

## Supporting Information

### Table of contents

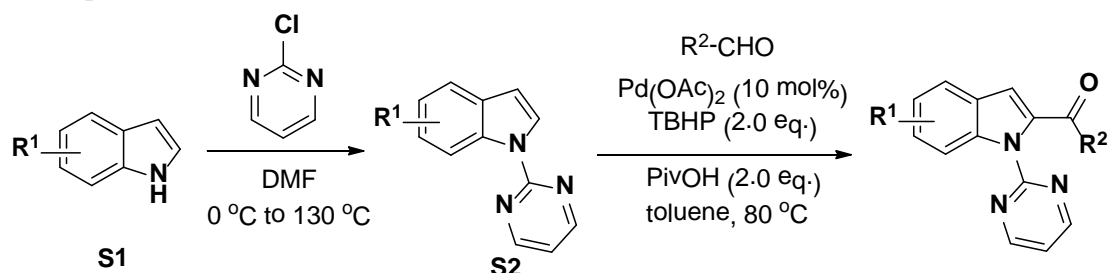
General information .....	S2
Experimental procedures .....	S2
Spectral data .....	S4
$^1\text{H}$ and $^{13}\text{C}$ NMR spectra .....	S18
References.....	S128

## 1. General information

Commercially available reagents were used without further purification. Solvents were treated prior to use according to the standard methods. All reactions were carried out under an atmosphere of argon using standard Schlenk techniques unless otherwise noted. Column chromatography was carried out on silica gel (300–400 mesh) using a forced flow of eluent at 0.3–0.5 bar pressure. Flash column chromatography was carried out using silica gel (200–300 mesh) at increased pressure.  $^1\text{H}$  NMR,  $^{13}\text{C}$  NMR spectra were recorded on a WNMN-I spectrometer (400 MHz  $^1\text{H}$ , 100 MHz  $^{13}\text{C}$ ). The spectra were recorded in  $\text{CDCl}_3$  as the solvent at room temperature.  $^1\text{H}$  and  $^{13}\text{C}$  chemical shifts are reported in ppm relative to either the residual solvent peak ( $^{13}\text{C}$ ) or TMS ( $^1\text{H}$ ) as an internal standard. HRMS were performed on Bruker Daltonics MicroTof-Q II mass spectrometer.

## 2. Experimental procedures

### 2.1 Preparation of substrate 1.



Step 1:<sup>1</sup> To a stirred solution of indole **S1** (10 mmol) in DMF (25 mL) was added NaH (11 mmol, 440 mg, 60% dispersion in mineral oil) in portions at  $0\text{ }^\circ\text{C}$ . After stirring for 30 min at  $0\text{ }^\circ\text{C}$ , 2-chloropyrimidine (1.37 g, 12.0 mmol) was added and the mixture was stirred at  $130\text{ }^\circ\text{C}$  for 24 h. Then, the reaction mixture was cooled to ambient temperature, poured into water (200 mL) and extracted with EtOAc (4×50 mL). The combined organic phase was washed with brine, dried with  $\text{Na}_2\text{SO}_4$ . After filtration and evaporation of the solvents under reduced pressure, the crude product was purified by column chromatography on silica gel to afford the N-pyrimidinyl indole **S2**.

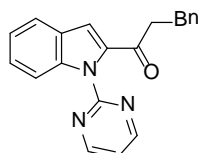
Step 2:<sup>2</sup> A 100 mL round bottomed flask was charged with indole **S2** (5 mmol), aldehyde (25 mmol),  $\text{Pd}(\text{OAc})_2$  (0.5 mmol, 112.2 mg), TBHP (10 mmol, 70% aqueous solution), PivOH (10 mmol, 1.02 mg), then the vessel was placed under vacuum and the atmosphere was exchanged with  $\text{N}_2$  three times before adding toluene (50 mL). The reaction vessel was placed in an oil bath, heated at  $80\text{ }^\circ\text{C}$ . The consumption of **S2** was confirmed by TLC analysis. Then, the mixture was cooled and extracted with EtOAc. The organic layers were combined, dried over  $\text{Na}_2\text{SO}_4$ , and concentrated to yield the crude product. The crude product was purified by column chromatography on silica gel to afford the desired product **1**.

### 2.2 Typical Procedure for the Rh-Catalyzed Cross-Coupling.

To a dried sealed tube were added  $[\text{Cp}^*\text{RhCl}_2]_2$  (0.01 mmol),  $\text{AgSbF}_6$  (0.4 mmol),  $\text{Cu}(\text{OAc})_2$  (0.4

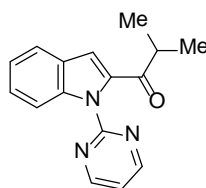
mmol, 2 equiv), **1a** (0.2 mmol), **2a** (0.4 mmol), dioxane (2.0 ml) at 110 °C for 16 h. The reaction was cooled to room temperature, and the crude mixture was filtered through a pad of silica gel. The filtrate was then concentrated in vacuo to give a residue, which was purified by flash column chromatography over silica gel.

### 3. Spectral data



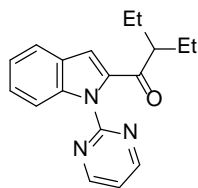
#### 3-phenyl-1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)propan-1-one (**1aa**)

Yellow solid, Mp = 58 – 60 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.72 (d, *J* = 4.8 Hz, 2H), 8.03 (d, *J* = 8.4 Hz, 1H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.39 (t, *J* = 8.0 Hz, 1H), 7.31 – 7.18 (m, 8H), 3.25 (t, *J* = 7.6 Hz, 2H), 3.08 (t, *J* = 7.6 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.8, 158.4, 157.8, 141.2, 139.2, 137.8, 128.6, 128.4, 127.6, 126.9, 126.2, 122.9, 122.8, 118.3, 113.8, 113.4, 42.3, 30.5. HRMS (ESI) *m/z* calculated for C<sub>21</sub>H<sub>17</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 350.1264, found 350.1279.



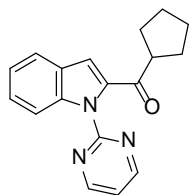
#### 2-methyl-1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)propan-1-one (**1ba**)

Yellow solid, Mp = 114 – 116 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.74 (d, *J* = 8.4 Hz, 2H), 8.09 (d, *J* = 7.6 Hz, 1H), 7.68 (d, *J* = 7.6 Hz, 1H), 7.38 (t, *J* = 15.6 Hz, 1H), 7.27 – 7.23 (m, 2H), 7.19 – 7.17 (m, 1H), 3.32 – 3.25 (m, 1H), 1.25 (d, *J* = 1.2 Hz, 6H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 198.5, 158.2, 157.9, 138.9, 137.5, 127.8, 126.5, 122.6, 122.5, 118.1, 113.6, 112.8, 38.6, 19.2. HRMS (ESI) *m/z* calculated for C<sub>16</sub>H<sub>15</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 288.1107, found 288.1111.



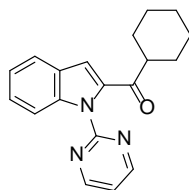
#### 2-ethyl-1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)butan-1-one (**1ca**)

Yellow solid, Mp = 121 – 123 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.75 (d, *J* = 4.8 Hz, 2H), 7.92 (d, *J* = 8.8 Hz, 1H), 7.71 (d, *J* = 8.0 Hz, 1H), 7.40 – 7.35 (m, 2H), 7.26 – 7.19 (m, 2H), 3.14 – 3.08 (m, 1H), 1.86 – 1.77 (m, 2H), 1.65 – 1.60 (m, 2H), 0.97 (t, *J* = 14.8 Hz, 6H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 197.0, 158.3, 158.1, 139.6, 138.9, 127.4, 126.8, 122.8, 122.5, 118.4, 113.9, 113.0, 51.9, 25.1, 11.9. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>19</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 316.1420, found 316.1427.



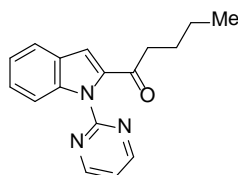
**cyclopentyl(1-(pyrimidin-2-yl)-1H-indol-2-yl)methanone (1da)**

White solid, Mp = 127 – 129 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.73 (d, *J* = 4.8 Hz, 2H), 8.03 (d, *J* = 8.4 Hz, 1H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.38 (t, *J* = 8.0 Hz, 1H), 7.17 (t, *J* = 4.8 Hz, 1H), 7.26 – 7.24 (m, 2H), 3.59 – 3.51 (m, 1H), 2.05 – 1.97 (m, 2H), 1.94 – 1.86 (m, 2H), 1.77 – 1.69 (m, 2H), 1.66 – 1.59 (m, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 197.0, 158.3, 157.9, 139.0, 138.2, 127.7, 126.6, 122.7, 122.6, 118.2, 113.4, 113.1, 49.0, 30.3, 26.4. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>17</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 314.1263, found 314.1250.



**cyclohexyl(1-(pyrimidin-2-yl)-1H-indol-2-yl)methanone (1ea)**

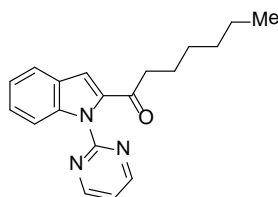
White solid, Mp = 131 – 133 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.75 (d, *J* = 4.8 Hz, 2H), 8.08 (d, *J* = 8.4 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.39 (t, *J* = 15.2 Hz, 1H), 7.27 – 7.18 (m, 3H), 3.09-3.03 (m, 1H), 2.09 – 2.00 (m, 2H), 1.85 – 1.82 (m, 2H), 1.72 – 1.70 (m, 1H), 1.60 – 1.51 (m, 2H), 1.41 – 1.23 (m, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 197.7, 158.2, 158.0, 157.9, 138.9, 137.6, 127.7, 126.5, 122.6, 118.0, 113.5, 112.7, 48.7, 29.4, 25.9, 25.8. HRMS (ESI) *m/z* calculated for C<sub>19</sub>H<sub>19</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 328.1426, found 328.1426.



**1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)pentan-1-one (1fa)**

Yellow solid, Mp = 50-52°C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.77 (d, *J* = 4.8 Hz, 2H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.40 – 7.36 (m, 1H), 7.29 (s, 1H), 7.26 – 7.20 (m, 2H), 2.92 (t, 2H), 1.78 – 1.70 (m, 2H), 1.47 – 1.39 (m, 2H), 0.94 (t, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 193.9, 158.2, 157.9, 139.1, 138.0, 127.5, 126.6, 122.6, 122.5, 118.2, 113.4, 113.2, 40.3, 26.8, 22.4, 13.9.

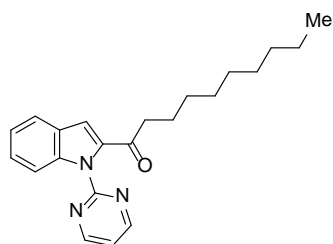
HRMS (ESI) *m/z* calculated for C<sub>17</sub>H<sub>27</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 302.1296, found 302.1297.



**1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)heptan-1-one (1ga)**

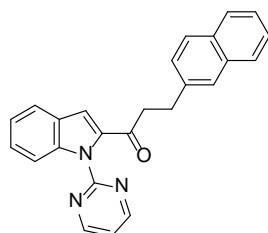
Yellow solid, Mp = 59 – 61°C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.76 (d, *J* = 4.8 Hz, 2H), 7.98 (d, *J* = 8.8 Hz, 1H), 7.69 (d, *J* = 7.6 Hz, 1H), 7.38

(t,  $J = 8.0$  Hz, 1H), 7.30 (s, 1H), 7.25 – 7.19 (m, 2H), 2.92 (t,  $J = 7.6$  Hz, 2H), 1.79 – 1.71 (m, 2H), 1.40 – 1.25 (m, 6H), 0.88 (t,  $J = 7.2$  Hz, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  194.0, 158.3, 157.9, 139.2, 138.0, 127.5, 126.7, 122.7, 122.6, 118.3, 113.5, 113.2, 40.6, 31.7, 29.0, 24.8, 22.6, 14.1. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{19}\text{H}_{21}\text{N}_3\text{ONa}$   $[\text{M}+\text{Na}]^+$  330.1576, found 330.1577.



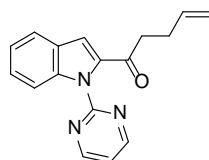
### 1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)decan-1-one (1ha)

White solid, Mp = 80 – 82 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.80 (d,  $J = 5.2$  Hz, 2H), 8.06 (d,  $J = 8.4$  Hz, 1H), 7.75 (d,  $J = 8.0$  Hz, 1H), 7.44 (t,  $J = 15.6$  Hz, 1H), 7.34 (s, 1H), 7.32 – 7.23 (m, 2H), 2.97 (t,  $J = 14.8$  Hz, 2H), 1.83 – 1.80 (m, 2H), 1.47 – 1.33 (m, 11H), 0.94 (t,  $J = 13.2$  Hz, 4H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  193.9, 158.2, 157.8, 139.1, 137.9, 127.4, 126.6, 122.6, 122.5, 118.2, 113.4, 113.1, 40.5, 31.8, 29.4, 29.2, 25.7, 24.7, 22.6, 14.1. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{22}\text{H}_{27}\text{N}_3\text{ONa}$   $[\text{M}+\text{Na}]^+$  372.2046, found 372.2050.



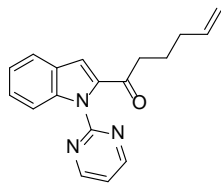
### 3-(naphthalen-1-yl)-1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)propan-1-one (1ia)

Yellow solid, Mp = 127 – 129 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.69 (d,  $J = 4.8$  Hz, 2H), 8.02 (d,  $J = 8.4$  Hz, 1H), 7.81 – 7.76 (m, 3H), 7.68 – 7.66 (m, 2H), 7.46 – 7.36 (m, 4H), 7.27 – 7.22 (m, 2H), 7.16 (t,  $J = 4.8$  Hz, 1H), 3.34 (t,  $J = 7.6$  Hz, 2H), 3.24 (t,  $J = 7.6$  Hz, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  192.7, 158.3, 157.6, 139.2, 138.7, 137.8, 133.7, 132.1, 128.1, 127.7, 127.6, 127.5, 127.3, 126.9, 126.6, 126.0, 125.4, 122.8, 122.7, 118.2, 113.7, 113.4, 42.2, 30.6. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{25}\text{H}_{19}\text{N}_3\text{ONa}$   $[\text{M}+\text{Na}]^+$  400.1420, found 400.1410.



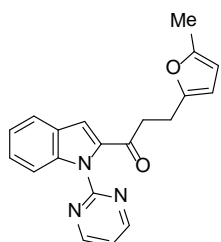
### 1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)pent-4-en-1-one (1ja)

Yellow solid, Mp = 61 – 63 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.66 (d,  $J = 4.8$  Hz, 2H), 8.00 (d,  $J = 8.4$  Hz, 1H), 7.65 (d,  $J = 8.0$  Hz, 1H), 7.36 (t,  $J = 7.6$  Hz, 1H), 7.26–7.20 (m, 2H), 7.08 (t,  $J = 4.8$  Hz, 1H), 5.91 – 5.82 (m, 1H), 5.09 – 4.97 (m, 2H), 3.00 (t,  $J = 7.6$  Hz, 2H), 2.48 (dd,  $J = 14.4$  Hz, 7.2 Hz, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  192.6, 158.0, 157.4, 138.8, 137.5, 136.9, 127.2, 126.5, 122.5, 122.4, 118.0, 115.1, 113.4, 113.1, 39.4, 28.2. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{17}\text{H}_{15}\text{N}_3\text{ONa}$   $[\text{M}+\text{Na}]^+$  300.1107, found 300.1091.



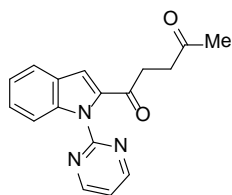
**1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)hex-5-en-1-one (1ka)**

Yellow solid, Mp = 72 – 74 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.63 (d, *J* = 4.8 Hz, 2H), 7.99 (d, *J* = 8.4 Hz, 1H), 7.64 (d, *J* = 8.0 Hz, 1H), 7.35 (t, *J* = 7.6 Hz, 1H), 7.23 – 7.18 (m, 2H), 7.04 (t, *J* = 4.8 Hz, 1H), 5.81 – 5.74 (m, 1H), 5.04 – 4.95 (m, 2H), 2.89 (t, *J* = 7.2 Hz, 2H), 2.13 (dd, *J* = 14.4 Hz, 7.2 Hz, 2H), 1.87-1.80 (m, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 193.7, 158.3, 157.8, 139.2, 128.2, 138.1, 127.6, 126.8, 122.8, 122.7, 118.3, 115.4, 113.6, 113.4, 39.7, 33.2, 23.8. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>17</sub>N<sub>3</sub>O<sub>2</sub>Na [M+Na]<sup>+</sup> 314.1263, found 314.1253.



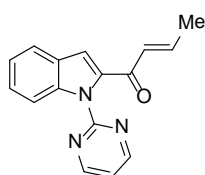
**3-(5-methylfuran-2-yl)-1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)propan-1-one (1la)**

Brown oil. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.74 (d, *J* = 4.8 Hz, 2H), 8.02 (d, *J* = 8.4 Hz, 1H), 7.68 (d, *J* = 8.0 Hz, 1H), 7.39 (t, *J* = 7.6 Hz, 1H), 7.29 (s, 1H), 7.24 (t, *J* = 7.2 Hz, 1H), 7.19 (t, *J* = 4.8 Hz, 1H), 5.90 (d, *J* = 2.8 Hz, 1H), 5.83 (d, *J* = 2.8 Hz, 1H), 3.27 (t, *J* = 7.6 Hz, 2H), 3.03 (t, *J* = 7.6 Hz, 2H), 2.24 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.3, 158.3, 157.8, 152.8, 150.6, 139.2, 137.6, 127.6, 126.9, 125.5, 122.7, 122.6, 118.2, 113.8, 113.4, 106.0, 39.1, 23.0, 13.6. HRMS (ESI) *m/z* calculated for C<sub>20</sub>H<sub>17</sub>N<sub>3</sub>O<sub>2</sub>Na [M+Na]<sup>+</sup> 354.1212, found 354.1201.



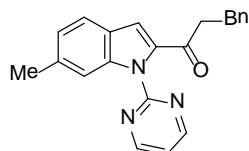
**1-(1-(pyrimidin-2-yl)-1H-indol-2-yl)pentane-1,4-dione (1ma)**

Yellow solid, Mp = 104 – 106 °C. Eluent: 1:3 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.73 – 8.71 (m, 2H), 8.02 (d, *J* = 7.6 Hz, 1H), 7.70 (d, *J* = 8.4 Hz, 1H), 7.42 – 7.38 (m, 2H), 7.27 – 7.15 (m, 1H), 7.14 – 7.12 (m, 1H), 3.26 (t, *J* = 12.0 Hz, 2H), 2.85 (t, *J* = 8.6 Hz, 2H), 2.17 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 207.1, 192.1, 158.3, 157.7, 139.2, 137.4, 127.5, 126.9, 122.9, 122.7, 118.3, 114.1, 113.3, 37.2, 34.2, 30.0. HRMS (ESI) *m/z* calculated for C<sub>17</sub>H<sub>15</sub>N<sub>3</sub>O<sub>2</sub>Na [M+Na]<sup>+</sup> 316.1056, found 316.1068.

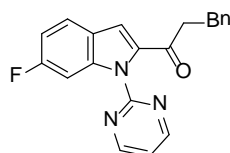


**(E)-2-(but-2-en-1-yl)-1-(pyrimidin-2-yl)-1H-indole (1na)**

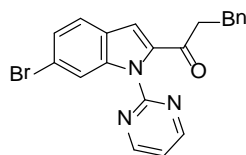
Yellow solid, Mp = 104 – 106 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.74 (d, *J* = 4.8 Hz, 2H), 8.10 (d, *J* = 8.4 Hz, 1H), 7.69 (d, *J* = 8.0 Hz, 1H), 7.41 – 7.37 (m, 1H), 7.27 – 7.23 (m, 2H), 7.18 – 7.15 (m, 1H), 7.05 – 6.96 (m, 1H), 6.71 – 6.66 (m, 1H), 1.94 (d, *J* = 6.4 Hz, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.8, 158.1, 157.6, 144.2, 138.9, 137.8, 130.0, 127.6, 126.6, 122.5, 117.9, 114.2, 113.4, 18.4. HRMS (ESI) *m/z* calculated for C<sub>16</sub>H<sub>13</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 286.0951, found 286.0950.

**1-(6-methyl-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1ab)**

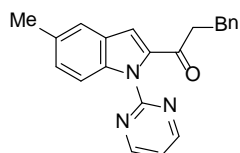
Yellow solid, Mp = 113 – 115 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.68 (d, *J* = 4.8 Hz, 2H), 7.93 (s, 1H), 7.52 (d, *J* = 7.6 Hz, 1H), 7.31 – 7.26 (m, 5H), 7.13 – 7.06 (m, 2H), 6.77 (s, 1H), 2.49 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.3, 158.5, 158.3, 158.0, 141.3, 139.8, 137.5, 137.2, 128.6, 126.1, 125.3, 124.6, 122.5, 118.3, 114.1, 112.9, 42.0, 30.5, 22.3. HRMS (ESI) *m/z* calculated for C<sub>22</sub>H<sub>19</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 364.1420, found 364.1418.

**1-(6-fluoro-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1ac)**

Yellow solid, Mp = 107 – 109 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.68 (d, *J* = 4.8 Hz, 2H), 7.77 (d, *J* = 10.4 Hz, 1H), 7.59 – 7.56 (m, 1H), 7.30 – 7.15 (m, 7H), 7.01 – 6.96 (m, 1H), 3.22 (t, *J* = 7.6 Hz, 2H), 3.06 (t, *J* = 7.6 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.3, 163.7, 161.3, 158.4, 157.6, 141.1, 139.6 (d, *J* = 12.9 Hz), 138.4, 128.6, 126.2, 124.0 (d, *J* = 5.0 Hz), 123.8, 118.4, 113.6, 111.9 (d, *J* = 24.9 Hz), 100.5 (d, *J* = 28.2 Hz), 42.2, 30.4. HRMS (ESI) *m/z* calculated for C<sub>21</sub>H<sub>16</sub>N<sub>3</sub>OFNa [M+Na]<sup>+</sup> 368.1170, found 368.1175.

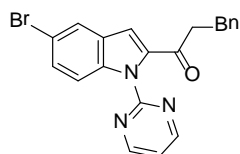
**1-(6-bromo-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1ad)**

Yellow solid, Mp = 94 – 96 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.70 (d, *J* = 4.8 Hz, 2H), 8.27 (s, 1H), 7.50 (d, *J* = 8.4 Hz, 1H), 7.35 – 7.33 (m, 1H), 7.30 – 7.19 (m, 6H), 7.15 (s, 2H), 3.22 (t, *J* = 14.8 Hz, 2H), 3.06 (t, *J* = 14.8 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.7, 158.4, 157.3, 141.1, 139.5, 138.3, 128.6, 128.5, 126.5, 126.3, 126.2, 123.9, 120.6, 118.5, 116.7, 113.1, 42.5, 30.4. HRMS (ESI) *m/z* calculated for C<sub>21</sub>H<sub>16</sub>N<sub>3</sub>OBrNa [M+Na]<sup>+</sup> 428.0368, found 428.0378.



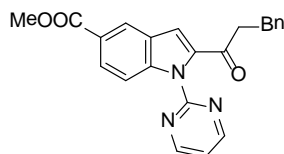
**1-(5-methyl-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1ae)**

Yellow solid, Mp = 68 – 70 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.69 (d, *J* = 4.8 Hz, 2H), 7.93 (d, *J* = 8.4 Hz, 1H), 7.43 (s, 1H), 7.27 – 7.14 (m, 8H), 3.22 (t, *J* = 7.2 Hz, 2H), 3.06 (t, *J* = 7.2 Hz, 2H), 2.43 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.7, 158.1, 158.0, 157.8, 141.1, 137.8, 137.5, 132.0, 128.4, 128.1, 127.7, 126.0, 122.1, 117.9, 113.3, 113.1, 42.3, 30.4, 21.2. HRMS (ESI) *m/z* calculated for C<sub>22</sub>H<sub>19</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 364.1420, found 364.1431.



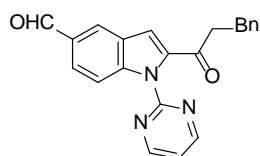
**1-(5-bromo-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1af)**

Yellow solid, Mp = 79 – 81 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.68 (d, *J* = 4.8 Hz, 2H), 7.96 (d, *J* = 8.8 Hz, 1H), 7.77 (s, 1H), 7.44 – 7.42 (m, 1H), 7.27 – 7.17 (m, 6H), 7.08 (s, 1H), 3.21 (t, *J* = 15.2 Hz, 2H), 3.06 (t, *J* = 15.2 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.9, 158.3, 157.4, 141.0, 138.7, 137.4, 129.5, 129.3, 128.5, 128.4, 126.2, 125.0, 118.4, 115.8, 115.3, 112.0, 42.6, 30.3. HRMS (ESI) *m/z* calculated for C<sub>21</sub>H<sub>16</sub>N<sub>3</sub>OBrNa [M+Na]<sup>+</sup> 428.0368, found 428.0377.



**methyl 2-(3-phenylpropanoyl)-1-(pyrimidin-2-yl)-1H-indole-5-carboxylate (1ag)**

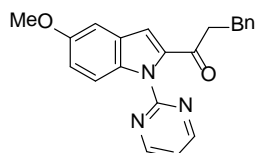
Yellow solid, Mp = 88 – 89 °C. Eluent: 1:3 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.73 (d, *J* = 4.8 Hz, 2H), 8.41 (s, 1H), 8.03 – 8.02 (m, 2H), 7.29 – 7.18 (m, 7H), 3.92 (s, 3H), 3.25 (t, *J* = 7.6 Hz, 2H), 3.05 (t, *J* = 7.6 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.6, 167.2, 158.4, 157.3, 141.2, 141.0, 139.0, 128.5, 128.4, 127.6, 127.1, 126.2, 125.4, 124.7, 118.7, 113.7, 113.2, 51.9, 42.1, 30.1. HRMS (ESI) *m/z* calculated for C<sub>23</sub>H<sub>19</sub>N<sub>3</sub>O<sub>3</sub>Na [M+Na]<sup>+</sup> 408.1318, found 408.1321.



**2-(3-phenylpropanoyl)-1-(pyrimidin-2-yl)-1H-indole-5-carbaldehyde (1ah)**

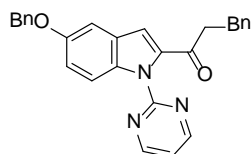
Yellow solid, Mp = 112 – 114 °C. Eluent: 1:3 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 10.04 (br, 1H), 8.74 (d, *J* = 4.8 Hz, 2H), 8.19 (s, 1H), 8.08 (d, *J* = 8.8 Hz, 1H), 7.89 (d, *J* = 8.8 Hz, 1H), 7.31 – 7.21 (m, 7H), 3.28 (t, *J* = 7.6 Hz, 2H), 3.08 (t, *J* = 7.6 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.5, 191.8, 158.5, 157.3, 142.0, 140.9, 139.3, 131.9, 128.6, 128.5, 127.4, 127.2, 126.6, 126.3, 119.0, 114.0, 113.6, 42.4, 30.2. HRMS (ESI) *m/z* calculated for C<sub>22</sub>H<sub>19</sub>N<sub>3</sub>O<sub>2</sub>Na [M+Na]<sup>+</sup> 380.1369, found 380.1358.





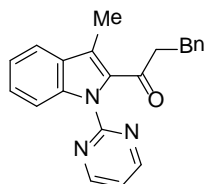
**1-(5-methoxy-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1ai)**

Yellow solid, Mp = 116 – 118 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.58 (d, *J* = 4.8 Hz, 2H), 8.00 (d, *J* = 9.2 Hz, 1H), 7.27 – 7.17 (m, 5H), 7.09 (s, 1H), 7.03 – 7.00 (m, 3H), 3.77 (s, 3H), 3.19 (t, *J* = 7.6 Hz, 2H), 3.06 (t, *J* = 7.6 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.9, 158.2, 157.8, 156.0, 141.2, 138.4, 134.2, 128.6, 128.3, 125.4, 126.2, 118.0, 117.1, 114.7, 113.3, 103.5, 55.7, 42.5, 30.5. HRMS (ESI) *m/z* calculated for C<sub>22</sub>H<sub>19</sub>N<sub>3</sub>O<sub>2</sub>Na [M+Na]<sup>+</sup> 380.1369, found 380.1380.



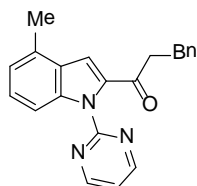
**1-(5-(benzyloxy)-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1aj)**

Yellow solid, Mp = 130 – 132 °C. Eluent: 1:3 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.65 (d, *J* = 4.8 Hz, 2H), 8.00 (d, *J* = 9.2 Hz, 1H), 7.43 (d, *J* = 7.6 Hz, 2H), 7.37 (t, *J* = 7.6 Hz, 2H), 7.32 – 7.18 (m, 6H), 7.14 – 7.09 (m, 4H), 5.08 (s, 2H), 3.19 (t, *J* = 7.6 Hz, 2H), 3.06 (t, *J* = 7.6 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 192.9, 158.2, 157.8, 155.1, 141.2, 138.4, 137.2, 134.4, 128.7, 128.6, 128.4, 128.3, 128.0, 127.6, 126.2, 118.0, 117.8, 114.7, 113.3, 105.2, 70.7, 42.5, 30.5. HRMS (ESI) *m/z* calculated for C<sub>28</sub>H<sub>23</sub>N<sub>3</sub>O<sub>2</sub>Na [M+Na]<sup>+</sup> 456.1682, found 456.1702.



**1-(3-methyl-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1ao)**

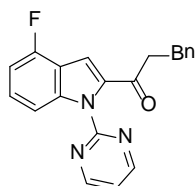
Yellow solid, Mp = 97 – 99 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.59 (d, *J* = 8.4 Hz, 1H), 8.53 (d, *J* = 4.4 Hz, 2H), 7.60 (d, *J* = 8.0 Hz, 1H), 7.44 – 7.40 (m, 1H), 7.29 (t, *J* = 14.8 Hz, 1H), 7.24 – 7.20 (m, 2H), 7.11 – 7.17 (m, 3H), 6.99 (t, *J* = 10.0 Hz, 1H), 3.04 (t, *J* = 15.2 Hz, 2H), 2.89 (t, *J* = 15.6 Hz, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 196.4, 158.0, 157.7, 141.1, 136.8, 135.2, 130.4, 128.5, 128.4, 126.3, 126.1, 122.7, 120.6, 120.3, 116.4, 115.5, 45.5, 30.9, 9.2. HRMS (ESI) *m/z* calculated for C<sub>22</sub>H<sub>19</sub>N<sub>3</sub>O<sub>2</sub>Na [M+Na]<sup>+</sup> 364.1420, found 364.1418.



**1-(4-methyl-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1ak)**

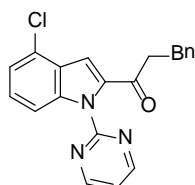
Yellow solid, Mp = 144 – 146 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.73 (d, *J* = 4.8 Hz, 2H), 7.80 (d, *J* = 8.4 Hz, 1H), 7.31 – 7.23 (m, 6H), 7.21 –

7.16 (m, 2H), 7.02 (d,  $J = 7.2$  Hz, 1H), 3.27 (t,  $J = 7.6$  Hz, 2H), 3.07 (t,  $J = 7.6$  Hz, 2H), 2.56 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  192.5, 158.3, 158.0, 141.3, 139.2, 137.2, 132.4, 128.6, 128.5, 127.4, 127.1, 126.2, 122.8, 118.3, 112.4, 110.8, 42.2, 30.5, 18.6. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{22}\text{H}_{19}\text{N}_3\text{ONa}$   $[\text{M}+\text{Na}]^+$  364.1420, found 364.1416.



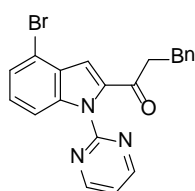
#### 1-(4-fluoro-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1al)

Yellow solid, Mp = 76 – 74 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.72 (d,  $J = 4.8$  Hz, 2H), 7.76 (d,  $J = 8.4$  Hz, 1H), 7.32 – 7.20 (m, 8H), 6.90 (t,  $J = 8.8$  Hz, 1H), 3.27 (t,  $J = 7.6$  Hz, 2H), 3.07 (t,  $J = 7.6$  Hz, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  192.4, 158.4, 158.3, 157.6, 155.8, 141.0, 137.7, 128.5 (d,  $J = 4.3$  Hz), 127.5 (d,  $J = 7.8$  Hz), 126.2, 118.7, 117.1, 116.9, 109.4 (d,  $J = 3.5$  Hz), 109.0, 107.4 (d,  $J = 18.1$  Hz), 42.2, 30.3. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{21}\text{H}_{16}\text{N}_3\text{OFNa}$   $[\text{M}+\text{Na}]^+$  368.1170, found 368.1155.



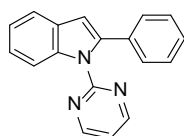
#### 1-(4-chloro-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1am)

Yellow solid, Mp = 122 – 124 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.73 (d,  $J = 4.8$  Hz, 2H), 7.90 (d,  $J = 8.4$  Hz, 1H), 7.34 (s, 1H), 7.21 – 7.32 (m, 8H), 3.30 (t,  $J = 15.2$  Hz, 2H), 3.08 (t,  $J = 15.2$  Hz, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  192.6, 158.4, 157.5, 141.0, 139.5, 138.0, 128.6, 128.6, 127.8, 127.3, 126.6, 126.2, 122.3, 118.6, 112.1, 111.2, 42.3, 30.3. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{21}\text{H}_{16}\text{N}_3\text{OCINa}$   $[\text{M}+\text{Na}]^+$  384.0874, found 384.0881.



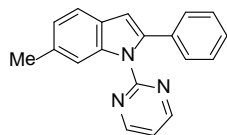
#### 1-(4-bromo-1-(pyrimidin-2-yl)-1H-indol-2-yl)-3-phenylpropan-1-one (1an)

Yellow solid, Mp = 105 – 107 °C. Eluent: 1:5 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.64 (d,  $J = 4.8$  Hz, 2H), 7.93 (d,  $J = 8.4$  Hz, 1H), 7.36 (d,  $J = 7.6$  Hz, 1H), 7.11 – 7.29 (m, 8H), 3.26 (t,  $J = 15.2$  Hz, 2H), 3.06 (t,  $J = 15.6$  Hz, 2H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  192.6, 158.4, 157.5, 141.0, 139.1, 138.0, 128.6, 128.5, 128.4, 127.6, 126.3, 125.6, 118.7, 116.4, 113.0, 112.7, 42.4, 30.3. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{21}\text{H}_{16}\text{N}_3\text{OBrNa}$   $[\text{M}+\text{Na}]^+$  428.0368, found 428.0383.



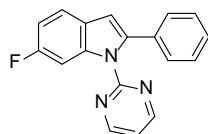
### 2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3a)<sup>9</sup>

White solid, Mp = 125 – 128 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.67 (d, *J* = 4.8 Hz, 2H), 8.13 (d, *J* = 8.0 Hz, 1H), 7.65 (d, *J* = 7.6 Hz, 1H), 7.30 – 7.25 (m, 7H), 7.13 – 7.11 (m, 1H), 6.82 (s, 1H); δ 158.1, 158.0, 140.4, 138.0, 133.9, 129.3, 128.1, 128.0, 127.1, 123.5, 122.1, 120.6, 117.6, 112.7, 108.1. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>13</sub>N<sub>3</sub>Na [M+Na]<sup>+</sup> 294.1001, found 294.1000.



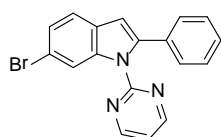
### 6-methyl-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3b)<sup>9</sup>

White solid, Mp = 99 – 100 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.67 (d, *J* = 4.8 Hz, 2H), 7.93 (s, 1H), 7.52 (d, *J* = 7.6 Hz, 1H), 7.27 – 7.25 (m, 5H), 7.12 – 7.06 (m, 2H), 6.77 (s, 1H), 2.49 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 158.3, 158.2, 139.9, 138.5, 134.1, 133.5, 128.2, 128.0, 127.1, 126.9, 123.7, 120.3, 117.5, 112.7, 108.1, 22.0. HRMS (ESI) *m/z* calculated for C<sub>19</sub>H<sub>15</sub>N<sub>3</sub>Na [M+Na]<sup>+</sup> 308.1159, found 308.1163.



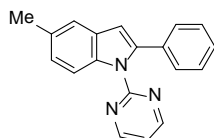
### 6-fluoro-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3c)

White solid, Mp = 148 – 150 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.65 (d, *J* = 4.8 Hz, 2H), 7.92 – 7.89 (m, 1H), 7.55 (dd, *J* = 5.6 Hz, 5.6 Hz, 1H), 7.32 – 7.24 (m, 5H), 7.11 (t, *J* = 9.6 Hz, 1H), 7.02 – 6.97 (m, 1H), 6.76 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 160.6 (d, *J* = 237.1 Hz), 158.4, 157.9, 140.8 (d, *J* = 3.4 Hz), 138.2 (d, *J* = 3.0 Hz), 133.8, 128.1, 128.0, 127.2, 125.7, 121.2 (d, *J* = 9.9 Hz), 117.7, 110.5 (d, *J* = 24.2 Hz), 107.9, 100.1 (d, *J* = 28.2 Hz). HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>12</sub>N<sub>3</sub>FNa [M+Na]<sup>+</sup> 312.0907, found 312.0894.



### 6-bromo-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3d)

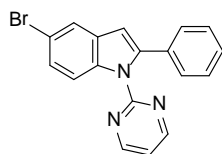
White solid, Mp = 146 – 148 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.65 (d, *J* = 4.8 Hz, 2H), 8.32 (s, 1H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.35 – 7.24 (m, 6H), 7.12 (t, *J* = 9.6 Hz, 1H), 6.75 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 158.3, 157.7, 141.1, 138.6, 133.4, 128.2, 128.1, 127.9, 127.4, 125.3, 121.7, 117.9, 117.0, 115.9, 107.8. HRMS (ESI) *m/z* calculated for C<sub>18</sub>H<sub>12</sub>N<sub>3</sub>BrNa [M+Na]<sup>+</sup> 372.0106, found 372.0105.



### 5-methyl-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3e)<sup>9</sup>

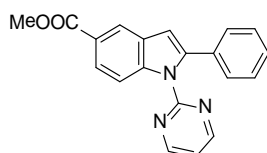
White solid, Mp = 100 – 101 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400

MHz, CDCl<sub>3</sub>):  $\delta$  8.62 (d,  $J$  = 4.8 Hz, 2H), 8.02 (d,  $J$  = 12.0 Hz, 1H), 7.42 (s, 1H), 7.29 – 7.26 (m, 5H), 7.11 – 7.03 (m, 2H), 6.73 (s, 1H), 2.46 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>):  $\delta$  158.2, 158.1, 140.5, 136.4, 134.1, 131.4, 129.6, 128.2, 128.1, 127.0, 125.0, 120.4, 117.3, 112.6, 108.1, 21.3. HRMS (ESI)  $m/z$  calculated for C<sub>19</sub>H<sub>15</sub>N<sub>3</sub>Na [M+Na]<sup>+</sup> 308.1159, found 308.1156.



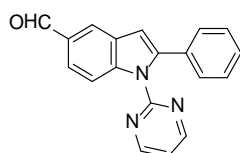
### 5-bromo-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3f)

White solid, Mp = 132 – 134 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>):  $\delta$  8.67 (d,  $J$  = 4.8 Hz, 2H), 8.00 (d,  $J$  = 8.8 Hz, 1H), 7.76 (d,  $J$  = 2 Hz, 1H), 7.37 – 7.25 (m, 6H), 7.14 (t,  $J$  = 9.6 Hz, 1H), 6.73 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>):  $\delta$  158.2, 157.7, 141.6, 136.7, 133.4, 131.0, 128.8, 128.2, 127.4, 126.2, 123.1, 117.9, 115.2, 114.4, 107.2. HRMS (ESI)  $m/z$  calculated for C<sub>18</sub>H<sub>12</sub>N<sub>3</sub>BrNa [M+Na]<sup>+</sup> 372.0106, found 372.0105.



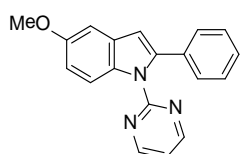
### methyl 2-phenyl-1-(pyrimidin-2-yl)-1H-indole-5-carboxylate (3g)<sup>9</sup>

White solid, Mp = 142 – 143 °C. Eluent: 1:8 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>):  $\delta$  8.69 (d,  $J$  = 4.0 Hz, 2H), 8.39 (s, 1H), 8.09 (d,  $J$  = 8.8 Hz, 1H), 7.97 (d,  $J$  = 8.8 Hz, 1H), 7.30 – 7.26 (m, 5H), 7.25 – 7.15 (m, 1H), 6.86 (s, 1H), 3.95 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>):  $\delta$  167.8, 158.4, 157.7, 141.9, 140.5, 133.3, 128.9, 128.3, 128.2, 127.5, 124.8, 124.0, 123.2, 118.2, 112.4, 108.4, 51.9. HRMS (ESI)  $m/z$  calculated for C<sub>20</sub>H<sub>15</sub>N<sub>3</sub>O<sub>2</sub>Na [M+Na]<sup>+</sup> 352.1056, found 352.1041.



### 2-phenyl-1-(pyrimidin-2-yl)-1H-indole-5-carbaldehyde (3h)

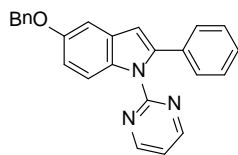
White solid, Mp = 127 – 129 °C. Eluent: 1:8 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>):  $\delta$  10.08 (s, 1H), 8.71 (d,  $J$  = 4.8 Hz, 2H), 8.18 – 8.15 (m, 2H), 7.83 (d,  $J$  = 8.8 Hz, 1H), 7.32 – 7.20 (m, 6H), 6.91 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>):  $\delta$  192.3, 158.5, 157.6, 142.5, 141.3, 133.0, 131.4, 129.2, 128.5, 128.3, 127.7, 124.8, 124.1, 118.5, 113.2, 108.3. HRMS (ESI)  $m/z$  calculated for C<sub>19</sub>H<sub>13</sub>N<sub>3</sub>ONa [M+Na]<sup>+</sup> 322.0950, found 322.0950.



### 5-methoxy-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3i)<sup>9</sup>

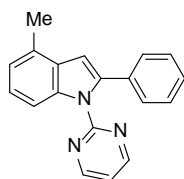
White solid, Mp = 125 – 126 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>):  $\delta$  8.64 (d,  $J$  = 4.0 Hz, 2H), 8.07 (d,  $J$  = 8.8 Hz, 1H), 7.29 – 7.26 (m, 5H), 7.11 – 7.09 (m, 2H), 6.94 – 6.75 (m, 1H), 6.74 (s, 1H), 3.88 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>):  $\delta$  158.1, 157.9, 155.6, 141.0, 134.0, 133.0, 129.9, 128.2, 128.1, 127.0, 117.3, 113.8, 112.8, 108.2,

102.6, 55.7. HRMS (ESI)  $m/z$  calculated for  $C_{19}H_{15}N_3ONa$   $[M+Na]^+$  324.1107, found 324.1101.



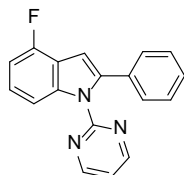
#### 5-(benzyloxy)-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3j)

White solid, Mp = 157 – 159 °C. Eluent: 1:10 (v/v) of ethyl acetate/petroleum ether.  $^1H$  NMR (400 MHz,  $CDCl_3$ ):  $\delta$  8.62 (d,  $J$  = 7.6 Hz, 2H), 8.07 (d,  $J$  = 8.8 Hz, 1H), 7.47 (d,  $J$  = 7.2 Hz, 2H), 7.39 (t,  $J$  = 14.8 Hz, 2H), 7.37 – 7.06 (m, 6H), 7.06 (s, 1H), 7.01 (s, 1H), 6.99 (t,  $J$  = 9.6 Hz, 1H), 6.72 (s, 1H), 5.14 (s, 2H);  $^{13}C$  NMR (100 MHz,  $CDCl_3$ ):  $\delta$  158.2, 158.1, 154.8, 141.1, 137.5, 134.1, 133.3, 130.0, 128.6, 128.2, 128.1, 127.9, 127.6, 127.2, 117.5, 113.9, 113.7, 108.3, 104.3, 70.7. HRMS (ESI)  $m/z$  calculated for  $C_{25}H_{19}N_3ONa$   $[M+Na]^+$  400.1420, found 400.1425.



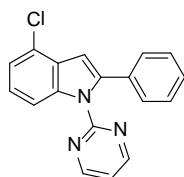
#### 4-methyl-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3k)<sup>9</sup>

White solid, Mp = 165 – 166 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether.  $^1H$  NMR (400 MHz,  $CDCl_3$ ):  $\delta$  8.66 (d,  $J$  = 8.0 Hz, 2H), 7.95 (d,  $J$  = 8.4 Hz, 1H), 7.30 – 7.25 (m, 5H), 7.20 (t,  $J$  = 15.6 Hz, 1H), 7.11 (t,  $J$  = 9.6 Hz, 1H), 7.03 (d,  $J$  = 7.2 Hz, 1H), 6.84 (s, 1H), 2.60 (s, 3H);  $^{13}C$  NMR (100 MHz,  $CDCl_3$ ):  $\delta$  158.3, 158.2, 139.9, 137.9, 134.1, 130.1, 129.0, 128.1, 128.0, 127.0, 123.6, 122.4, 117.5, 110.3, 106.7, 18.6. HRMS (ESI)  $m/z$  calculated for  $C_{19}H_{15}N_3Na$   $[M+Na]^+$  308.1158, found 308.1158.



#### 4-fluoro-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3l)<sup>3</sup>

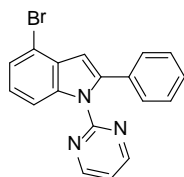
White solid, Mp = 112 – 113 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether.  $^1H$  NMR (400 MHz,  $CDCl_3$ ):  $\delta$  8.69 (d,  $J$  = 4.8 Hz, 2H), 7.87 (d,  $J$  = 8.4 Hz, 1H), 7.32– 7.25 (m, 5H), 7.21– 7.15 (m, 2H), 6.94 – 6.89 (m, 2H);  $^{13}C$  NMR (100 MHz,  $CDCl_3$ ):  $\delta$  158.5 (d,  $J$  = 36.7 Hz), 158.0, 157.2, 154.7, 140.4 (d,  $J$  = 22.3 Hz), 133.4, 128.3, 128.2, 127.4, 123.9 (d,  $J$  = 7.4 Hz), 118.1 (d,  $J$  = 17.1 Hz), 108.8 (d,  $J$  = 12.2 Hz), 107.2 (d,  $J$  = 18.3 Hz), 107.0, 103.3. HRMS (ESI)  $m/z$  calculated for  $C_{18}H_{12}N_3FNa$   $[M+Na]^+$  312.0907, found 312.0903.



#### 4-chloro-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3m)

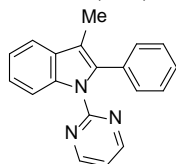
White solid, Mp = 147 – 149 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether.  $^1H$  NMR (400 MHz,  $CDCl_3$ ):  $\delta$  8.66 (d,  $J$  = 4.8 Hz, 2H), 7.99 (d,  $J$  = 8.0 Hz, 1H), 7.29–7.12 (m, 8H), 6.92 (s,

1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 158.3, 157.8, 141.1, 138.6, 133.3, 128.4, 128.2, 128.1, 127.5, 125.8, 124.0, 121.8, 118.1, 111.4, 106.0. HRMS (ESI) m/z calculated for C<sub>18</sub>H<sub>12</sub>N<sub>3</sub>ClNa [M+Na]<sup>+</sup> 328.0611, found 328.0609.



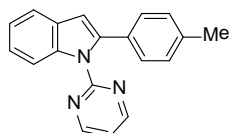
#### 4-bromo-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3n)

White solid, Mp = 156 – 158 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.74 (d, *J* = 3.6 Hz, 2H), 8.09 (d, *J* = 8.4 Hz, 1H), 7.45 (d, *J* = 7.6 Hz, 1H), 7.35 – 7.27 (m, 5H), 7.23 – 7.17 (m, 2H), 6.93 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 158.3, 157.8, 141.2, 138.2, 133.3, 129.9, 128.2, 128.1, 127.5, 124.9, 124.3, 118.1, 114.4, 111.9, 107.7. HRMS (ESI) m/z calculated for C<sub>18</sub>H<sub>12</sub>N<sub>3</sub>BrNa [M+Na]<sup>+</sup> 372.0106, found 372.0104.



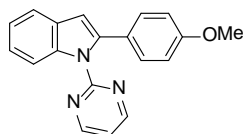
#### 3-methyl-2-phenyl-1-(pyrimidin-2-yl)-1H-indole (3o)<sup>3</sup>

White solid, Mp = 120 – 121 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.57 (d, *J* = 4.8 Hz, 2H), 8.18 (d, *J* = 8.0 Hz, 1H), 7.61 (d, *J* = 7.6 Hz, 1H), 7.35 – 7.30 (m, 3H), 7.29 – 7.25 (m, 4H), 7.02 – 6.99 (m, 1H), 2.36 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 157.9, 157.6, 136.9, 135.9, 133.8, 130.5, 129.6, 127.9, 126.7, 123.7, 121.7, 118.9, 116.9, 115.0, 112.8, 9.5. HRMS (ESI) m/z calculated for C<sub>19</sub>H<sub>15</sub>N<sub>3</sub>Na [M+Na]<sup>+</sup> 308.1159, found 308.1146.



#### 1-(pyrimidin-2-yl)-2-(p-tolyl)-1H-indole (6a)<sup>3</sup>

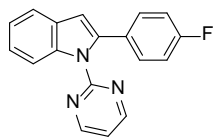
White solid, Mp = 120 – 121 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.67 (d, *J* = 4.8 Hz, 2H), 8.09 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 7.6 Hz, 1H), 7.29 – 7.24 (m, 2H), 7.22 – 7.17 (m, 2H), 7.16 – 7.09 (m, 3H), 6.77 (s, 1H), 2.35 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 158.2, 140.6, 138.0, 136.9, 131.0, 129.4, 129.0, 128.9, 128.0, 123.3, 122.0, 120.5, 117.6, 112.7, 107.7, 21.2. HRMS (ESI) m/z calculated for C<sub>19</sub>H<sub>15</sub>N<sub>3</sub>Na [M+Na]<sup>+</sup> 308.1159, found 308.1159.



#### 2-(4-methoxyphenyl)-1-(pyrimidin-2-yl)-1H-indole (6b)<sup>3</sup>

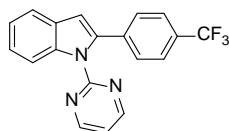
White solid, Mp = 129 – 131 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.67 (d, *J* = 4.8 Hz, 2H), 8.08 (d, *J* = 8.0 Hz, 1H), 7.62 (t, 1H), 7.26 – 7.23

(m, 2H), 7.22 – 7.20 (m, 2H), 7.12 – 7.10 (m, 1H), 6.83 (d,  $J = 8.4$  Hz, 2H), 6.73 (s, 1H), 3.81 (s, 3H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  158.8, 158.3, 157.9, 140.3, 139.2, 137.9, 129.4, 126.5, 123.2, 122.0, 120.4, 117.6, 113.6, 112.6, 107.2, 55.2. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{19}\text{H}_{15}\text{N}_3\text{ONa}$   $[\text{M}+\text{Na}]^+$  324.1107, found 324.1120.



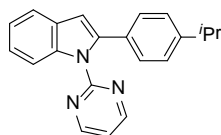
### 2-(4-fluorophenyl)-1-(pyrimidin-2-yl)-1H-indole (6c)

White solid,  $\text{Mp} = 149 - 151$  °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.67 (d,  $J = 4.8$  Hz, 2H), 8.14 (d,  $J = 8.0$  Hz, 1H), 7.64 (d,  $J = 7.2$  Hz, 1H), 7.32 – 7.23 (m, 4H), 7.13 (t,  $J = 9.6$  Hz, 1H), 7.02 – 6.98 (m, 2H), 6.77 (s, 1H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  162.0 (d,  $J = 245.7$  Hz), 158.2, 157.9, 139.3, 137.9, 130.1 (d,  $J = 2.1$  Hz), 129.8 (d,  $J = 8.0$  Hz), 129.2, 123.6, 122.2, 120.6, 117.6, 115.1 (d,  $J = 21.5$  Hz), 112.8, 108.2. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{18}\text{H}_{12}\text{N}_3\text{FNa}$   $[\text{M}+\text{Na}]^+$  312.0907, found 312.0904.



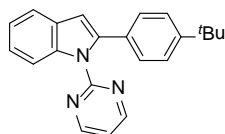
### 1-(pyrimidin-2-yl)-2-(4-(trifluoromethyl)phenyl)-1H-indole (6d)<sup>3</sup>

White solid,  $\text{Mp} = 120 - 122$ °C. Eluent: 1:8 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.65 (d,  $J = 4.8$  Hz, 2H), 8.19 (d,  $J = 8.4$  Hz, 1H), 7.65 (d,  $J = 7.6$  Hz, 1H), 7.53 (d,  $J = 7.6$  Hz, 2H), 7.36 (d,  $J = 8.0$  Hz, 2H), 7.34 – 7.30 (m, 1H), 7.27 – 7.23 (m, 1H), 7.13 – 7.10 (m, 1H), 6.85 (s, 1H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  158.3, 157.8, 138.8, 138.3, 137.6, 129.1, 128.8 (d,  $J = 32.0$  Hz), 128.2, 125.1 (q,  $J = 3.8$  Hz), 124.3, 124.2 (d,  $J = 270.2$  Hz), 122.5, 121.0, 117.7, 113.1, 109.7. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{19}\text{H}_{12}\text{F}_3\text{N}_3\text{Na}$   $[\text{M}+\text{Na}]^+$  362.0881, found 362.0880.



### 2-(4-isopropylphenyl)-1-(pyrimidin-2-yl)-1H-indole (6e)<sup>3</sup>

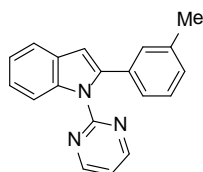
White solid,  $\text{Mp} = 119 - 121$  °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.63 (d,  $J = 4.8$  Hz, 2H), 8.08 (d,  $J = 8.4$  Hz, 1H), 7.62 (t, 1H), 7.28 – 7.23 (m, 4H), 7.21 – 7.15 (m, 2H), 7.13 – 7.04 (m, 1H), 6.77 (s, 1H), 2.92 – 2.85 (m, 1H), 1.23 (d,  $J = 6.8$  Hz, 6H);  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ ):  $\delta$  158.3, 158.2, 147.8, 140.6, 138.0, 131.2, 129.3, 128.0, 126.2, 123.3, 122.0, 120.5, 117.6, 112.6, 107.7, 33.8, 23.9. HRMS (ESI)  $m/z$  calculated for  $\text{C}_{21}\text{H}_{19}\text{N}_3\text{Na}$   $[\text{M}+\text{Na}]^+$  336.1471, found 336.1478.



### 2-(4-(tert-butyl)phenyl)-1-(pyrimidin-2-yl)-1H-indole (6f)<sup>3</sup>

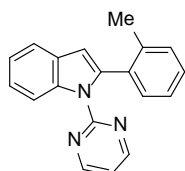
White solid,  $\text{Mp} = 117 - 118$  °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.68 (d,  $J = 4.4$  Hz, 2H), 8.09 (d,  $J = 7.6$  Hz, 1H), 7.63 (d,  $J = 7.6$  Hz, 1H),

7.32 – 7.27 (m, 2H), 7.25 – 7.20 (m, 4H), 7.13 – 7.11 (m, 1H), 6.78 (s, 1H), 1.32 (s, 9H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 158.2, 158.1, 150.1, 140.6, 138.1, 130.9, 129.4, 127.7, 125.1, 123.3, 122.0, 120.6, 117.6, 112.6, 107.8, 34.6, 31.3. HRMS (ESI) m/z calculated for C<sub>22</sub>H<sub>21</sub>N<sub>3</sub>Na [M+Na]<sup>+</sup> 350.1627, found 350.1629.



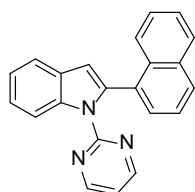
### 1-(pyrimidin-2-yl)-2-(m-tolyl)-1H-indole (6g)<sup>3</sup>

White solid, Mp = 116 – 117 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.65 (d, *J* = 4.8 Hz, 2H), 8.10 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 7.6 Hz, 1H), 7.29 – 7.20 (m, 3H), 7.16 – 7.06 (m, 3H), 6.97 (d, *J* = 7.6 Hz, 1H), 6.79 (s, 1H), 2.31 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 158.3, 158.2, 140.6, 138.1, 137.9, 133.7, 129.4, 128.8, 128.0, 127.9, 125.4, 123.5, 122.1, 120.7, 117.7, 112.7, 108.1, 21.5. HRMS (ESI) m/z calculated for C<sub>19</sub>H<sub>15</sub>N<sub>3</sub>Na [M+Na]<sup>+</sup> 308.1159, found 308.1162.



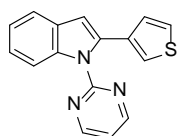
### 1-(pyrimidin-2-yl)-2-(o-tolyl)-1H-indole (6h)<sup>3</sup>

White solid, Mp = 122 – 123 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.54 (d, *J* = 4.8 Hz, 2H), 8.28 (d, *J* = 8.4 Hz, 1H), 7.64 (d, *J* = 7.6 Hz, 1H), 7.33 – 7.29 (m, 2H), 7.27 – 7.21 (m, 2H), 7.19 – 7.13 (m, 2H), 7.01 – 7.00 (m, 1H), 6.65 (s, 1H), 2.05 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 158.0, 157.9, 139.9, 136.9, 136.8, 134.5, 130.1, 129.5, 129.3, 127.6, 125.2, 123.3, 122.0, 120.4, 117.1, 113.5, 108.4, 20.1. HRMS (ESI) m/z calculated for C<sub>19</sub>H<sub>15</sub>N<sub>3</sub>Na [M+Na]<sup>+</sup> 308.1159, found 308.1171.



### 2-(naphthalen-1-yl)-1-(pyrimidin-2-yl)-1H-indole(6i)<sup>3</sup>

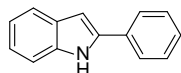
White solid, Mp = 93 – 94°C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.34 – 8.30 (m, 3H), 7.80 – 7.77 (m, 3H), 7.67 (d, *J* = 8.0 Hz, 1H), 7.51 (d, *J* = 4.0 Hz, 1H), 7.46 – 7.42 (m, 1H), 7.36 – 7.31 (m, 2H), 7.29 – 7.23 (m, 1H), 7.23 – 7.19 (m, 1H), 6.83 (s, 1H), 6.76 – 6.74 (m, 1H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 157.7, 157.6, 138.7, 137.0, 133.2, 132.4, 132.2, 129.3, 128.0, 127.9, 127.5, 126.1, 125.5, 125.4, 125.2, 123.5, 122.1, 120.6, 116.9, 113.5, 109.5. HRMS (ESI) m/z calculated for C<sub>22</sub>H<sub>15</sub>N<sub>3</sub>Na [M+Na]<sup>+</sup> 344.1164, found 344.1152.



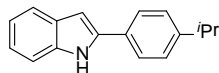


**1-(pyrimidin-2-yl)-2-(thiophen-3-yl)-1H-indole (6j)**

White solid, Mp = 110 – 112 °C. Eluent: 1:15 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.71 (d, *J* = 4.8 Hz, 2H), 8.06 (d, *J* = 8.0 Hz, 1H), 7.62 (d, *J* = 8.4 Hz, 1H), 7.30 – 7.18 (m, 4H), 7.14 (t, *J* = 9.6 Hz, 1H), 6.89 (dd, *J* = 1.2 Hz, 1.2 Hz, 1H), 6.80 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 158.3, 158.0, 137.7, 135.5, 134.3, 129.1, 128.0, 124.9, 123.5, 122.1, 122.0, 120.5, 117.8, 112.7, 107.7. HRMS (ESI) *m/z* calculated for C<sub>16</sub>H<sub>11</sub>N<sub>3</sub>SNa [M+Na]<sup>+</sup> 300.0565, found 300.0564.

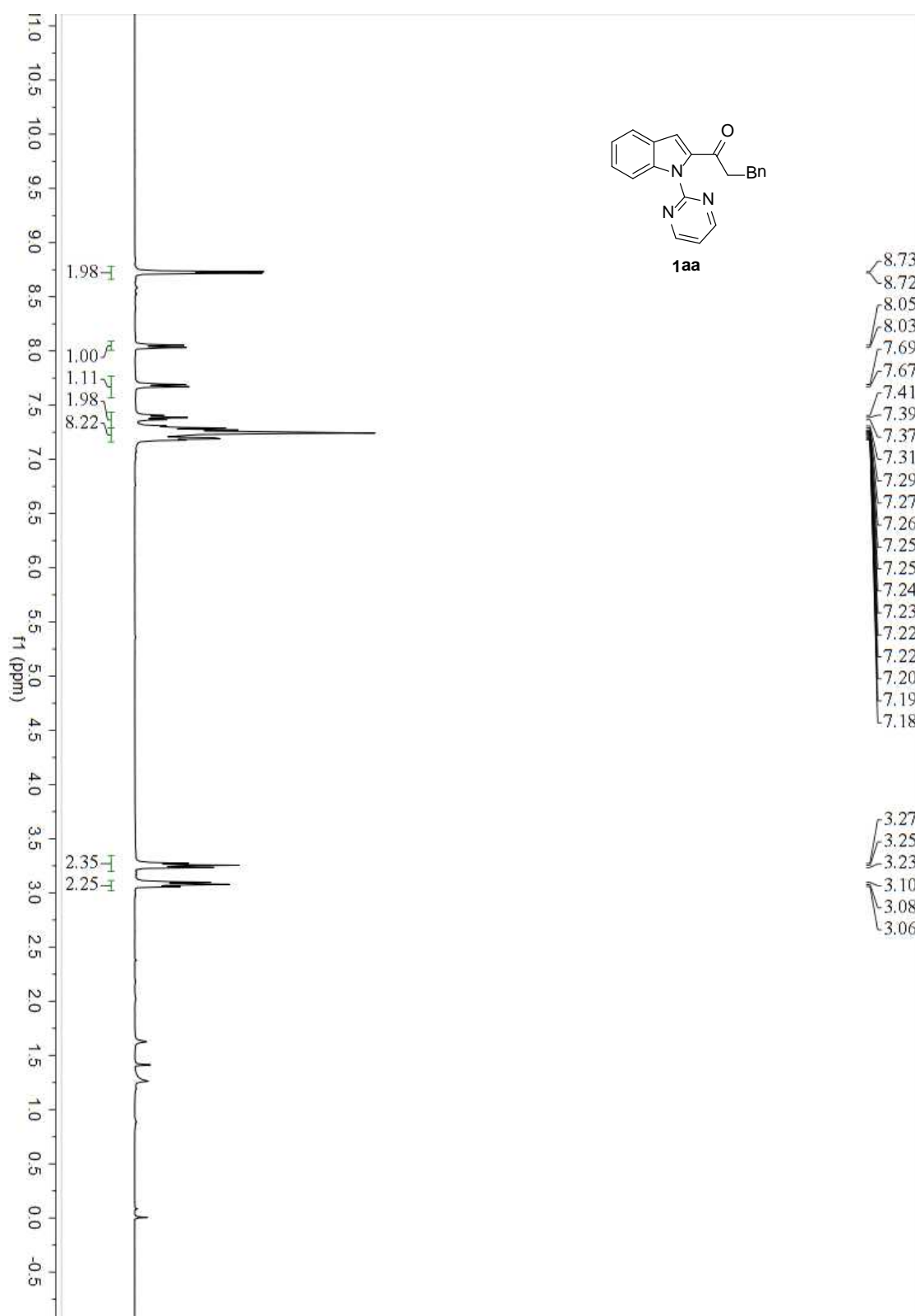
**2-phenyl-1H-indole (7a)<sup>3</sup>**

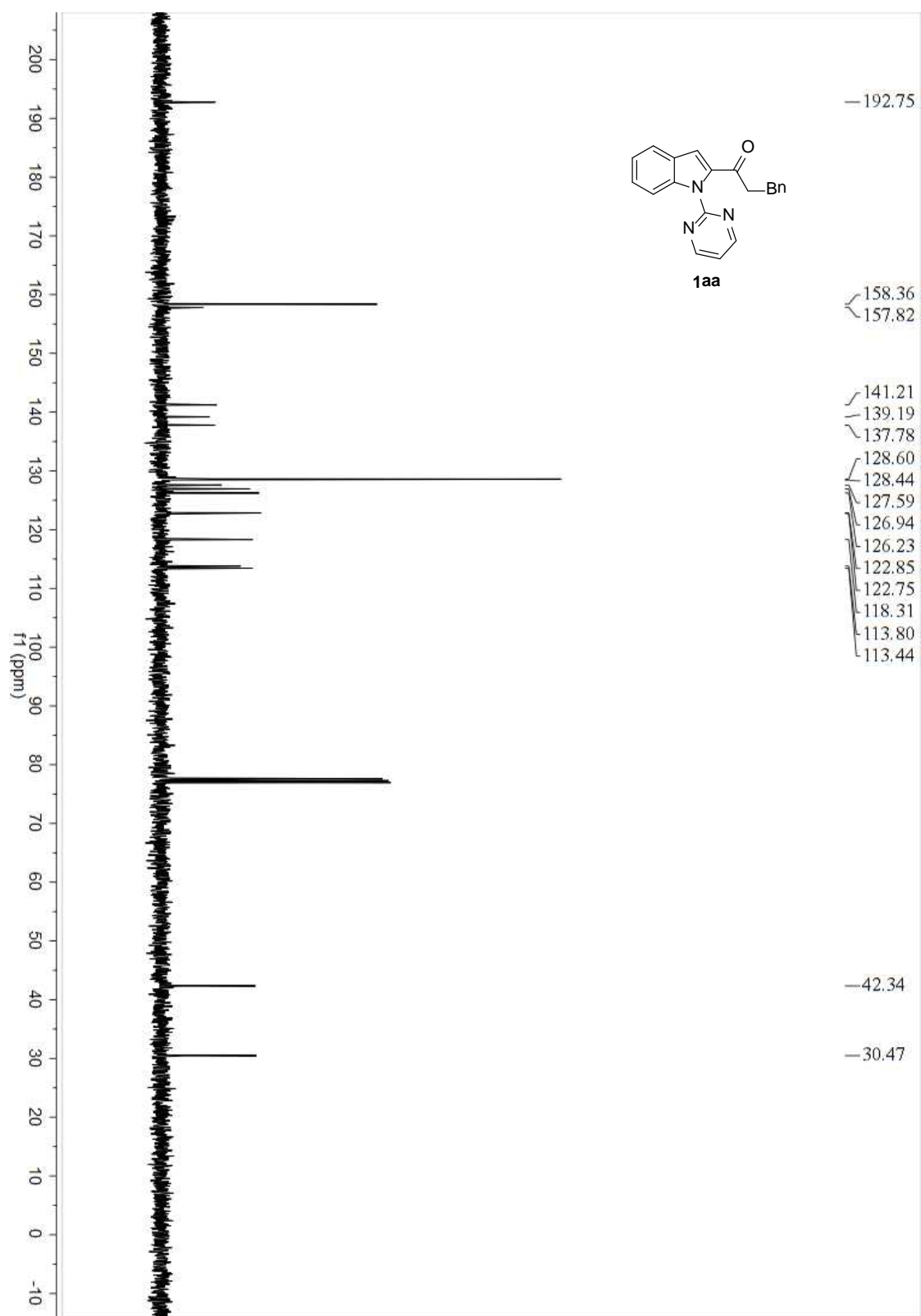
White solid. Eluent: 1:10 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.28 (br, 1H), 7.64 – 7.61 (m, 3H), 7.44 – 7.29 (m, 3H), 7.36 – 7.29 (m, 1H), 7.22 – 7.17 (m, 1H), 7.13 – 7.10 (m, 1H), 6.81 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 137.9, 136.8, 132.4, 129.3, 129.0, 127.7, 125.1, 122.3, 120.7, 120.3, 110.9, 100.0.

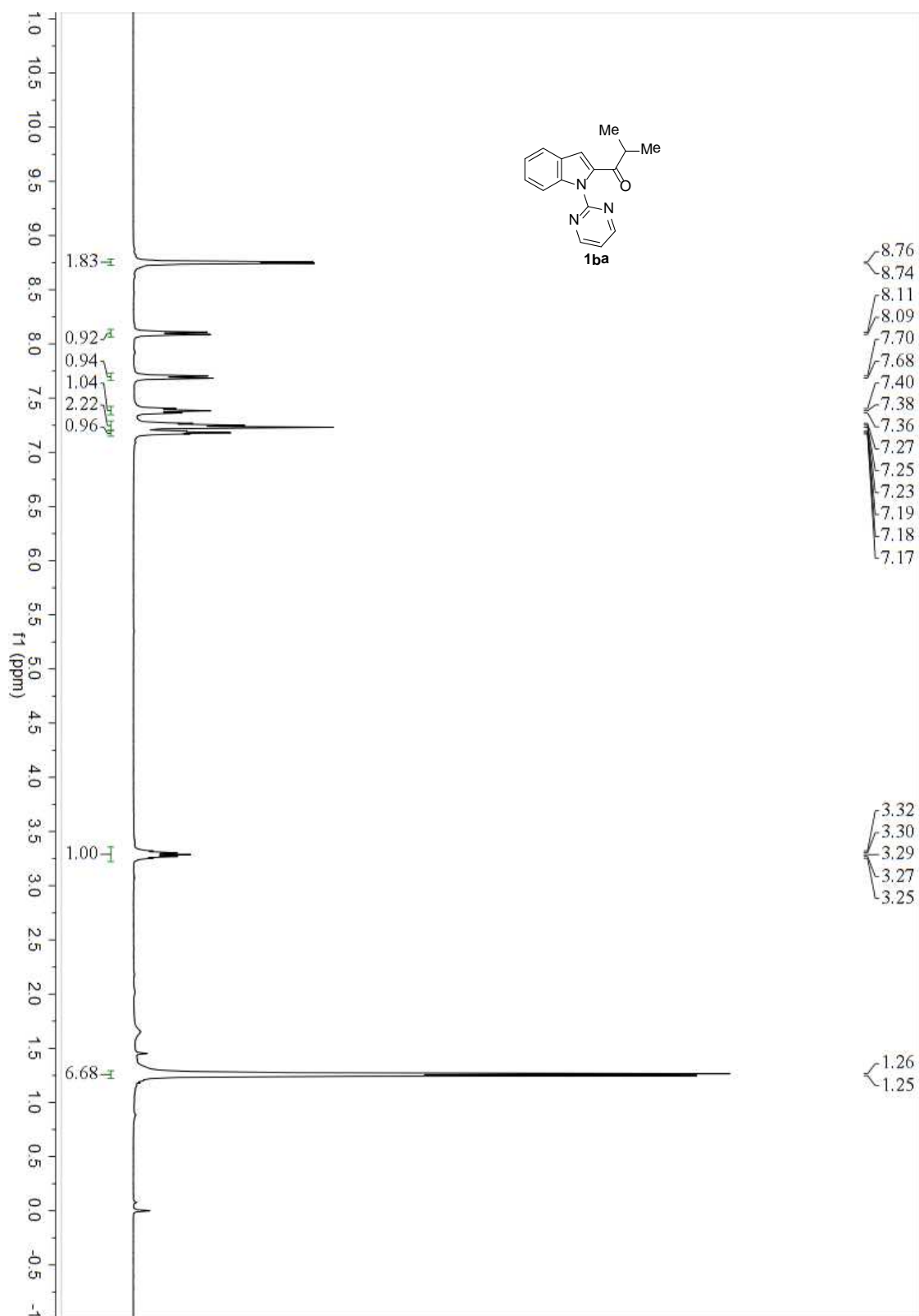
**2-(4-isopropylphenyl)-1H-indole(7e)<sup>4</sup>**

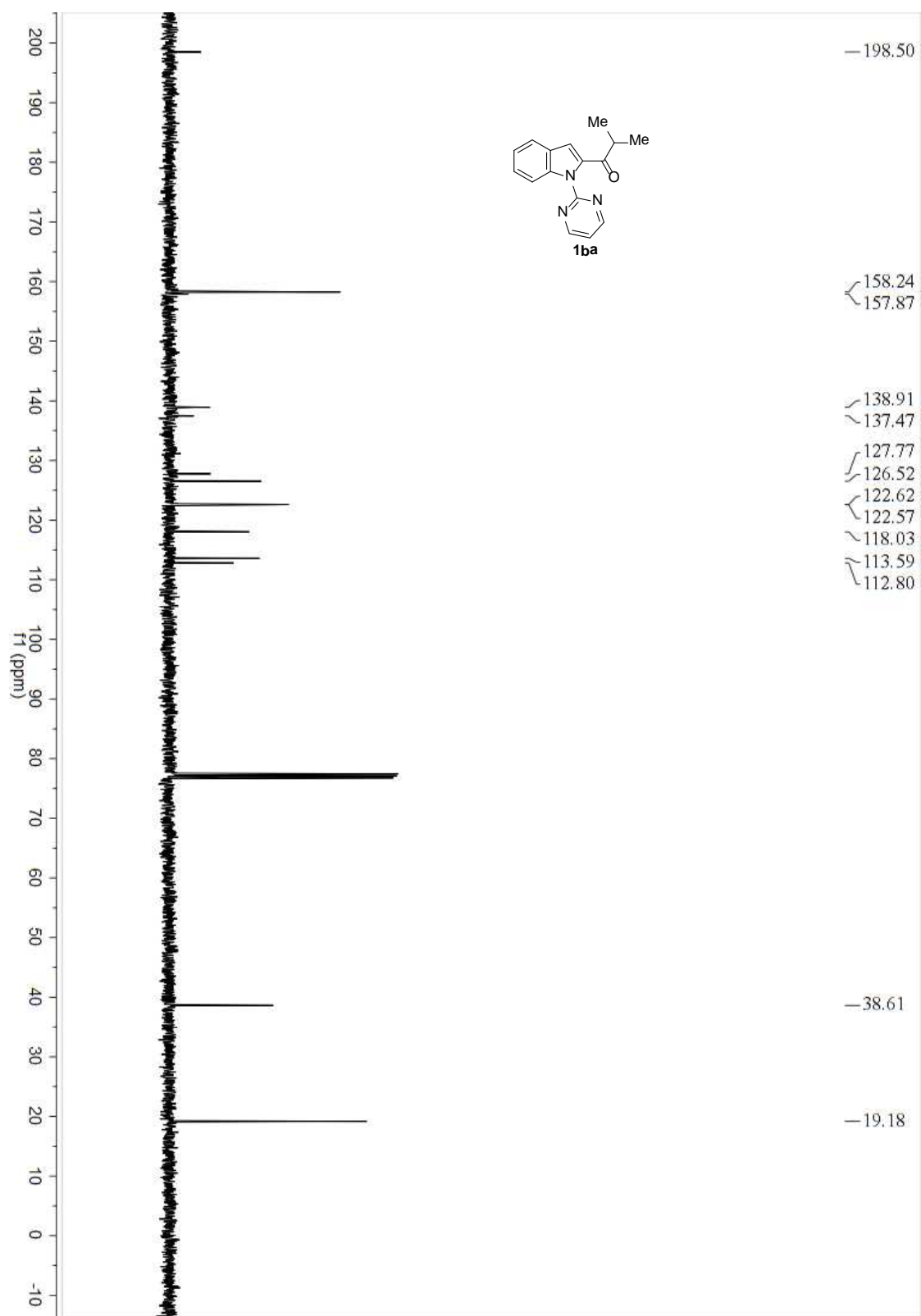
White solid. Eluent: 1:10 (v/v) of ethyl acetate/petroleum ether. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.26 (br, 1H), 7.62 – 7.55 (m, 3H), 7.35 (d, *J* = 8.0 Hz, 1H), 7.27 (d, *J* = 8.0 Hz, 2H), 7.22 – 7.09 (m, 2H), 6.77 (s, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 148.6, 138.1, 136.7, 130.0, 129.4, 127.1, 125.2, 122.1, 120.5, 120.2, 110.8, 99.5, 33.9, 23.9.

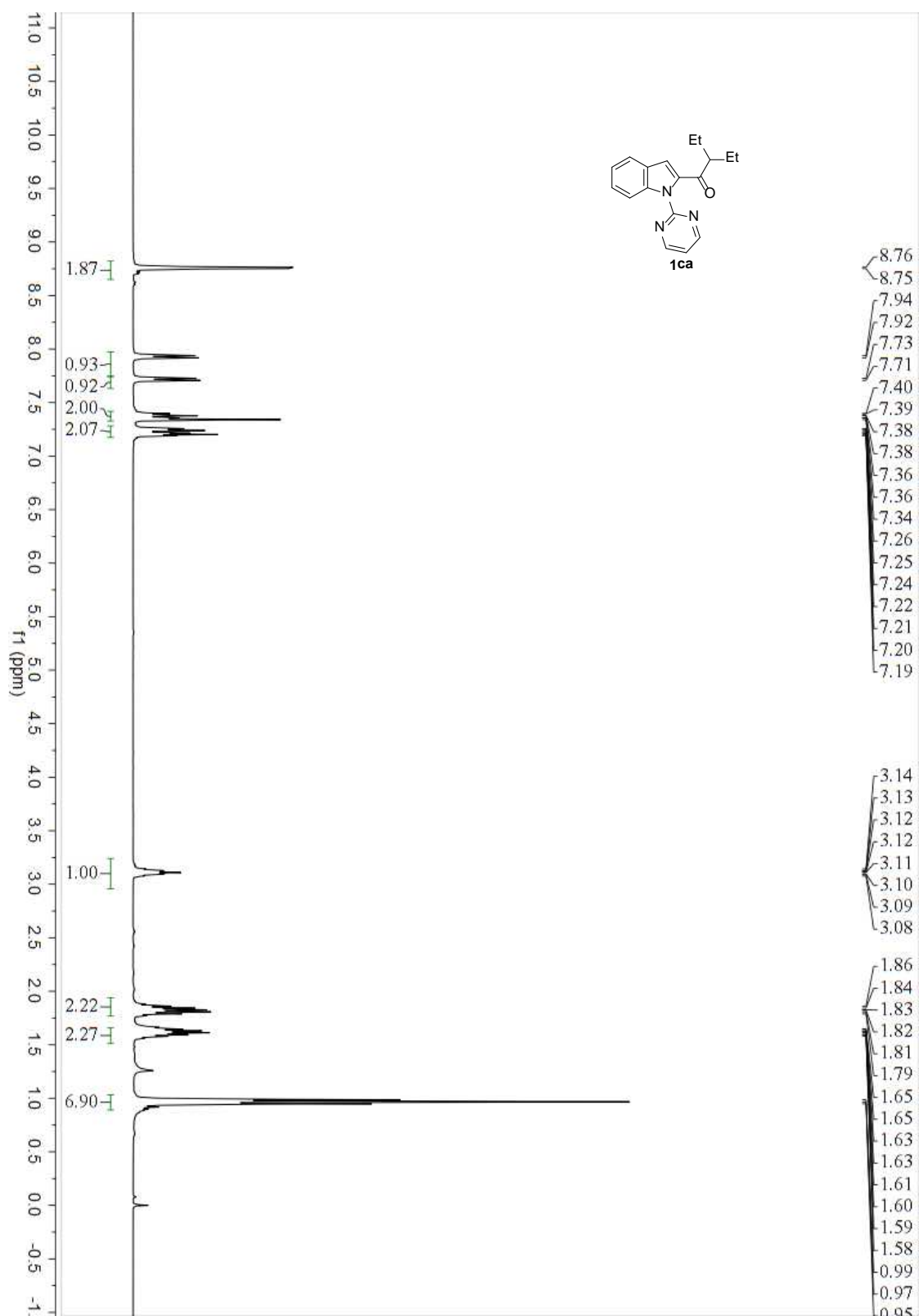
<sup>1</sup>H and <sup>13</sup>C NMR spectra

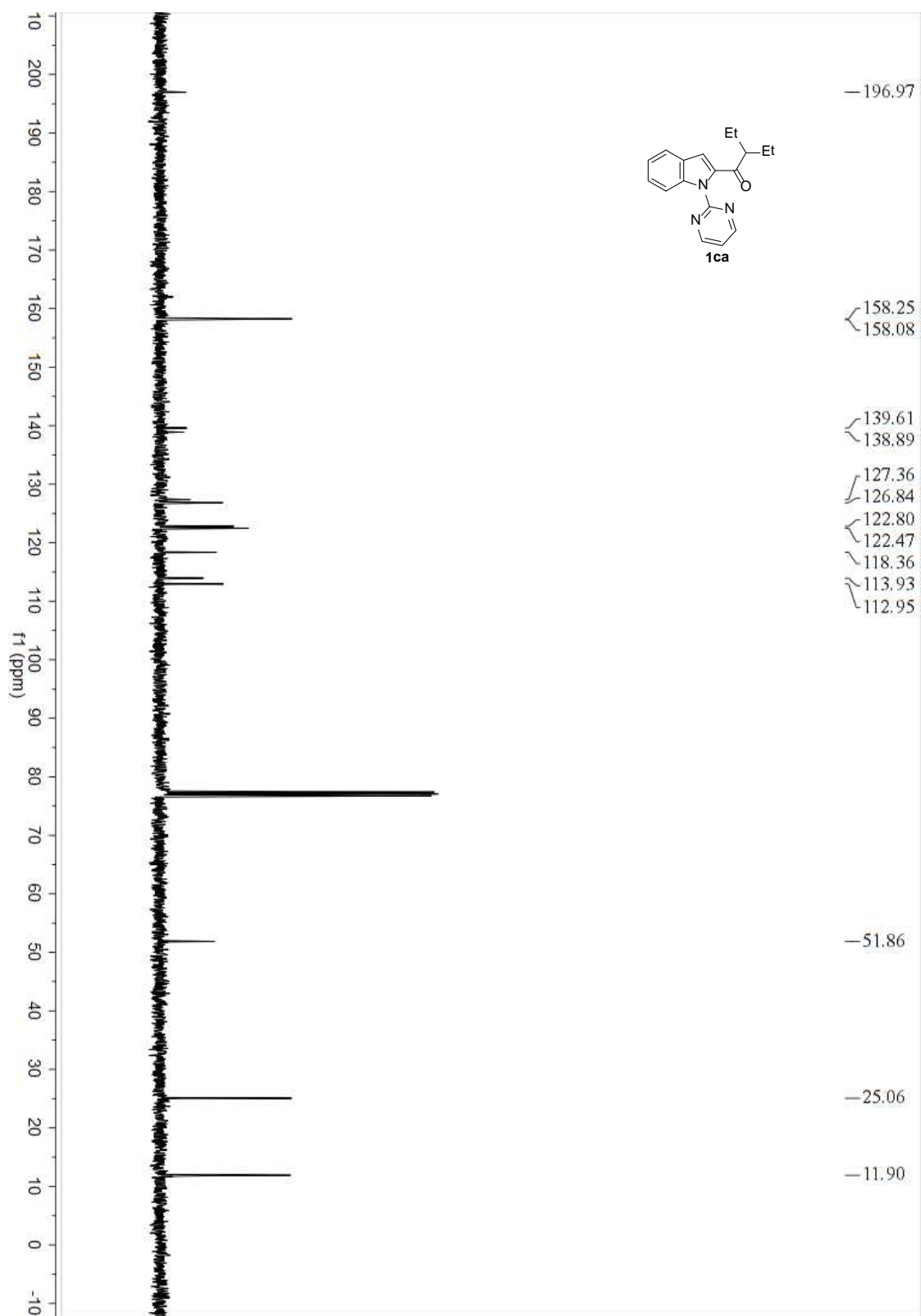


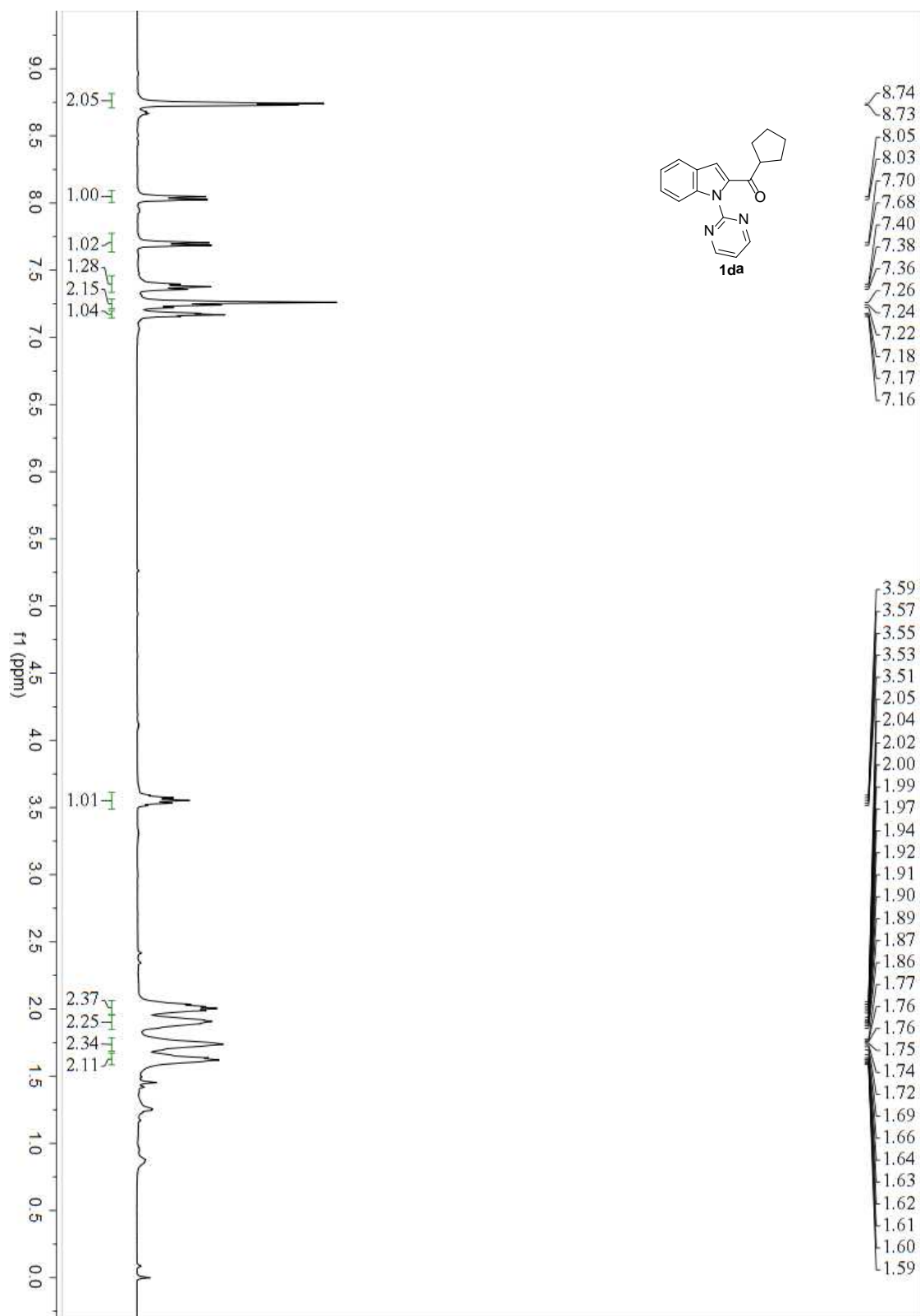




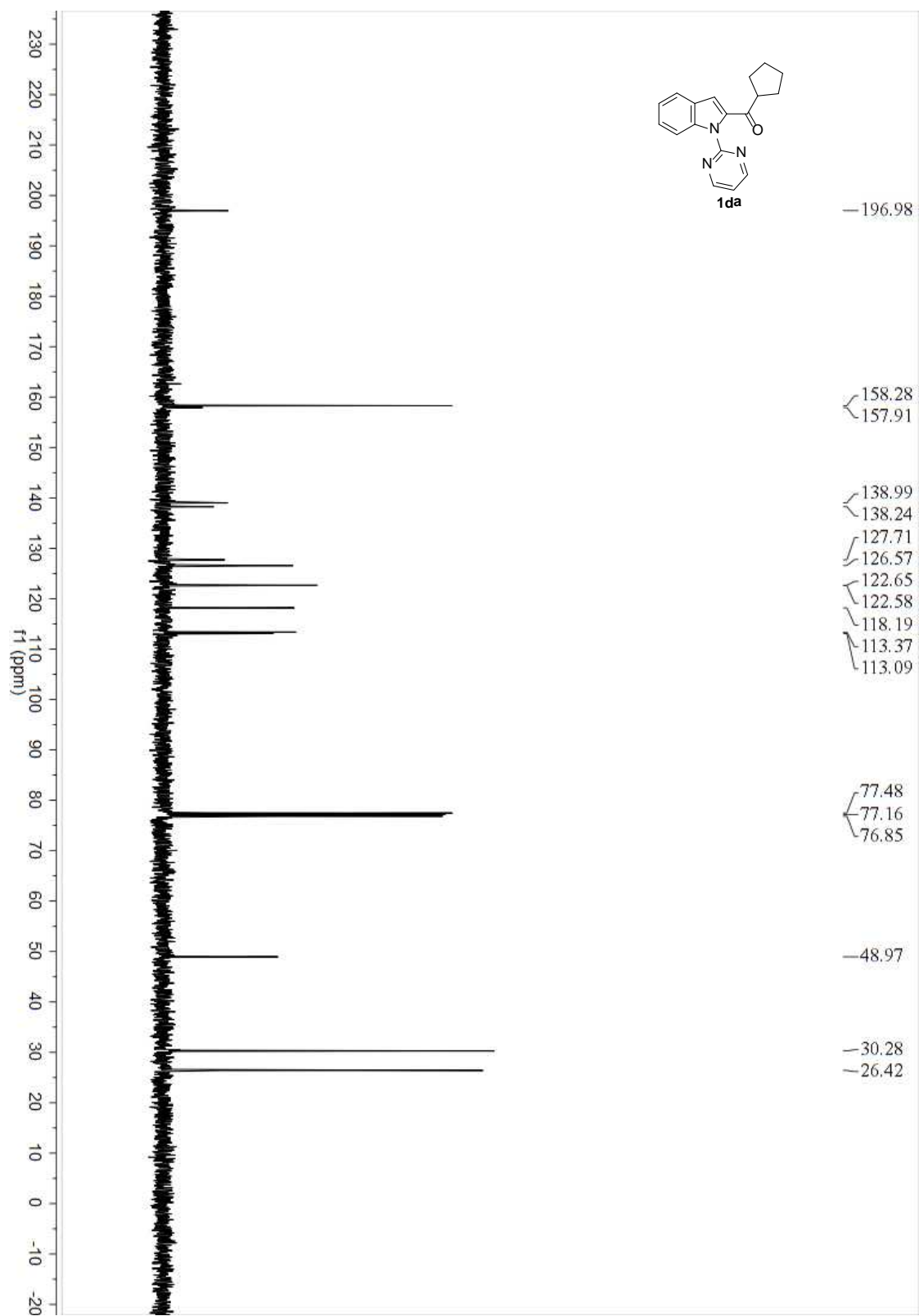


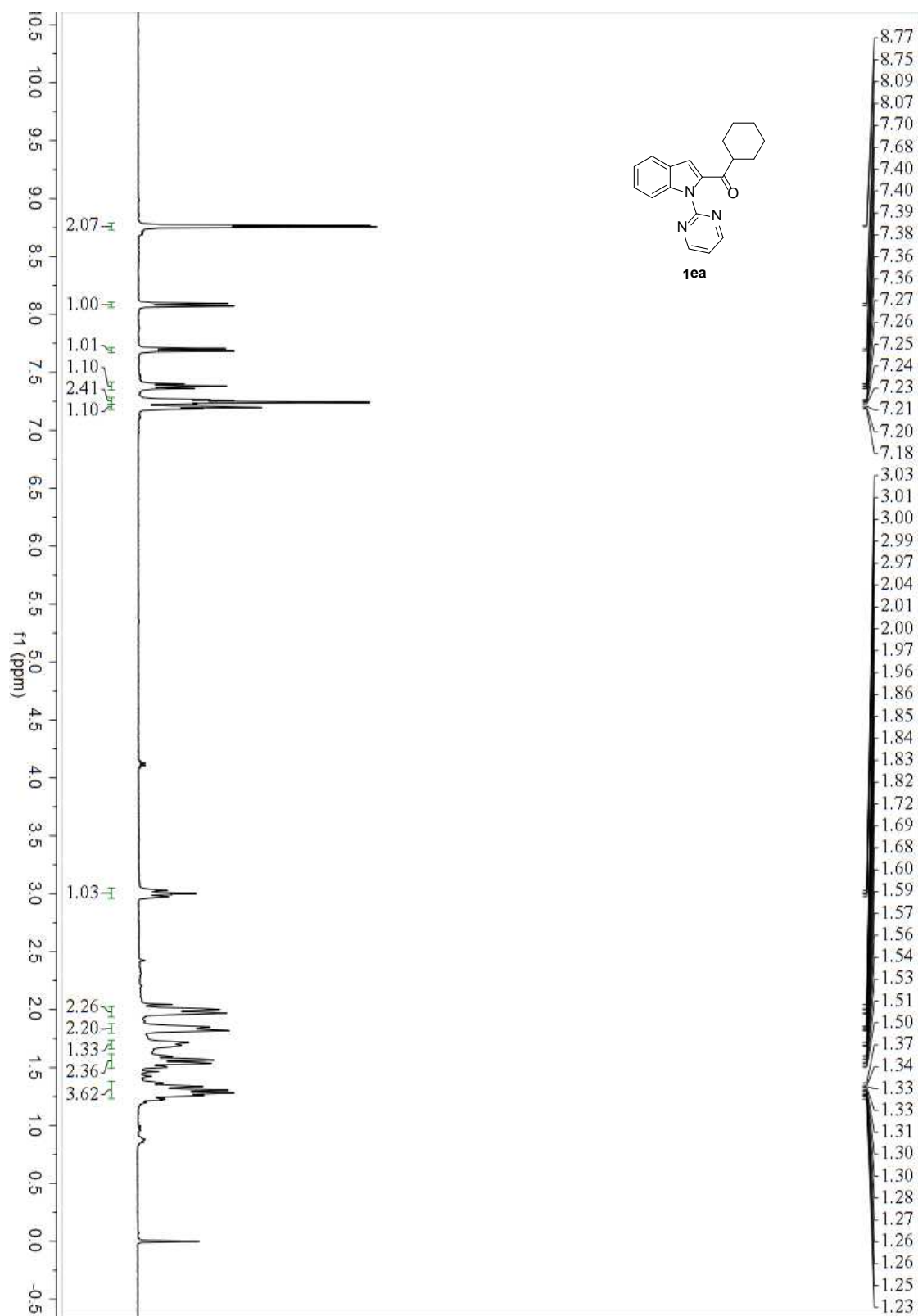


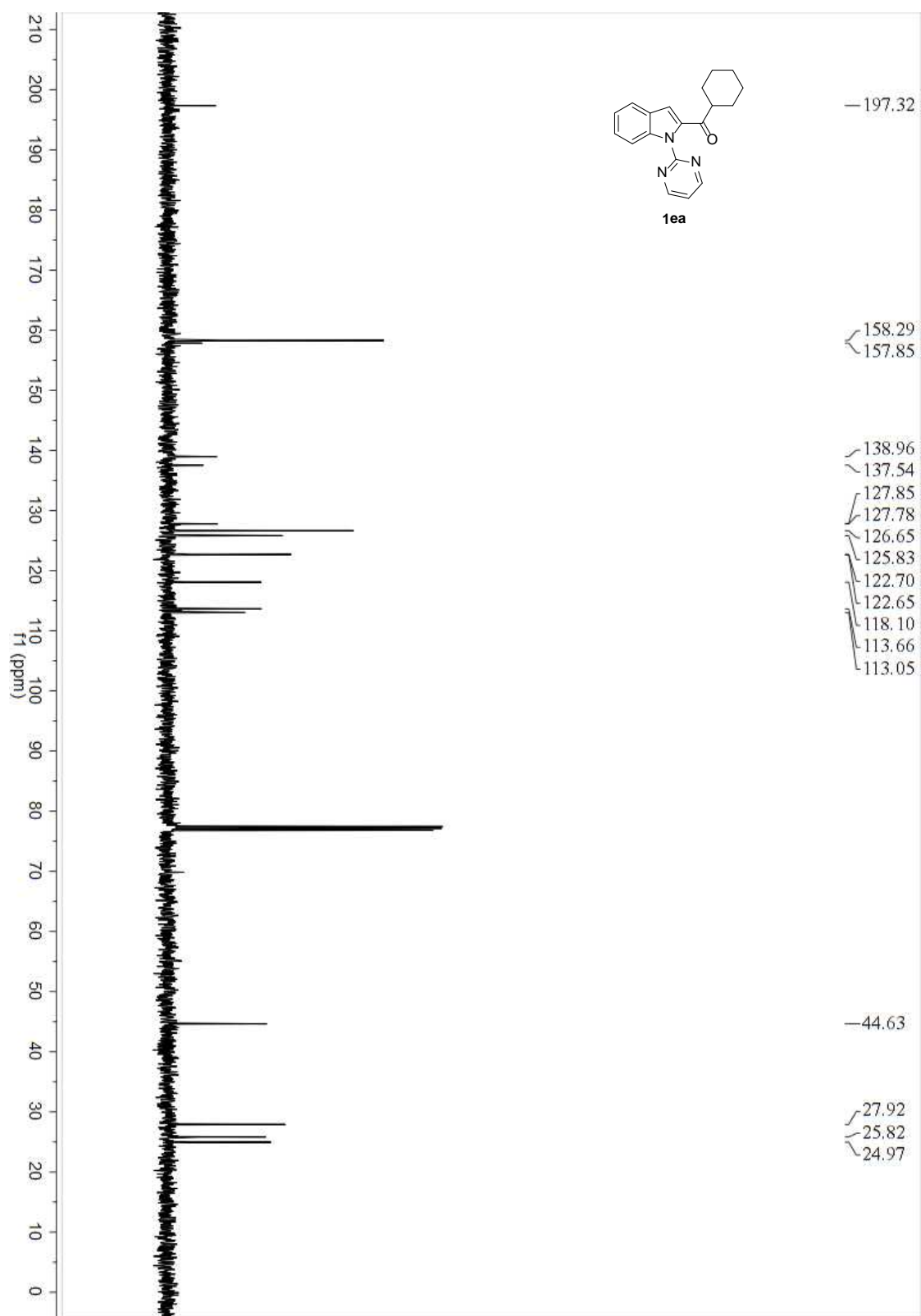


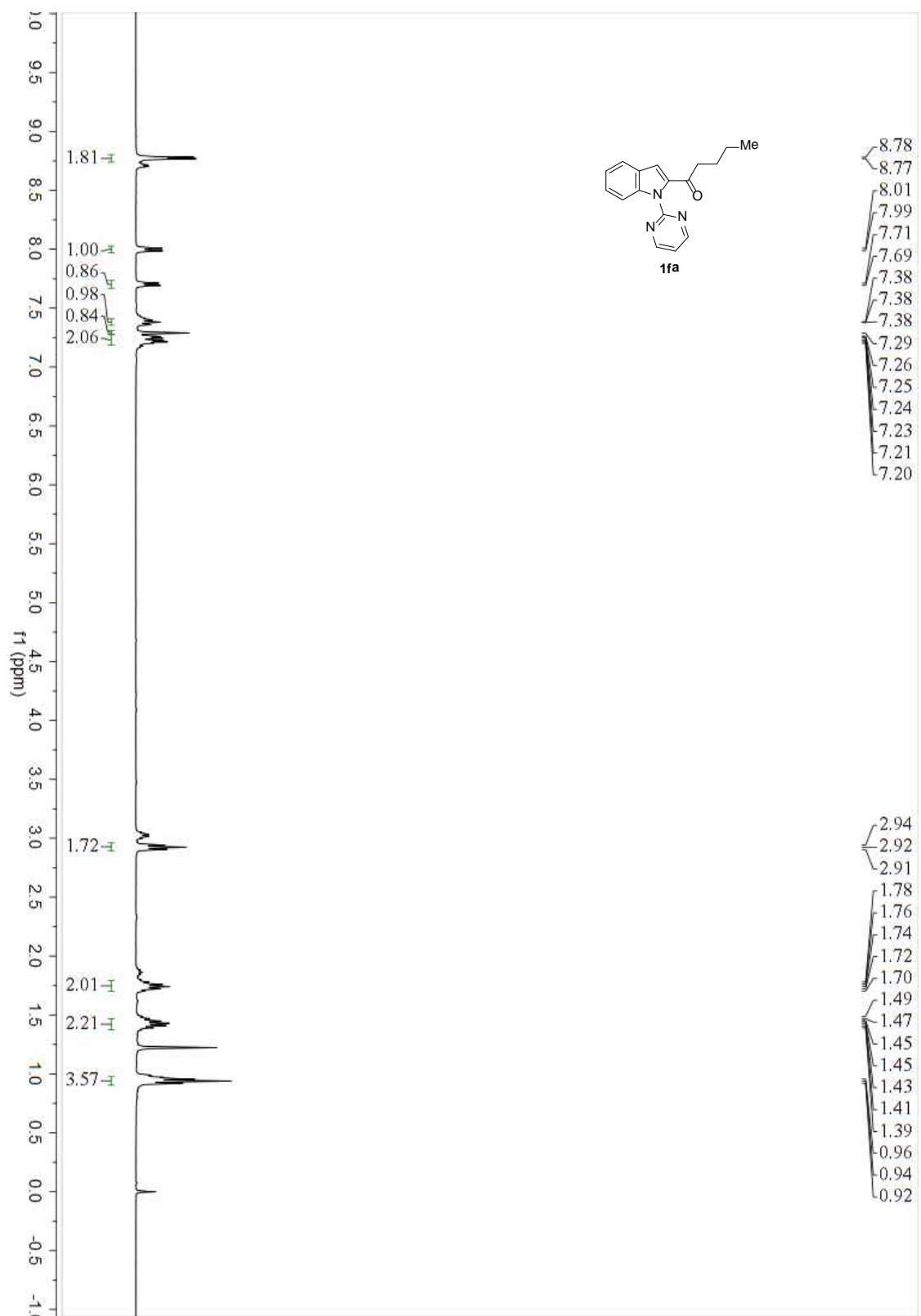


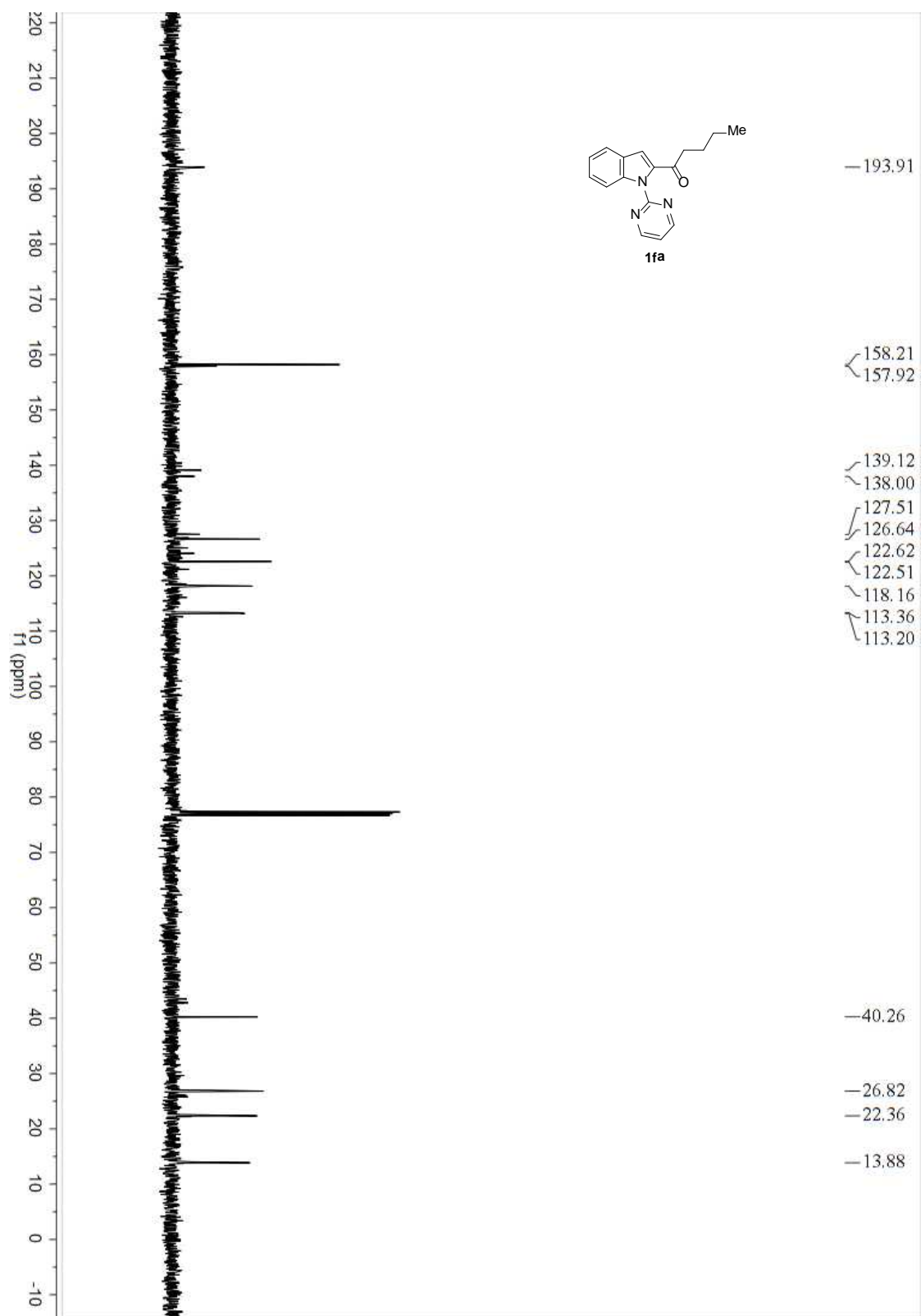


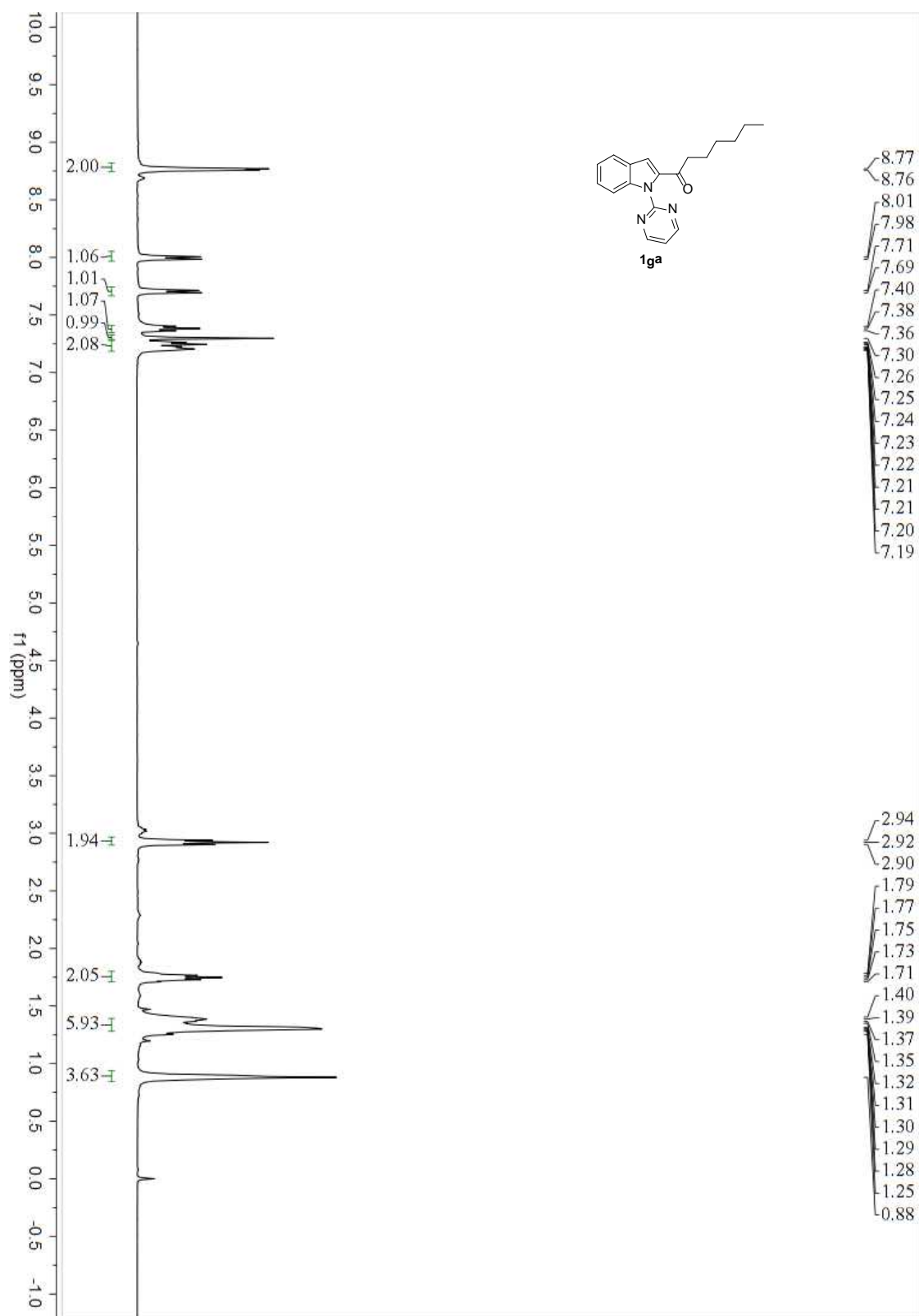


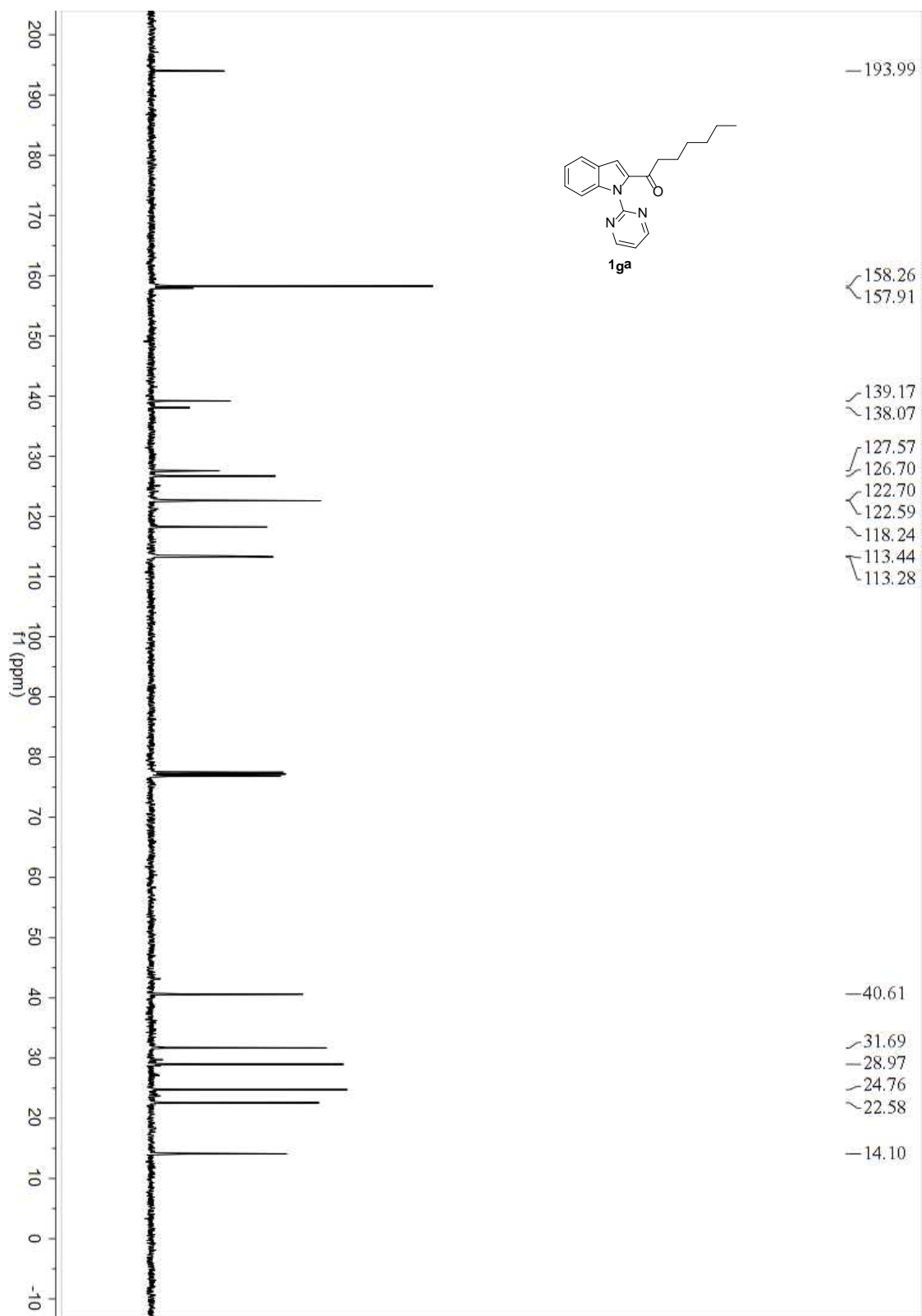


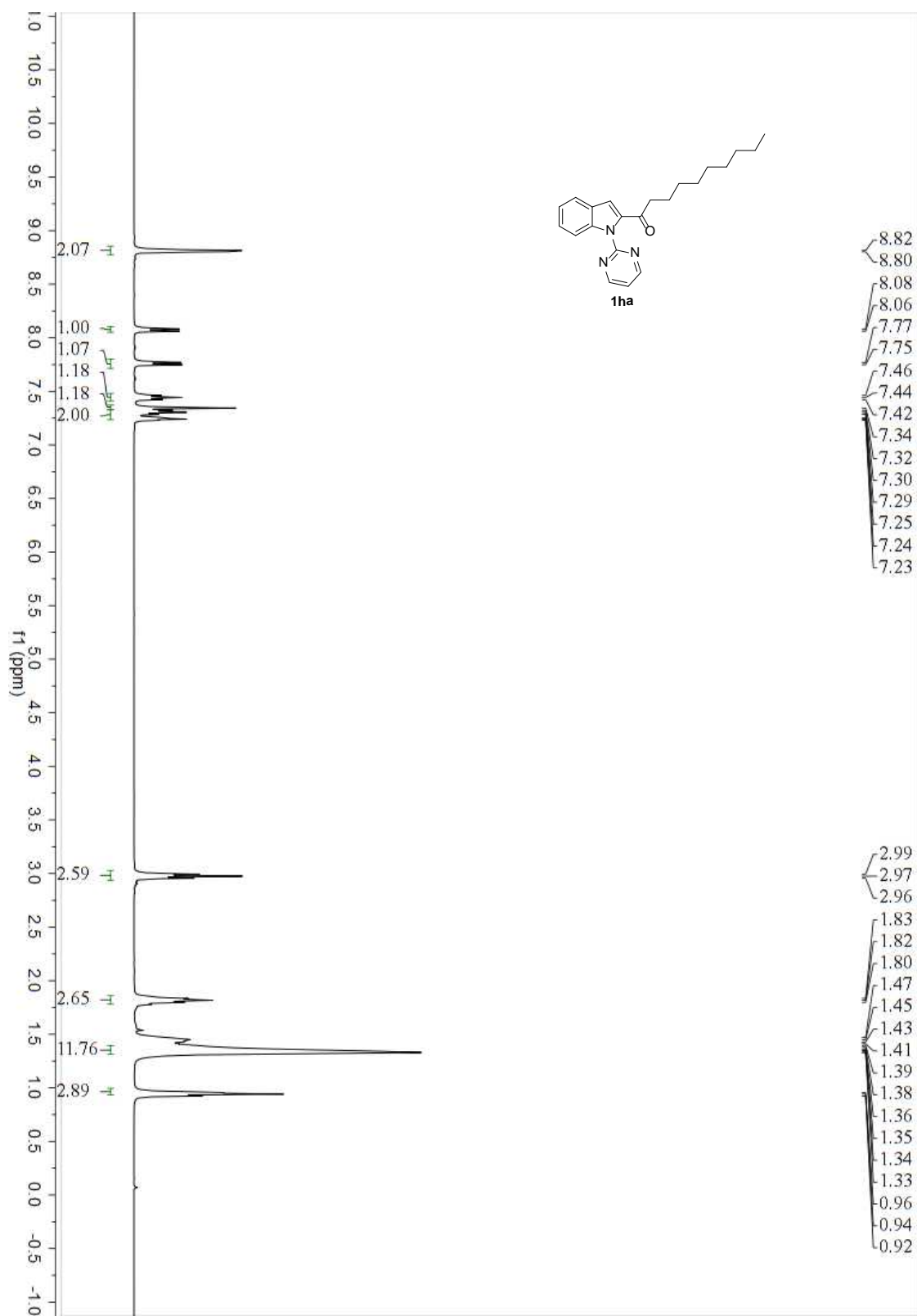




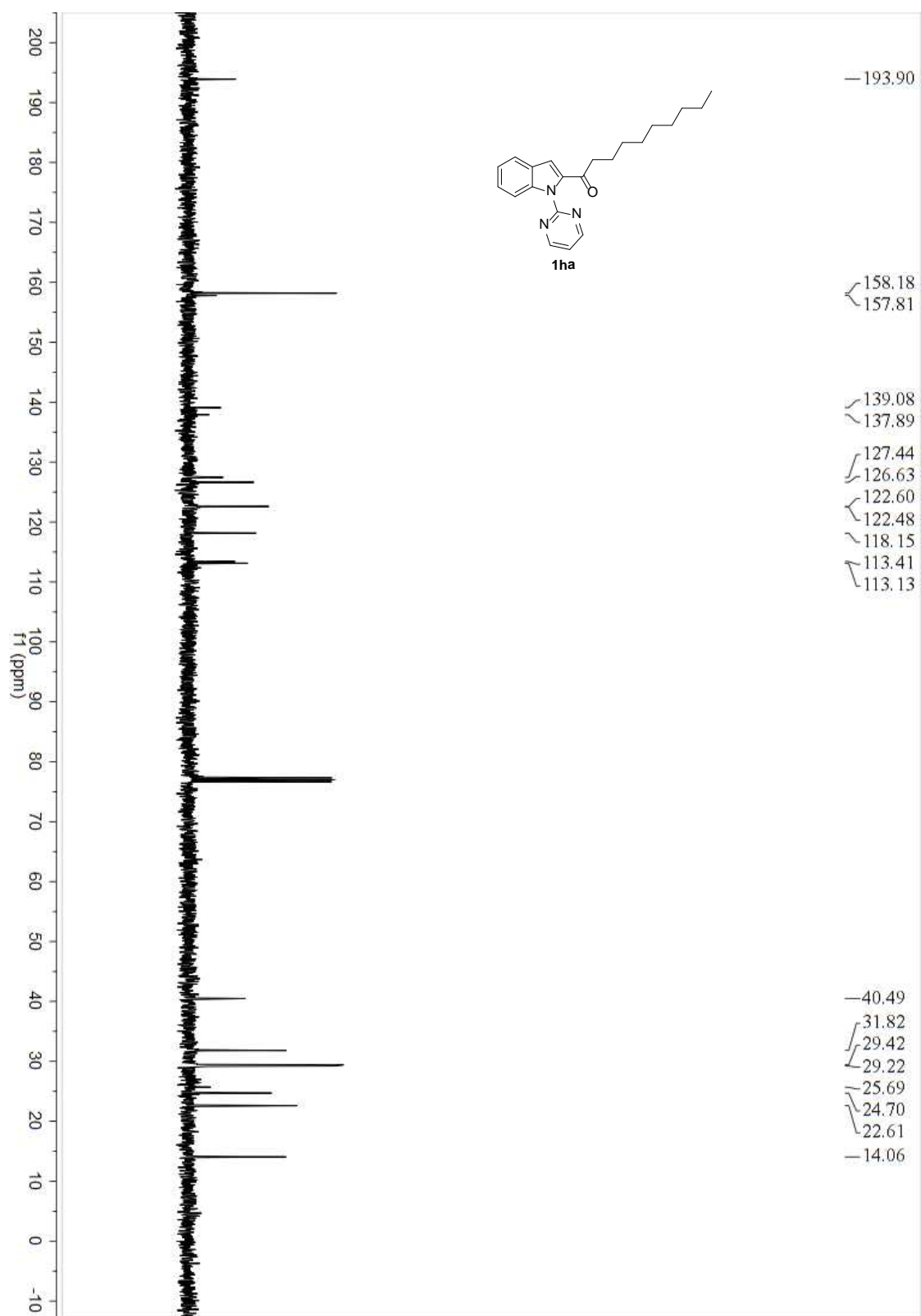


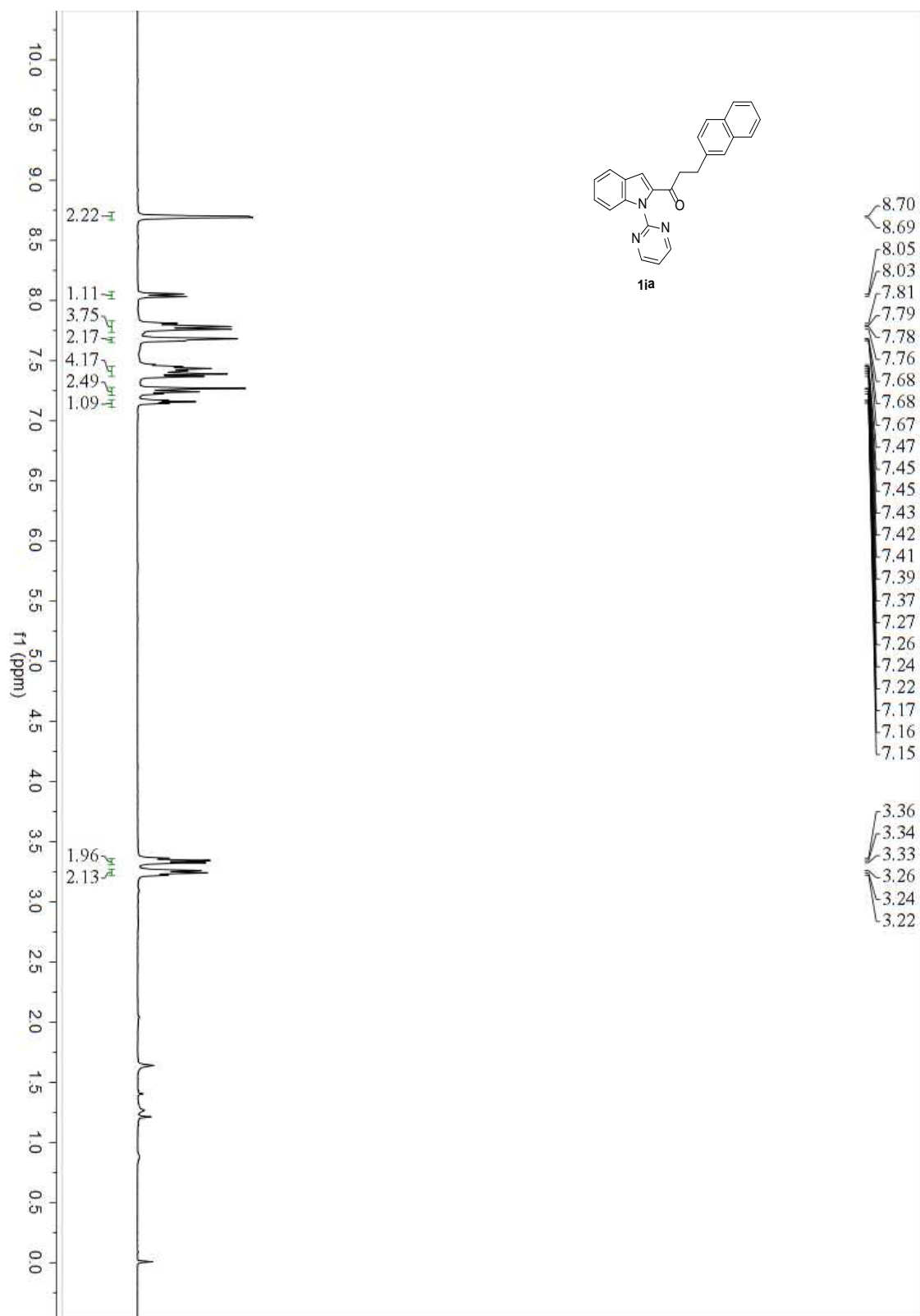


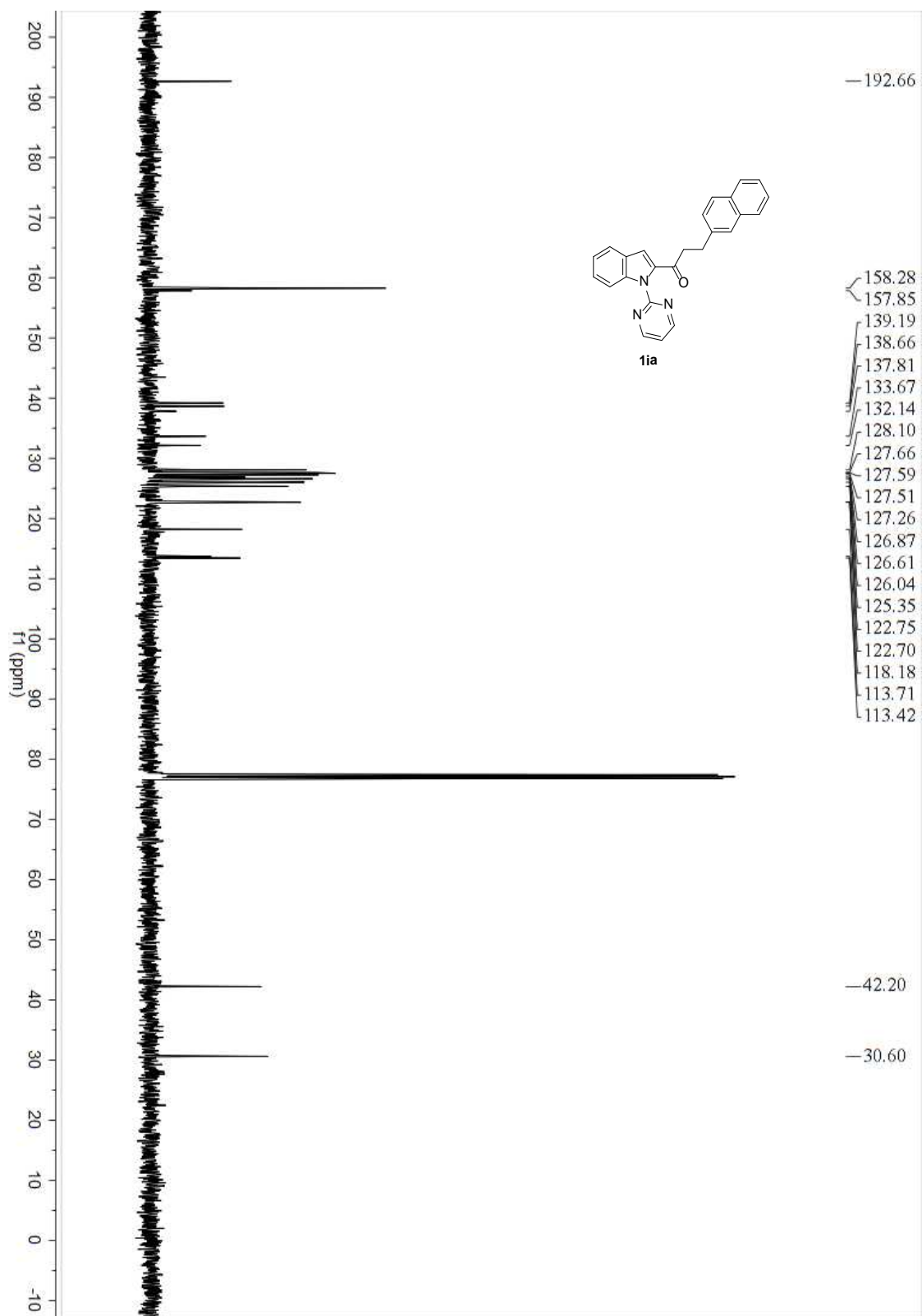


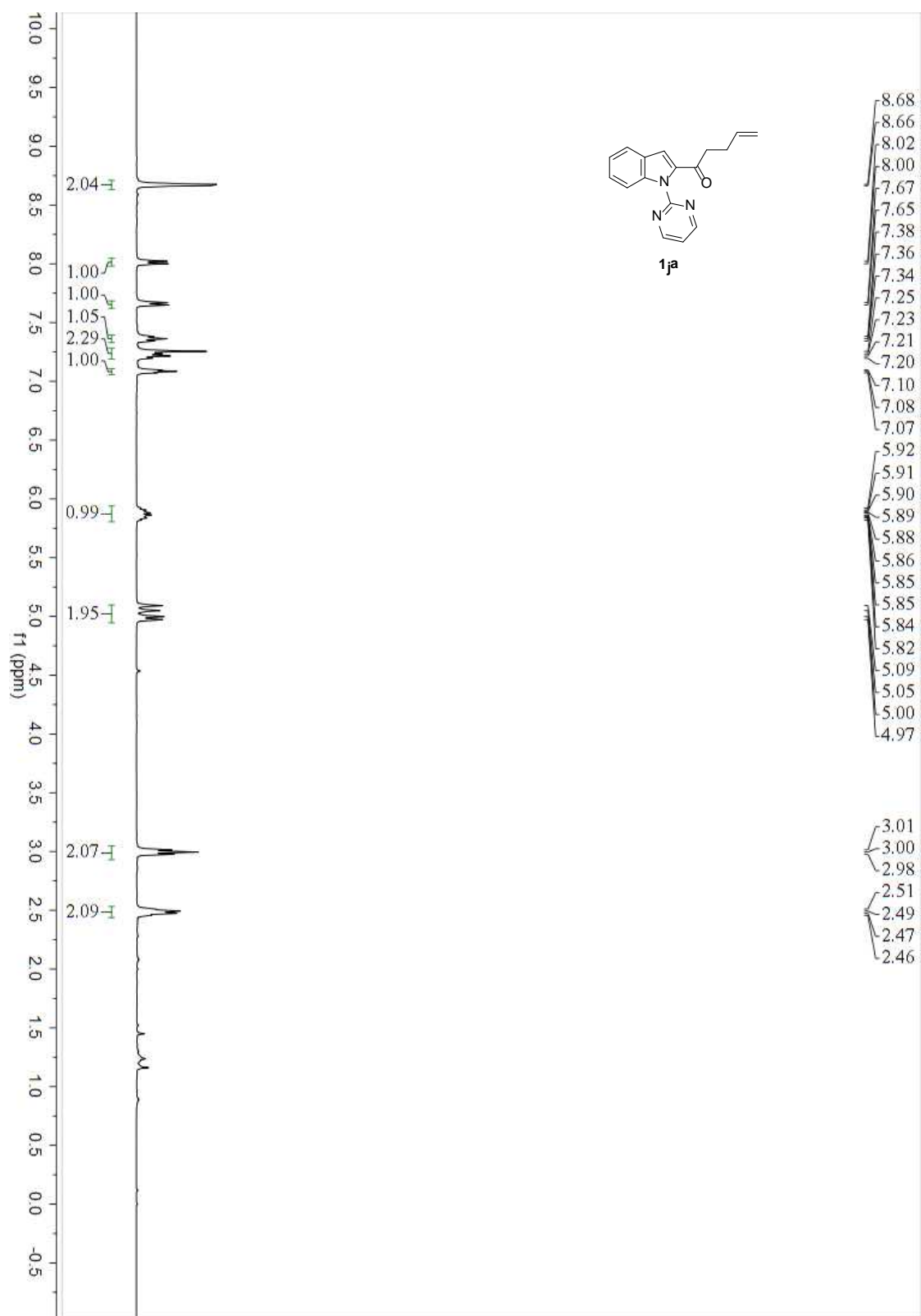


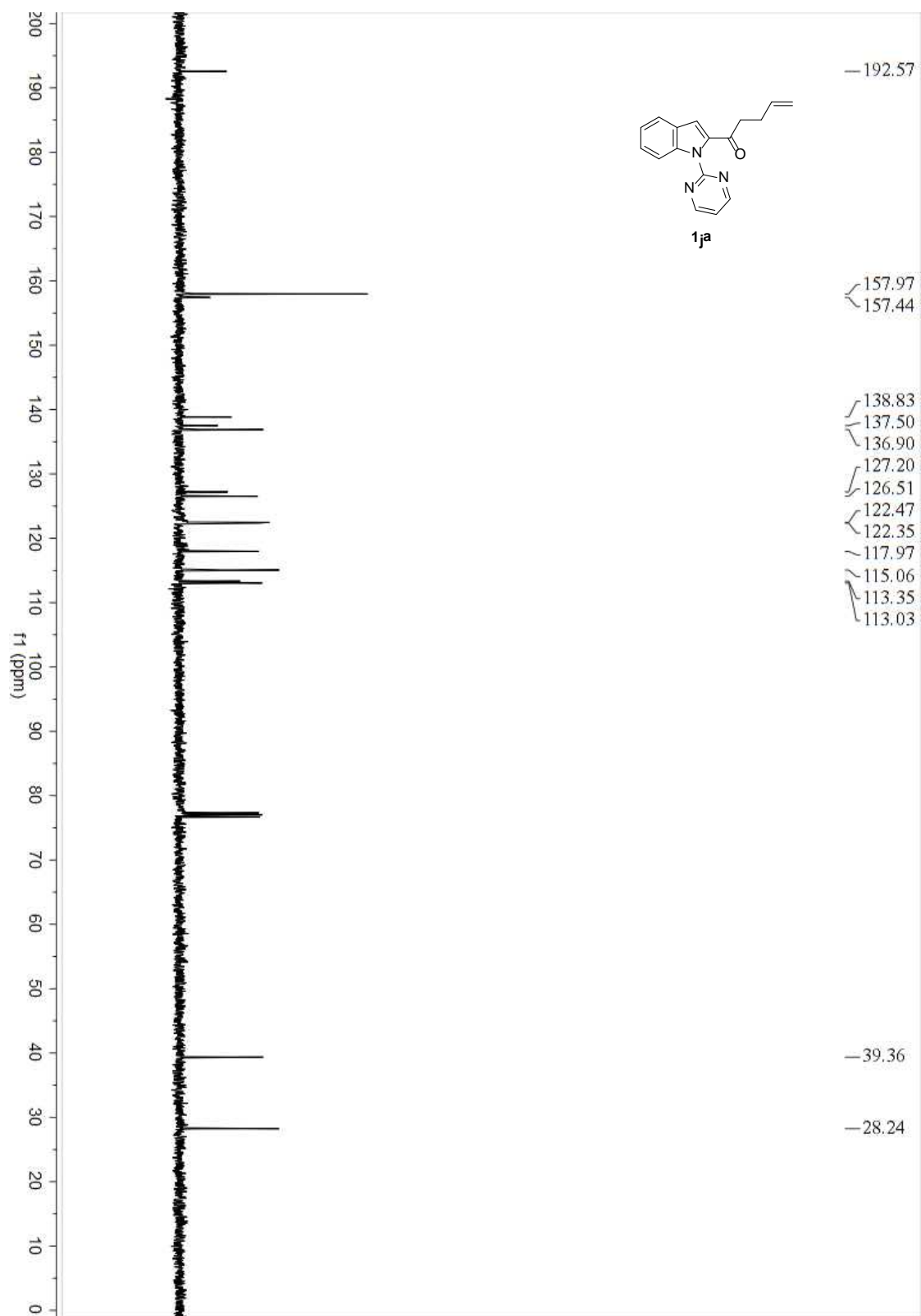


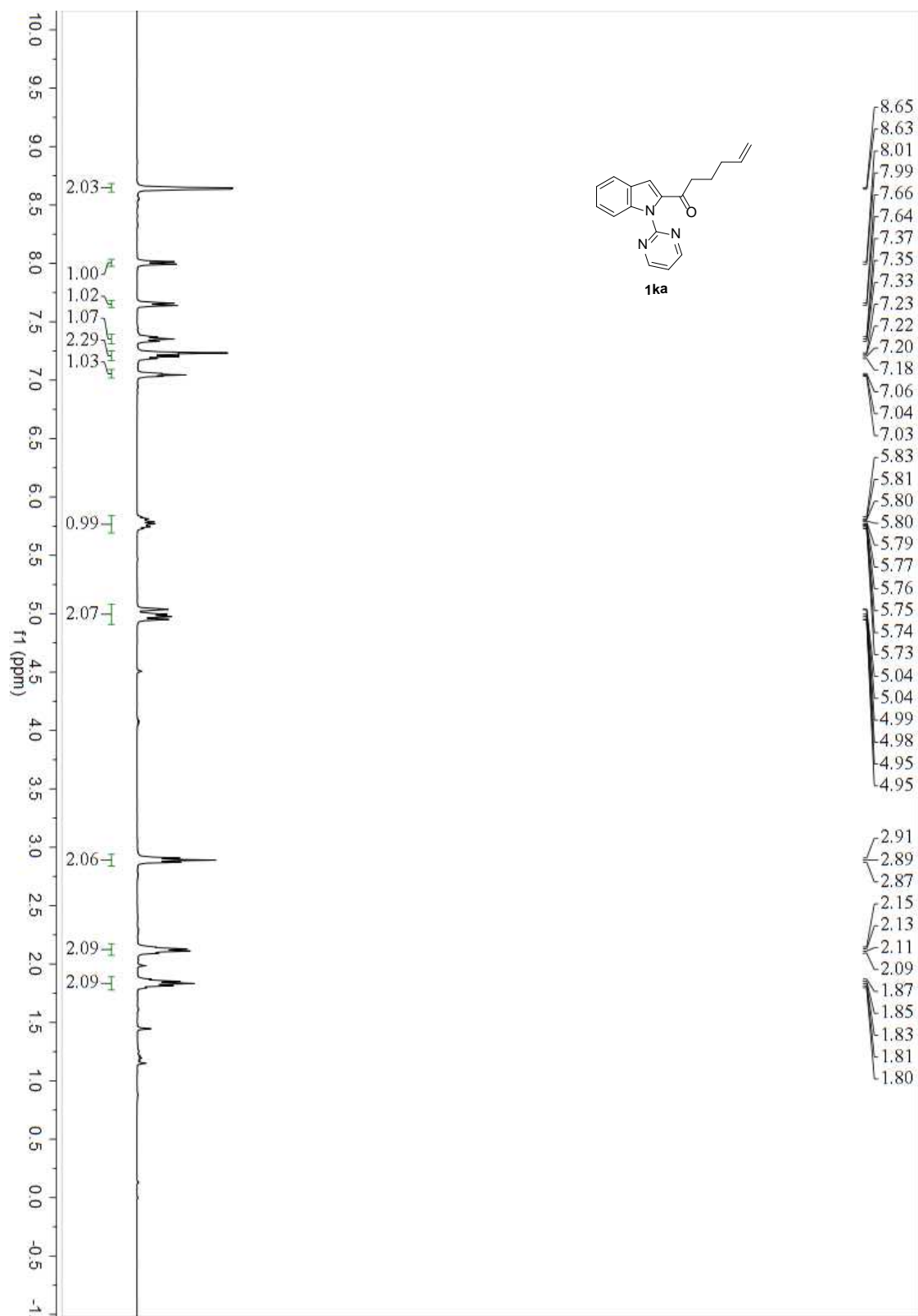


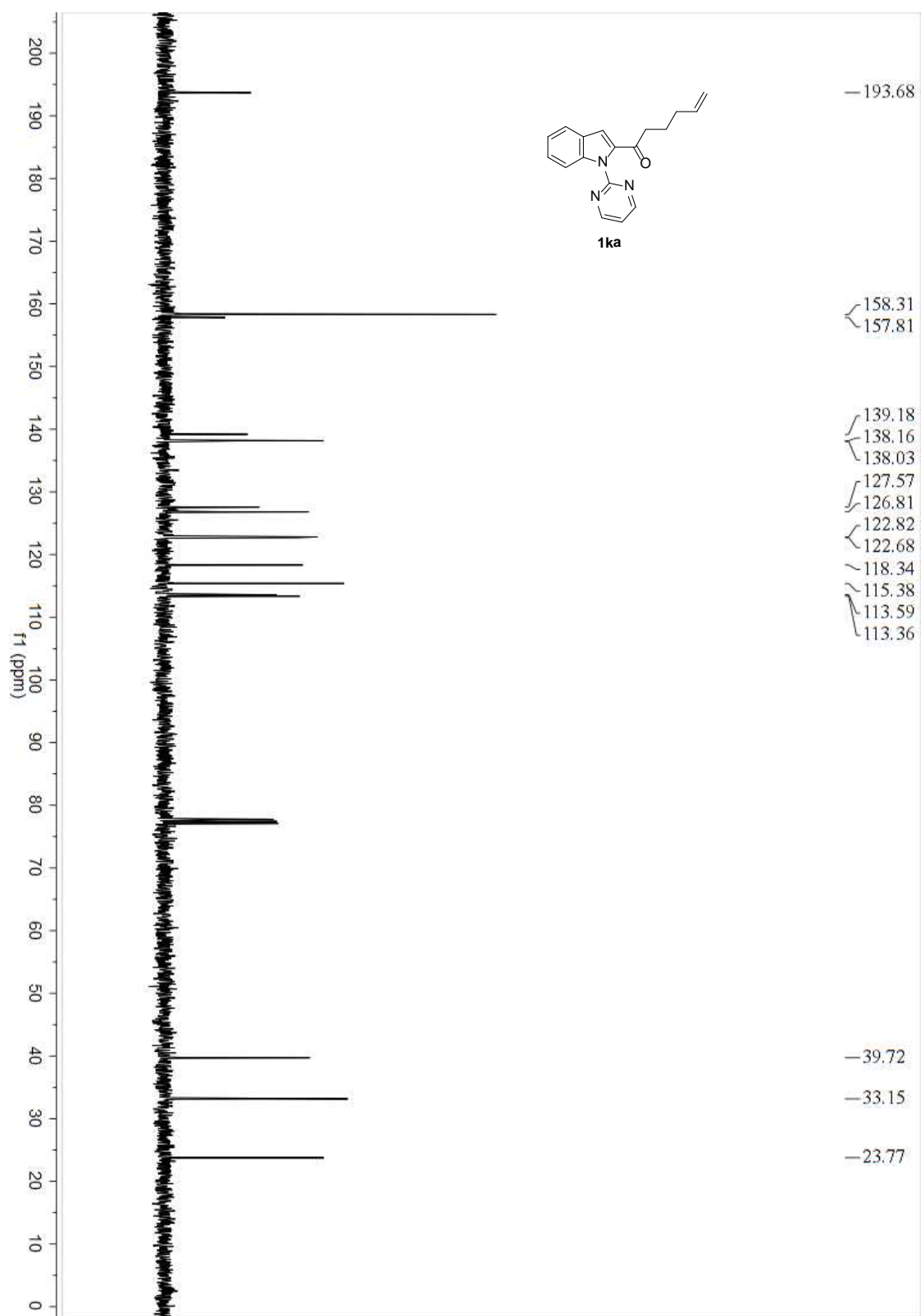


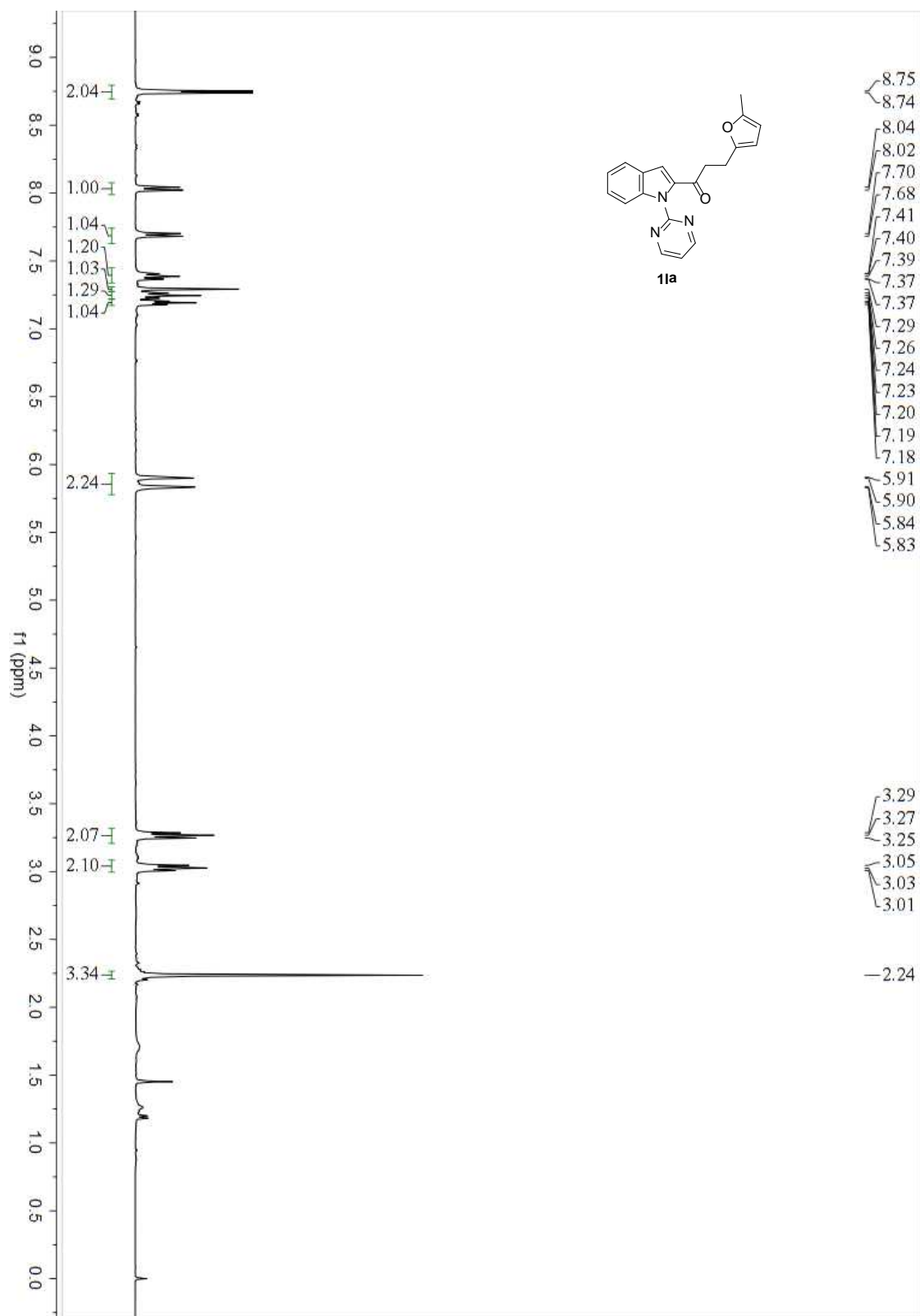




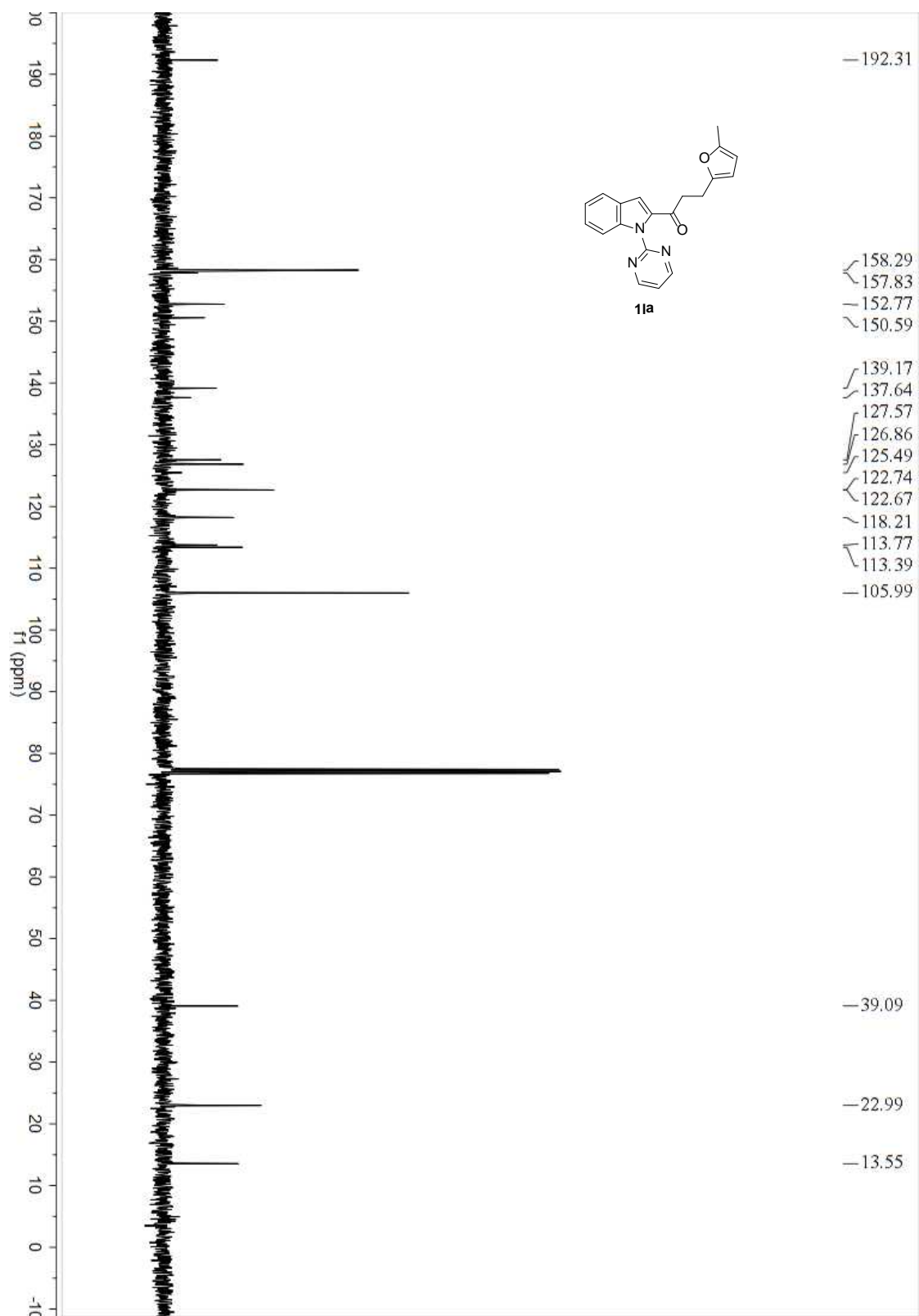


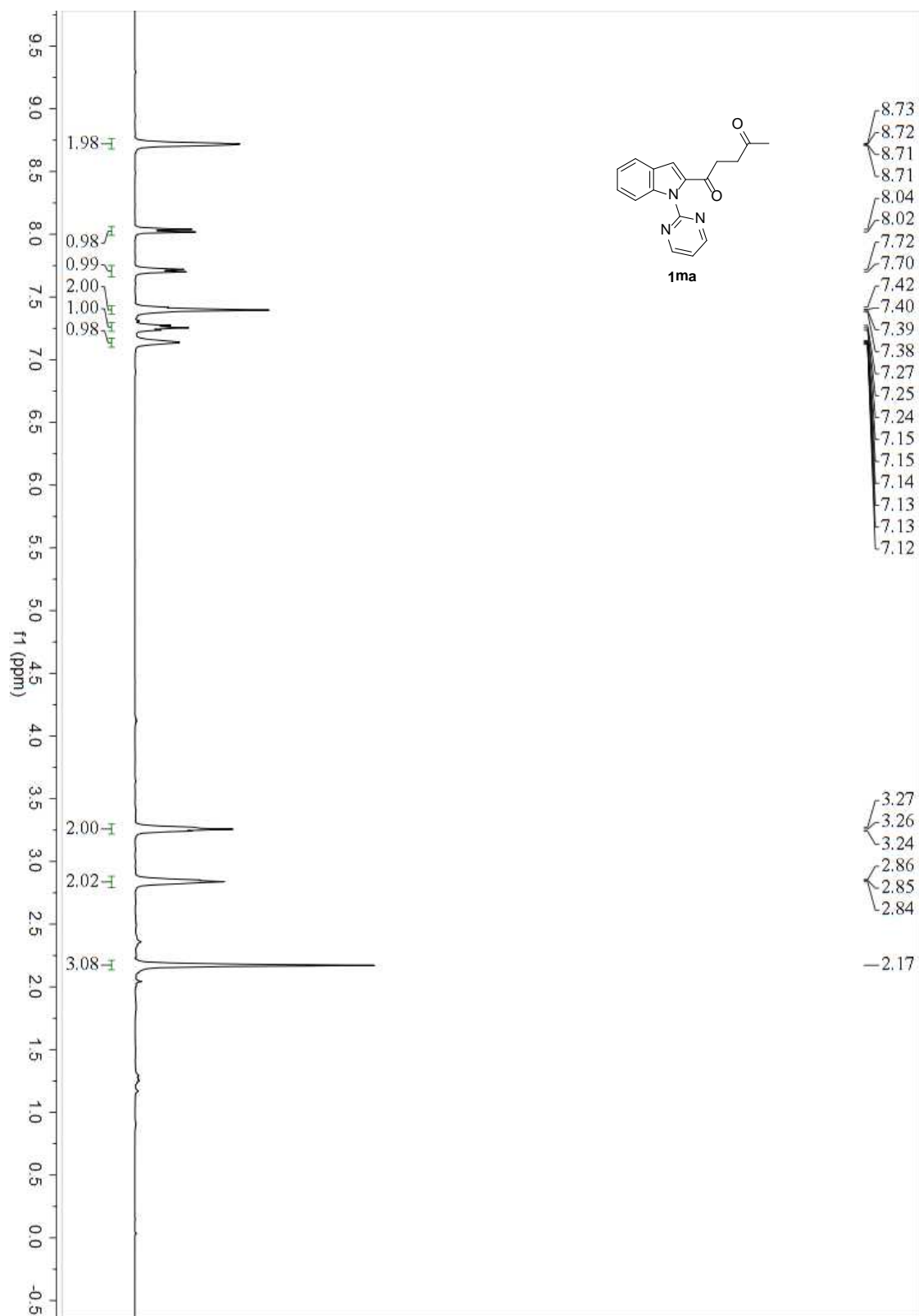


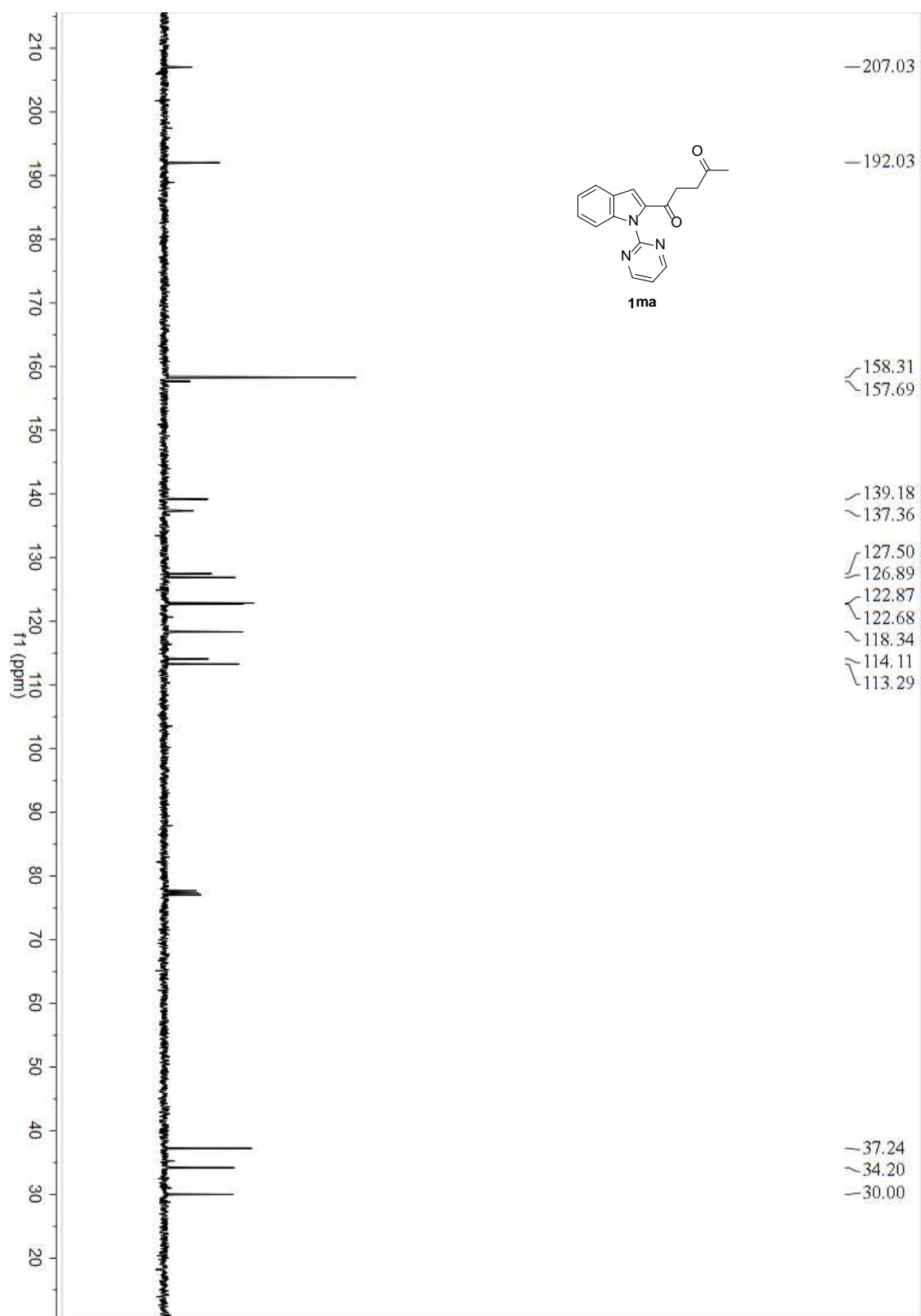


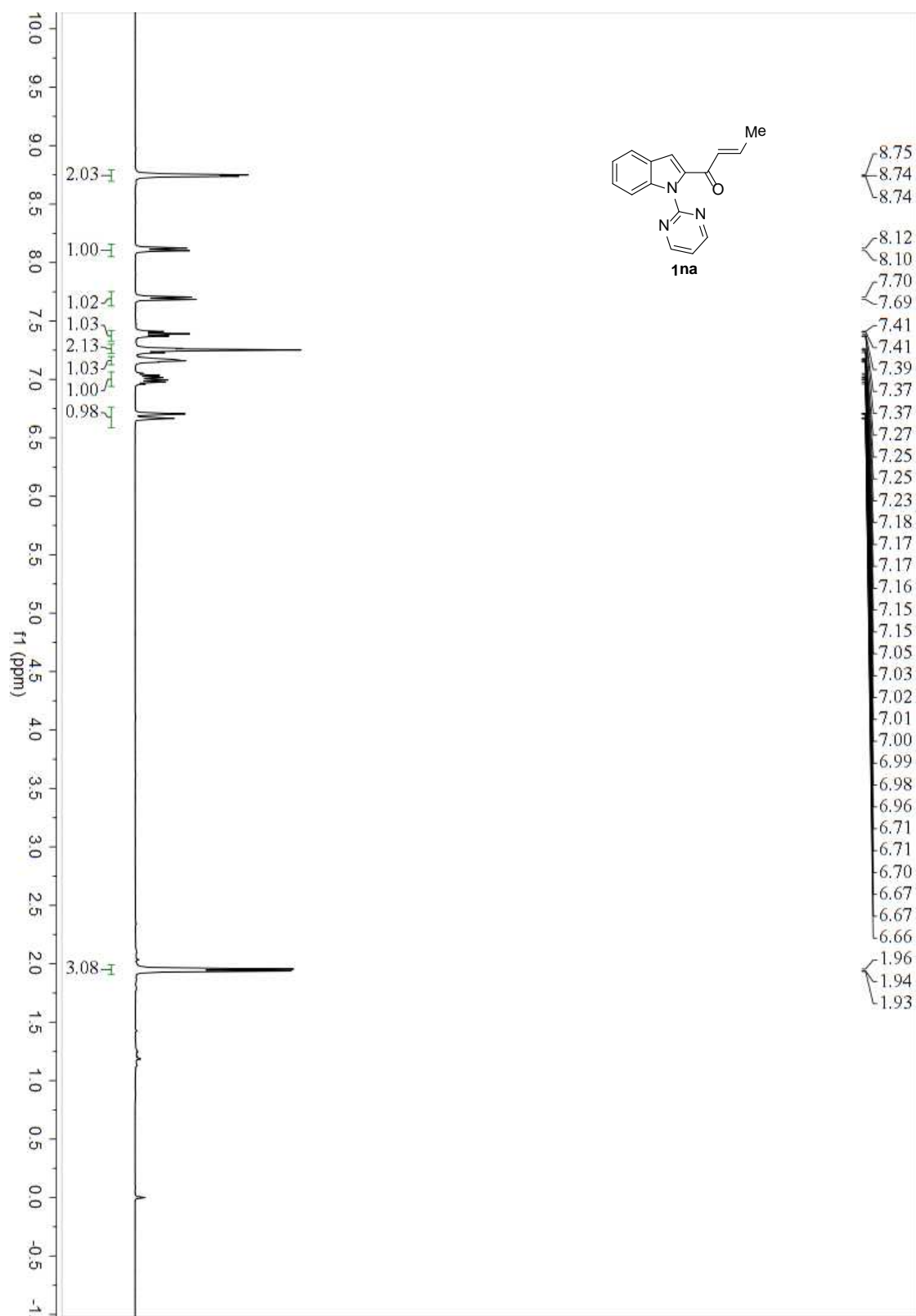


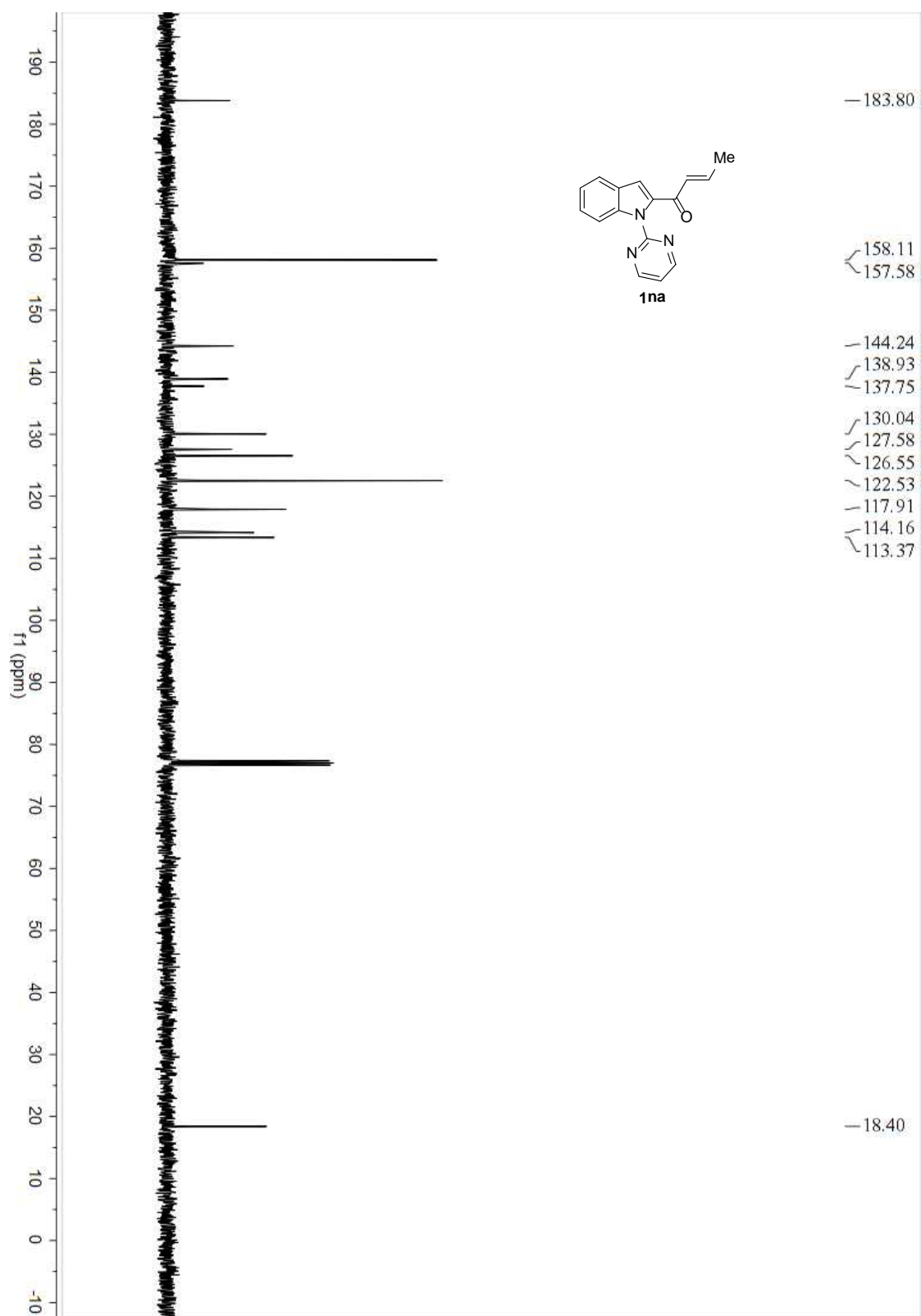


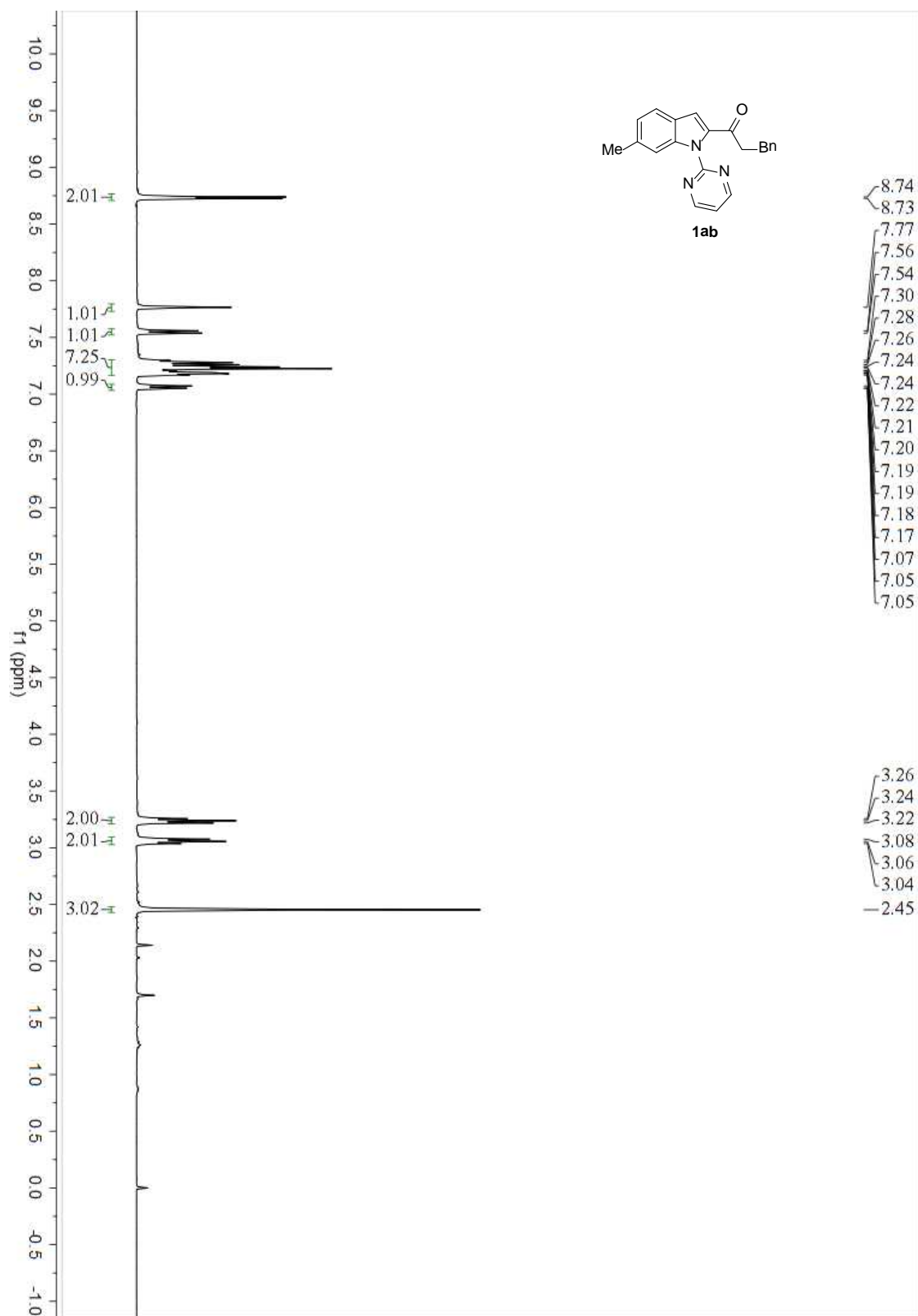


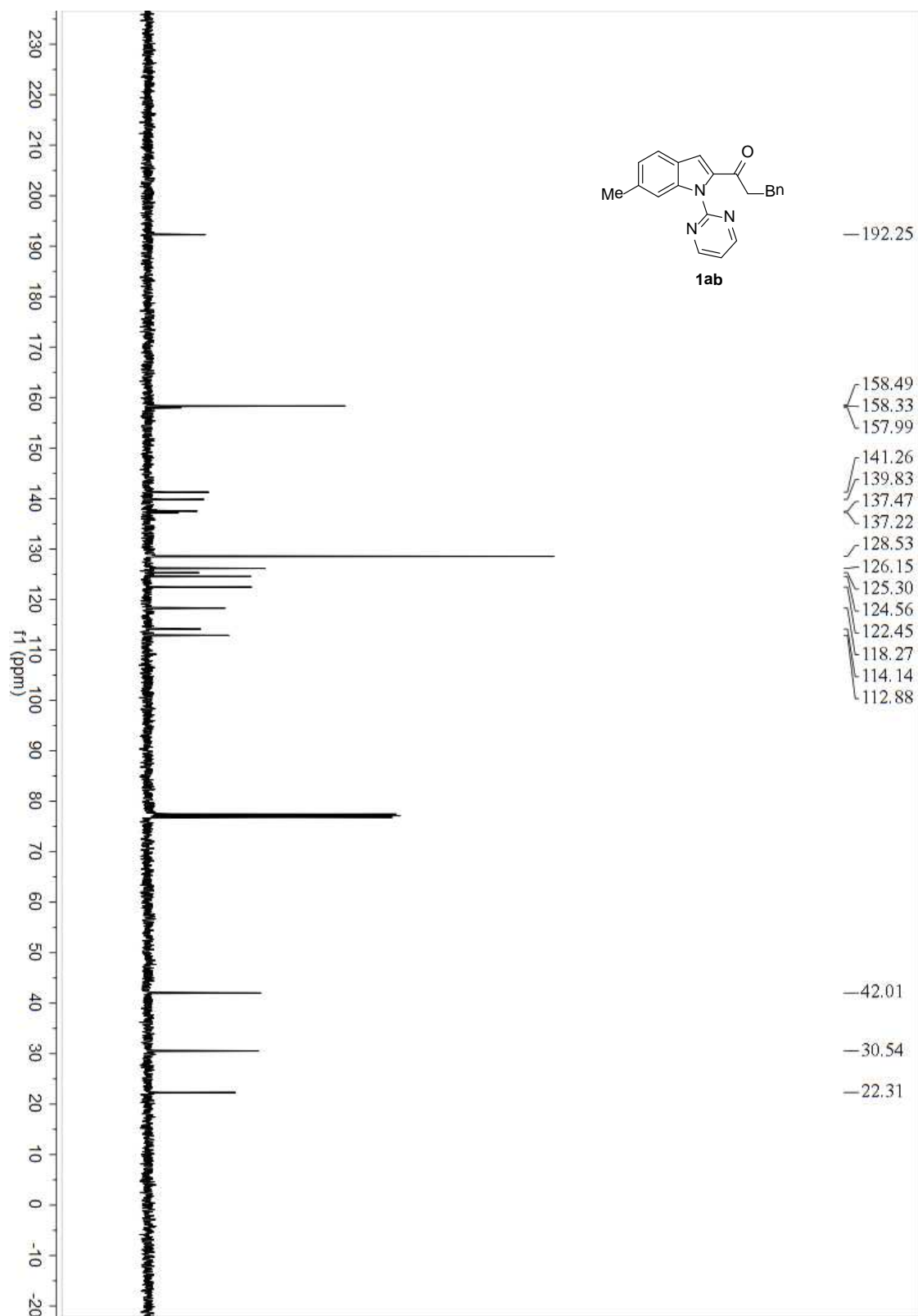


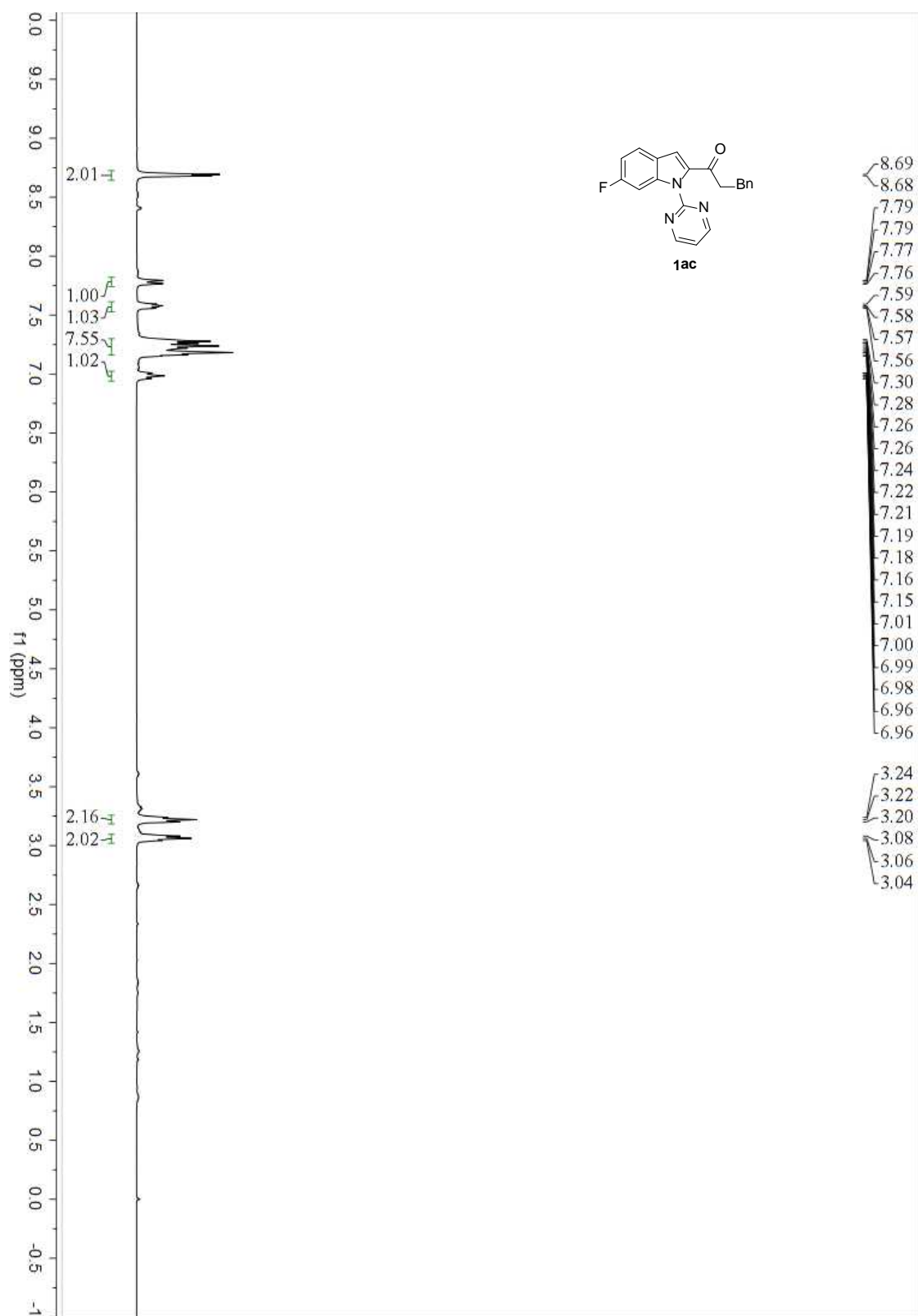




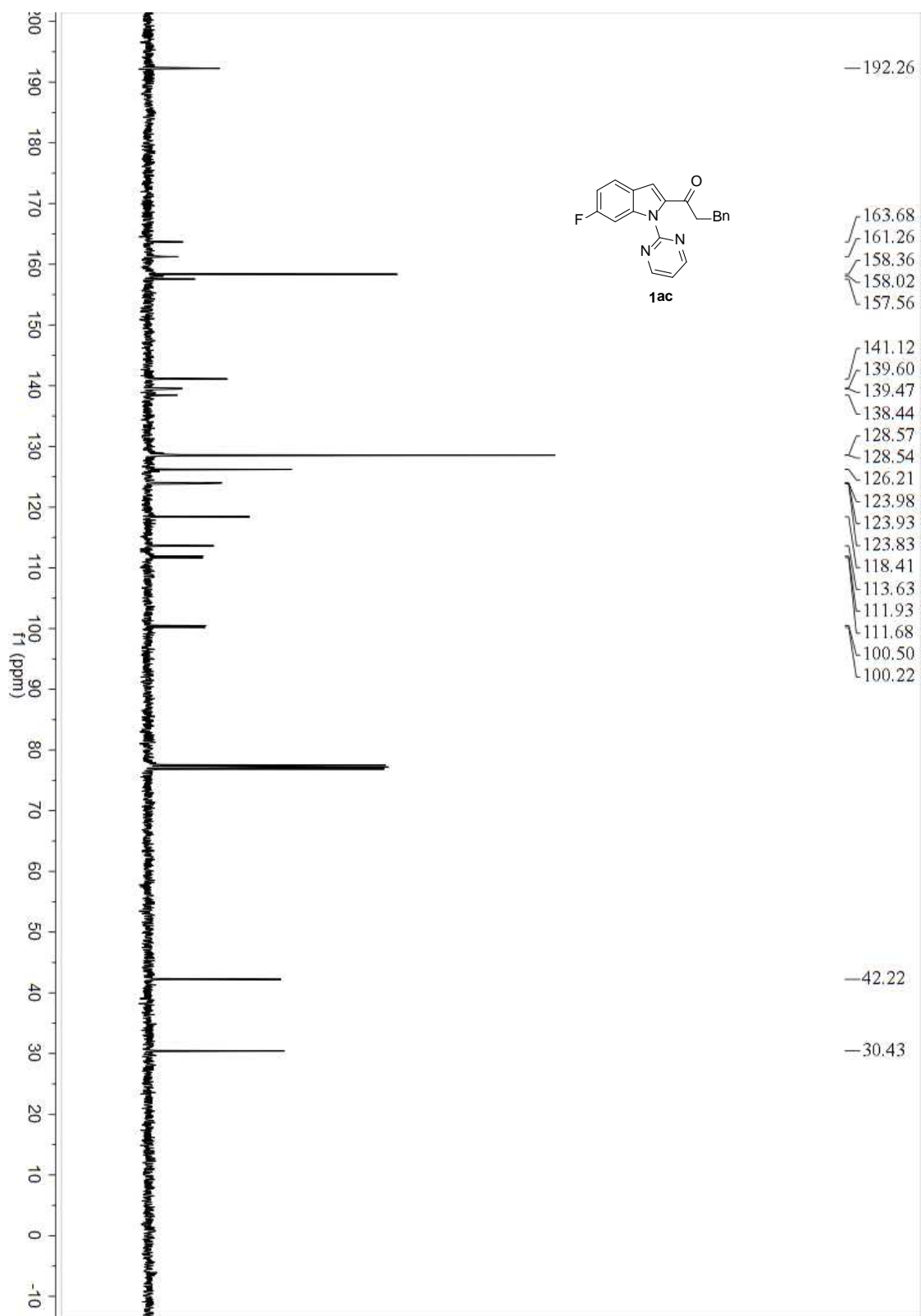


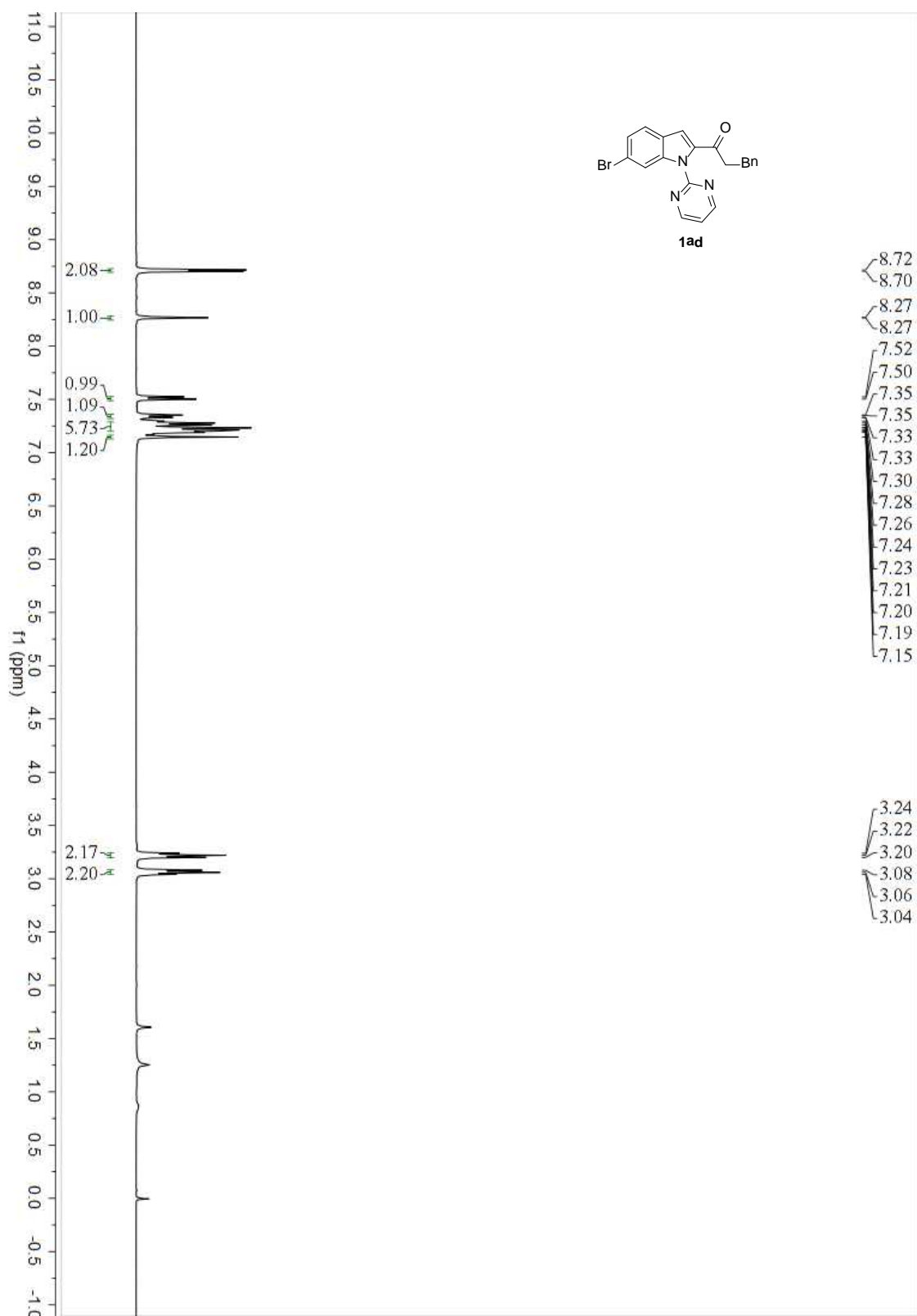


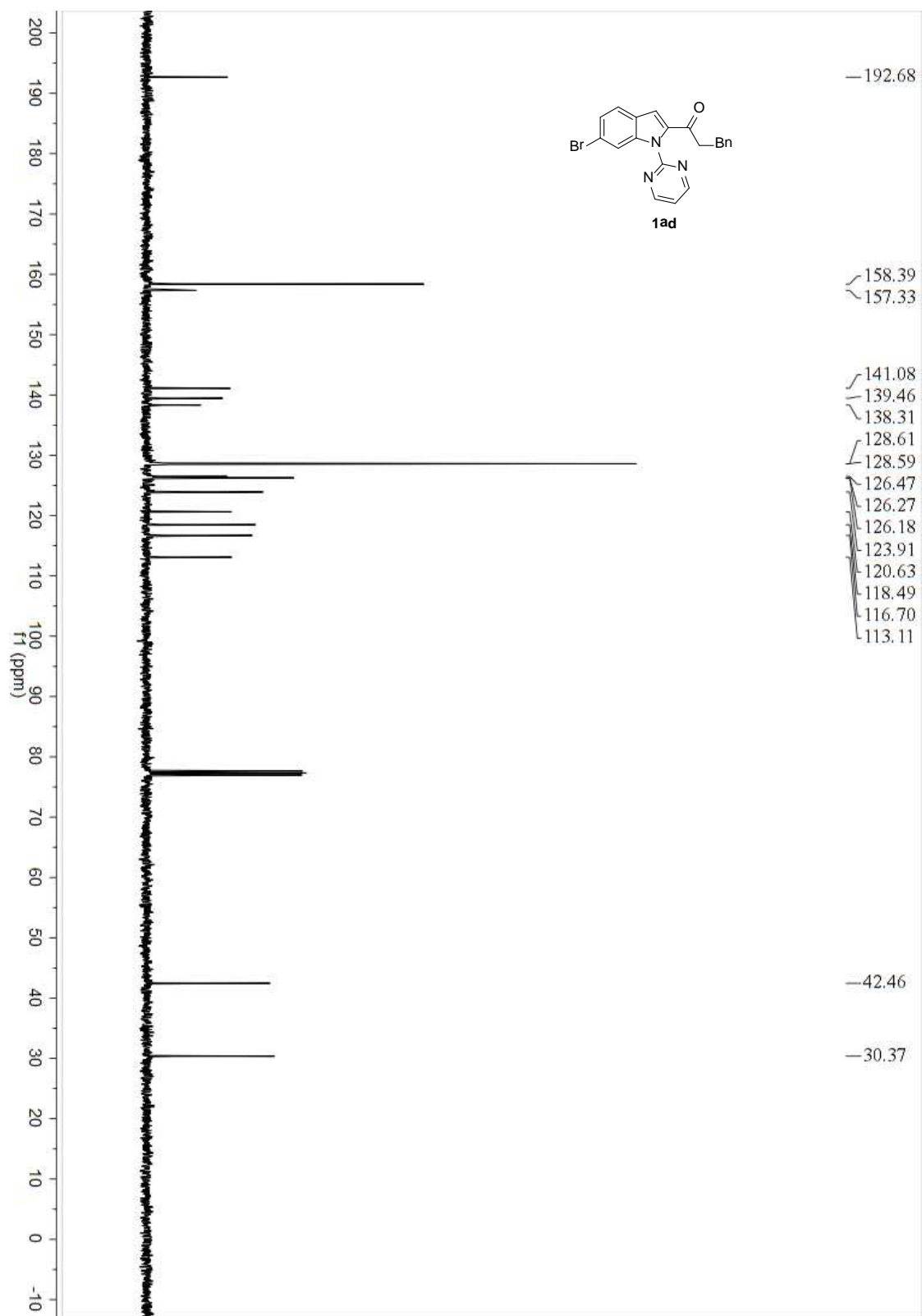


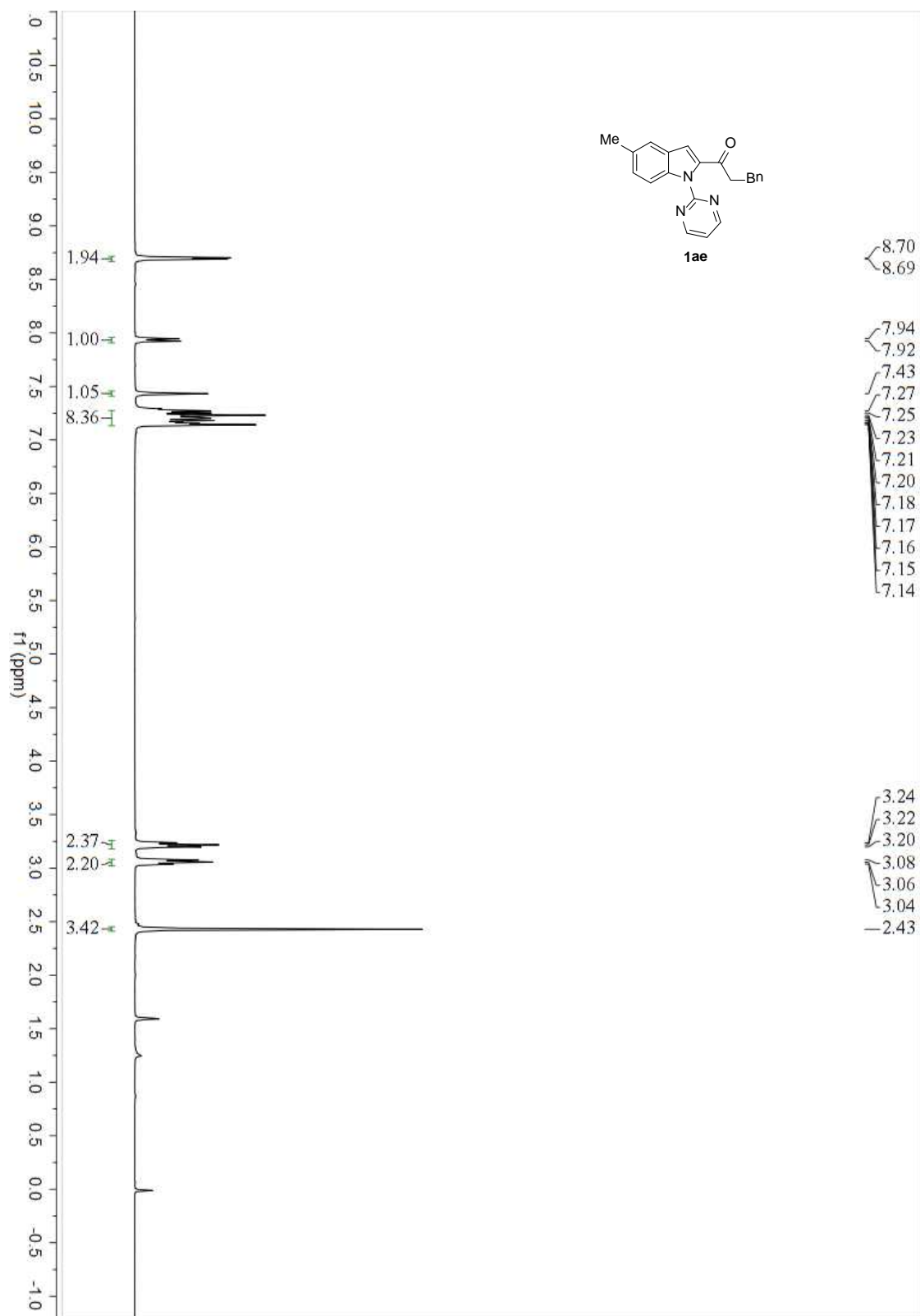


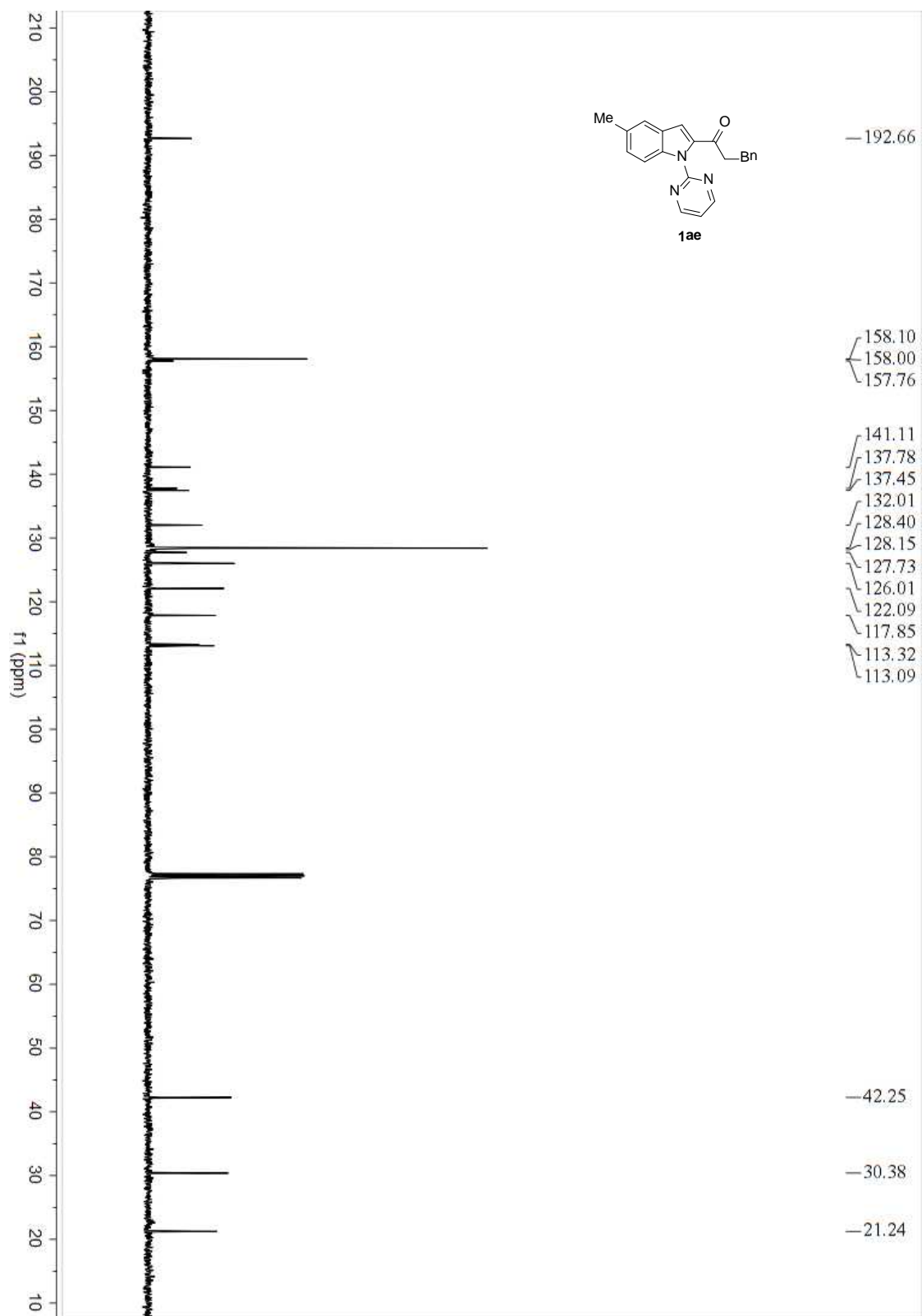


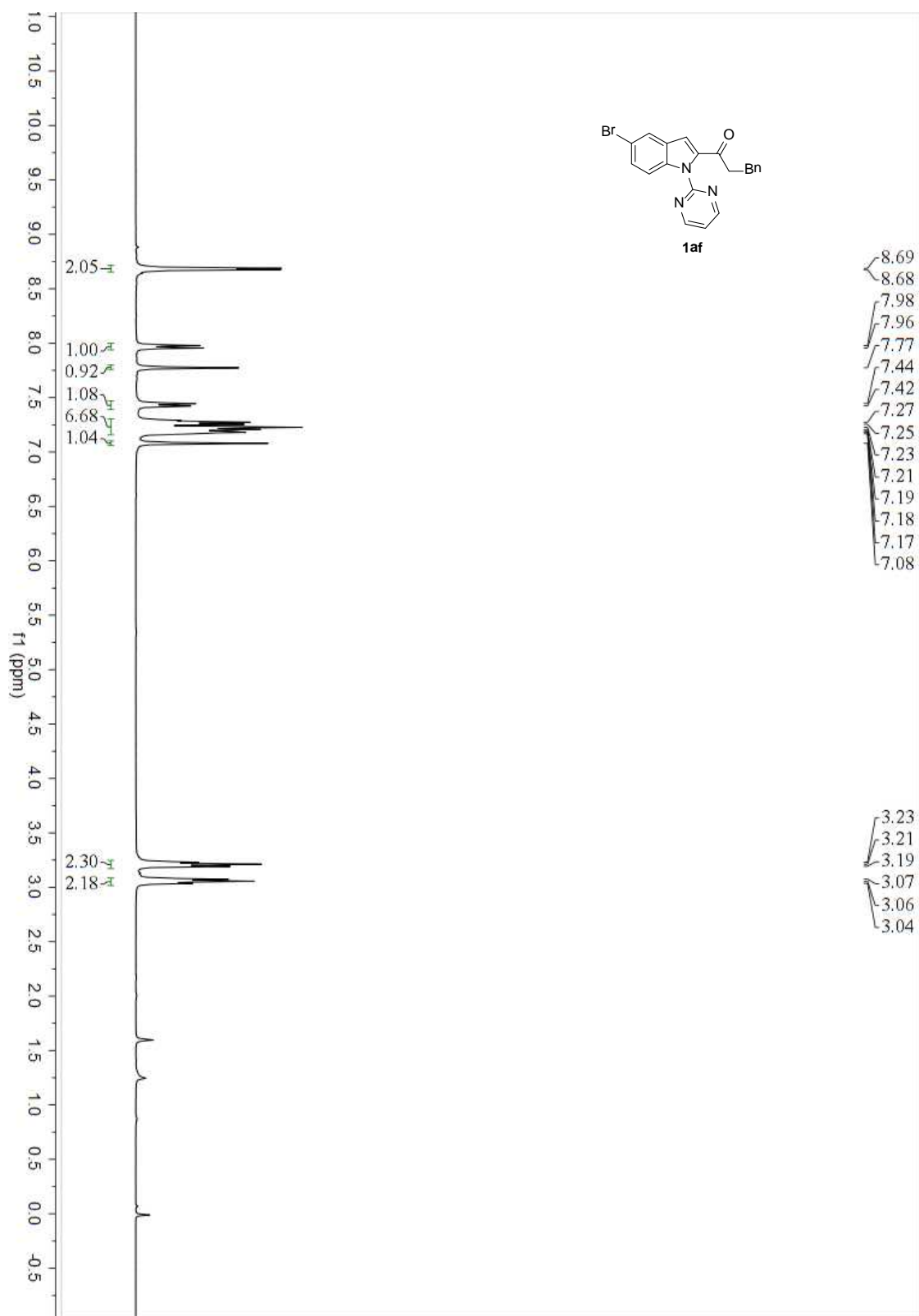


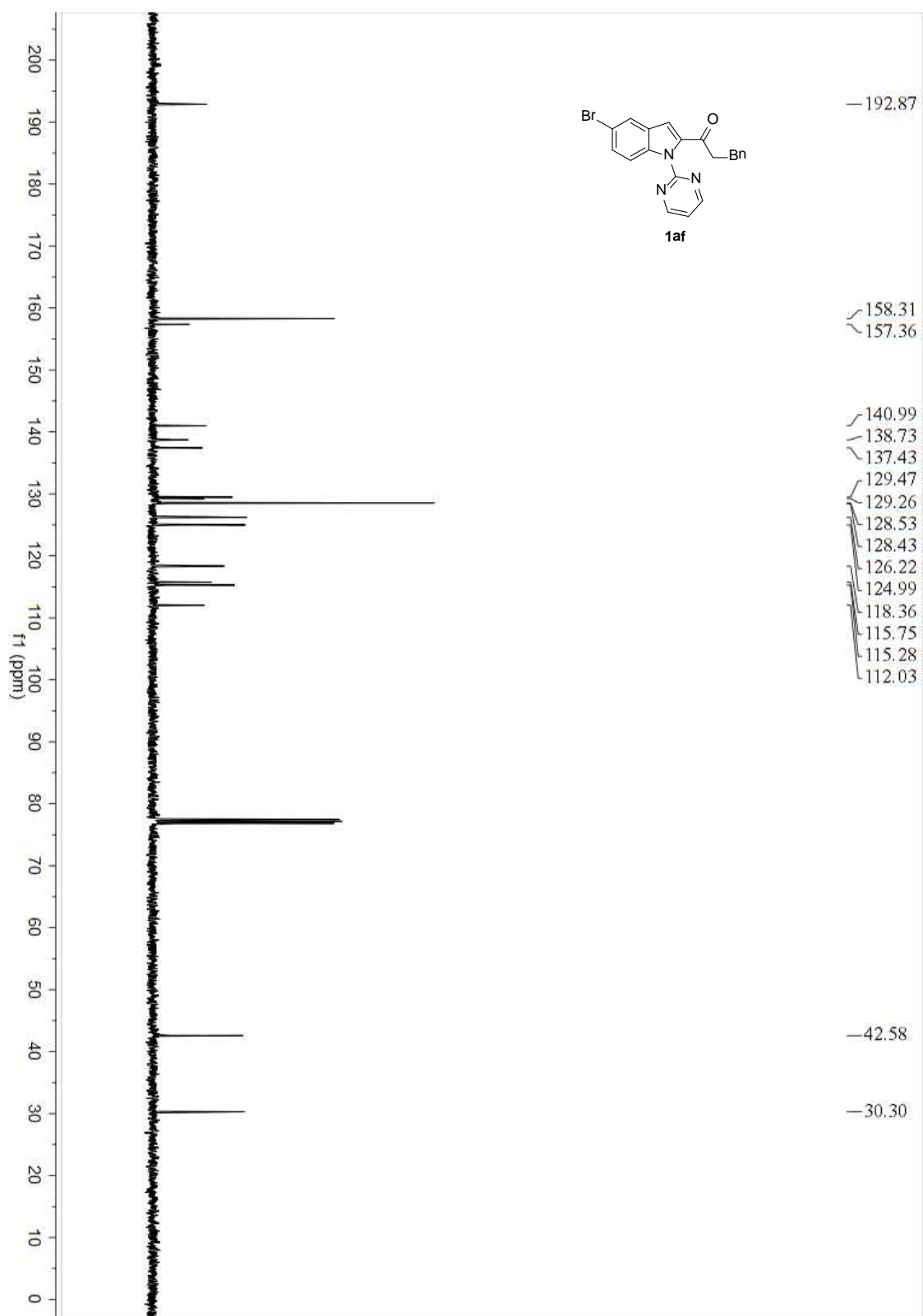


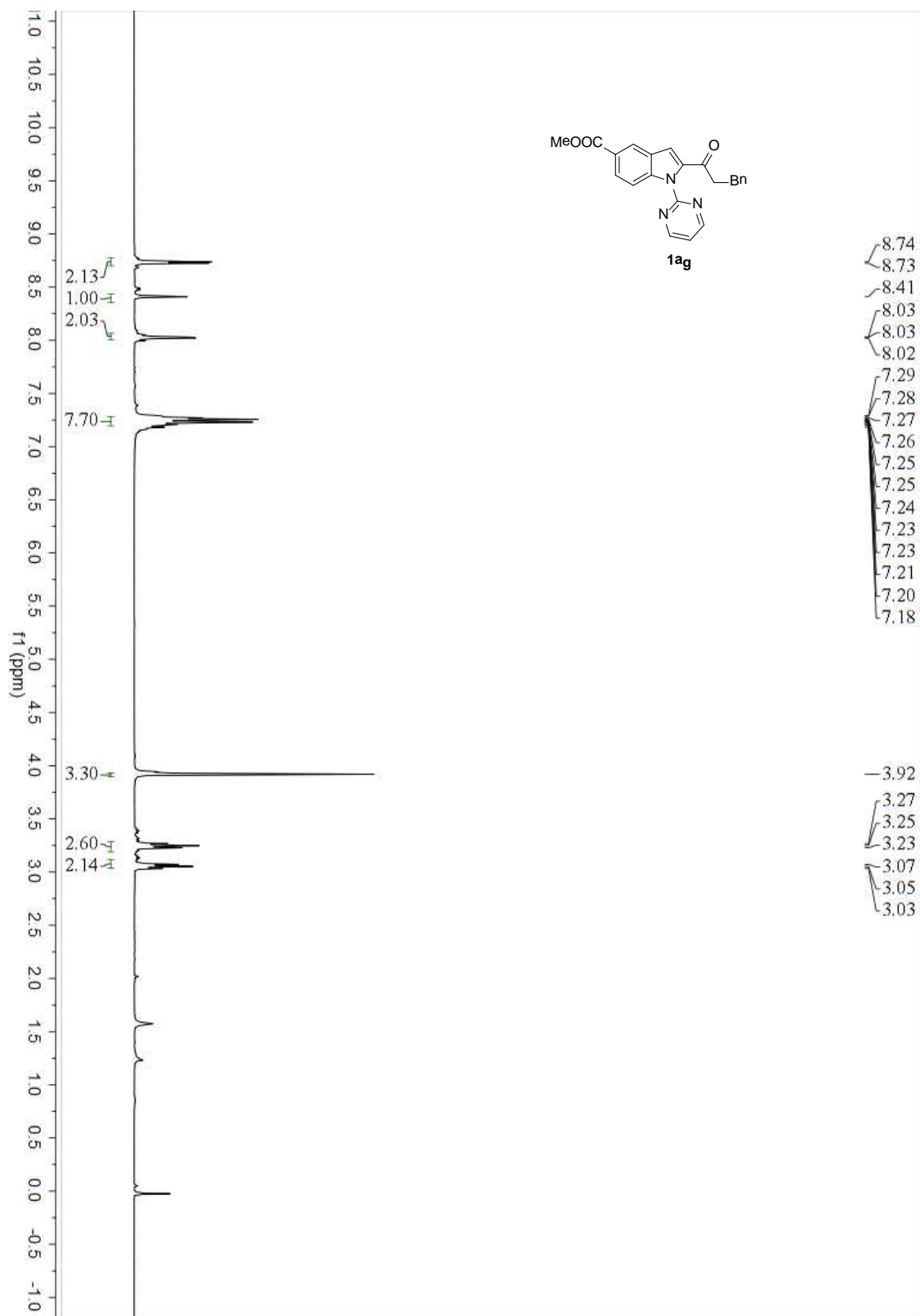




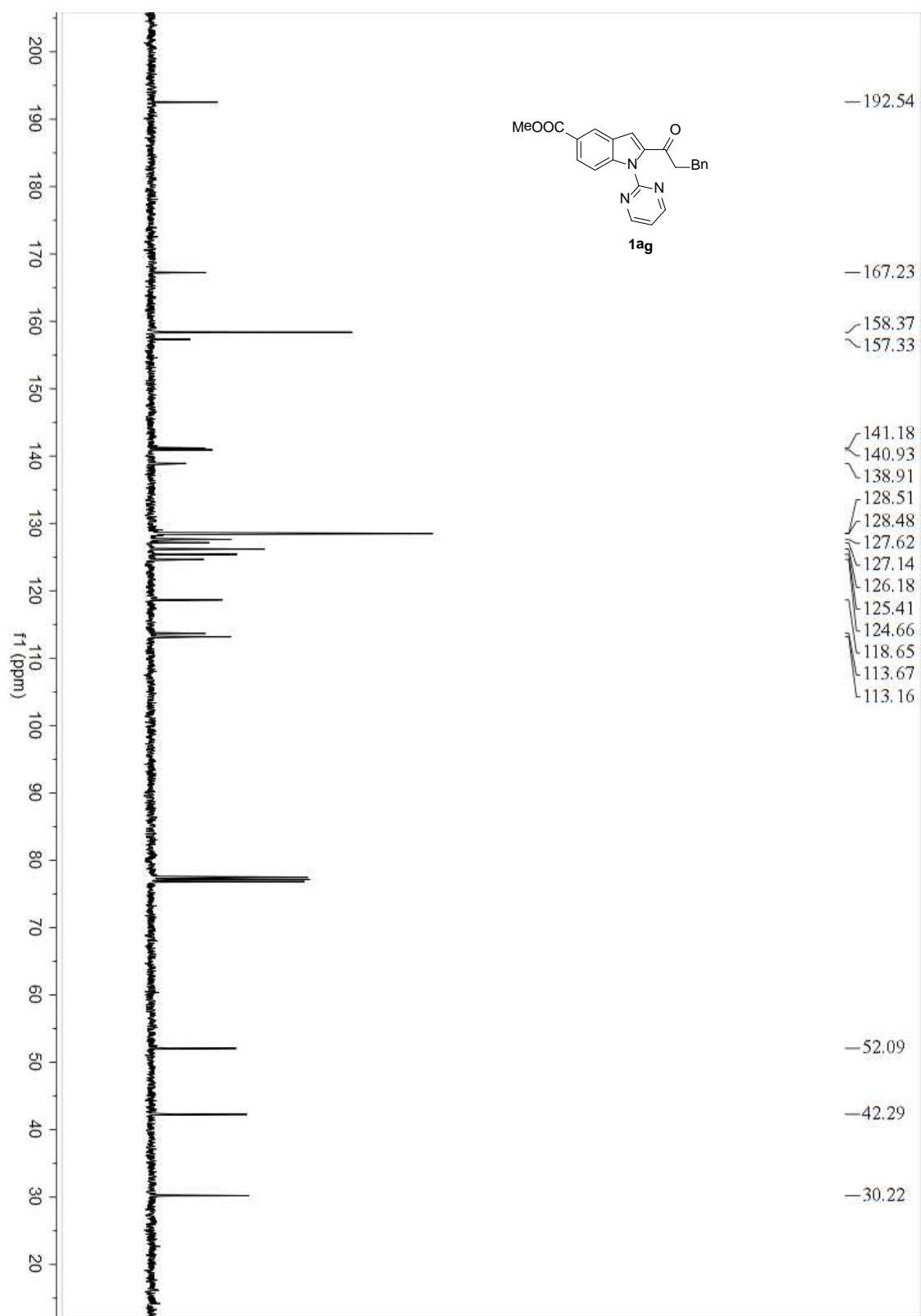


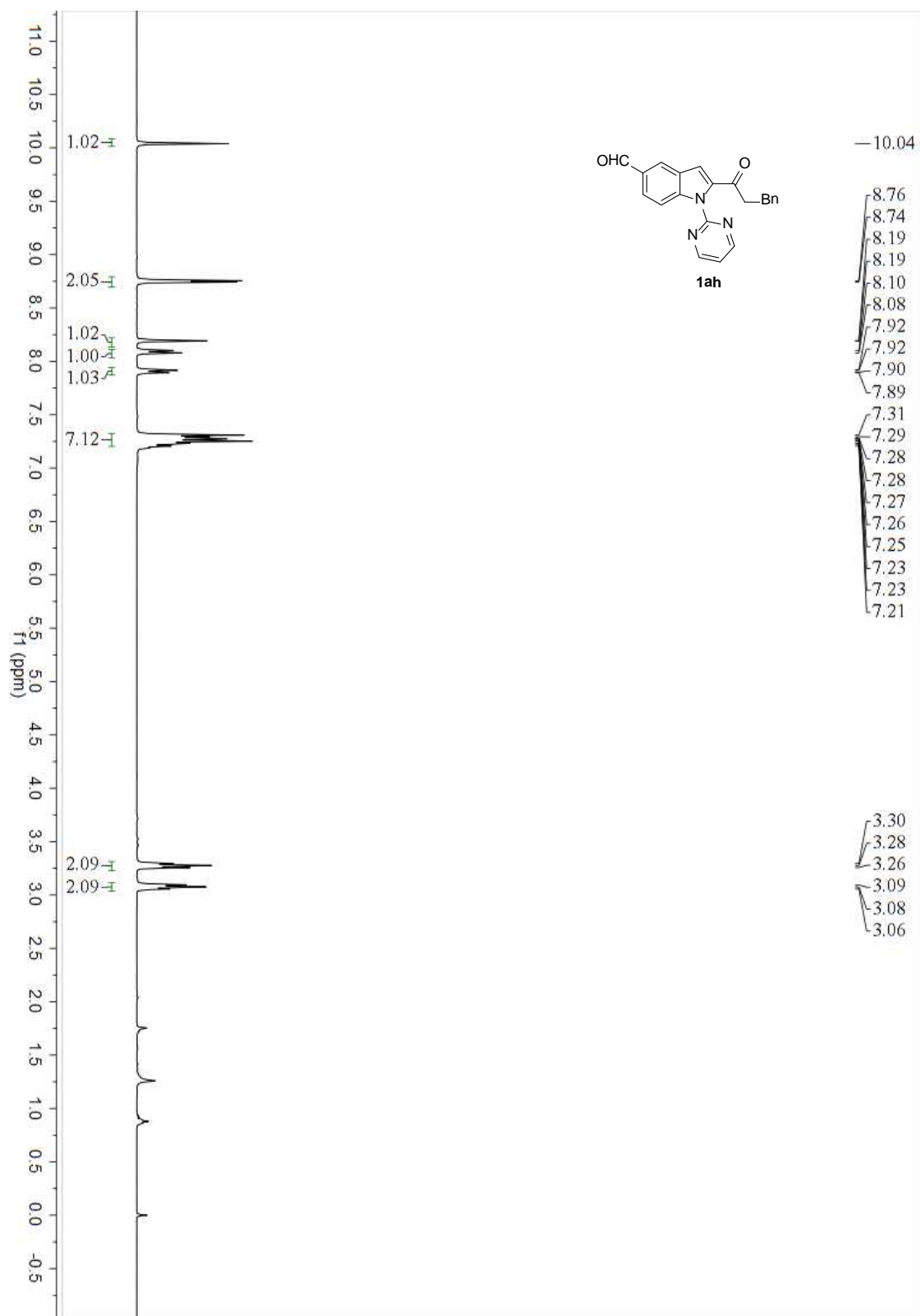


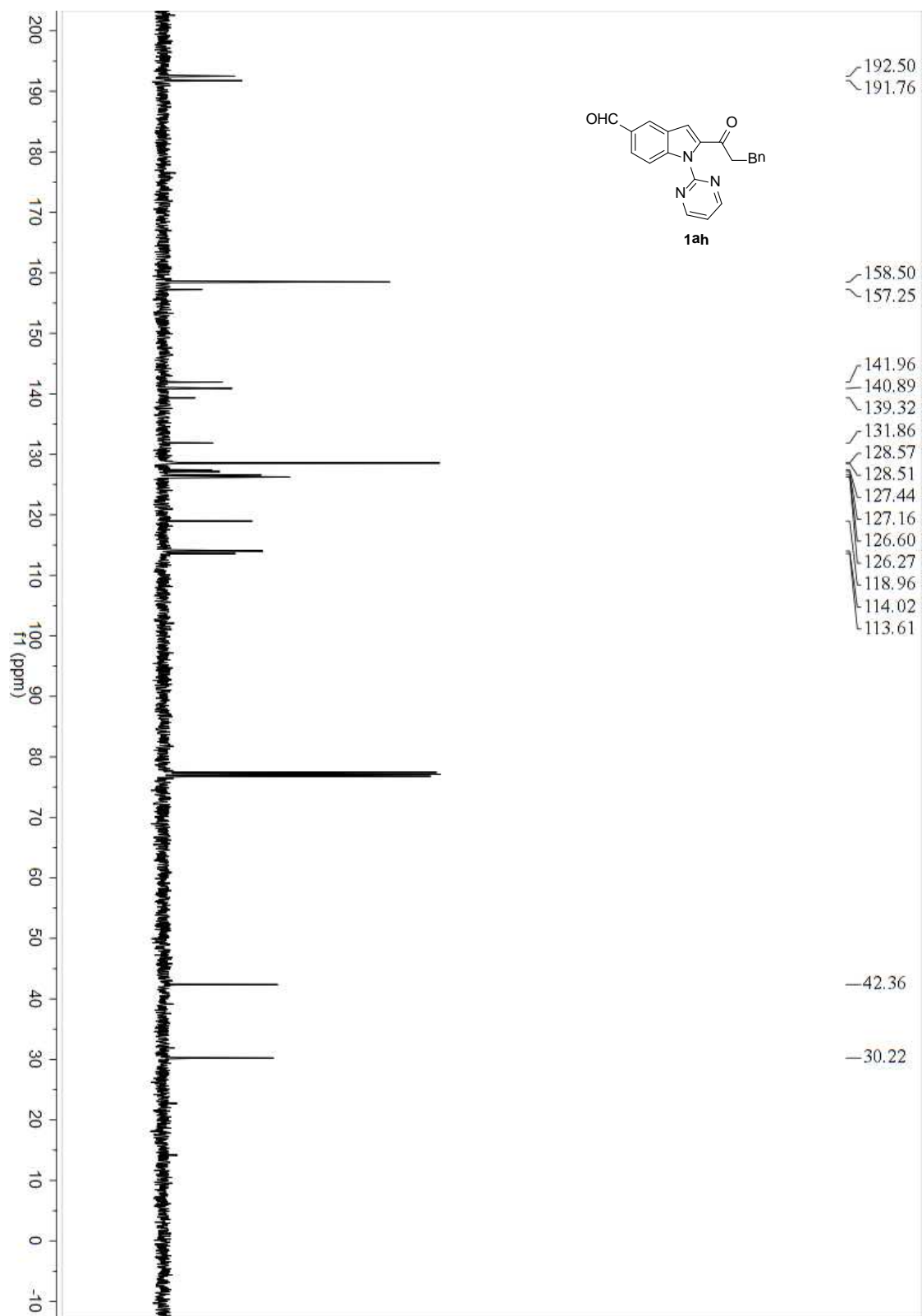


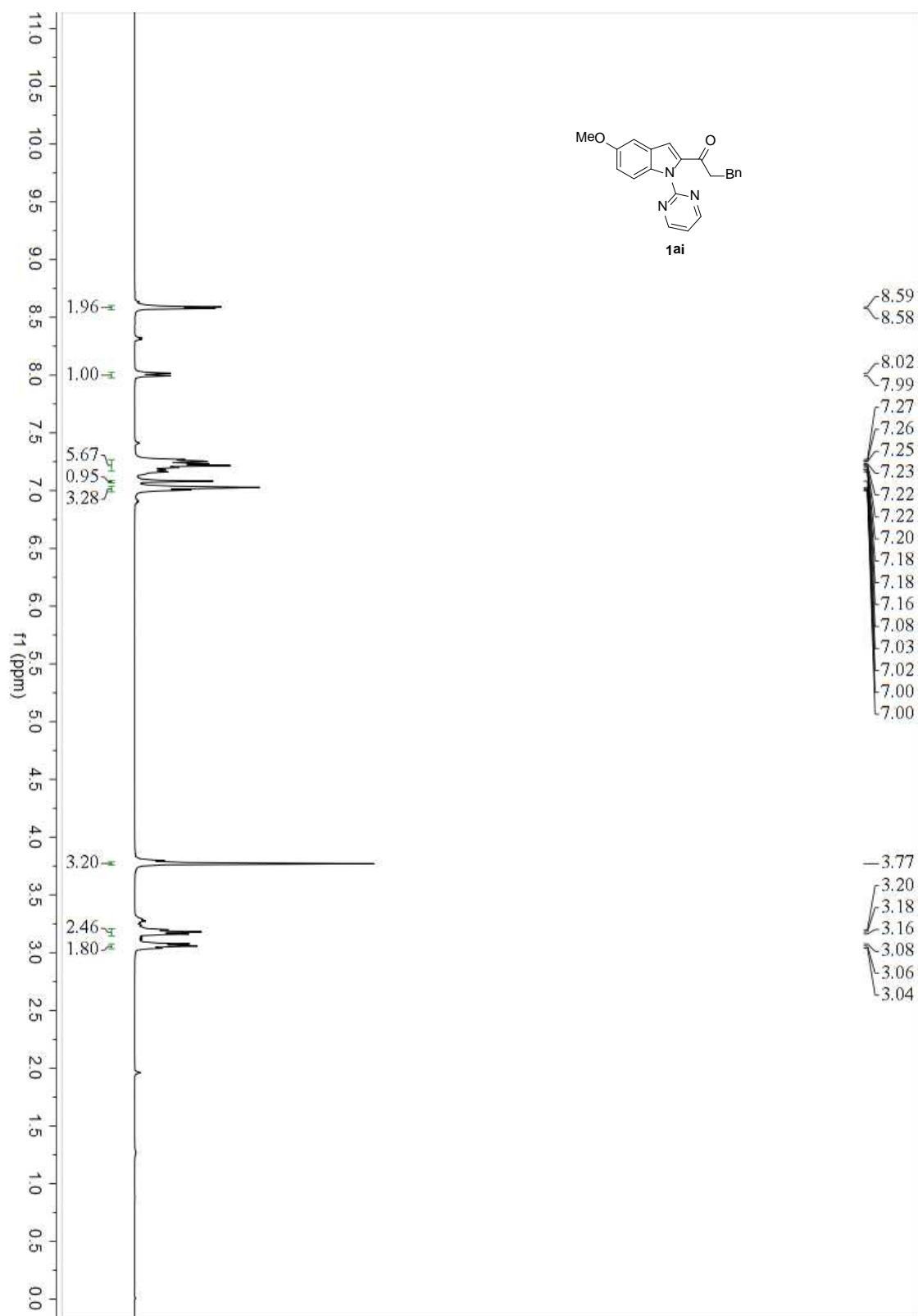


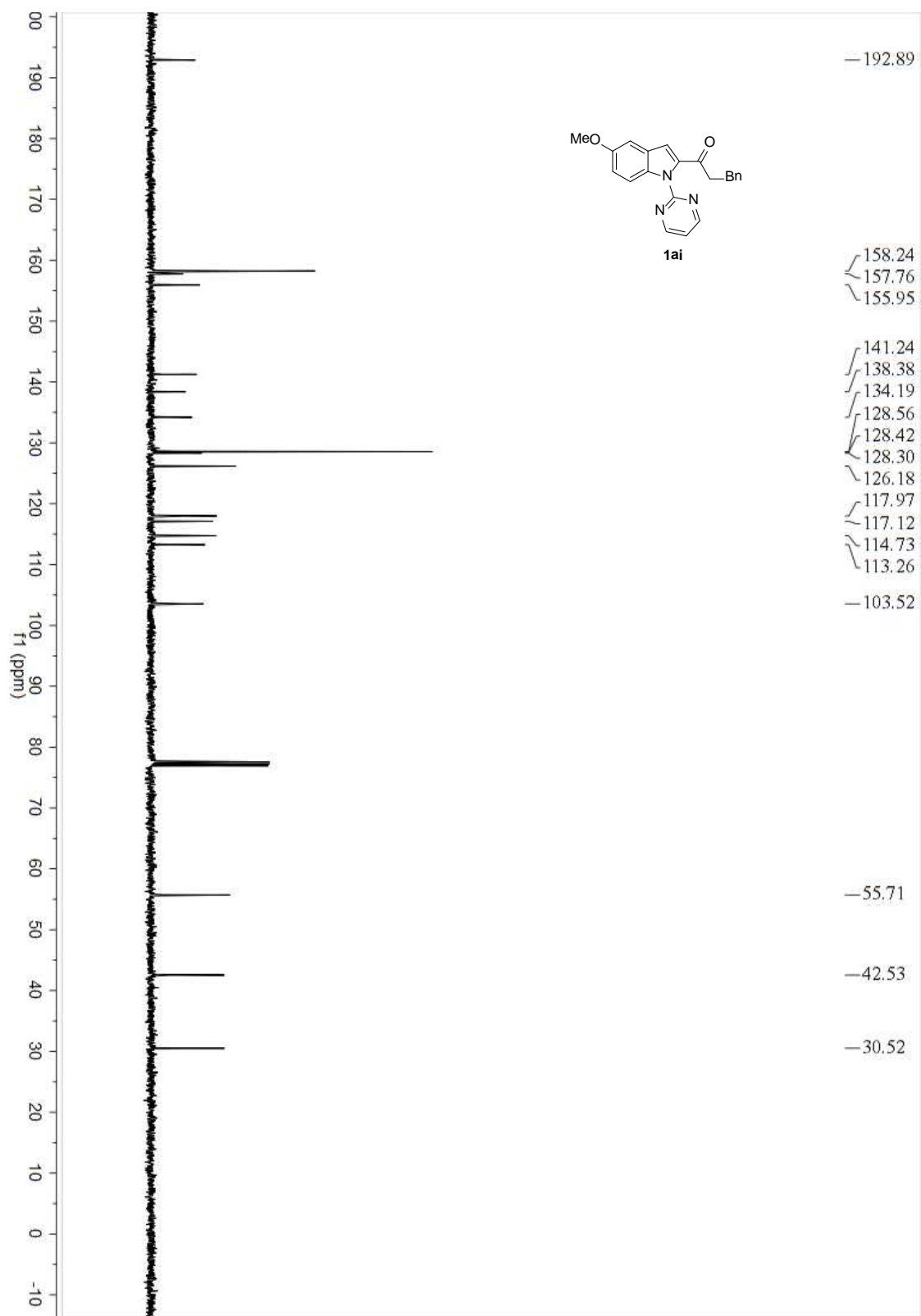


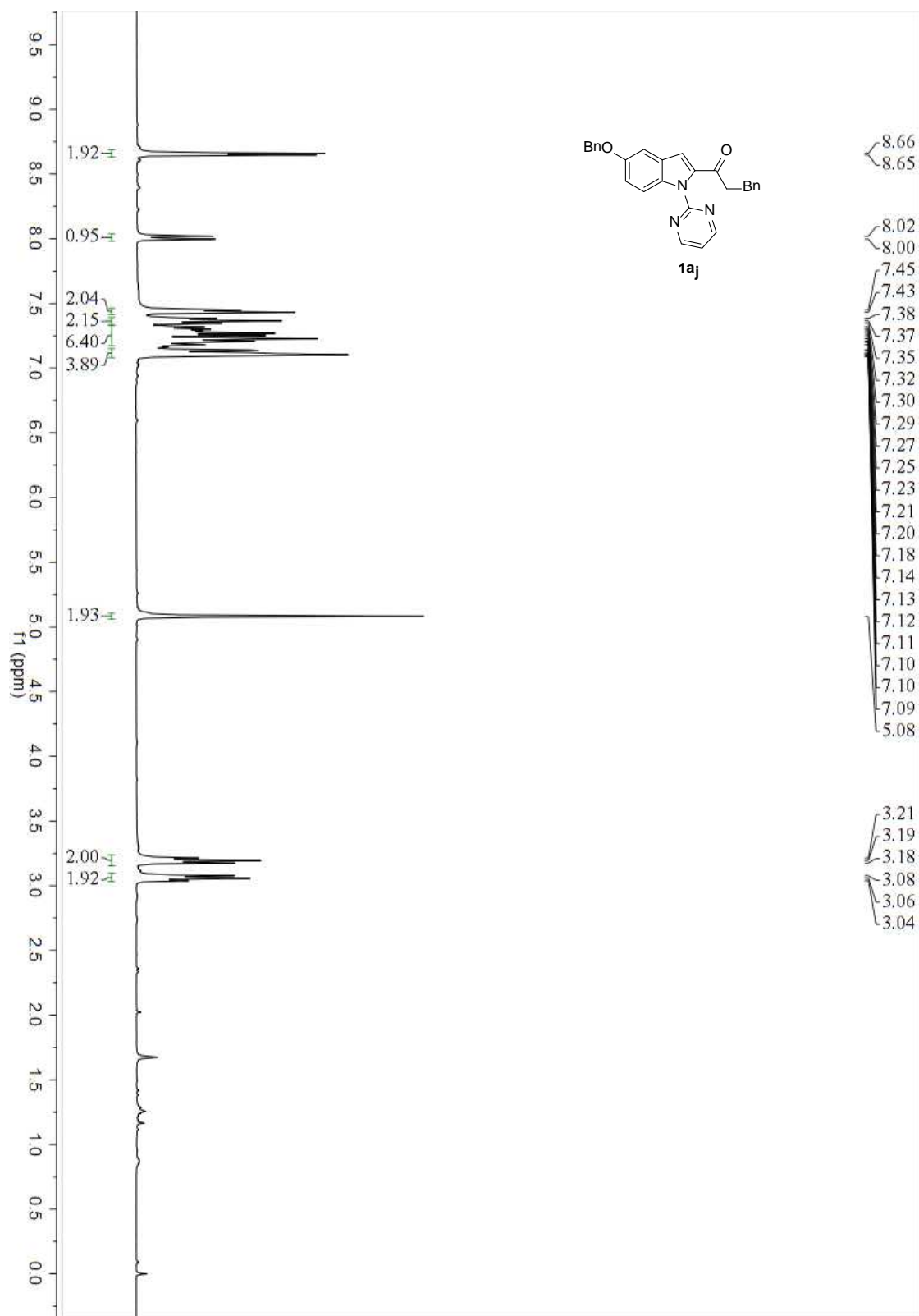


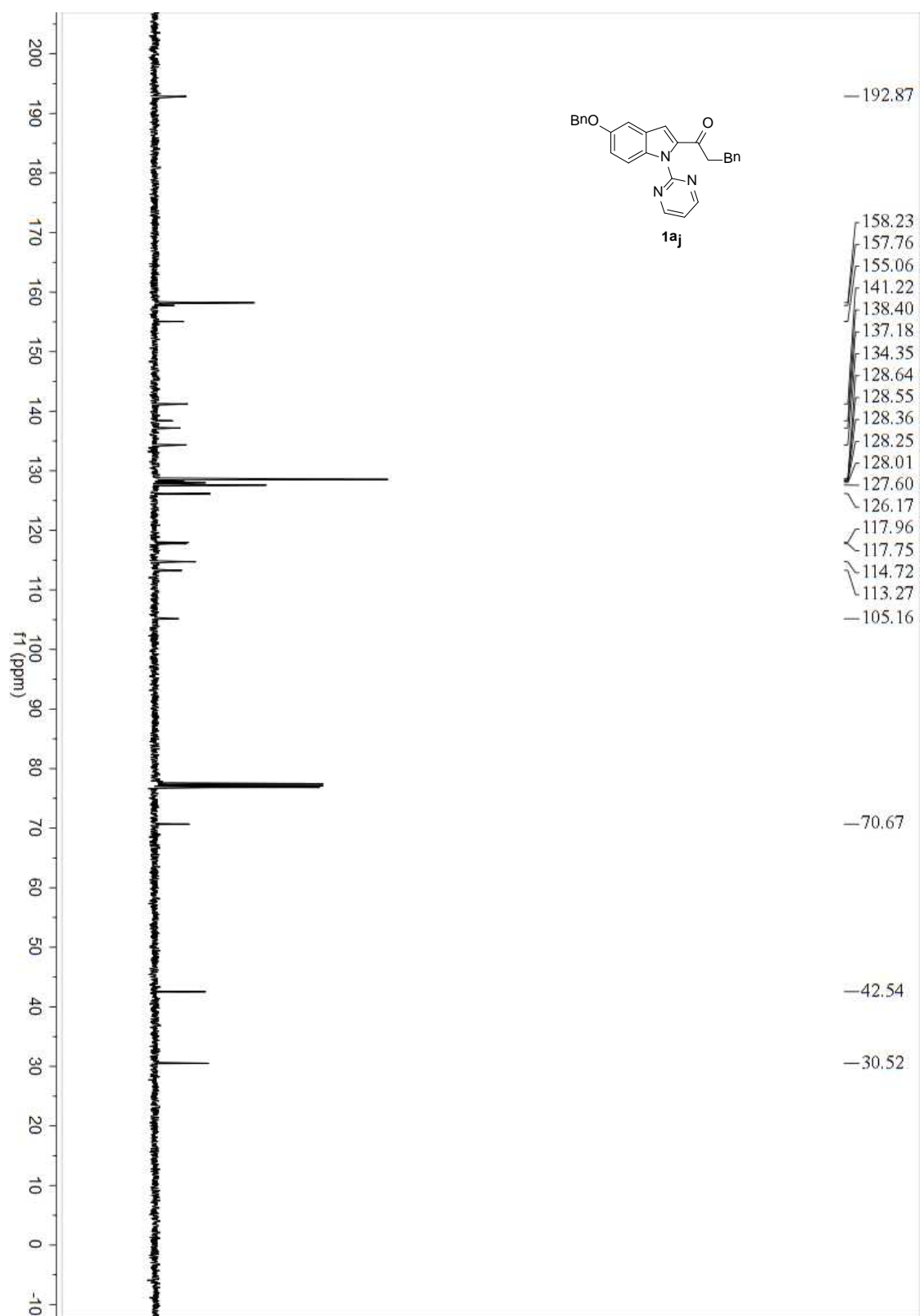


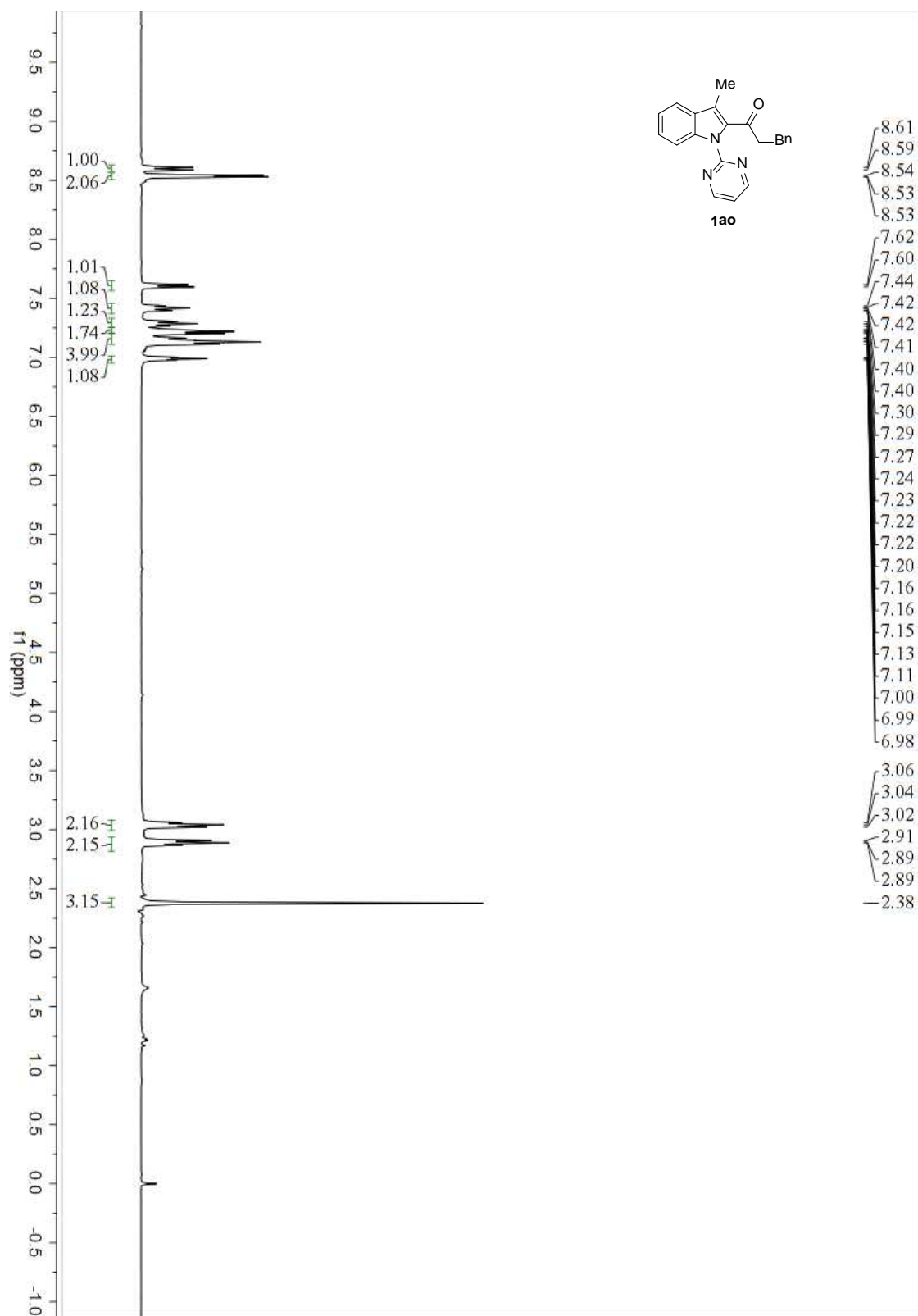




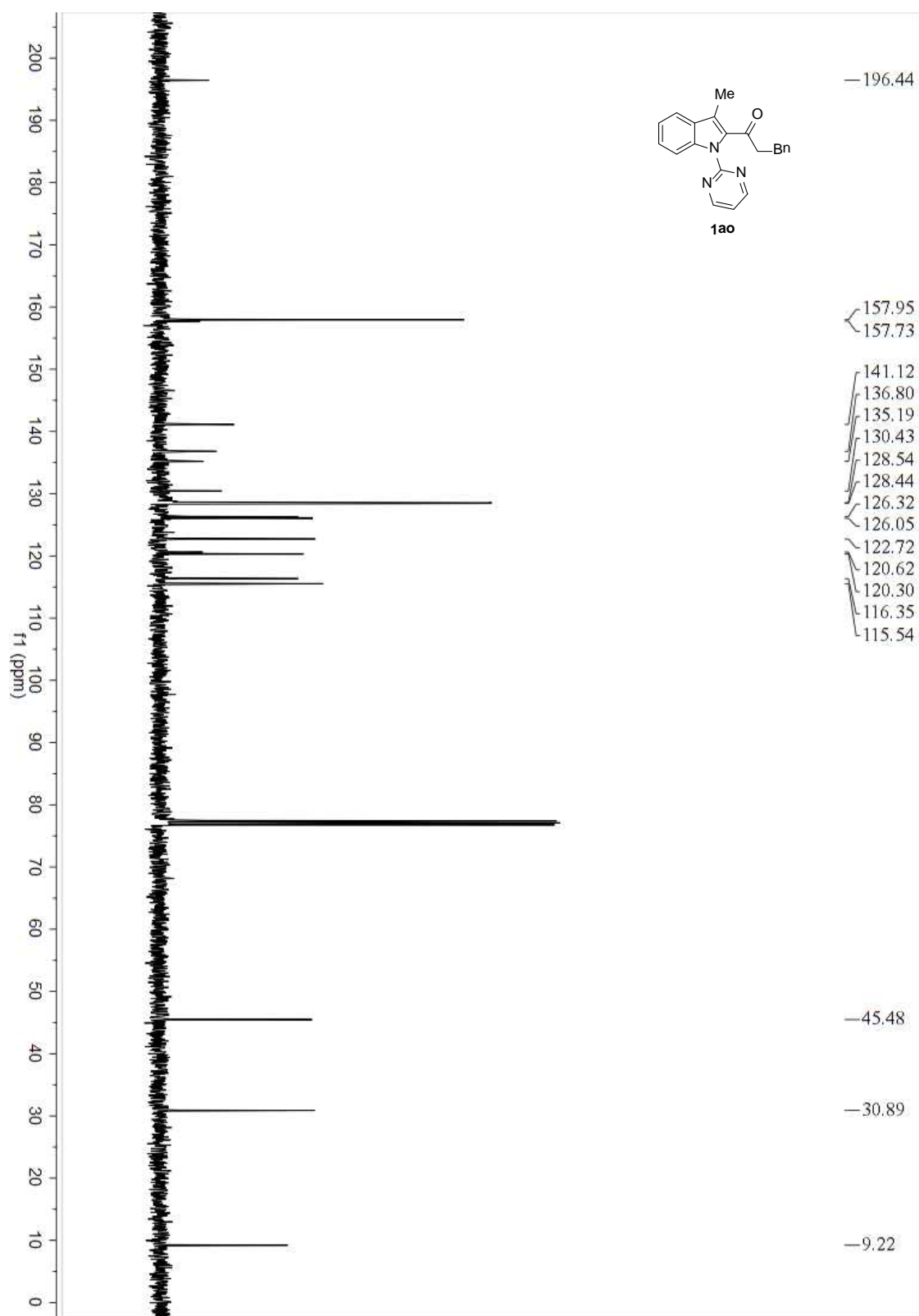


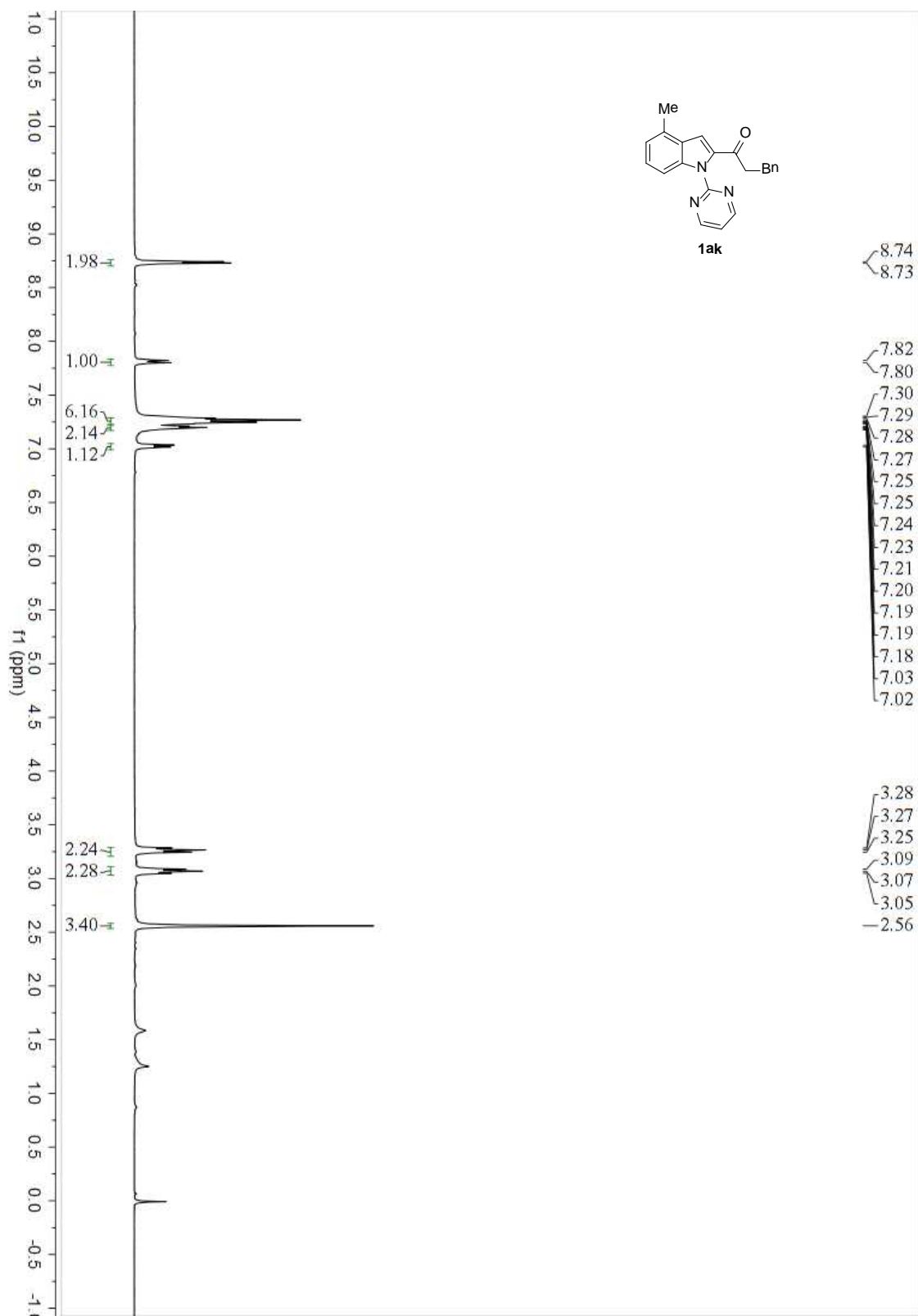


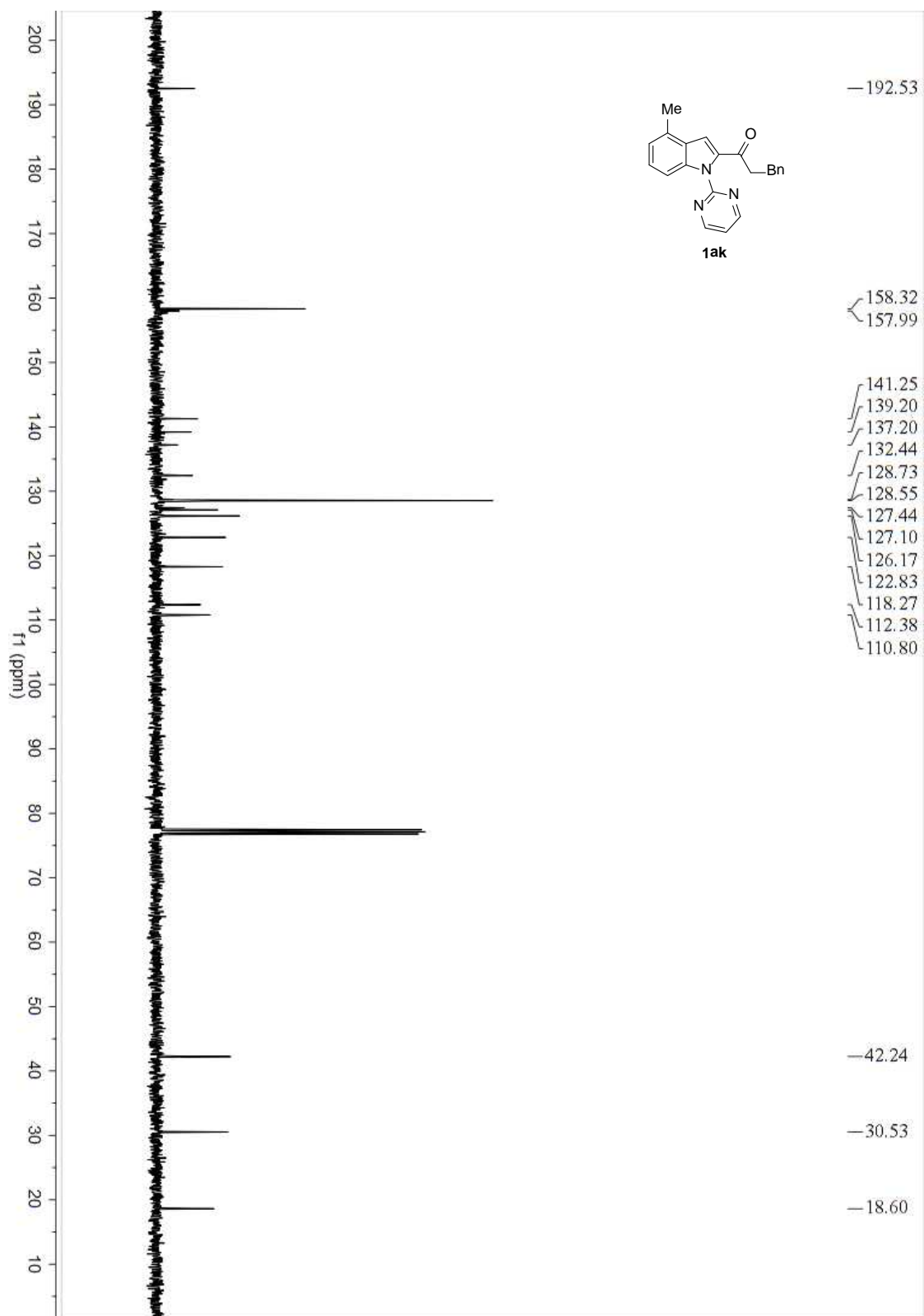


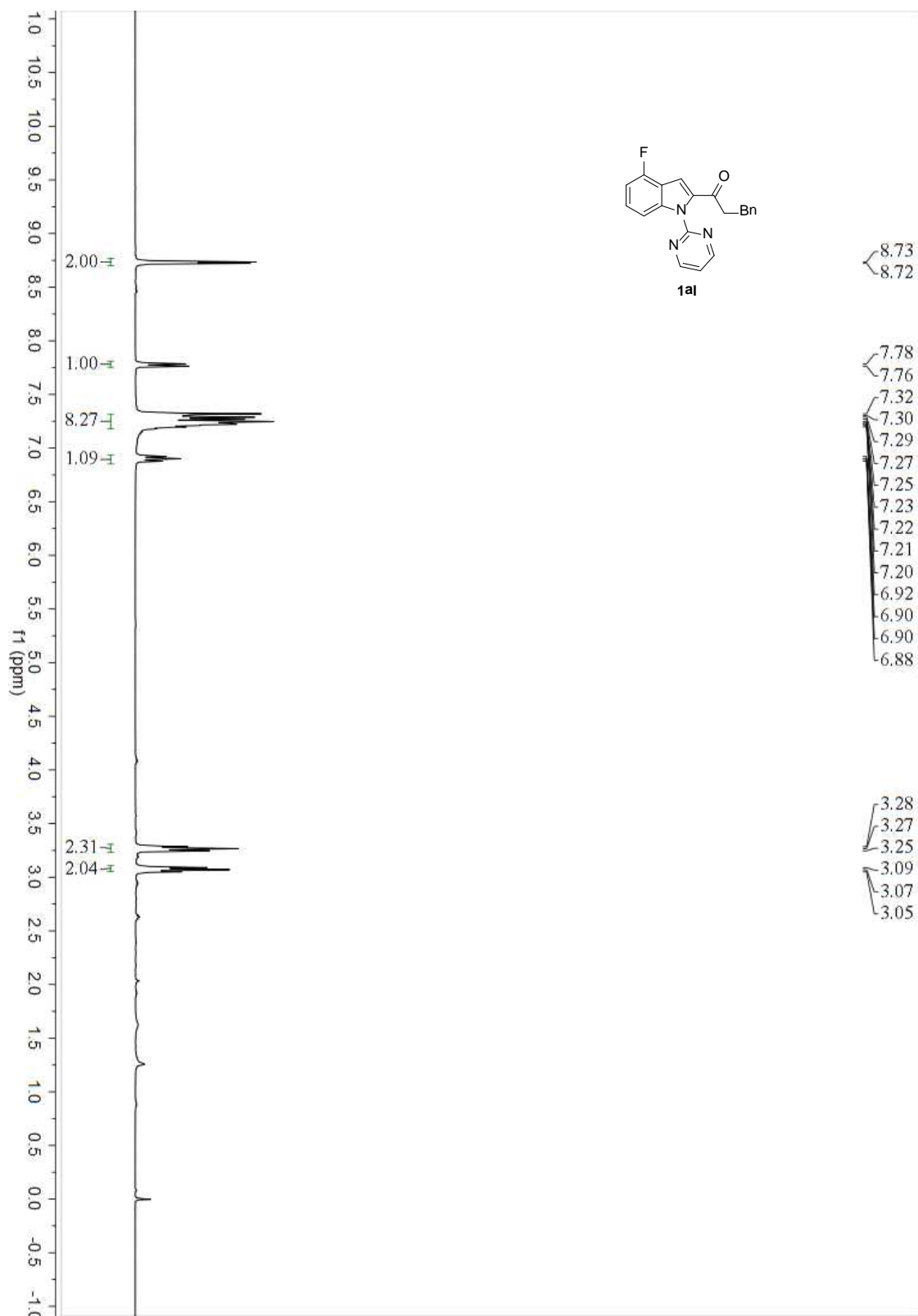


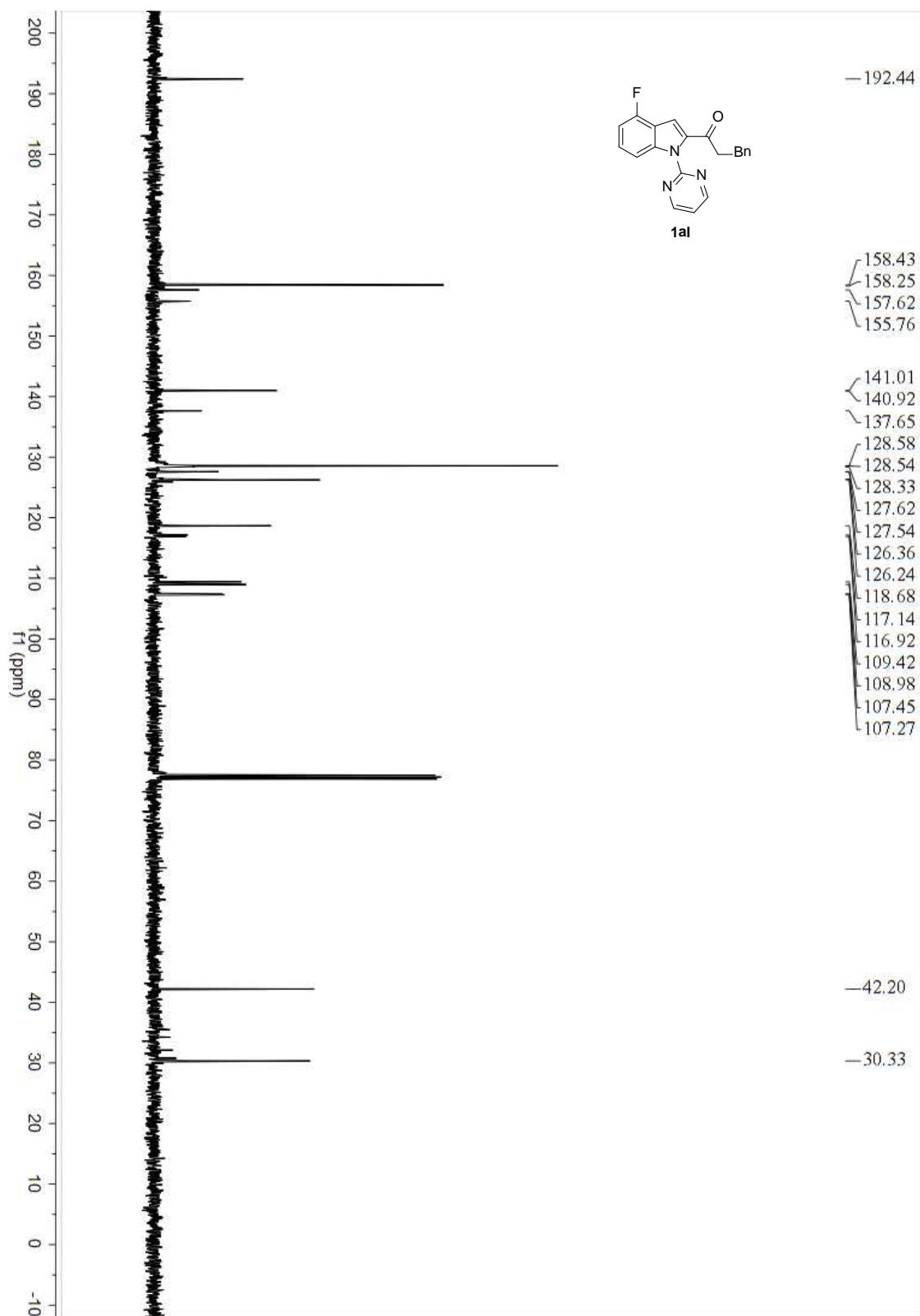


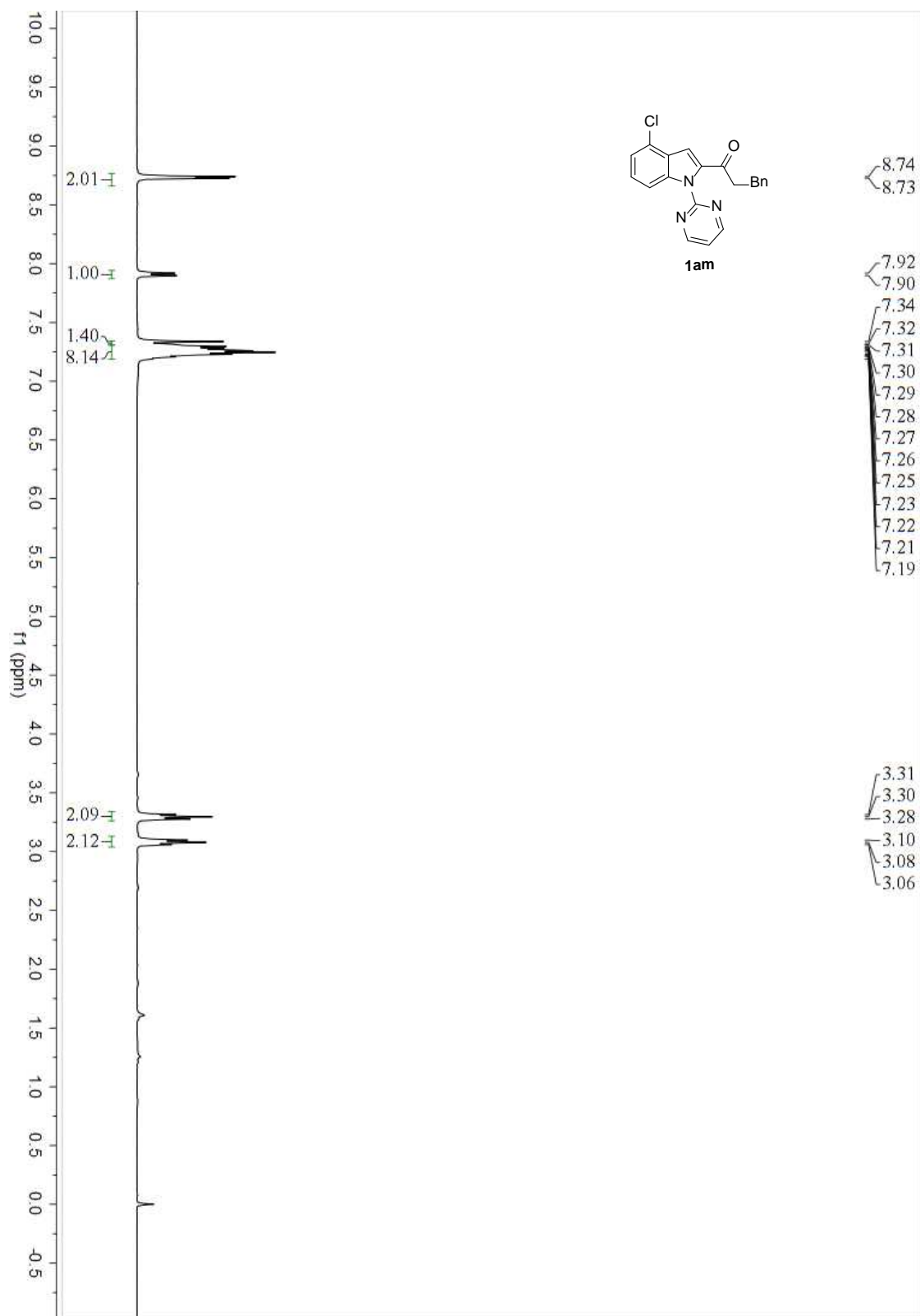


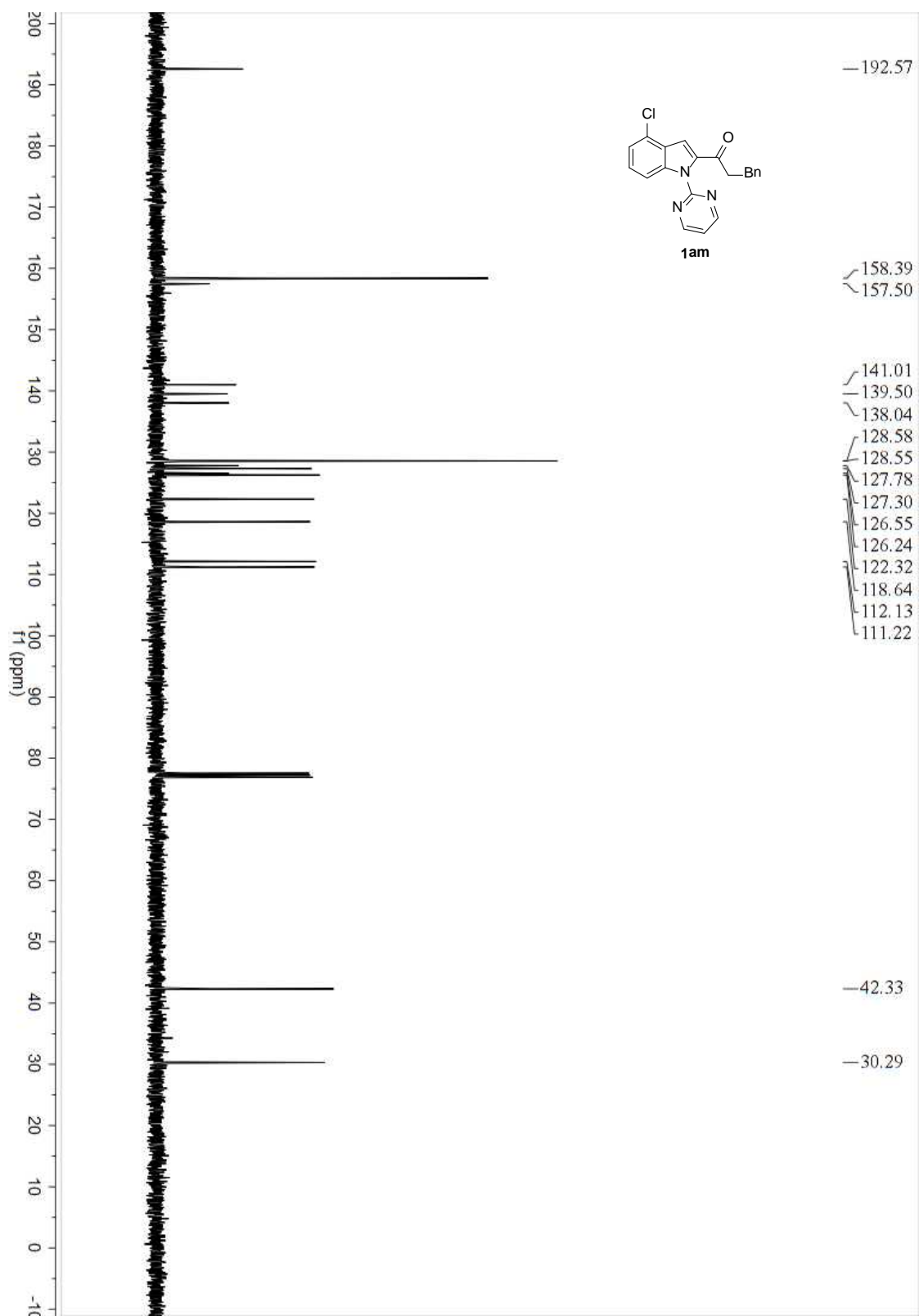


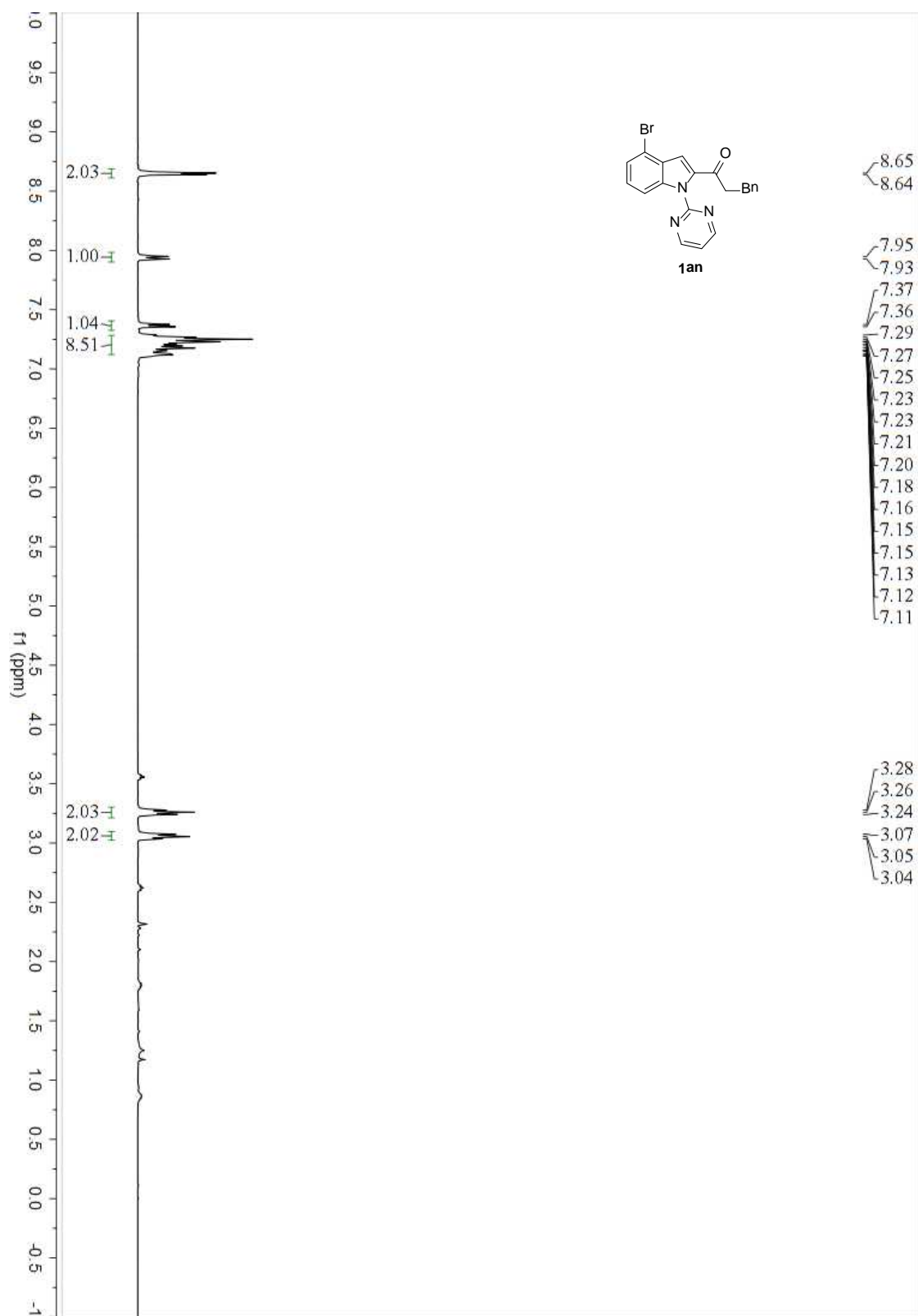




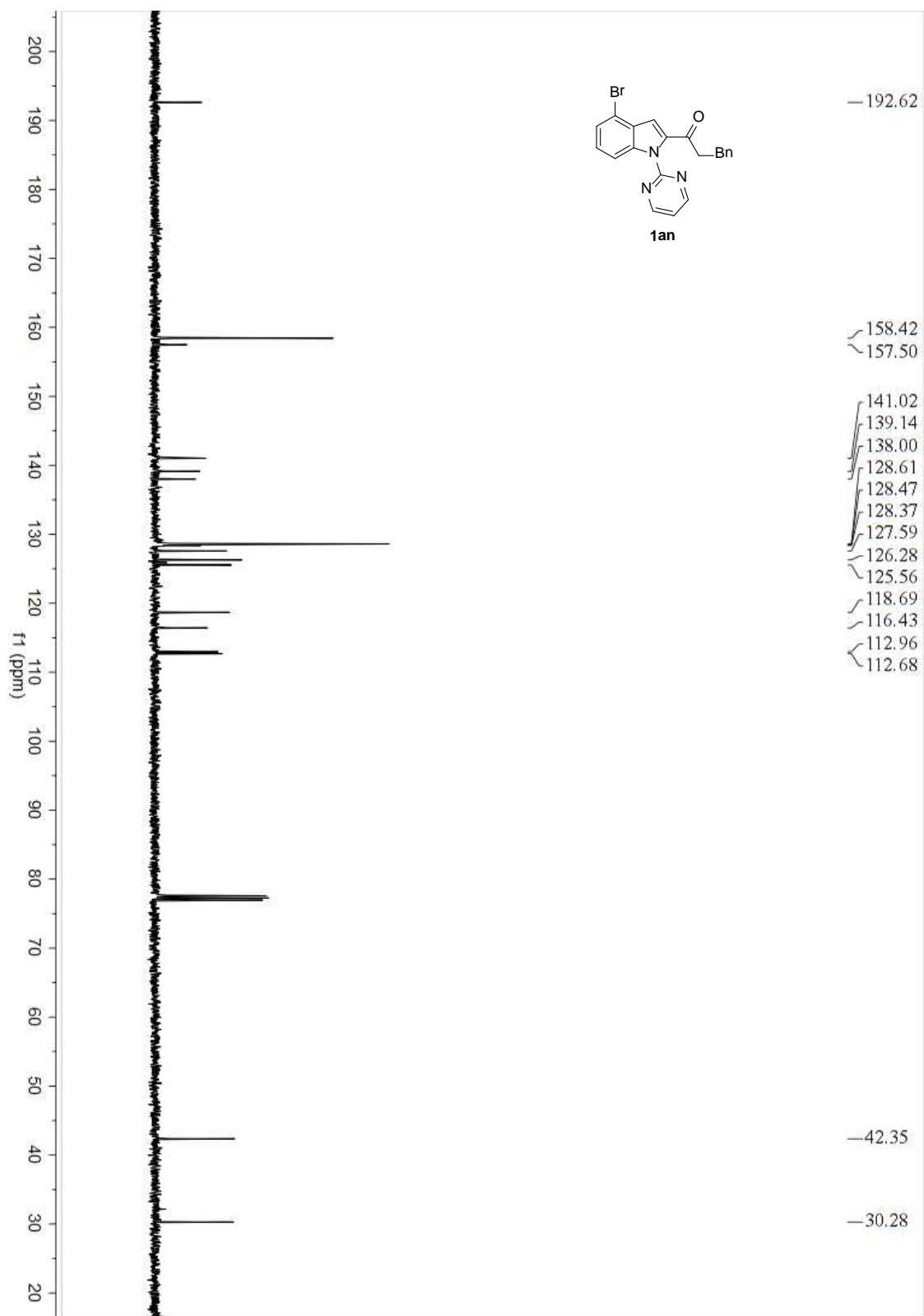


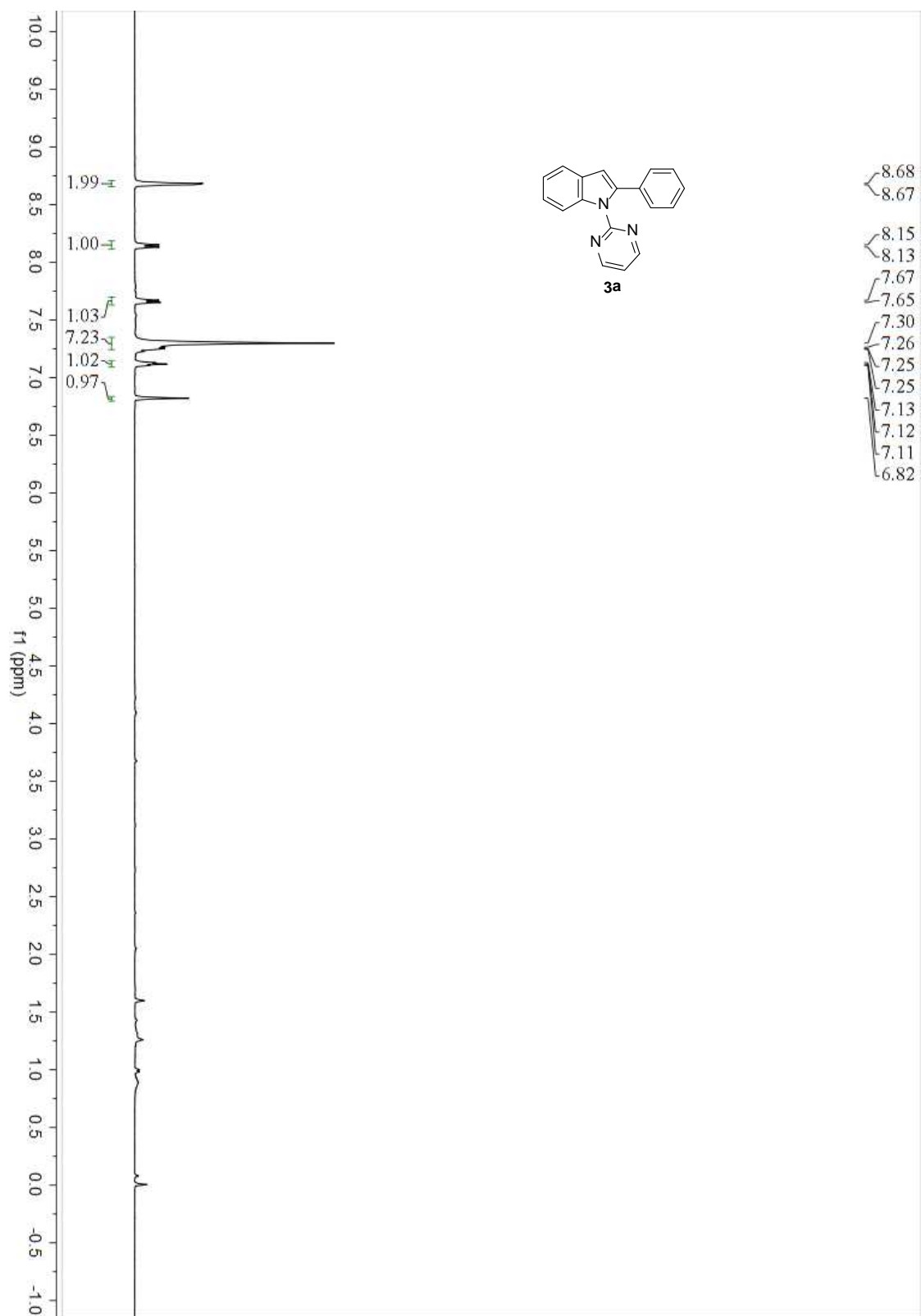


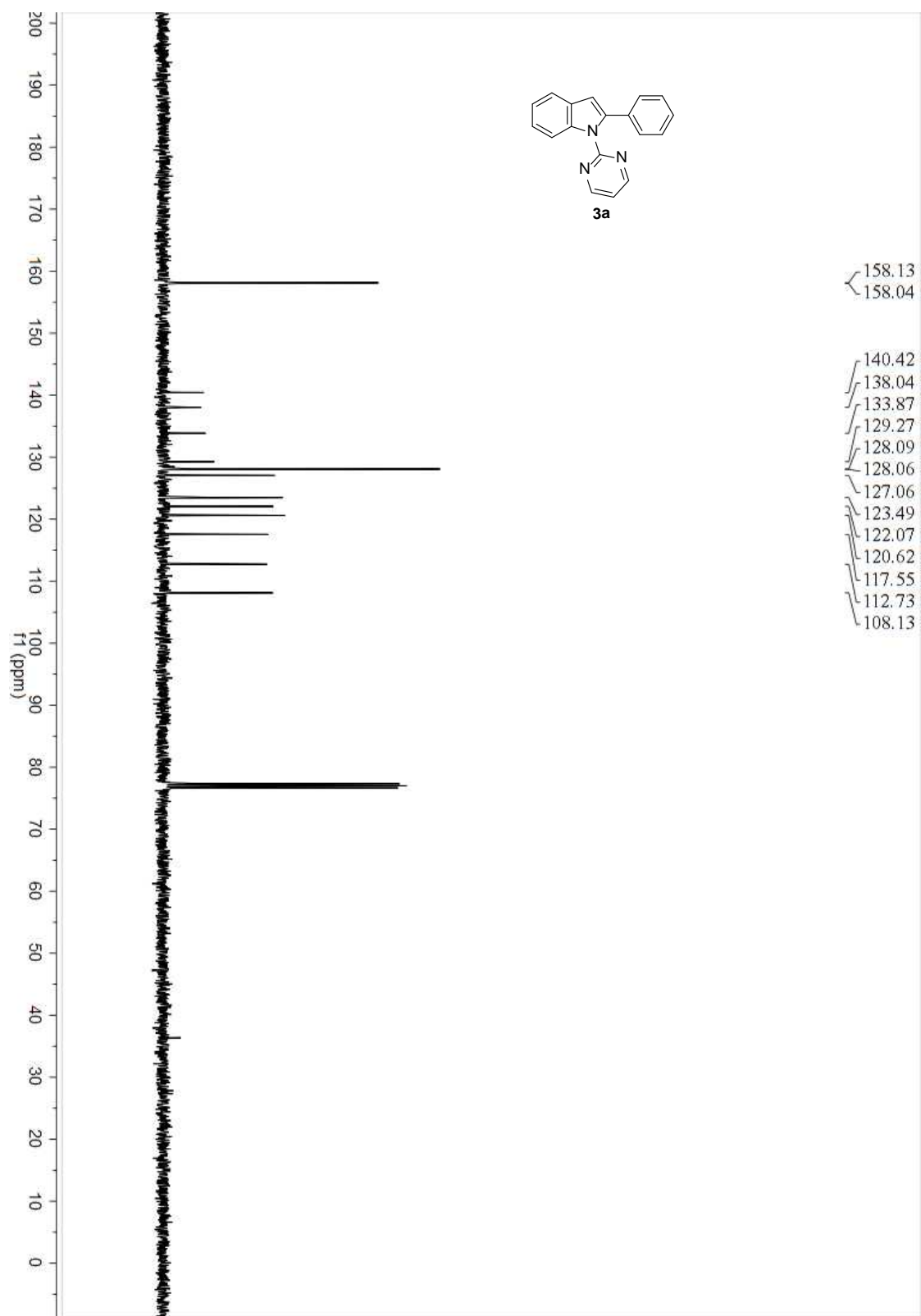


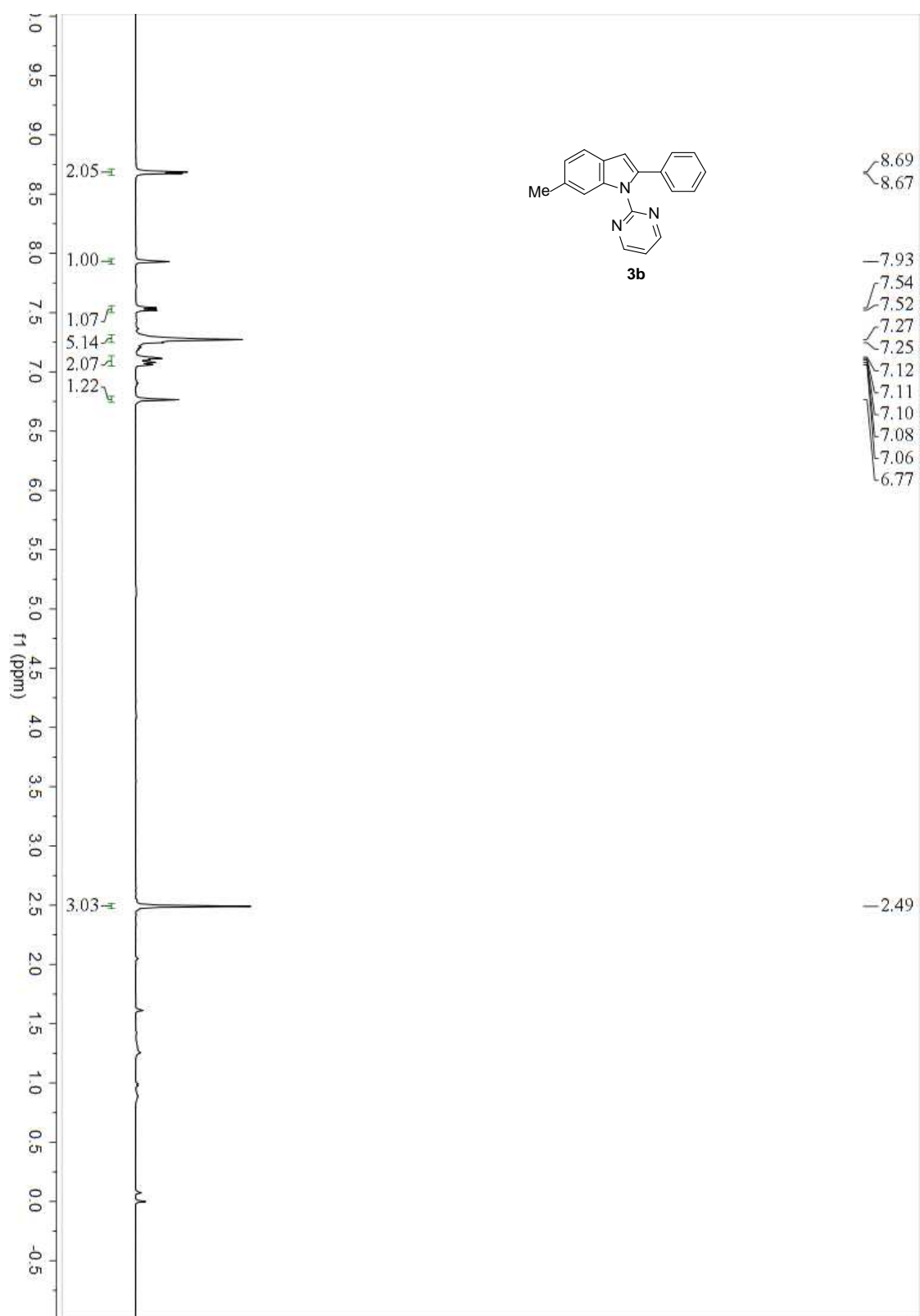


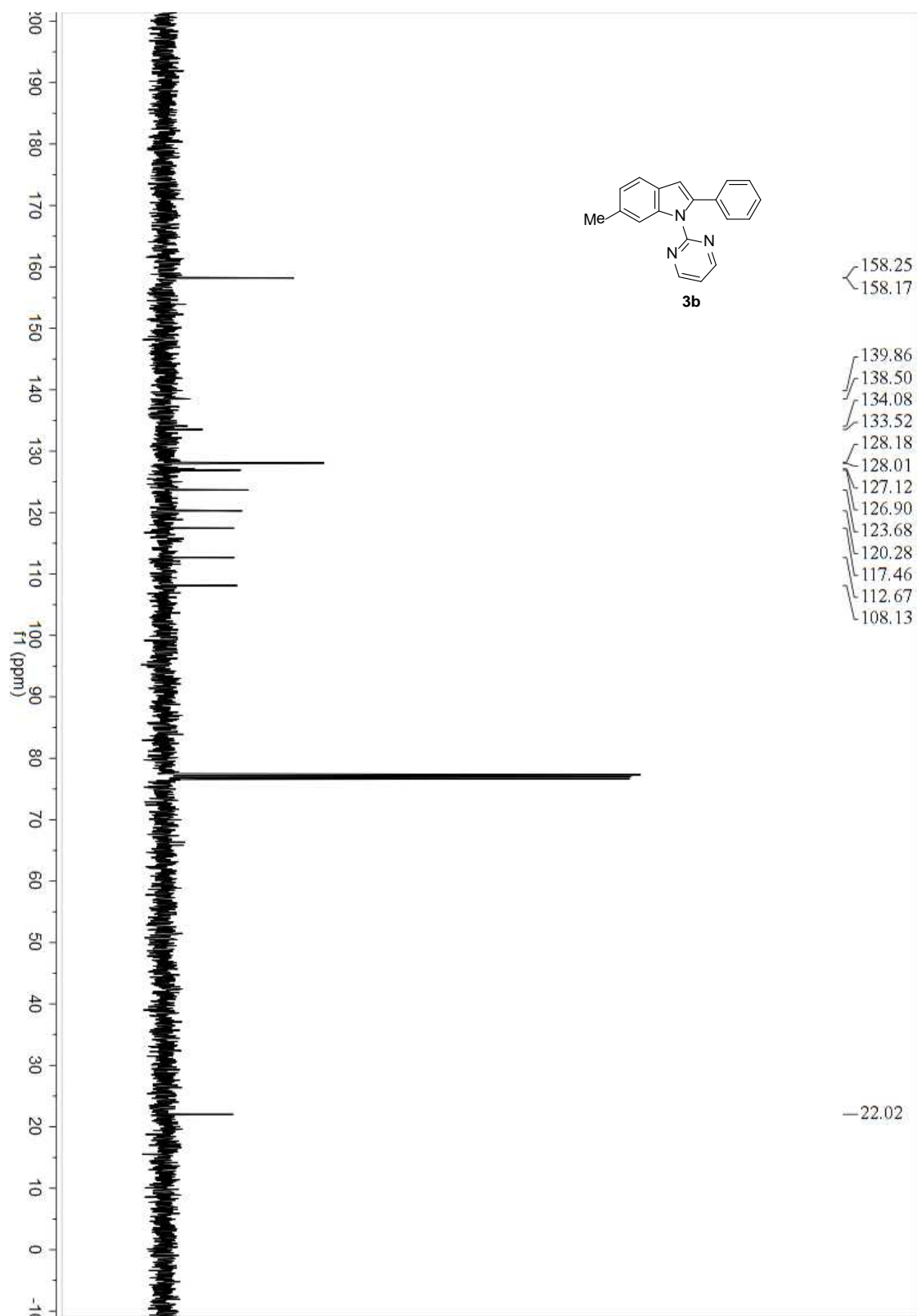


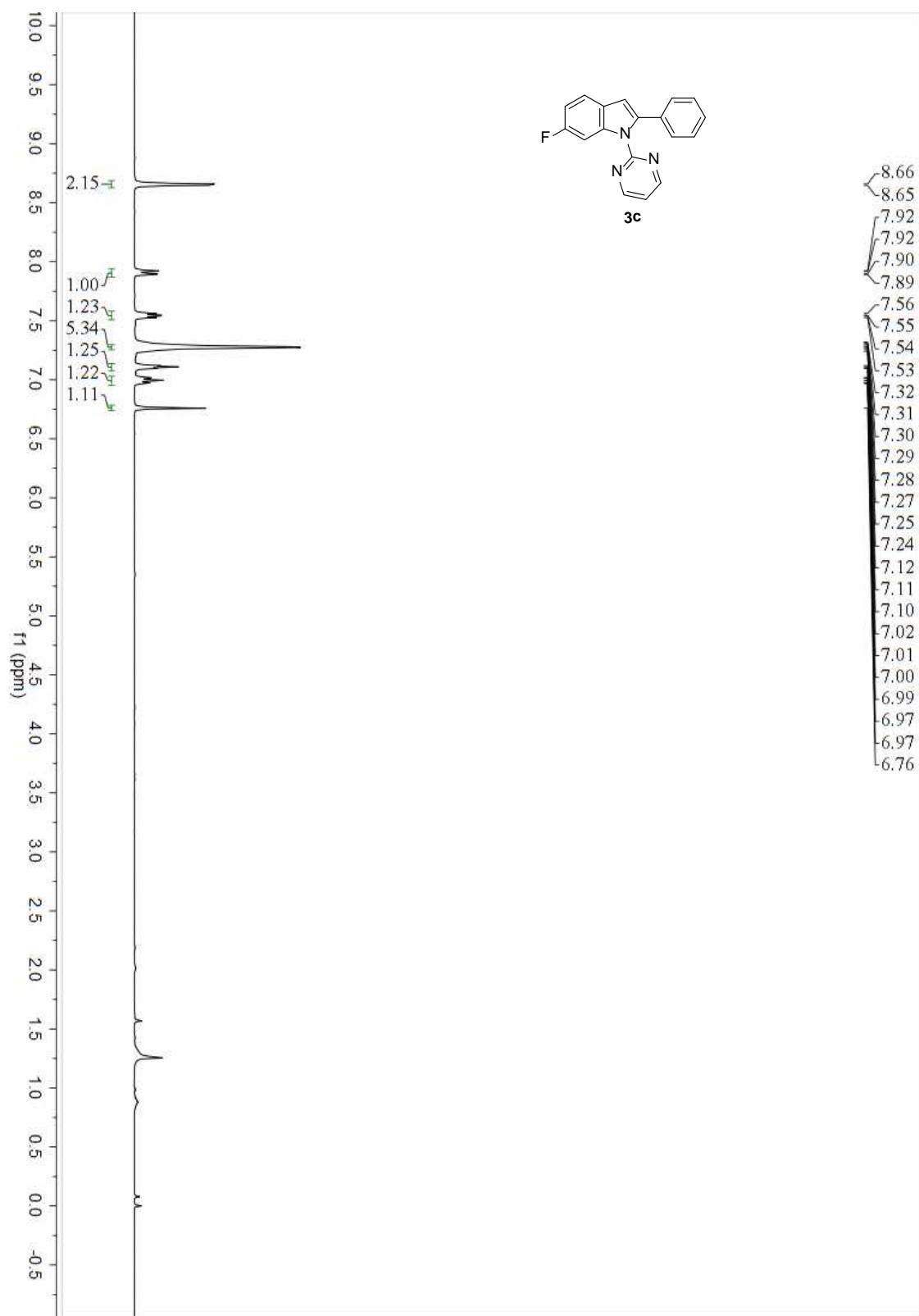


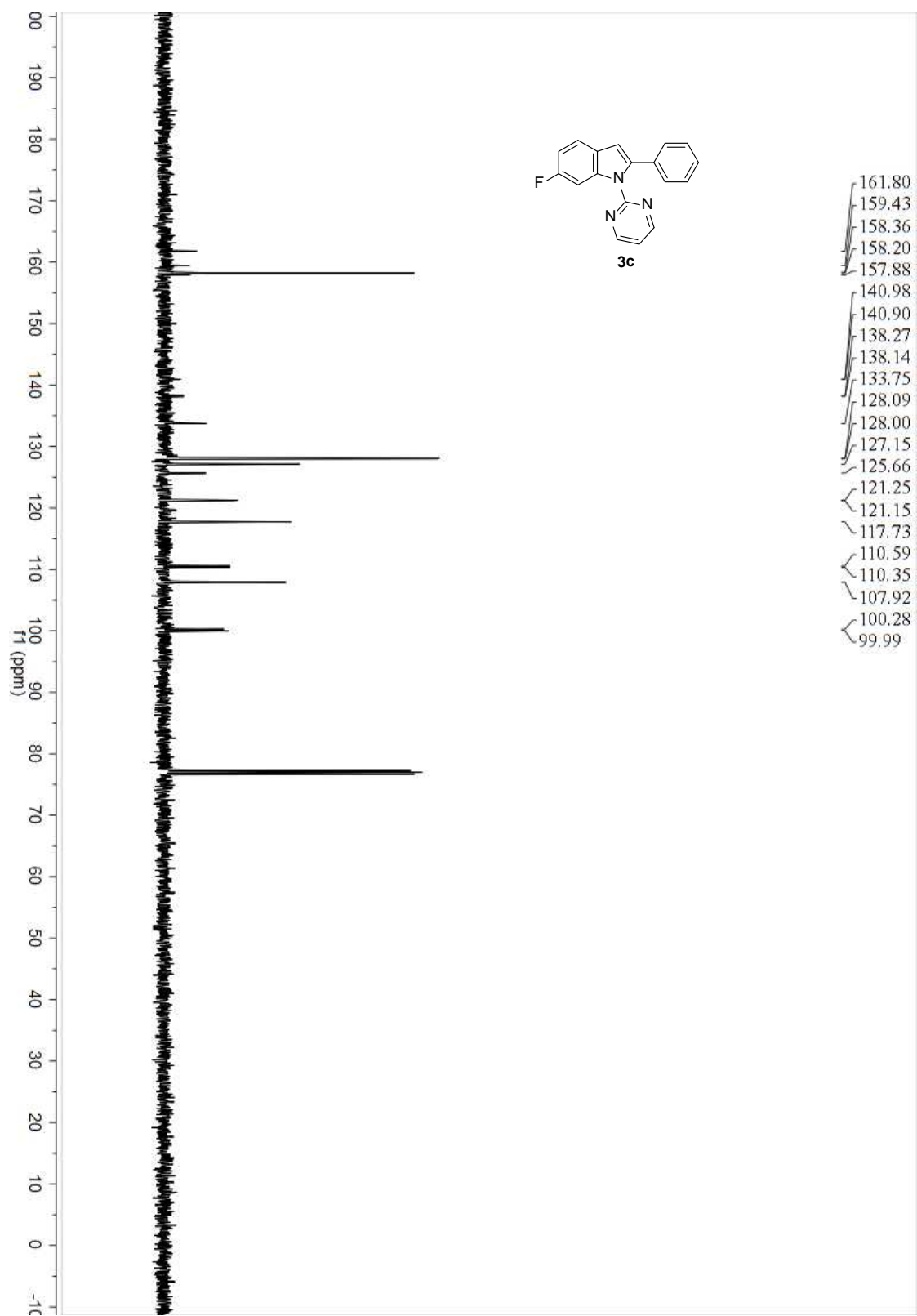


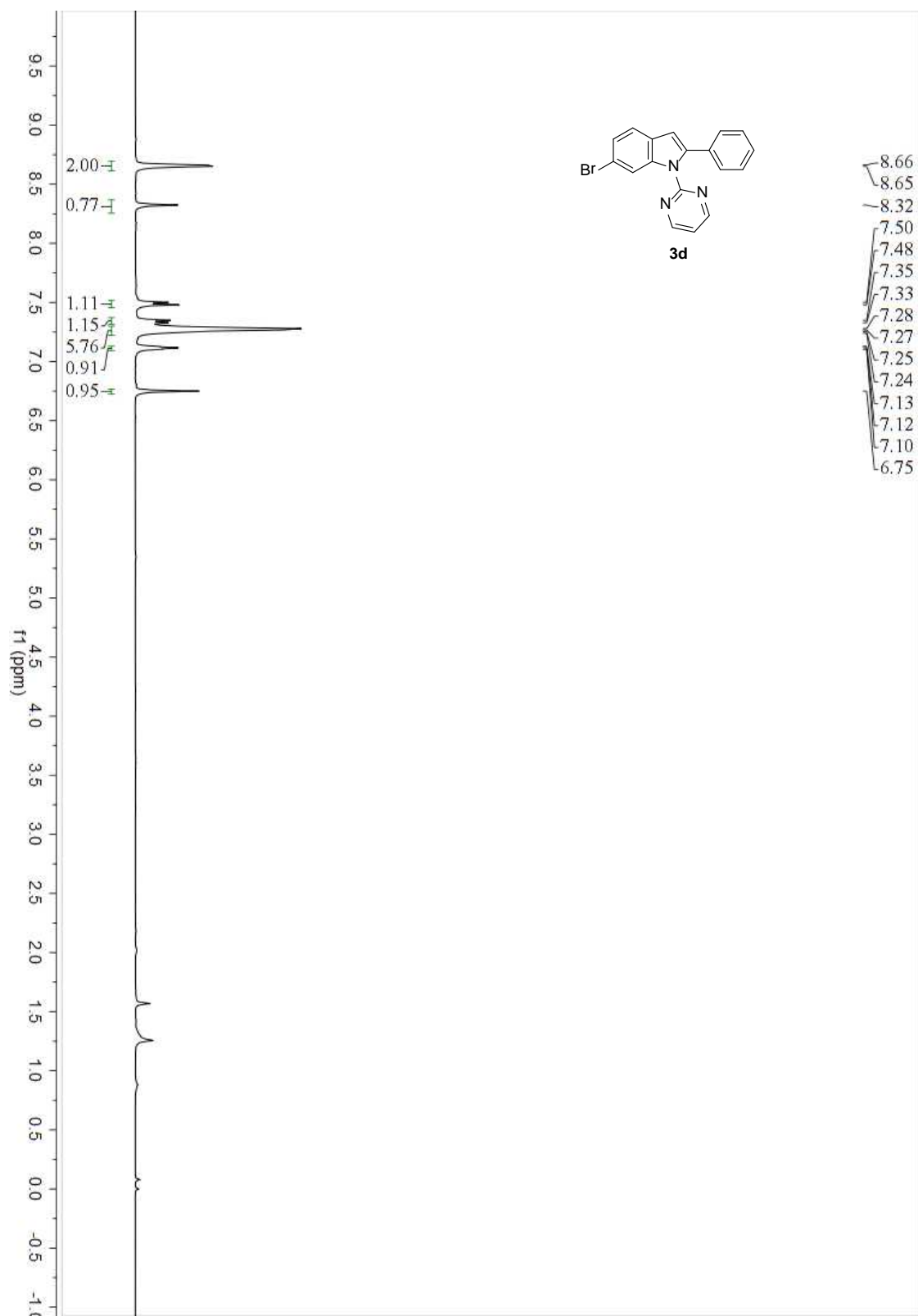




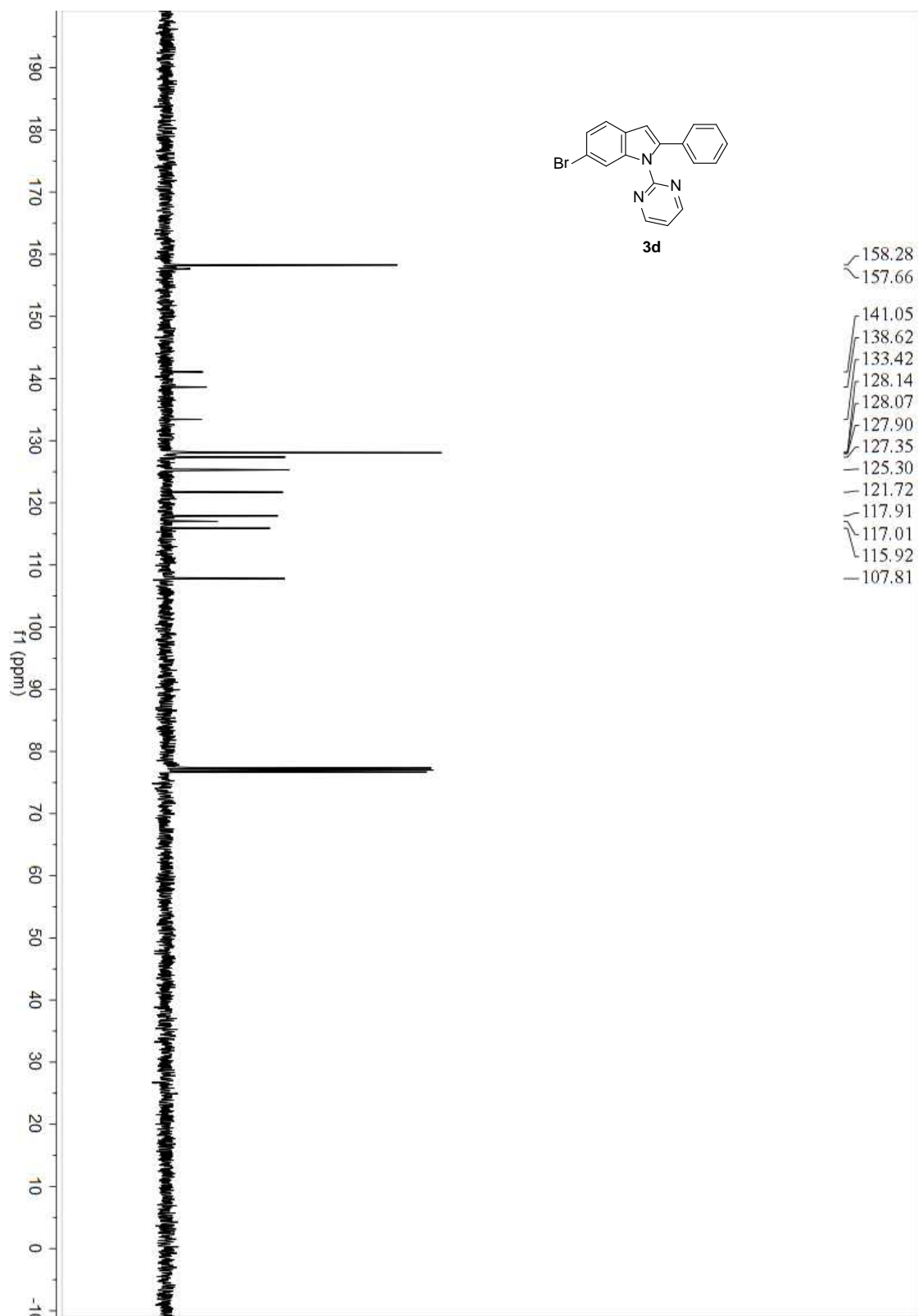


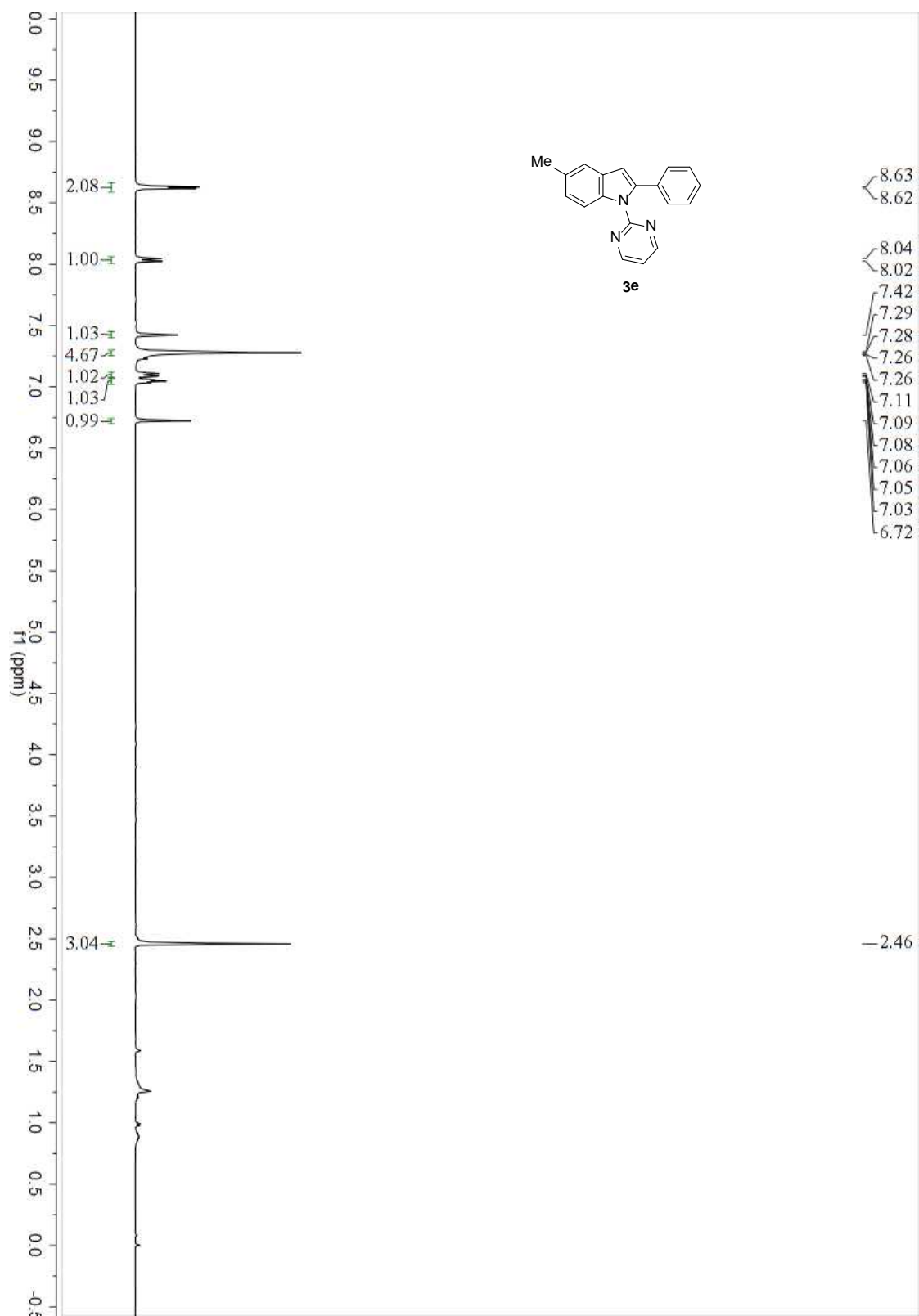


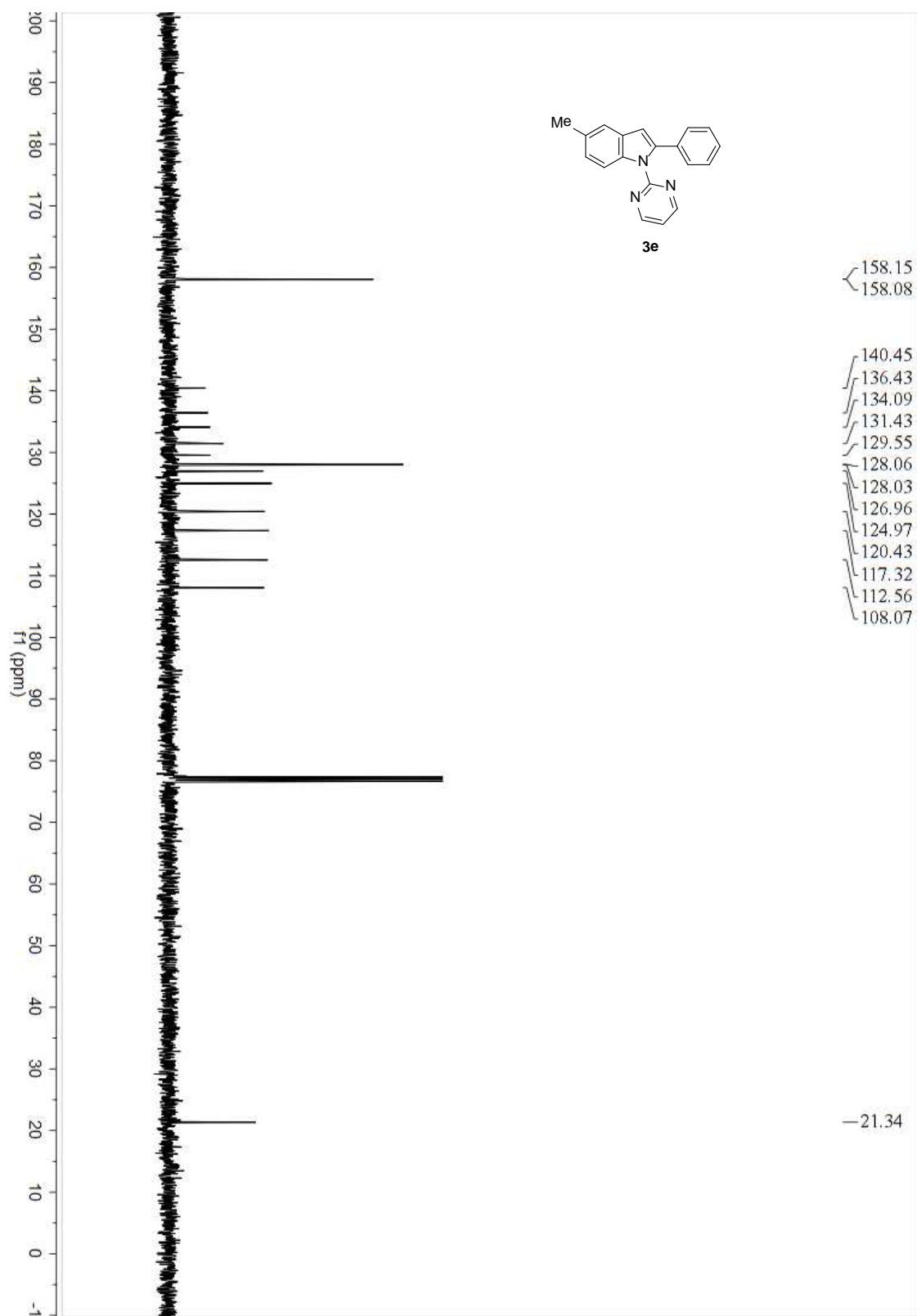


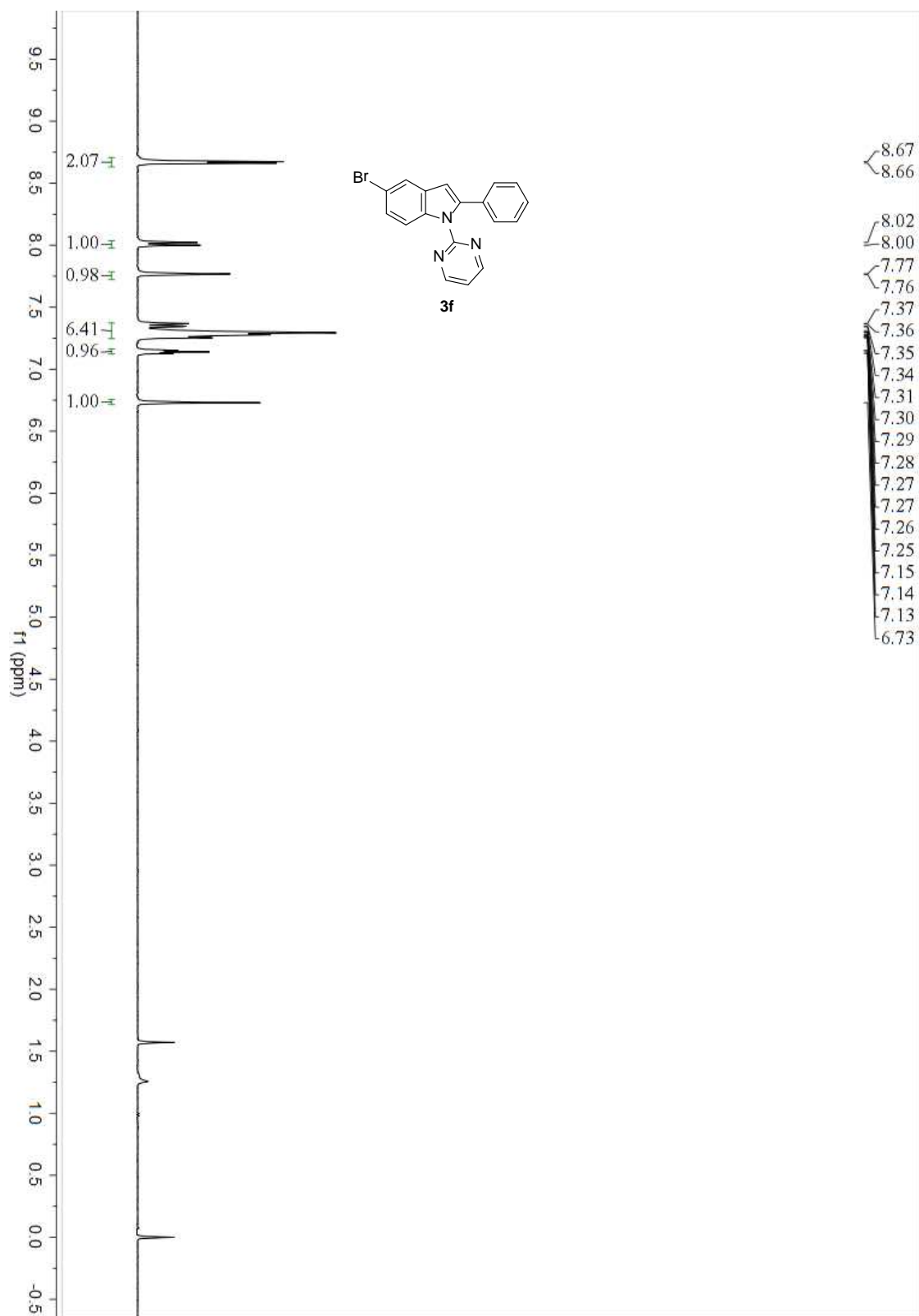


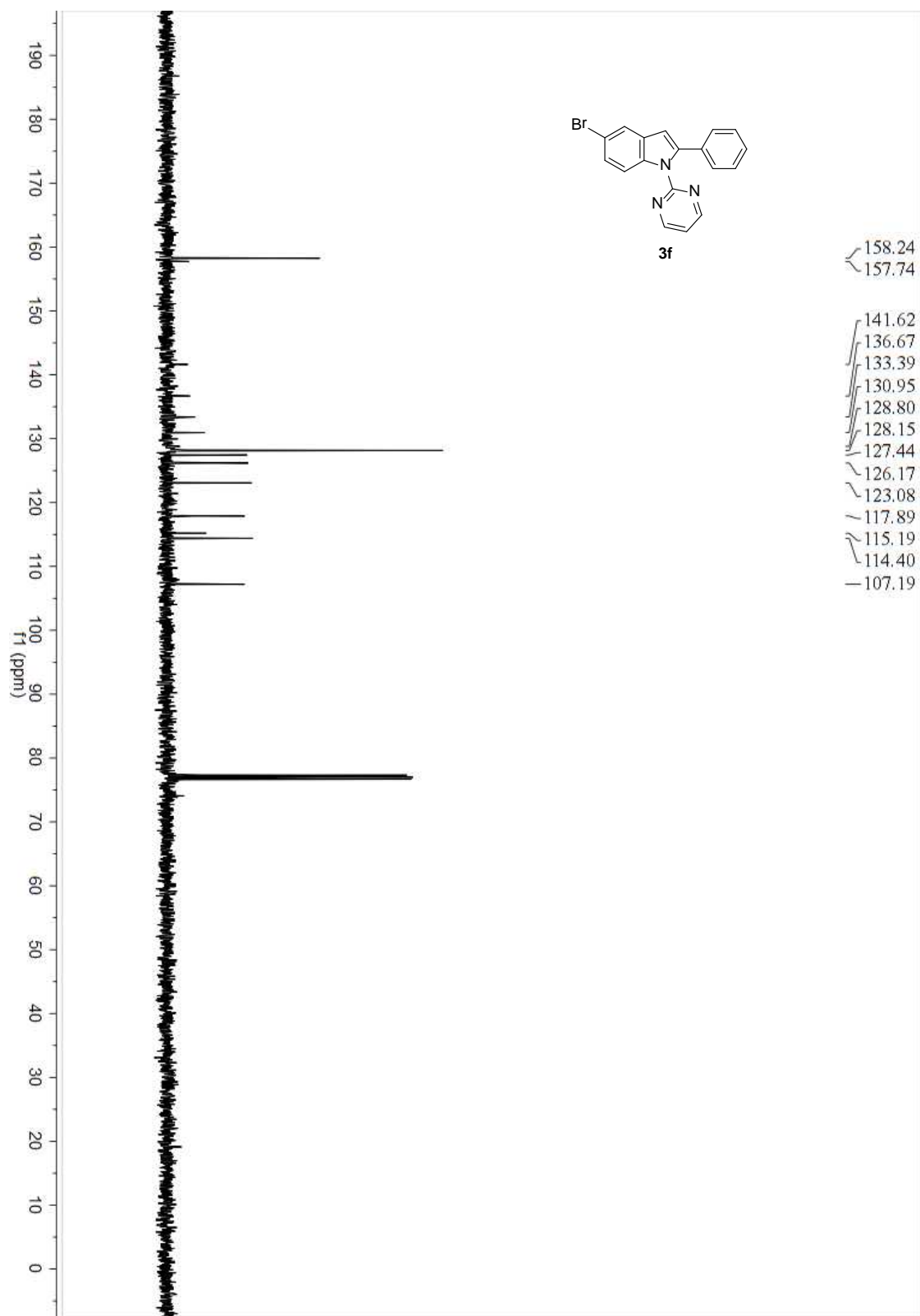


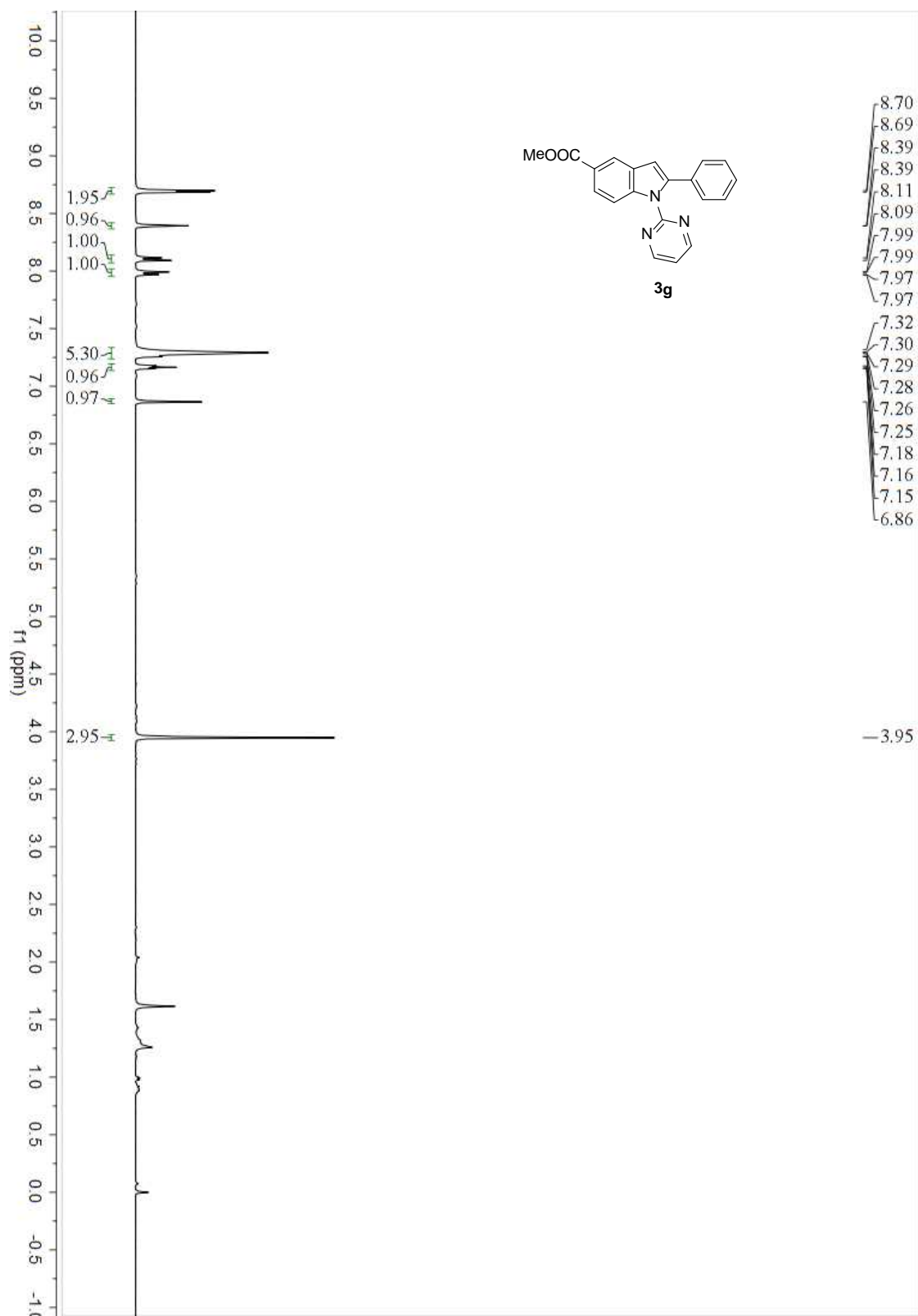


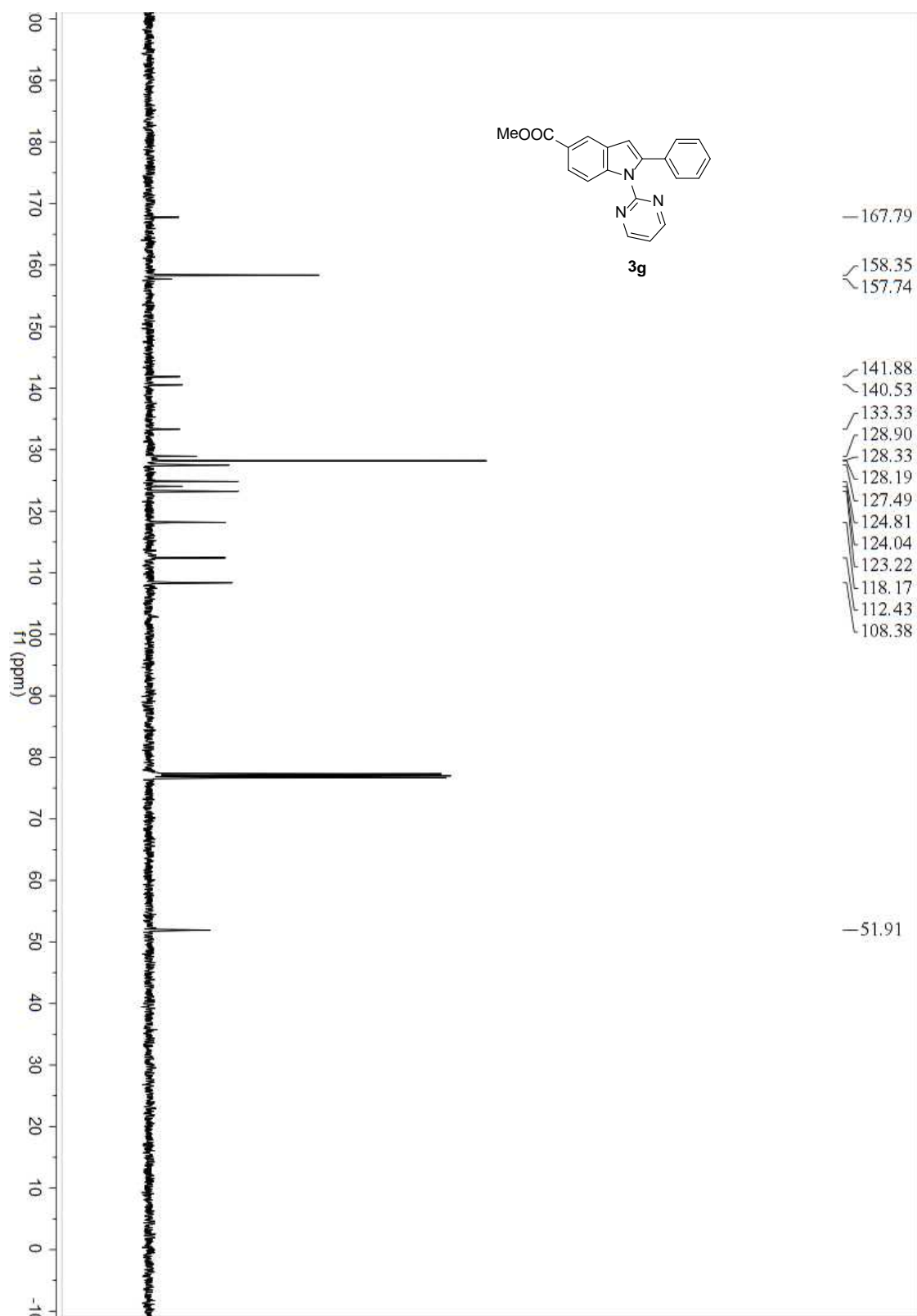


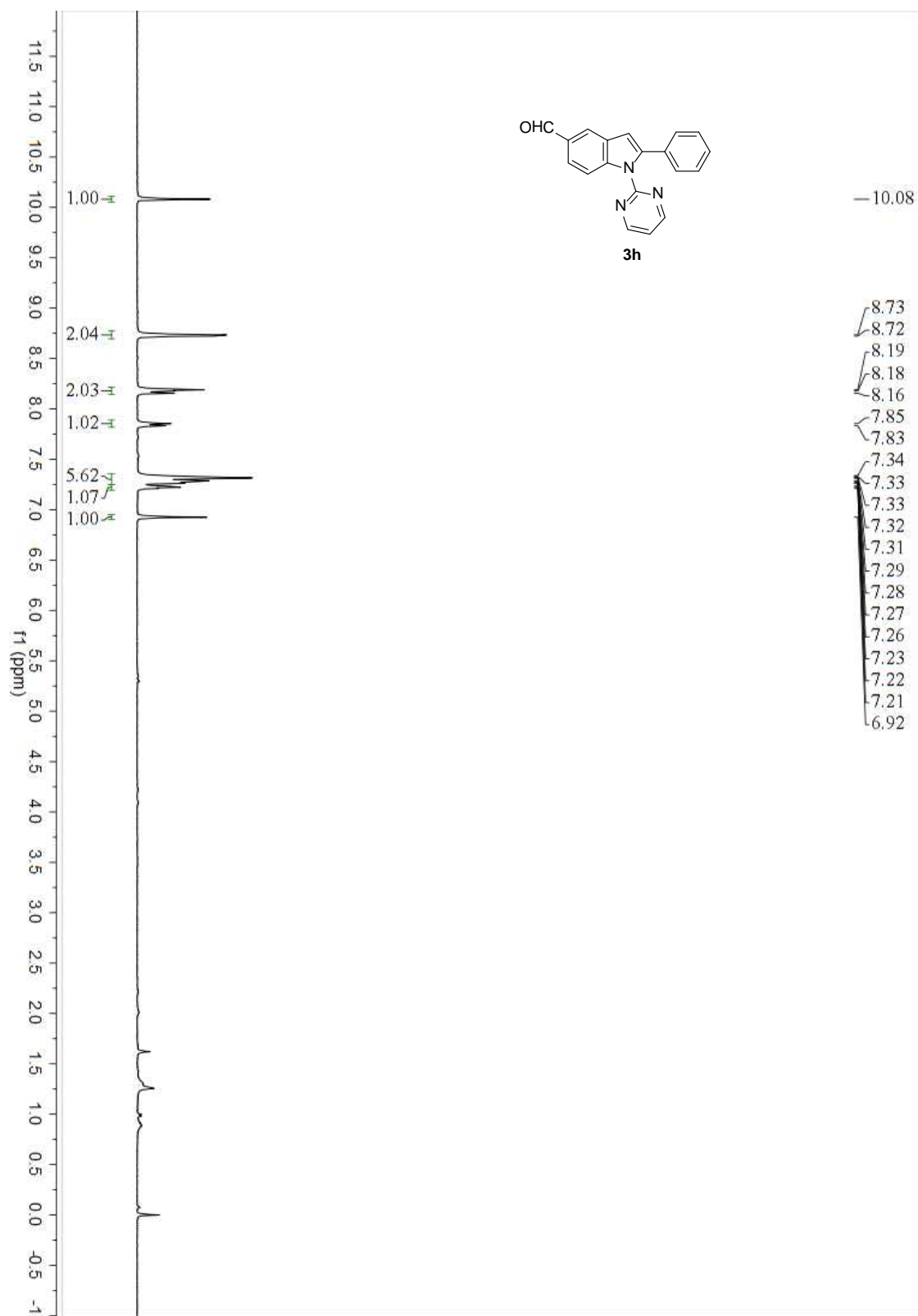




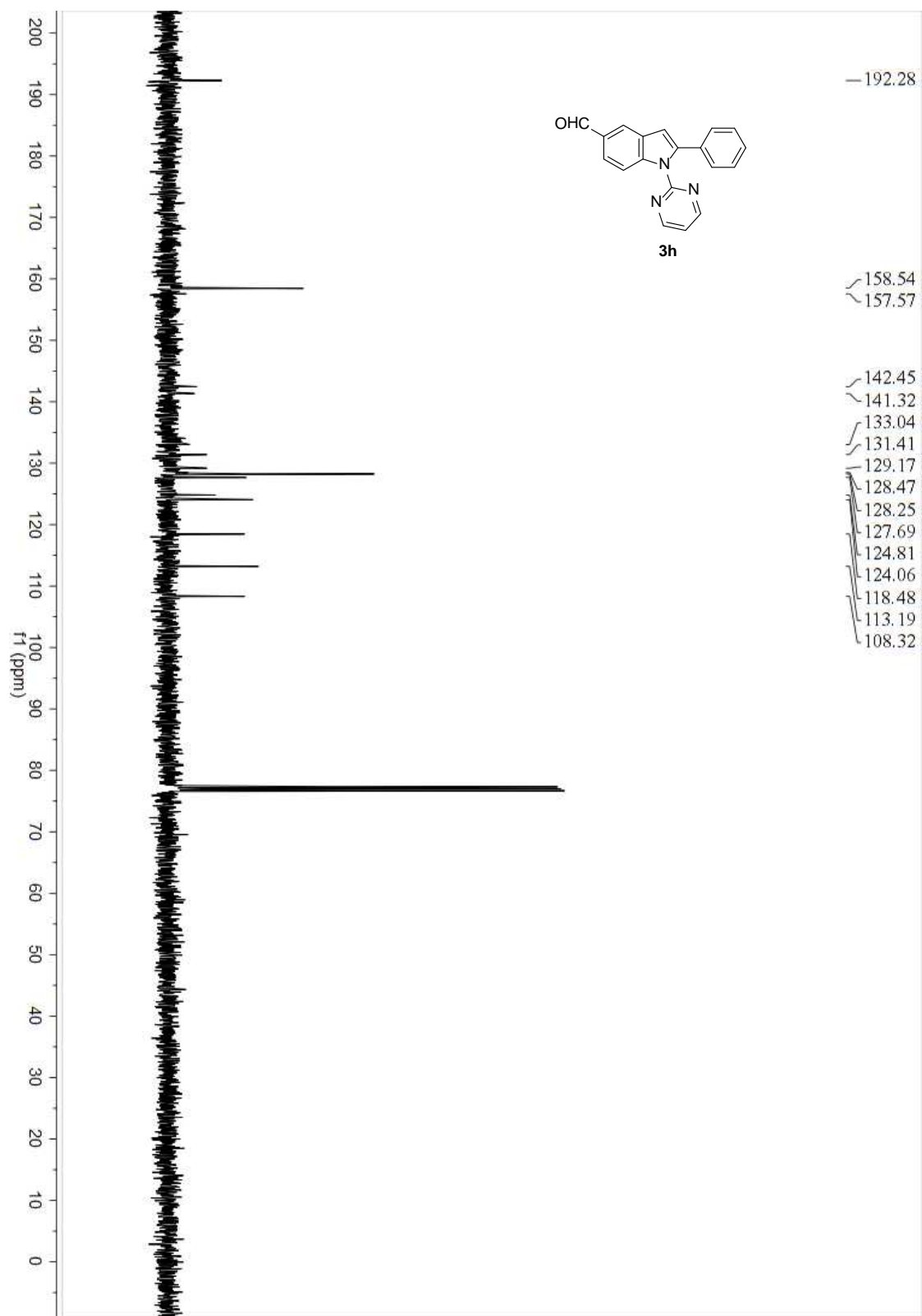


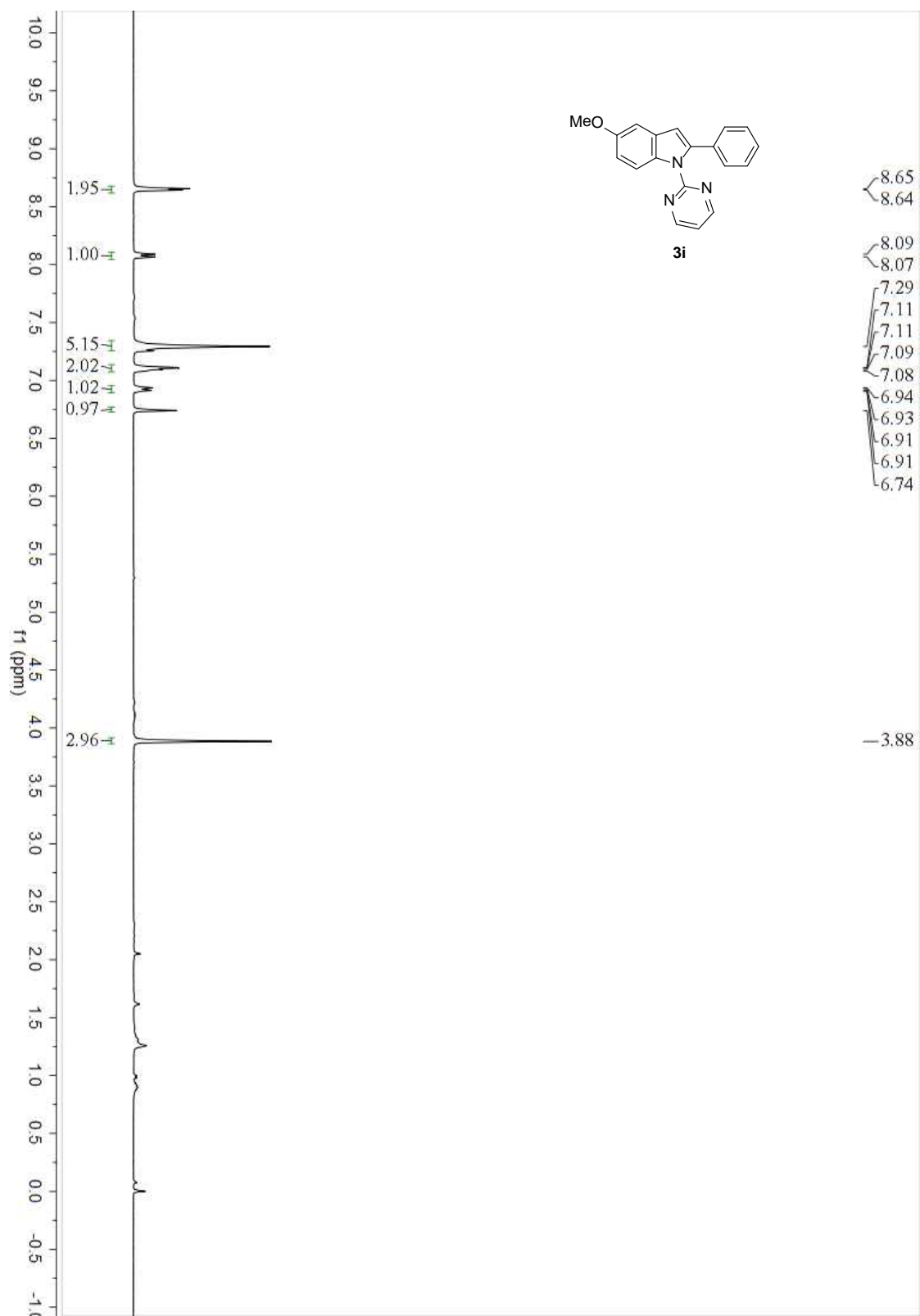


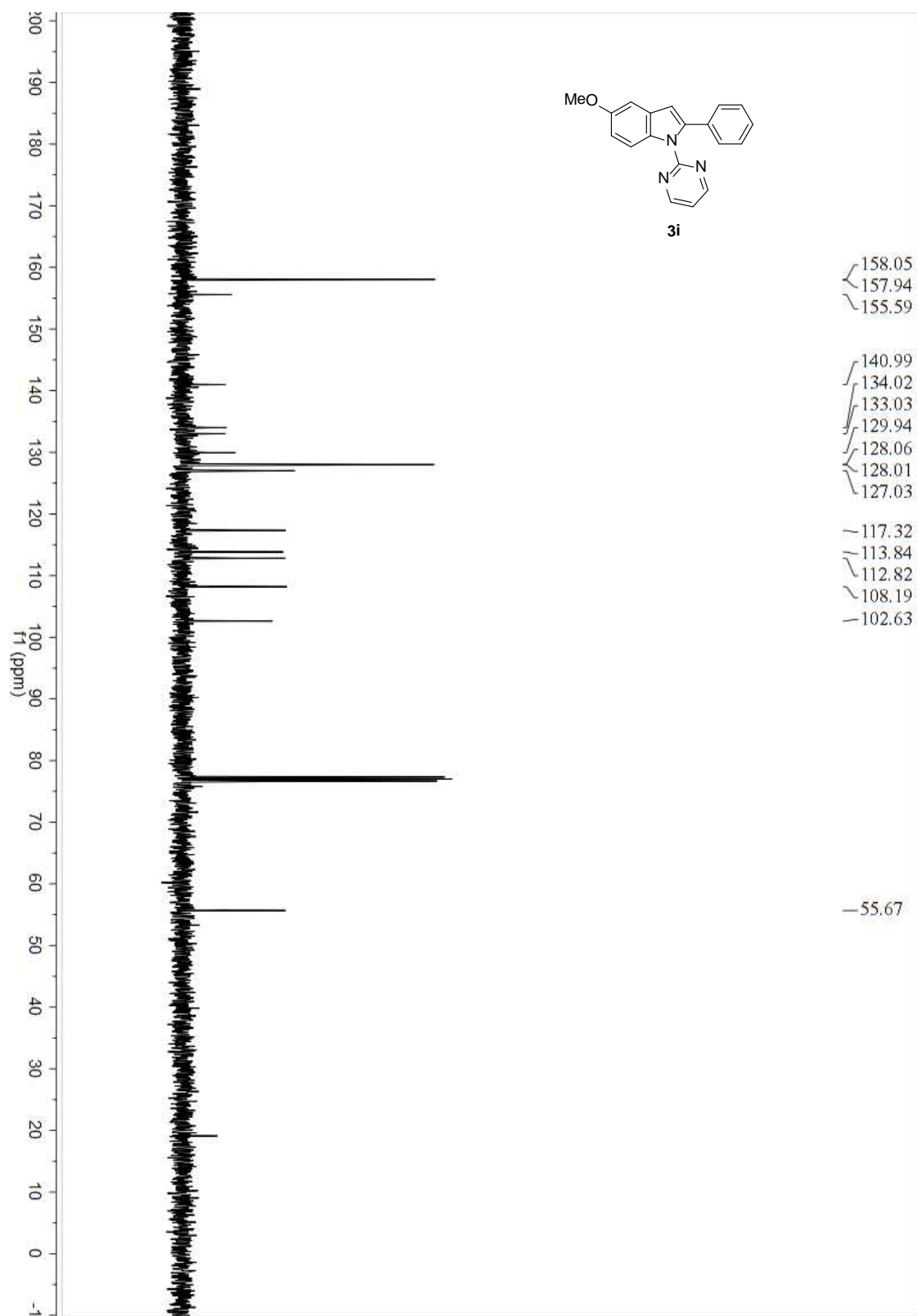


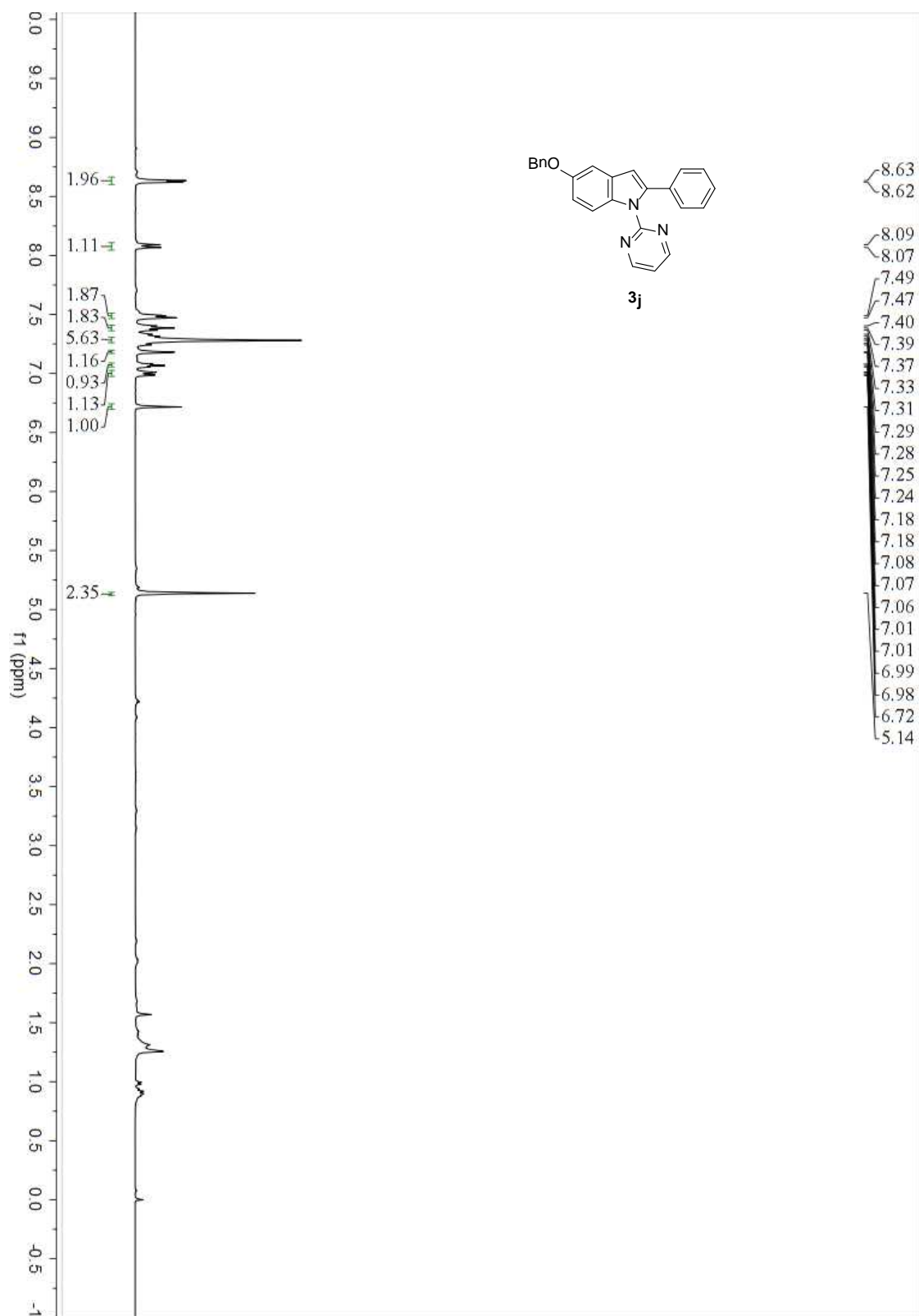


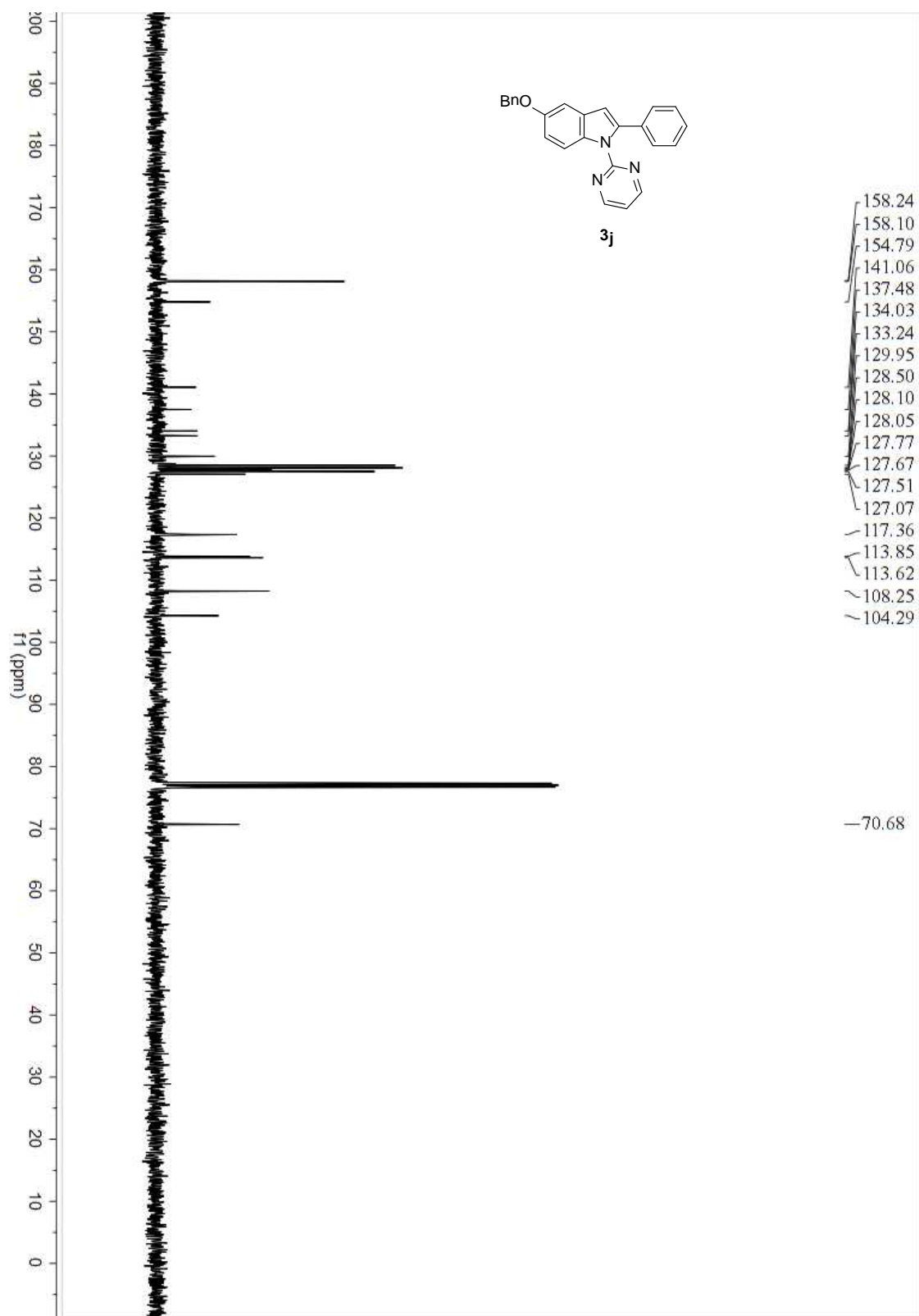


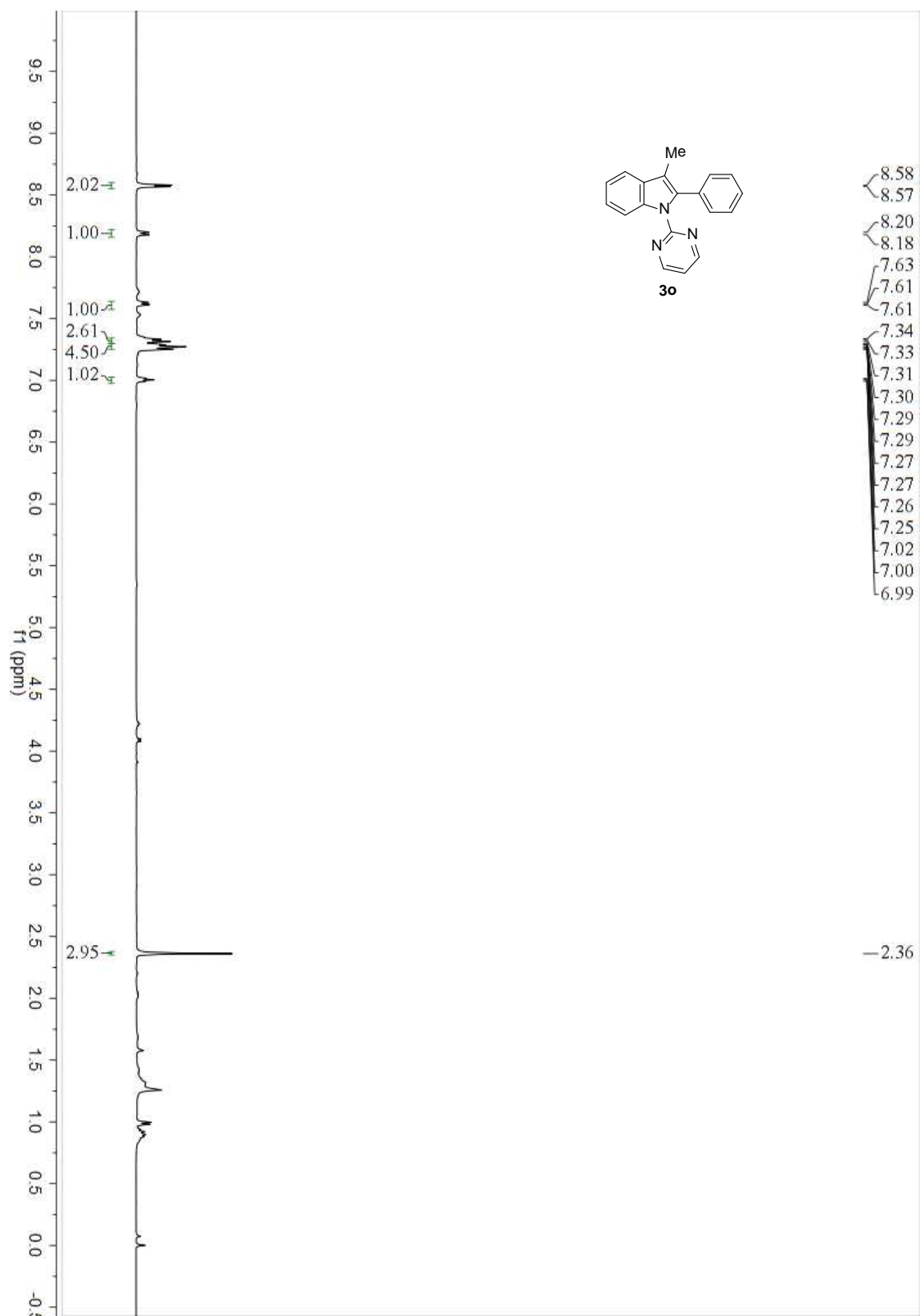


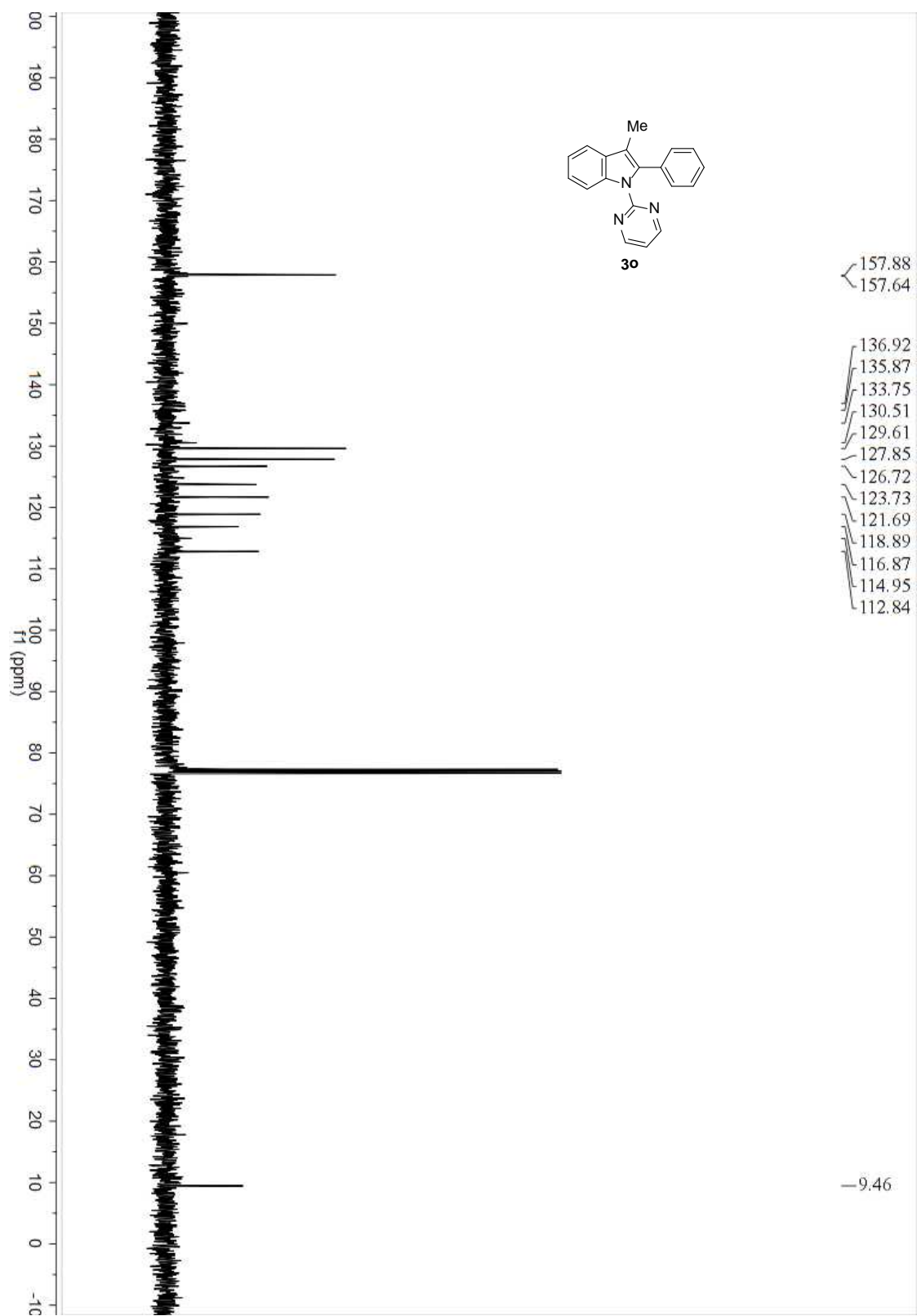


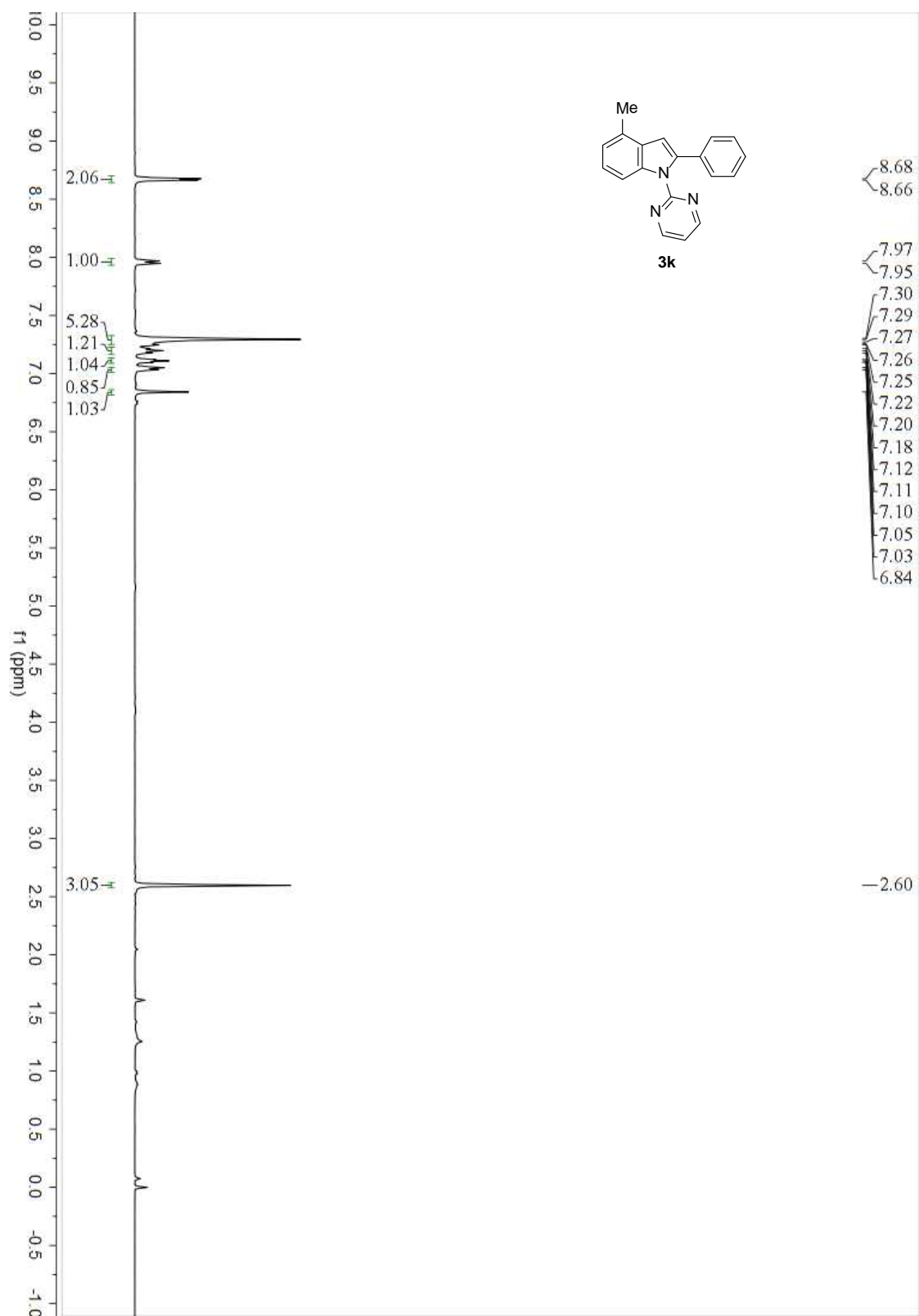




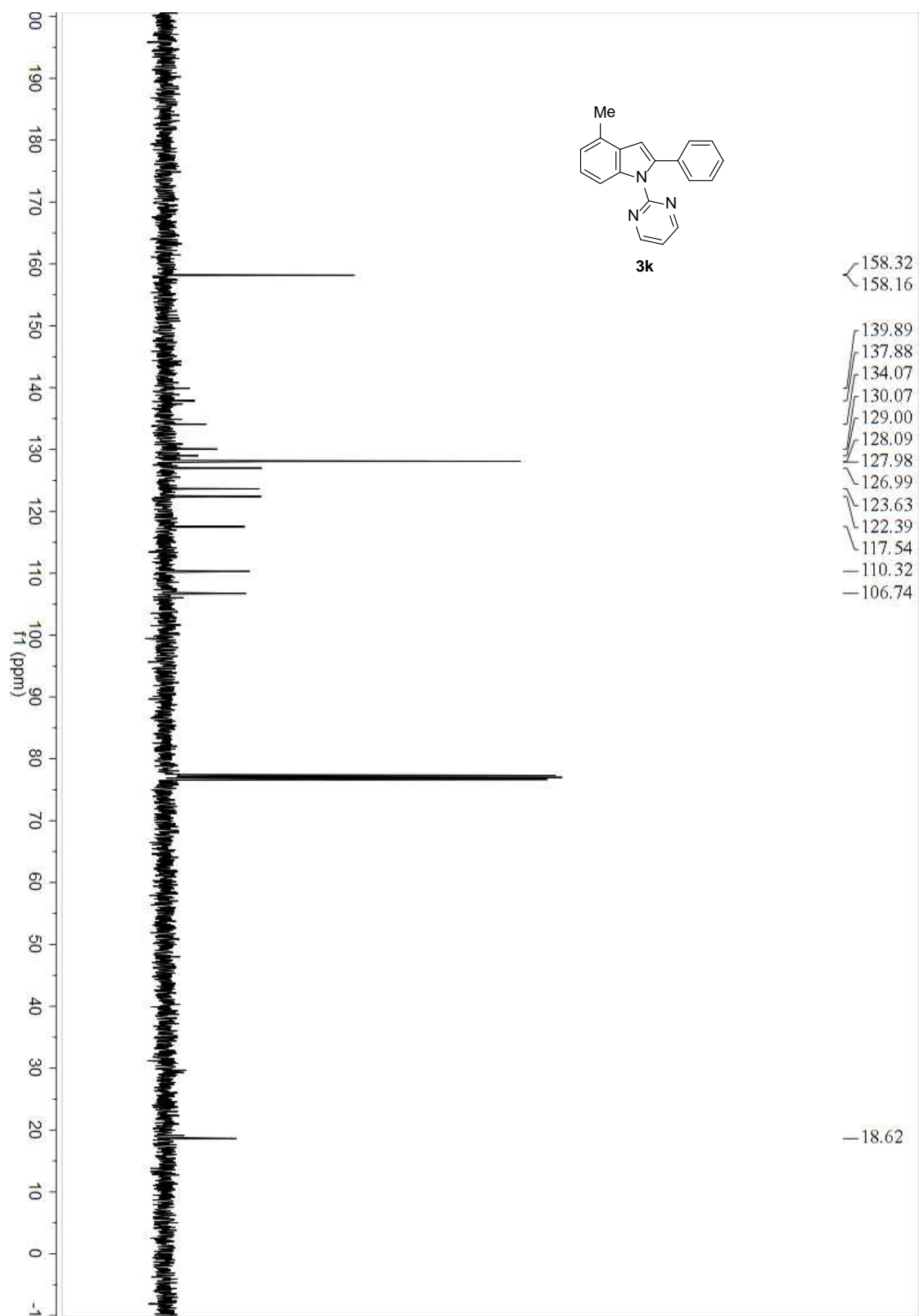


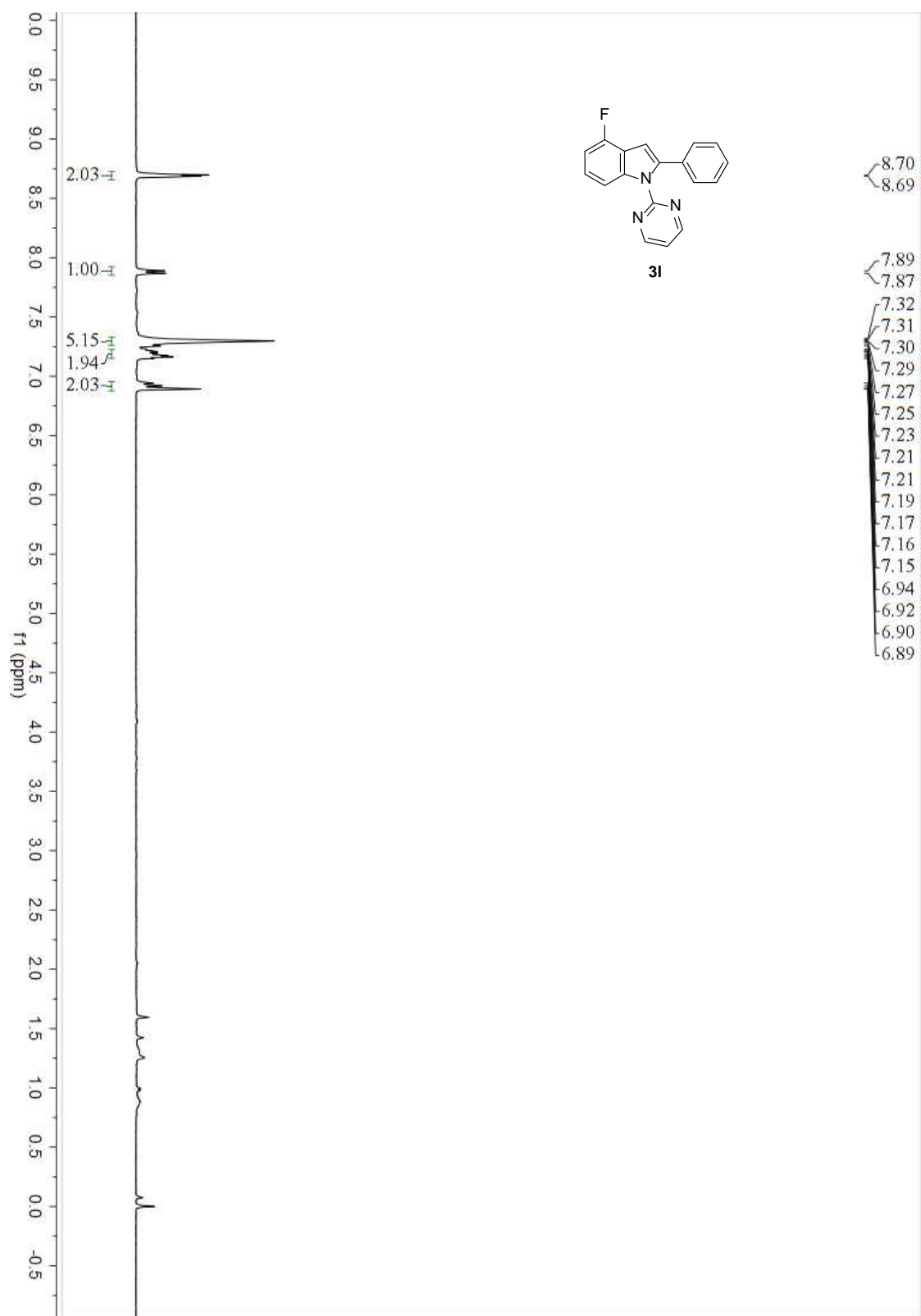


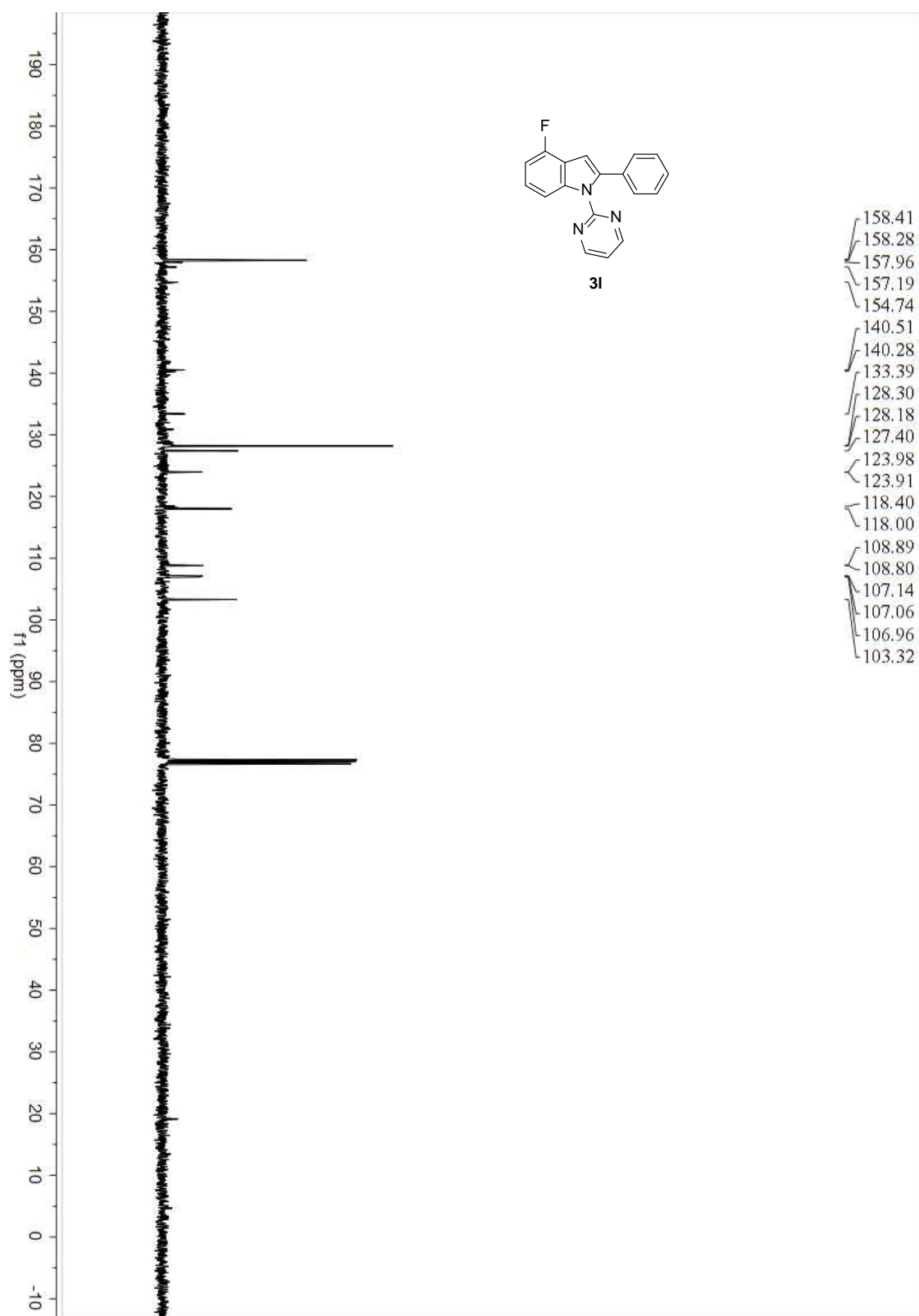


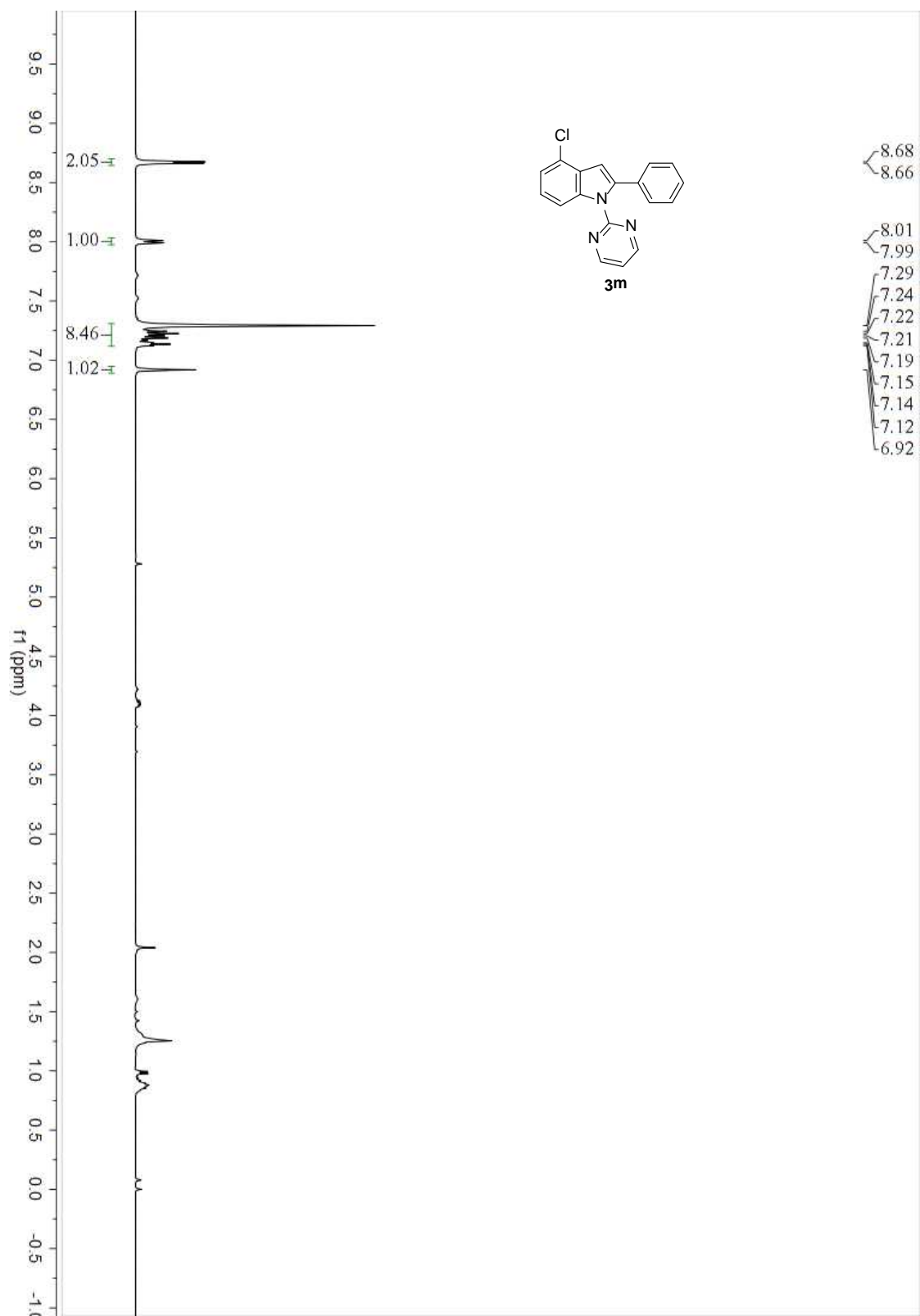


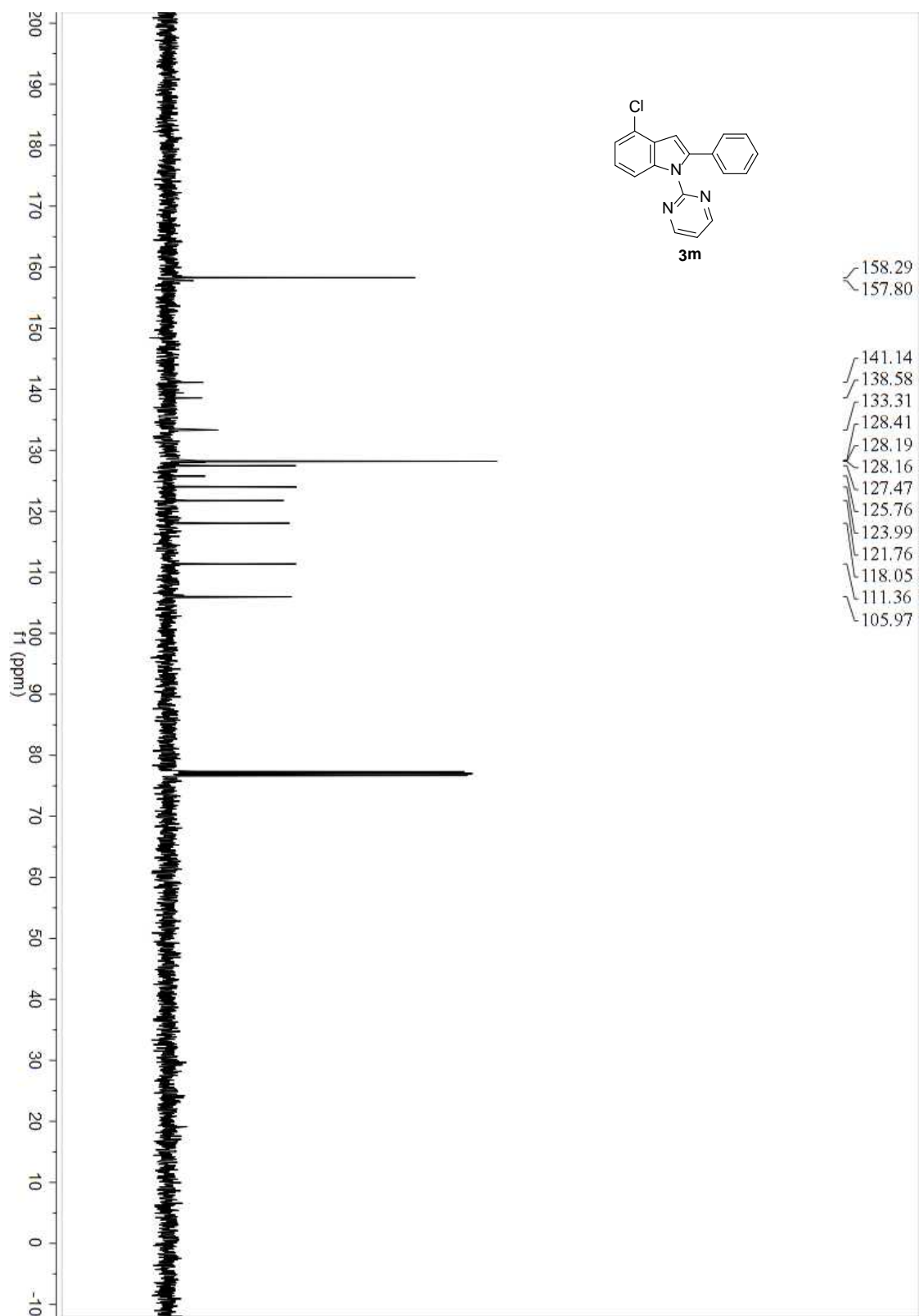


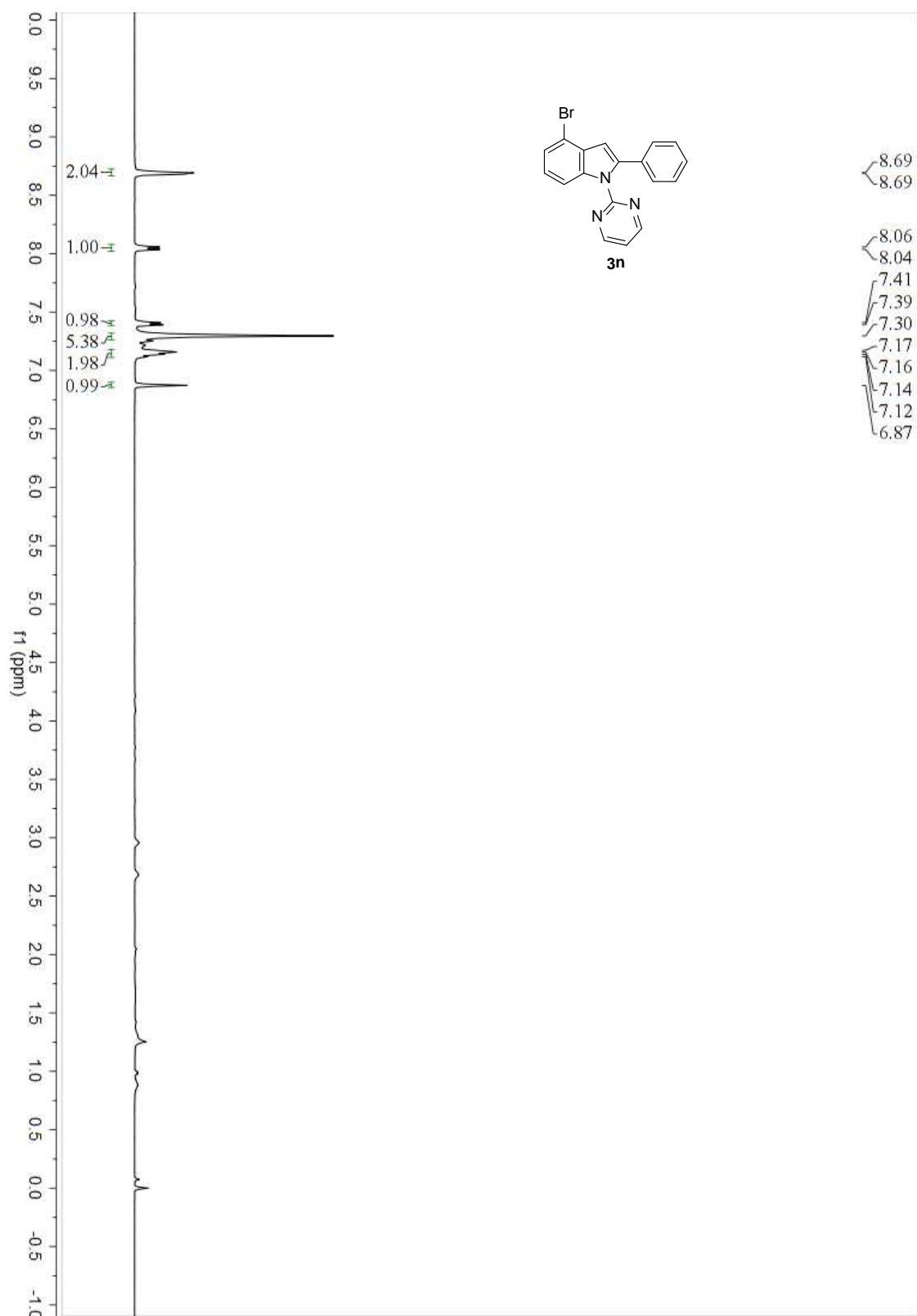


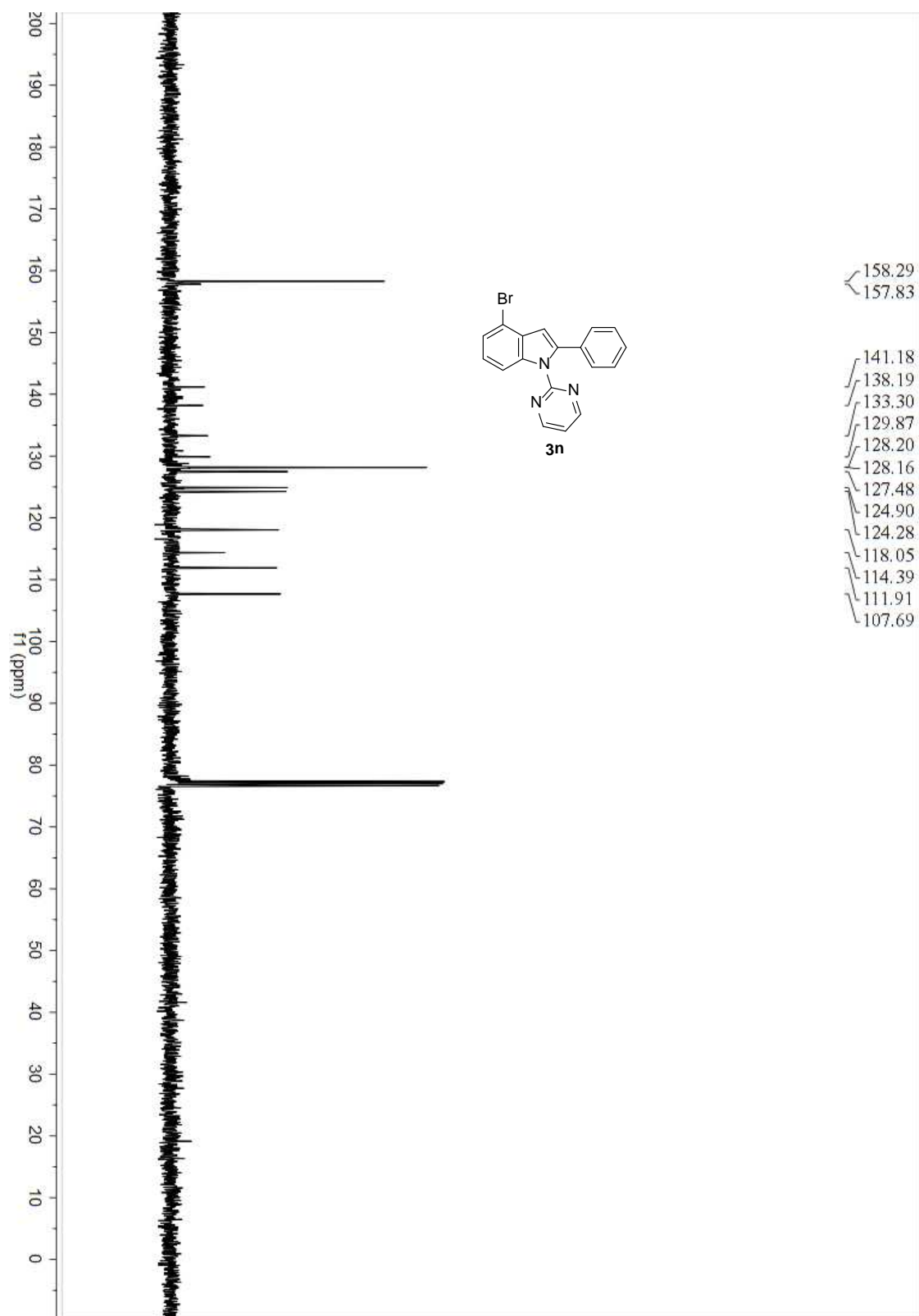


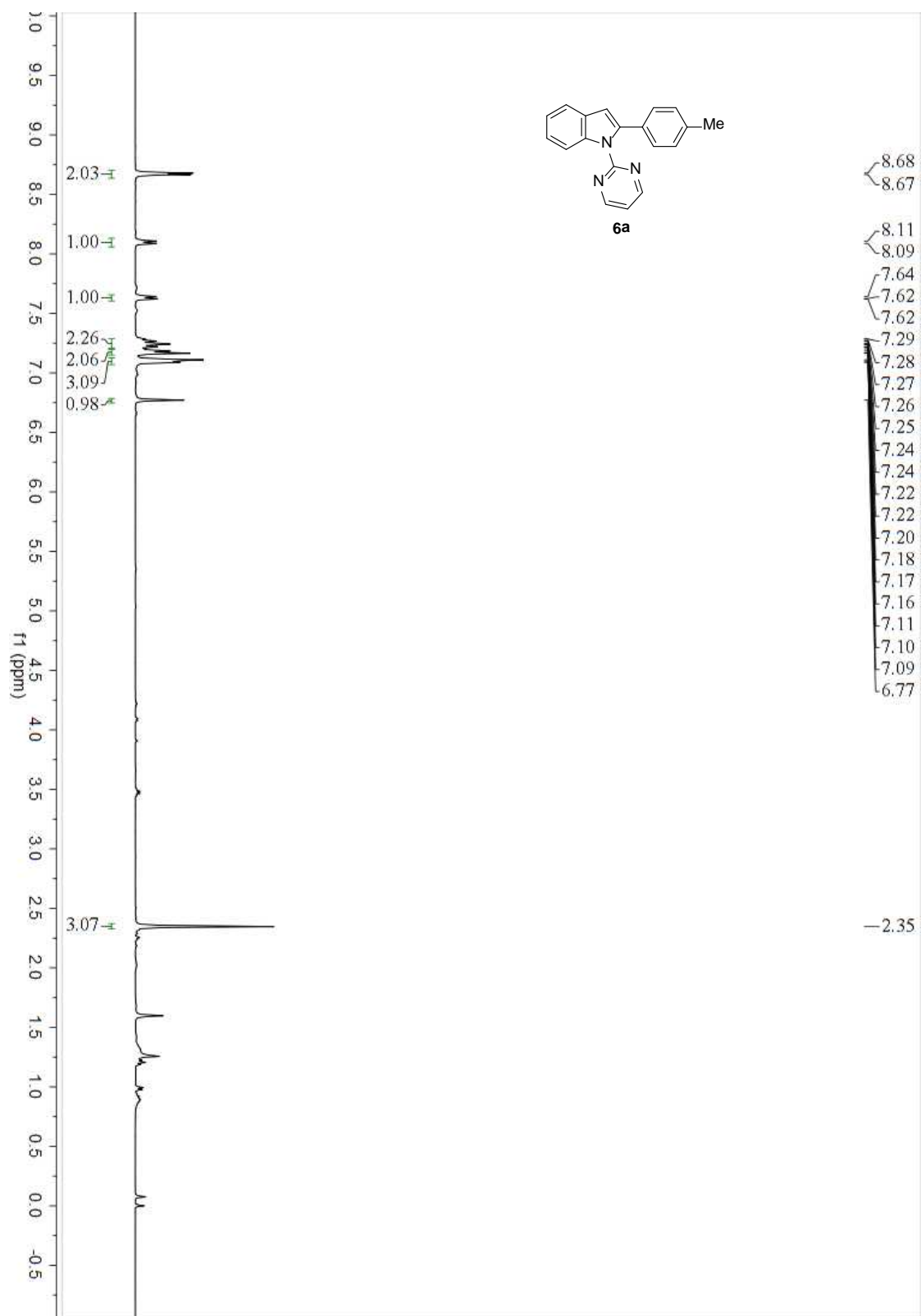




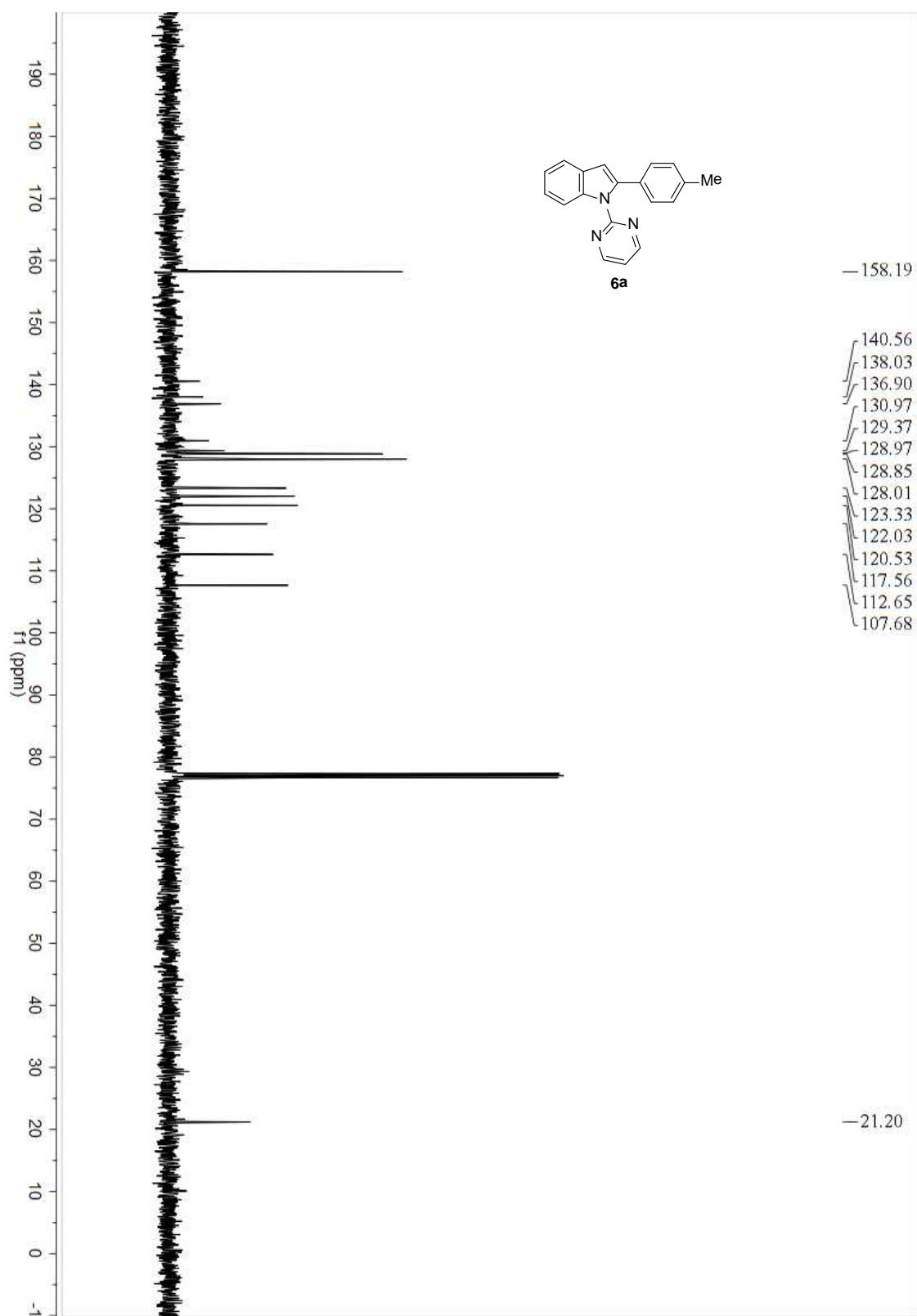


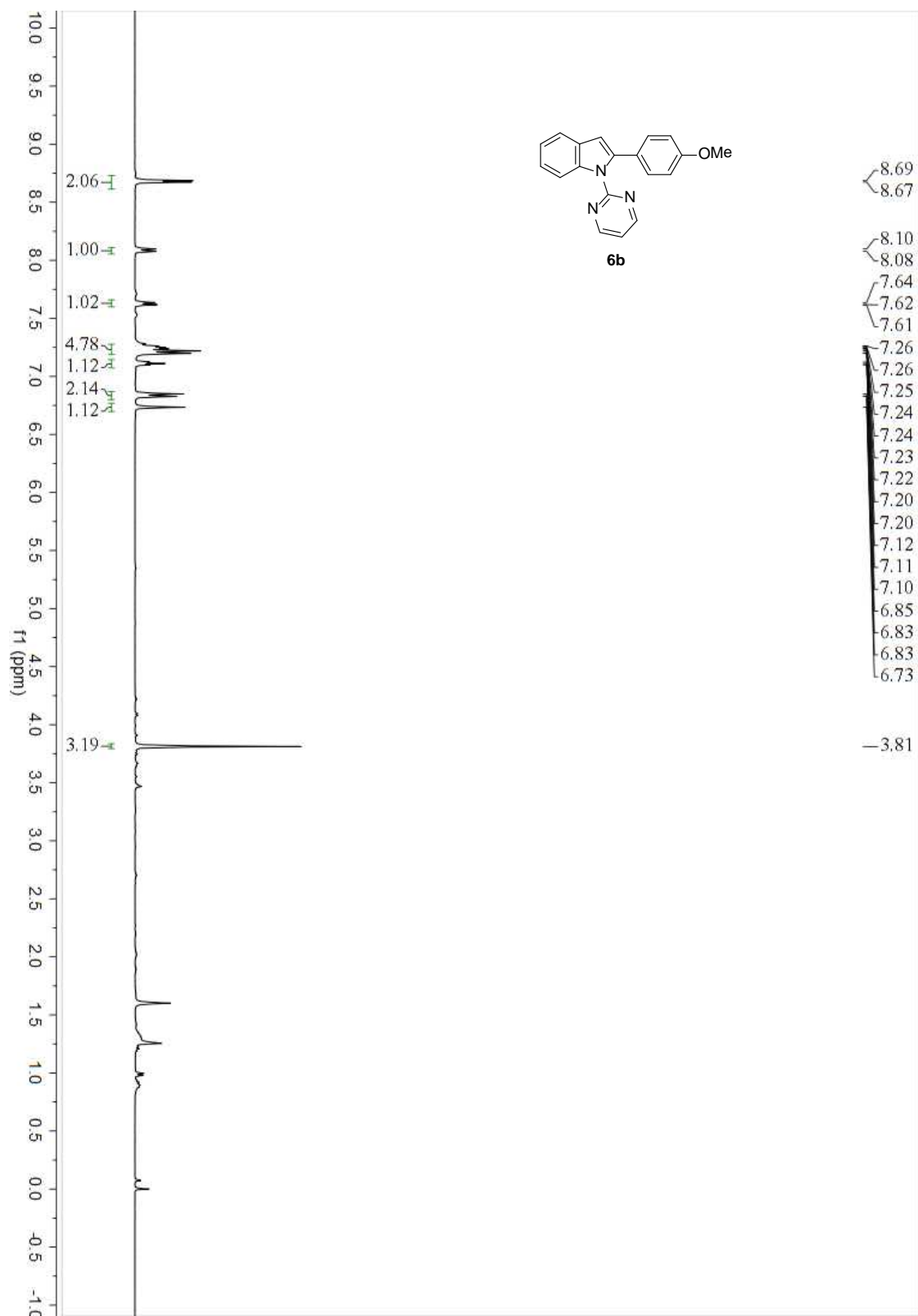


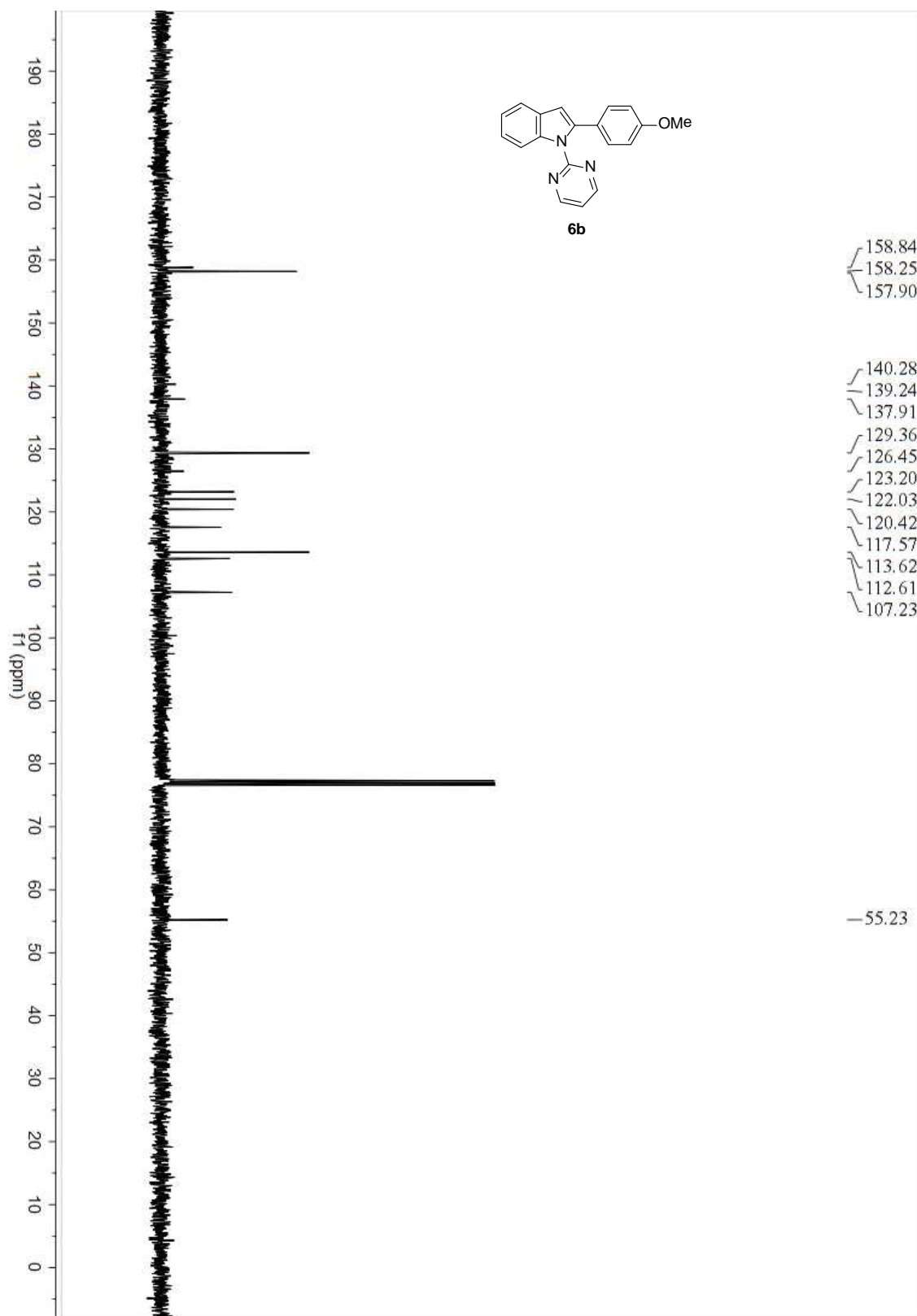


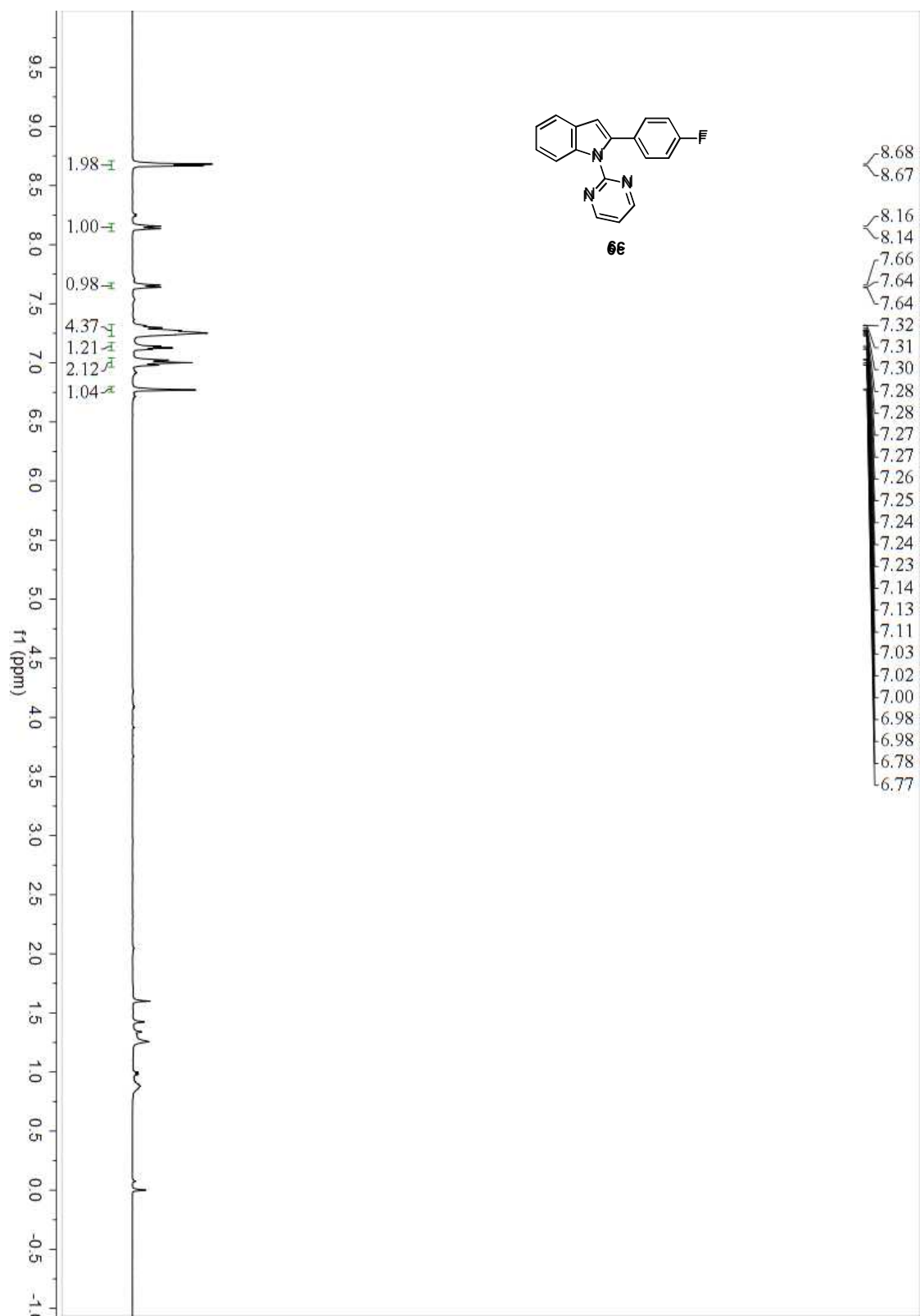


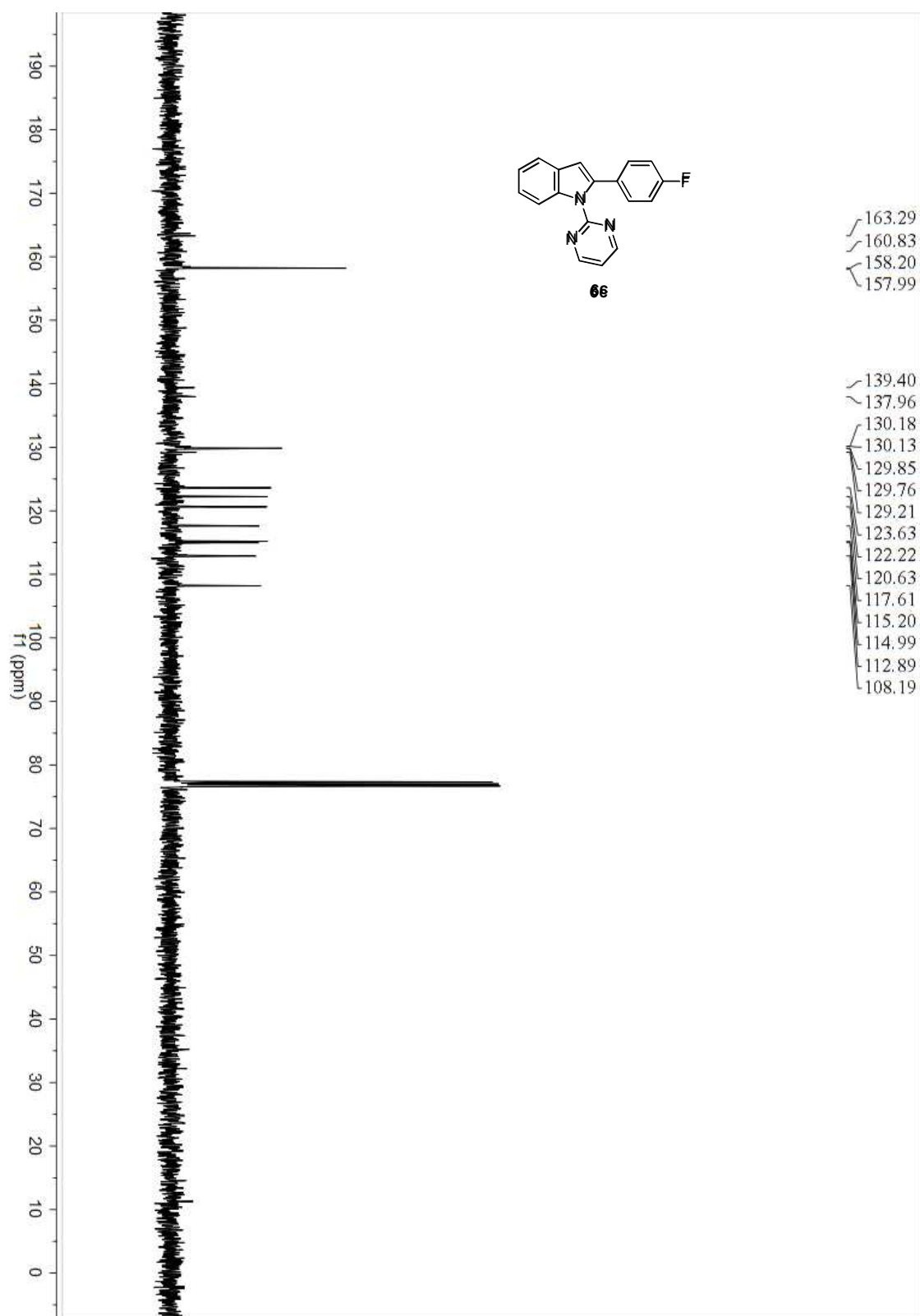


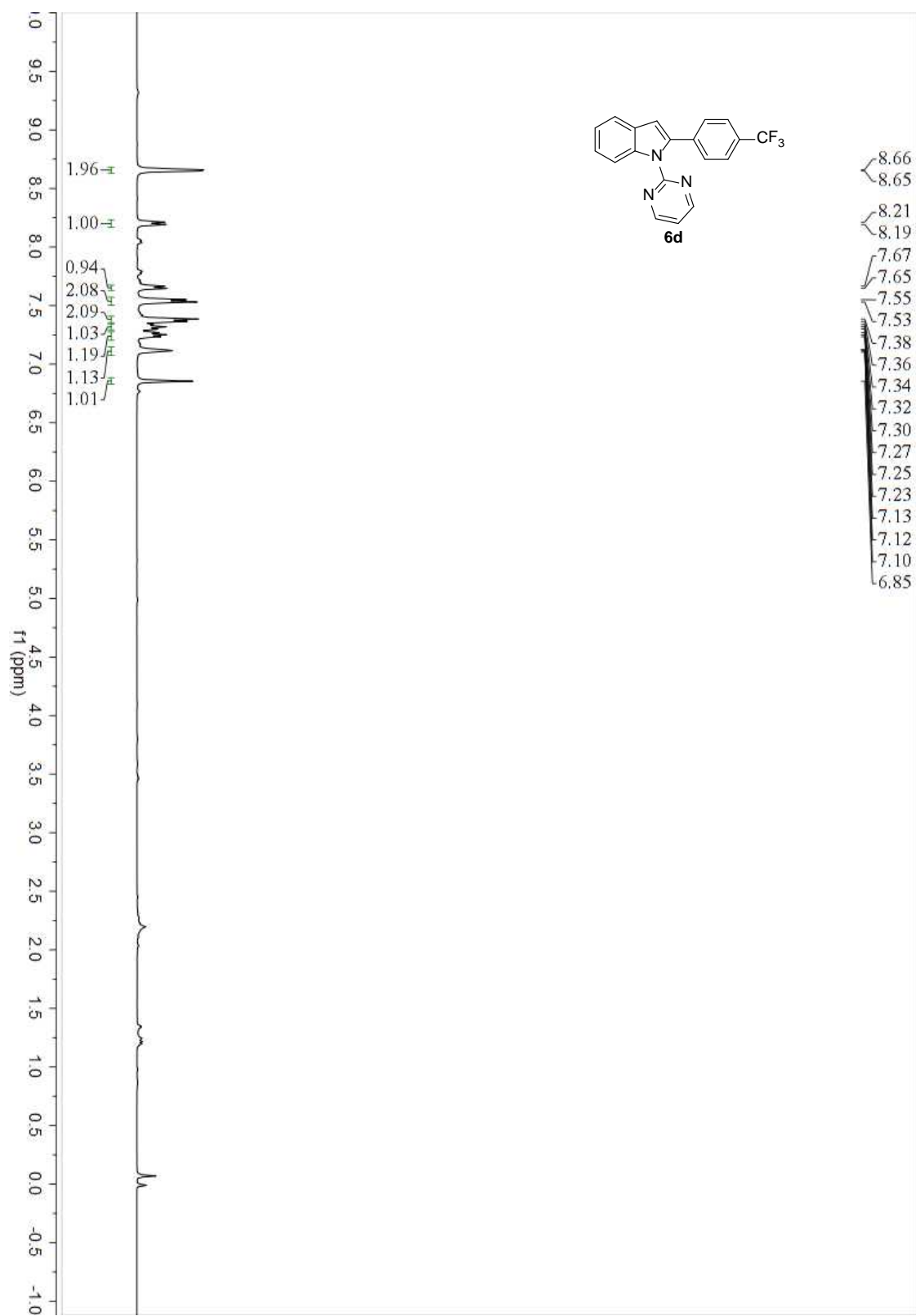


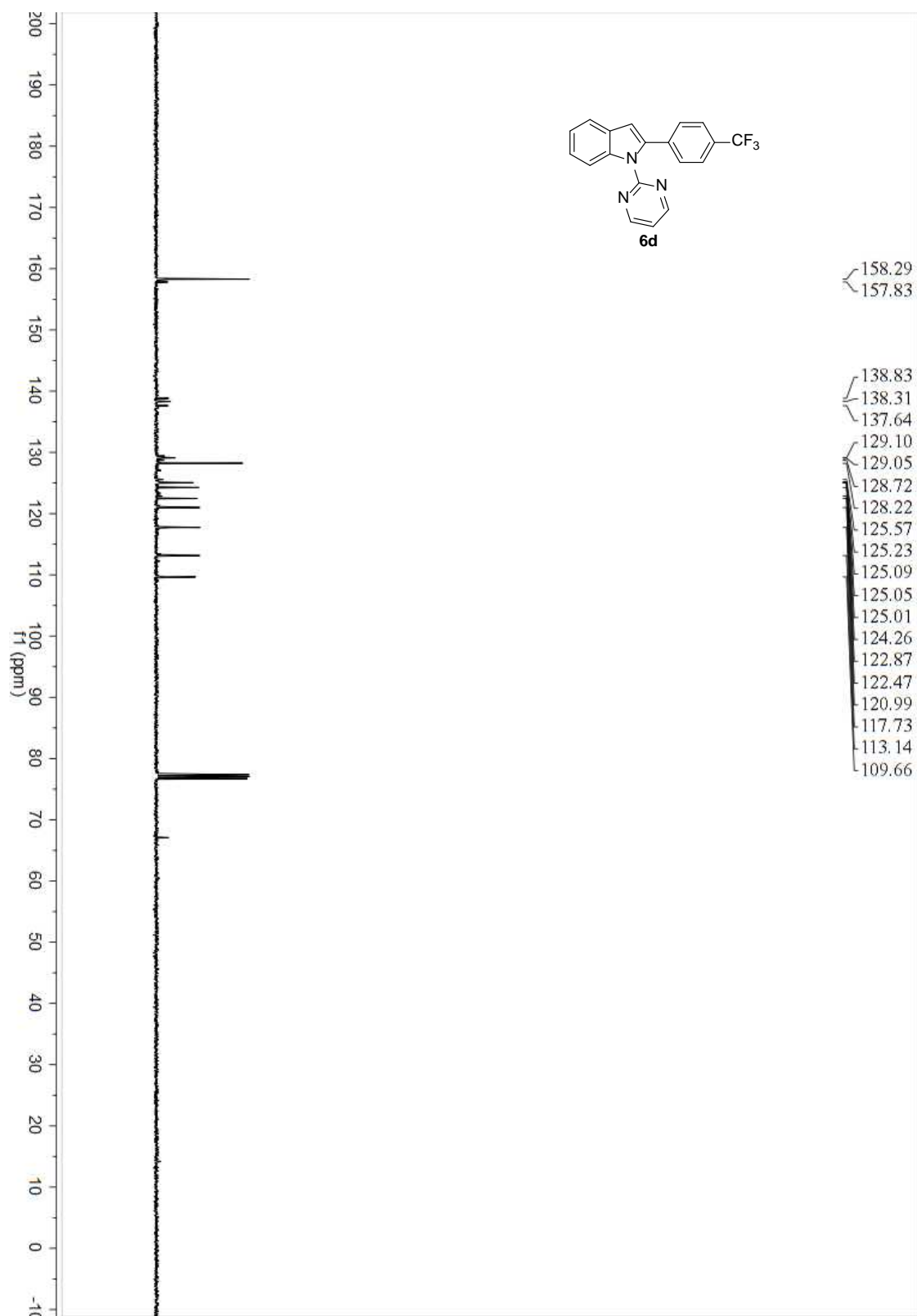


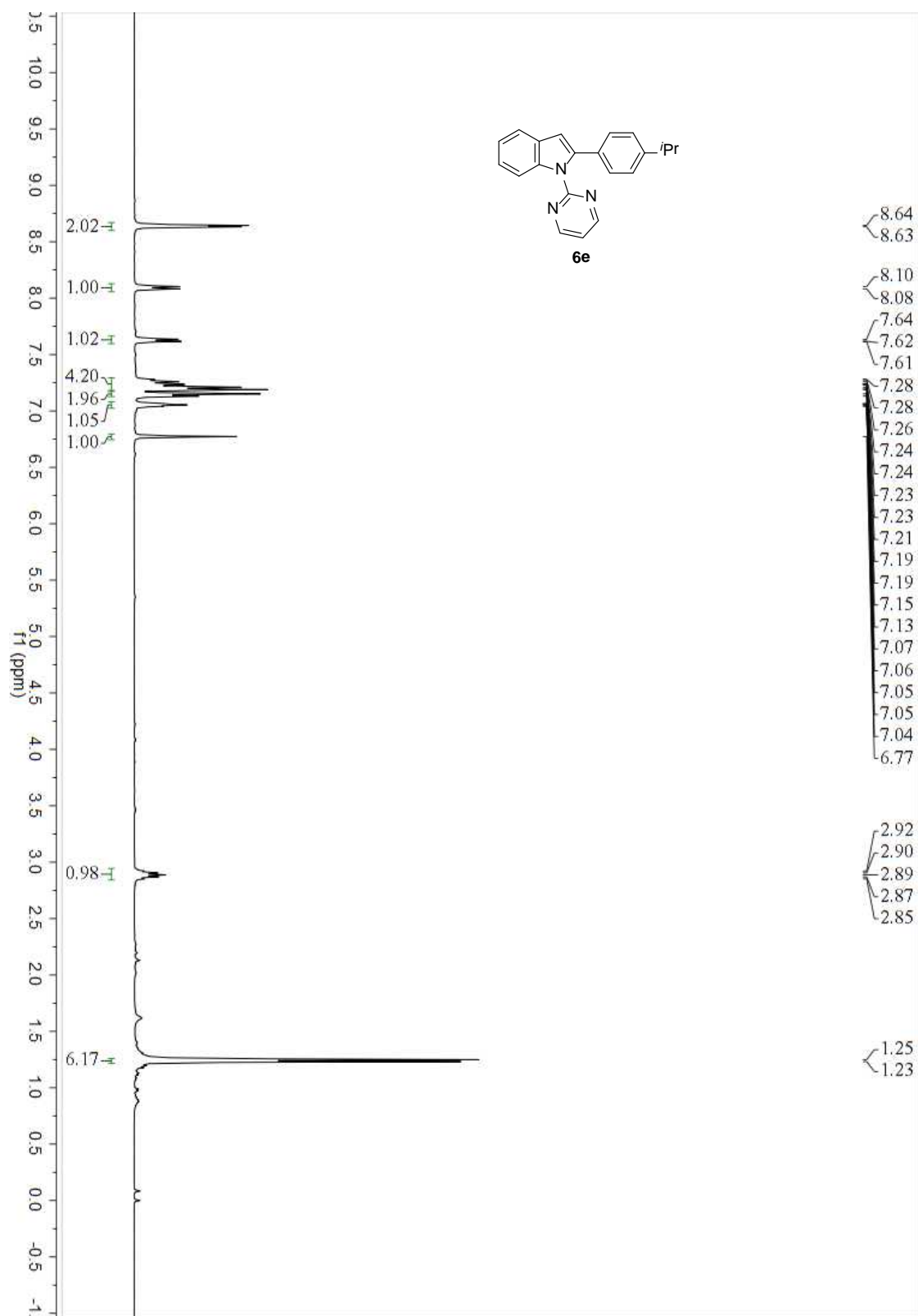




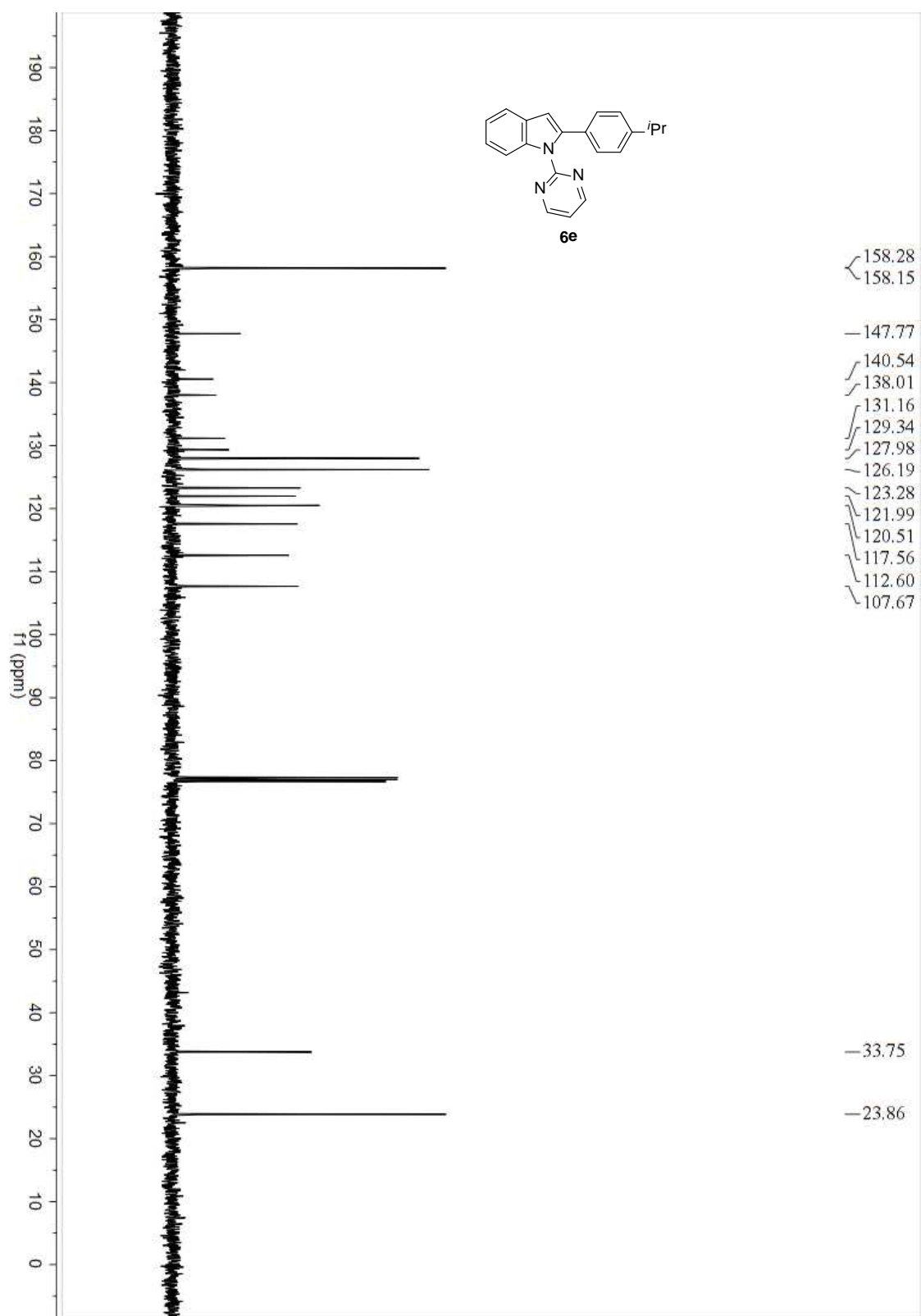


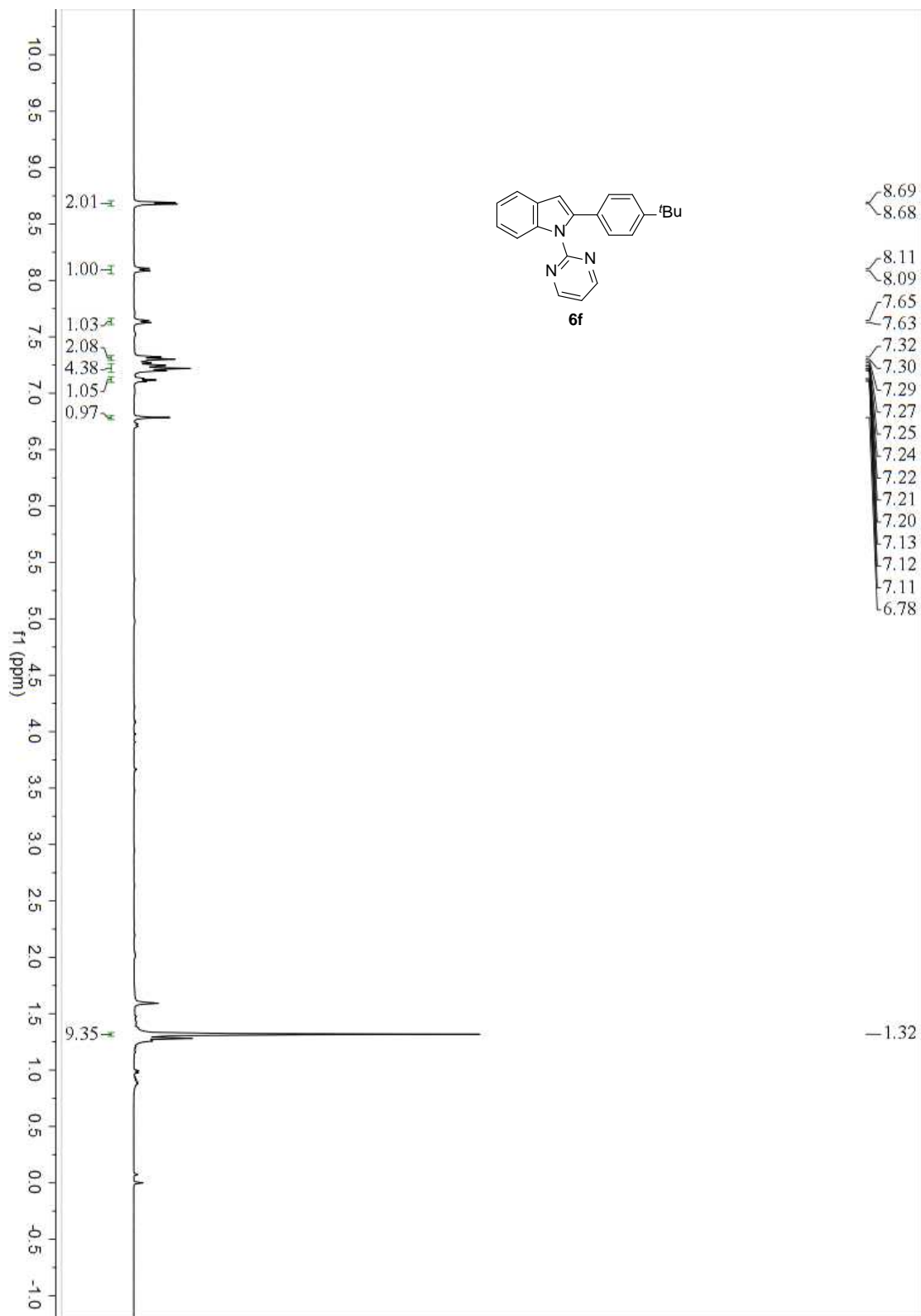


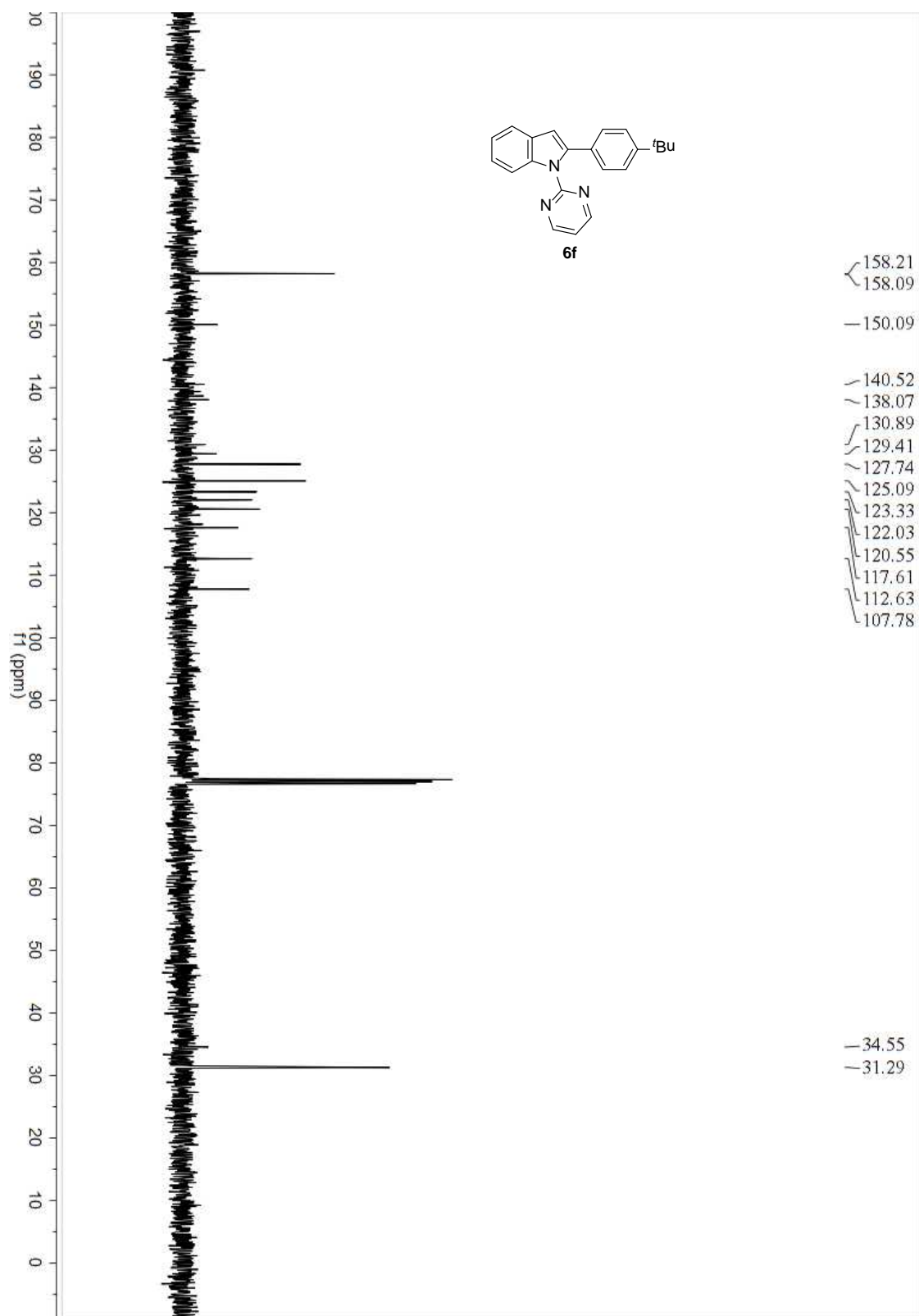


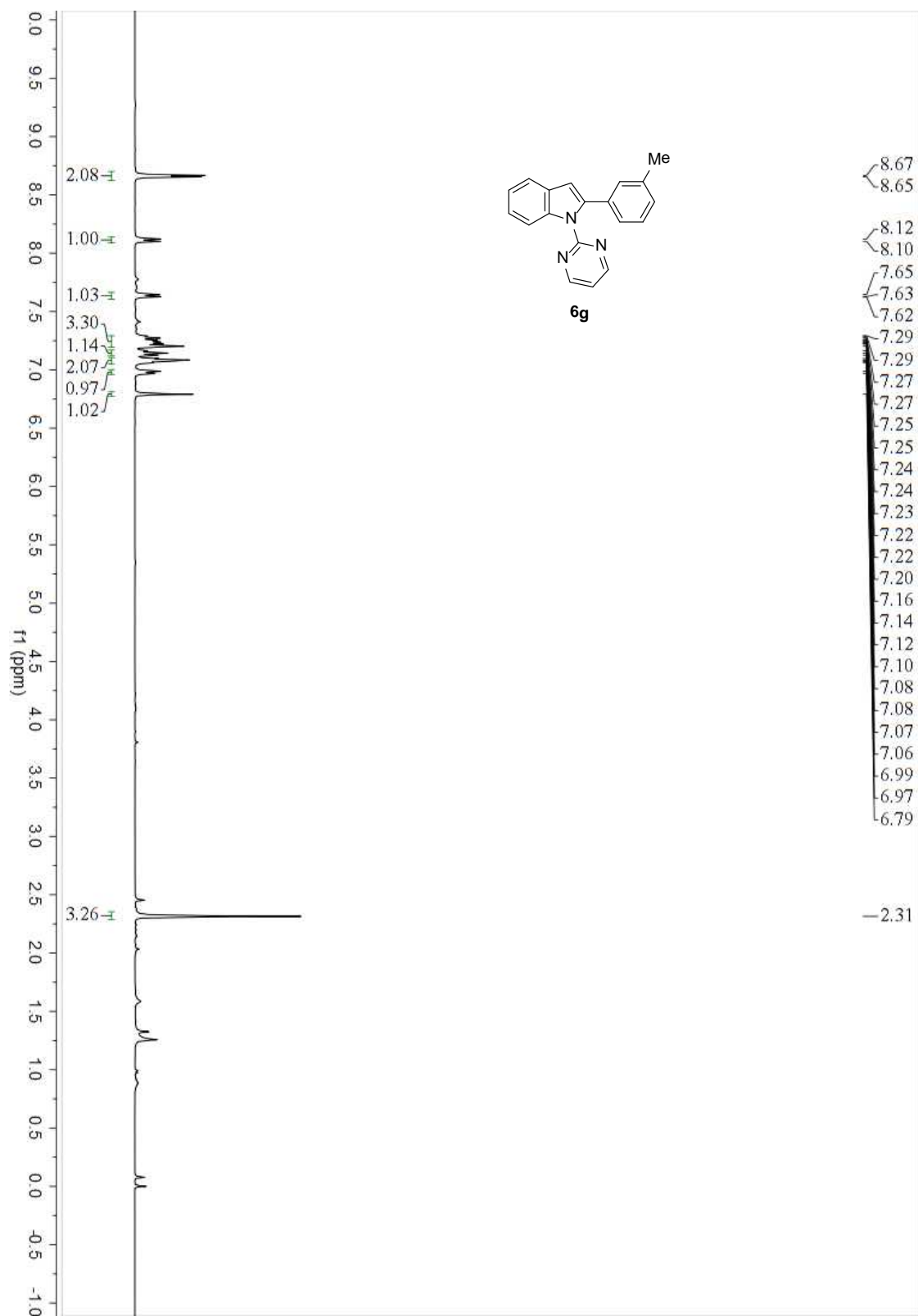


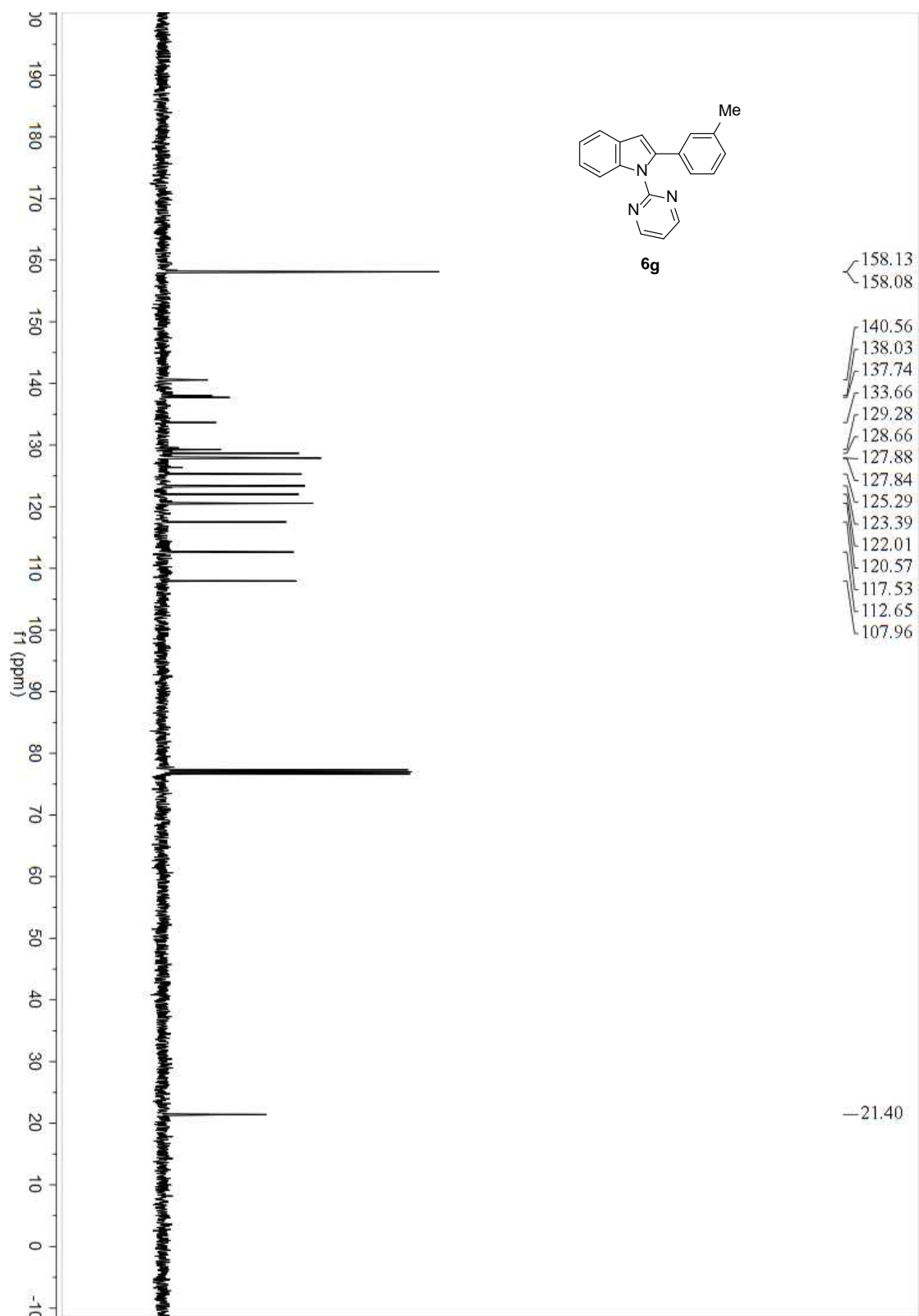


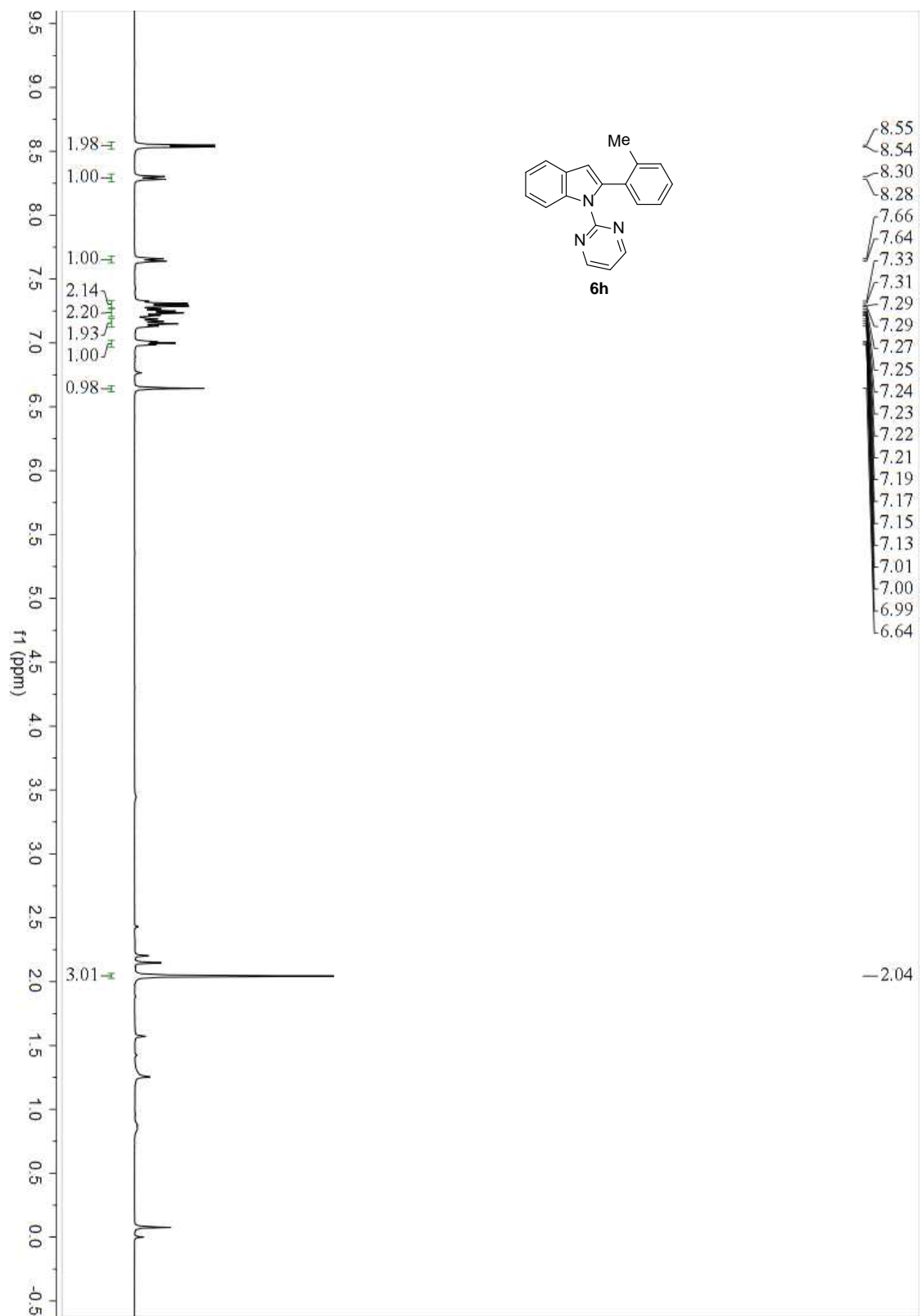


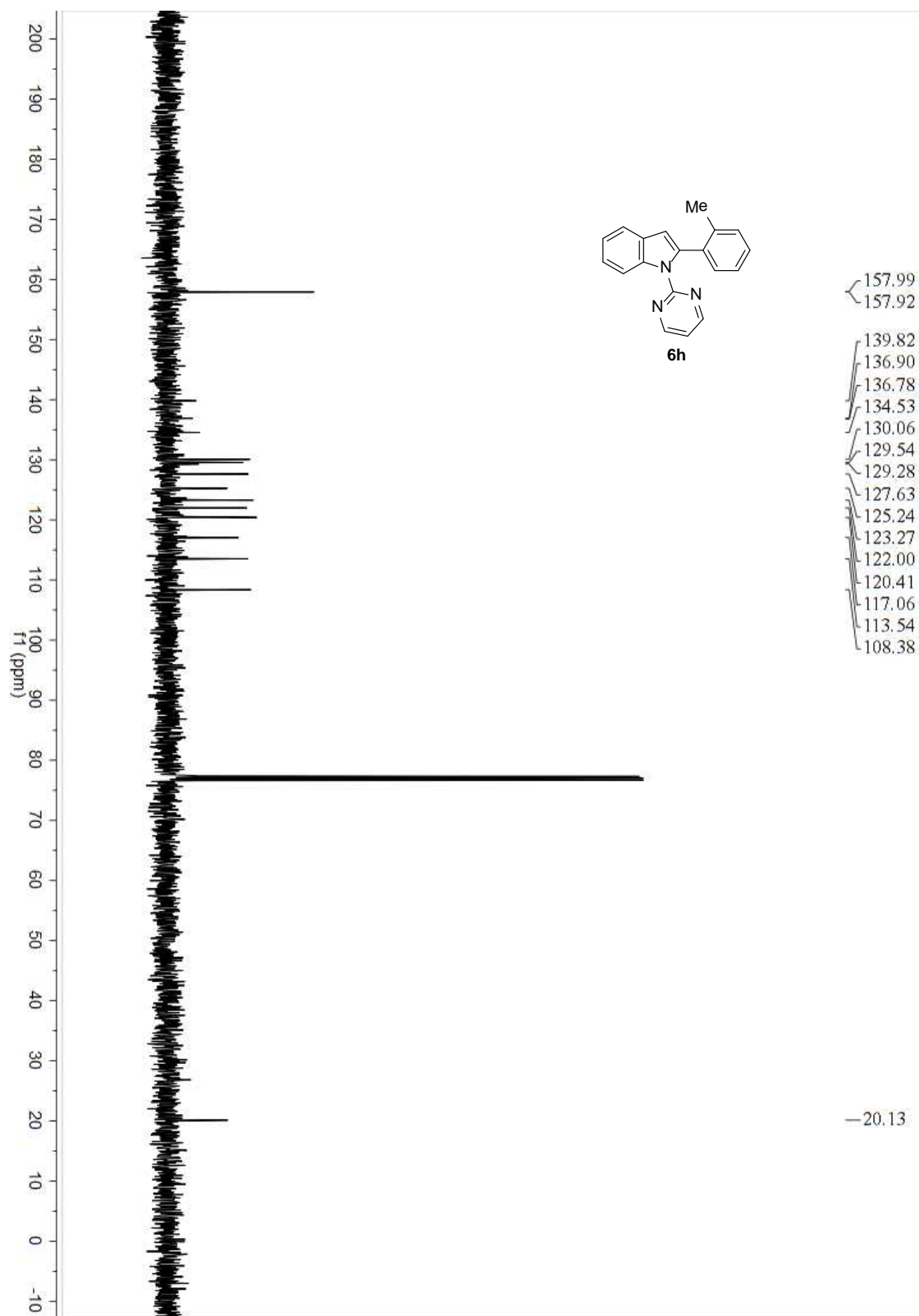


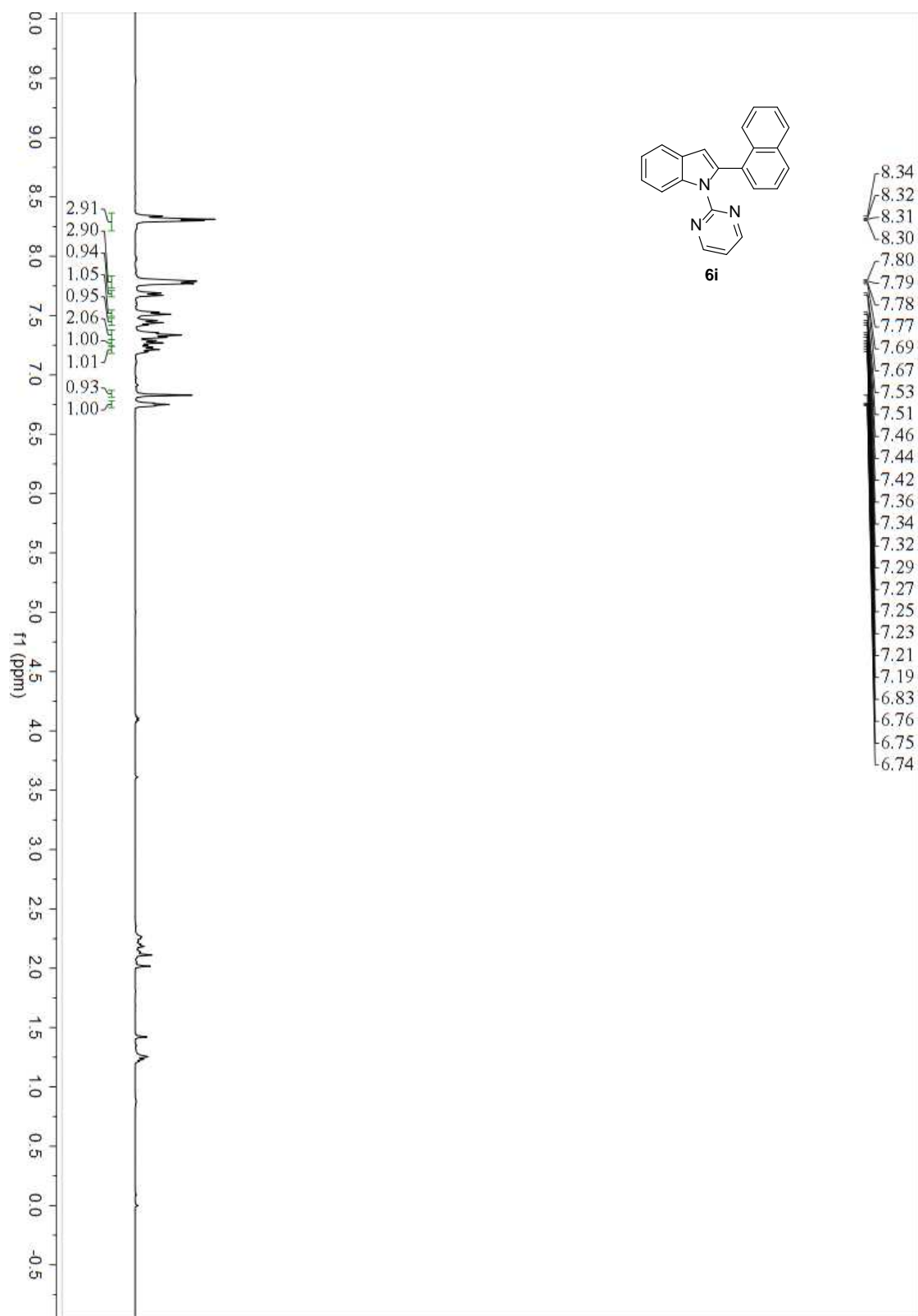




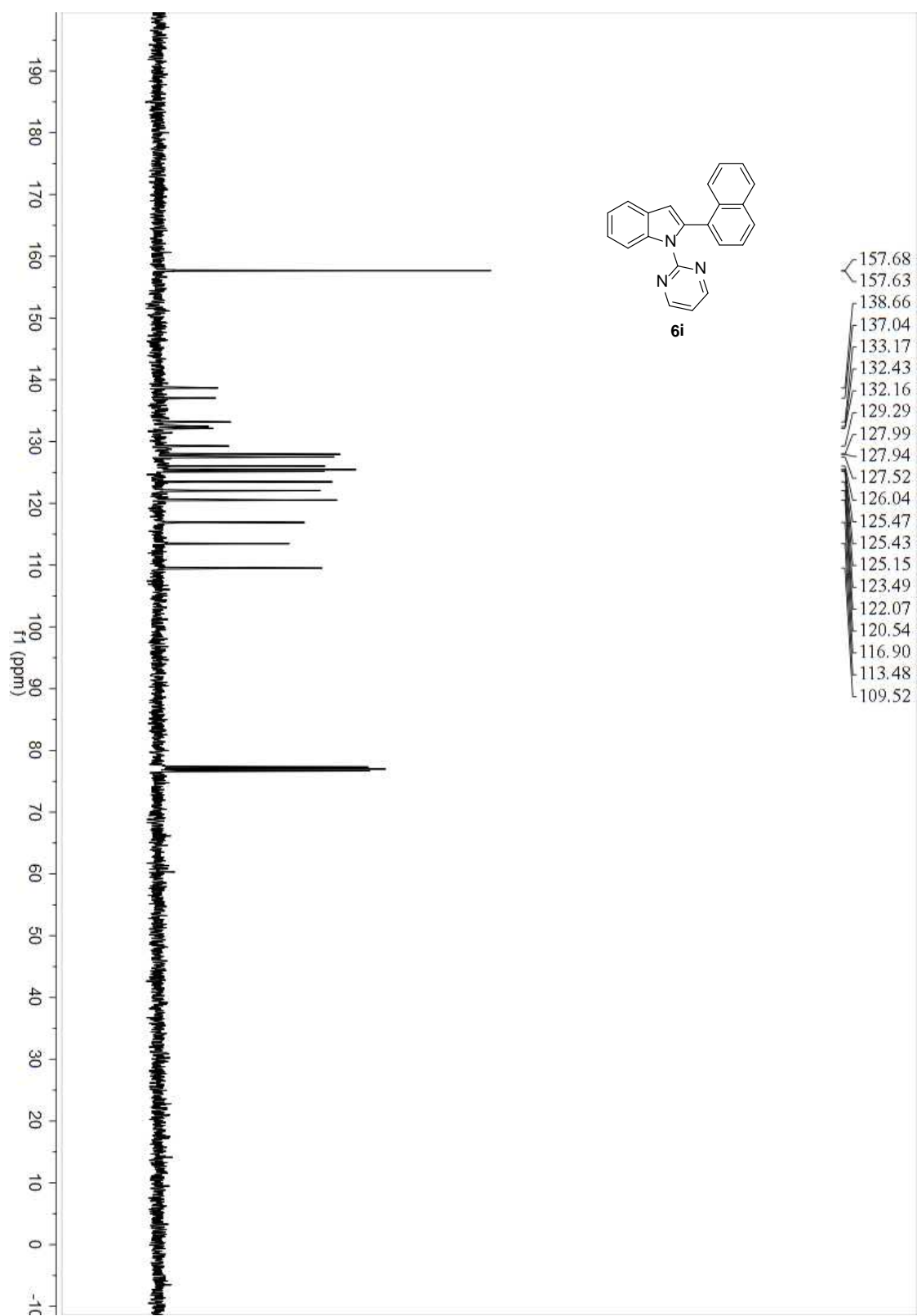


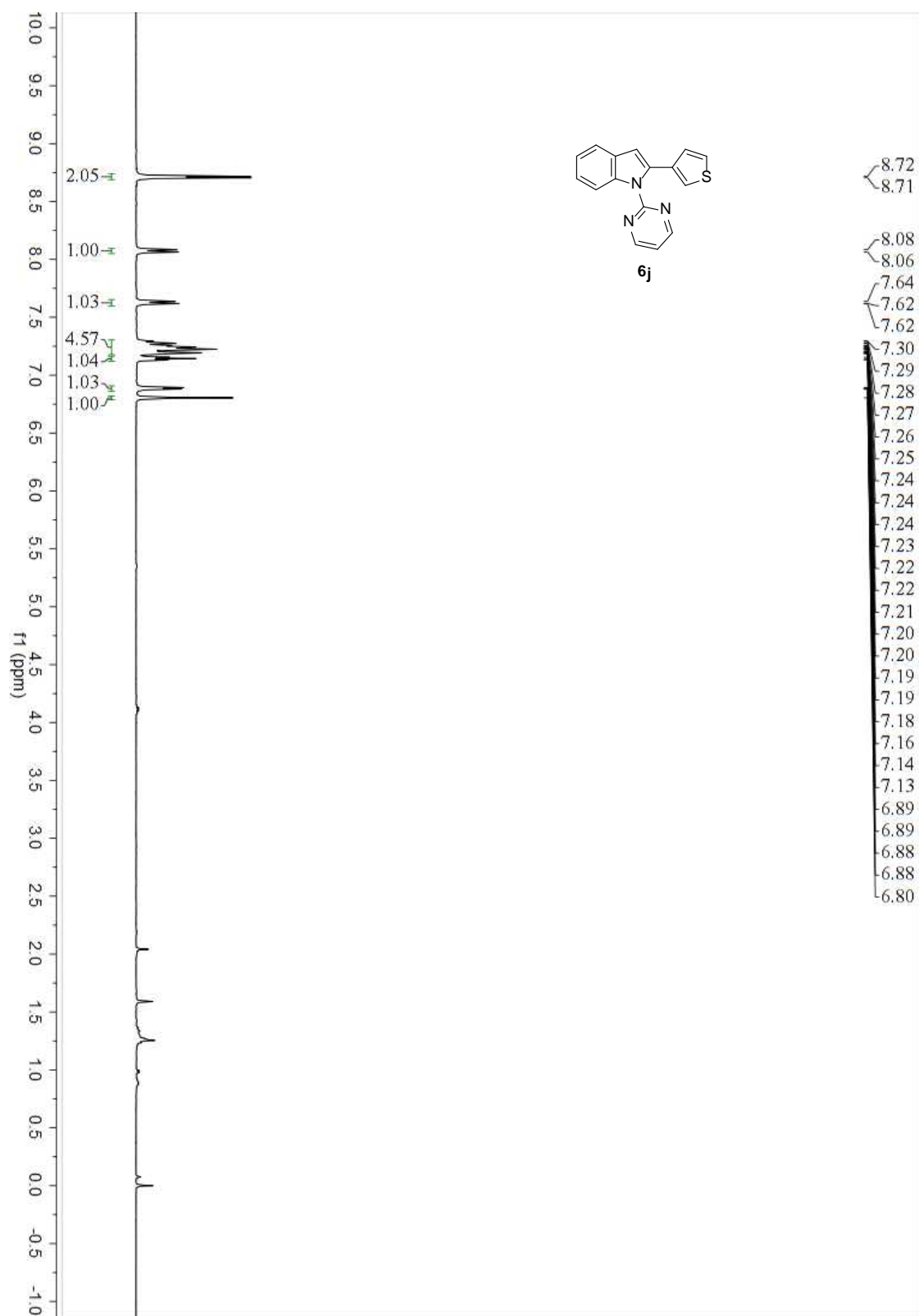


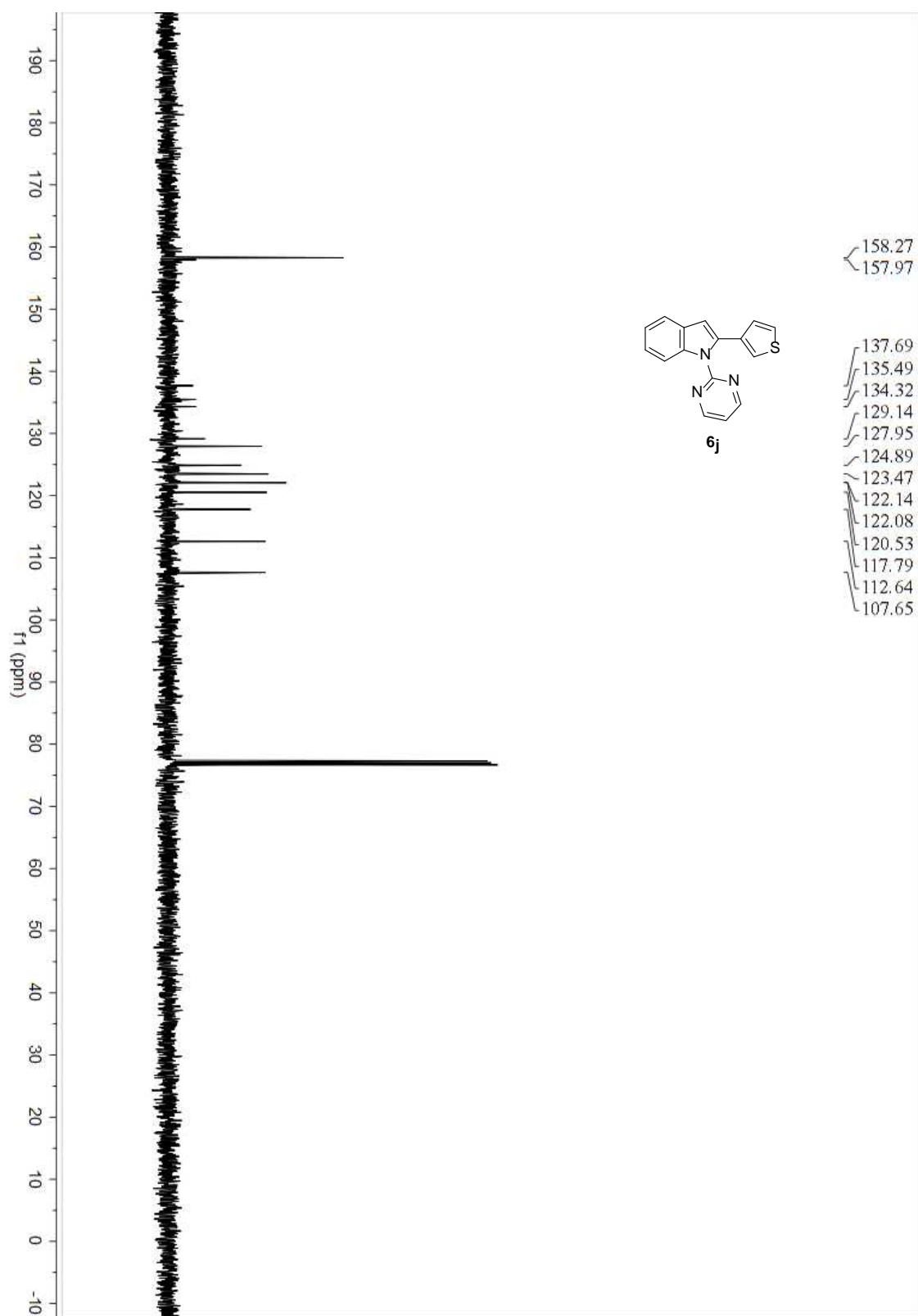


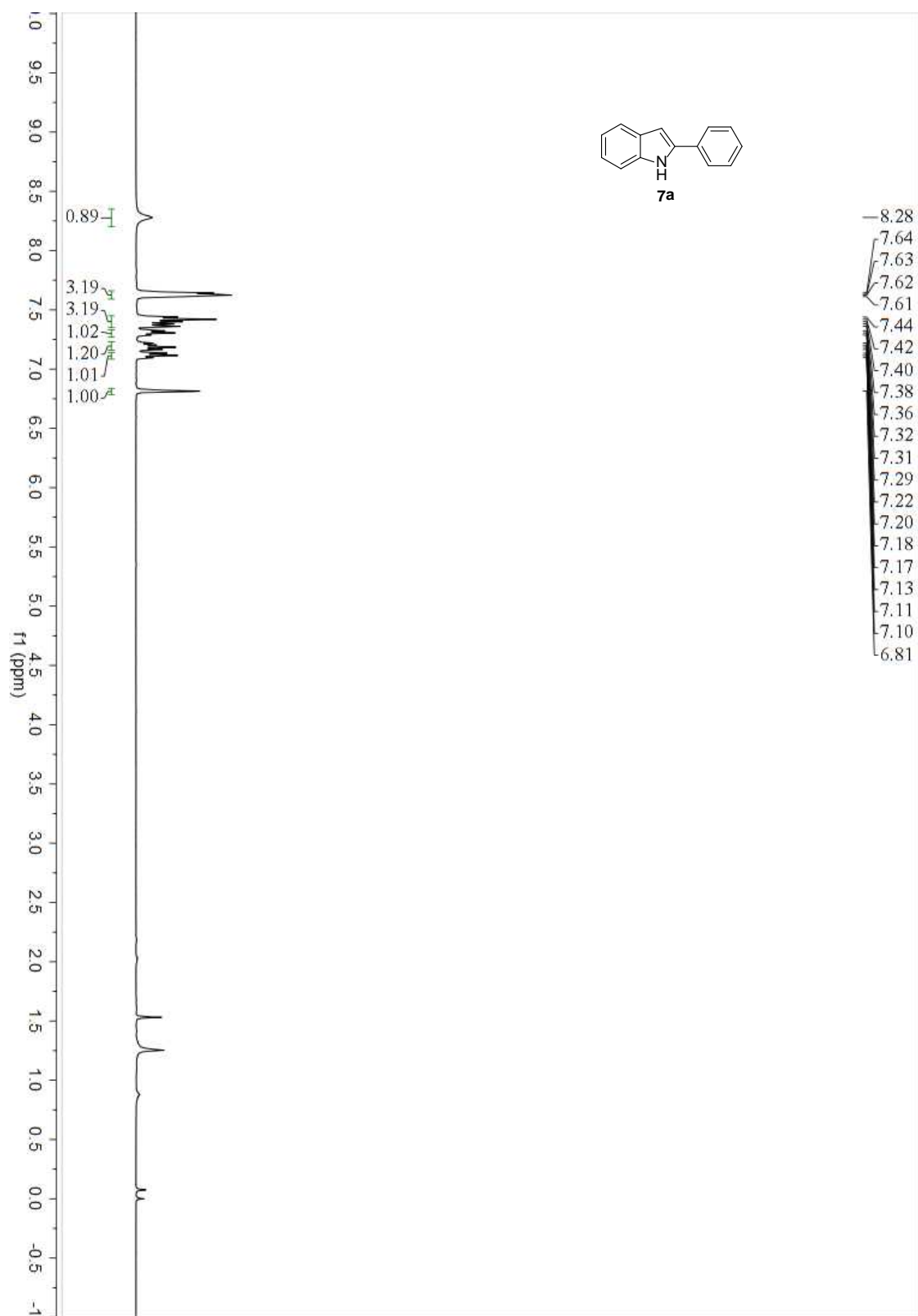


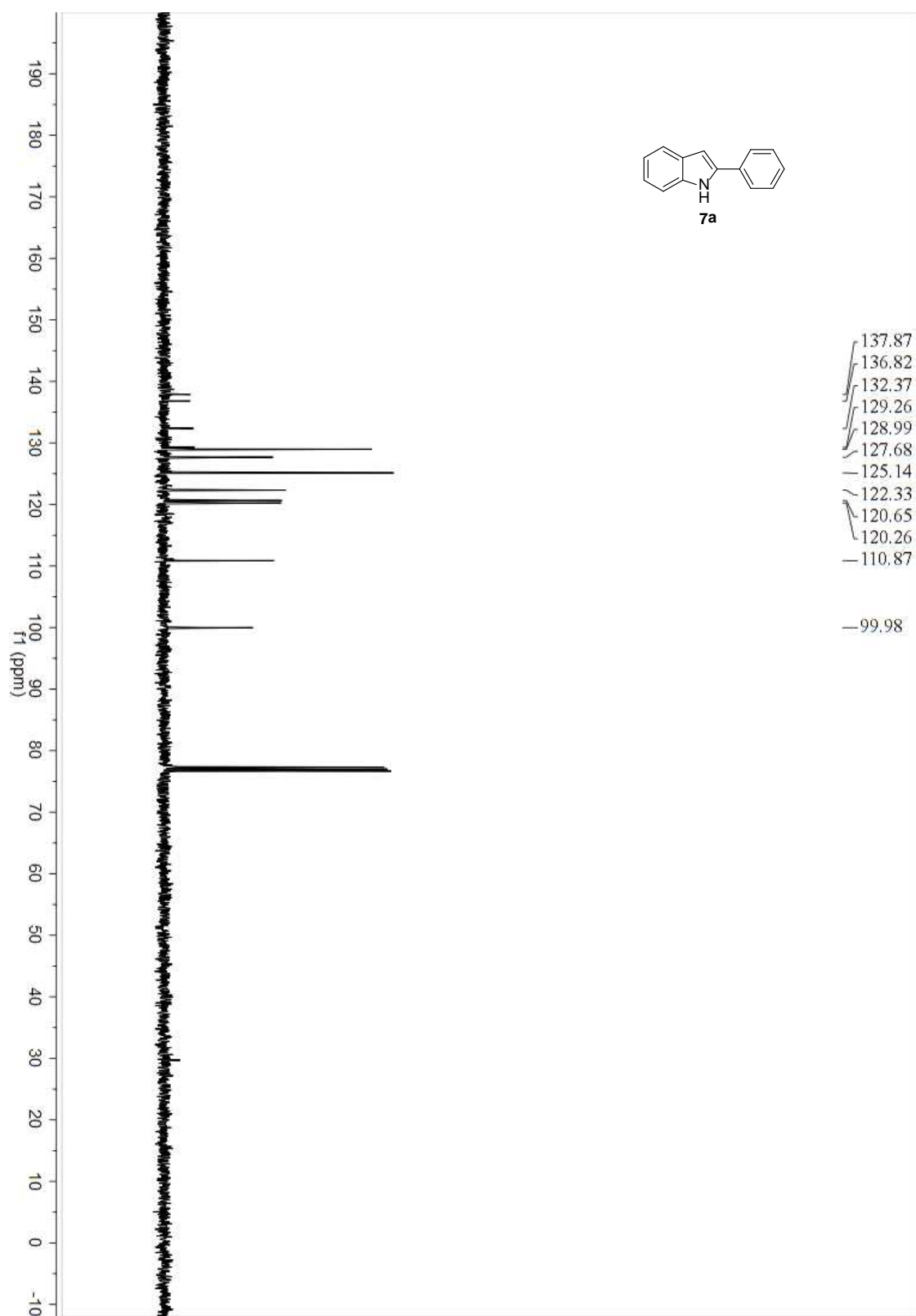


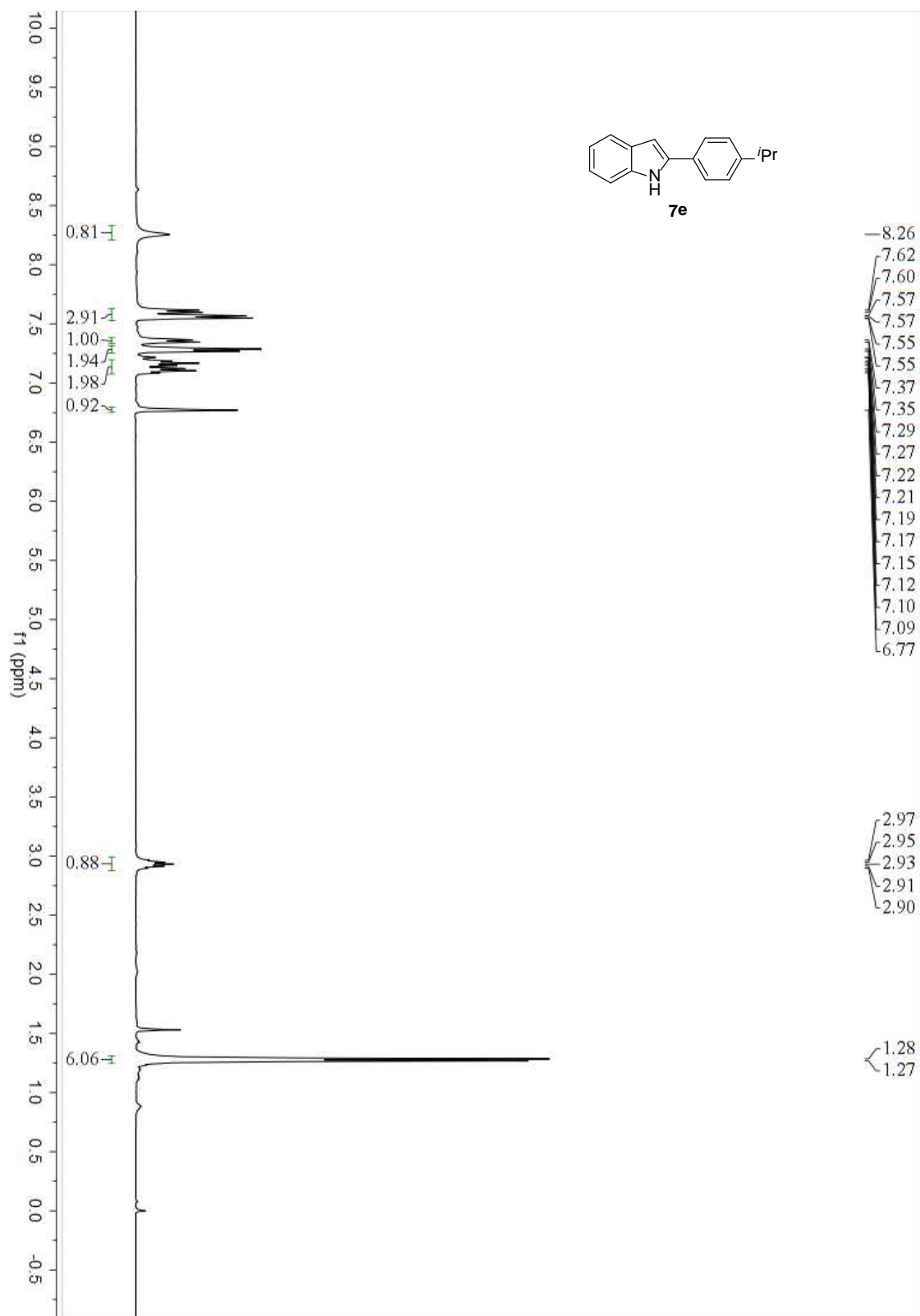


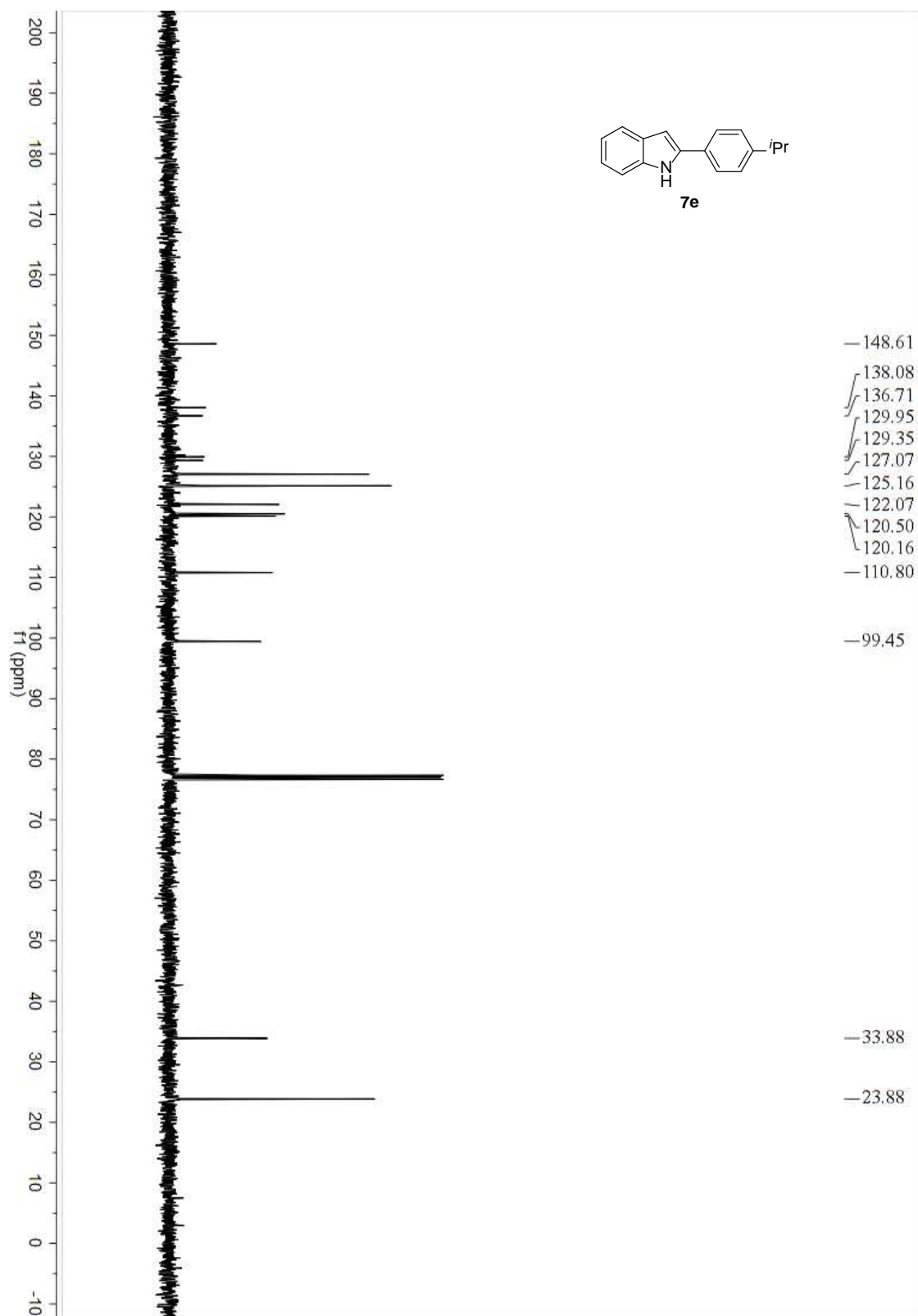












## 5. References

1. L. Ackermann and A. V. Lygin, *Org. Lett.* 2011, **13**, 3332–3335.
2. X.-B. Yan, Y.-W. Shen, D.-Q. Chen, P. Gao, Y.-X. Li, X.-R. Song, X.-Y. Liu, Y.-L. Liang, *Tetrahedron*. 2014, **70**, 7490–7495.
3. T.-T. Zhao, W.-H. Xu, Z.-J. Zheng, P.-F. Xu and H. Wei, *J. Am. Chem. Soc.*, 2018, **140**, 586–589.
4. S. V. Markandeya, Ch. Renuka, P. K. Lakshmi, A. Rajesh, C. Sridhar and K. R. Babu, *Synthetic Communications*. 2018, **48**, 135–145.