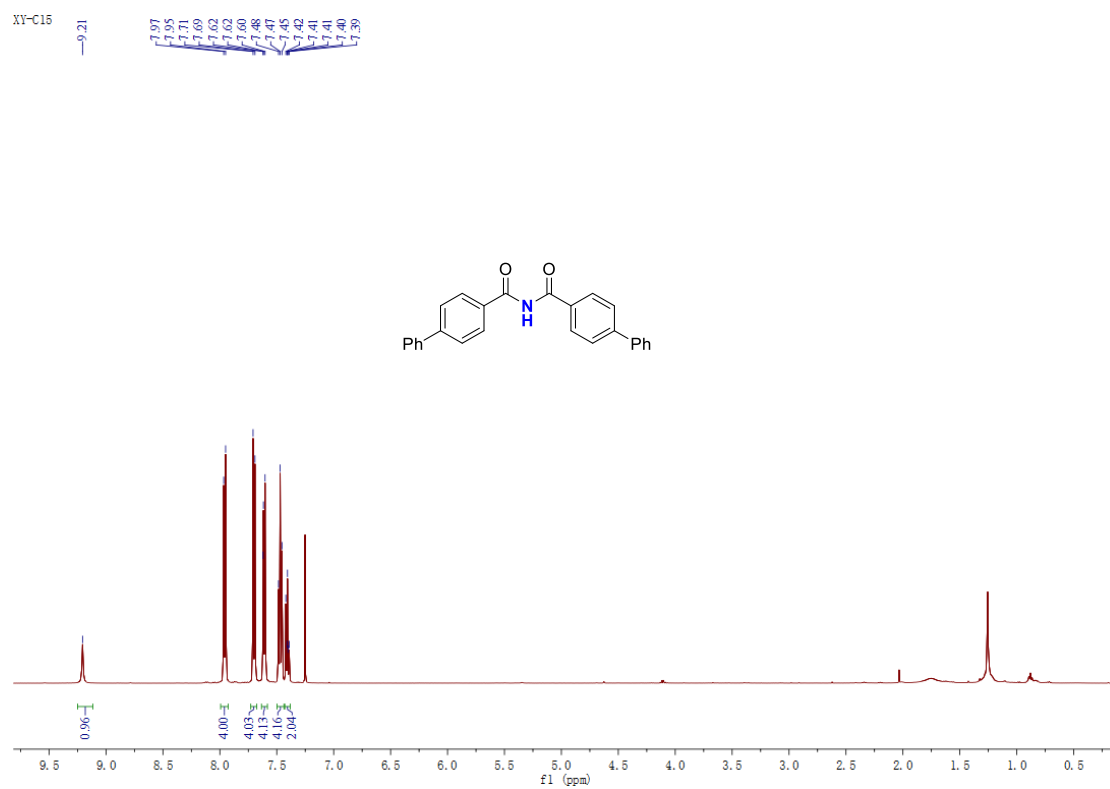
### Supplementary Figure 59. <sup>1</sup>H NMR Spectrum of 6e (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



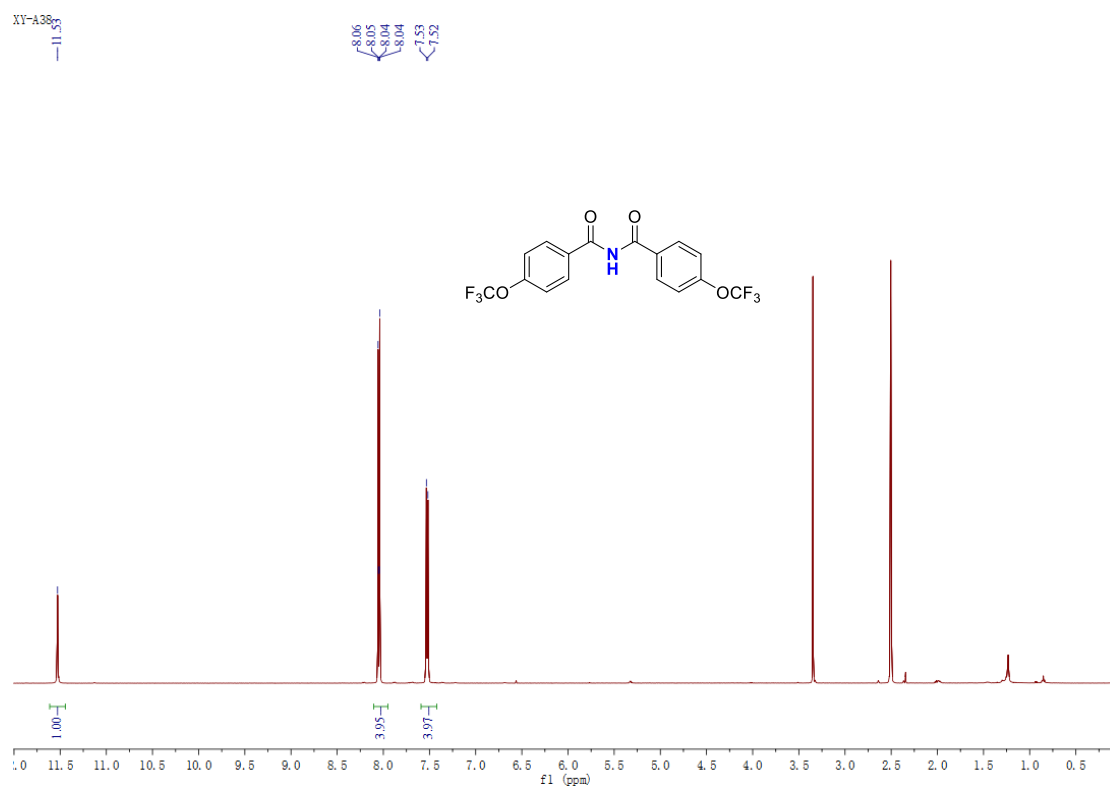
### Supplementary Figure 60. <sup>13</sup>C NMR Spectrum of 6e (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



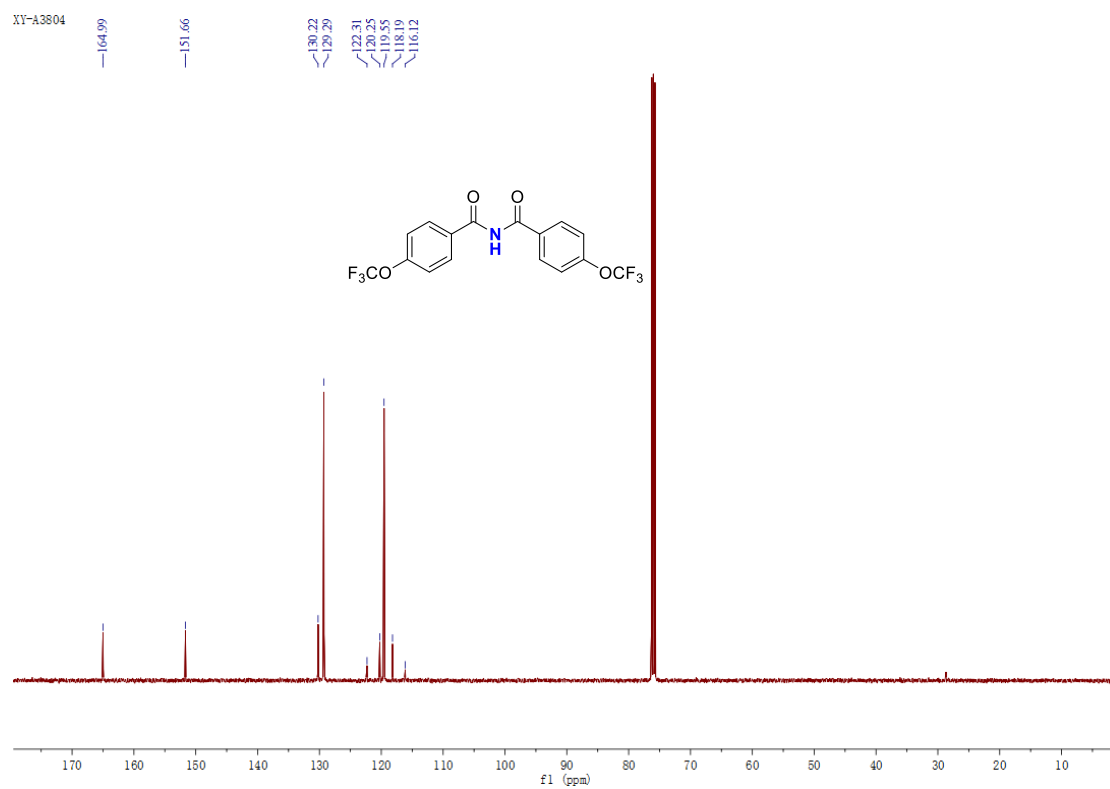
Supplementary Figure 61. <sup>1</sup>H NMR Spectrum of 6f (500 MHz, CDCl<sub>3</sub>)



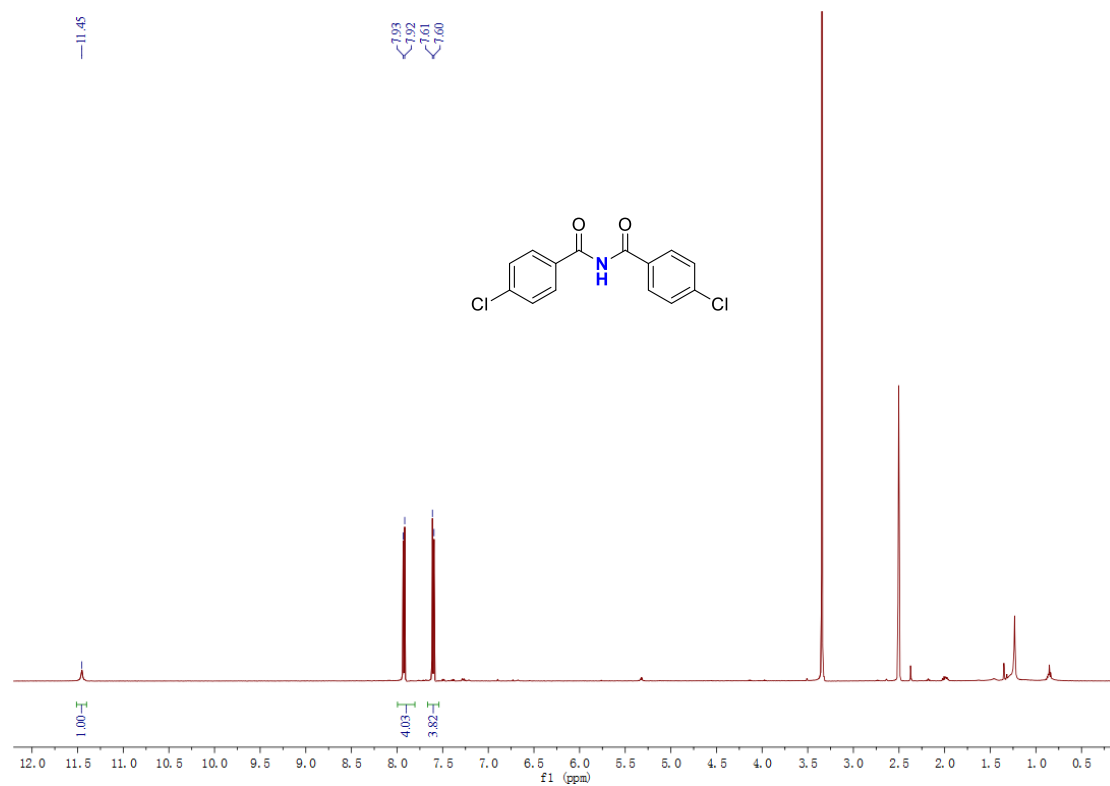
Supplementary Figure 62. <sup>1</sup>H NMR Spectrum of 6g (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



Supplementary Figure 63. <sup>1</sup>H NMR Spectrum of 6g (500 MHz, CDCl<sub>3</sub>)

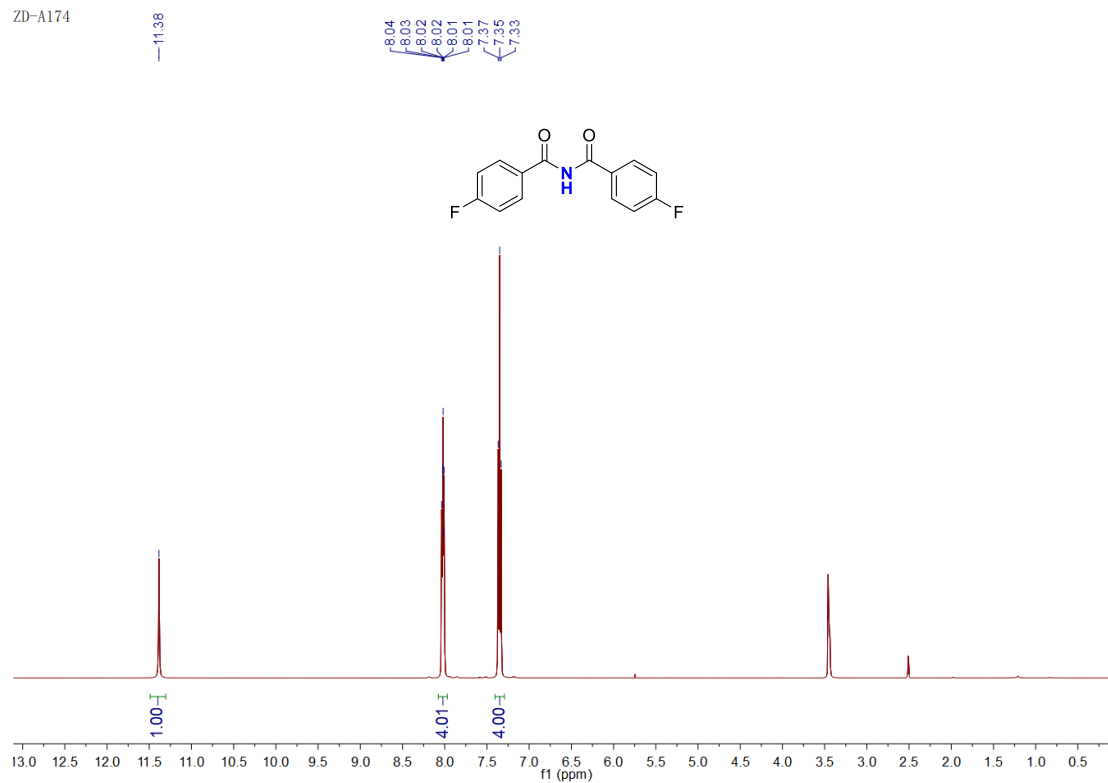


Supplementary Figure 64. <sup>1</sup>H NMR Spectrum of 6h (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



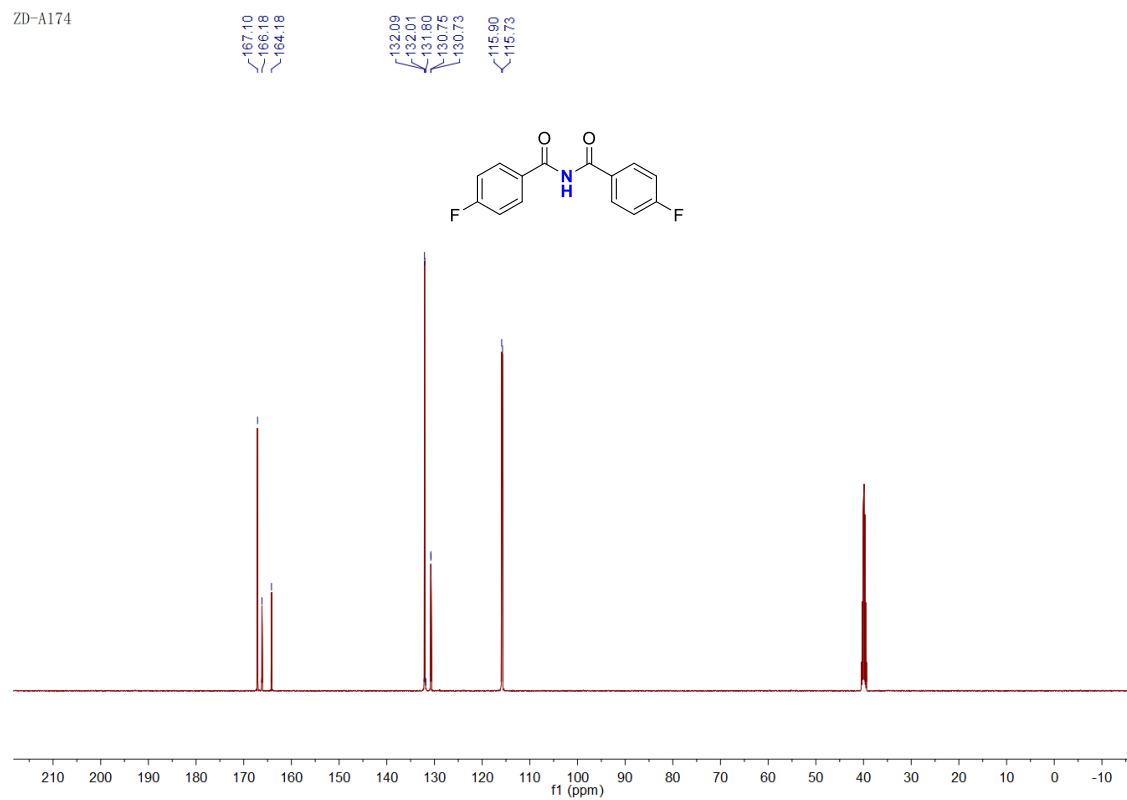
### Supplementary Figure 65. $^1\text{H}$ NMR Spectrum of 6i (500 MHz, $(\text{CD}_3)_2\text{SO}$ )

ZD-A174



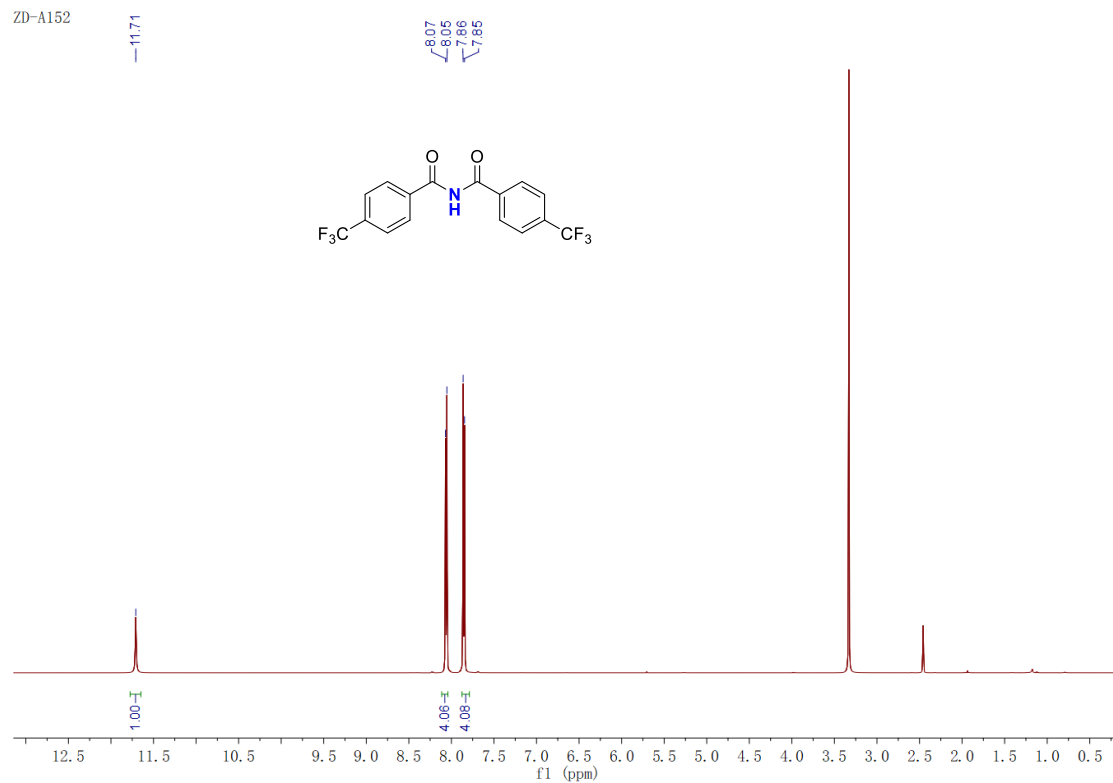
### Supplementary Figure 66. $^{13}\text{C}$ NMR Spectrum of 6i (125 MHz, $(\text{CD}_3)_2\text{SO}$ )

ZD-A174



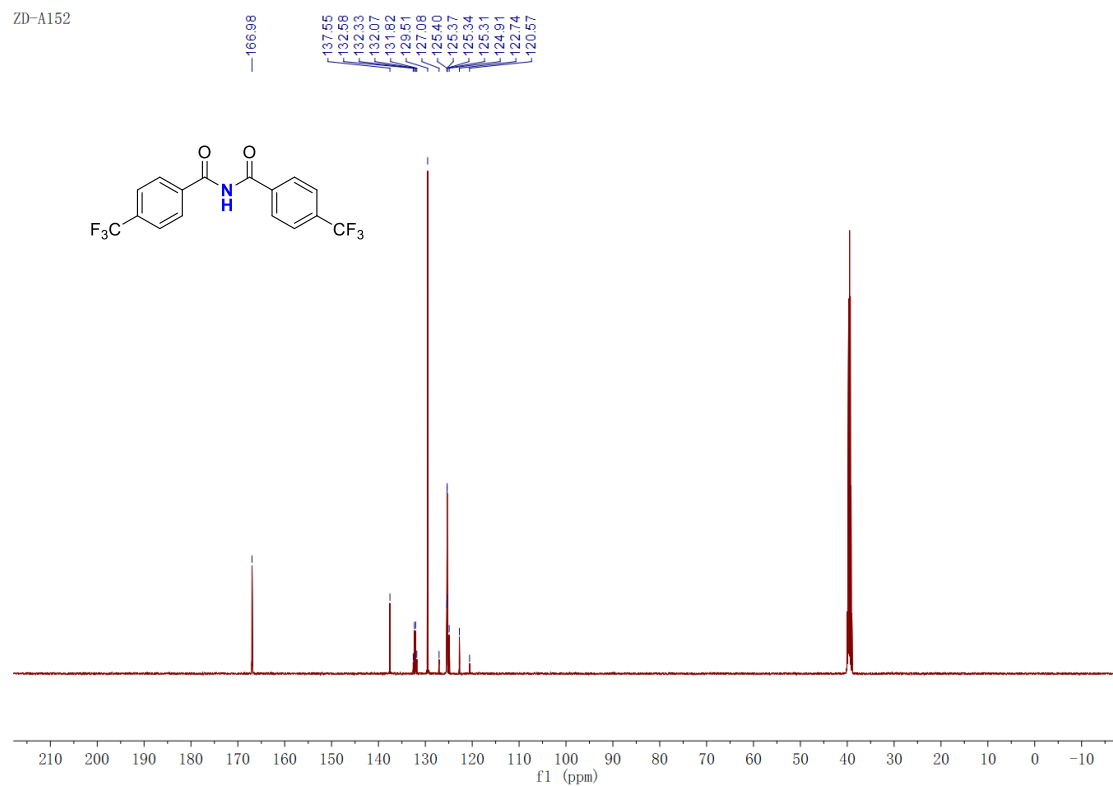
### Supplementary Figure 67. <sup>1</sup>H NMR Spectrum of 6j (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)

ZD-A152

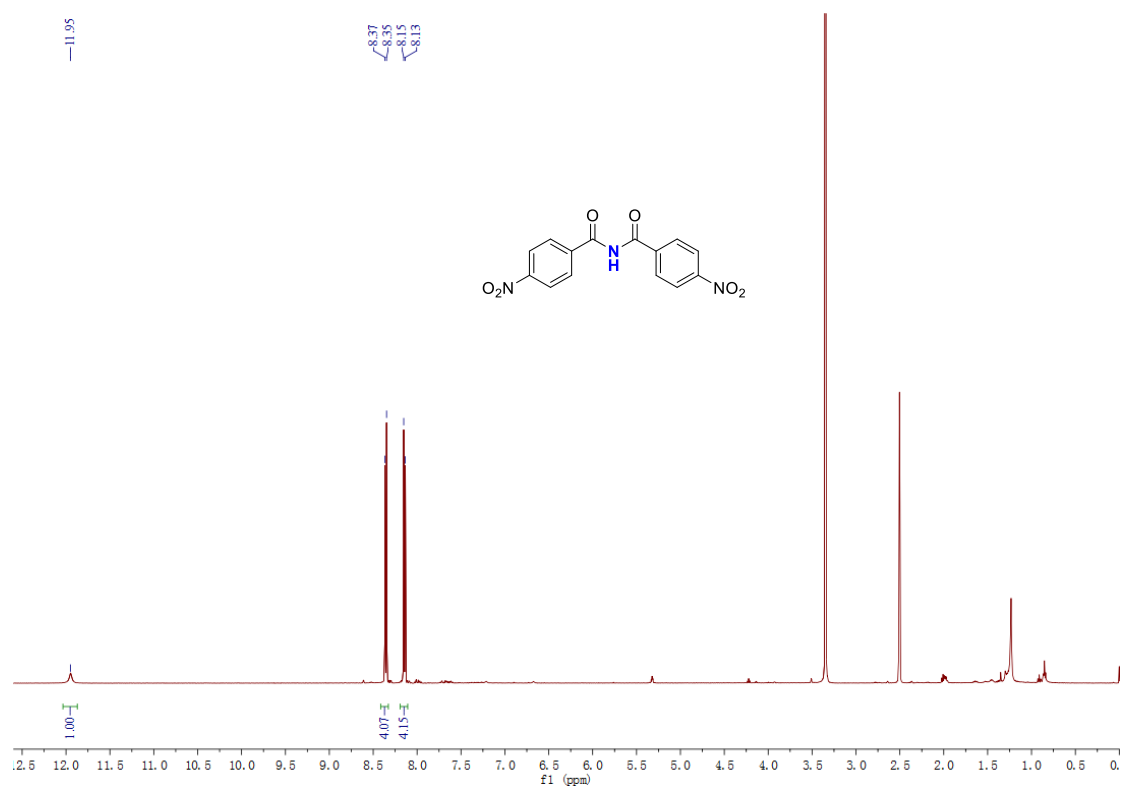


### Supplementary Figure 68. <sup>13</sup>C NMR Spectrum of 6j (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)

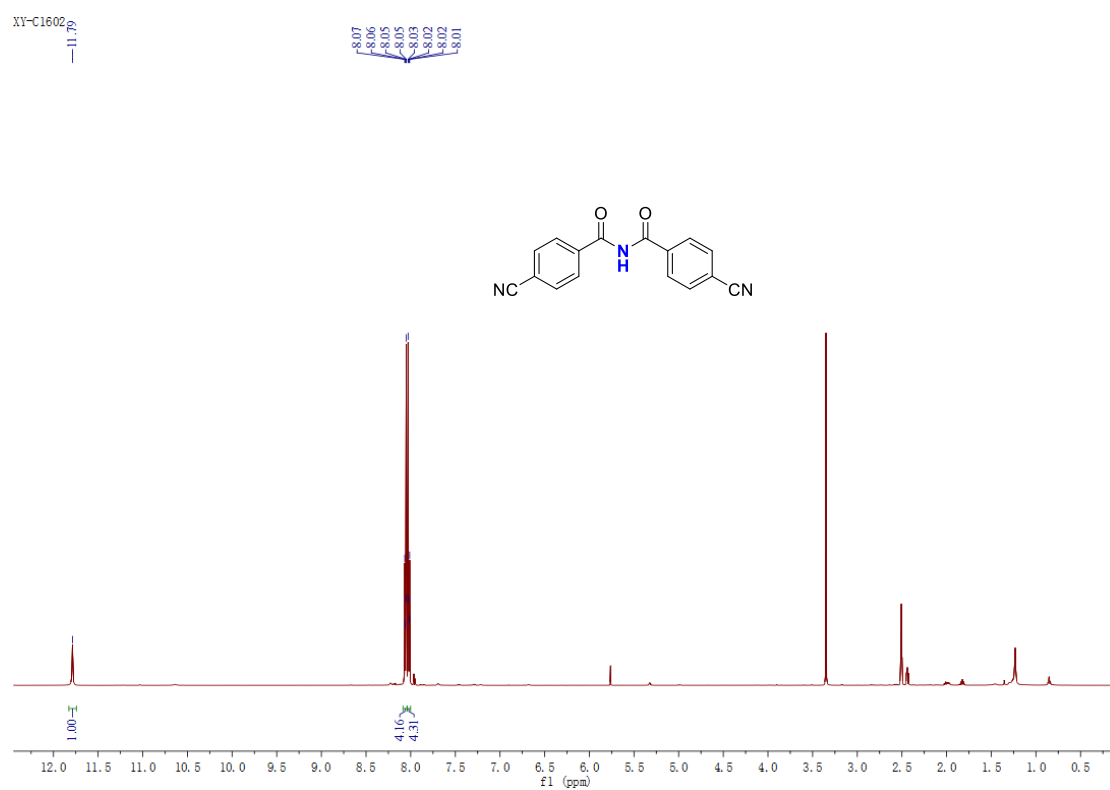
ZD-A152



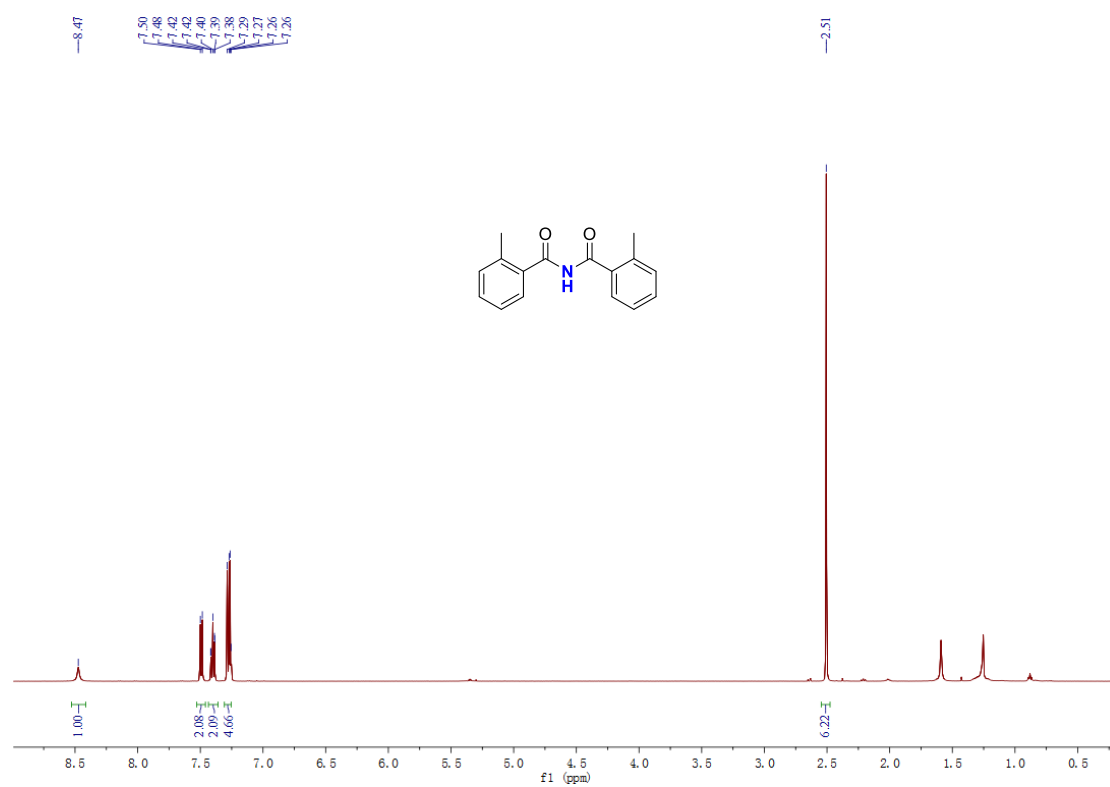
Supplementary Figure 69. <sup>1</sup>H NMR Spectrum of 6k (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



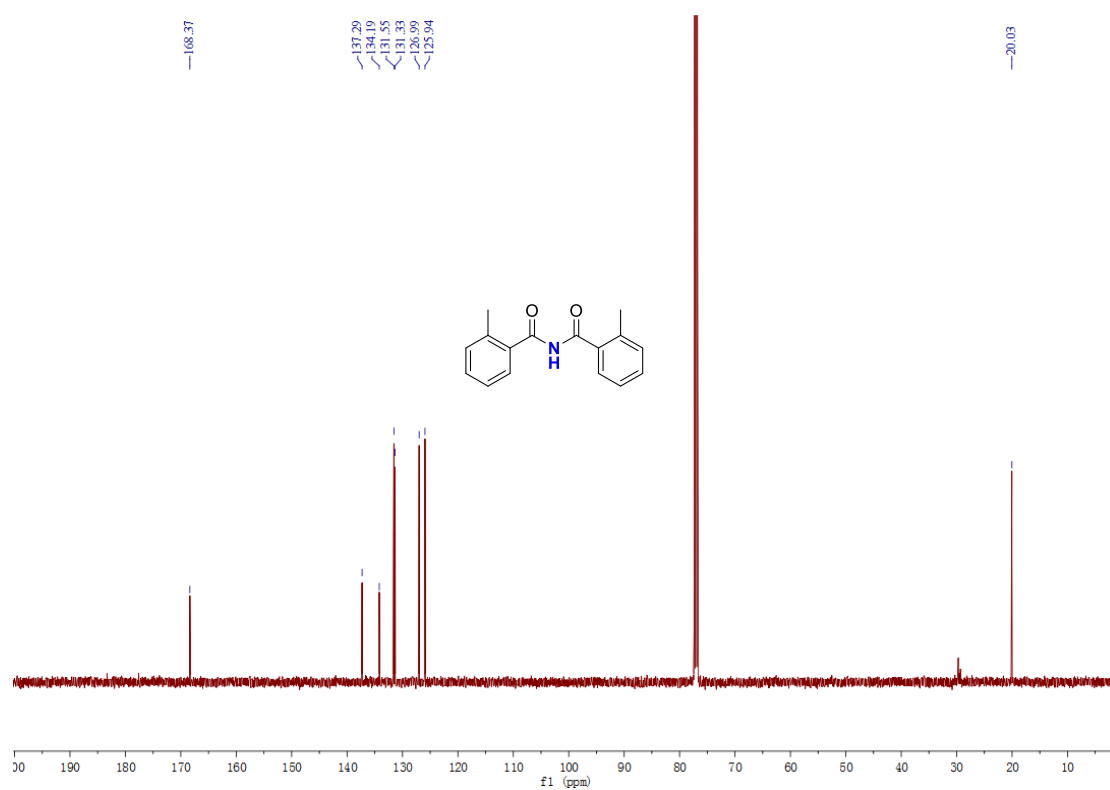
Supplementary Figure 70. <sup>1</sup>H NMR Spectrum of 6l (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)



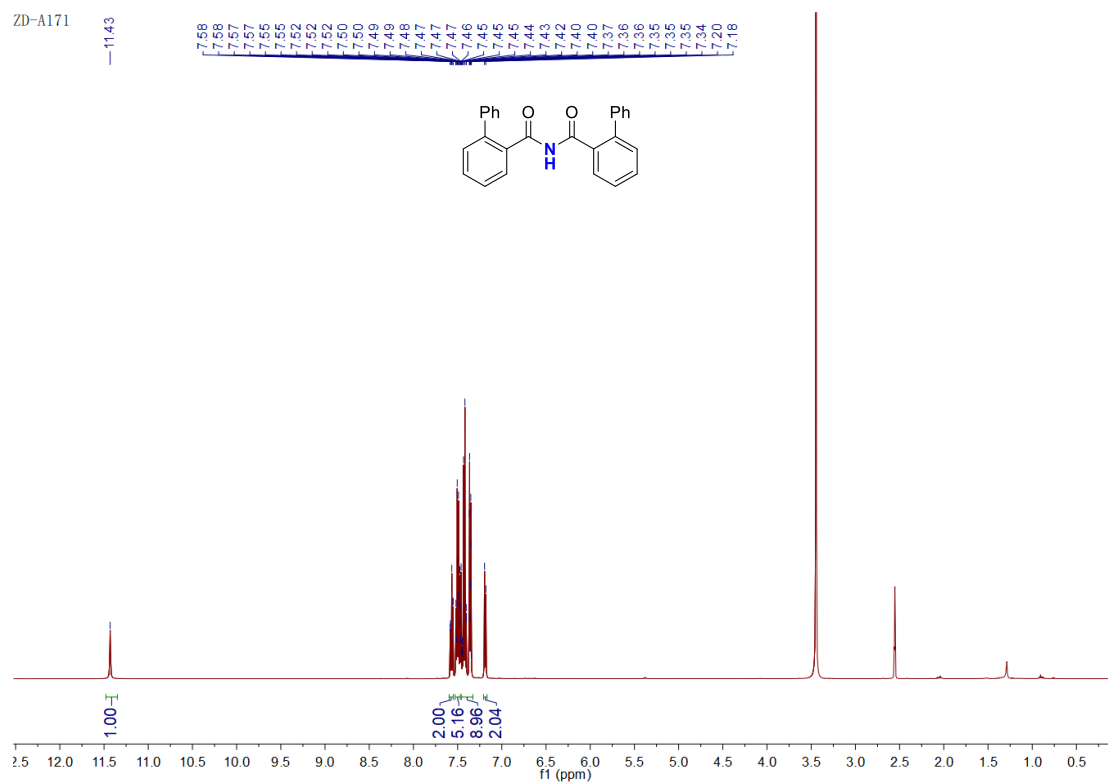
Supplementary Figure 71. <sup>1</sup>H NMR Spectrum of 6m (500 MHz, CDCl<sub>3</sub>)



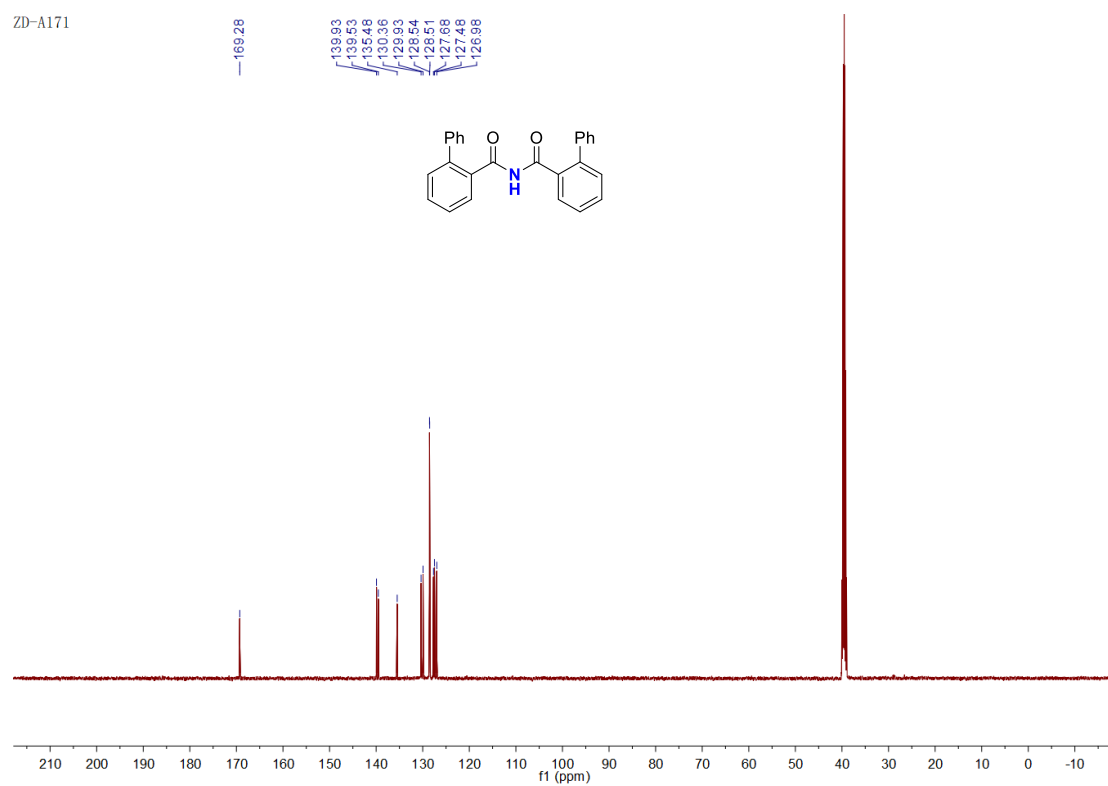
Supplementary Figure 72. <sup>13</sup>C NMR Spectrum of 6m (125 MHz, CDCl<sub>3</sub>)



### Supplementary Figure 73. <sup>1</sup>H NMR Spectrum of 6n (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)

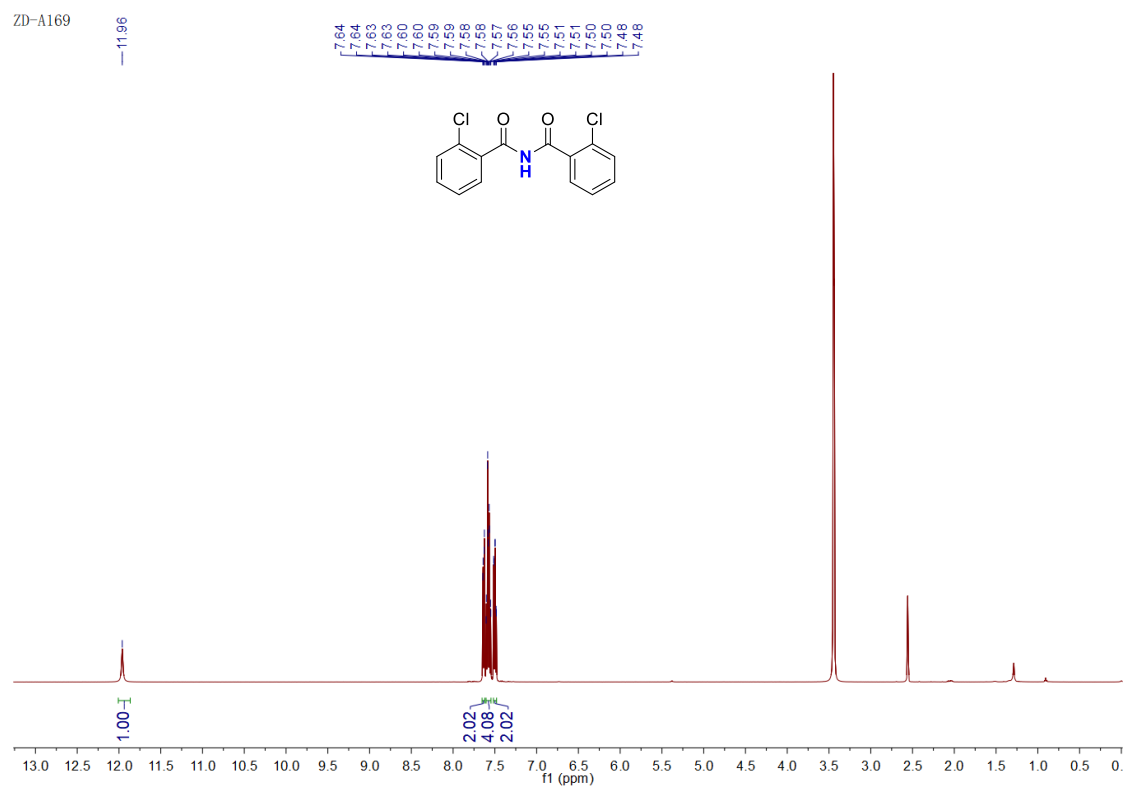


### Supplementary Figure 74. <sup>13</sup>C NMR Spectrum of 6n (125 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)

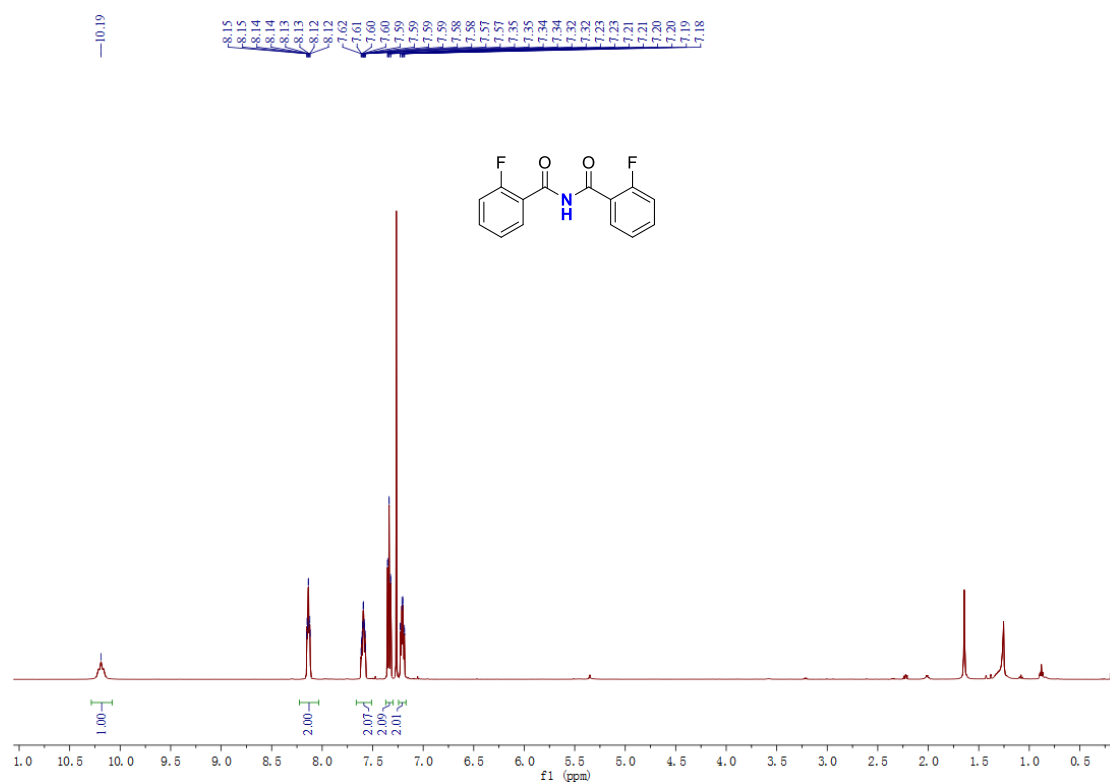




Supplementary Figure 75.  $^1\text{H}$  NMR Spectrum of 6o (500 MHz,  $(\text{CD}_3)_2\text{SO}$ )



Supplementary Figure 76.  $^1\text{H}$  NMR Spectrum of 6p (500 MHz,  $\text{CDCl}_3$ )



Supplementary Figure 77. <sup>1</sup>H NMR Spectrum of 6q (500 MHz, (CD<sub>3</sub>)<sub>2</sub>SO)

ZD-A153

