

## Supplementary Material

### Trimethylsilyl azide-promoted acid-amine coupling: A facile one-pot route to amides from carboxylic acids and amines

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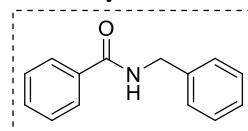
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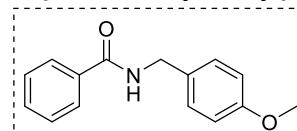
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Email: [yellaiah.chem@gmail.com](mailto:yellaiah.chem@gmail.com); [smanda@ucm.cl](mailto:smanda@ucm.cl), [satish.manda3@gmail.com](mailto:satish.manda3@gmail.com)

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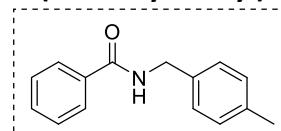
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***N*-Benzylbenzamide (3a).<sup>1</sup>**

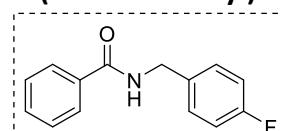
Isolated by filtration, White solid; Yield: 90%; mp: 92–94 °C (lit: 91–93 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.78 (dd, *J* = 5.3, 3.3 Hz, 2H), 7.52 – 7.46 (m, 1H), 7.41 (t, *J* = 7.6 Hz, 2H), 7.35 (d, *J* = 4.4 Hz, 3H), 7.29 (ddd, *J* = 7.4, 6.8, 3.1 Hz, 1H), 6.52 (s, 1H), 4.63 (d, *J* = 5.7 Hz, 2H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 167.4, 138.2, 134.3, 131.5, 128.80, 128.6, 127.9, 127.6, 127.0, 44.1; HRMS (ESI) cald for C<sub>14</sub>H<sub>14</sub>NO *m/z* 212.1070 [M + H]<sup>+</sup>, found 212.1074.

***N*-(4-Methoxybenzyl)benzamide (3b).<sup>1</sup>**

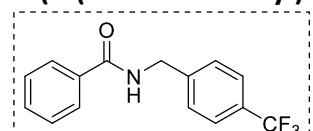
Isolated by filtration, White solid; Yield: 93%; mp: 94–96 °C (lit: 92–95 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.81 – 7.75 (m, 2H), 7.48 (t, *J* = 7.3 Hz, 1H), 7.41 (t, *J* = 7.5 Hz, 2H), 7.31 – 7.24 (m, 2H), 6.87 (d, *J* = 8.6 Hz, 2H), 6.46 (s, 1H), 4.56 (d, *J* = 5.5 Hz, 2H), 3.79 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ: 167.3, 159.0, 134.4, 131.4, 130.3, 129.2, 128.5, 127.0, 114.1, 55.3, 43.6; HRMS (ESI) cald for C<sub>15</sub>H<sub>16</sub>NO<sub>2</sub> *m/z* 242.1176 [M + H]<sup>+</sup>, found 242.1172.

***N*-(4-Methylbenzyl)benzamide (3c).<sup>1</sup>**

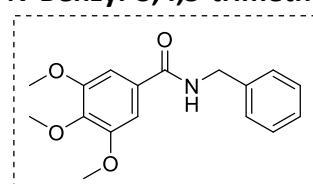
Isolated by filtration, White solid; Yield: 92%; mp: 118–120 °C (lit: 117–119 °C); <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ: 7.78 (d, *J* = 7.1 Hz, 2H), 7.54 – 7.38 (m, 3H), 7.25 (d, *J* = 5.7 Hz, 2H), 7.16 (d, *J* = 7.7 Hz, 2H), 6.37 (s, 1H), 4.61 (d, *J* = 5.5 Hz, 2H), 2.35 (s, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 167.2, 137.1, 135.1, 134.3, 131.3, 129.3, 128.4, 127.8, 126.9, 43.7, 21.0; HRMS (ESI) cald for C<sub>15</sub>H<sub>16</sub>NO *m/z* 226.1227 [M + H]<sup>+</sup>, found 226.1221.

***N*-(4-Fluorobenzyl)benzamide (3d).<sup>1</sup>**

Isolated by filtration, White solid; Yield: 89%; mp: 110–113 °C (lit: 110–112 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.79 (d, *J* = 7.1 Hz, 2H), 7.51 (tt, *J* = 1.2, 6.2, 8.2 Hz, 1H), 7.43 (t, *J* = 7.7 Hz, 2H), 7.32 (dd, *J* = 5.3, 8.5 Hz, 2H), 7.03 (t, *J* = 8.6 Hz, 2H), 6.46 (s, 1H), 4.61 (d, *J* = 5.7 Hz, 2H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 167.3, 163.1 and 161.1 (d, *J* = 246.1 Hz), 134.1, 134.0, 131.5, 129.4 (d, *J* = 7.2 Hz), 128.5, 126.9, 115.5 (d, *J* = 20.1 Hz), 43.2; HRMS (ESI) cald for C<sub>14</sub>H<sub>13</sub>FNO *m/z* 230.0976 [M + H]<sup>+</sup>, found 230.0982.

***N*-(4-(Trifluoromethyl)benzyl)benzamide (3e).<sup>2</sup>**

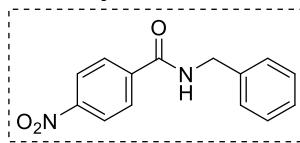
Isolated by filtration, Off white solid; Yield: 88%; mp: 142–144 °C (lit: 140–141 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.80 (d, *J* = 7.3 Hz, 2H), 7.59 (d, *J* = 7.9 Hz, 2H), 7.52 (t, *J* = 7.9 Hz, 1H), 7.48 – 7.40 (m, 4H), 6.65 (s, 1H), 4.69 (d, *J* = 5.8 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ: 167.5, 142.3, 133.9, 131.7, 129.6 (q, *J* = 32.2 Hz), 128.5, 127.8, 126.9, 125.5 (d, *J* = 3.6 Hz), 122.6, 43.4; HRMS (ESI) cald for C<sub>15</sub>H<sub>13</sub>F<sub>3</sub>NO *m/z* 280.0944 [M + H]<sup>+</sup>, found 280.0942.

***N*-Benzyl-3,4,5-trimethoxybenzamide (3f).<sup>4</sup>**

Isolated by filtration, White solid; Yield: 94%; mp: 141–143 °C (lit: 139–140 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.38 – 7.35 (m, 4H), 7.34 – 7.29 (m, 1H), 7.02 (s, 2H), 6.38 (s, 1H), 4.64 (d, *J* = 5.6 Hz, 2H), 3.89 (s,

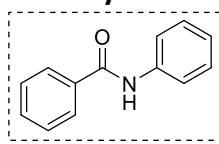
6H), 3.88 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$ : 167.0, 153.0, 140.8, 138.1, 129.6, 128.6, 127.8, 127.5, 104.3, 60.8, 56.2, 44.1; HRMS (ESI) cald for  $\text{C}_{17}\text{H}_{20}\text{NO}_4$   $m/z$  302.1387 [M + H] $^+$ , found 302.1389.

**N-Benzyl-4-nitrobenzamide (3g).<sup>4</sup>**



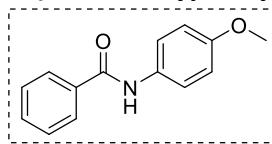
Isolated by filtration, Off white solid; Yield: 82%; mp: 133–135 °C (lit: 134–137°C);  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$ : 8.27 (d,  $J$  = 8.8 Hz, 2H), 7.94 (d  $J$  = 8.8 Hz, 2H), 7.40 – 7.30 (m, 5H), 6.57 (s, 1H), 4.66 (d,  $J$  = 5.6 Hz, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$  + DMSO- $d_6$ )  $\delta$ : 165.1, 149.1, 139.8, 138.0, 128.4, 128.3, 127.5, 127.1, 123.1, 43.7; HRMS (ESI) cald for  $\text{C}_{14}\text{H}_{13}\text{N}_2\text{O}_3$   $m/z$  257.0921 [M + H] $^+$ , found 257.0925.

**N-Phenylbenzamide (3h).<sup>3</sup>**



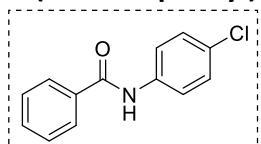
Isolated by filtration, White solid; Yield: 80%; mp: 162–164 °C (lit: 162–164 °C);  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ )  $\delta$ : 7.87 (d,  $J$  = 7.3 Hz, 2H), 7.83 (bs, 1H), 7.64 (d,  $J$  = 7.9 Hz, 2H), 7.55 (t,  $J$  = 7.3 Hz, 1H), 7.49 (t,  $J$  = 7.6 Hz, 2H), 7.38 (t,  $J$  = 7.7 Hz, 2H), 7.16 (t,  $J$  = 7.4 Hz, 1H);  $^{13}\text{C}$  NMR (75 MHz,  $\text{CDCl}_3$  + DMSO- $d_6$ )  $\delta$ : 164.9, 137.9, 134.1, 130.1, 127.3, 127.0, 126.5, 122.5, 119.4; HRMS (ESI) cald for  $\text{C}_{13}\text{H}_{12}\text{NO}$   $m/z$  198.0914 [M + H] $^+$ , found 198.0910.

**N-(4-Methoxyphenyl)benzamide (3i).<sup>3</sup>**



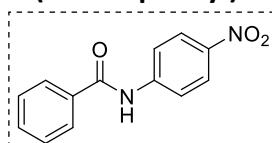
Isolated by filtration, White solid; Yield: 84%; mp: 150–152 °C (lit: 152–155 °C);  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$ : 7.86 (d,  $J$  = 7.3 Hz, 2H), 7.78 (bs, 1H), 7.56–7.51 (m, 3H), 7.47 (t,  $J$  = 7.7 Hz, 2H), 6.90 (d,  $J$  = 9.0 Hz, 2H), 3.81 (s, 3H);  $^{13}\text{C}$  NMR (75 MHz,  $\text{CDCl}_3$  + DMSO- $d_6$ )  $\delta$ : 164.1, 154.3, 133.8, 130.8, 129.7, 126.6, 126.1, 120.7, 112.1, 53.7; HRMS (ESI) cald for  $\text{C}_{14}\text{H}_{14}\text{NO}_2$   $m/z$  228.1020 [M + H] $^+$ , found 228.1022.

**N-(4-Chlorophenyl)benzamide (3j).<sup>3</sup>**

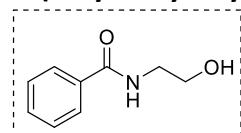


Isolated by filtration, White solid; Yield: 78%; mp: 204–207 °C (lit: 202–204 °C);  $^1\text{H}$  NMR (300 MHz, DMSO- $d_6$ )  $\delta$ : 10.23 (s, 1H), 7.96 (dd,  $J$  = 1.7, 8.5 Hz, 2H), 7.82 (d,  $J$  = 9.0 Hz, 2H), 7.59–7.45 (m, 3H), 7.30 (d,  $J$  = 8.8 Hz, 2H);  $^{13}\text{C}$  NMR (75 MHz,  $\text{CDCl}_3$  + DMSO- $d_6$ )  $\delta$ : 165.4, 137.6, 134.5, 131.0, 127.9, 127.8, 127.3, 121.4; HRMS (ESI) cald for  $\text{C}_{13}\text{H}_{11}\text{ClNO}$   $m/z$  232.0524 [M + H] $^+$ , found 232.0520.

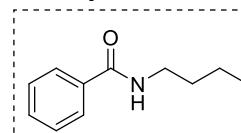
**N-(4-Nitrophenyl)benzamide (3k).<sup>3</sup>**



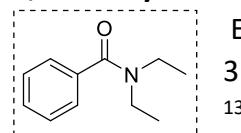
Isolated by filtration, Off white solid; Yield: 75%; mp: 201–203 °C (lit: 200–202 °C);  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$  + DMSO- $d_6$ )  $\delta$ : 10.25 (s, 1H), 8.21 (dd,  $J$  = 1.9, 9.1 Hz, 2H), 8.05 (dd,  $J$  = 1.9, 9.3 Hz, 2H), 7.98 (dd,  $J$  = 1.3, 7.1 Hz, 2H), 7.61–7.55 (m, 1H), 7.50 (t,  $J$  = 7.7 Hz, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$  + DMSO- $d_6$ )  $\delta$ : 166.6, 144.9, 142.5, 134.2, 131.5, 127.9, 127.5, 124.1, 119.3; HRMS (ESI) cald for  $\text{C}_{13}\text{H}_{11}\text{N}_2\text{O}_3$   $m/z$  243.0765 [M + H] $^+$ , found 243.0769.

***N-(2-hydroxyethyl)benzamide (3l).***<sup>5</sup>

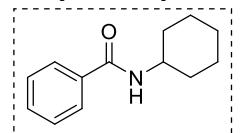
Eluted in 2% MeOH/DCM, White solid; Yield: 55%; mp: 59–62 °C; <sup>1</sup>H NMR (500 MHz, DMSO-*d*<sub>6</sub>) δ 8.43 (s, 1H), 7.88 – 7.81 (m, 2H), 7.52 (t, *J* = 7.3 Hz, 1H), 7.46 (t, *J* = 7.4 Hz, 2H), 4.74 (t, *J* = 5.6 Hz, 1H), 3.52 (q, *J* = 6.1 Hz, 2H), 3.38 – 3.29 (m, 2H). <sup>13</sup>C NMR (125 MHz, DMSO-*d*<sub>6</sub>) δ: 166.7, 135.0, 131.5, 128.6, 127.6, 60.2, 42.6; HRMS (ESI) cald for C<sub>9</sub>H<sub>12</sub>NO<sub>2</sub> *m/z* 166.0863 [M + H]<sup>+</sup>, found 166.0861.

***N-Butylbenzamide (3m).***<sup>5</sup>

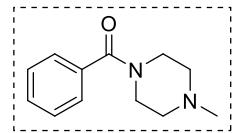
Eluted in 30% EtOAc/n-hexane, White solid; Yield: 93%; mp: 40–42 °C (lit: 41–43 °C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.76 (d, *J* = 8.0 Hz, 2H), 7.51 – 7.46 (m, 1H), 7.42 (t, *J* = 7.6 Hz, 2H), 7.45 – 7.39 (m, 2H), 6.18 (s, 1H), 3.46 (td, *J* = 7.1, 5.7 Hz, 2H), 1.64 – 1.56 (m, 2H), 1.46 – 1.37 (m, 2H), 0.96 (t, *J* = 7.4 Hz, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 167.5, 134.6, 131.0, 128.2, 126.7, 39.6, 31.5, 20.0, 13.6; HRMS (ESI) cald for C<sub>11</sub>H<sub>16</sub>NO *m/z* 178.1227 [M + H]<sup>+</sup>, found 178.1231.

***N,N-Diethylbenzamide (3n).***<sup>6</sup>

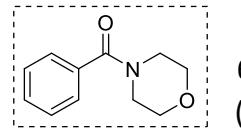
Eluted in 25% EtOAc/n-hexane, White solid; Yield: 91%; mp: 30–33 °C (lit: 29–31 °C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.45 – 7.38 (m, 5H), 4.00 – 3.35 (m, 10H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 170.2, 135.2, 129.7, 128.4, 126.9, 66.7, 48.0, 42.3; HRMS (ESI) cald for C<sub>11</sub>H<sub>16</sub>NO *m/z* 178.1227 [M + H]<sup>+</sup>, found 178.1229.

***N-Cyclohexylbenzamide (3o).***<sup>7</sup>

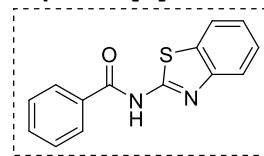
Isolated by filtration, White solid; Yield: 92%; mp: 145–146 °C (lit: 146–147 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.78 – 7.73 (m, 2H), 7.51 – 7.45 (m, 1H), 7.45 – 7.39 (m, 2H), 5.99 (s, 1H), 4.04 – 3.93 (m, 1H), 2.08 – 1.99 (m, 2H), 1.80 – 1.72 (m, 2H), 1.70 – 1.61 (m, 2H), 1.50 – 1.37 (m, 2H), 1.30 – 1.21 (m, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ: 166.5, 135.0, 131.1, 128.4, 126.7, 48.6, 33.1, 25.5, 24.8; HRMS (ESI) cald for C<sub>13</sub>H<sub>18</sub>NO *m/z* 204.1383 [M + H]<sup>+</sup>, found 204.1389.

***(4-Methylpiperazin-1-yl)(phenyl)methanone (3p).***<sup>8</sup>

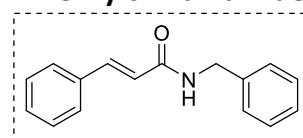
Eluted in 5% MeOH/DCM, Colorless liquid; Yield: 87%; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ: 7.41 (s, 5H), 3.81 (s, 2H), 3.46 (s, 2H), 2.55 – 2.34 (m, 4H), 2.33 (s, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 170.2, 135.6, 129.6, 128.3, 126.9, 55.1, 54.5, 47.3, 45.8, 41.8; HRMS (ESI) cald for C<sub>12</sub>H<sub>17</sub>N<sub>2</sub>O *m/z* 205.1336 [M + H]<sup>+</sup>, found 205.1334.

***Morpholino(phenyl)methanone (3q).***<sup>8,9</sup>

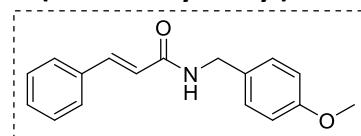
Eluted in 50% EtOAc/n-hexane, Colorless liquid; Yield: 91%; mp: 69–70 °C (lit: 66–68 °C); <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ: 7.42 – 7.34 (m, 5H), 3.54 (s, 2H), 3.25 (s, 2H), 1.24 (s, 2H), 1.11 (s, 2H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 171.1, 137.1, 128.9, 128.2, 126.1, 43.1, 39.1, 14.1, 12.8; MS (ESI): *m/z* 192 [M + H]<sup>+</sup>. HRMS (ESI) cald for C<sub>11</sub>H<sub>14</sub>NO<sub>2</sub> *m/z* 192.1020 [M + H]<sup>+</sup>, found 192.1026.

***N-(Benzo[d]thiazol-2-yl)benzamide (3r).***<sup>10</sup>

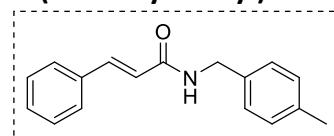
Isolated by filtration, White solid; Yield: 73%; mp: 69–70 °C (lit: 66–68 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 11.34 (bs, 1H), 8.00 (dd, *J* = 1.0, 8.1 Hz, 2H), 7.89 – 7.81 (m, 1H), 7.57 (t, *J* = 7.5 Hz, 1H), 7.44 (t, *J* = 7.5 Hz, 2H), 7.39 – 7.27 (m, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 166.0, 159.7, 147.7, 133.0, 132.0, 131.8, 128.9, 127.9, 126.0, 123.9, 121.3, 120.6; HRMS (ESI) cald for C<sub>14</sub>H<sub>11</sub>N<sub>2</sub>OS *m/z* 255.0587 [M + H]<sup>+</sup>, found 255.0581.

***N-Benzylcinnamamide (5a).***<sup>11</sup>

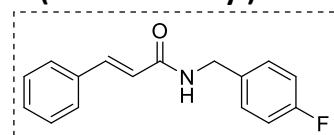
Isolated by filtration, White solid; Yield: 91%; mp: 98–100 °C (lit: 99–100°C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.68 (d, *J* = 15.7 Hz, 1H), 7.51 – 7.47 (m, 2H), 7.39 – 7.31 (m, 7H), 7.31 – 7.27 (m, 1H), 6.41 (d, *J* = 15.6 Hz, 1H), 5.93 (s, 1H), 4.58 (d, *J* = 5.6 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ: 165.7, 141.3, 138.1, 134.7, 129.6, 128.7, 128.6, 127.8, 127.7, 127.5, 120.4, 43.8; HRMS (ESI) cald for C<sub>16</sub>H<sub>16</sub>NO *m/z* 238.1227 [M + H]<sup>+</sup>, found 238.1223.

***N-(4-Methoxybenzyl)cinnamamide (5b).***<sup>12</sup>

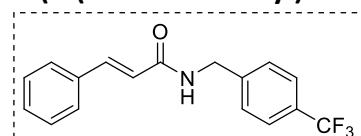
Isolated by filtration, White solid; Yield: 94%; mp: 111–112 °C (lit: 110 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.66 (d, *J* = 15.6 Hz, 1H), 7.48 (dd, *J* = 4.2, 7.7 Hz, 2H), 7.40 – 7.32 (m, 3H), 7.25 (d, *J* = 7.8 Hz, 2H), 6.87 (d, *J* = 8.6 Hz, 2H), 6.40 (d, *J* = 15.6 Hz, 1H), 5.95 (bs, 1H), 4.50 (d, *J* = 5.7 Hz, 2H), 3.79 (s, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 165.6, 159.0, 141.1, 134.7, 130.2, 129.6, 129.2, 128.7, 127.7, 120.5, 114.0, 55.2, 43.2; HRMS (ESI) cald for C<sub>17</sub>H<sub>18</sub>NO<sub>2</sub> *m/z* 268.1333 [M + H]<sup>+</sup>, found 268.1335.

***N-(4-Methylbenzyl)cinnamamide (5c).***<sup>12</sup>

Isolated by filtration, White solid; Yield: 92%; mp: 117–119 °C (lit: 119 °C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.65 (d, *J* = 15.5 Hz, 1H), 7.49 – 7.46 (m, 2H), 7.36 – 7.32 (m, 3H), 7.21 (d, *J* = 8.0 Hz, 2H), 7.14 (d, *J* = 7.7 Hz, 2H), 6.41 (d, *J* = 15.5 Hz, 1H), 5.98 (s, 1H), 4.52 (d, *J* = 5.6 Hz, 2H), 2.33 (s, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 165.6, 159.0, 141.1, 134.7, 130.2, 129.6, 129.2, 128.7, 127.7, 120.5, 114.0, 55.2, 43.2; HRMS (ESI) cald for C<sub>17</sub>H<sub>18</sub>NO *m/z* 252.1383 [M + H]<sup>+</sup>, found 252.1381.

***N-(4-Fluorobenzyl)cinnamamide (5d).***<sup>12</sup>

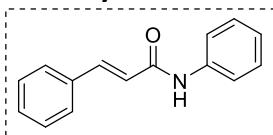
Isolated by filtration, White solid; Yield: 88%; mp: 120–123 °C (lit: 121 °C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.68 (d, *J* = 15.7 Hz, 1H), 7.52 – 7.47 (m, 2H), 7.39 – 7.34 (m, 3H), 7.30 (dd, *J* = 5.6, 8.0 Hz, 2H), 7.02 (t, *J* = 8.2 Hz, 2H), 6.41 (d, *J* = 15.7 Hz, 1H), 5.97 (bs, 1H), 4.54 (d, *J* = 5.8 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ: 165.8, 163.3 and 160.9 (d, *J* = 245.7 Hz), 141.5, 134.6, 134.0 (d, *J* = 2.9 Hz), 129.7, 129.5 (d, *J* = 8.0 Hz), 128.7, 127.7, 120.2, 115.5 (d, *J* = 21.2 Hz), 43.0; HRMS (ESI) cald for C<sub>16</sub>H<sub>15</sub>FNO *m/z* 256.1133 [M + H]<sup>+</sup>, found 256.1129.

***N-(4-(Trifluoromethyl)benzyl)cinnamamide (5e).***<sup>13</sup>

Isolated by filtration, White solid; Yield: 86%; mp: 137–139 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.68 (d, *J* = 15.6 Hz, 1H), 7.58 (d, *J* = 8.2

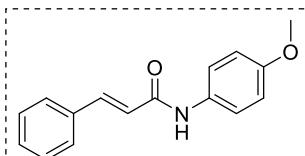
Hz, 2H), 7.50 – 7.46 (m, 2H), 7.42 (d,  $J$  = 8.0 Hz, 2H), 7.38 – 7.33 (m, 3H), 6.45 (d,  $J$  = 15.5 Hz, 1H), 6.20 (bs, 1H), 4.61 (d,  $J$  = 5.9 Hz, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$ : 166.1, 142.3, 141.7, 134.5, 129.8, 128.8, 127.8, 127.7, 125.6, 125.5, 122.6, 120.0, 43.1; HRMS (ESI) calcd for  $\text{C}_{17}\text{H}_{15}\text{F}_3\text{NO}$   $m/z$  306.1101 [M + H] $^+$ , found 306.1102.

**N-Phenylcinnamamide (5f).**<sup>11</sup>



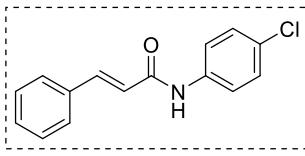
Isolated by filtration, White solid; Yield: 81%; mp: 171–173 °C (lit: 170–172 °C);  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$ : 7.76 (d,  $J$  = 15.2 Hz, 1H), 7.63 (d,  $J$  = 6.8 Hz, 2H), 7.55 – 7.45 (m, 3H), 7.41 – 7.32 (m, 5H), 7.13 (t,  $J$  = 7.3 Hz, 1H), 6.57 (d,  $J$  = 15.5 Hz, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$ : 164.3, 142.2, 138.0, 134.5, 129.8, 128.9, 128.7, 127.8, 124.3, 120.9, 120.1; HRMS (ESI) calcd for  $\text{C}_{15}\text{H}_{14}\text{NO}$   $m/z$  224.1070 [M + H] $^+$ , found 224.1072.

**N-(4-methoxyphenyl)cinnamamide (5g).**<sup>14</sup>



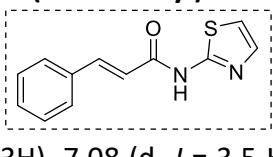
Isolated by filtration, White solid; Yield: 85%; mp: 152–155 °C (lit: 150–153 °C);  $^1\text{H}$  NMR (400 MHz,  $\text{DMSO}-d_6$ )  $\delta$ : 9.53 (s, 1H), 7.69 – 7.60 (m, 3H), 7.56 – 7.50 (m, 2H), 7.43 – 7.32 (m, 3H), 6.89 – 6.83 (m, 2H), 6.80 – 6.73 (m, 1H), 3.79 (s, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$ : 163.9, 156.4, 141.8, 134.6, 131.1, 129.7, 128.7, 127.8, 121.7, 120.9, 114.1, 55.4; HRMS (ESI) calcd for  $\text{C}_{16}\text{H}_{16}\text{NO}_2$   $m/z$  254.1176 [M + H] $^+$ , found 254.1173.

**N-(4-Chlorophenyl)cinnamamide (5h).**<sup>15</sup>



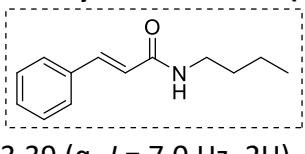
Isolated by filtration, White solid; Yield: 77%; mp: 180–182 °C (lit: 181–183 °C);  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3 + \text{DMSO}-d_6$ )  $\delta$ : 10.23 (s, 1H), 7.70 (d,  $J$  = 8.8 Hz, 2H), 7.61 – 7.53 (m, 3H), 7.43 – 7.34 (m, 3H), 7.28 (d,  $J$  = 8.8 Hz, 2H), 6.76 (d,  $J$  = 15.6 Hz, 1H);  $^{13}\text{C}$  NMR (75 MHz,  $\text{CDCl}_3 + \text{DMSO}-d_6$ )  $\delta$ : 163.5, 140.2, 137.7, 134.4, 129.3, 128.4, 128.1, 127.3, 127.1, 121.5, 120.4; HRMS (ESI) calcd for  $\text{C}_{15}\text{H}_{13}\text{ClNO}$   $m/z$  258.0681 [M + H] $^+$ , found 258.0679.

**N-(Thiazol-2-yl)cinnamamide (5i).**<sup>16</sup>



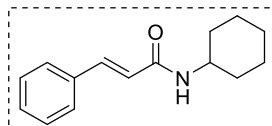
Isolated by filtration, White solid; Yield: 73%; mp: 204–206 °C (lit: 202–203 °C);  $^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3 + \text{DMSO}-d_6$ )  $\delta$ : 12.25 (s, 1H), 7.68 (d,  $J$  = 15.9 Hz, 1H), 7.60 – 7.54 (m, 2H), 7.43 (d,  $J$  = 3.5 Hz, 1H), 7.42 – 7.35 (m, 3H), 7.08 (d,  $J$  = 3.5 Hz, 1H), 6.88 (d,  $J$  = 15.6 Hz, 1H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3 + \text{DMSO}-d_6$ )  $\delta$ : 162.9, 157.9, 141.9, 137.5, 134.1, 129.8, 128.6, 127.6, 119.4, 113.2; HRMS (ESI) calcd for  $\text{C}_{12}\text{H}_{11}\text{N}_2\text{OS}$   $m/z$  231.0587 [M + H] $^+$ , found 231.0581.

**N-Butylcinnamamide (5j).**<sup>14</sup>



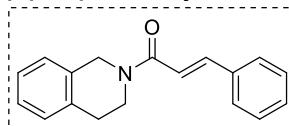
Eluted in 25% EtOAc/n-hexane, White solid; Yield: 92%; mp: 82–84 °C;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$ : 7.62 (d,  $J$  = 15.7 Hz, 1H), 7.49 (dd,  $J$  = 2.1, 7.7 Hz, 2H), 7.39 – 7.31 (m, 3H), 6.40 (d,  $J$  = 15.7 Hz, 1H), 5.73 (bs, 1H), 3.39 (q,  $J$  = 7.0 Hz, 2H), 1.60 – 1.52 (m, 2H), 1.44 – 1.35 (m, 2H), 0.95 (t,  $J$  = 7.3 Hz, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$ : 165.9, 140.5, 134.8, 129.4, 128.6, 127.6, 120.9, 39.4, 31.6, 20.0, 13.6; HRMS (ESI) calcd for  $\text{C}_{13}\text{H}_{18}\text{NO}$   $m/z$  204.1383 [M + H] $^+$ , found 204.1388.

**N-Cyclohexylcinnamamide (5k).**<sup>17</sup>



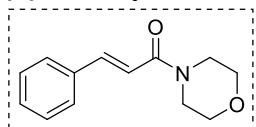
Isolated by filtration, White solid; Yield: 93%; mp: 173–175 °C (lit: mp 175–176 °C);  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$ : 7.61 (d,  $J$  = 15.6 Hz, 1H), 7.49 (dd,  $J$  = 2.2, 7.8 Hz, 2H), 7.40 – 7.31 (m, 3H), 6.36 (d,  $J$  = 15.5 Hz, 1H), 5.47 (bd,  $J$  = 8.0 Hz, 1H), 3.97 – 3.87 (m, 1H), 2.03 – 1.96 (m, 2H), 1.78 – 1.70 (m, 2H), 1.68 – 1.55 (m, 2H), 1.47 – 1.35 (m, 2H), 1.25 – 1.13 (m, 2H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$ : 164.8, 140.6, 134.9, 129.4, 128.7, 127.6, 121.1, 49.3, 33.2, 25.5, 24.8; HRMS (ESI) calcd for  $\text{C}_{15}\text{H}_{20}\text{NO}$   $m/z$  230.1540 [ $\text{M} + \text{H}]^+$ , found 230.1543.

**(E)-1-(3,4-Dihydroisoquinolin-2(1H)-yl)-3-phenylprop-2-en-1-one (5l).<sup>18</sup>**



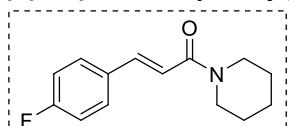
Isolated by filtration, White solid; Yield: 89%; mp: 112–114 °C;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ )  $\delta$ : 7.72 (d,  $J$  = 15.4 Hz, 1H), 7.55 (d,  $J$  = 7.9 Hz, 2H), 7.41 – 7.34 (m, 3H), 7.25 – 7.12 (m, 4H), 6.96 (d,  $J$  = 15.4 Hz, 1H), 4.86 – 4.82 (m, 2H), 3.98 – 3.85 (m, 2H), 3.00 – 2.89 (m, 2H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$ : 165.8, 142.6, 135.2, 134.1, 133.5, 129.5, 128.7, 128.1, 127.7, 126.6, 126.5, 126.0, 117.4, 44.7, 43.5, 29.6 and peaks at 165.7, 135.1, 132.47, 128.8, 126.8, 126.3, 117.6, 47.5, 40.1, 28.5 are may be due to rotamers; HRMS (ESI) calcd for  $\text{C}_{18}\text{H}_{18}\text{NO}$   $m/z$  264.1383 [ $\text{M} + \text{H}]^+$ , found 264.1386.

**(E)-1-Morpholino-3-phenylprop-2-en-1-one (5m).<sup>11</sup>**



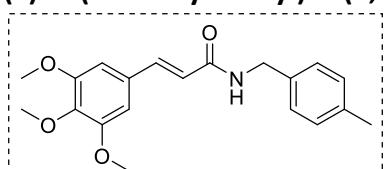
Isolated by filtration, White solid; Yield: 87%; mp: 95–97 °C (lit: 93–94 °C);  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$ : 7.70 (d,  $J$  = 15.4 Hz, 1H), 7.55 – 7.50 (m, 2H), 7.41 – 7.34 (m, 3H), 6.84 (d,  $J$  = 15.4 Hz, 1H), 3.79 – 3.63 (m, 8H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$ : 165.4, 143.0, 135.0, 129.6, 128.7, 127.6, 116.4, 66.7, 46.1, 42.3; HRMS (ESI) calcd for  $\text{C}_{13}\text{H}_{16}\text{NO}_2$   $m/z$  218.1176 [ $\text{M} + \text{H}]^+$ , found 218.1179.

**(E)-3-(4-Fluorophenyl)-1-(piperidin-1-yl)prop-2-en-1-one (5n).<sup>19</sup>**

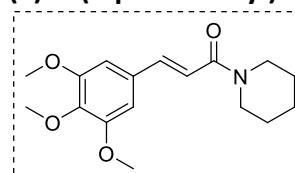


Isolated by filtration, White solid;; Yield: 88%; mp: 137–139 °C (lit: 136–138 °C);  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$ : 7.61 (d,  $J$  = 15.4 Hz, 1H), 7.50 (dd,  $J$  = 5.3, 8.6 Hz, 2H), 7.05 (t,  $J$  = 8.6 Hz, 2H), 6.82 (d,  $J$  = 15.4 Hz, 1H), 3.71 – 3.54 (m, 4H), 1.73 – 1.65 (m, 2H), 1.65 – 1.58 (m, 4H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$ : 164.3, 163.8 and 161.3 (d,  $J$  = 249.4 Hz), 140.0, 131.1 and 131.0 (d,  $J$  = 2.9 Hz), 128.9 and 128.8 (d,  $J$  = 8.8 Hz), 117.0, 115.2 and 115.0 (d,  $J$  = 22.0 Hz), 46.3, 42.6, 26.0, 24.9, 23.9; HRMS (ESI) calcd for  $\text{C}_{14}\text{H}_{17}\text{FNO}$   $m/z$  234.1289 [ $\text{M} + \text{H}]^+$ , found 234.1285.

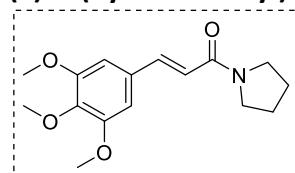
**(E)-N-(4-Methylbenzyl)-3-(3,4,5-trimethoxyphenyl)acrylamide (5o).<sup>20</sup>**



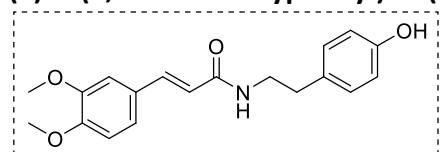
Isolated by filtration, White solid; Yield: 95%; mp: 176–178°C;  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3 + \text{DMSO}-d_6$ )  $\delta$ : 8.00 (t,  $J$  = 4.9 Hz, 1H), 7.40 (d,  $J$  = 15.6 Hz, 1H), 7.13 (d,  $J$  = 7.7 Hz, 2H), 7.05 (d,  $J$  = 7.8 Hz, 2H), 6.69 (s, 2H), 6.52 (d,  $J$  = 15.6 Hz, 1H), 4.37 (d,  $J$  = 5.6 Hz, 2H), 3.79 (s, 6H), 3.74 (s, 3H), 2.24 (s, 3H);  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3 + \text{DMSO}-d_6$ )  $\delta$ : 164.7, 152.1, 138.5, 137.9, 135.3, 134.8, 129.7, 127.9, 126.5, 120.2, 103.7, 59.5, 54.9, 41.8, 19.9; HRMS (ESI) calcd for  $\text{C}_{20}\text{H}_{24}\text{NO}_4$   $m/z$  342.1700 [ $\text{M} + \text{H}]^+$ , found 342.1705.

**(E)-1-(Piperidin-1-yl)-3-(3,4,5-trimethoxyphenyl)prop-2-en-1-one (5p).<sup>21</sup>**

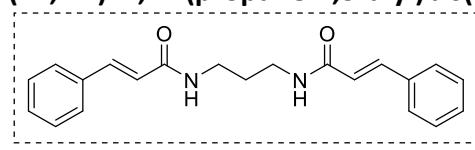
Isolated by filtration, White solid; Yield: 90%; mp: 96–97 °C (lit: 98 °C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.56 (d, *J* = 15.4 Hz, 1H), 6.78 (d, *J* = 15.2 Hz, 1H), 6.74 (s, 2H), 3.90 (s, 6H), 3.87 (s, 3H), 3.71 – 3.56 (m, 4H), 1.73 – 1.66 (m, 2H), 1.66 – 1.59 (m, 4H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ: 165.1, 153.1, 142.1, 139.2, 130.8, 116.7, 104.7, 60.7, 56.0, 46.8, 43.2, 26.6, 25.4, 24.4; HRMS (ESI) calcd for C<sub>17</sub>H<sub>24</sub>NO<sub>4</sub> *m/z* 306.1700 [M + H]<sup>+</sup>, found 306.1702.

**(E)-1-(Pyrrolidin-1-yl)-3-(3,4,5-trimethoxyphenyl)prop-2-en-1-one (5q).<sup>21</sup>**

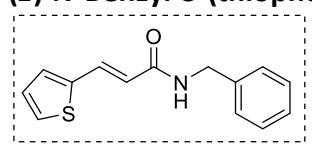
Isolated by filtration, White solid; Yield: 91%; mp: 154–156 °C (lit: mp 155 °C); <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ: 7.62 (d, *J* = 15.4 Hz, 1H), 6.75 (s, 2H), 6.62 (d, *J* = 15.4 Hz, 1H), 3.90 (s, 6H), 3.88 (s, 3H), 3.69 – 3.57 (m, 4H), 2.07 – 1.87 (m, 4H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 164.5, 153.3, 141.6, 139.4, 130.8, 118.0, 105.0, 60.8, 56.1, 46.5, 46.0, 26.0, 24.2; HRMS (ESI) calcd for C<sub>16</sub>H<sub>22</sub>NO<sub>4</sub> *m/z* 292.1544 [M + H]<sup>+</sup>, found 292.1547.

**(E)-3-(3,4-Dimethoxyphenyl)-N-(4-hydroxyphenethyl)acrylamide (5r).<sup>22</sup>**

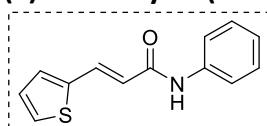
Isolated by filtration, White solid; Yield: 80%; mp: 122–125 °C (lit: 119.8–121.3 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.55 (d, *J* = 15.2 Hz, 1H), 7.05 (d, *J* = 8.3 Hz, 3H), 6.98 (d, *J* = 1.7 Hz, 1H), 6.86 – 6.78 (m, 3H), 6.44 (bs, 1H), 6.21 (d, *J* = 15.2 Hz, 1H), 5.72 (bs, 1H), 3.89 (s, 3H), 3.87 (s, 3H), 3.61 (q, *J* = 6.7 Hz, 2H), 2.80 (t, *J* = 6.9 Hz, 2H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 166.7, 155.1, 150.5, 148.9, 141.2, 129.6, 127.5, 121.9, 119.9, 118.1, 115.6, 111.0, 109.7, 55.8, 55.7, 41.1, 34.6; HRMS (ESI) calcd for C<sub>19</sub>H<sub>22</sub>NO<sub>4</sub> *m/z* 328.1544 [M + H]<sup>+</sup>, found 328.1545.

**(2*E*,2'*E*)-*N,N'*-(propane-1,3-diyl)bis(3-phenylacrylamide) (5s).<sup>23</sup>**

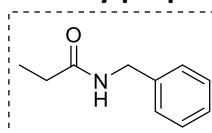
Isolated by filtration, White solid; Yield: 86%; mp: 188–190 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.65 (d, *J* = 15.6 Hz, 1H), 7.55 – 7.47 (m, 2H), 7.40 – 7.32 (m, 3H), 6.60 (brs, 1H), 6.48 (d, *J* = 15.6 Hz, 1H), 3.47 (q, *J* = 6.3 Hz, 2H), 1.80 – 1.72 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ: 165.8, 139.2, 134.3, 128.8, 128.1, 127.0, 120.9, 35.6, 28.9; HRMS (ESI) calcd for C<sub>21</sub>H<sub>23</sub>N<sub>2</sub>O<sub>2</sub> *m/z* 335.1755 [M + H]<sup>+</sup>, found 335.1757.

**(E)-*N*-Benzyl-3-(thiophen-2-yl)acrylamide (5t).<sup>24</sup>**

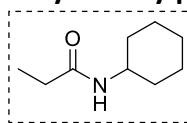
Isolated by filtration, White solid; Yield: 85%; mp: 109–111 °C (lit: 107–110 °C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.79 (d, *J* = 15.2 Hz, 1H), 7.37 – 7.27 (m, 6H), 7.21 (d, *J* = 3.3 Hz, 1H), 7.03 (dd, *J* = 3.6, 5.0 Hz, 1H), 6.22 (d, *J* = 15.2 Hz, 1H), 5.86 (bs, 1H), 4.56 (d, *J* = 5.6 Hz, 2H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) δ: 165.5, 139.9, 138.2, 133.6, 133.5, 129.9, 128.5, 127.8, 127.7, 127.2, 119.6, 43.5; HRMS (ESI) calcd for C<sub>14</sub>H<sub>14</sub>NOS *m/z* 244.0791 [M + H]<sup>+</sup>, found 244.0788.

**(E)-N-Phenyl-3-(thiophen-2-yl)acrylamide (5w).**<sup>25</sup>

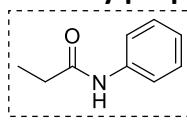
Isolated by filtration, White solid; Yield: 81%; mp: 148–150 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.87 (d, *J* = 15.1 Hz, 1H), 7.60 (d, *J* = 7.7 Hz, 2H), 7.39 – 7.31 (m, 4H), 7.24 (d, *J* = 3.5 Hz, 1H), 7.13 (t, *J* = 7.4 Hz, 1H), 7.05 (dd, *J* = 3.6, 5.0 Hz, 1H), 6.36 (d, *J* = 15.1 Hz, 1H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 163.8, 139.7, 138.0, 134.9, 130.7, 129.0, 128.0, 127.6, 124.3, 119.9, 119.6; HRMS (ESI) calcd for C<sub>13</sub>H<sub>12</sub>NOS *m/z* 230.0635 [M + H]<sup>+</sup>, found 230.0639.

**N-Benzylpropionamide (7a).**<sup>26</sup>

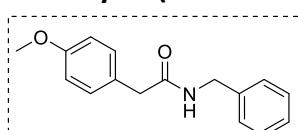
Eluted in 20% EtOAc/n-hexane, White solid; Yield: 85%; mp: 49–51 °C (lit: 46–48 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 7.37 – 7.27 (m, 5H), 5.73 (bs, 1H), 4.44 (d, *J* = 5.6 Hz, 2H), 2.25 (q, *J* = 7.5 Hz, 2H), 1.19 (t, *J* = 7.5 Hz, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ: 173.6, 138.3, 128.6, 127.7, 127.3, 43.4, 29.6, 9.8; HRMS (ESI) calcd for C<sub>10</sub>H<sub>14</sub>NO *m/z* 164.1070 [M + H]<sup>+</sup>, found 164.1073.

**N-Cyclohexylpropionamide (7b).**<sup>27</sup>

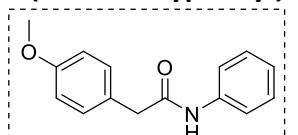
Eluted in 30% EtOAc/n-hexane, White solid; Yield: 89%; mp: 90–92 °C (lit: 89–91 °C); <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ: 5.28(s, 1H), 3.82 – 3.71 (m, 1H), 2.17 (q, *J* = 7.6 Hz, 2H), 1.95 – 1.88 (m, 2H), 1.74 – 1.67 (m, 2H), 1.65 – 1.58 (m, 1H), 1.42 – 1.31 (m, 2H), 1.17 – 1.10 (m, 6H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 172.7, 47.9, 33.1, 29.8, 25.4, 24.8, 9.9; HRMS (ESI) calcd for C<sub>9</sub>H<sub>18</sub>NO *m/z* 156.1383 [M + H]<sup>+</sup>, found 155.1386.

**N-Phenylpropionamide (7c).**<sup>28</sup>

Eluted in 20% EtOAc/n-hexane, White solid; Yield: 74%; mp: 105–107 °C (lit: 106–107 °C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.51 (d, *J* = 7.7 Hz, 2H), 7.31 (d, *J* = 7.6 Hz, 2H), 7.21 (bs, 1H), 7.10 (t, *J* = 7.3 Hz, 1H), 2.39 (q, *J* = 7.4 Hz, 2H), 1.25 (t, *J* = 7.4 Hz, 3H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 172.1, 137.9, 128.9, 124.0, 119.8, 30.6, 9.6; MS (ESI): *m/z* 150 [M + H]<sup>+</sup>. HRMS (ESI) calcd for C<sub>9</sub>H<sub>12</sub>NO *m/z* 150.0914 [M + H]<sup>+</sup>, found 150.0912.

**N-Benzyl-2-(4-methoxyphenyl)acetamide (7d).**<sup>29</sup>

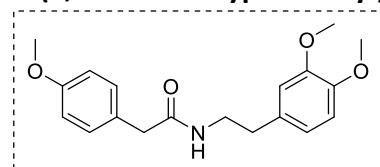
Isolated by filtration, White solid; Yield: 91%; mp: 130–133 °C (lit: 134–135 °C); <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) δ: 7.34 – 7.24 (m, 3H), 7.22 – 7.15 (m, 4H), 6.88 (d, *J* = 8.5 Hz, 2H), 5.69 (bs, 1H), 4.41 (d, *J* = 5.7 Hz, 2H), 3.80 (s, 3H), 3.58 (s, 2H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 171.2, 158.8, 138.1, 130.5, 128.6, 127.4, 127.3, 126.6, 114.4, 55.2, 43.5, 42.8; HRMS (ESI) calcd for C<sub>16</sub>H<sub>18</sub>NO<sub>2</sub> *m/z* 256.1333 [M + H]<sup>+</sup>, found 256.1331.

**2-(4-Methoxyphenyl)-N-phenylacetamide (7e).**<sup>30</sup>

Isolated by filtration, White solid; Yield: 76%; mp: 122–124 °C (lit: 120–121 °C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.41 (d, *J* = 8.0 Hz, 2H), 7.30 – 7.22 (m, 3H), 7.14 – 7.03 (m, 2H), 6.93 (d, *J* = 8.2 Hz, 2H), 3.83 (s, 3H), 3.68 (s, 2H); <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ: 169.7, 159.0, 137.5, 130.5, 128.8,

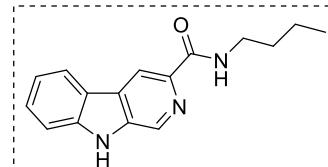
126.2, 124.3, 119.8, 114.5, 55.2, 43.7; HRMS (ESI) calcd for  $C_{15}H_{16}NO_2$  *m/z* 242.1176 [M + H]<sup>+</sup>, found 242.1179.

**N-(3,4-dimethoxyphenethyl)-2-(4-methoxyphenyl)acetamide (7f).**<sup>31</sup>



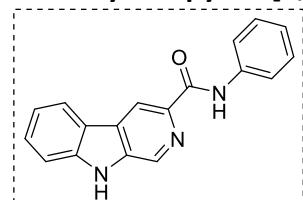
Isolated by filtration, White solid; Yield: 88%; mp: 123–125 °C (lit: 125–127 °C); <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) δ: 7.26 (s, 1H), 7.07 (d, *J* = 8.5 Hz, 2H), 6.84 (d, *J* = 8.6 Hz, 2H), 6.72 (d, *J* = 8.0 Hz, 1H), 6.60 (d, *J* = 1.8 Hz, 1H), 6.55 (dd, *J* = 1.8, 8.0 Hz, 1H), 3.86 (s, 3H), 3.83 (s, 3H), 3.81 (s, 3H), 3.47 (s, 2H), 3.44 (q, *J* = 6.7 Hz, 2H), 2.67 (t, *J* = 7.0 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ: 171.6, 158.8, 148.9, 147.5, 130.9, 130.4, 126.4, 120.5, 114.3, 111.7, 111.2, 55.8, 55.7, 55.2, 42.7, 40.6, 34.9; HRMS (ESI) calcd for  $C_{19}H_{24}NO_4$  *m/z* 330.1700 [M + H]<sup>+</sup>, found 330.1703.

**N-Butyl-9*H*-pyrido[3,4-*b*]indole-3-carboxamide (9a).**<sup>32</sup>



Isolated by filtration, White solid; Yield: 88%; mp: 230–232°C; <sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) δ: 11.77 (s, 1H), 8.85 (s, 1H), 8.79 (s, 1H), 8.48 (t, *J* = 5.5 Hz, 1H), 8.26 (d, *J* = 7.9 Hz, 1H), 7.67 – 7.51 (m, 2H), 7.28 (t, *J* = 7.1 Hz, 1H), 3.49 – 3.39 (m, 2H), 1.69 – 1.54 (m, 2H), 1.49 – 1.34 (m, 2H), 0.96 (t, *J* = 7.4 Hz, 3H); <sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) δ: 164.5, 140.8, 139.3, 136.9, 131.8, 128.0, 127.9, 121.4, 120.7, 119.5, 113.2, 111.8, 38.3, 31.3, 19.5, 13.4; HRMS (ESI) calcd for  $C_{16}H_{18}N_3O$  *m/z* 268.1445 [M + H]<sup>+</sup>, found 268.1449.

**N-Phenyl-9*H*-pyrido[3,4-*b*]indole-3-carboxamide (9c).**<sup>33</sup>

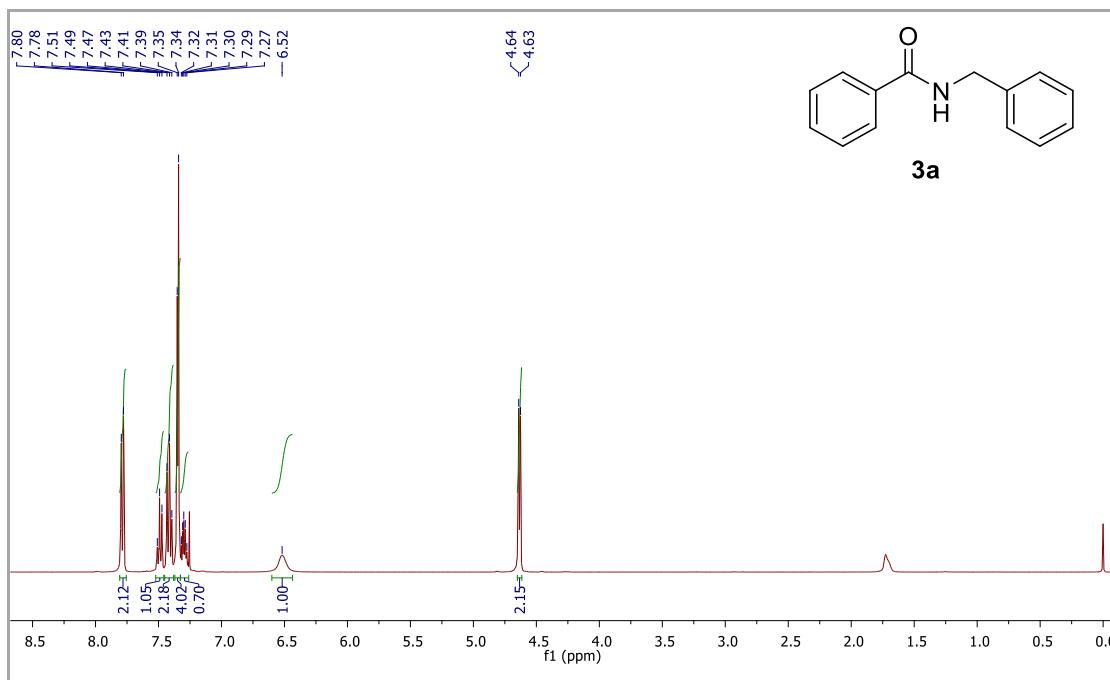


Isolated by filtration, White solid; Yield: 72%; mp: 289–291°C; <sup>1</sup>H NMR (300 MHz, DMSO-*d*<sub>6</sub>) δ: 12.06 (s, 1H), 10.61 (s, 1H), 8.99 (s, 2H), 8.44 (d, *J* = 7.7 Hz, 1H), 7.95 (d, *J* = 7.7 Hz, 2H), 7.69 (d, *J* = 8.2 Hz, 1H), 7.62 (t, *J* = 7.7 Hz, 1H), 7.42 – 7.29 (m, 3H), 7.11 (t, *J* = 7.4 Hz, 1H); <sup>13</sup>C NMR (75 MHz, DMSO-*d*<sub>6</sub>) δ: 163.2, 141.0, 139.2, 138.6, 137.3, 132.1, 128.7, 128.6, 128.3, 123.4, 122.2, 120.8, 120.0, 119.8, 114.5, 112.2; HRMS (ESI) calcd for  $C_{18}H_{14}N_3O$  *m/z* 288.1132 [M + H]<sup>+</sup>, found 288.1137.

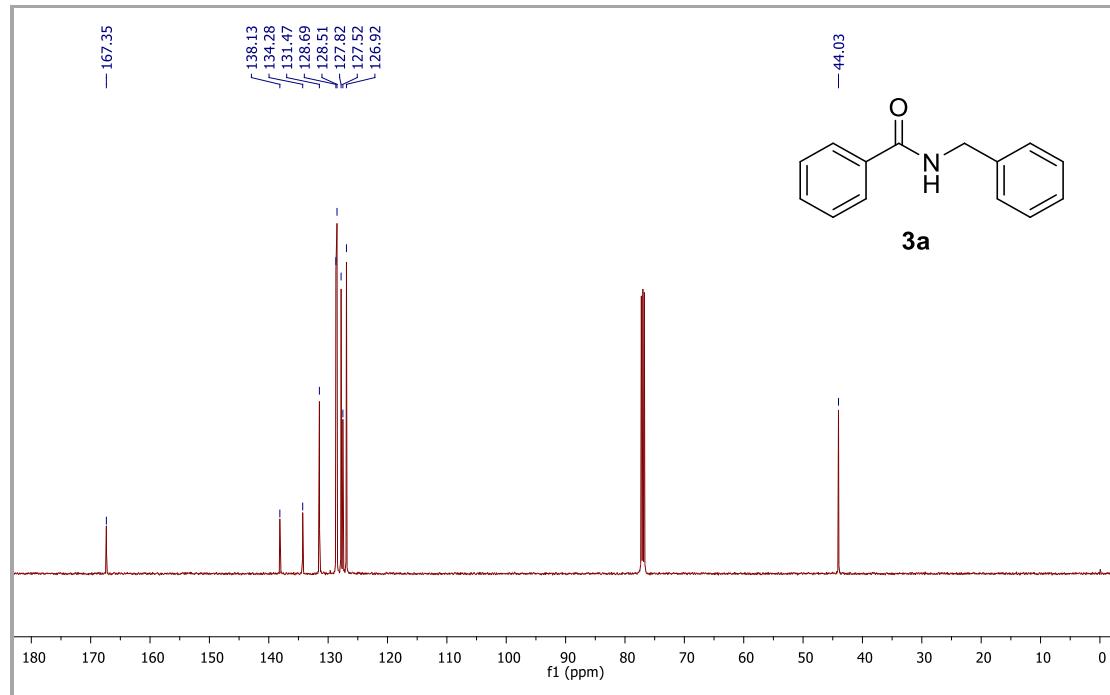
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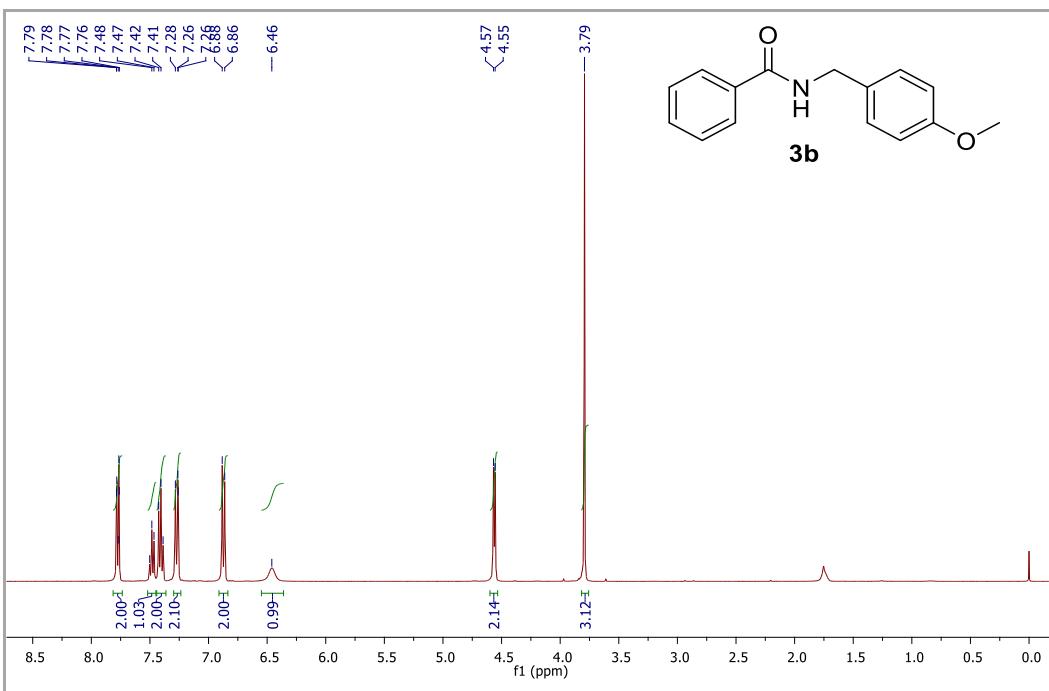
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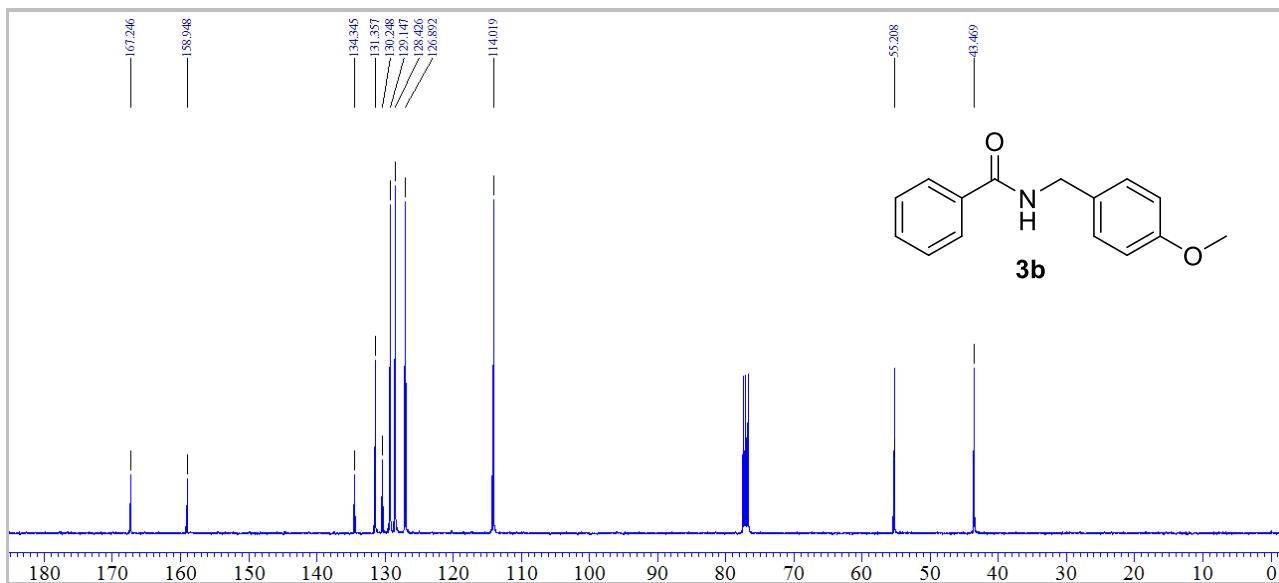
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **3a**.



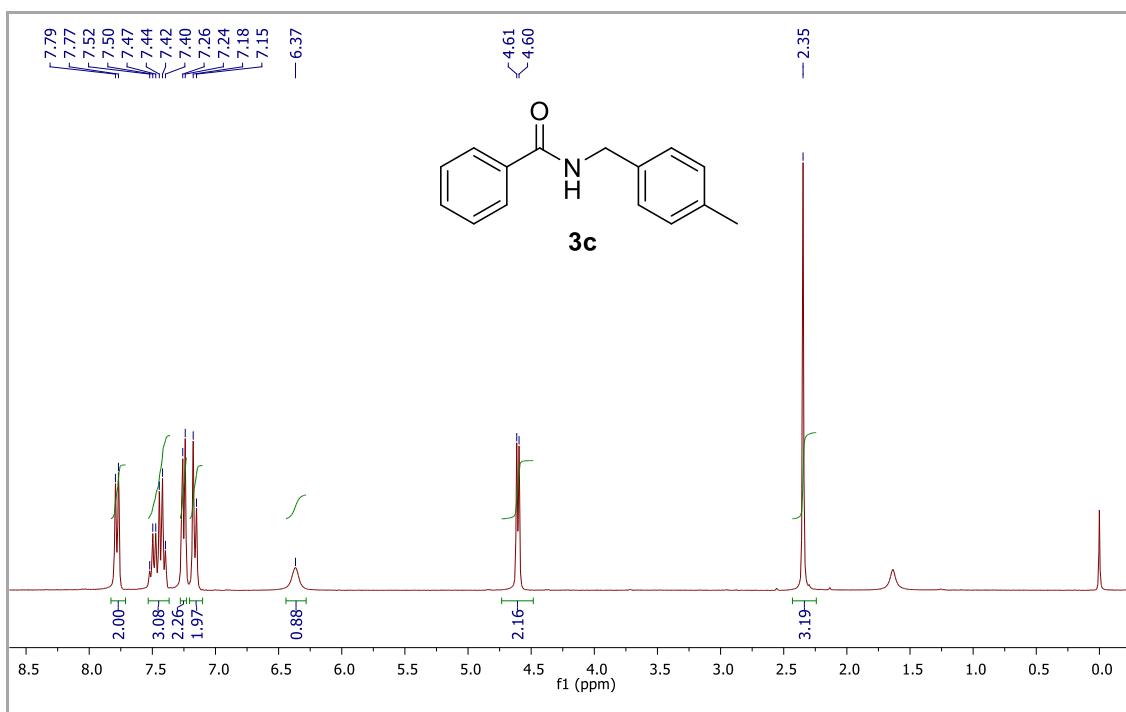
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **3a**.



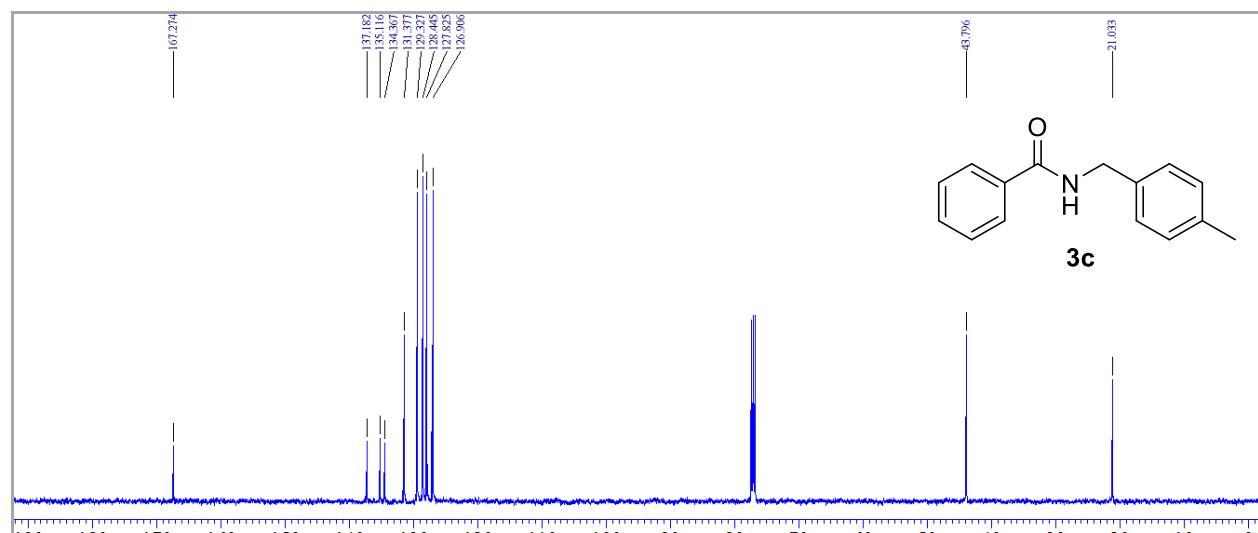
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **3b**.



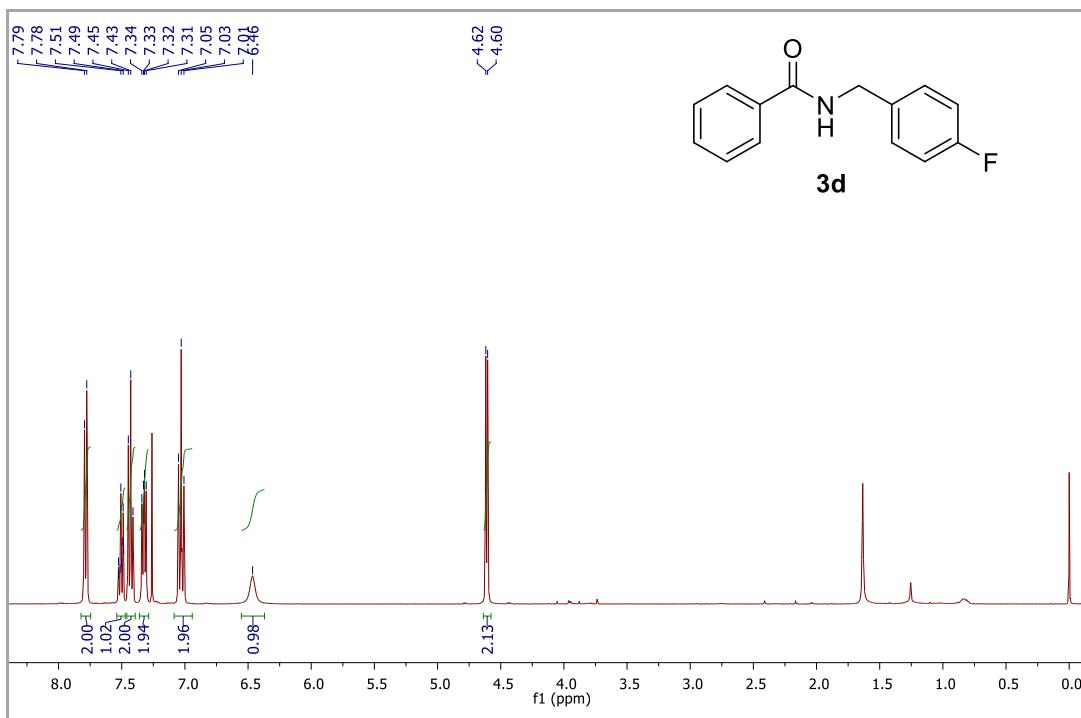
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **3b**.



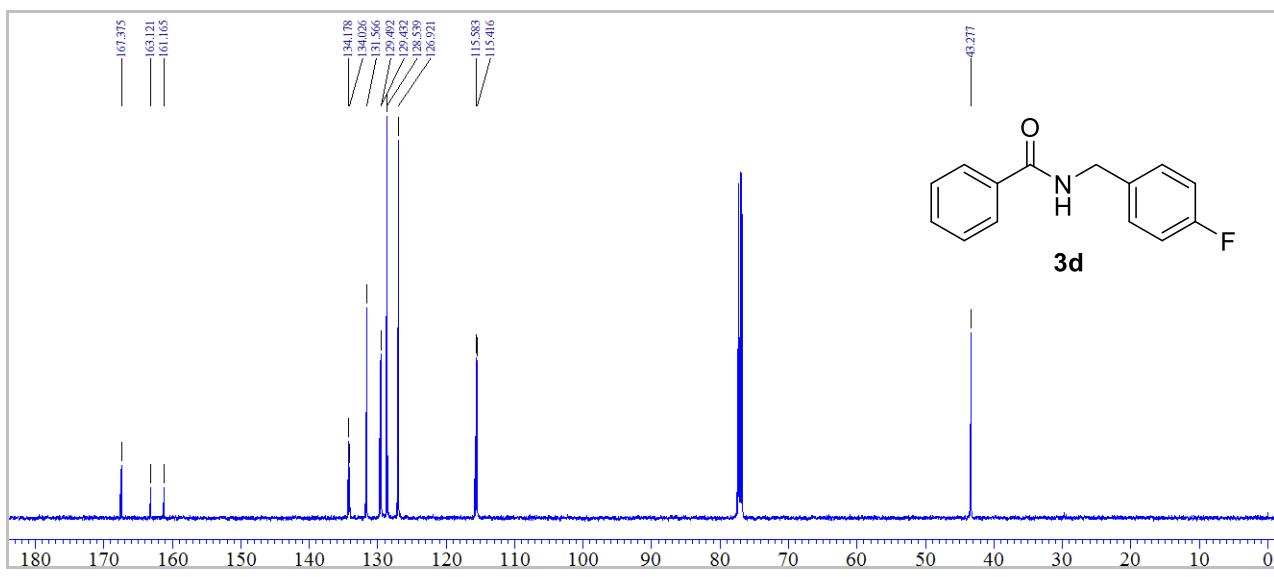
$^1\text{H}$  NMR (300 MHz,  $\text{CDCl}_3$ ) spectrum of **3c**.



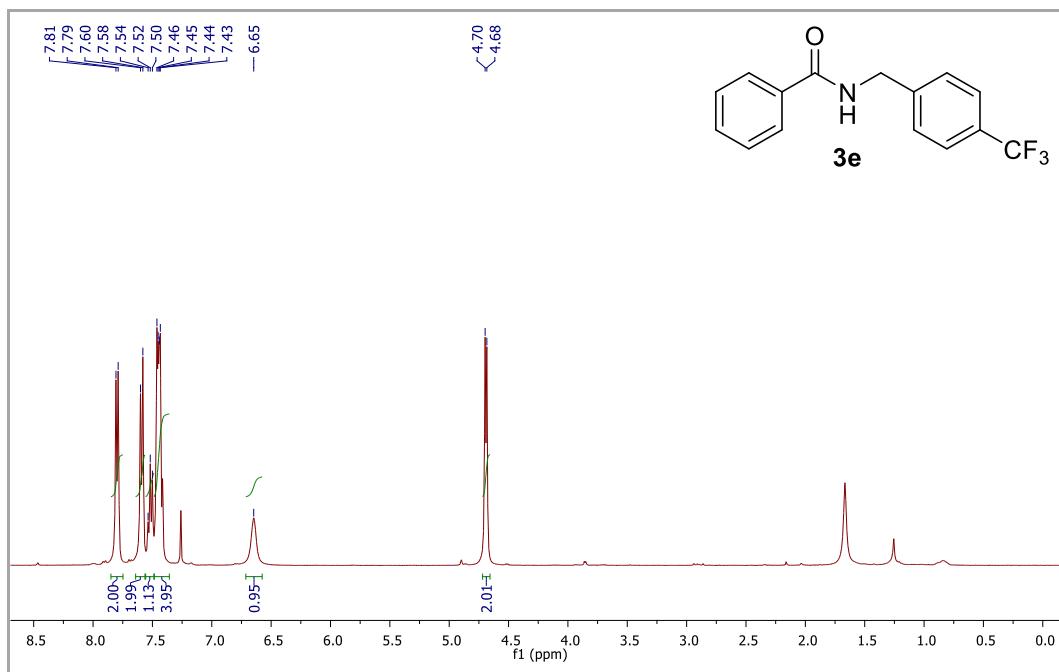
$^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ ) spectrum of **3c**.



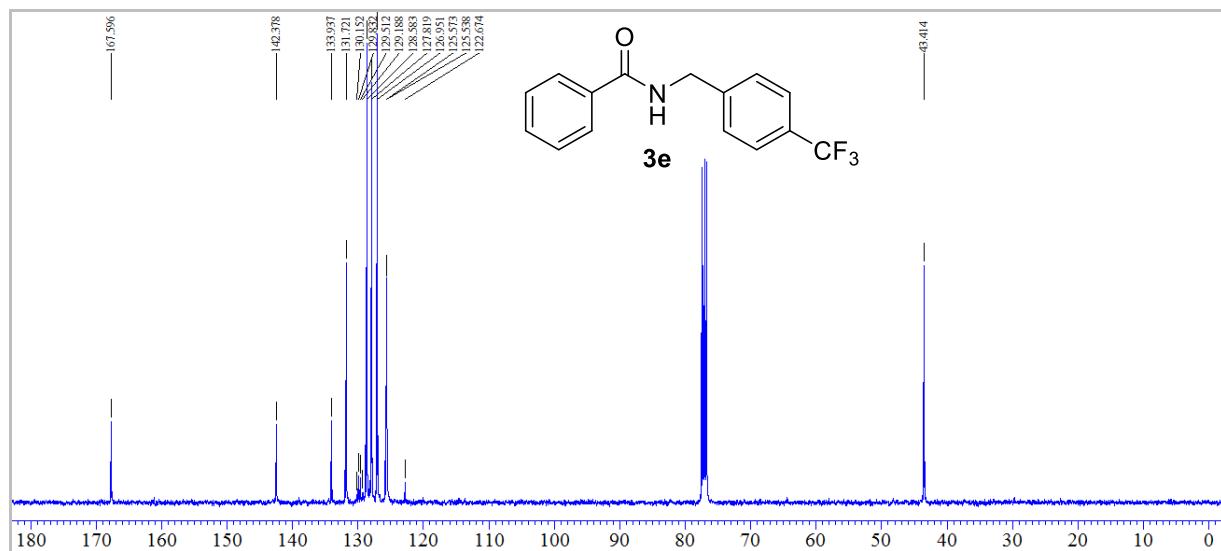
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **3d**.



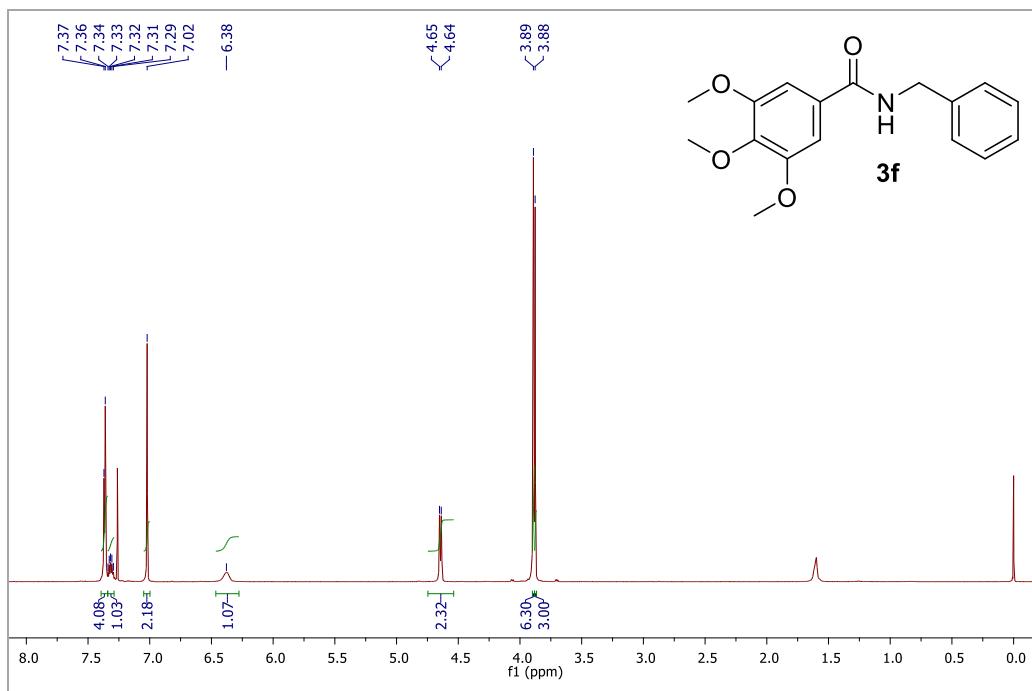
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **3d**.



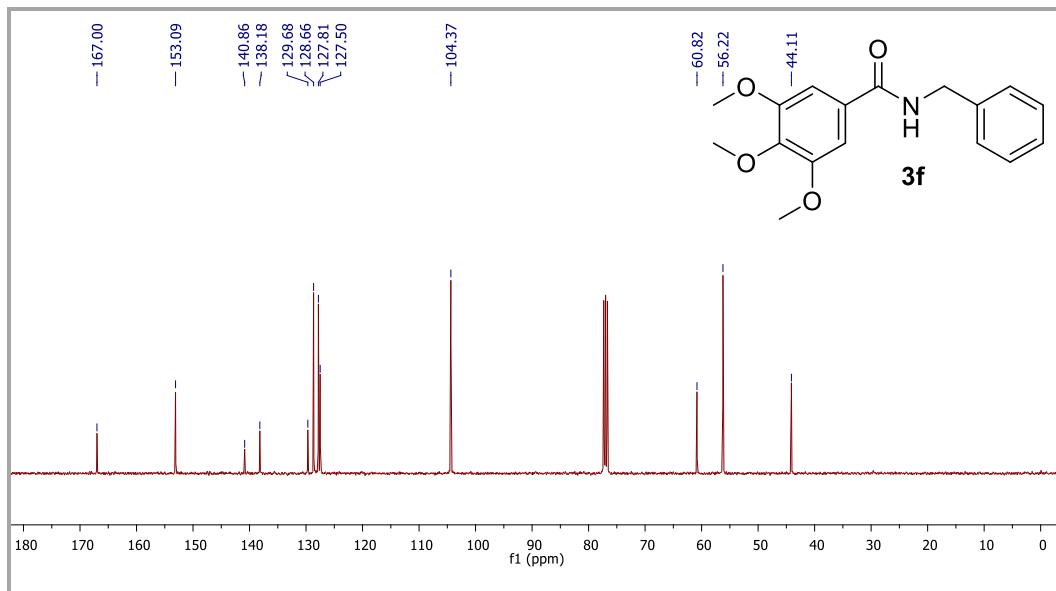
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **3e**.



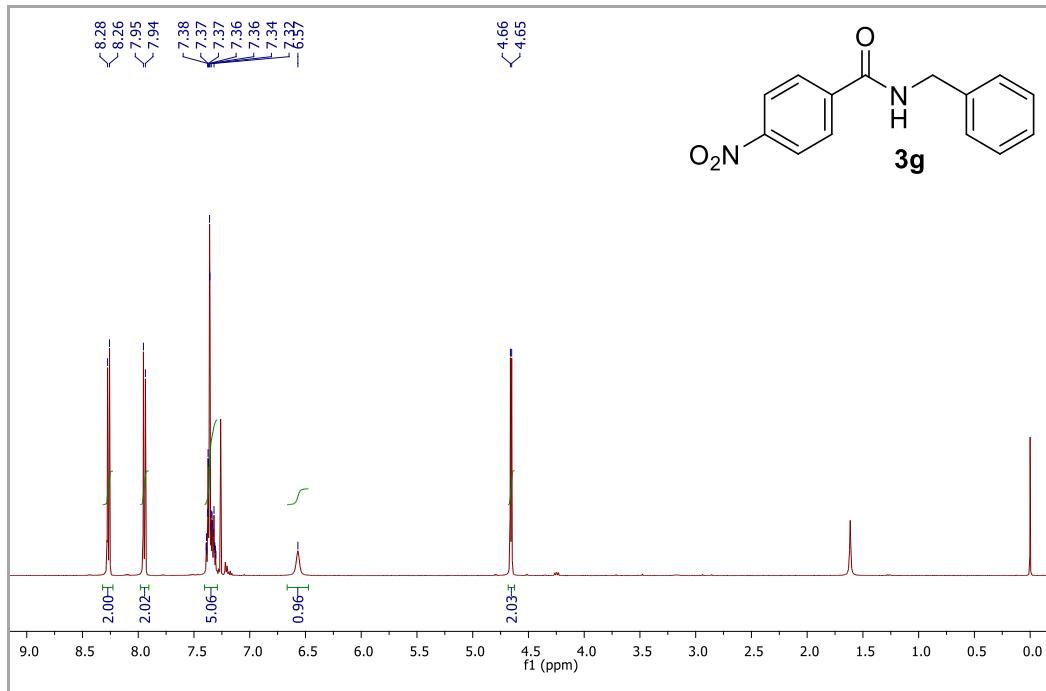
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **3e**.



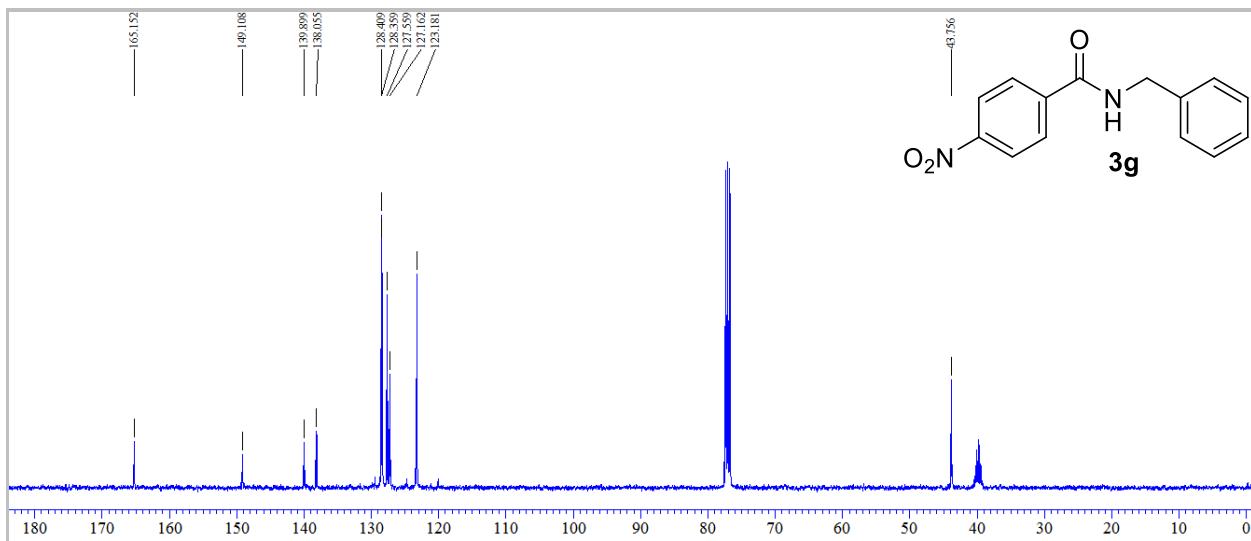
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **3f**.



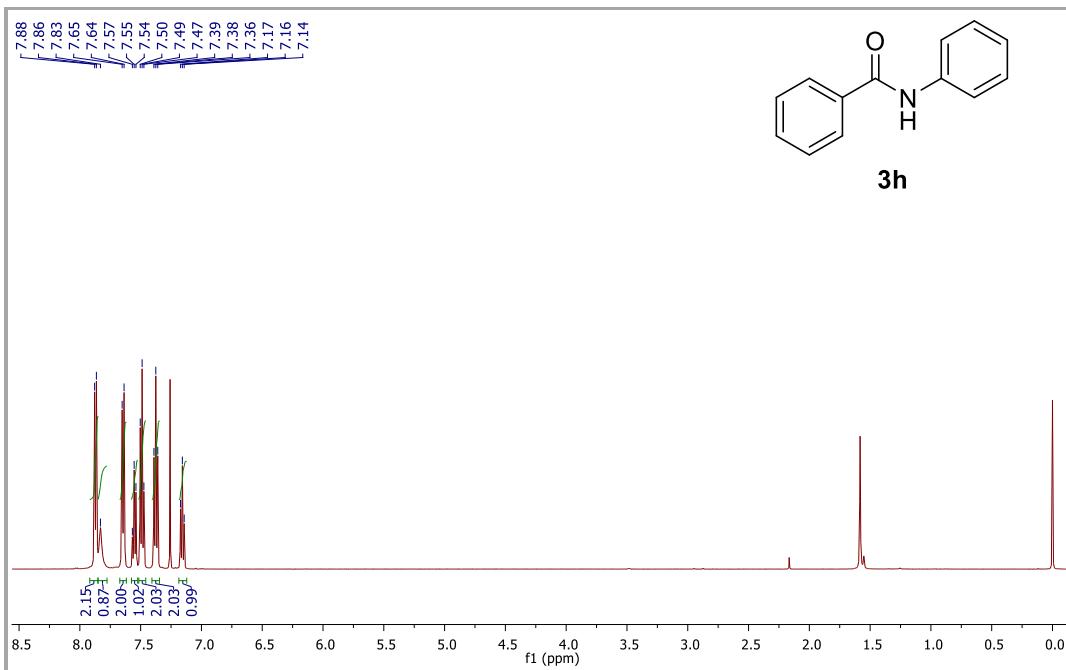
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **3f**.



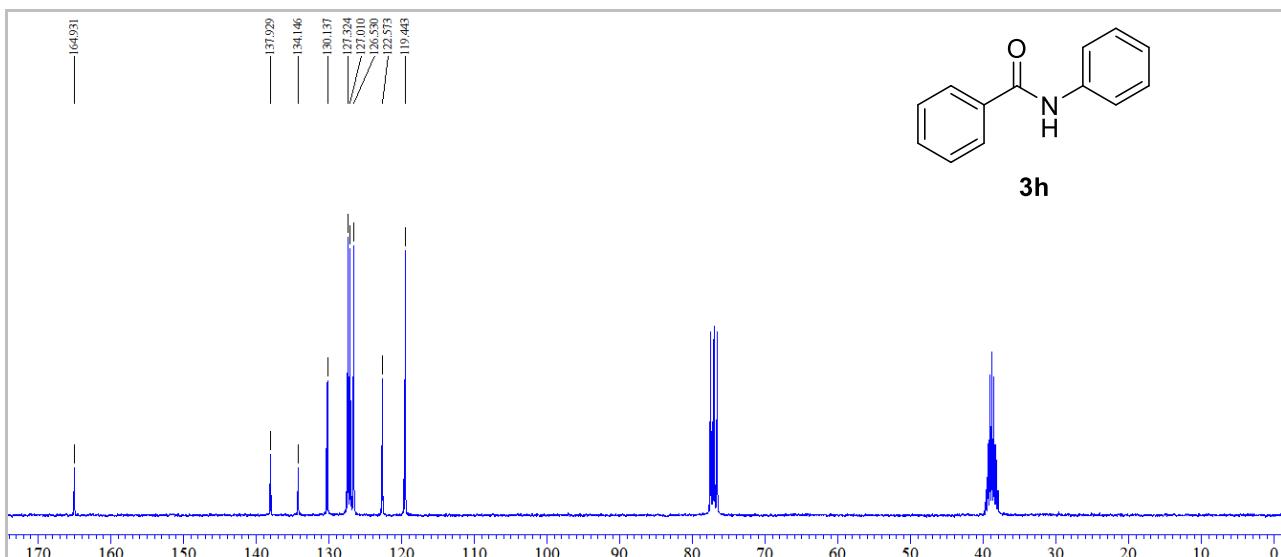
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **3g**.



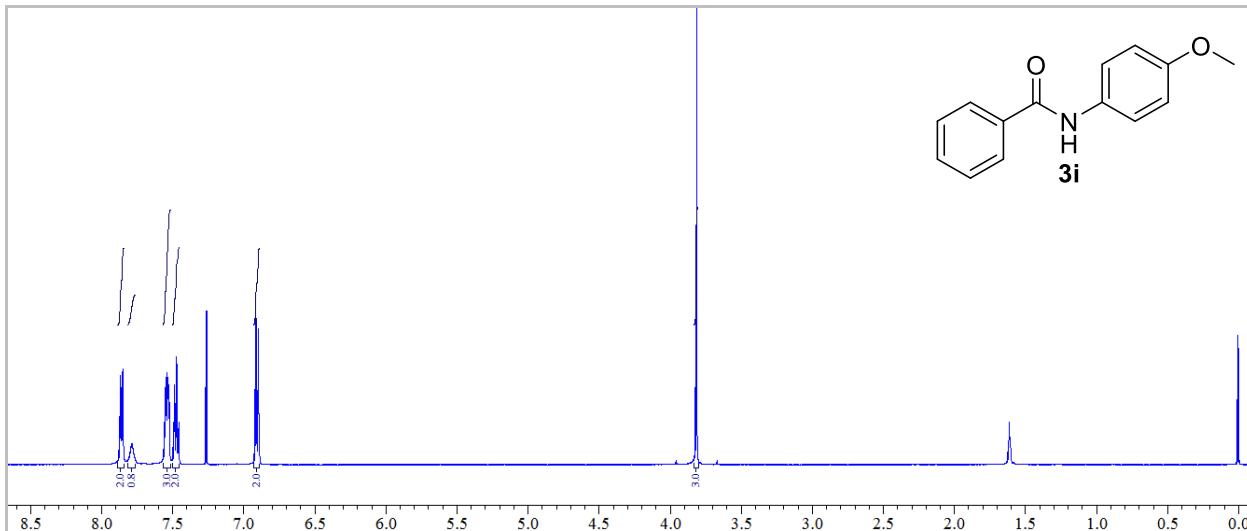
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub> + DMSO-d<sub>6</sub>) spectrum of **3g**.



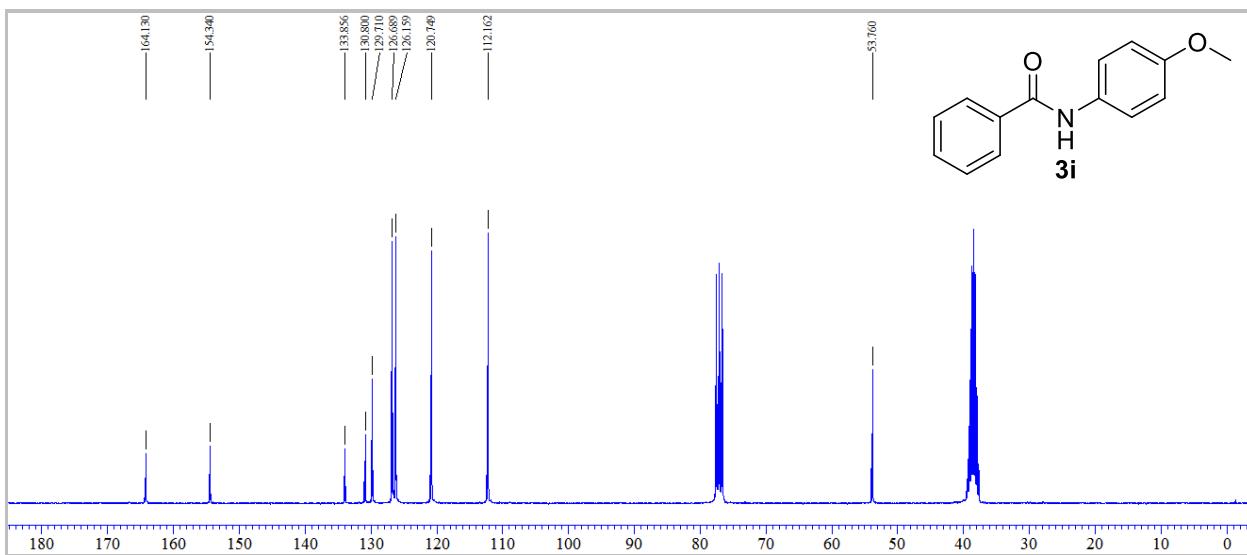
<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) spectrum of **3h**.



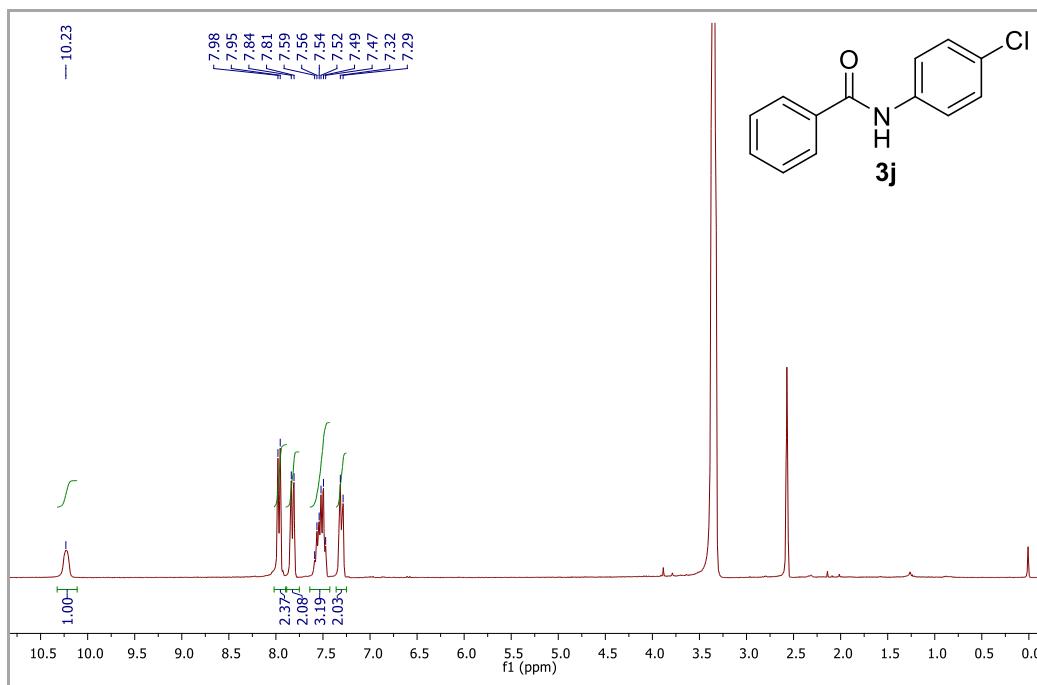
<sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub> + DMSO-d<sub>6</sub>) spectrum of **3h**.



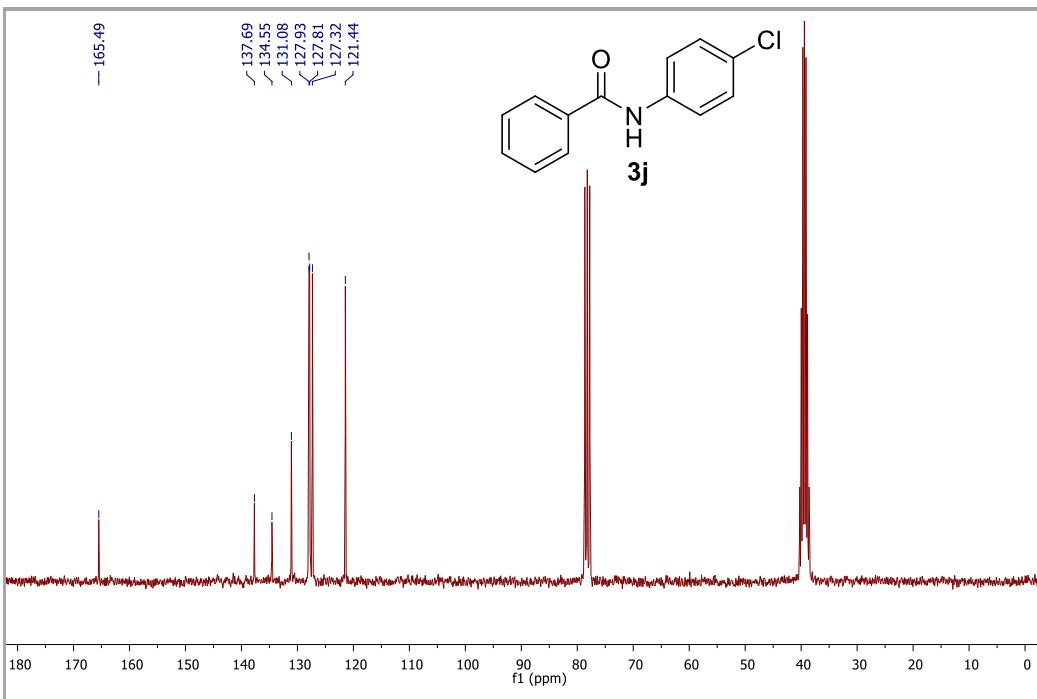
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **3i**.



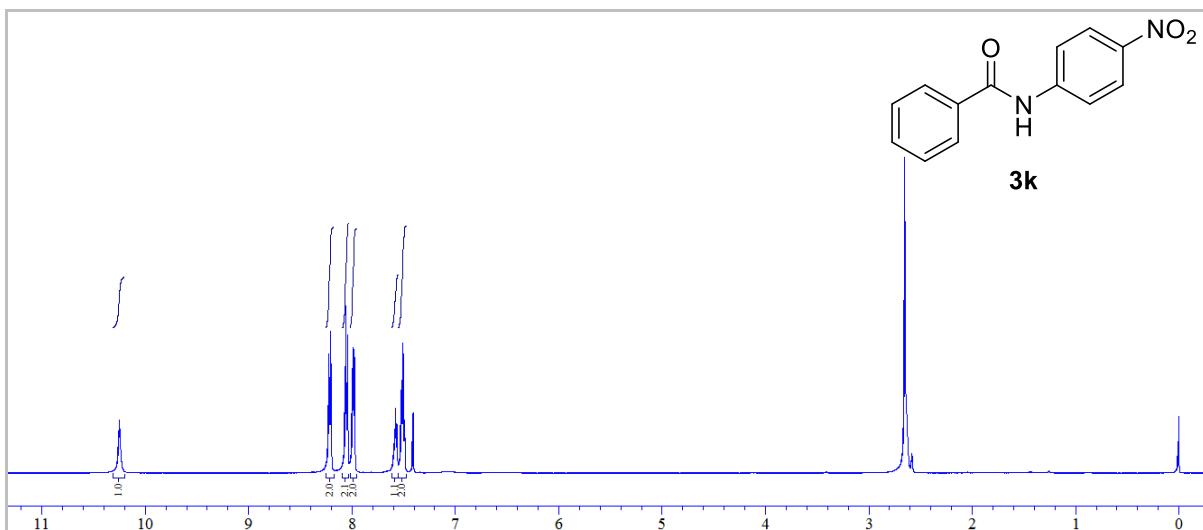
<sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) spectrum of **3i**.



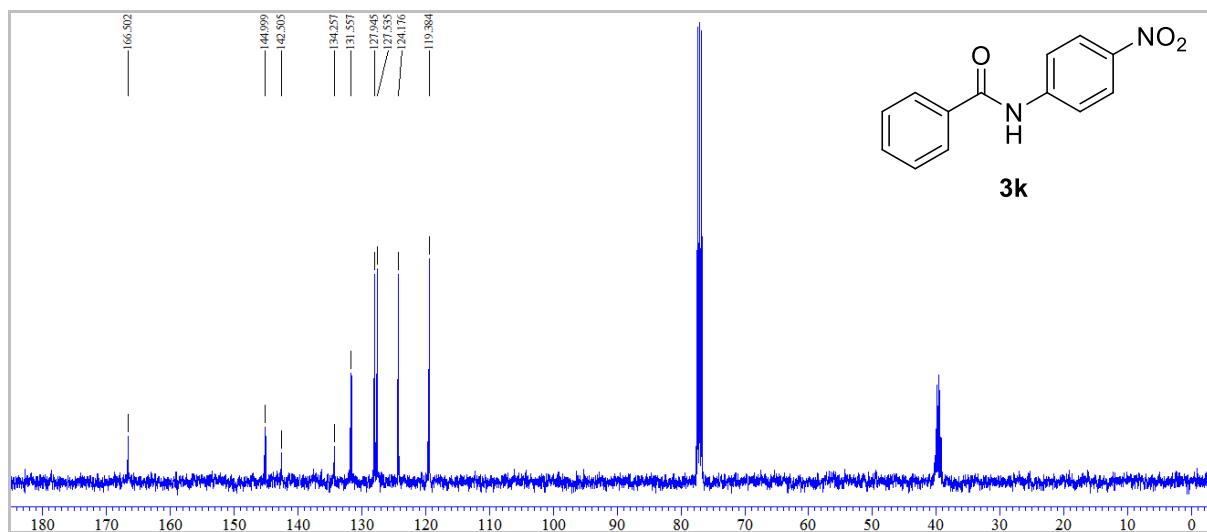
<sup>1</sup>H NMR (300 MHz, DMSO-*d*<sub>6</sub>) spectrum of **3j**.



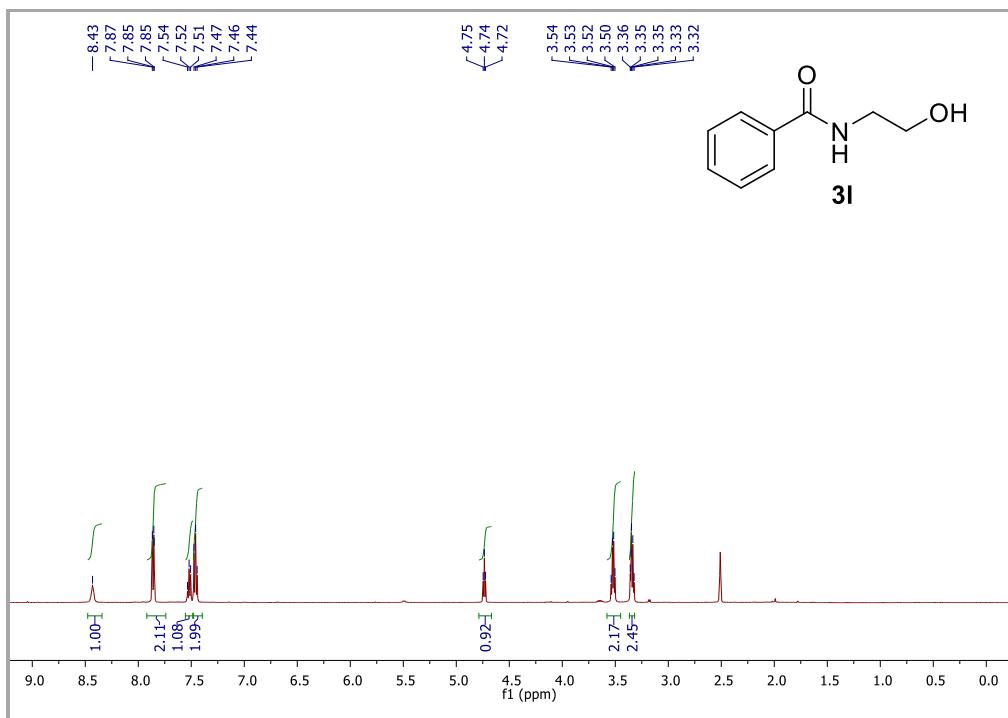
<sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) spectrum of **3j**.



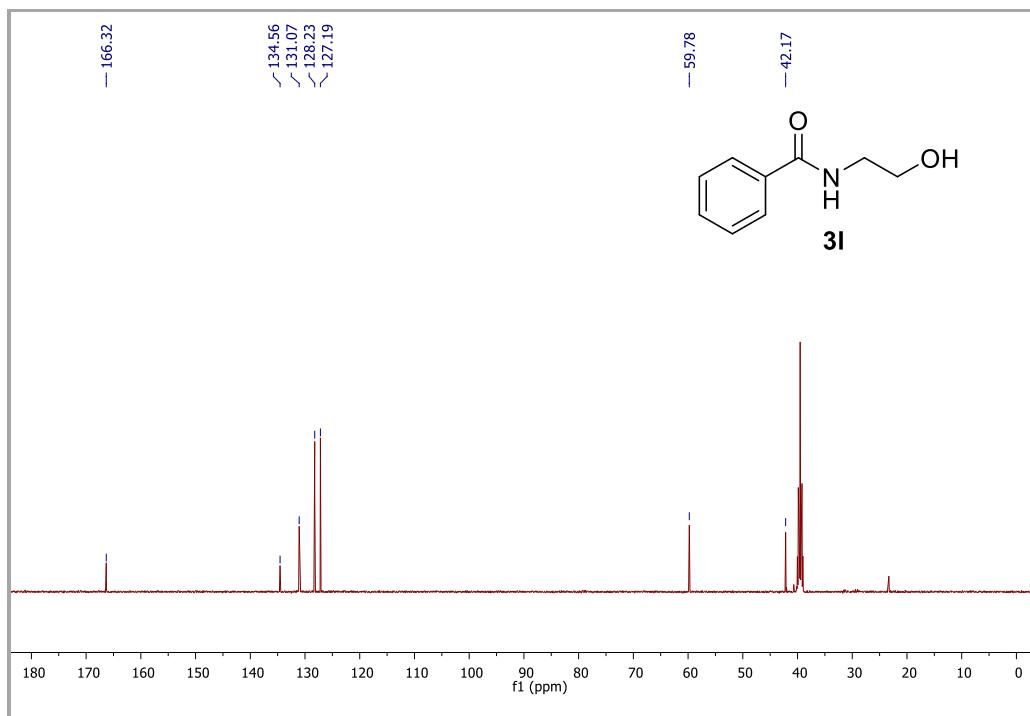
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) spectrum of **3k**.



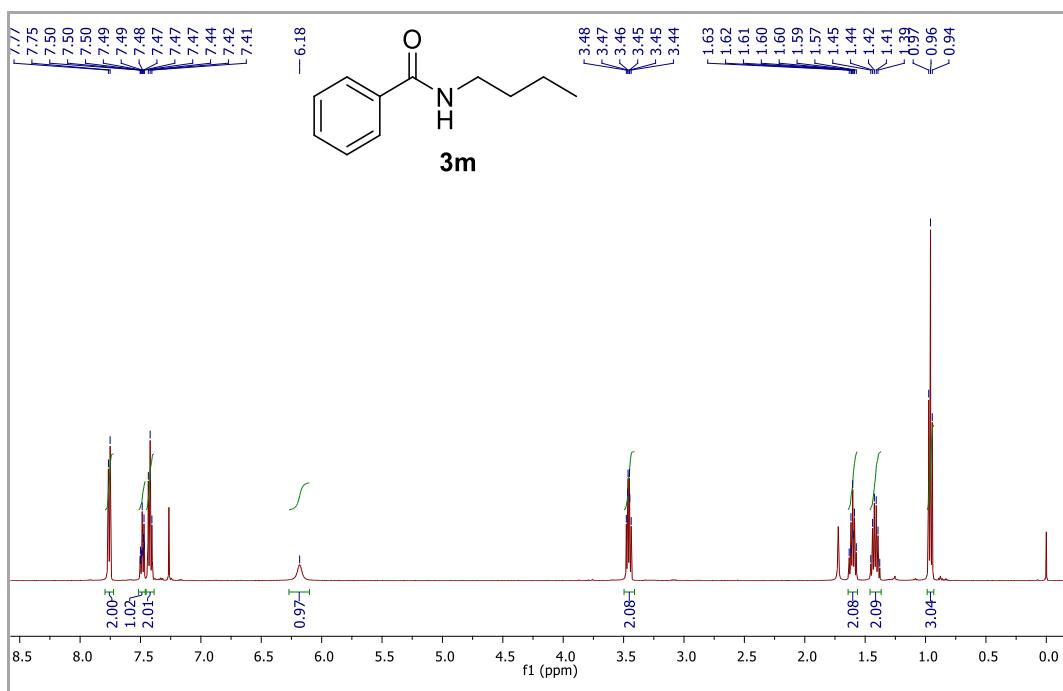
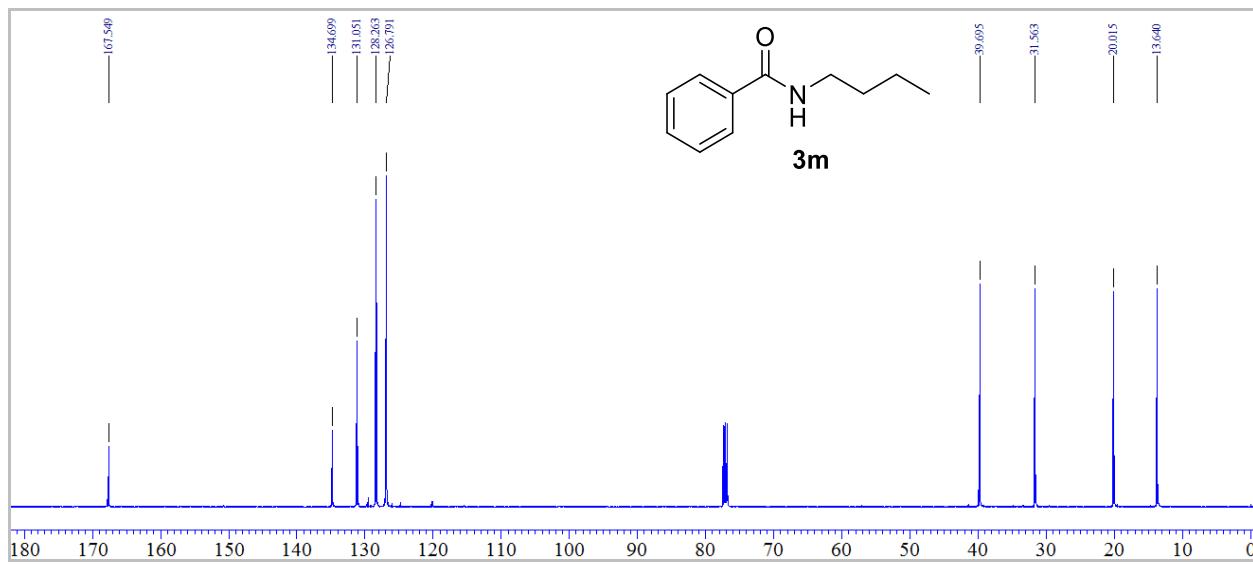
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) spectrum of **3k**.

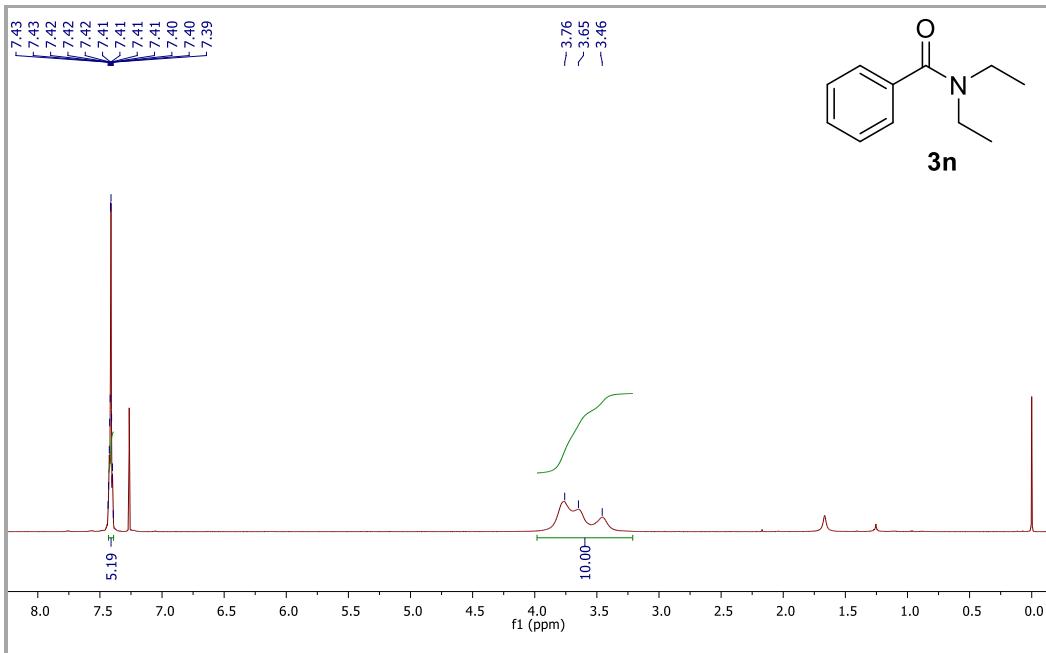


<sup>1</sup>H NMR (500 MHz, DMSO-*d*<sub>6</sub>) spectrum of **3l**.

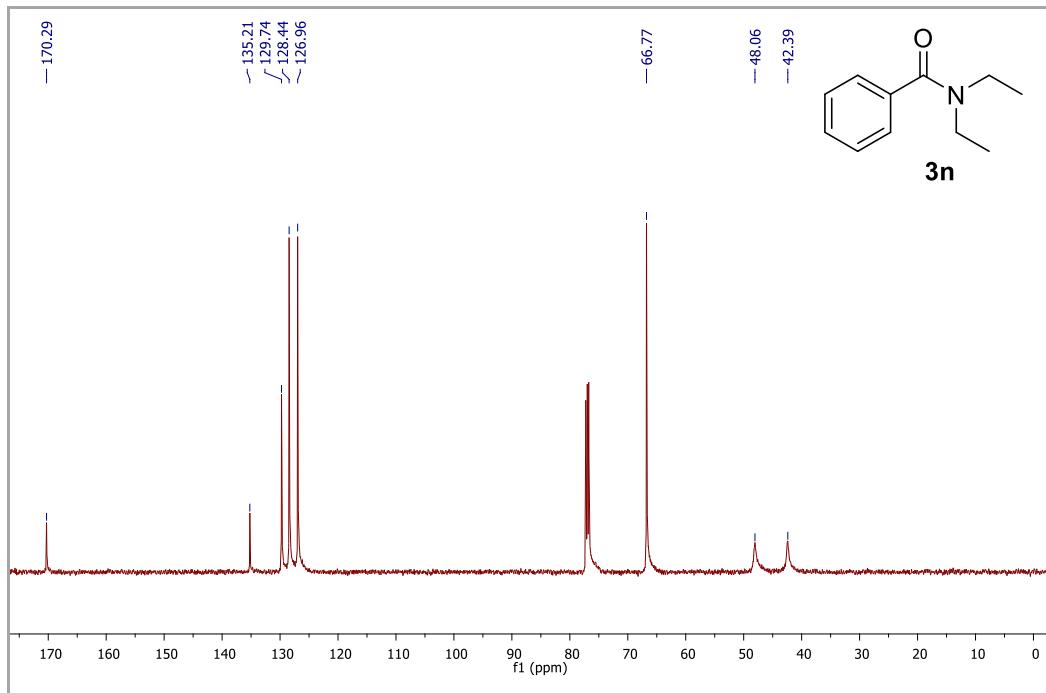


<sup>13</sup>C NMR (125 MHz, DMSO-*d*<sub>6</sub>) spectrum of **3l**.

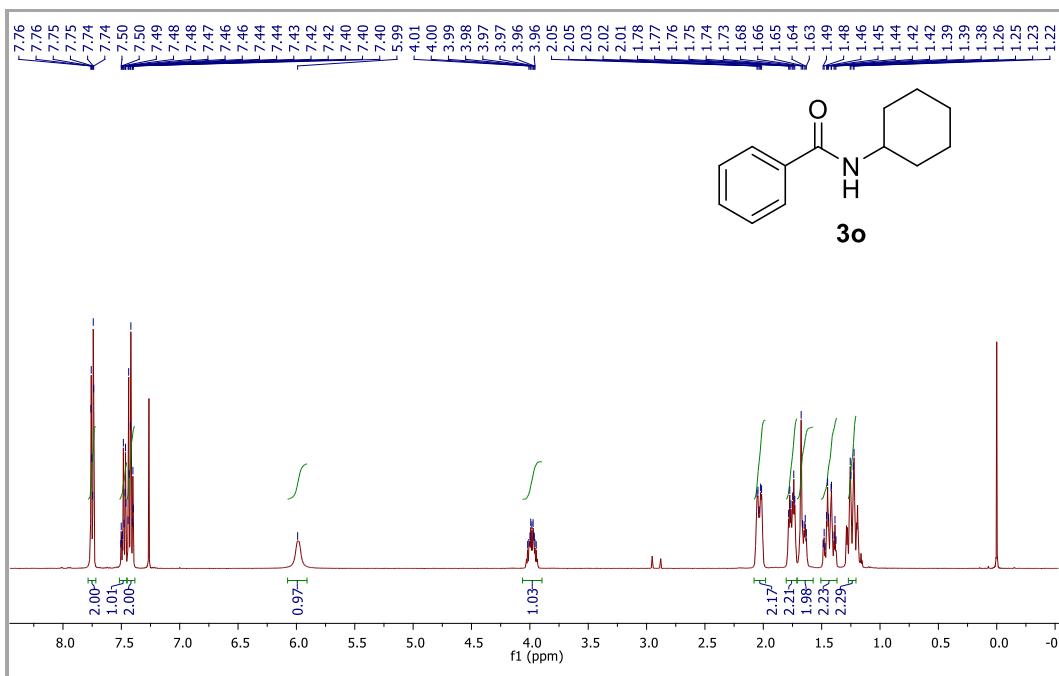
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **3m**.<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **3m**.



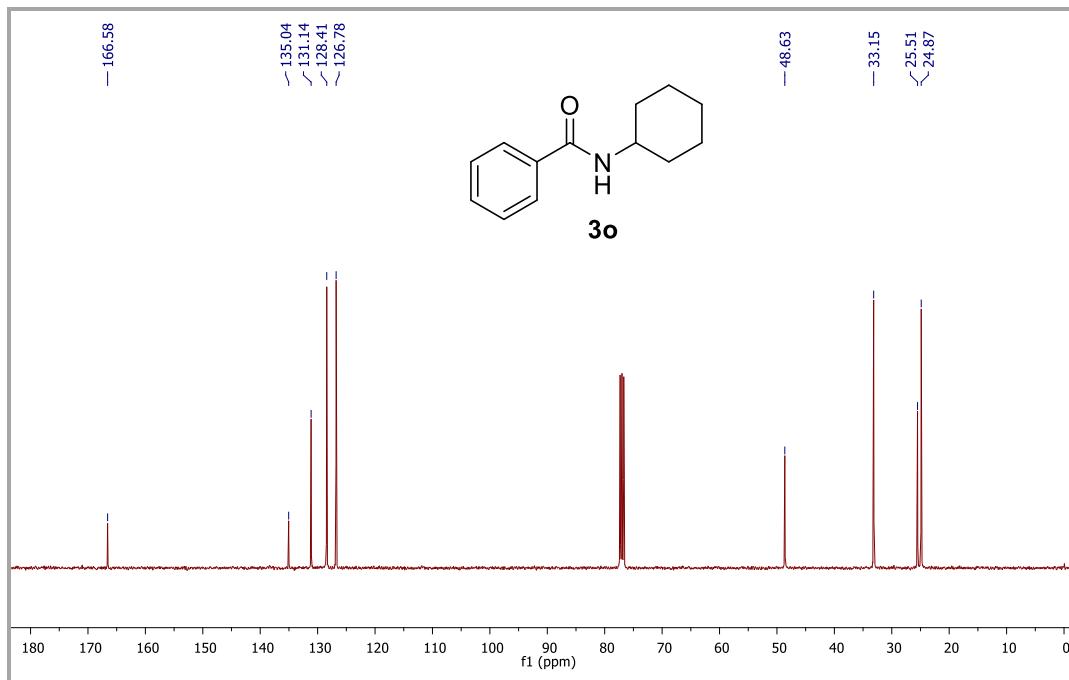
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **3n**.



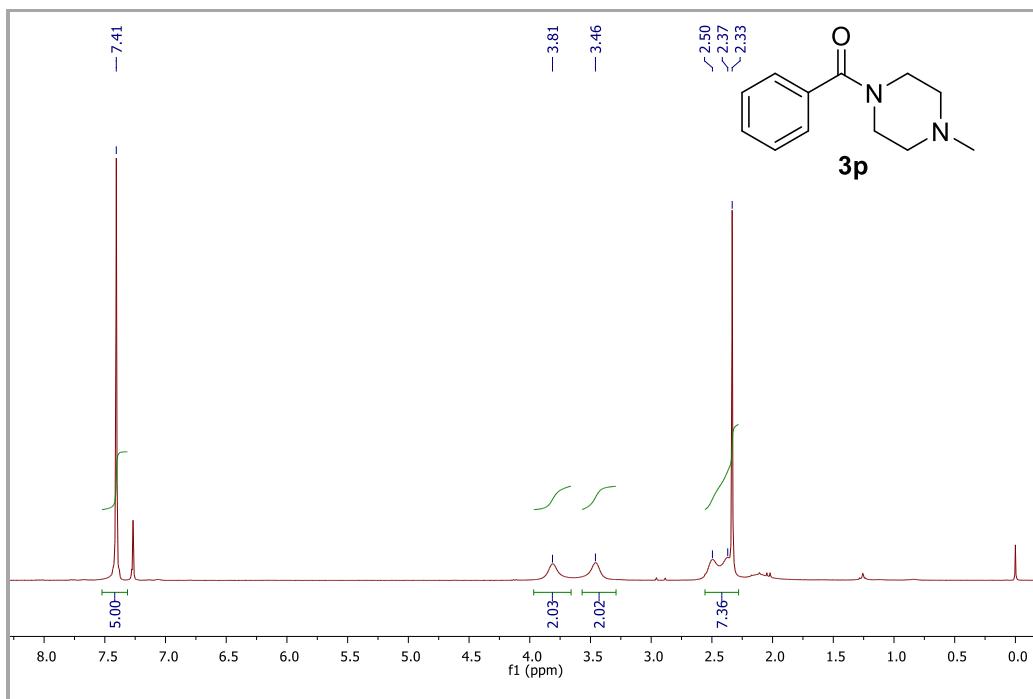
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **3n**.



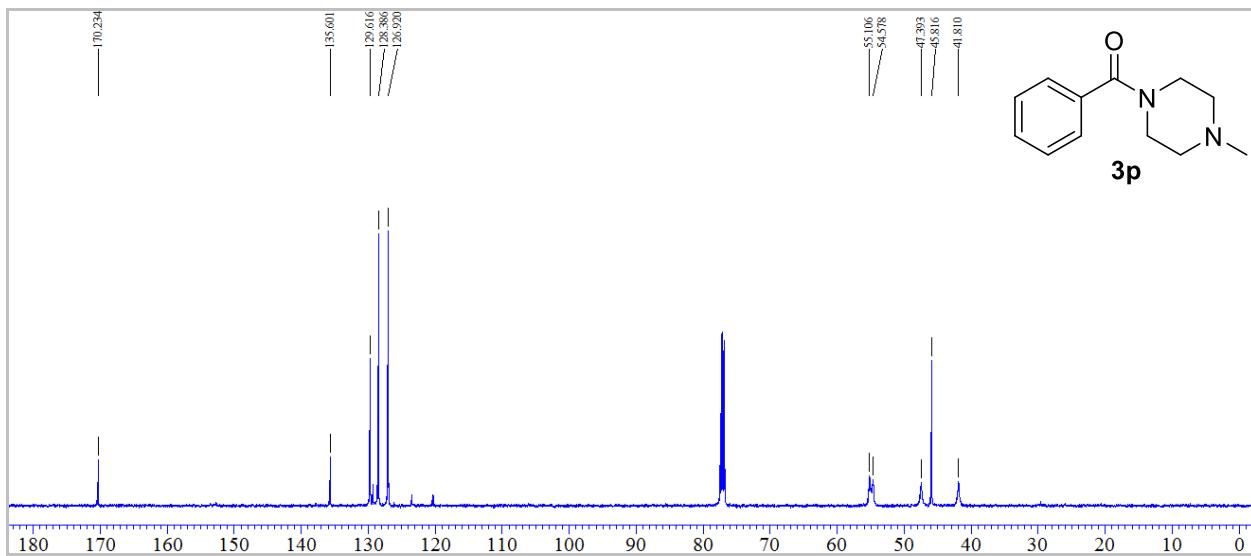
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **3o**.



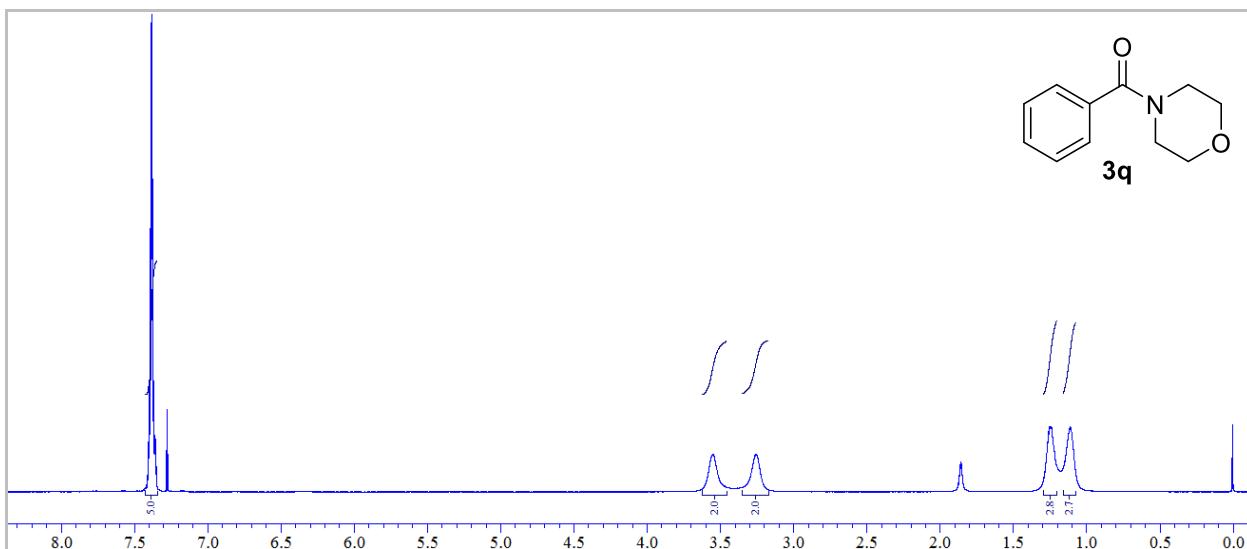
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **3o**.



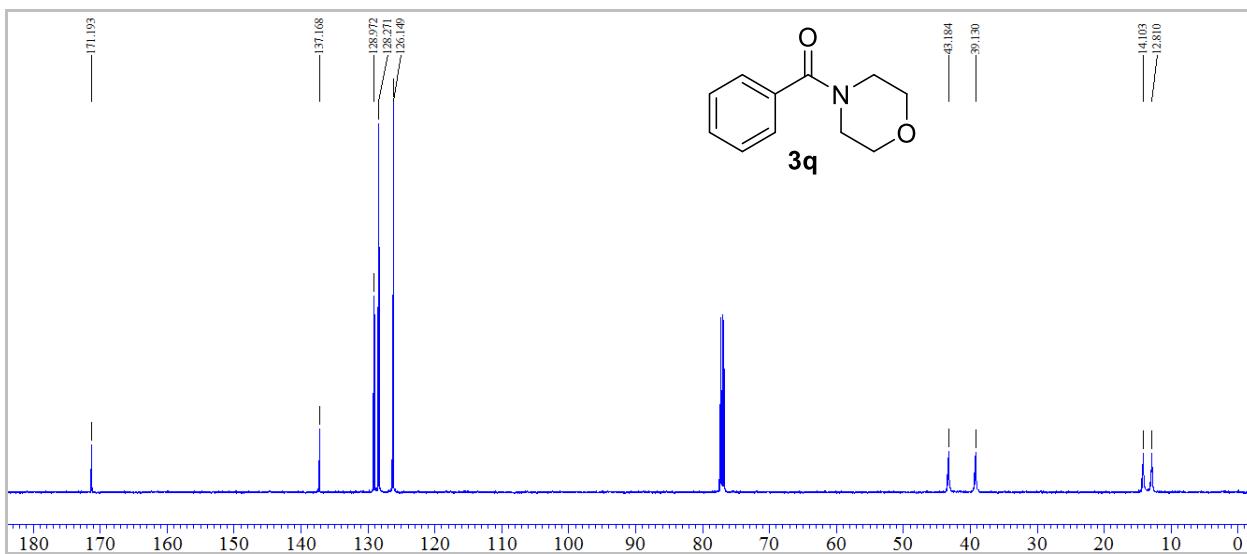
<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) spectrum of 3p.



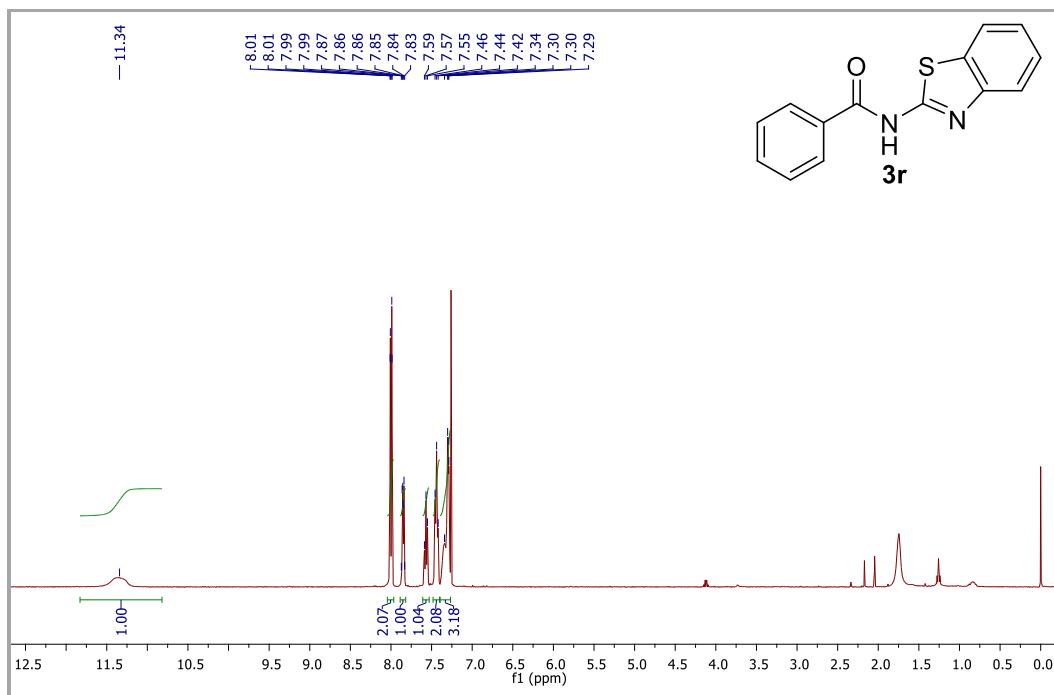
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of 3p.



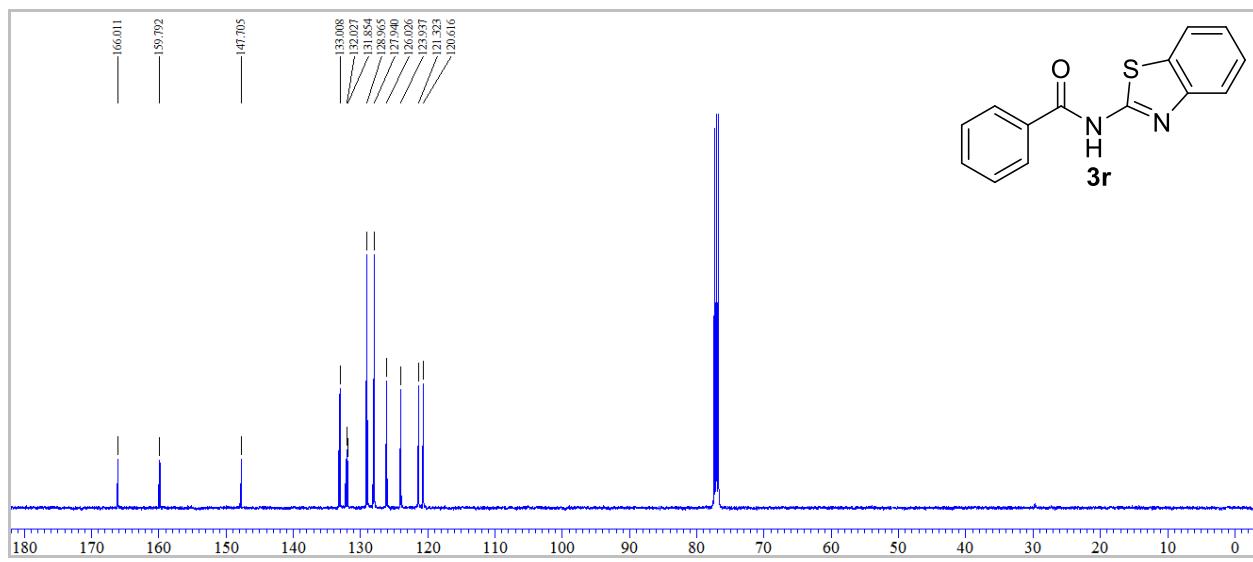
<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) spectrum of 3q.



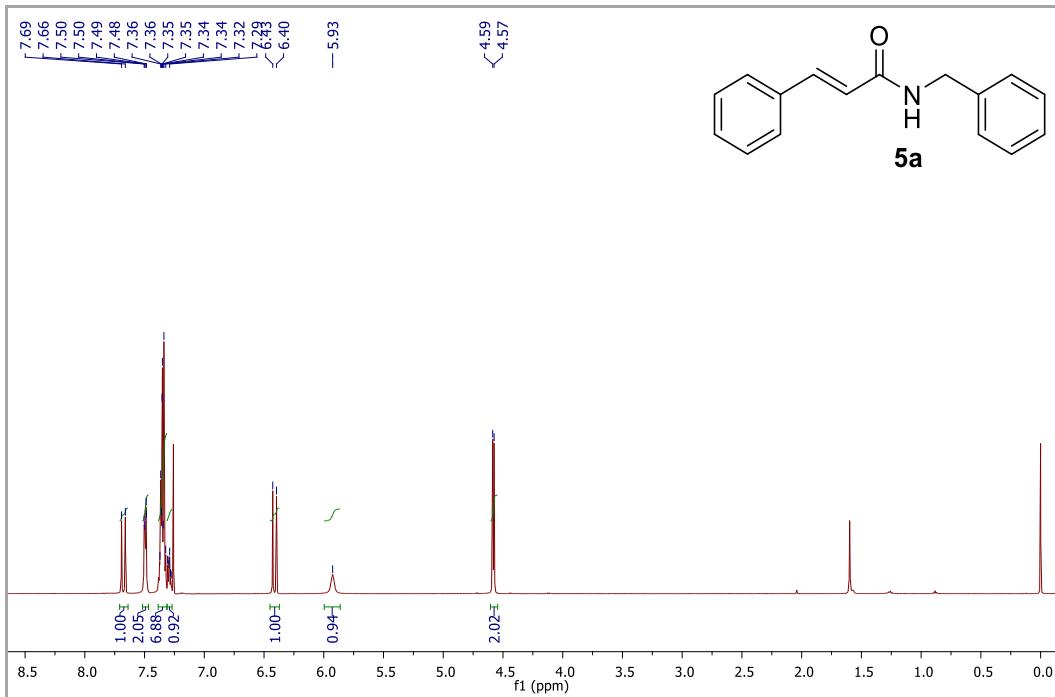
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of 3q.



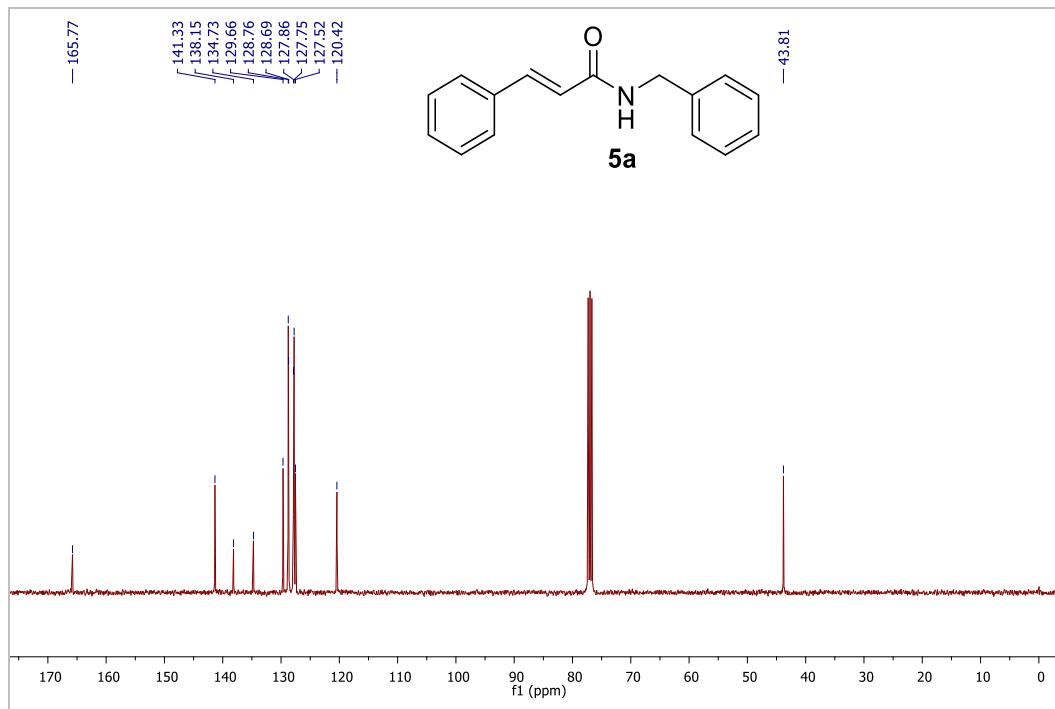
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **3r**.



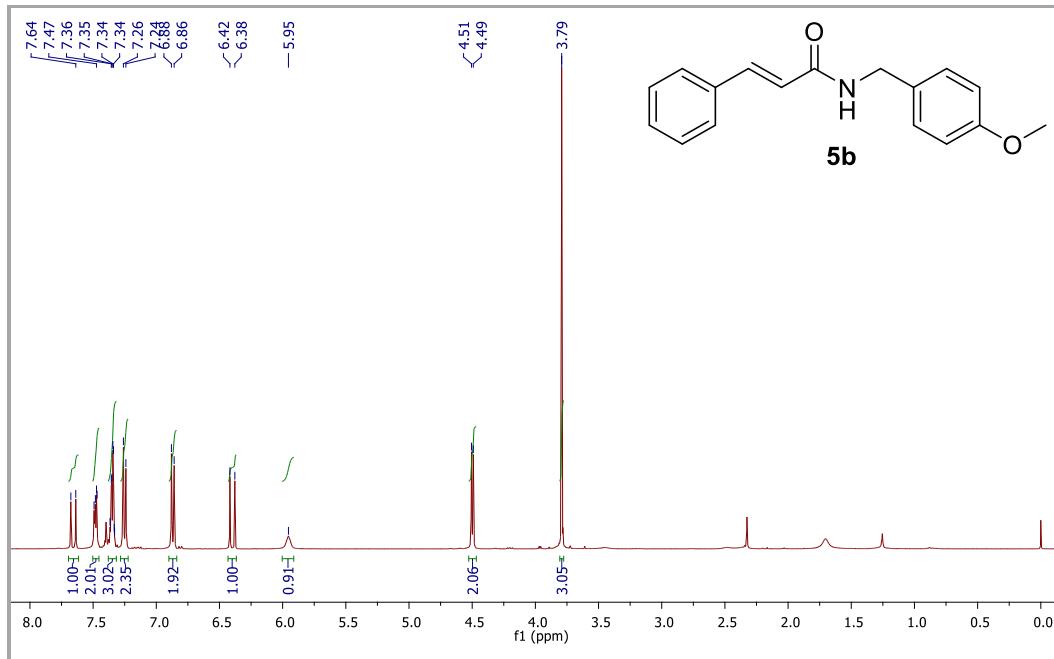
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **3r**.



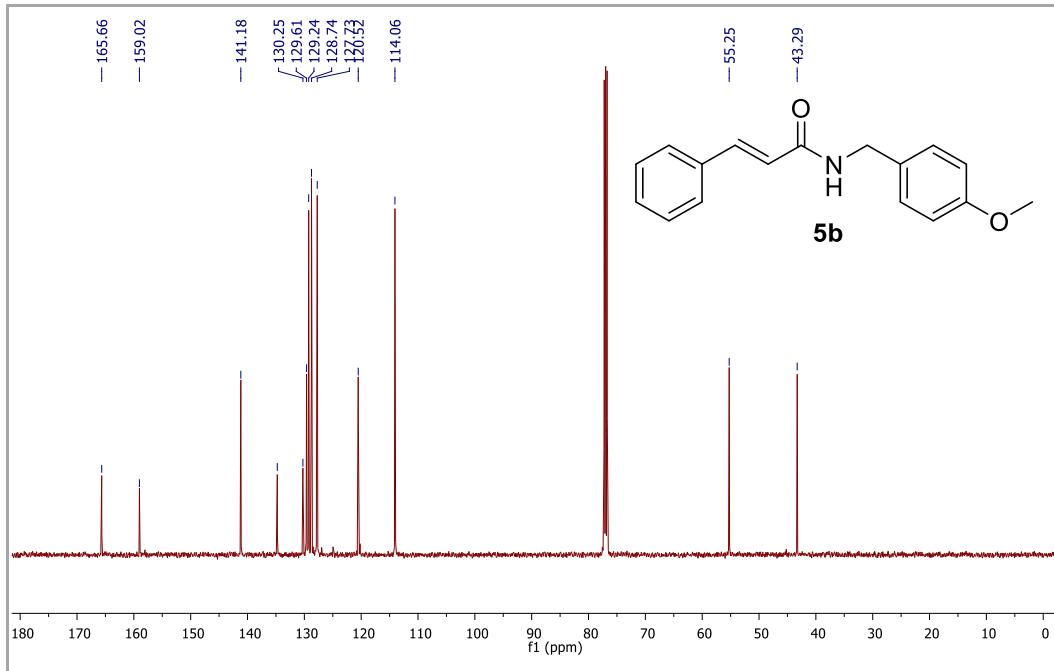
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **5a**.



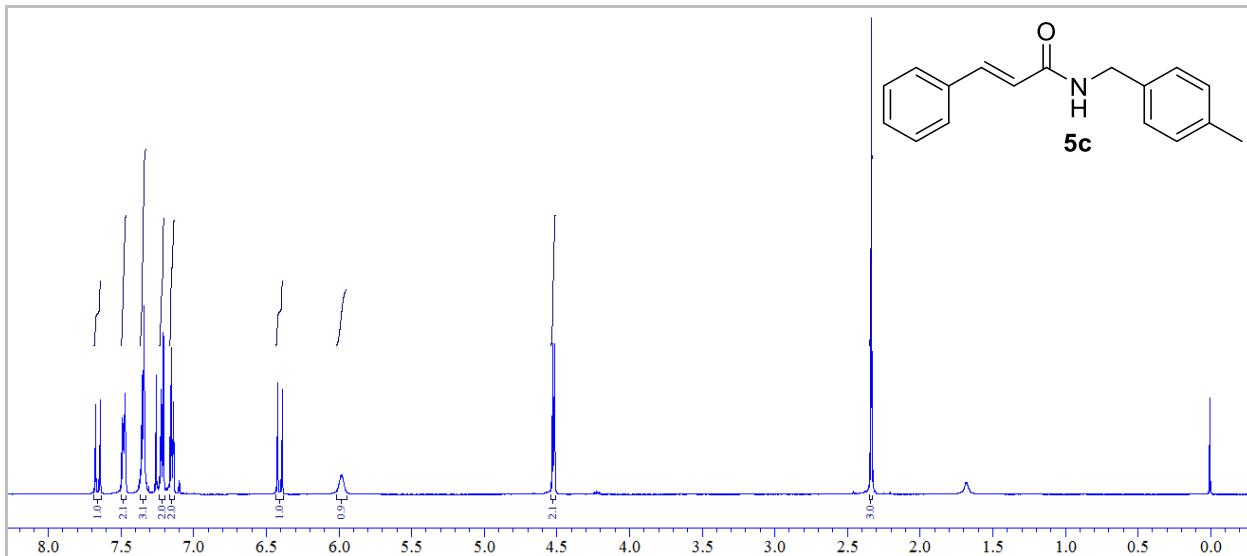
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **5a**.



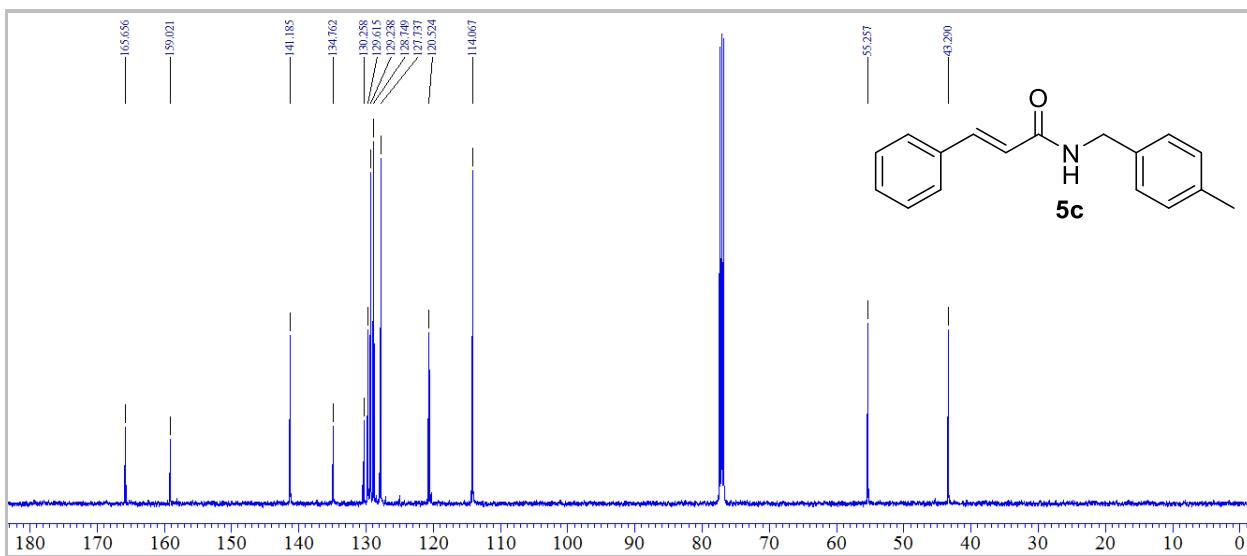
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5b**.



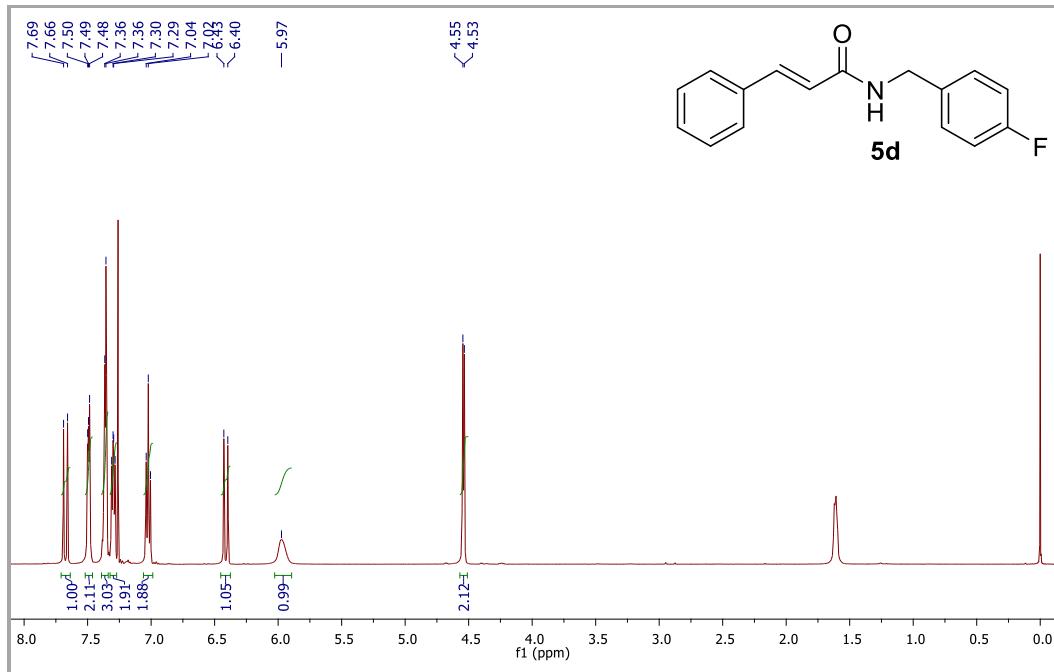
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5b**.



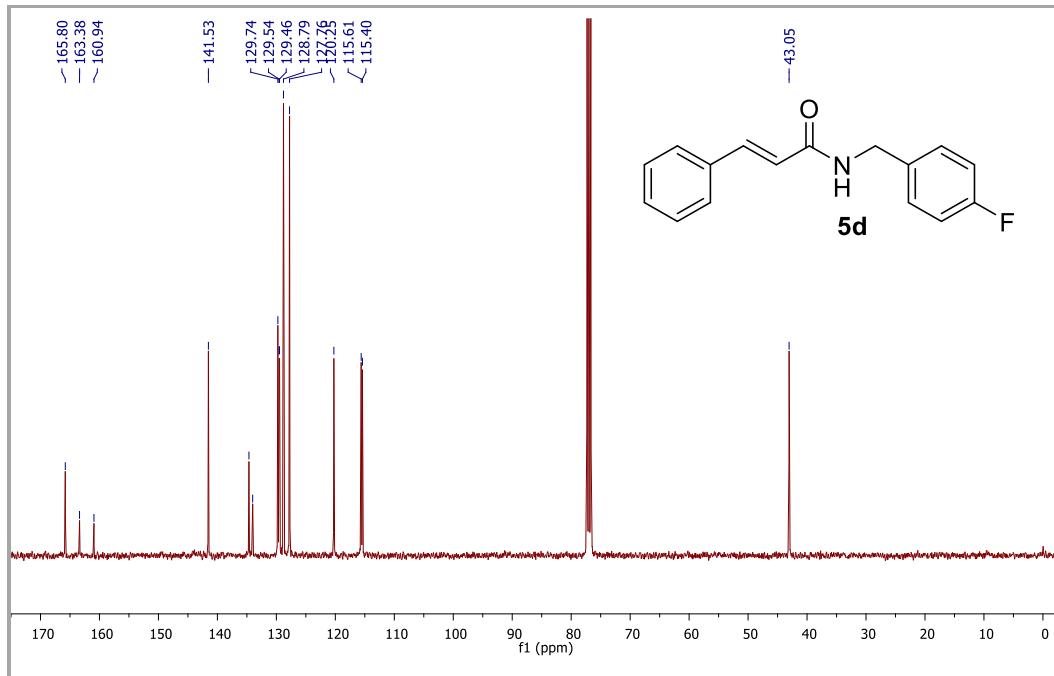
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **5c**.



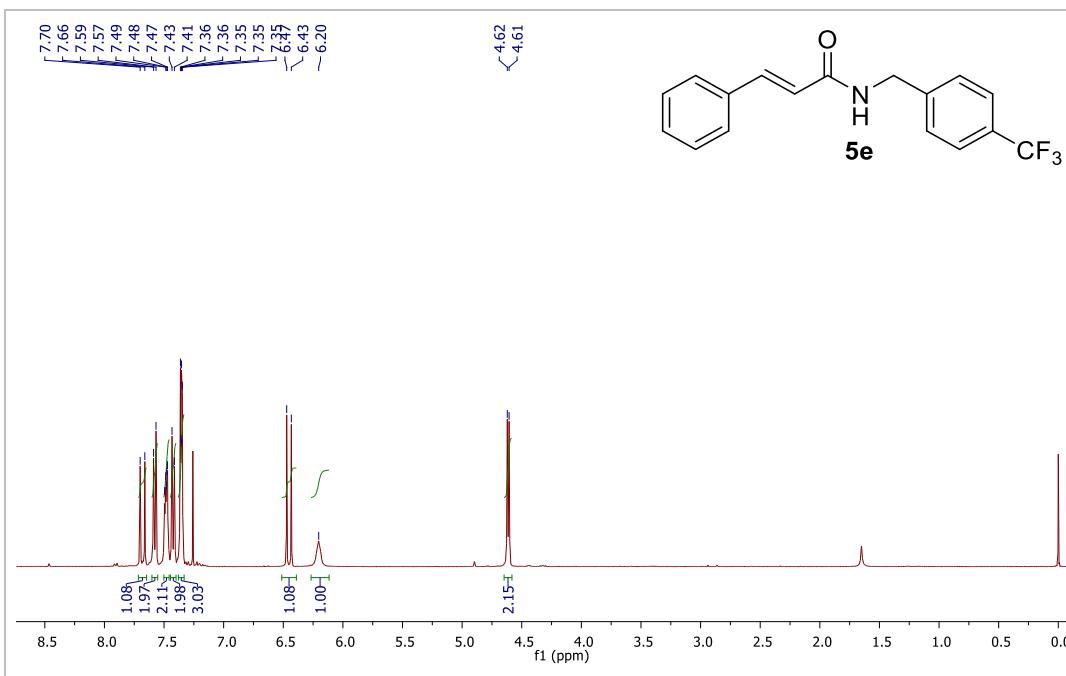
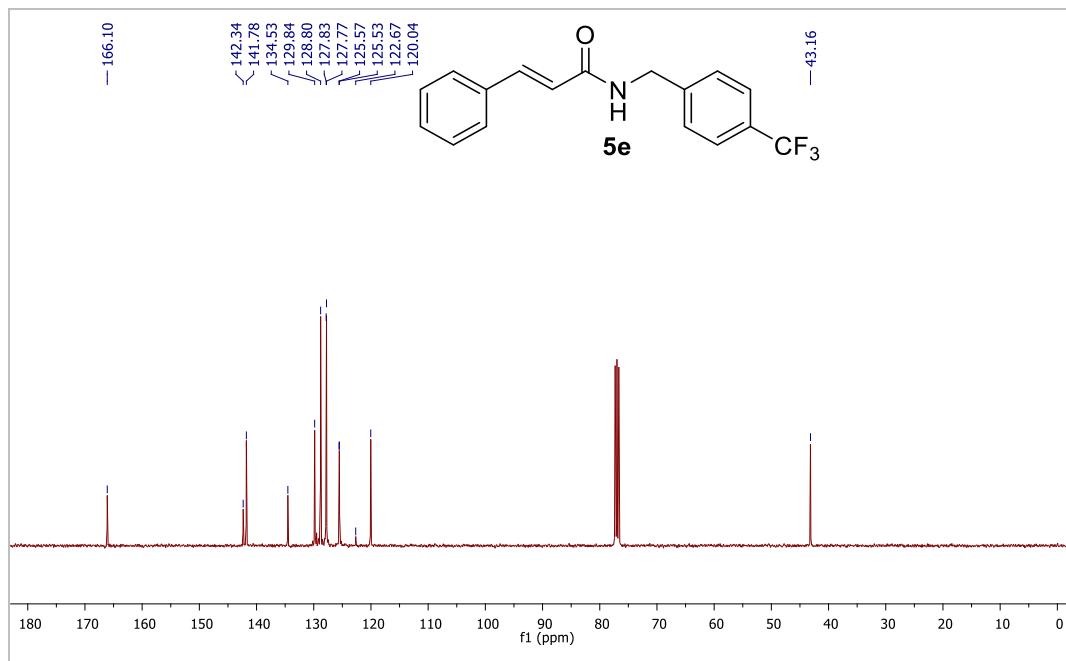
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5c**.

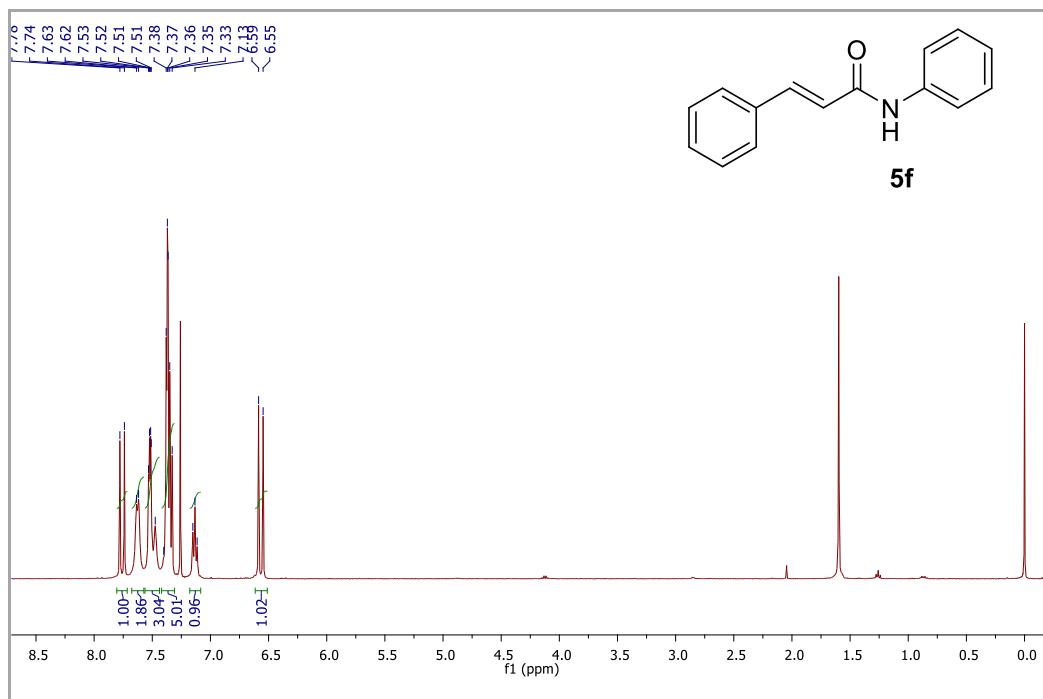


<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **5d**.

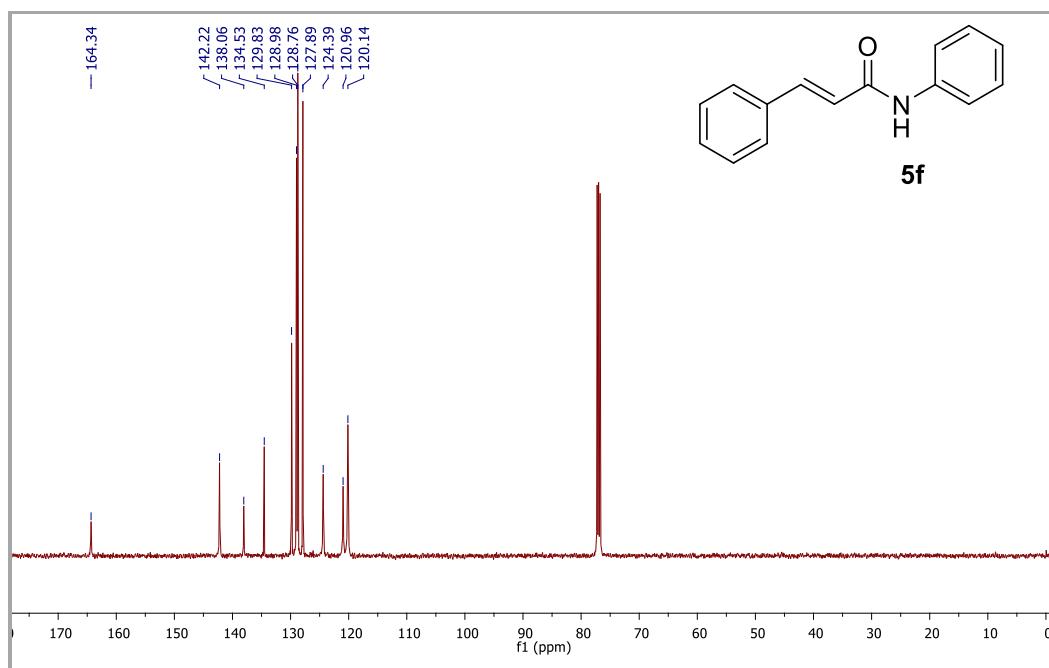


<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **5d**.

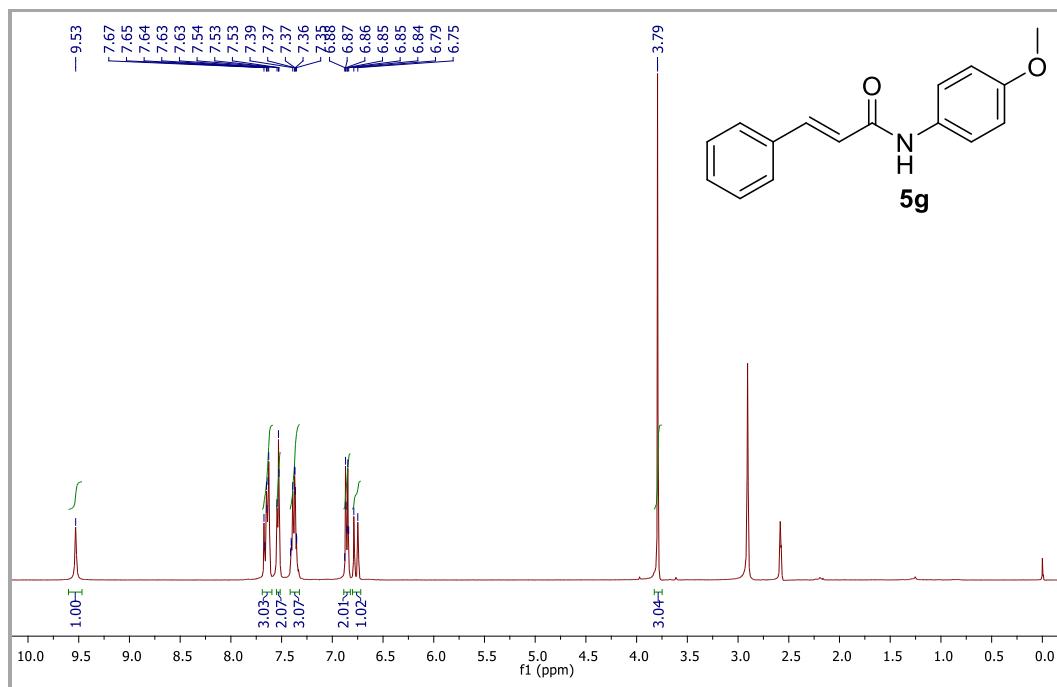
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5e**.<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **5e**.



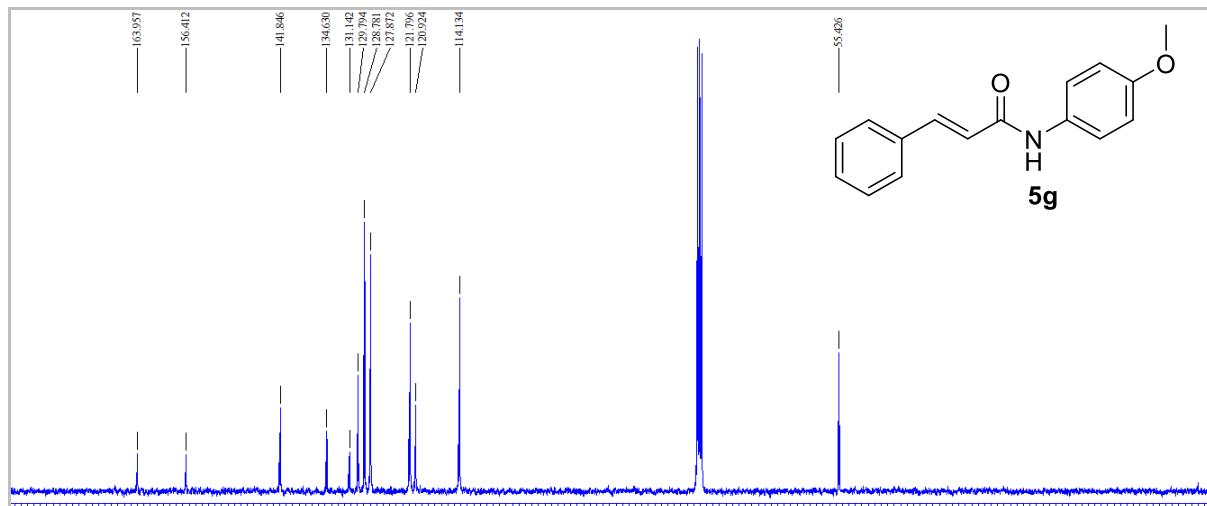
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5f**.



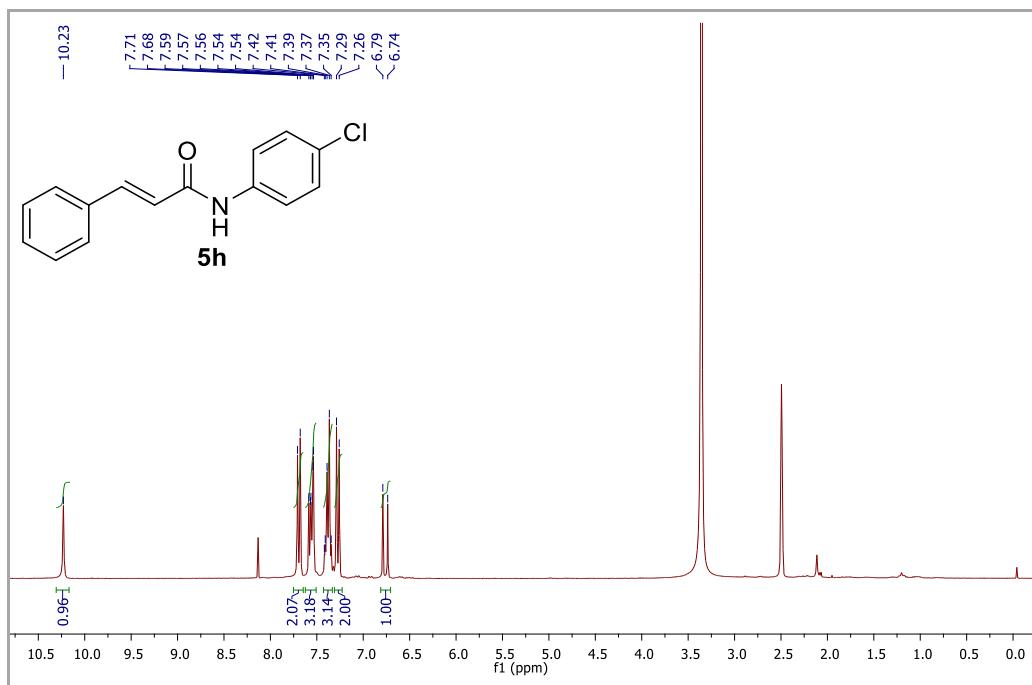
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum **5f**.



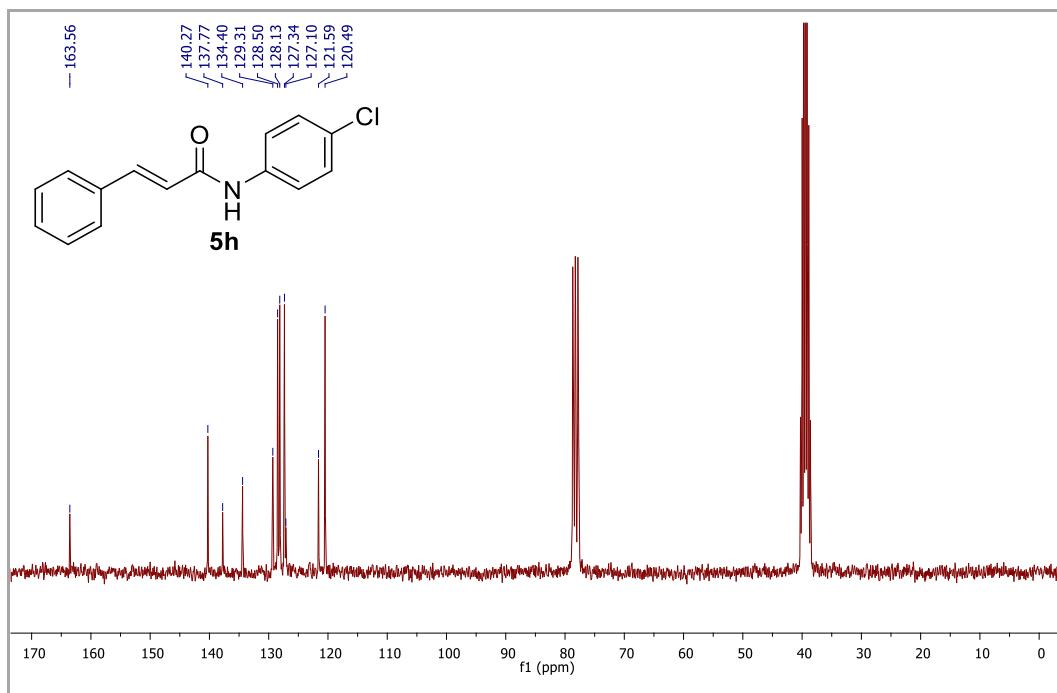
<sup>1</sup>H NMR (400 MHz, DMSO-*d*<sub>6</sub>) spectrum of **5g**.



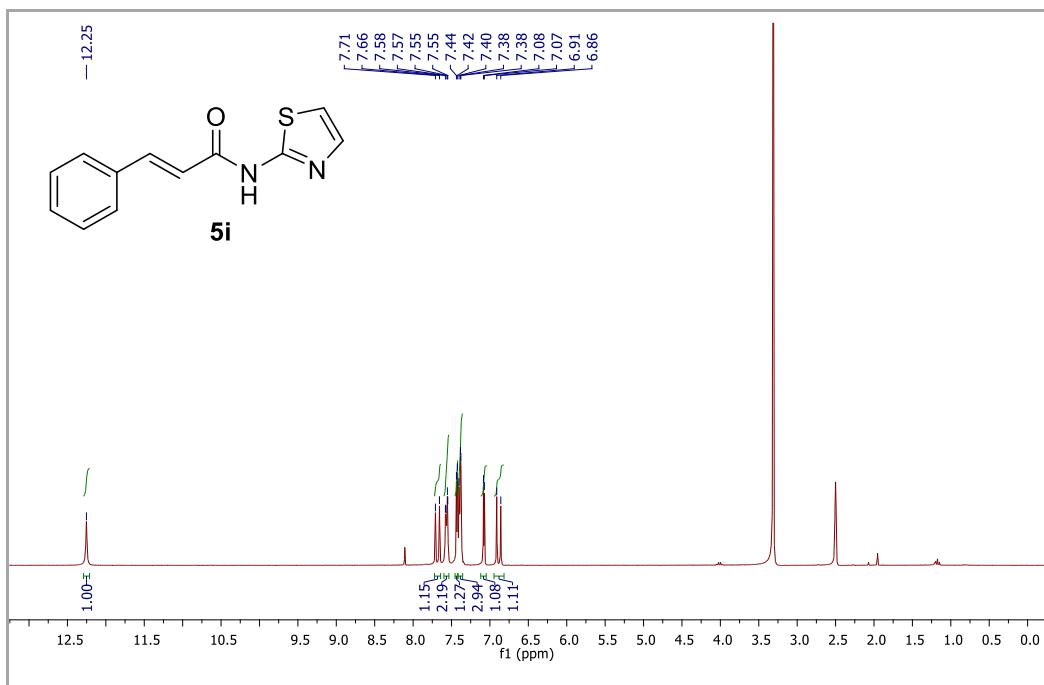
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5g**.



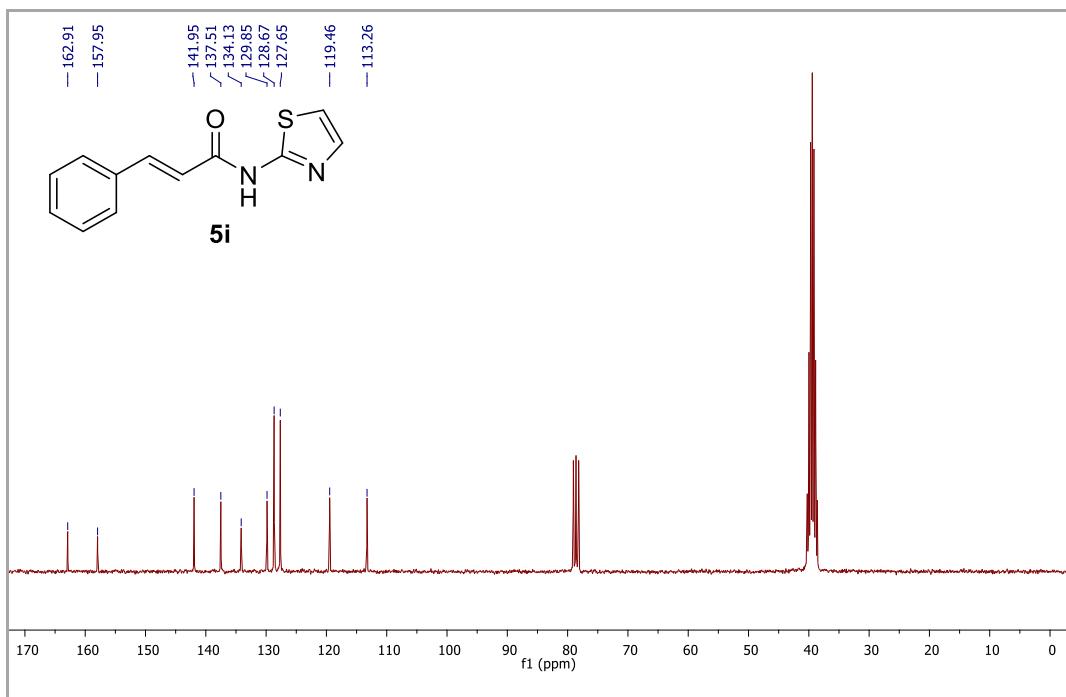
<sup>1</sup>H NMR (400 MHz,  $\text{CDCl}_3 + \text{DMSO}-d_6$ ) spectrum of **5h**.



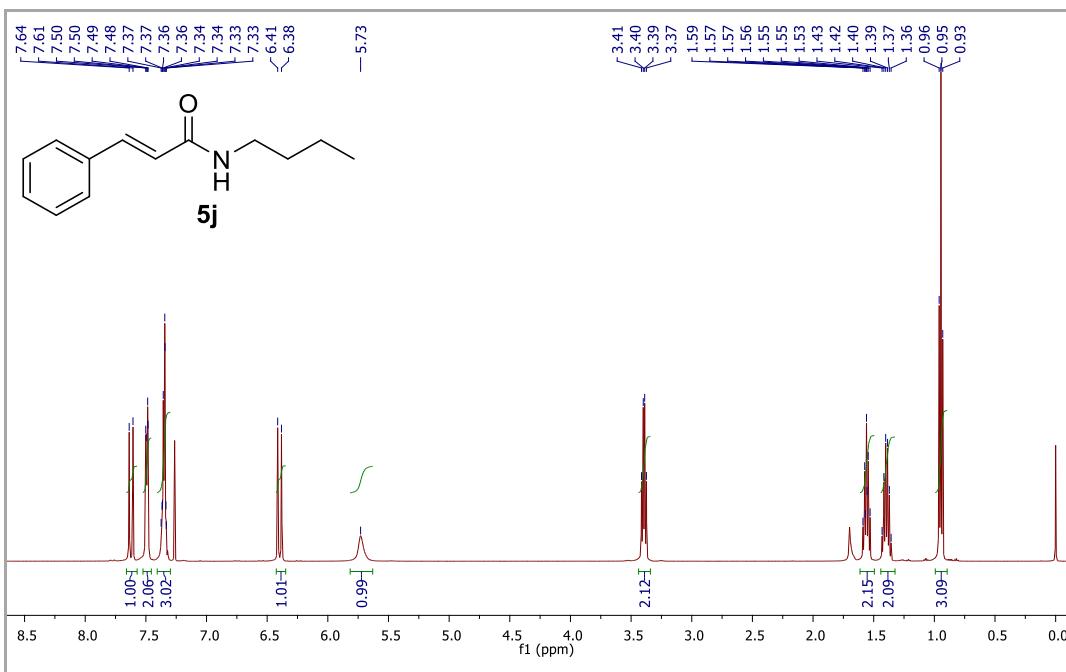
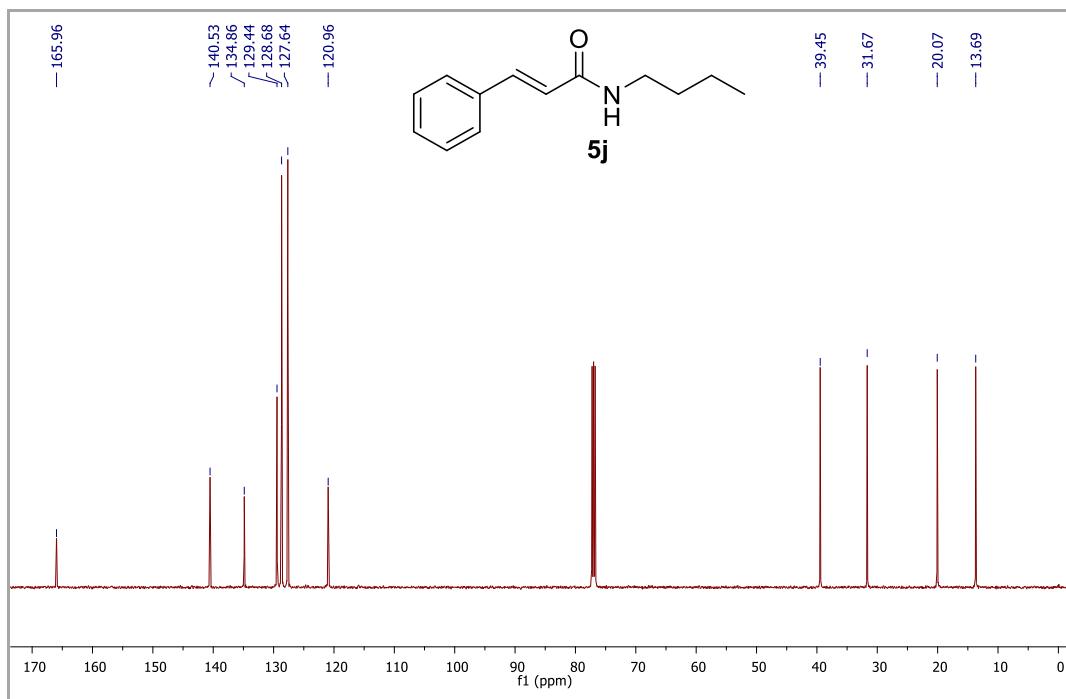
<sup>13</sup>C NMR (75 MHz,  $\text{CDCl}_3 + \text{DMSO}-d_6$ ) spectrum of **5h**.

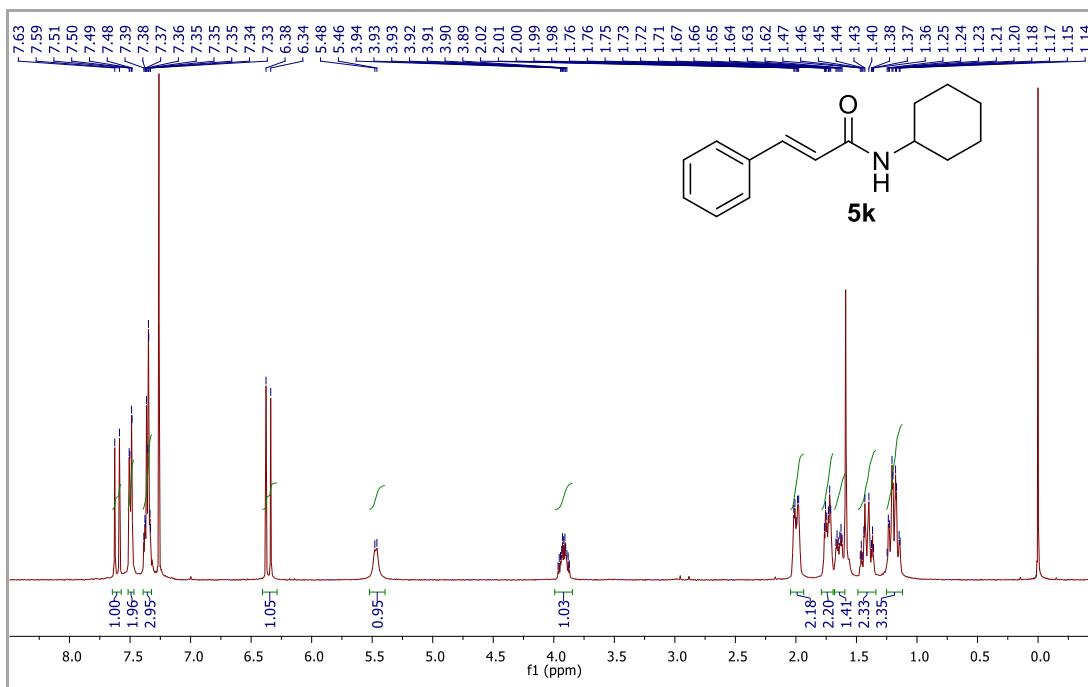
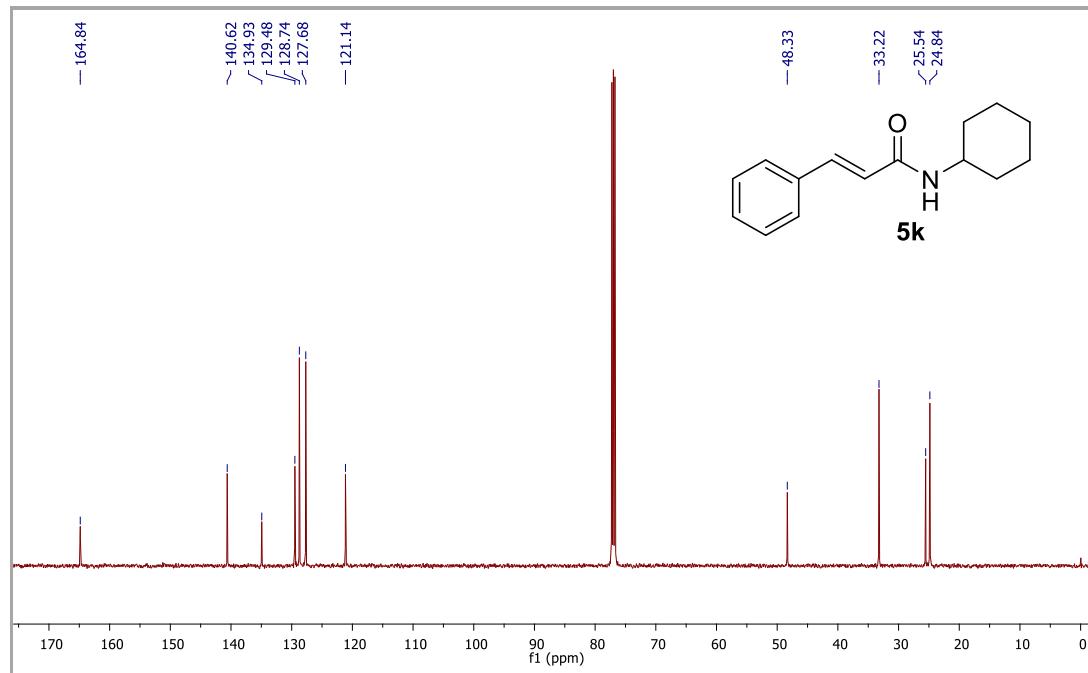


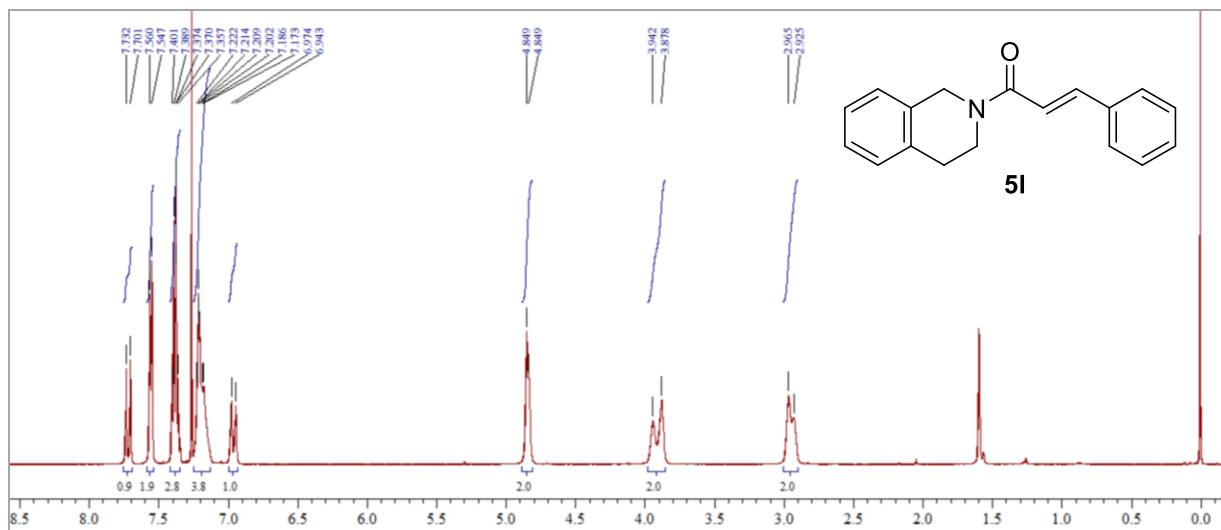
<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) spectrum of **5i**.



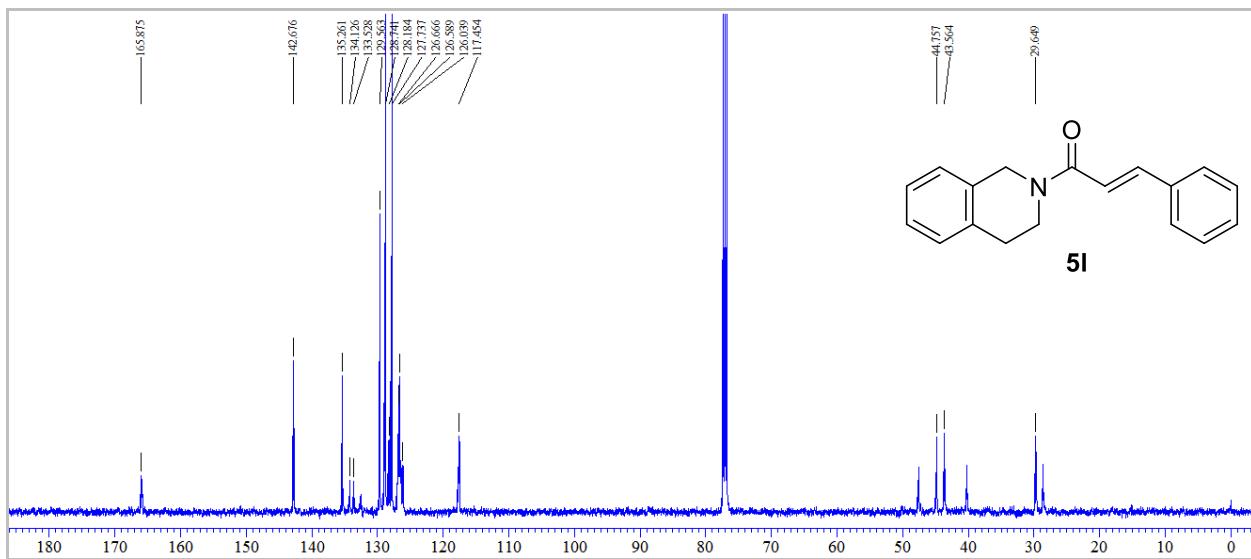
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) spectrum of **5i**.

<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **5j**.<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5j**.

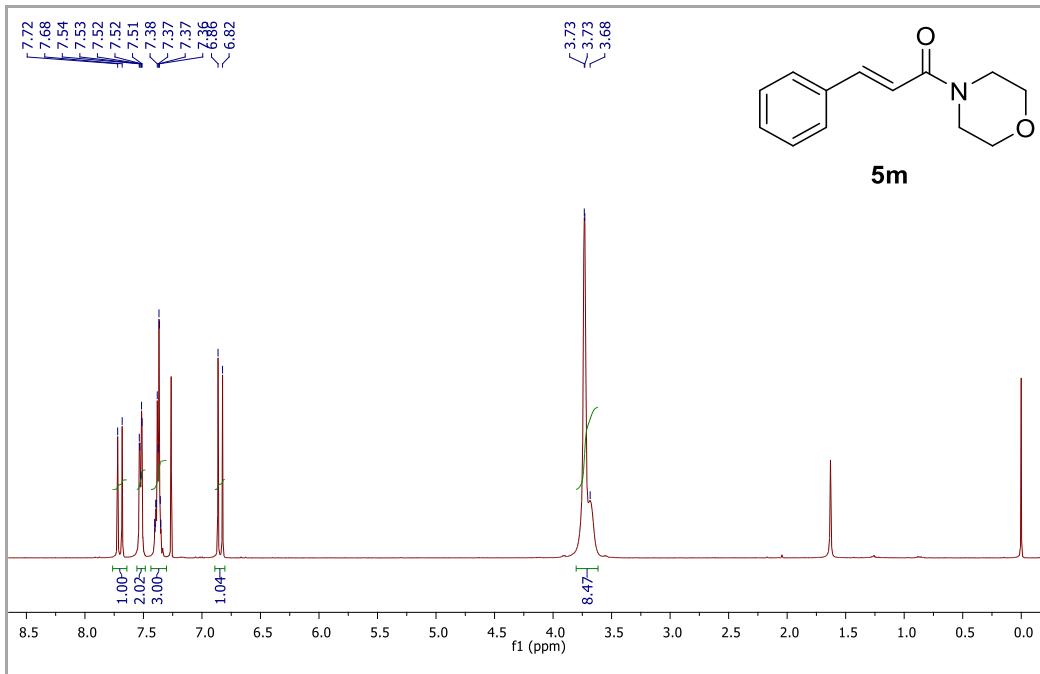
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5k**.<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5k**.



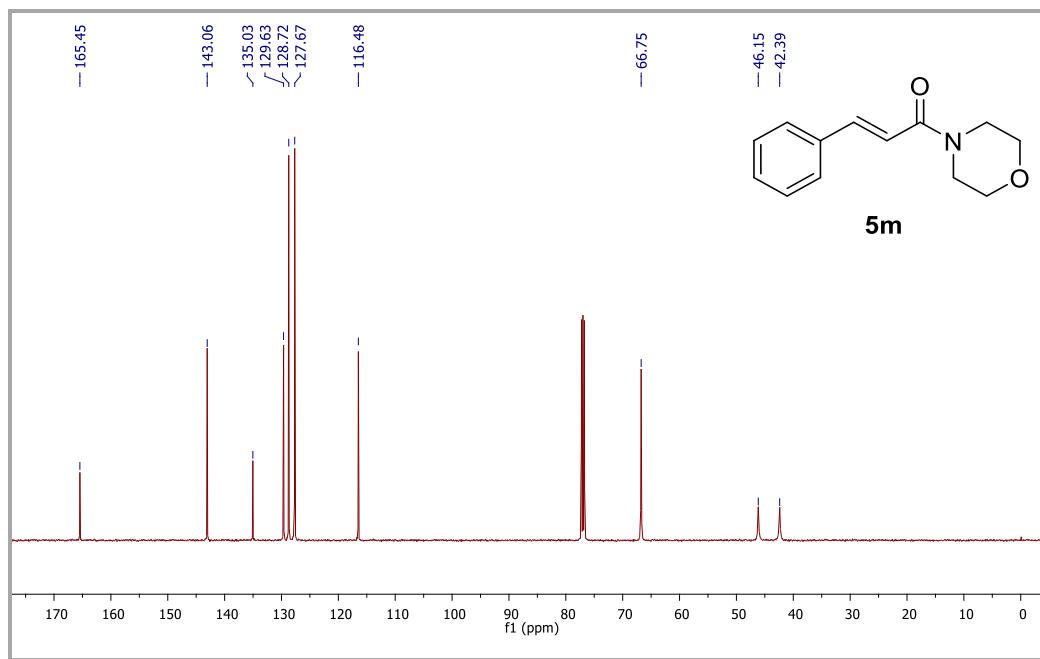
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **5l**.



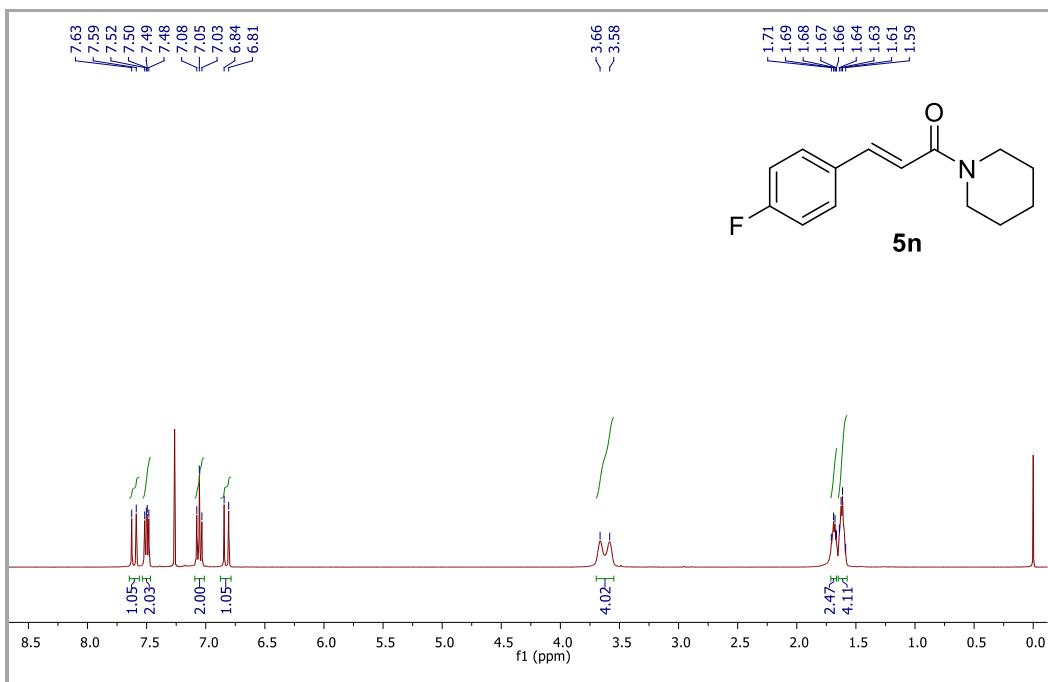
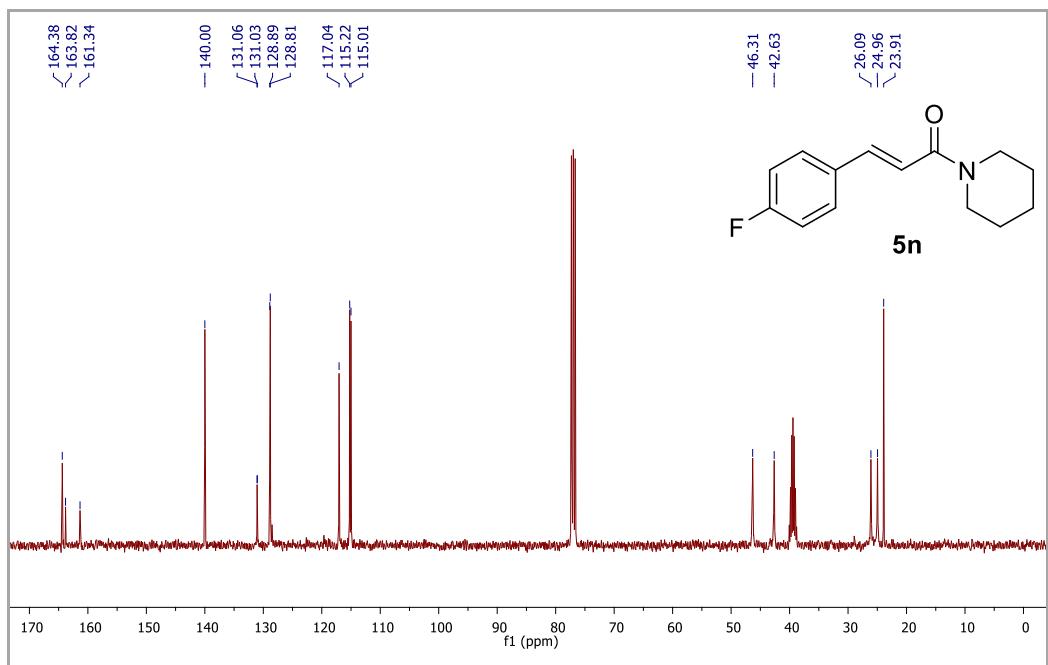
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5l**.

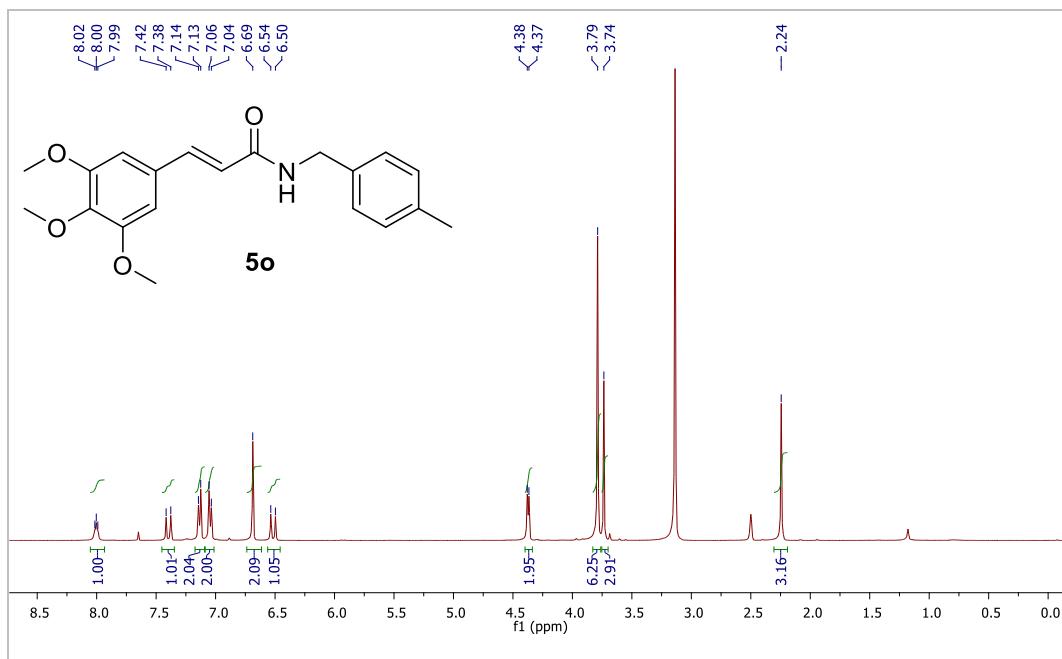
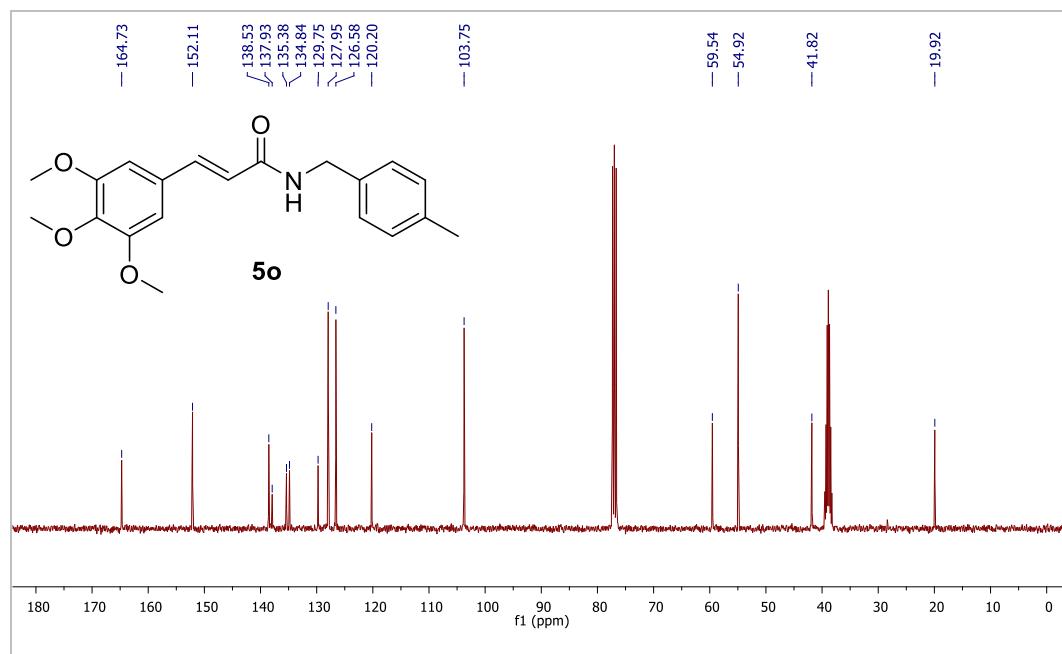


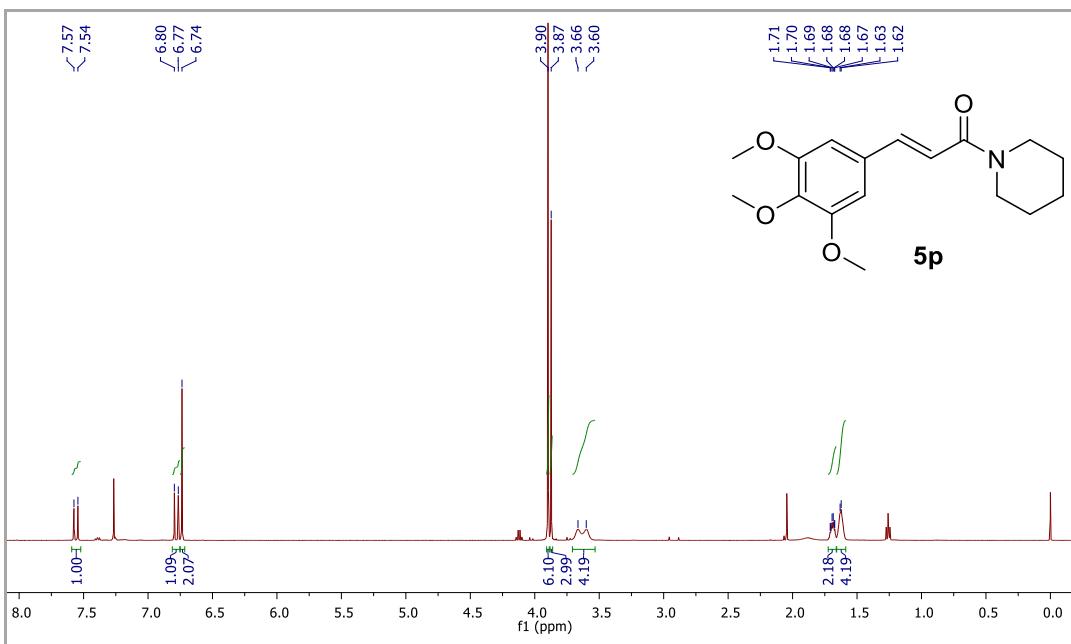
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5m**.



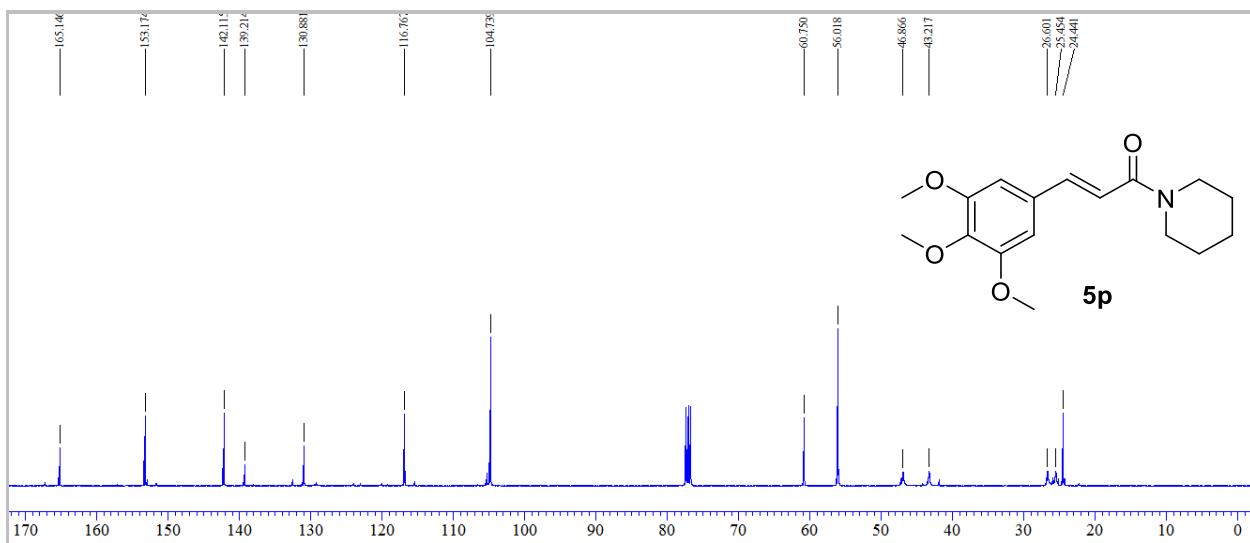
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5m**.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5n**.<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **5n**.

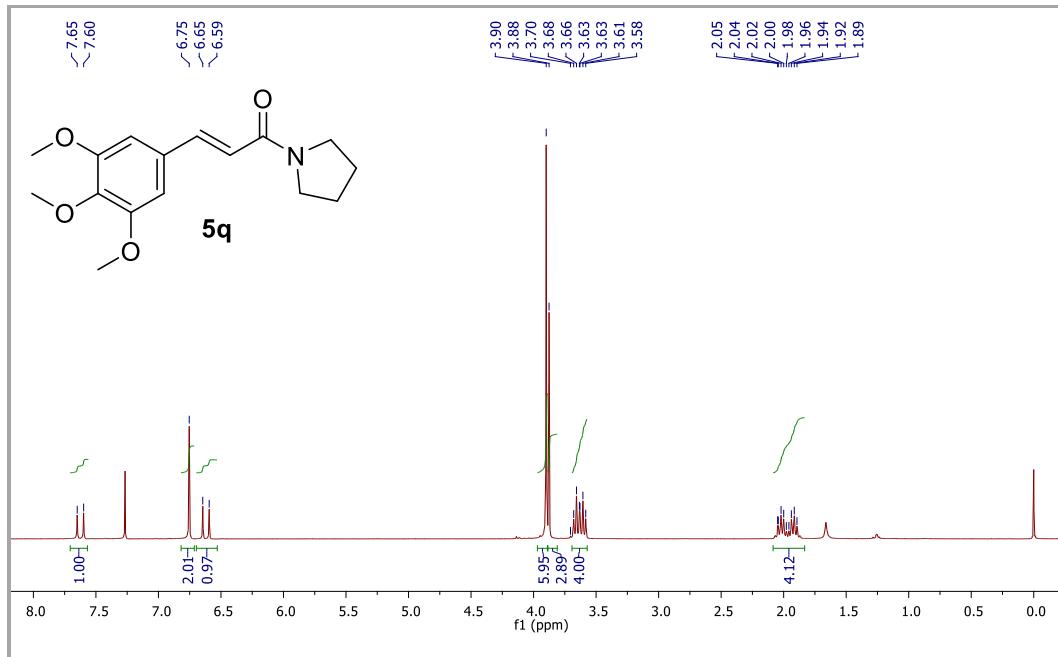
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub> + DMSO-d<sub>6</sub>) spectrum of **5o**.<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub> + DMSO-d<sub>6</sub>) spectrum of **5o**.



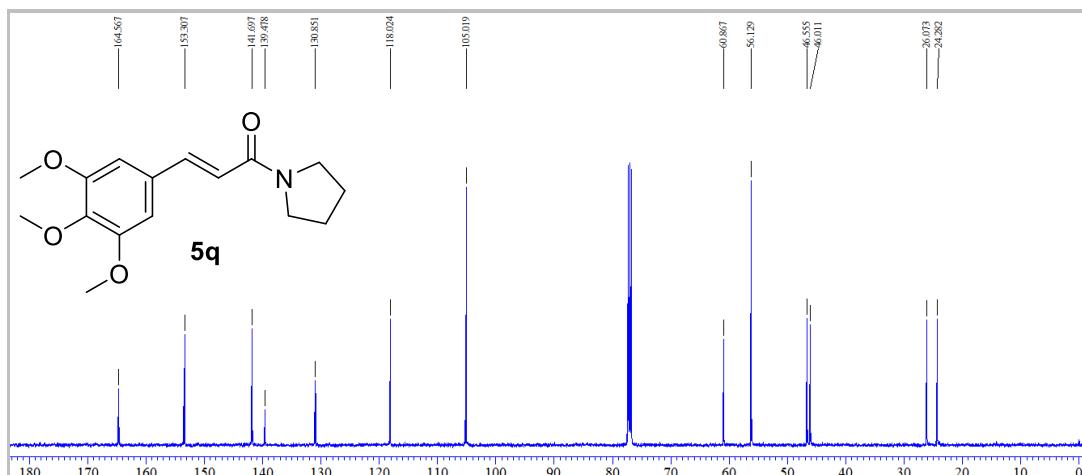
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **5p**.



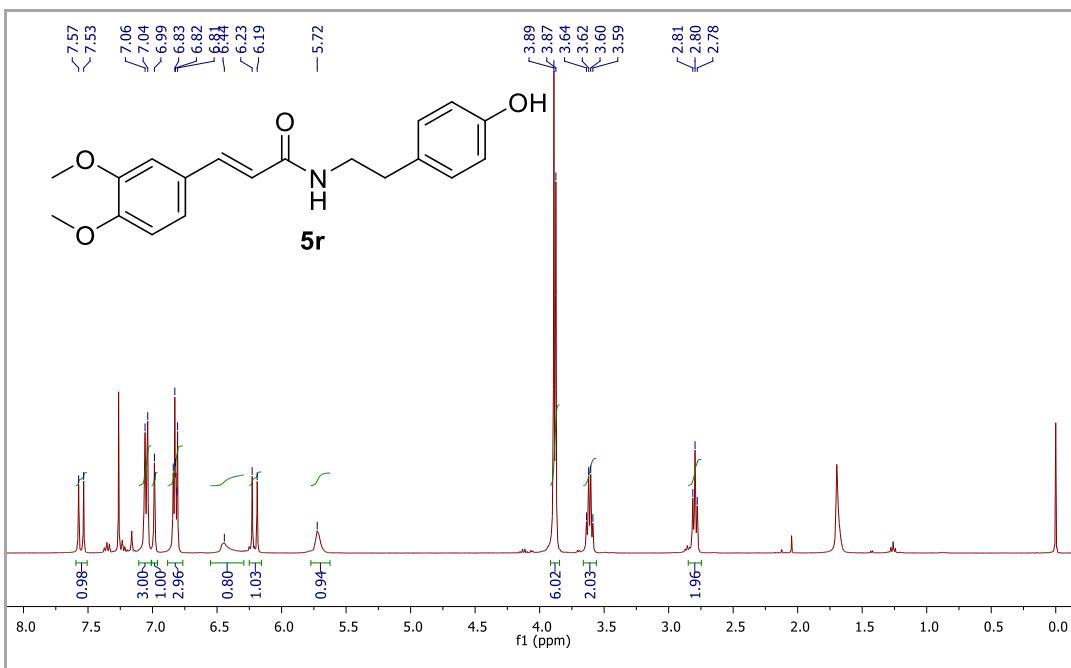
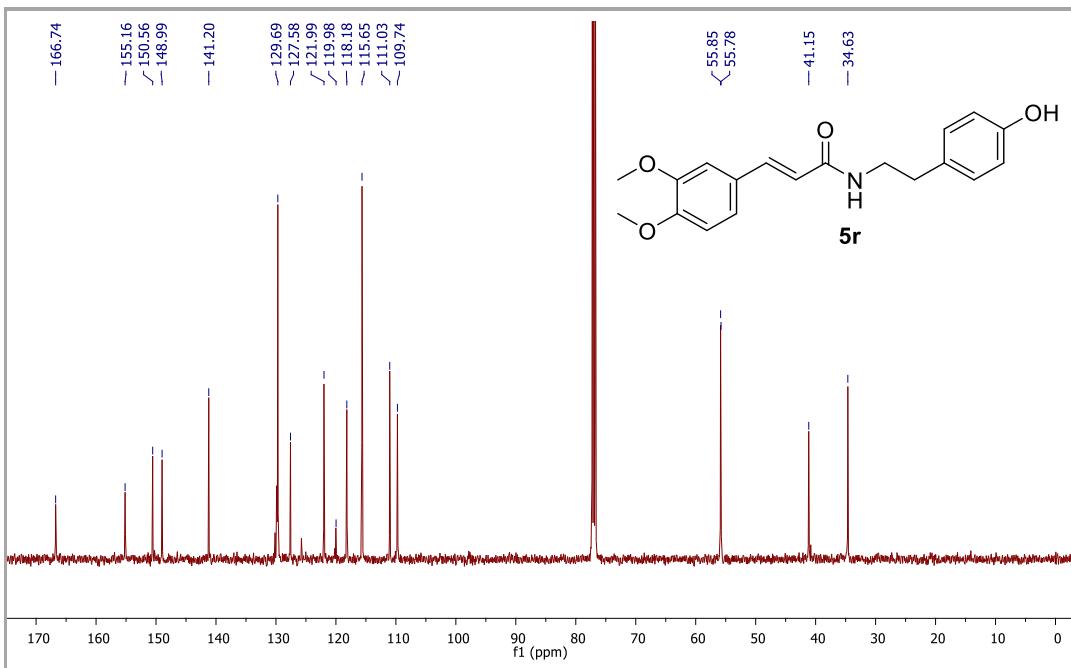
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **5p**.

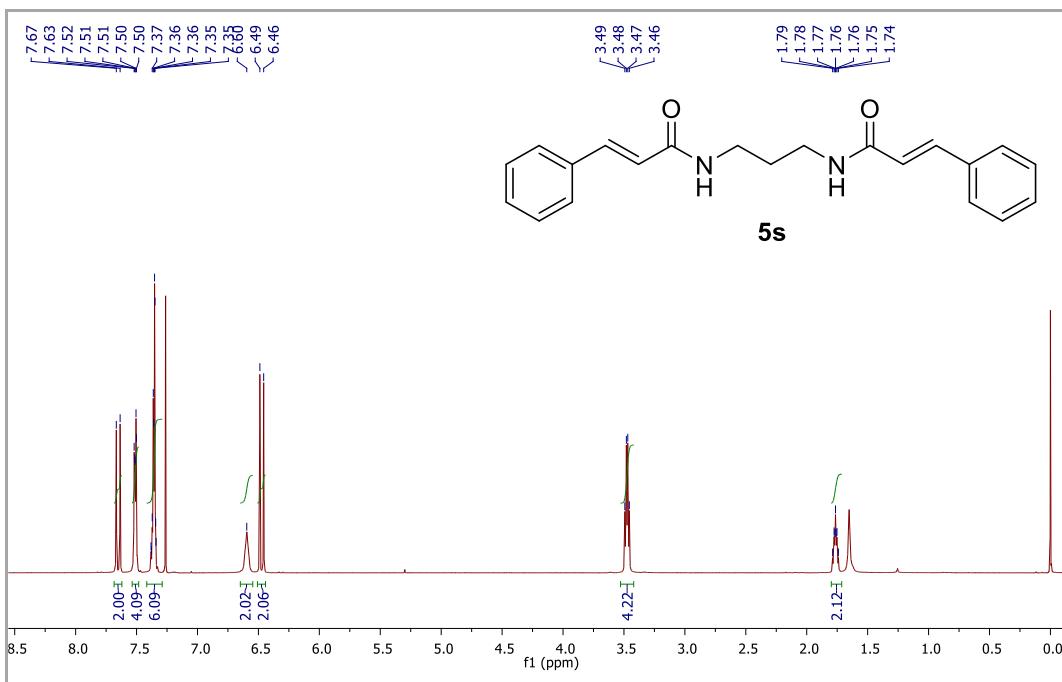
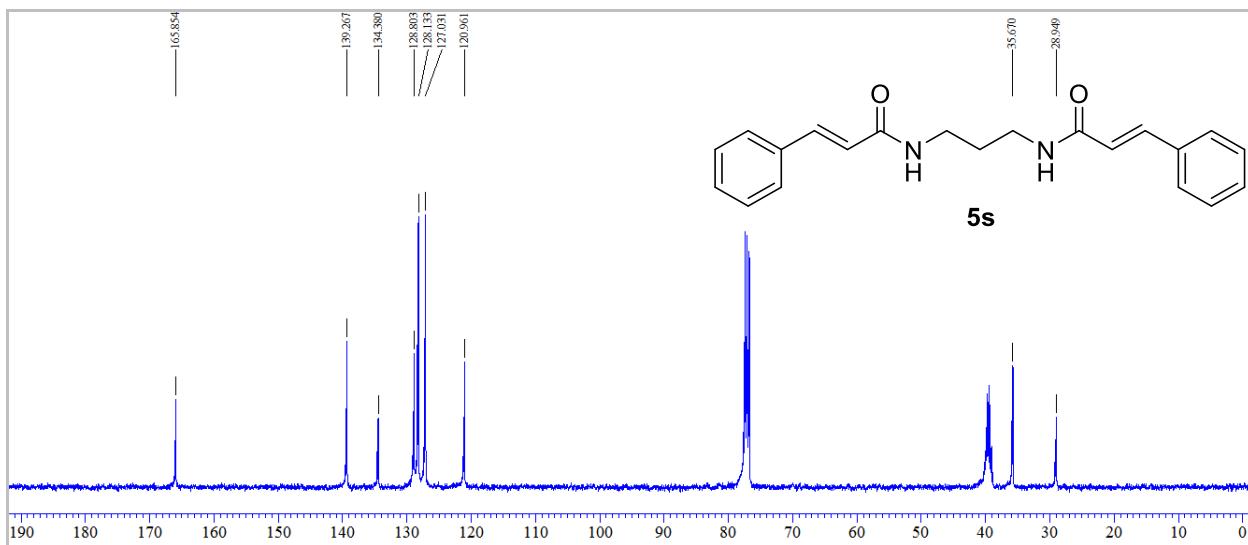


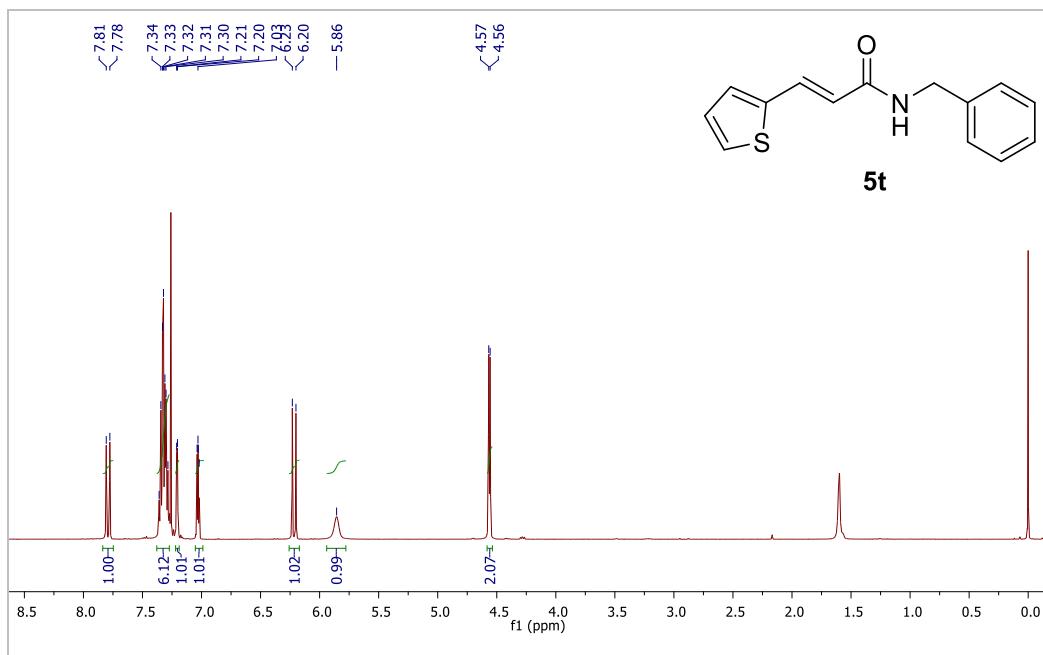
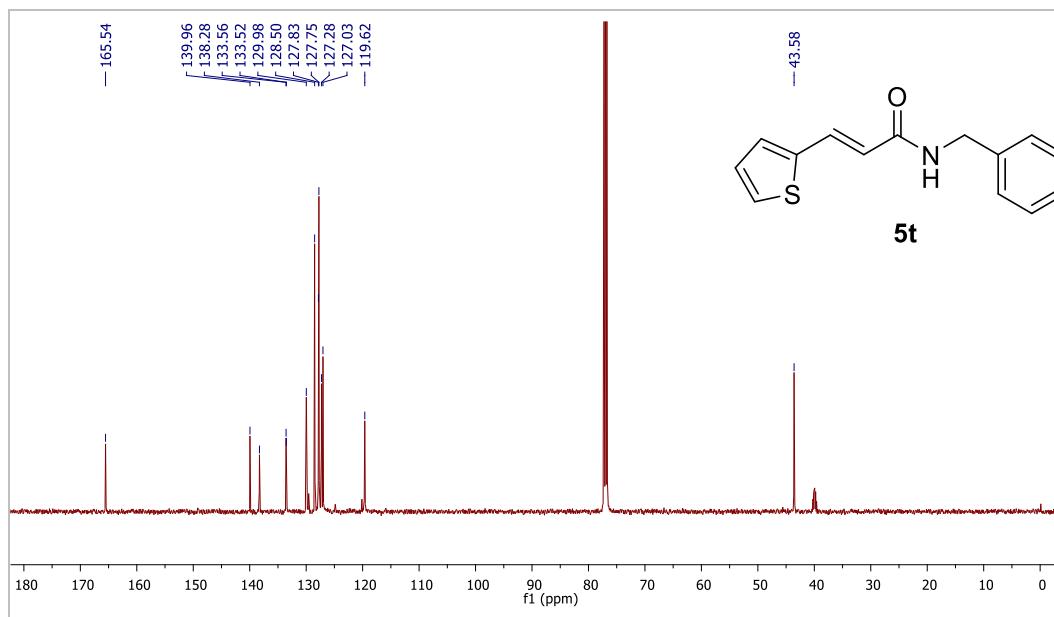
<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) spectrum of **5q**.

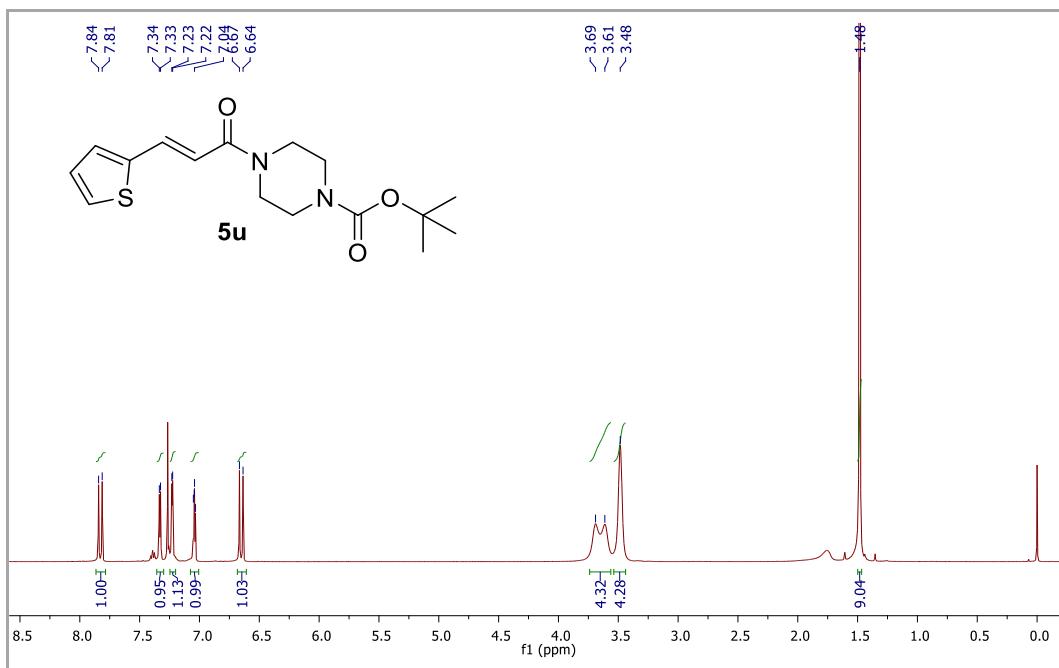


<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5q**.

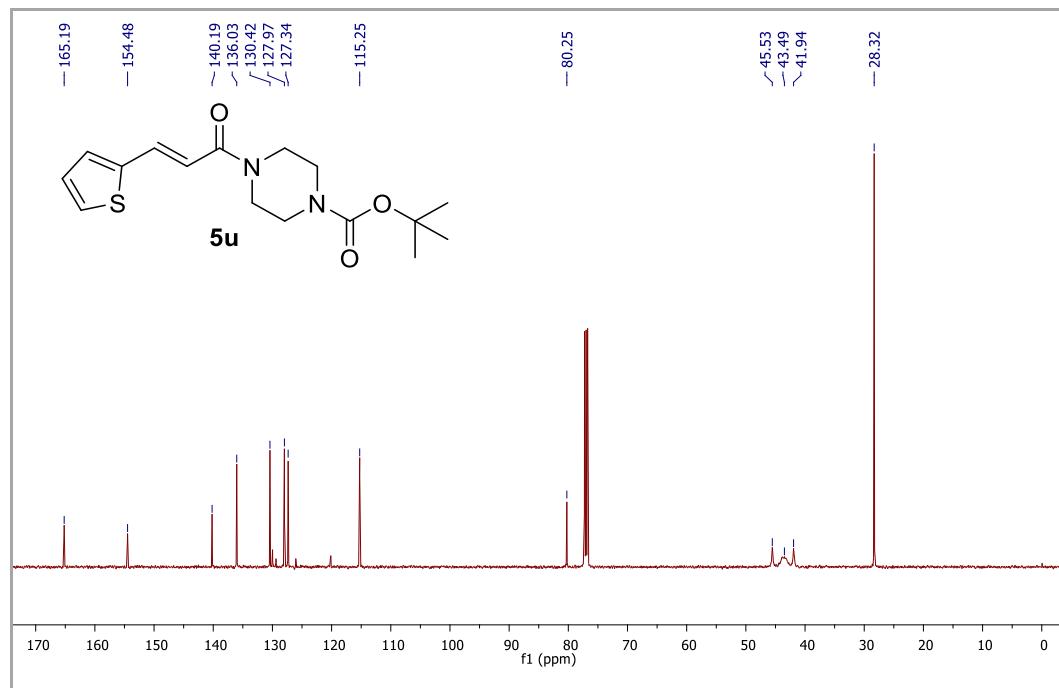
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5r**.<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5r**.

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5s**.<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **5s**.

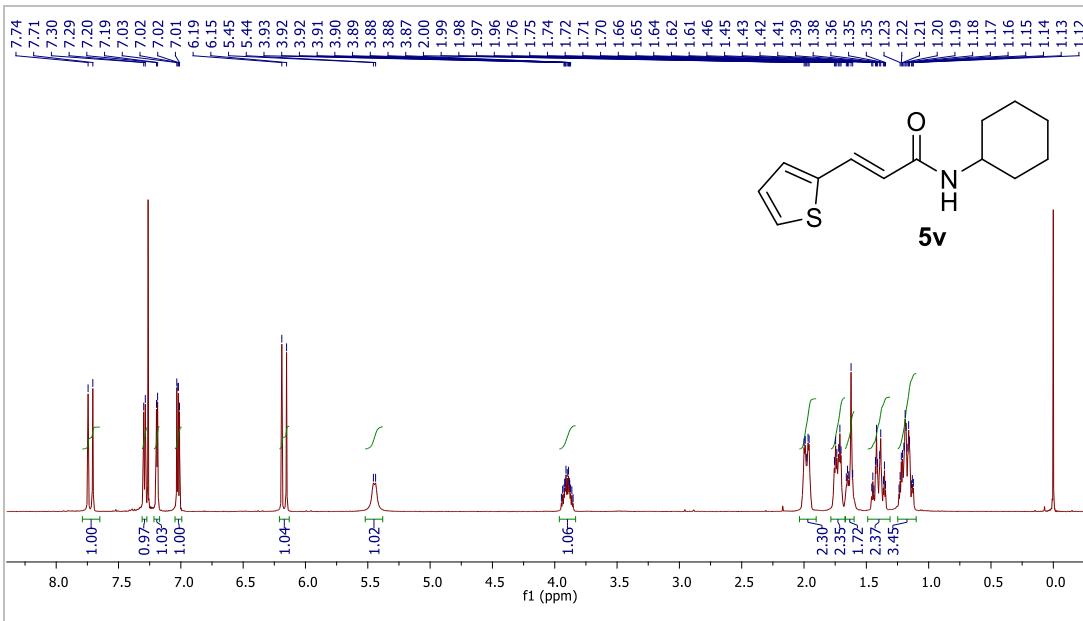
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **5t**.<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub> + DMSO-d<sub>6</sub>) spectrum of **5t**.



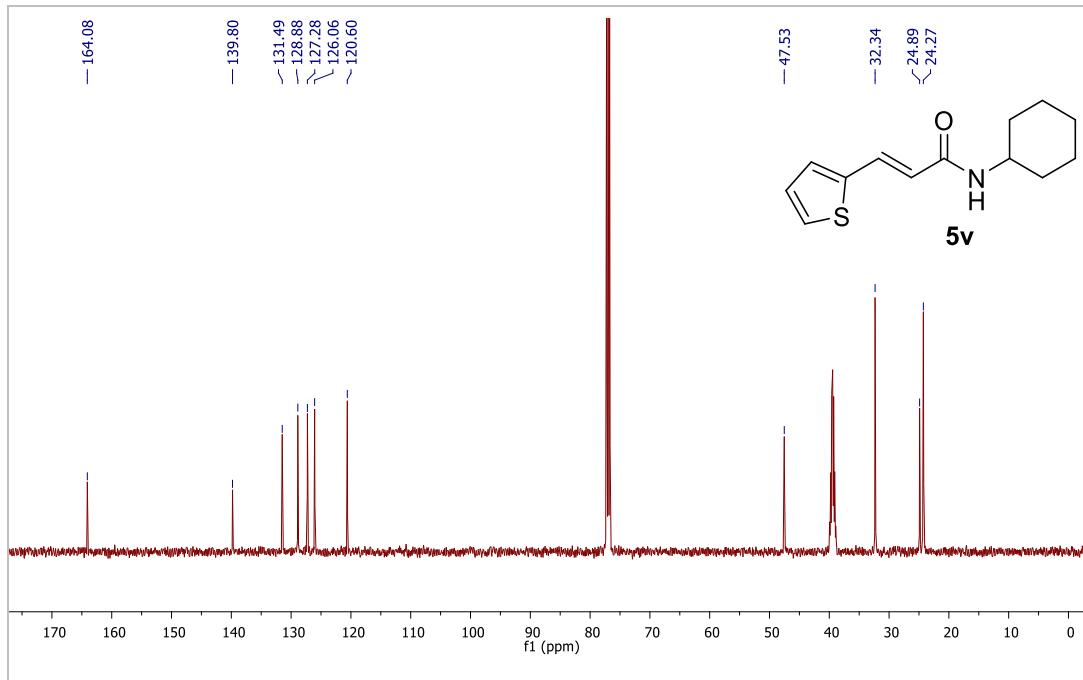
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **5u**.



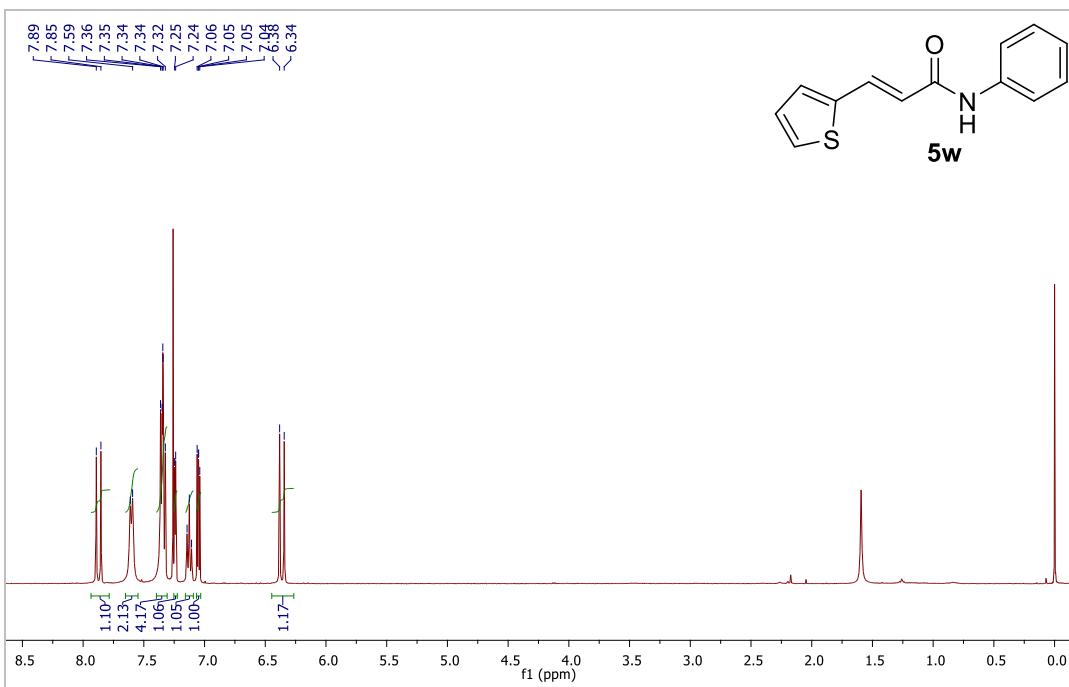
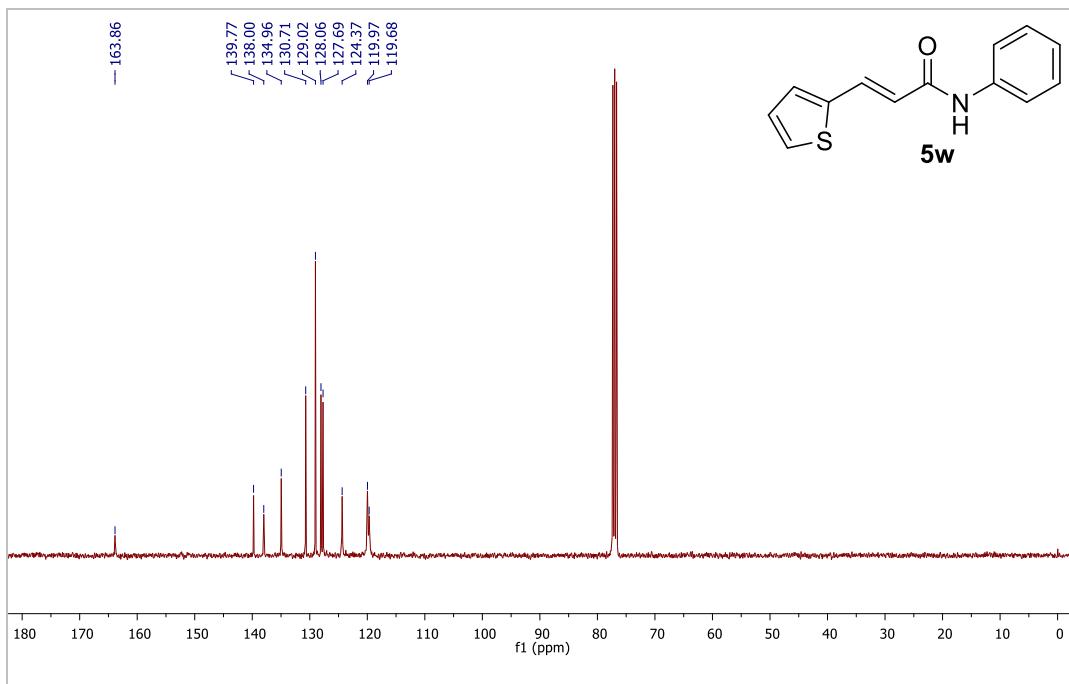
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5u**.

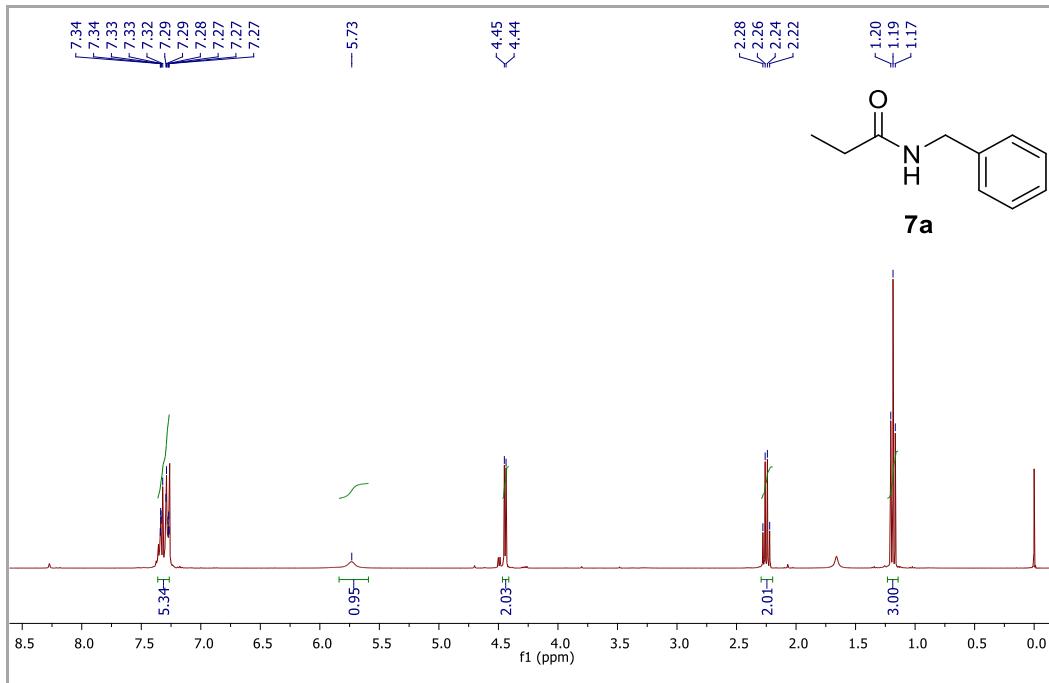


<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5v**.

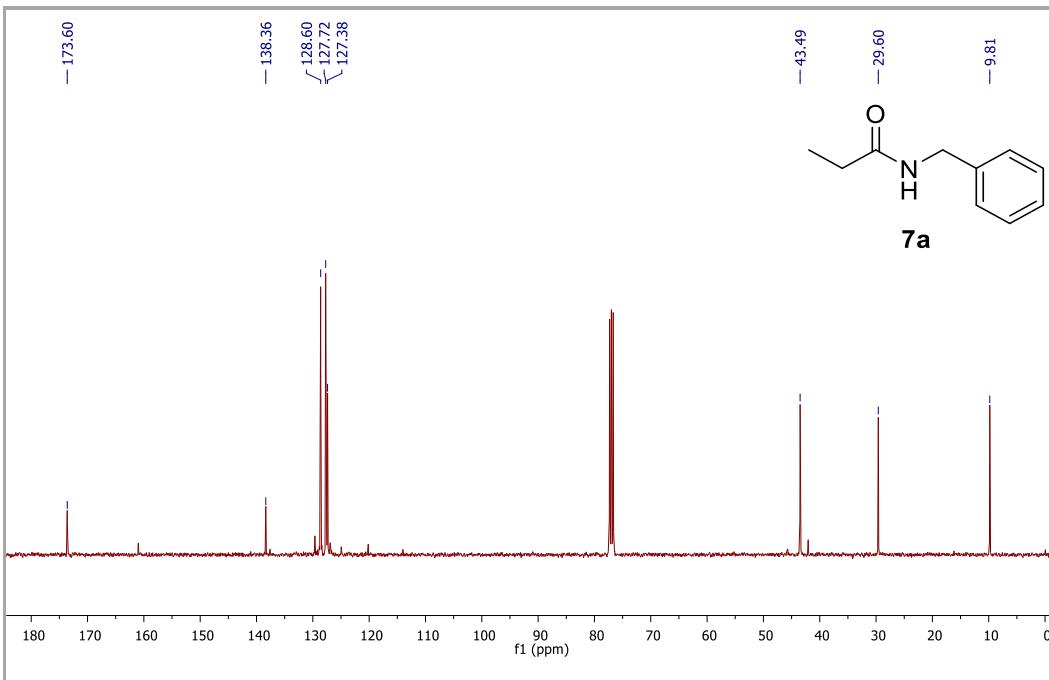


<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub> + DMSO-*d*<sub>6</sub>) spectrum of **5v**.

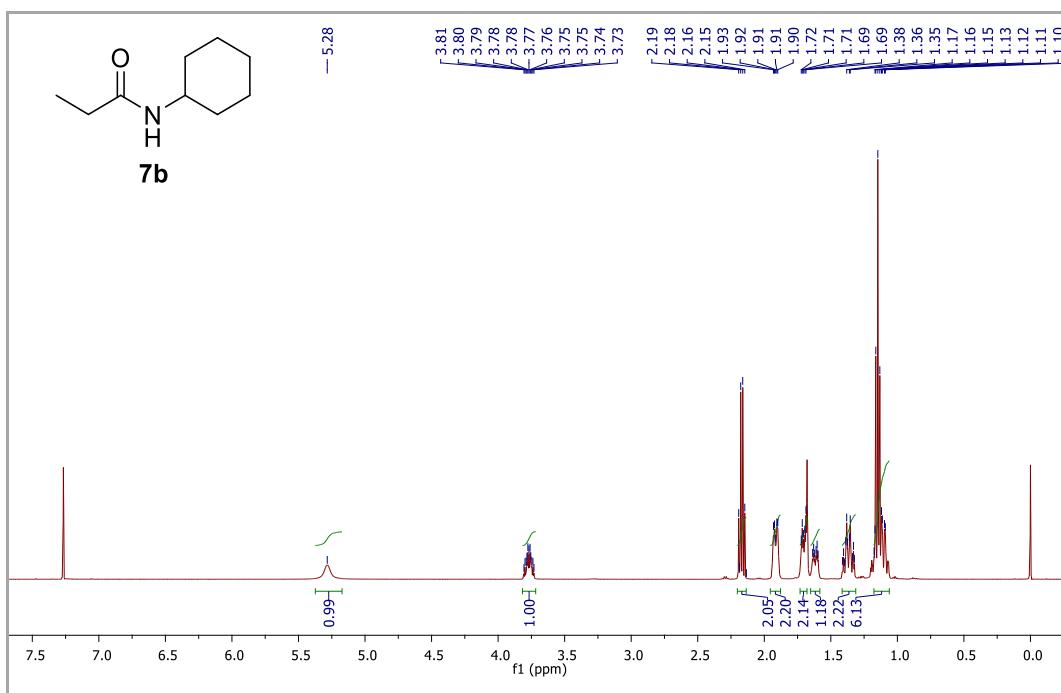
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **5w**.<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **5w**.



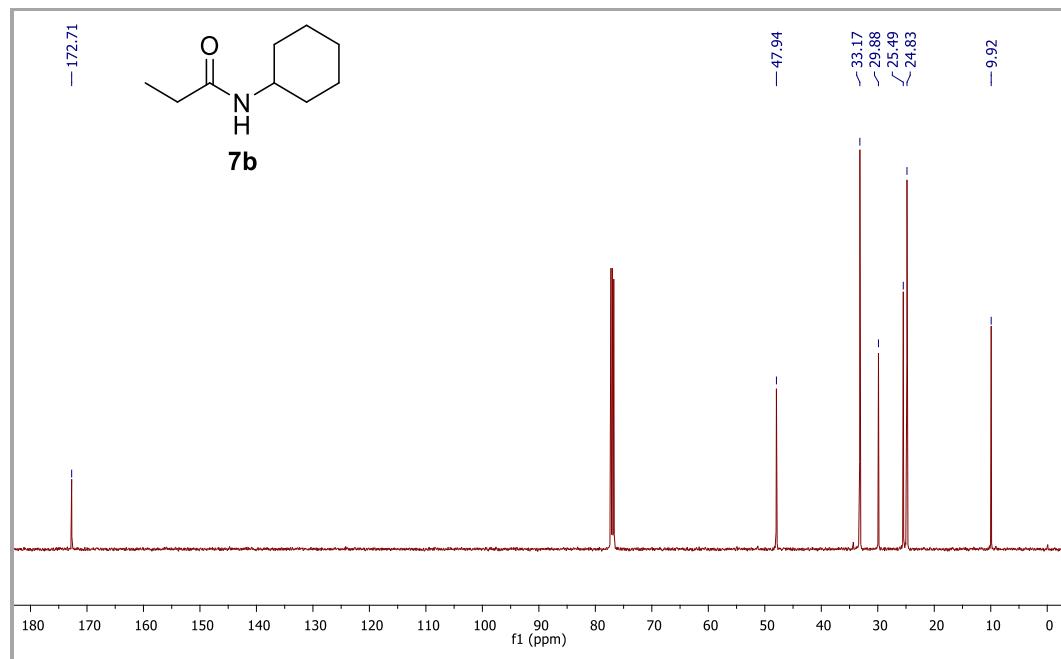
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **7a**.



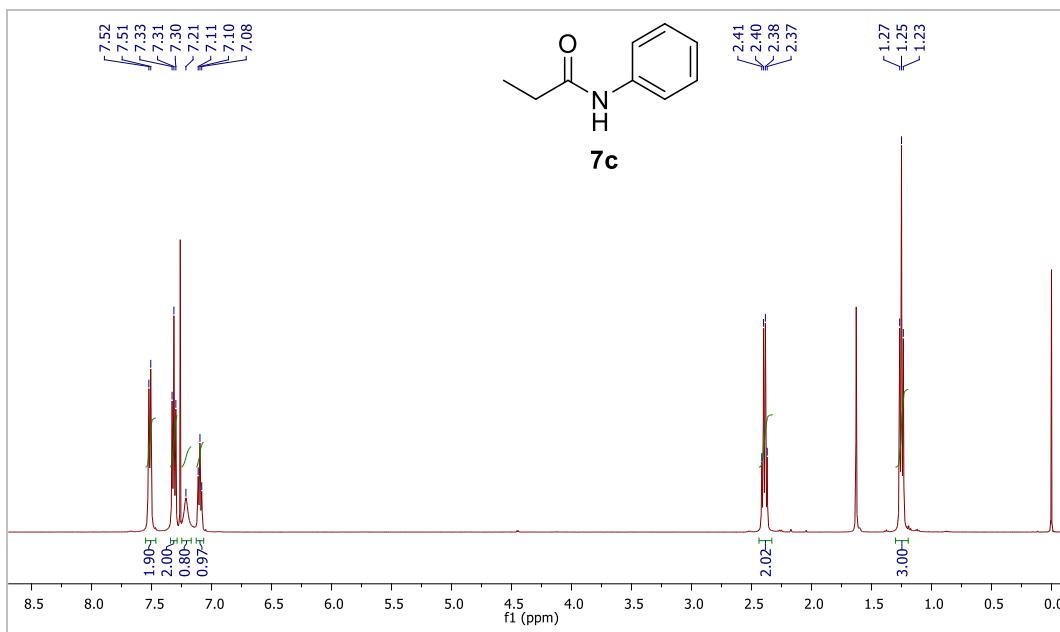
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **7a**.



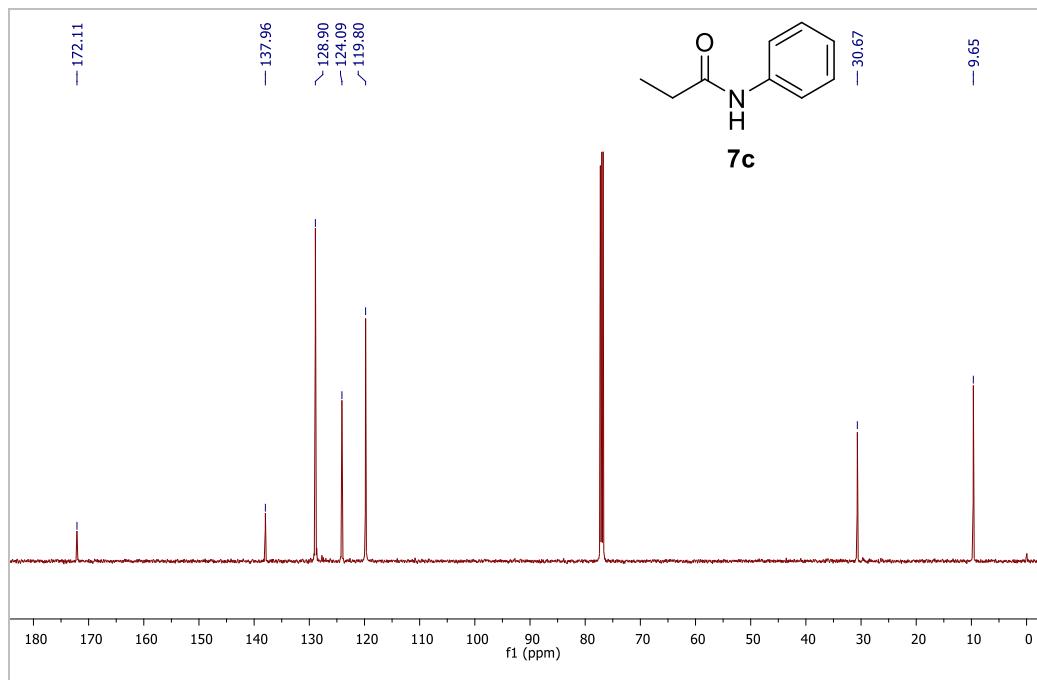
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) spectrum of **7b**.



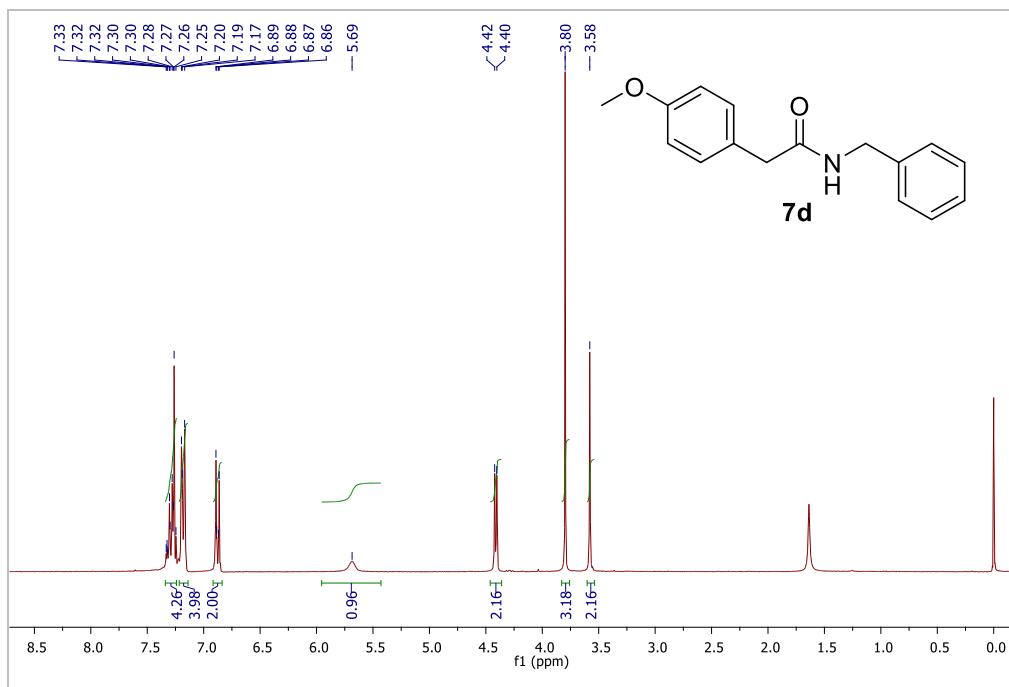
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **7b**.



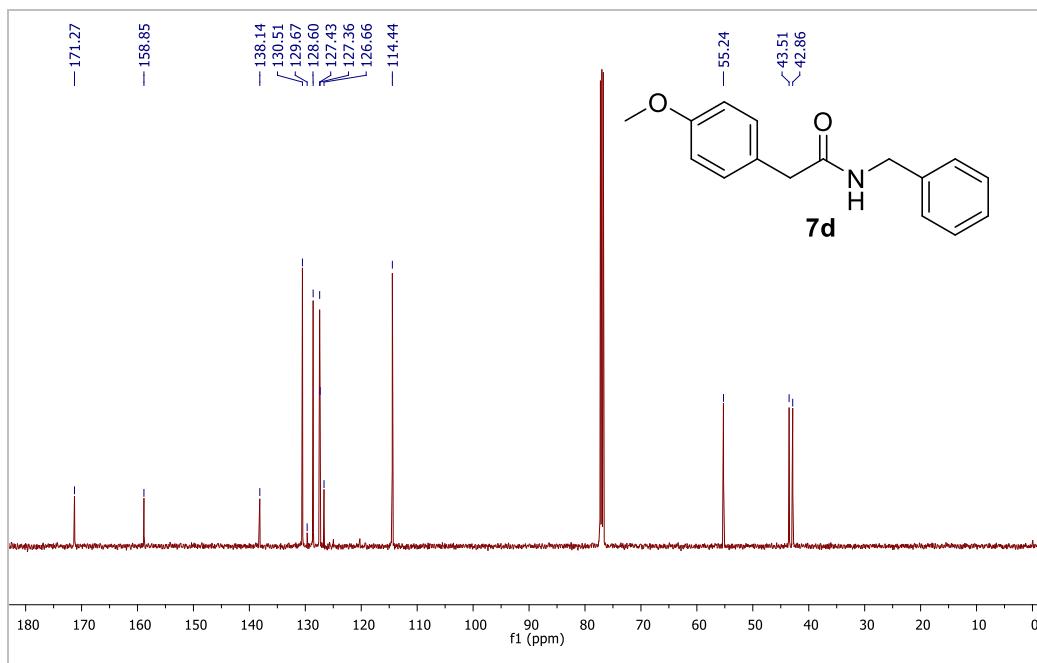
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of 7c.



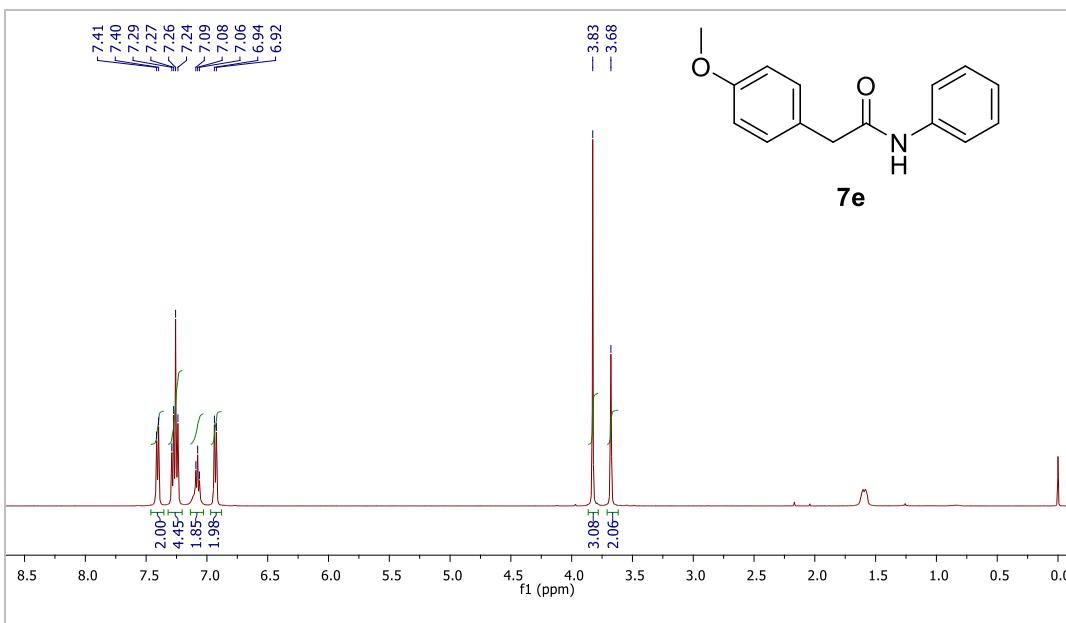
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of 7c.



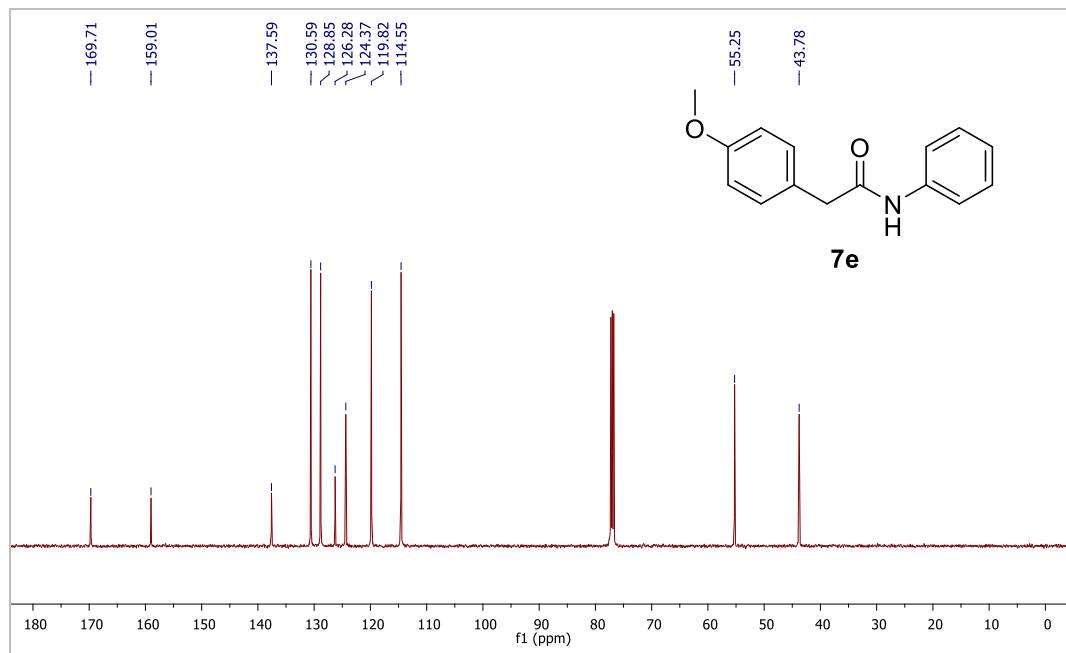
<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub>) spectrum of **7d**.



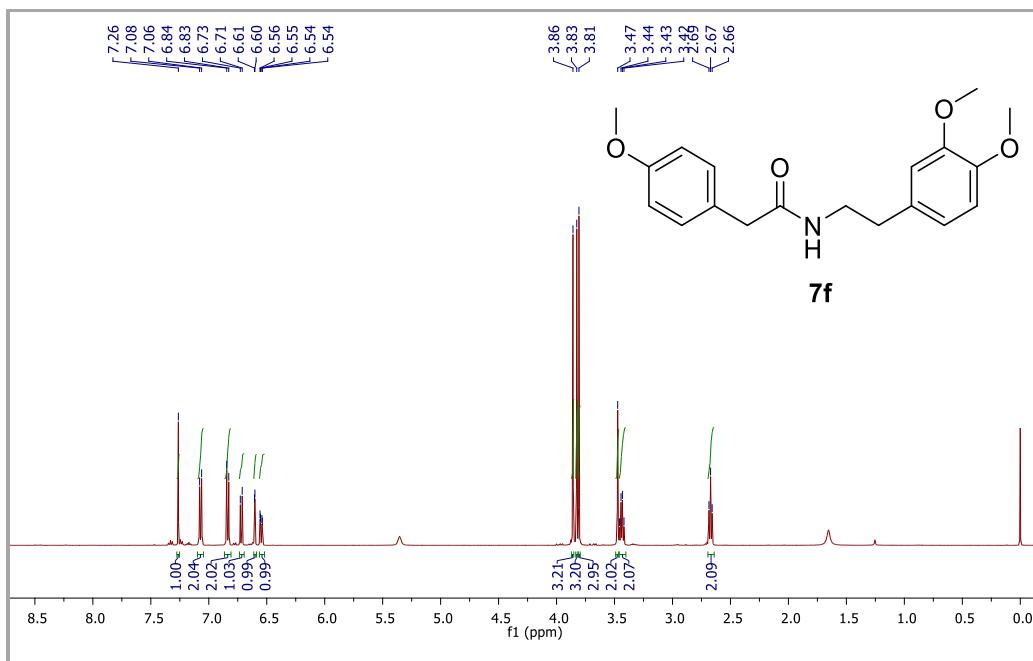
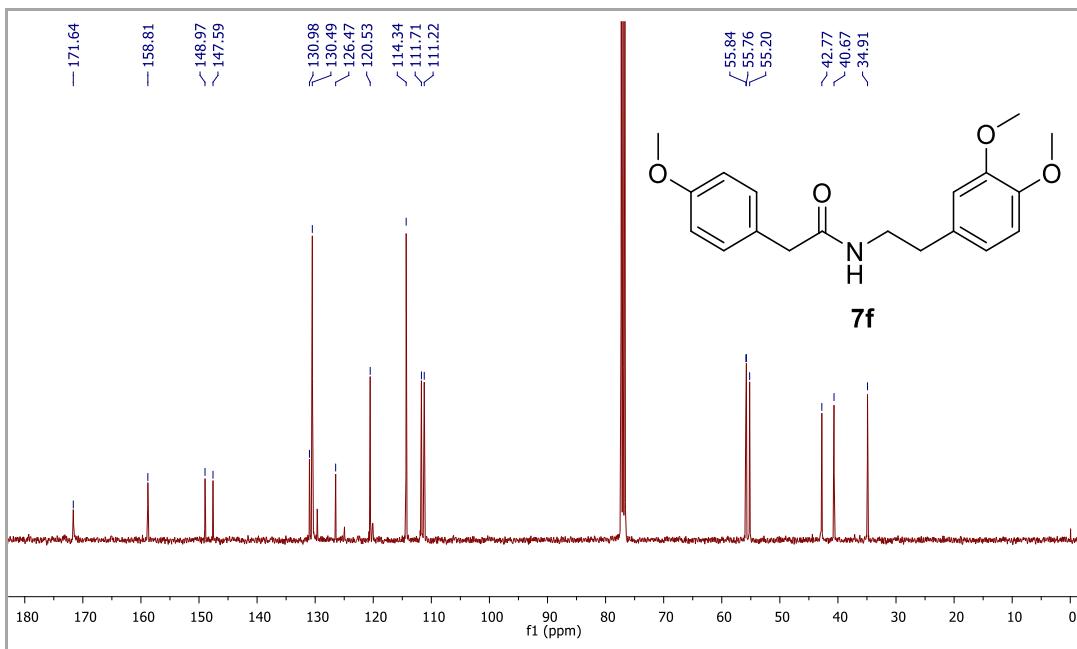
<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of **7d**.

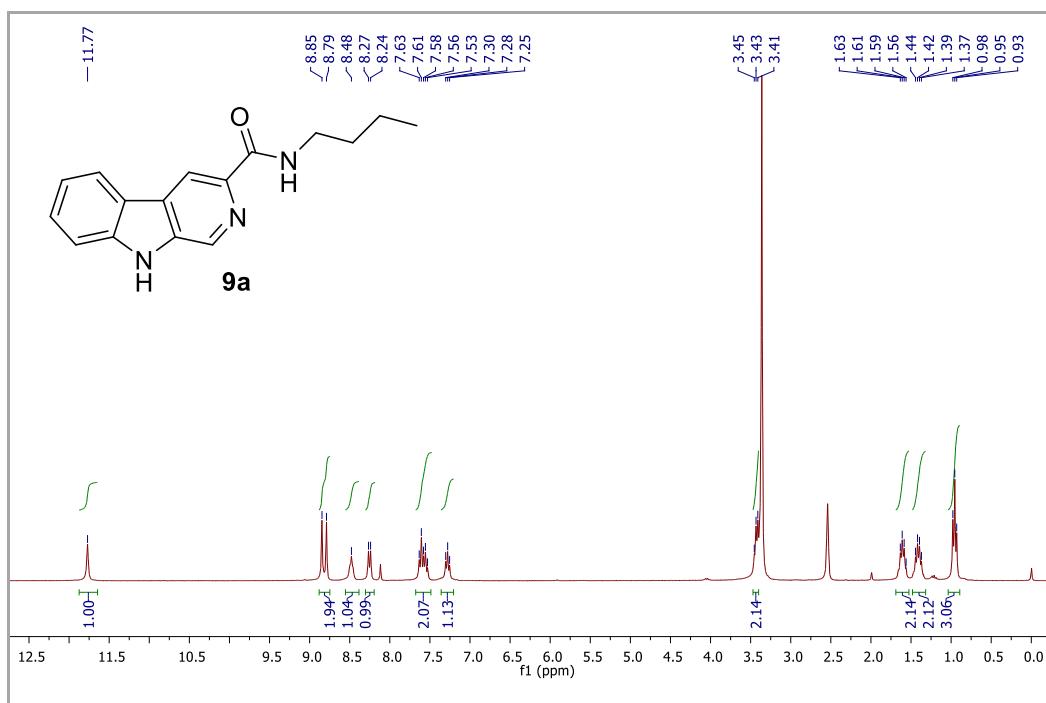
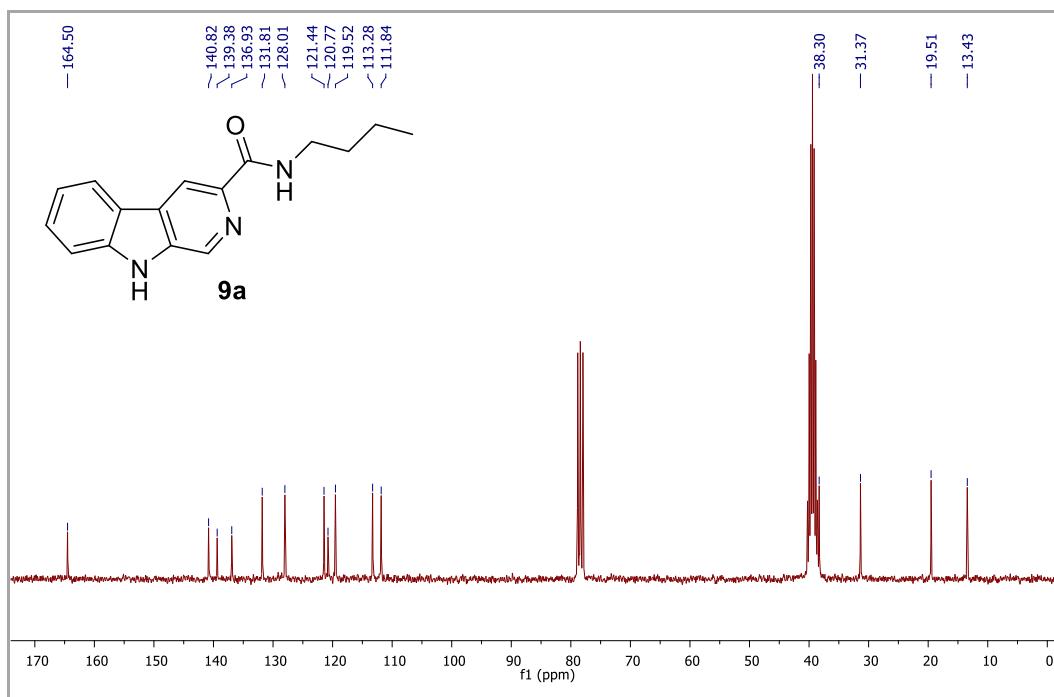


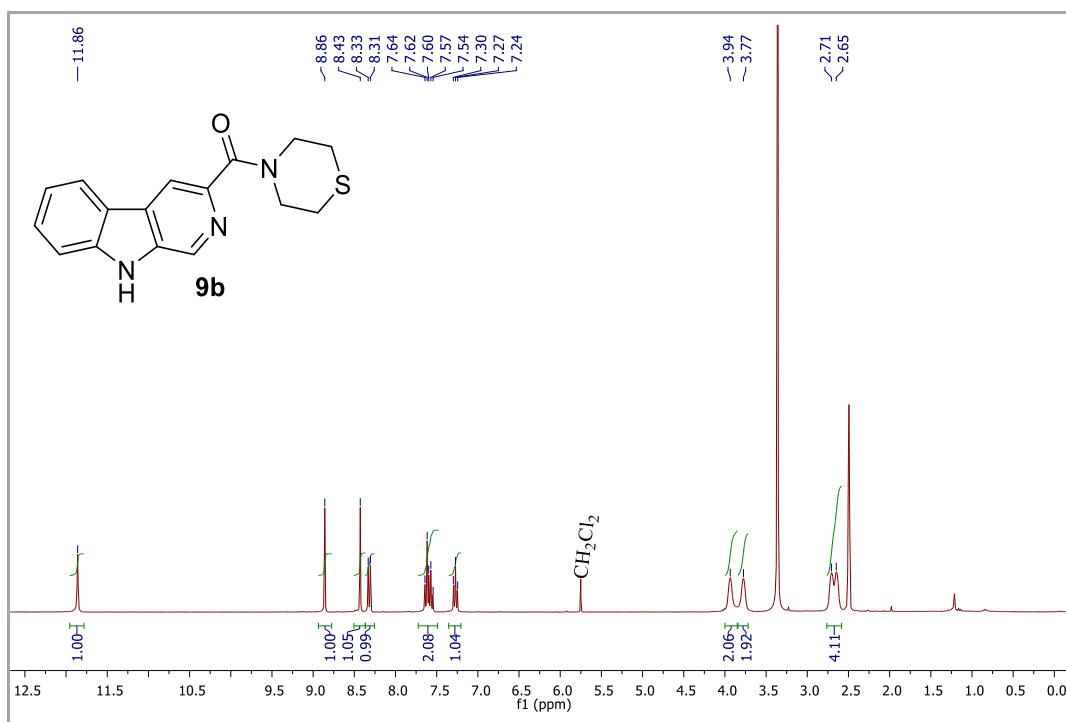
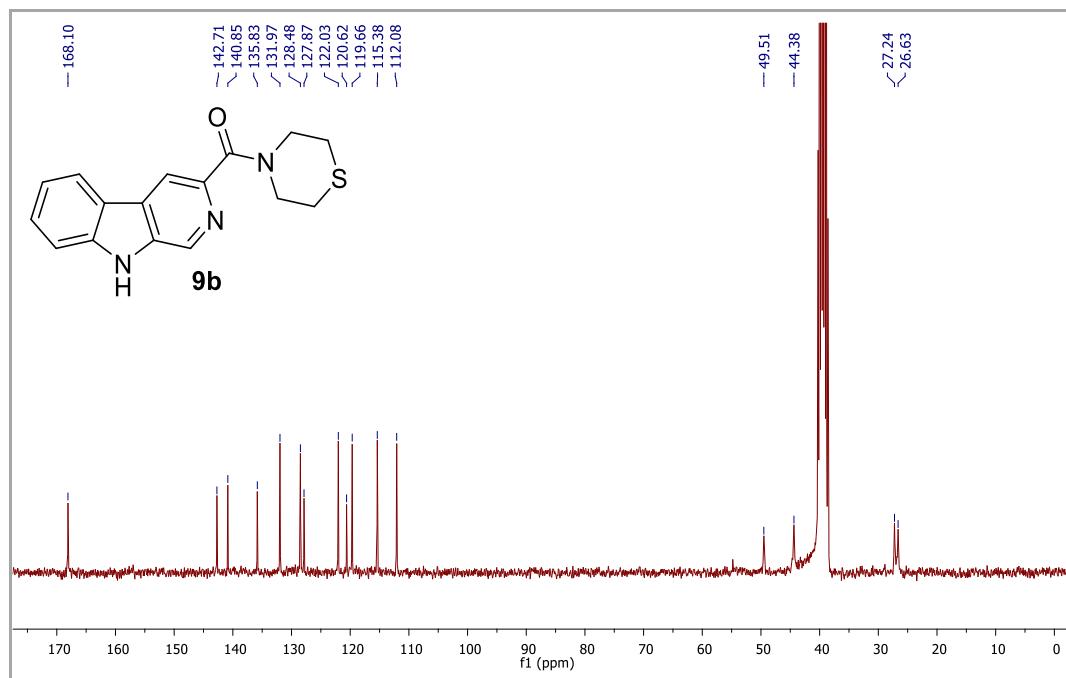
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of 7e.

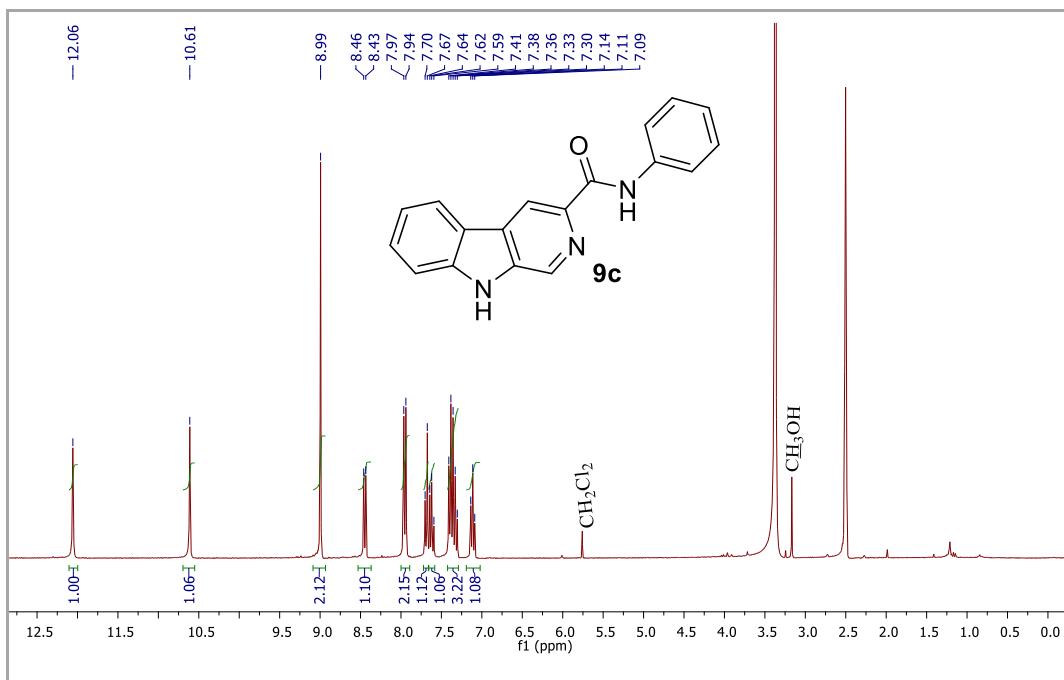


<sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) spectrum of 7e.

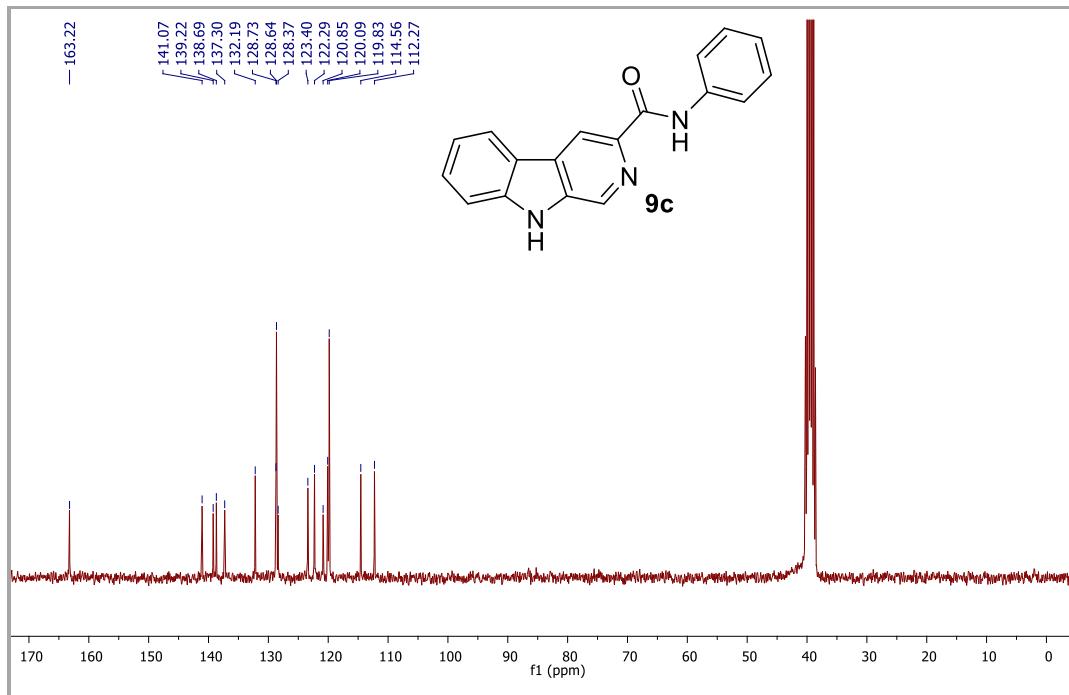
<sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>) spectrum of **7f**.<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) spectrum of **7f**.

<sup>1</sup>H NMR (300 MHz, CDCl<sub>3</sub> + DMSO-d<sub>6</sub>) spectrum of **9a**.<sup>13</sup>C NMR (75 MHz, CDCl<sub>3</sub> + DMSO-d<sub>6</sub>) spectrum of **9a**.

<sup>1</sup>H NMR (300 MHz, DMSO-*d*<sub>6</sub>) spectrum of **9b**.<sup>13</sup>C NMR (75 MHz, DMSO-*d*<sub>6</sub>) spectrum of **9b**.



<sup>1</sup>H NMR (300 MHz, DMSO-*d*<sub>6</sub>) spectrum of **9c**.



<sup>13</sup>C NMR (75 MHz, DMSO-*d*<sub>6</sub>) spectrum of **9c**.