

# Electronic Supplementary Information

## Copper catalysis radical cascade reaction of *N*-(2-oxo-2-phenylethyl) substituted 2-pyridones with styrenes access to 1,6-carboannulated 2- pyridone scaffolds.

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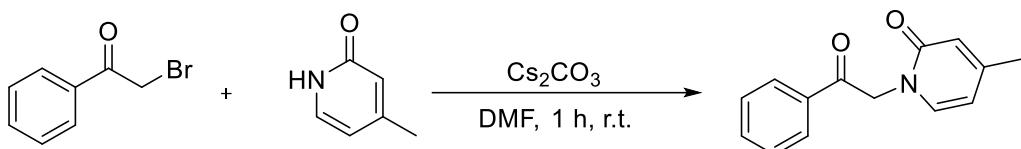
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## 1. General Experiment Information

All reagents were obtained from commercial sources and used as received without further purification unless otherwise stated. NMR spectra were recorded on a BrukerAvanceII 400 spectrometer and BrukerAvanceII 600 spectrometer in  $\text{CDCl}_3$  with tetramethylsilane (TMS) as an internal standard; chemical shifts  $\delta$  were given in ppm and coupling constants  $J$  in Hz. HRMS were measured on a QSTAR Pulsar I LC/TOF MS mass spectrometer.

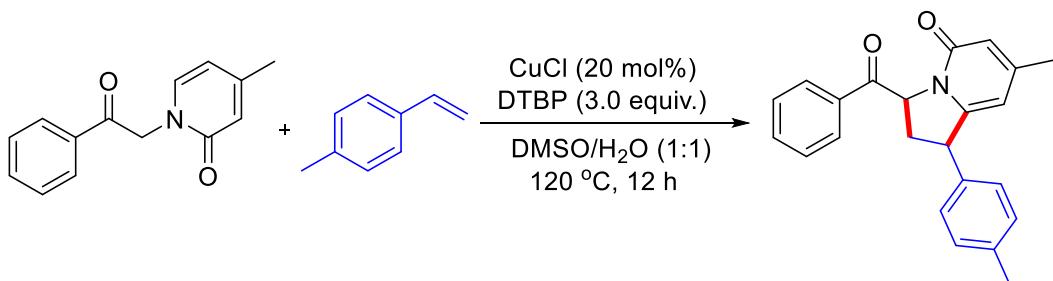
## 2. General Procedures

### 2.1 General procedure for Synthesis of 4-methyl-1-(2-oxo-2-phenylethyl)pyridin-2(1*H*)-one



Add 2-hydroxy-4-picoline (0.301 g, 2.76 mmol) and  $\text{Cs}_2\text{CO}_3$  (1.63 g, 5.02 mmol) to a 15 mL oven-dried flask with stir bar and anhydrous DMF (5.0 mL) was added and the suspension was stirred at room temperature for 20 min before 2-bromoacetophenone (0.500 g, 2.51 mmol) was added and the suspension was stirred for an additional 1 h at room temperature. Then the mixture was diluted with  $\text{H}_2\text{O}$  (20 mL) and dichloromethane (20 mL) and the layers were separated. The organic layer was washed with water ( $3 \times 20$  mL). Organic layer was dried over anhydrous  $\text{Na}_2\text{SO}_4$ , filtered, and concentrated. The crude yellow solid was dissolved in DCM and purified via flash chromatography (50g  $\text{SiO}_2$  column, 0–100%EtOAc/hexanes) to yield pyridone as a white crystalline solid (0.411 g, 77%).

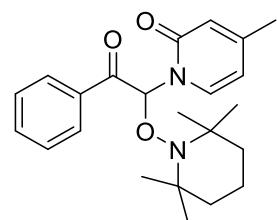
### 2.2 General procedure for synthesis of 1,6-carboannulated 2-pyridones skeletons



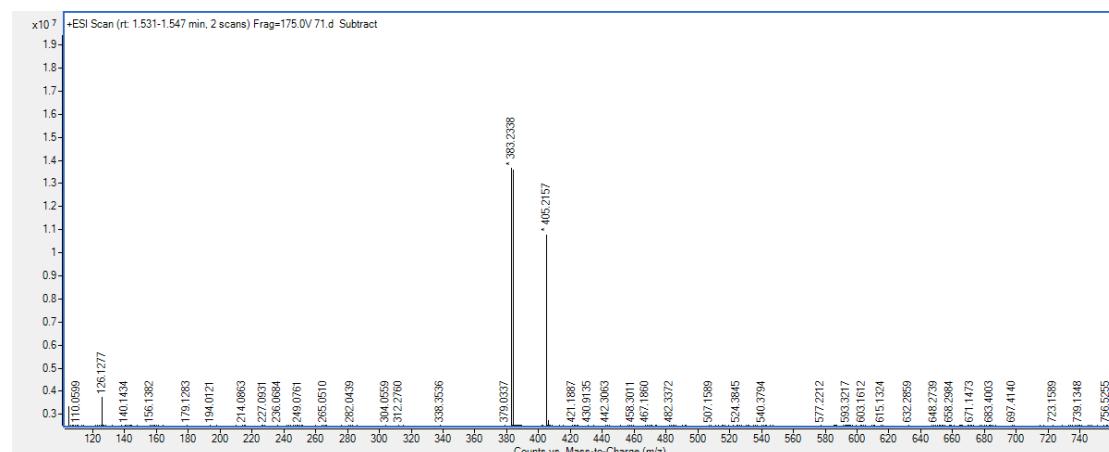
Add 4-methyl-1-(2-oxo-2-phenylethyl)pyridin-2(1*H*)-one (0.2 mmol) and 4-methylstyrene (0.4 mmol, 2 equiv.) to the sealed tube in a sequential manner, followed by the addition of 1 mL DMSO and 1 mL  $\text{H}_2\text{O}$ . Then, introduce  $\text{CuCl}$  (20 mol%) and DTBP (3.0 equiv.). The reaction mixture was stirred at  $120^\circ\text{C}$  for 12 h. After completion of the reaction (as monitored by TLC), brine (30 mL) and dichloromethane (15

mL) were added to the mixture, then the aqueous layer was extracted with dichloromethane (15 mL × 2). The combined organic layer was dried over anhydrous sodium sulfate and filtered. Then the solvent was evaporated under vacuum. Purification was performed by a column chromatography on silica gel to obtain the product.

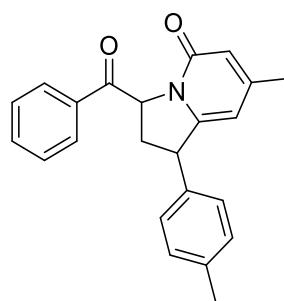
### 2.3 Mechanistic Studies



**Radical adduct 5:** HRMS (ESI):  $m/z$  [M+H]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>31</sub>N<sub>2</sub>O<sub>3</sub>: 383.2329. Found: 383.2338.

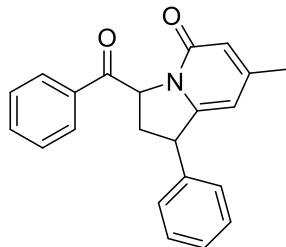


### 3. Characterization of Materials

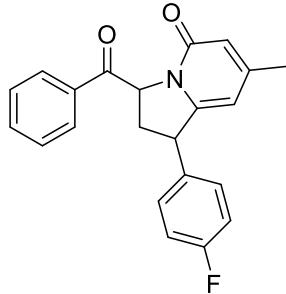


**3-benzoyl-7-methyl-1-(p-tolyl)-2,3-dihydroindolin-5(1H)-one (3a):** Colorless liquid, 49.5 mg, 72 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.04 (d,  $J$  = 7.8 Hz, 2H), 7.60 (d,  $J$  = 7.4 Hz, 1H), 7.49 (t,  $J$  = 7.7 Hz, 2H), 7.24 (d,  $J$  = 7.4 Hz, 2H), 7.17 (d,  $J$  = 7.8 Hz, 2H), 6.96 (dd,  $J$  = 11.3, 3.0 Hz, 1H), 6.56 (s, 1H), 6.16 (d,  $J$  = 7.0 Hz, 1H), 4.42 (d,  $J$  = 10.2 Hz, 1H), 2.50 – 2.41 (m, 1H), 2.36 (s, 3H), 2.28 (dd,  $J$  = 14.0, 1.9 Hz, 1H), 2.23 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 196.21, 162.89, 152.35, 139.96, 137.13, 134.32, 134.09, 133.23, 129.08, 129.03, 128.88, 125.60, 119.01,

110.66, 68.84, 54.58, 41.26, 21.38, 21.10. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>21</sub>NO<sub>2</sub>: 343.1572. Found: 343.1575.

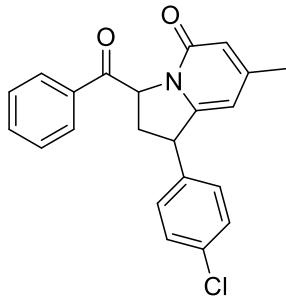


**3-benzoyl-7-methyl-1-phenyl-2,3-dihydroindolin-5(1H)-one (3b):** Colorless liquid, 44.8 mg, 68 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.95 – 7.90 (m, 2H), 7.52 – 7.46 (m, 1H), 7.37 (t,  $J$  = 7.7 Hz, 2H), 7.23 (dd,  $J$  = 6.3, 4.2 Hz, 2H), 7.19 (s, 1H), 7.18 – 7.14 (m, 1H), 7.11 (d,  $J$  = 7.1 Hz, 1H), 6.85 (dd,  $J$  = 11.4, 3.4 Hz, 1H), 6.44 (s, 1H), 6.04 (dd,  $J$  = 7.1, 1.9 Hz, 1H), 4.33 (dd,  $J$  = 11.0, 2.2 Hz, 1H), 2.35 (ddd,  $J$  = 14.5, 11.0, 3.4 Hz, 1H), 2.20 – 2.13 (m, 1H), 2.12 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 195.13, 161.86, 151.37, 141.94, 133.26, 133.08, 132.17, 128.01, 127.84, 127.38, 126.44, 124.63, 117.99, 109.68, 67.95, 53.57, 40.28, 20.36. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>22</sub>H<sub>19</sub>NO<sub>2</sub>: 329.1416. Found: 329.1413.

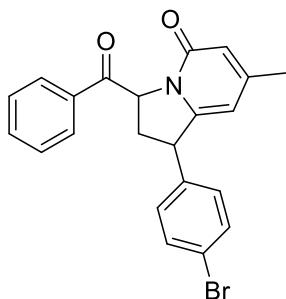


**3-benzoyl-1-(4-fluorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3c):** Colorless liquid, 44.5 mg, 64 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.07 – 7.98 (m, 2H), 7.62 (t,  $J$  = 7.4 Hz, 1H), 7.50 (t,  $J$  = 7.7 Hz, 2H), 7.36 – 7.33 (m, 1H), 7.19 (d,  $J$  = 7.1 Hz, 1H), 7.04 (t,  $J$  = 8.7 Hz, 2H), 6.94 (dd,  $J$  = 11.4, 3.3 Hz, 1H), 6.57 (s, 1H), 6.16 (dd,  $J$  = 7.1, 1.6 Hz, 1H), 4.41 (d,  $J$  = 10.9 Hz, 1H), 2.42 (ddd,  $J$  = 14.4, 11.0, 3.3 Hz, 1H), 2.28 (dd,  $J$  = 11.8, 2.6 Hz, 1H), 2.24 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 196.04, 162.92, 152.56, 138.70(d,  $J$  = 2.57 Hz), 138.69(d,  $J$  = 2.57 Hz), 134.20, 133.05, 129.08, 128.97 (d,  $J$  = 231.79 Hz), 128.92, 128.86, 127.49, 127.43 (d,  $J$  = 231.79 Hz), 127.37(d,  $J$  = 8.15 Hz), 127.31(d,  $J$  = 8.15 Hz), 119.04, 115.26 (d,  $J$  = 21.29 Hz), 115.12 (d,  $J$  = 21.29

Hz), 110.91, 68.32, 54.51, 41.31, 21.40. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>22</sub>H<sub>18</sub>FNO<sub>2</sub>: 347.1322. Found: 347.1324.

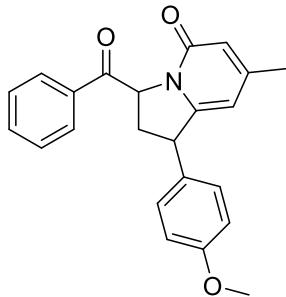


**3-benzoyl-1-(4-chlorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3d):** Colorless liquid, 43.66 mg, 60 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.02 (d,  $J$  = 7.5 Hz, 2H), 7.61 (t,  $J$  = 7.4 Hz, 1H), 7.49 (t,  $J$  = 7.7 Hz, 2H), 7.31 (d,  $J$  = 7.3 Hz, 3H), 7.19 (d,  $J$  = 7.1 Hz, 1H), 6.93 (dd,  $J$  = 11.4, 3.2 Hz, 1H), 6.56 (s, 1H), 6.21 – 6.12 (m, 1H), 4.41 (dd,  $J$  = 10.9, 2.1 Hz, 1H), 2.40 (ddd,  $J$  = 14.4, 11.1, 3.3 Hz, 1H), 2.32 – 2.25 (m, 1H), 2.24 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 194.94, 161.87, 151.56, 140.46, 133.18, 133.12, 132.04, 131.99, 128.05, 127.82, 127.48, 126.05, 118.02, 109.92, 67.24, 53.46, 40.22, 20.37. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>22</sub>H<sub>18</sub>ClNO<sub>2</sub>: 363.1026. Found: 363.1022.

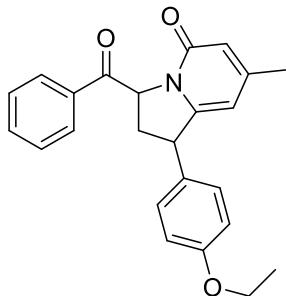


**3-benzoyl-1-(4-bromophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3e):** Colorless liquid, 49.8 mg, 61 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.00 (d,  $J$  = 7.3 Hz, 2H), 7.60 (t,  $J$  = 7.4 Hz, 1H), 7.47 (d,  $J$  = 8.6 Hz, 3H), 7.25 (d,  $J$  = 8.4 Hz, 2H), 7.18 (d,  $J$  = 7.1 Hz, 1H), 6.91 (dd,  $J$  = 11.4, 3.4 Hz, 1H), 6.56 (s, 1H), 6.16 (dd,  $J$  = 7.1, 1.7 Hz, 1H), 4.38 (dd,  $J$  = 10.9, 2.3 Hz, 1H), 2.38 (ddd,  $J$  = 14.4, 11.0, 3.3 Hz, 1H), 2.27 (dd,  $J$  = 11.6, 2.6 Hz, 1H), 2.23 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 195.94, 162.90, 152.62, 142.00, 134.22, 134.13, 133.00, 131.46, 129.08,

128.84, 127.43, 121.18, 119.05, 110.98, 68.30, 54.46, 41.22, 21.40. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>22</sub>H<sub>18</sub>BrNO<sub>2</sub>: 407.0521. Found: 407.0518.

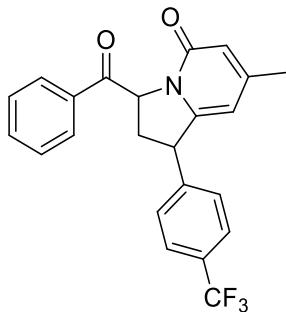


**3-benzoyl-1-(4-methoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3f):** Colorless liquid, 51.8 mg, 72 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.03 (d,  $J$  = 7.6 Hz, 2H), 7.60 (t,  $J$  = 7.4 Hz, 1H), 7.48 (t,  $J$  = 7.6 Hz, 2H), 7.28 – 7.27 (m, 1H), 7.21 (d,  $J$  = 7.1 Hz, 1H), 6.94 (dd,  $J$  = 11.3, 3.2 Hz, 1H), 6.88 (d,  $J$  = 8.6 Hz, 2H), 6.54 (s, 1H), 6.19 – 6.11 (m, 1H), 4.40 (d,  $J$  = 9.3 Hz, 1H), 3.81 (s, 3H), 2.47 (ddd,  $J$  = 14.3, 11.0, 3.3 Hz, 1H), 2.29 – 2.23 (m, 1H), 2.22 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 195.19, 161.84, 157.96, 151.32, 134.06, 133.28, 133.07, 132.17, 128.01, 127.85, 125.91, 118.00, 112.77, 109.63, 67.56, 54.27, 53.54, 40.11, 20.35. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>21</sub>NO<sub>3</sub>: 359.1521. Found: 359.1524.

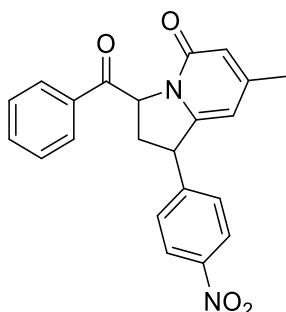


**3-benzoyl-1-(4-ethoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3g):** Colorless liquid, 51.5 mg, 69 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.04 (d,  $J$  = 7.6 Hz, 2H), 7.60 (t,  $J$  = 7.4 Hz, 1H), 7.49 (t,  $J$  = 7.6 Hz, 2H), 7.27 (d,  $J$  = 8.6 Hz, 2H), 7.21 (d,  $J$  = 7.1 Hz, 1H), 6.95 (d,  $J$  = 9.7 Hz, 1H), 6.87 (d,  $J$  = 8.6 Hz, 1H), 6.55 (s, 1H), 6.14 (d,  $J$  = 7.0 Hz, 1H), 4.39 (d,  $J$  = 10.2 Hz, 1H), 4.07 – 4.02 (m, 2H), 2.46 (d,  $J$  = 11.4 Hz, 1H), 2.26 (d,  $J$  = 11.6 Hz, 1H), 2.22 (s, 3H), 1.43 (dd,  $J$  = 8.9, 5.2 Hz, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 196.24, 158.35, 152.35, 134.95,

134.32, 134.09, 133.25, 129.03, 128.89, 126.92, 119.01, 114.89, 114.38, 110.66, 68.62, 63.45, 54.60, 41.13, 21.38, 14.83. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>24</sub>H<sub>23</sub>NO<sub>3</sub>: 373.1678. Found: 373.1679.

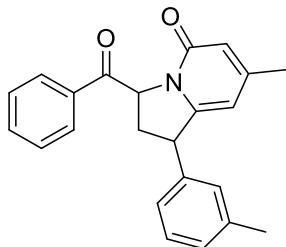


**3-benzoyl-7-methyl-1-(4-(trifluoromethyl)phenyl)-2,3-dihydroindolin-5(1H)-one (3h):** Colorless liquid, 43.9 mg, 56 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.97 (d,  $J$  = 7.4 Hz, 2H), 7.58 (dd,  $J$  = 7.7, 4.9 Hz, 3H), 7.46 (d,  $J$  = 7.9 Hz, 3H), 7.15 (d,  $J$  = 7.1 Hz, 1H), 6.90 (dd,  $J$  = 11.3, 3.5 Hz, 1H), 6.54 (s, 1H), 6.14 (dd,  $J$  = 7.1, 1.7 Hz, 1H), 4.44 (dd,  $J$  = 10.7, 2.2 Hz, 1H), 2.41 – 2.21 (m, 2H), 2.20 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 195.84, 162.95, 152.74, 146.97, 134.28, 134.07, 132.91, 129.93 (q,  $J$  = 32.31 Hz), 129.71 (q,  $J$  = 32.31 Hz), 129.50 (q,  $J$  = 32.31 Hz), 129.26 (q,  $J$  = 32.31 Hz), 129.11, 128.84, 126.60 (q,  $J$  = 272.25 Hz), 125.96, 125.36 (q,  $J$  = 3.77 Hz), 125.34 (q,  $J$  = 3.77 Hz), 125.31 (q,  $J$  = 3.77 Hz), 125.29 (q,  $J$  = 3.77 Hz), 125.05 (q,  $J$  = 272.25 Hz), 123.24 (q,  $J$  = 272.25 Hz), 121.44 (q,  $J$  = 272.25 Hz), 119.09, 111.13, 68.33, 54.43, 41.33, 21.41. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>18</sub>F<sub>3</sub>NO<sub>2</sub>: 397.1290. Found: 397.1292.

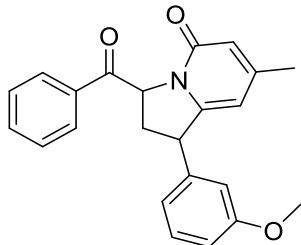


**3-benzoyl-7-methyl-1-(4-nitrophenyl)-2,3-dihydroindolin-5(1H)-one (3i):** Colorless liquid, 41.8 mg, 52 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.18 (d,  $J$  = 8.7 Hz, 2H), 7.96 (d,  $J$  = 7.5 Hz, 2H), 7.58 (t,  $J$  = 7.4 Hz, 1H), 7.52 (d,  $J$  = 8.7 Hz, 2H), 7.46 (t,  $J$  = 7.7 Hz, 1H), 7.14 (d,  $J$  = 7.1 Hz, 1H), 6.88 (dd,  $J$  = 8.0, 6.8 Hz, 1H), 6.56 (s, 1H), 6.15 (dd,  $J$  = 7.2, 1.0 Hz, 1H), 4.54 – 4.36 (m, 1H), 2.32 – 2.27 (m, 2H), 2.21 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 194.61,

162.00, 151.91, 149.35, 146.19, 133.36, 132.92, 131.71, 128.13, 127.80, 125.44, 122.62, 118.12, 110.35, 66.99, 53.36, 40.20, 20.42. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>22</sub>H<sub>18</sub>N<sub>2</sub>O<sub>4</sub>: 374.1267. Found: 347.1264.

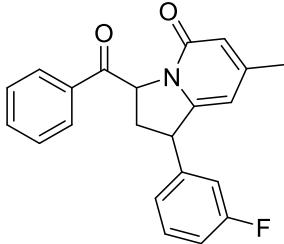


**3-benzoyl-7-methyl-1-(m-tolyl)-2,3-dihydroindolin-5(1H)-one (3j):** Colorless liquid, 48.0 mg, 70 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.00 (d,  $J$  = 7.9 Hz, 2H), 7.57 (t,  $J$  = 6.9 Hz, 1H), 7.44 (dd,  $J$  = 11.2, 3.8 Hz, 2H), 7.23 – 7.17 (m, 2H), 7.12 (dd,  $J$  = 14.8, 7.2 Hz, 2H), 6.92 (dt,  $J$  = 11.4, 2.8 Hz, 1H), 6.51 (s, 1H), 6.11 (dt,  $J$  = 7.0, 2.1 Hz, 1H), 4.37 (d,  $J$  = 11.0 Hz, 1H), 2.41 (ddd,  $J$  = 19.6, 15.3, 6.0 Hz, 1H), 2.32 (s, 3H), 2.27 – 2.21 (m, 1H), 2.19 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 195.18, 161.86, 151.34, 151.31, 141.82, 138.94, 137.05, 136.09, 133.29, 133.07, 133.05, 132.20, 128.05, 128.00, 127.85, 127.29, 127.20, 125.34, 124.58, 121.70, 117.98, 109.65, 109.62, 67.98, 67.81, 53.55, 40.32, 40.24, 20.41, 20.35, 20.07. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>21</sub>NO<sub>2</sub>: 343.1572. Found: 343.1570.

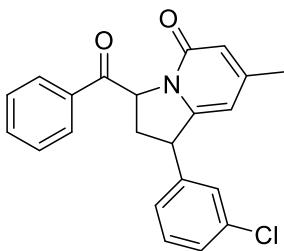


**3-benzoyl-1-(3-methoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3k):** Colorless liquid, 49.6 mg, 69 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.03 (d,  $J$  = 7.4 Hz, 2H), 7.61 (t,  $J$  = 7.4 Hz, 1H), 7.49 (t,  $J$  = 7.7 Hz, 2H), 7.29 – 7.20 (m, 2H), 6.93 (dd,  $J$  = 11.0, 5.5 Hz, 2H), 6.83 (dd,  $J$  = 8.2, 2.4 Hz, 1H), 6.56 (s, 1H), 6.16 (dd,  $J$  = 7.1, 1.5 Hz, 1H), 4.42 (dd,  $J$  = 11.0, 1.9 Hz, 1H), 3.83 (s, 3H), 2.46 (ddd,  $J$  = 14.5, 11.1, 3.3 Hz, 1H), 2.32 – 2.25 (m, 1H), 2.24 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 196.12, 162.90, 159.75, 152.43, 144.62, 134.27, 134.12, 133.16, 129.41,

129.04, 128.87, 119.02, 117.94, 113.08, 111.13, 110.75, 68.91, 55.25, 54.53, 41.29, 21.39. HRMS (EI):  
*m/z* [M]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>21</sub>NO<sub>3</sub>: 359.1521. Found: 359.1518.

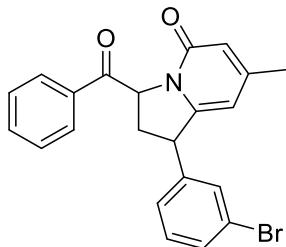


**3-benzoyl-1-(3-fluorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3l):** Colorless liquid, 45.2 mg, 65 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.01 – 7.95 (m, 2H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.7 Hz, 2H), 7.35 – 7.31 (m, 1H), 7.22 (dd, *J* = 4.4, 2.9 Hz, 2H), 7.16 (d, *J* = 7.1 Hz, 1H), 6.89 (dd, *J* = 11.4, 3.4 Hz, 1H), 6.53 (s, 1H), 6.13 (dd, *J* = 7.1, 1.8 Hz, 1H), 4.36 (dd, *J* = 11.0, 2.3 Hz, 1H), 2.36 (ddd, *J* = 14.5, 11.0, 3.4 Hz, 1H), 2.28 – 2.22 (m, 1H), 2.20 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 195.95, 163.73 (d, *J* = 245.677 Hz), 162.91, 162.10 (d, *J* = 245.67 Hz), 152.59, 145.69 (d, *J* = 6.94 Hz), 145.64 (d, *J* = 6.94 Hz), 134.20, 134.15, 133.01, 129.89 (d, *J* = 7.85 Hz), 129.84 (d, *J* = 7.85 Hz), 129.08, 128.85, 121.18 (d, *J* = 2.11 Hz), 121.16 (d, *J* = 2.11 Hz), 119.05, 114.28 (d, *J* = 20.98 Hz), 114.14 (d, *J* = 20.98 Hz), 112.81 (d, *J* = 22.19 Hz), 112.66 (d, *J* = 22.19 Hz), 110.96, 68.30, 54.48, 41.26, 21.40. HRMS (EI): *m/z* [M]<sup>+</sup> calcd. for C<sub>22</sub>H<sub>18</sub>FNO<sub>2</sub>: 347.1322. Found: 347.1320.

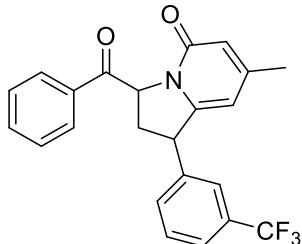


**3-benzoyl-1-(3-chlorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3m):** Colorless liquid, 44.4 mg, 61 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.01 – 7.93 (m, 2H), 7.57 (t, *J* = 7.4 Hz, 1H), 7.45 (t, *J* = 7.7 Hz, 2H), 7.16 (d, *J* = 7.1 Hz, 1H), 7.08 (dd, *J* = 9.4, 1.9 Hz, 2H), 6.96 – 6.86 (m, 2H), 6.53 (s, 1H), 6.13 (dd, *J* = 7.1, 1.8 Hz, 1H), 4.38 (dd, *J* = 10.9, 2.3 Hz, 1H), 2.36 (ddd, *J* = 14.5, 11.0, 3.4 Hz, 1H), 2.29 – 2.21 (m, 1H), 2.20 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 195.91, 162.90, 152.61, 145.05, 134.25, 134.21, 134.14, 132.99, 129.69, 129.08, 128.84, 127.52, 125.95,

123.78, 119.04, 110.98, 68.31, 54.46, 41.26, 21.40. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>22</sub>H<sub>18</sub>ClNO<sub>2</sub>: 363.1026. Found: 363.1024.

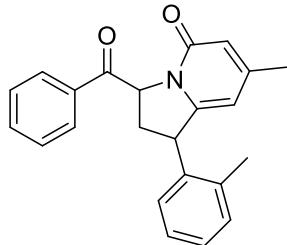


**3-benzoyl-1-(3-bromophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3n):** Colorless liquid, 50.6 mg, 62 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.03 (d,  $J$  = 7.2 Hz, 2H), 7.65 – 7.60 (m, 1H), 7.54 – 7.47 (m, 3H), 7.42 (d,  $J$  = 7.3 Hz, 1H), 7.22 (dd,  $J$  = 15.8, 7.4 Hz, 2H), 6.94 (d,  $J$  = 10.8 Hz, 1H), 6.58 (s, 1H), 6.18 (d,  $J$  = 6.4 Hz, 1H), 4.40 (d,  $J$  = 10.4 Hz, 1H), 2.40 (t,  $J$  = 11.7 Hz, 1H), 2.30 (d,  $J$  = 13.1 Hz, 1H), 2.25 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 195.92, 162.92, 152.65, 145.30, 134.23, 134.14, 133.00, 130.48, 130.01, 129.10, 128.85, 124.27, 122.49, 119.05, 111.02, 68.25, 54.46, 41.28, 21.41. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>22</sub>H<sub>18</sub>BrNO<sub>2</sub>: 407.0521. Found: 407.0518.

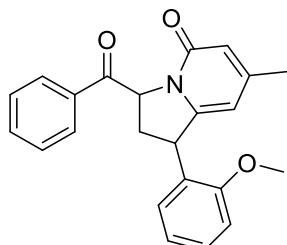


**3-benzoyl-7-methyl-1-(3-(trifluoromethyl)phenyl)-2,3-dihydroindolin-5(1H)-one (3o):** Colorless liquid, 42.1 mg, 53 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.02 (d,  $J$  = 7.7 Hz, 2H), 7.61 (dd,  $J$  = 14.0, 6.8 Hz, 3H), 7.55 (d,  $J$  = 7.6 Hz, 1H), 7.50 (t,  $J$  = 6.9 Hz, 2H), 7.20 (d,  $J$  = 7.1 Hz, 1H), 6.95 (dd,  $J$  = 11.3, 3.3 Hz, 1H), 6.59 (s, 1H), 6.19 (d,  $J$  = 7.1 Hz, 1H), 4.47 (dd,  $J$  = 10.8, 1.9 Hz, 1H), 2.44 – 2.30 (m, 2H), 2.25 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 195.86, 152.75, 143.96, 134.27, 134.08, 132.92, 130.98 (d,  $J$  = 32.16 Hz), 130.76 (d,  $J$  = 32.16 Hz), 130.55 (d,  $J$  = 32.16 Hz), 130.34 (d,  $J$  = 32.16 Hz), 129.12, 129.04, 128.87, 128.85, 126.85 (d,  $J$  = 271.95 Hz), 125.03 (d,  $J$  = 271.95 Hz), 124.27 (d,  $J$  = 3.32 Hz), 124.24 (d,  $J$  = 3.32 Hz), 124.22 (d,  $J$  = 3.32 Hz), 124.20 (d,

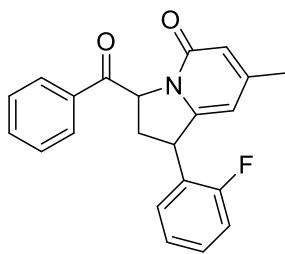
*J* = 3.32 Hz), 123.23 (d, *J* = 271.95 Hz), 122.60 (d, *J* = 3.17 Hz), 122.57 (d, *J* = 3.17 Hz), 122.55 (d, *J* = 3.17 Hz), 122.53 (d, *J* = 3.17 Hz), 121.43 (d, *J* = 271.95 Hz), 119.09, 111.17, 68.30, 54.45, 41.30, 21.42. HRMS (EI): *m/z* [M]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>18</sub>F<sub>3</sub>NO<sub>2</sub>: 397.1290. Found: 397.1292.



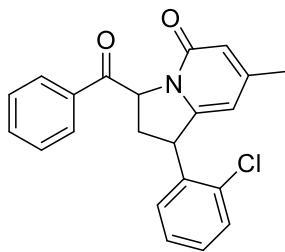
**3-benzoyl-7-methyl-1-(*o*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (3p):** Colorless liquid, 44.6 mg, 65 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.01 (d, *J* = 7.5 Hz, 2H), 7.56 (d, *J* = 2.0 Hz, 1H), 7.46 (t, *J* = 7.7 Hz, 2H), 7.22 – 7.18 (m, 2H), 7.15 (dd, *J* = 10.6, 4.2 Hz, 1H), 7.07 (d, *J* = 7.4 Hz, 1H), 6.98 (dd, *J* = 11.5, 3.3 Hz, 1H), 6.53 (s, 1H), 6.12 (dd, *J* = 7.1, 1.7 Hz, 1H), 4.59 (dd, *J* = 10.8, 1.6 Hz, 1H), 2.36 – 2.29 (m, 1H), 2.20 (s, 3H), 2.19 – 2.13 (m, 1H), 2.09 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 196.19, 162.94, 152.35, 141.07, 134.30, 134.10, 133.62, 133.23, 130.23, 129.03, 128.88, 127.20, 126.40, 125.17, 118.97, 110.49, 65.66, 54.58, 40.10, 21.40, 18.65. HRMS (EI): *m/z* [M]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>21</sub>NO<sub>2</sub>: 343.1572. Found: 343.1574.



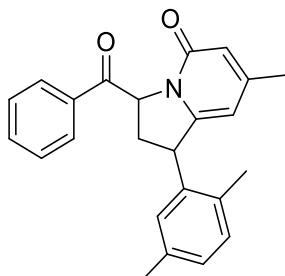
**3-benzoyl-1-(2-methoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3q):** Colorless liquid, 43.1 mg, 60 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.06 (t, *J* = 6.9 Hz, 2H), 7.59 (t, *J* = 7.4 Hz, 1H), 7.53 (d, *J* = 7.5 Hz, 1H), 7.48 (t, *J* = 7.7 Hz, 2H), 7.32 (d, *J* = 7.1 Hz, 1H), 7.27 – 7.22 (m, 1H), 7.01 – 6.97 (m, 1H), 6.83 (d, *J* = 8.2 Hz, 1H), 6.50 (s, 1H), 6.14 (d, *J* = 7.0 Hz, 1H), 4.76 (dd, *J* = 9.4, 3.0 Hz, 1H), 3.74 (s, 3H), 2.36 (pd, *J* = 14.3, 3.5 Hz, 2H), 2.22 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 196.64, 155.47, 151.89, 134.53, 133.90, 133.87, 131.44, 128.93, 128.90, 128.18, 126.02, 120.85, 118.89, 110.01, 109.69, 64.67, 55.11, 54.78, 39.25, 21.36. HRMS (EI): *m/z* [M]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>21</sub>NO<sub>3</sub>: 359.1521. Found: 395.1524.



**3-benzoyl-1-(2-fluorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3r):** Colorless liquid, 42.4 mg, 61 % yield (eluent: ethyl acetate/petroleum ether = 1:5).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.02 (d,  $J$  = 7.5 Hz, 2H), 7.62 (ddd,  $J$  = 13.2, 9.4, 4.4 Hz, 2H), 7.48 (t,  $J$  = 7.7 Hz, 2H), 7.19 (dd,  $J$  = 14.9, 7.2 Hz, 2H), 6.98 (dd,  $J$  = 16.7, 9.5 Hz, 2H), 6.57 (s, 1H), 6.17 (dd,  $J$  = 7.1, 1.4 Hz, 1H), 4.72 (dd,  $J$  = 8.7, 4.4 Hz, 1H), 2.39 – 2.31 (m, 2H), 2.23 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  196.04, 163.03, 159.90 (d,  $J$  = 245.37 Hz), 158.27 (d,  $J$  = 245.37 Hz), 152.61, 134.22, 134.13, 133.16, 130.27 (d,  $J$  = 13.74 Hz), 130.18 (d,  $J$  = 13.74 Hz), 129.05, 128.85, 128.73 (d,  $J$  = 8.30 Hz), 128.67 (d,  $J$  = 8.30 Hz), 127.04 (d,  $J$  = 4.07 Hz), 127.01 (d,  $J$  = 4.07 Hz), 124.41 (d,  $J$  = 2.87 Hz), 124.39 (d,  $J$  = 2.87 Hz), 118.93, 115.02 (d,  $J$  = 21.14 Hz), 114.88 (d,  $J$  = 21.14 Hz), 110.85, 63.06 (d,  $J$  = 2.26 Hz), 63.05 (d,  $J$  = 2.26 Hz), 54.47, 40.04, 21.42. HRMS (EI):  $m/z$  [M] $^+$  calcd. for  $\text{C}_{22}\text{H}_{18}\text{FNO}_2$ : 347.1322. Found: 347.1324.

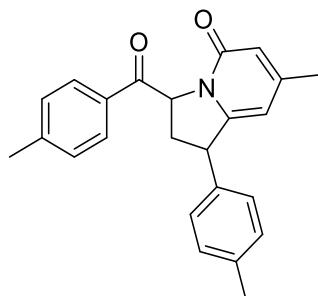


**3-benzoyl-1-(2-chlorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3s):** Colorless liquid, 42.2 mg, 58 % yield (eluent: ethyl acetate/petroleum ether = 1:5).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.08 – 8.00 (m, 2H), 7.73 (dd,  $J$  = 7.7, 1.4 Hz, 1H), 7.60 (t,  $J$  = 7.4 Hz, 1H), 7.48 (t,  $J$  = 7.7 Hz, 2H), 7.35 – 7.31 (m, 1H), 7.27 (dd,  $J$  = 11.1, 4.0 Hz, 1H), 7.24 – 7.18 (m, 1H), 7.01 (dd,  $J$  = 11.9, 3.2 Hz, 1H), 6.54 (s, 1H), 6.16 (dd,  $J$  = 7.1, 1.8 Hz, 1H), 4.90 – 4.72 (m, 1H), 2.46 (ddd,  $J$  = 14.0, 11.9, 1.8 Hz, 1H), 2.23 (s, 3H), 2.21 – 2.14 (m, 1H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  196.11, 163.07, 152.56, 140.81, 134.24, 134.09, 133.54, 130.93, 129.06, 129.03, 128.86, 128.33, 127.26, 126.95, 118.81, 110.53, 65.76, 54.52, 39.56, 21.42. HRMS (EI):  $m/z$  [M] $^+$  calcd. for  $\text{C}_{22}\text{H}_{18}\text{ClNO}_2$ : 363.1026. Found: 363.1024.

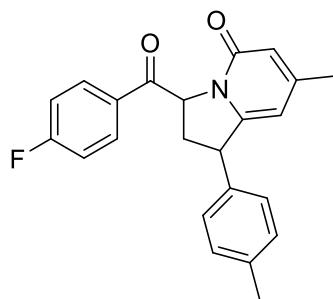


**3-benzoyl-1-(2,5-dimethylphenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3u):** Colorless liquid,

40.0 mg, 56 % yield (eluent: ethyl acetate/petroleum ether = 1:5).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.05 (d,  $J$  = 7.4 Hz, 2H), 7.61 (t,  $J$  = 7.4 Hz, 1H), 7.50 (t,  $J$  = 7.7 Hz, 2H), 7.40 (s, 1H), 7.23 (d,  $J$  = 7.1 Hz, 1H), 7.04 – 6.99 (m, 2H), 6.57 (s, 1H), 6.16 (dd,  $J$  = 7.1, 1.6 Hz, 1H), 4.60 (d,  $J$  = 9.3 Hz, 1H), 2.37 (t,  $J$  = 4.1 Hz, 1H), 2.34 (s, 3H), 2.24 (s, 3H), 2.21 – 2.15 (m, 1H), 2.08 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  196.22, 162.95, 152.34, 140.75, 135.89, 134.33, 134.10, 133.25, 130.42, 130.17, 129.04, 128.89, 127.91, 125.77, 118.97, 110.47, 65.66, 54.53, 40.18, 21.41, 21.11, 18.20. HRMS (EI):  $m/z$  [M] $^+$  calcd. for  $\text{C}_{24}\text{H}_{23}\text{NO}_2$ : 357.1729. Found: 357.1732.

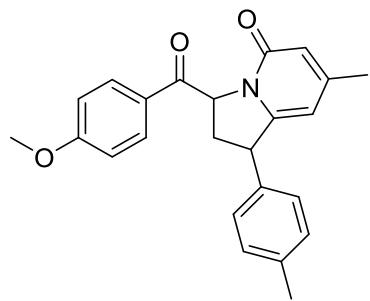


**7-methyl-3-(4-methylbenzoyl)-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4a):** Colorless liquid, 50.0 mg, 70 % yield (eluent: ethyl acetate/petroleum ether = 1:5).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.93 (d,  $J$  = 8.2 Hz, 2H), 7.29 – 7.24 (m, 3H), 7.21 (d,  $J$  = 7.1 Hz, 1H), 7.16 (d,  $J$  = 7.9 Hz, 2H), 6.93 (dd,  $J$  = 11.5, 3.3 Hz, 1H), 6.55 (s, 1H), 6.14 (dd,  $J$  = 7.1, 1.7 Hz, 1H), 4.39 (dd,  $J$  = 10.9, 1.8 Hz, 1H), 2.42 (s, 3H), 2.42 – 2.38 (m, 1H), 2.36 (s, 3H), 2.30 – 2.24 (m, 1H), 2.23 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  195.71, 162.90, 152.31, 145.20, 139.99, 137.07, 133.23, 131.77, 129.73, 129.05, 129.01, 125.61, 118.96, 110.64, 68.81, 54.40, 41.32, 21.76, 21.37, 21.09. HRMS (EI):  $m/z$  [M] $^+$  calcd. for  $\text{C}_{24}\text{H}_{23}\text{NO}_2$ : 357.1729. Found: 357.1726.

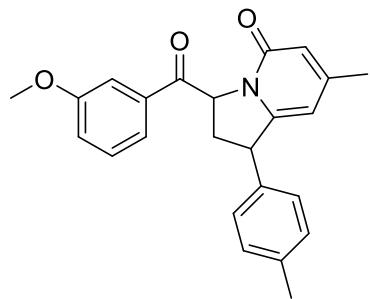


**3-(4-fluorobenzoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4b):** Colorless liquid, 45.5 mg, 63 % yield (eluent: ethyl acetate/petroleum ether = 1:5).  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.08 (dd,  $J$  = 8.8, 5.4 Hz, 2H), 7.25 (d,  $J$  = 8.0 Hz, 2H), 7.19 – 7.15 (m, 4H), 6.91 (dd,  $J$  = 11.2, 3.2 Hz, 1H), 6.55 (s, 1H), 6.16 (d,  $J$  = 6.9 Hz, 1H), 4.41 (dd,  $J$  = 10.9, 1.8 Hz, 1H), 2.45 (ddd,  $J$  = 14.3, 11.0, 3.2 Hz, 1H), 2.36 (s, 3H), 2.27 (dd,  $J$  = 11.6, 2.1 Hz, 1H), 2.24 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  196.04, 162.92, 152.56, 138.70 (d,  $J$  = 2.56 Hz), 138.69 (d,  $J$  = 2.56 Hz), 134.20, 133.05, 129.08, 128.97 (d,  $J$  = 231.78 Hz), 128.92, 128.86, 127.49, 127.43 (d,  $J$  = 231.78 Hz), 127.37 (d,  $J$  = 8.15 Hz), 127.31 (d,  $J$  = 8.15 Hz), 119.04, 115.26 (d,  $J$  = 21.29 Hz), 115.12 (d,  $J$  = 21.29 Hz), 110.91, 68.32, 54.51, 41.31, 21.40. HRMS

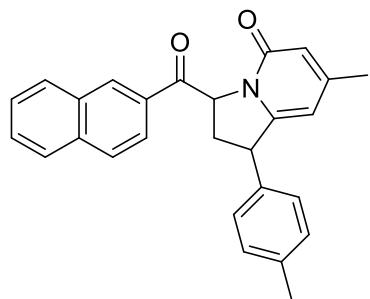
(EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>23</sub>H<sub>20</sub>FNO<sub>2</sub>: 361.1478. Found: 361.1480.



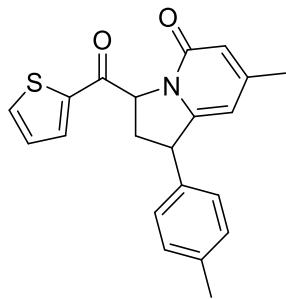
**3-(4-methoxybenzoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4c):** Colorless liquid, 50.8 mg, 68 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.03 (d, *J* = 8.9 Hz, 2H), 7.24 (t, *J* = 7.8 Hz, 3H), 7.16 (d, *J* = 7.9 Hz, 2H), 6.93 (t, *J* = 10.9 Hz, 2H), 6.55 (s, 1H), 6.15 (d, *J* = 7.0 Hz, 1H), 4.39 (d, *J* = 9.1 Hz, 1H), 3.88 (s, 3H), 2.42 (ddd, *J* = 14.3, 11.0, 3.2 Hz, 1H), 2.35 (s, 3H), 2.31 – 2.25 (m, 1H), 2.23 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 194.47, 164.29, 152.28, 140.05, 137.05, 133.21, 131.35, 129.04, 127.22, 125.61, 118.93, 114.24, 110.65, 68.84, 55.53, 53.99, 41.37, 21.36, 21.09. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>24</sub>H<sub>23</sub>NO<sub>3</sub>: 373.1678. Found: 373.1681.



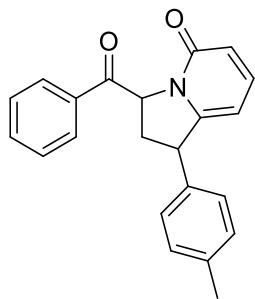
**3-(3-methoxybenzoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4d):** Colorless liquid, 47.8 mg, 64 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.63 (d, *J* = 7.6 Hz, 1H), 7.55 (s, 1H), 7.37 (t, *J* = 7.9 Hz, 1H), 7.24 (d, *J* = 7.8 Hz, 2H), 7.19 (d, *J* = 7.2 Hz, 1H), 7.15 (d, *J* = 7.5 Hz, 2H), 6.92 (dd, *J* = 11.1, 2.6 Hz, 1H), 6.52 (s, 1H), 6.14 (d, *J* = 7.0 Hz, 1H), 4.40 (d, *J* = 10.7 Hz, 1H), 3.85 (s, 3H), 2.50 – 2.41 (m, 1H), 2.35 (s, 3H), 2.29 – 2.23 (m, 1H), 2.22 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 196.01, 162.83, 159.99, 152.35, 139.97, 137.13, 135.57, 133.15, 130.05, 129.08, 125.60, 121.44, 121.23, 119.01, 112.44, 110.66, 68.86, 55.46, 54.69, 41.23, 21.39, 21.10. HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>24</sub>H<sub>23</sub>NO<sub>3</sub>: 373.1678. Found: 373.1681.



**3-(2-naphthoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4e):** Colorless liquid, 55.1 mg, 70 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.74 (s, 1H), 8.18 – 8.12 (m, 2H), 8.05 – 7.97 (m, 2H), 7.78 – 7.68 (m, 2H), 7.40 (d, *J* = 2.5 Hz, 1H), 7.39 – 7.36 (m, 2H), 7.28 (d, *J* = 7.7 Hz, 1H), 7.25 – 7.20 (m, 1H), 6.68 (s, 1H), 6.25 (d, *J* = 6.5 Hz, 1H), 4.57 (d, *J* = 10.3 Hz, 1H), 2.63 (dd, *J* = 17.9, 7.3 Hz, 1H), 2.48 (s, 3H), 2.44 – 2.36 (m, 1H), 2.32 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 196.21, 162.90, 152.35, 139.99, 137.14, 135.96, 133.19, 132.53, 131.61, 131.18, 130.11, 129.63, 129.26, 129.09, 128.89, 127.71, 126.96, 124.00, 119.01, 110.69, 68.94, 54.58, 41.33, 21.36, 21.10. HRMS (EI): *m/z* [M]<sup>+</sup> calcd. for C<sub>27</sub>H<sub>23</sub>NO<sub>2</sub>: 393.1729. Found: 393.1726.



**7-methyl-3-(thiophene-2-carbonyl)-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4f):** White liquid, 55.2 mg, 79 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.97 (d, *J* = 3.7 Hz, 1H), 7.72 (d, *J* = 4.9 Hz, 1H), 7.33 (d, *J* = 7.1 Hz, 1H), 7.24 (d, *J* = 8.0 Hz, 2H), 7.15 (dd, *J* = 8.4, 3.7 Hz, 2H), 6.85 (dd, *J* = 11.2, 3.6 Hz, 1H), 6.53 (s, 1H), 6.18 (dd, *J* = 7.1, 1.5 Hz, 1H), 4.41 (dd, *J* = 10.8, 2.0 Hz, 1H), 2.45 (ddd, *J* = 14.5, 10.9, 3.6 Hz, 1H), 2.35 (s, 3H), 2.32 – 2.25 (m, 1H), 2.24 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 188.66, 162.81, 152.30, 141.61, 139.98, 137.18, 135.63, 134.19, 133.12, 129.09, 128.80, 125.59, 118.97, 110.61, 68.96, 54.91, 41.21, 21.38, 21.10. HRMS (EI): *m/z* [M]<sup>+</sup> calcd. for C<sub>21</sub>H<sub>19</sub>NO<sub>2</sub>S: 349.1136. Found: 349.1139.

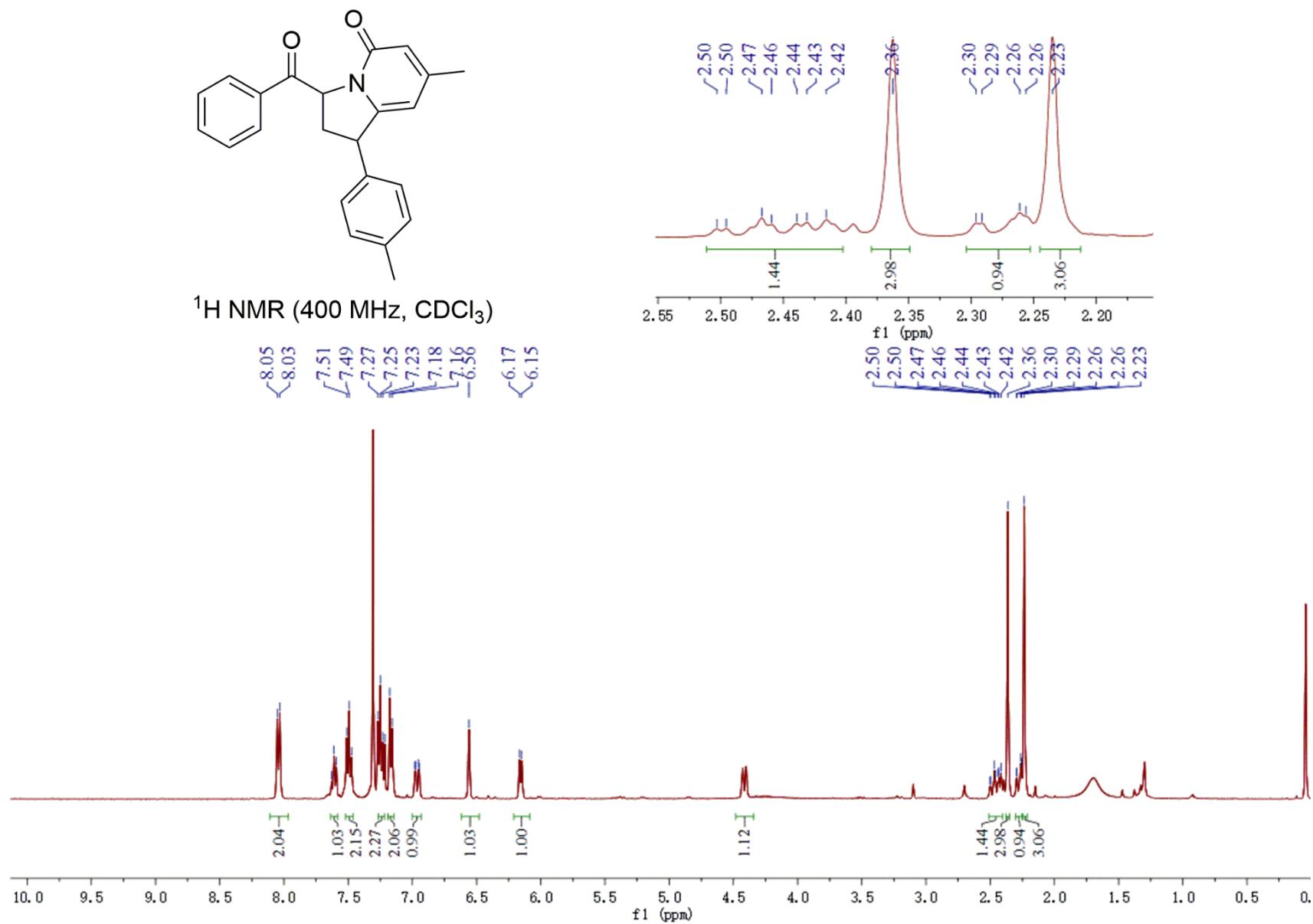


**3-benzoyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4g):** Colorless liquid, 44.8 mg, 68 % yield (eluent: ethyl acetate/petroleum ether = 1:5). <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.97 (d, *J* = 7.3 Hz, 2H), 7.54 (t, *J* = 7.4 Hz, 1H), 7.42 (t, *J* = 7.7 Hz, 2H), 7.35 (ddd, *J* = 8.8, 6.6, 1.8 Hz, 1H), 7.29 (dd, *J* = 6.9, 1.8 Hz, 1H), 7.18 (d, *J* = 8.0 Hz, 2H), 7.09 (d, *J* = 7.9 Hz, 1H), 6.93 (dd, *J* = 11.3, 3.3 Hz, 1H), 6.68 (d, *J* = 9.1 Hz, 1H), 6.23 (t, *J* = 6.7 Hz, 1H), 4.35 (d, *J* = 9.1 Hz, 1H), 2.43 (ddd, *J* = 14.4, 11.0, 3.3 Hz, 1H), 2.28 (s, 3H), 2.25 – 2.15 (m, 1H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 196.09, 140.30, 139.87, 137.24, 134.51, 134.31, 134.14, 129.13, 129.05, 128.88, 125.61, 125.60, 120.71, 107.97, 68.98, 54.90, 41.31, 21.10.

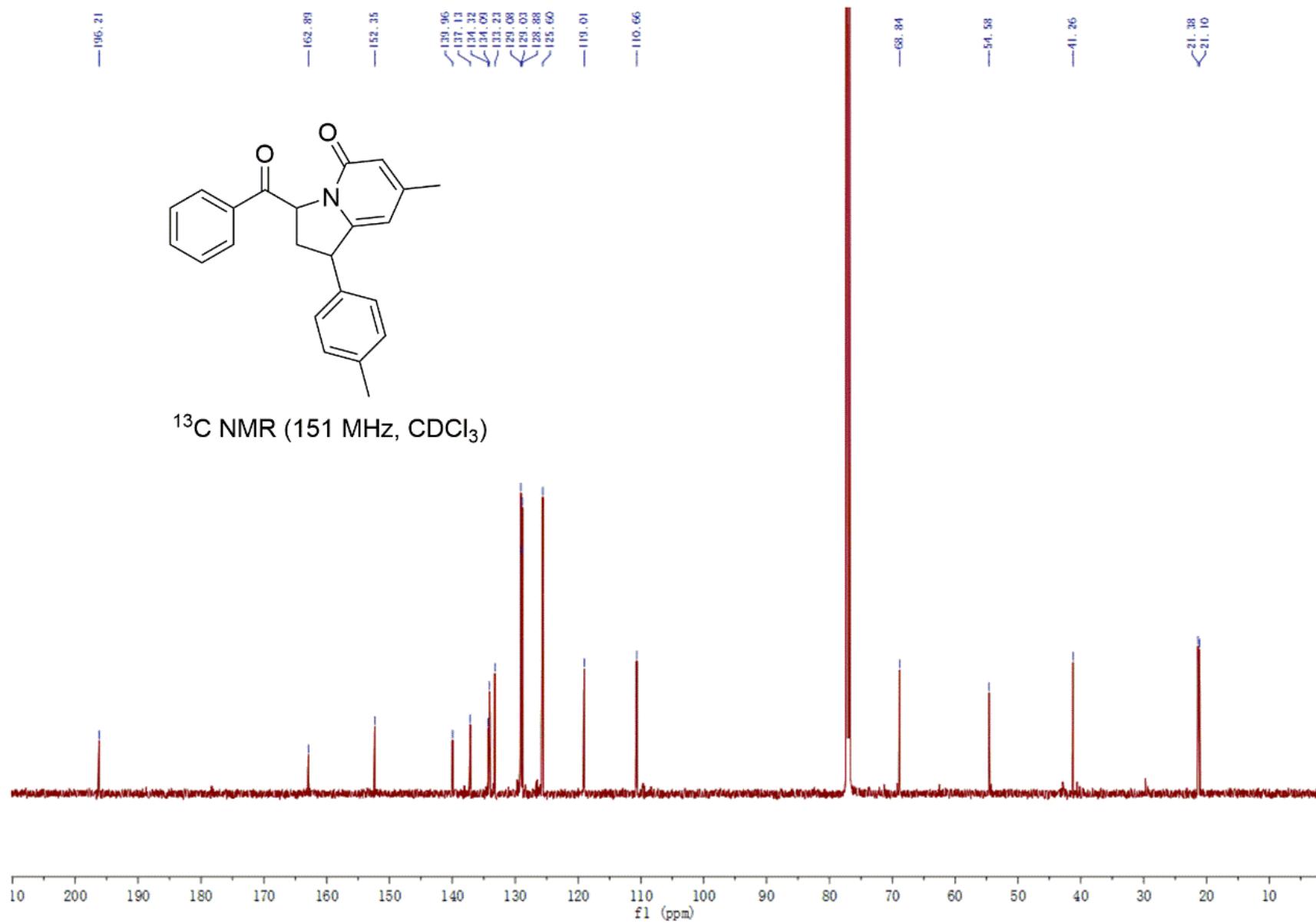
HRMS (EI):  $m/z$  [M]<sup>+</sup> calcd. for C<sub>22</sub>H<sub>19</sub>NO<sub>2</sub>: 329.1416. Found: 329.1414.

#### 4. Copies of NMR Spectra

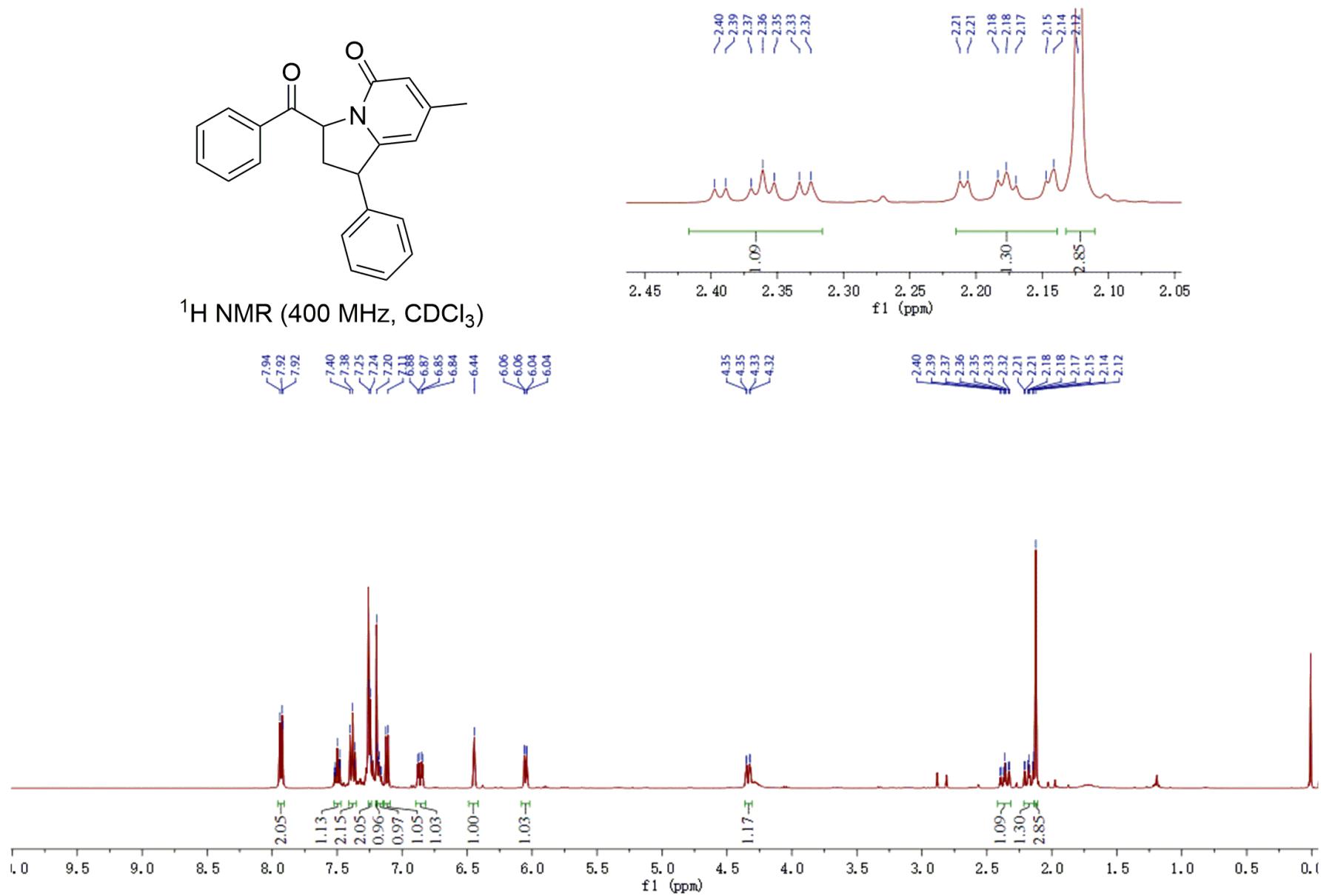
3-benzoyl-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (3a):  $^1\text{H}$  NMR



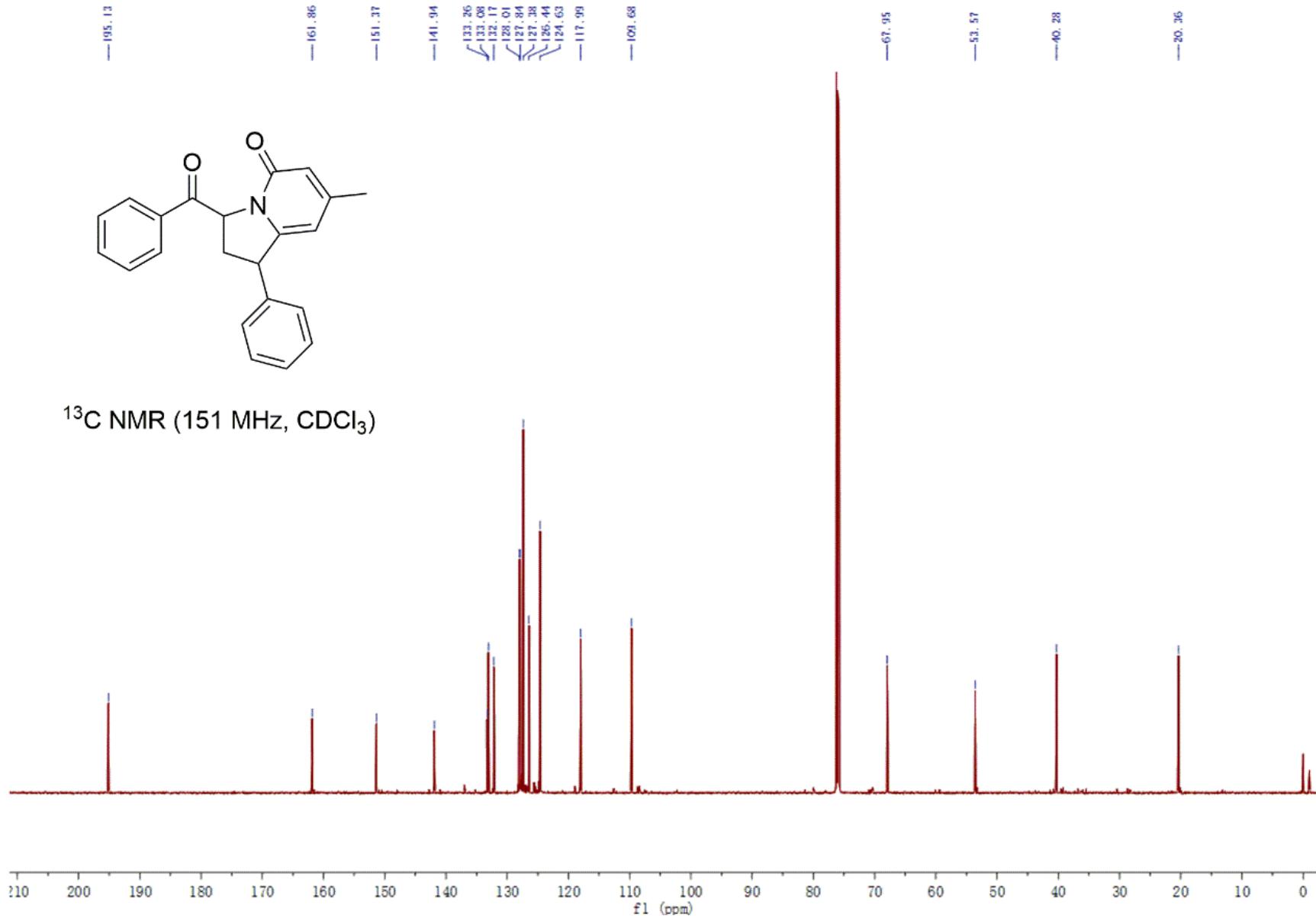
**3-benzoyl-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (3a):  $^{13}\text{C}$  NMR**



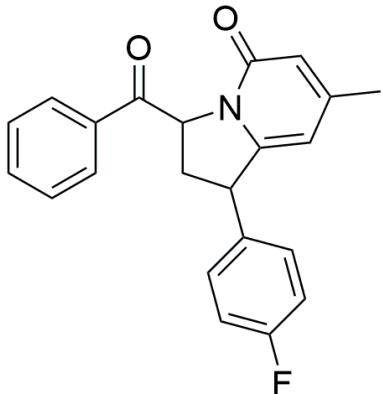
**3-benzoyl-7-methyl-1-phenyl-2,3-dihydroindolin-5(1H)-one (3b):  $^1\text{H}$  NMR**



**3-benzoyl-7-methyl-1-phenyl-2,3-dihydroindolin-5(1H)-one (3b):  $^{13}\text{C}$  NMR**

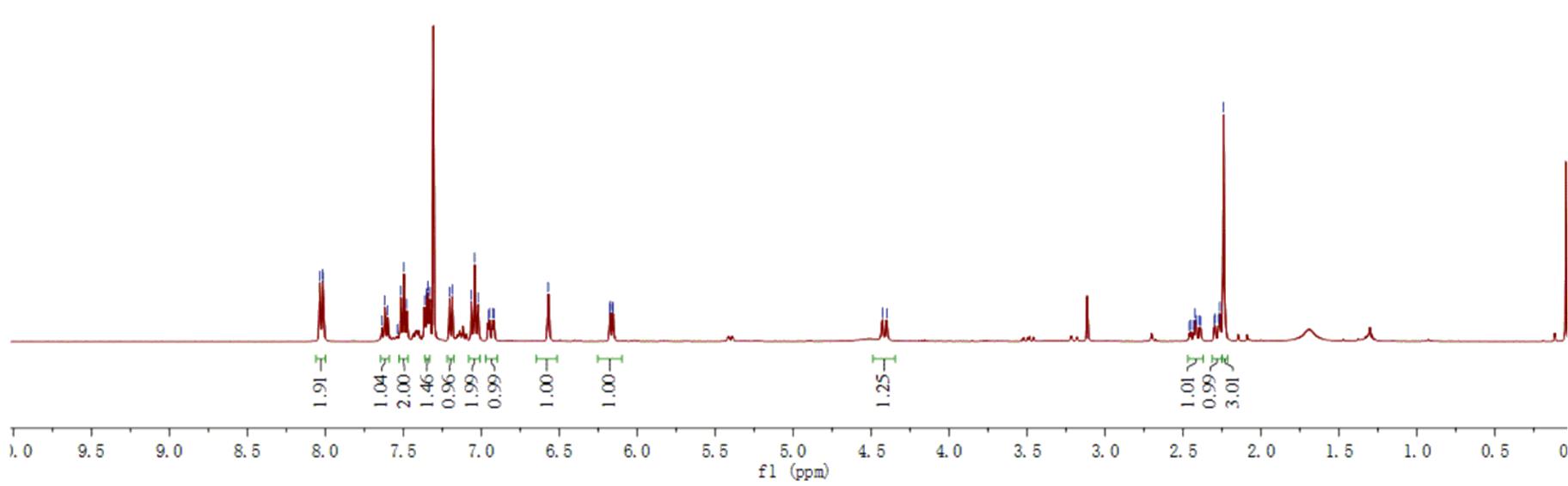
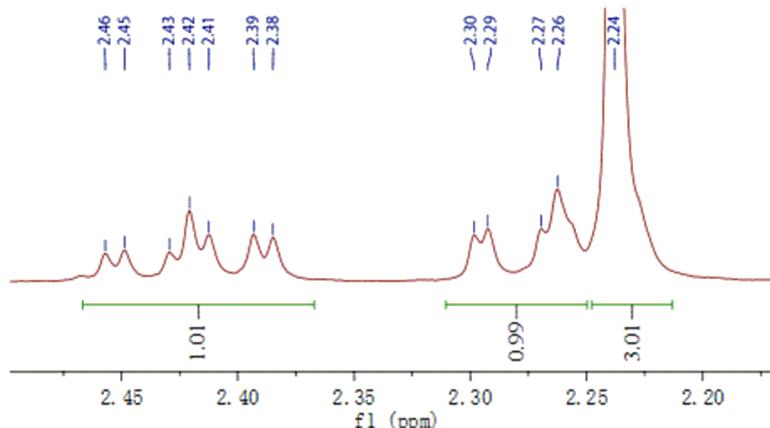


**3-benzoyl-1-(4-fluorophenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3c):  $^1\text{H}$  NMR**

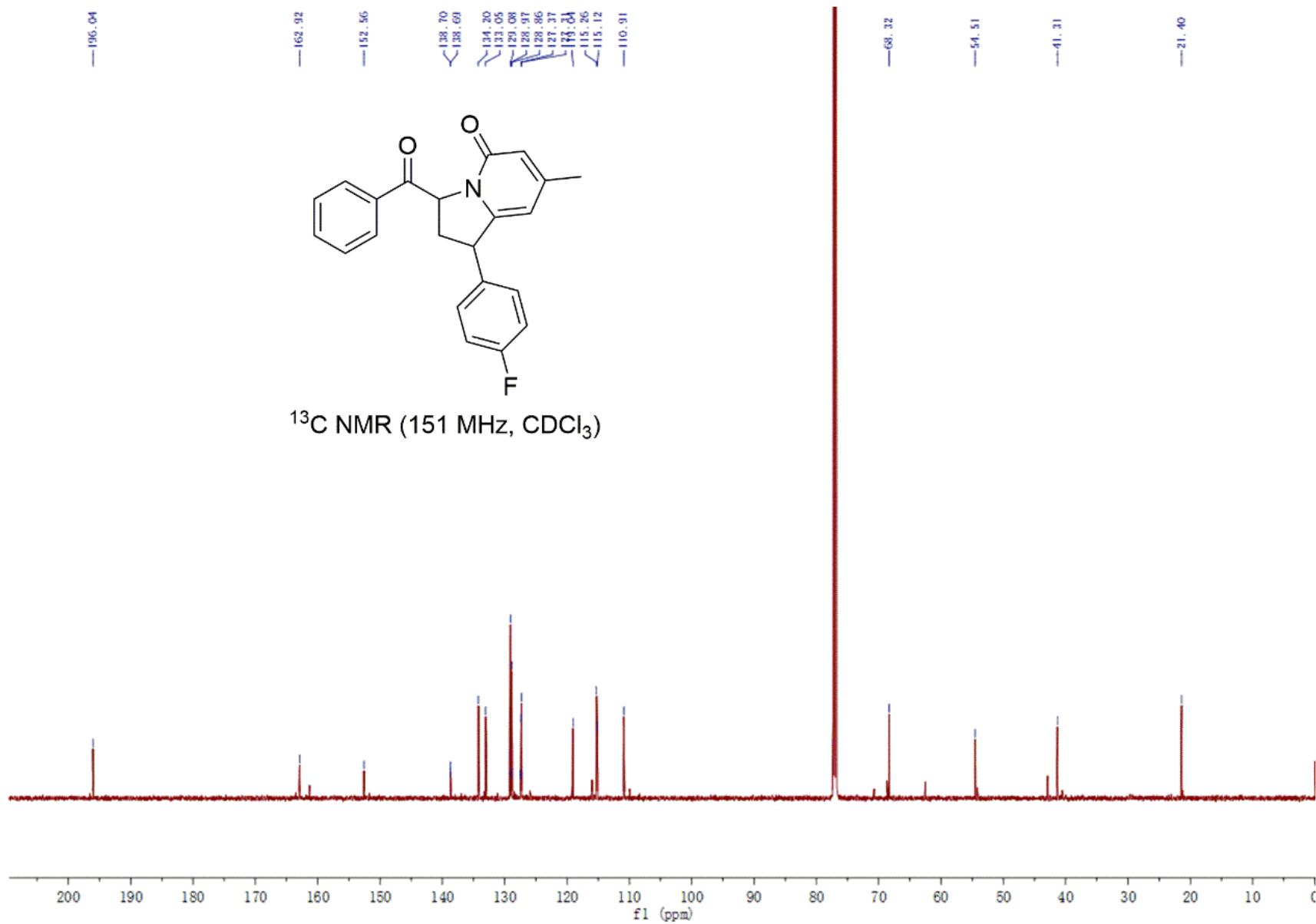


$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

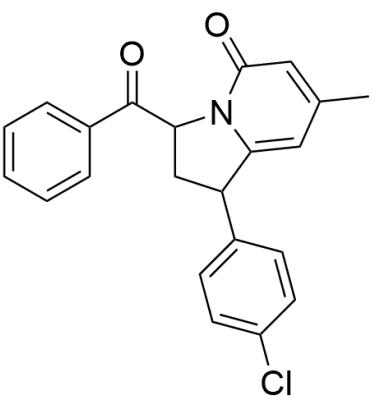
8.03  
8.02  
8.01  
7.51  
7.49  
7.36  
7.35  
7.34  
7.33  
7.32  
7.20  
7.18  
7.06  
7.04  
6.97  
6.92  
6.87  
6.17  
6.16  
6.15



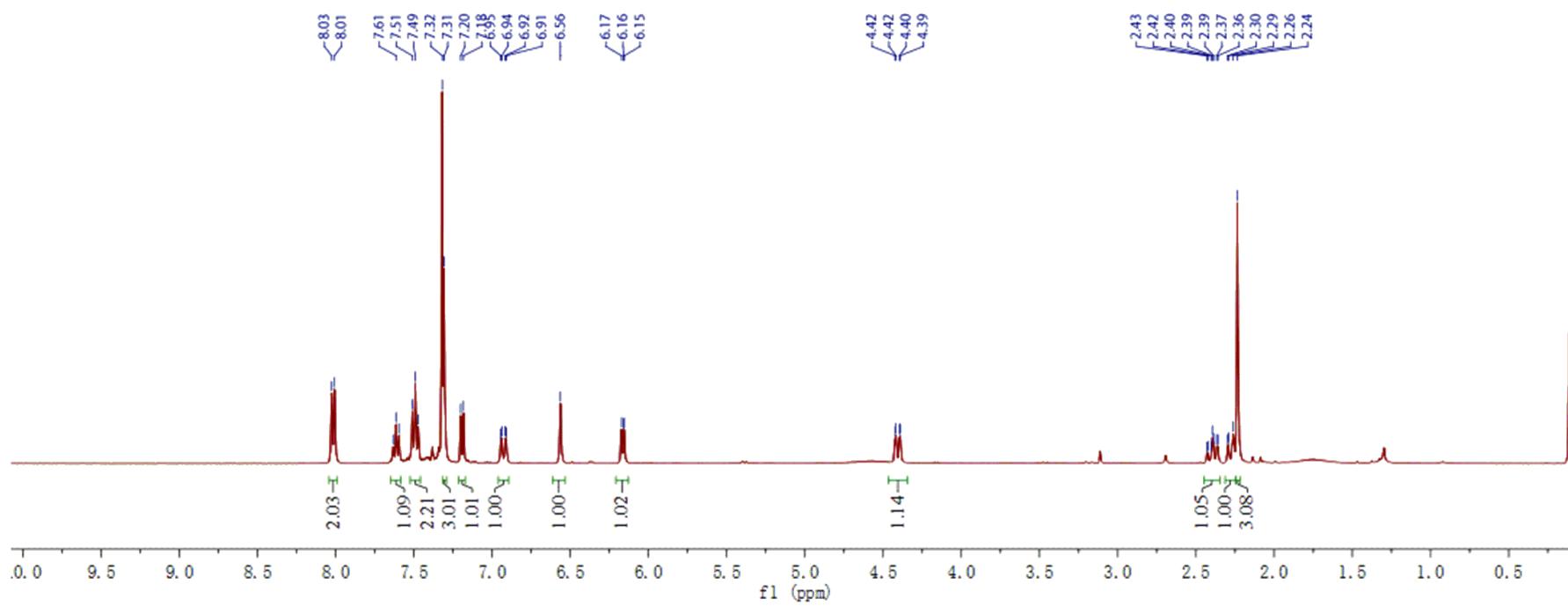
**3-benzoyl-1-(4-fluorophenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3c):  $^{13}\text{C}$  NMR**



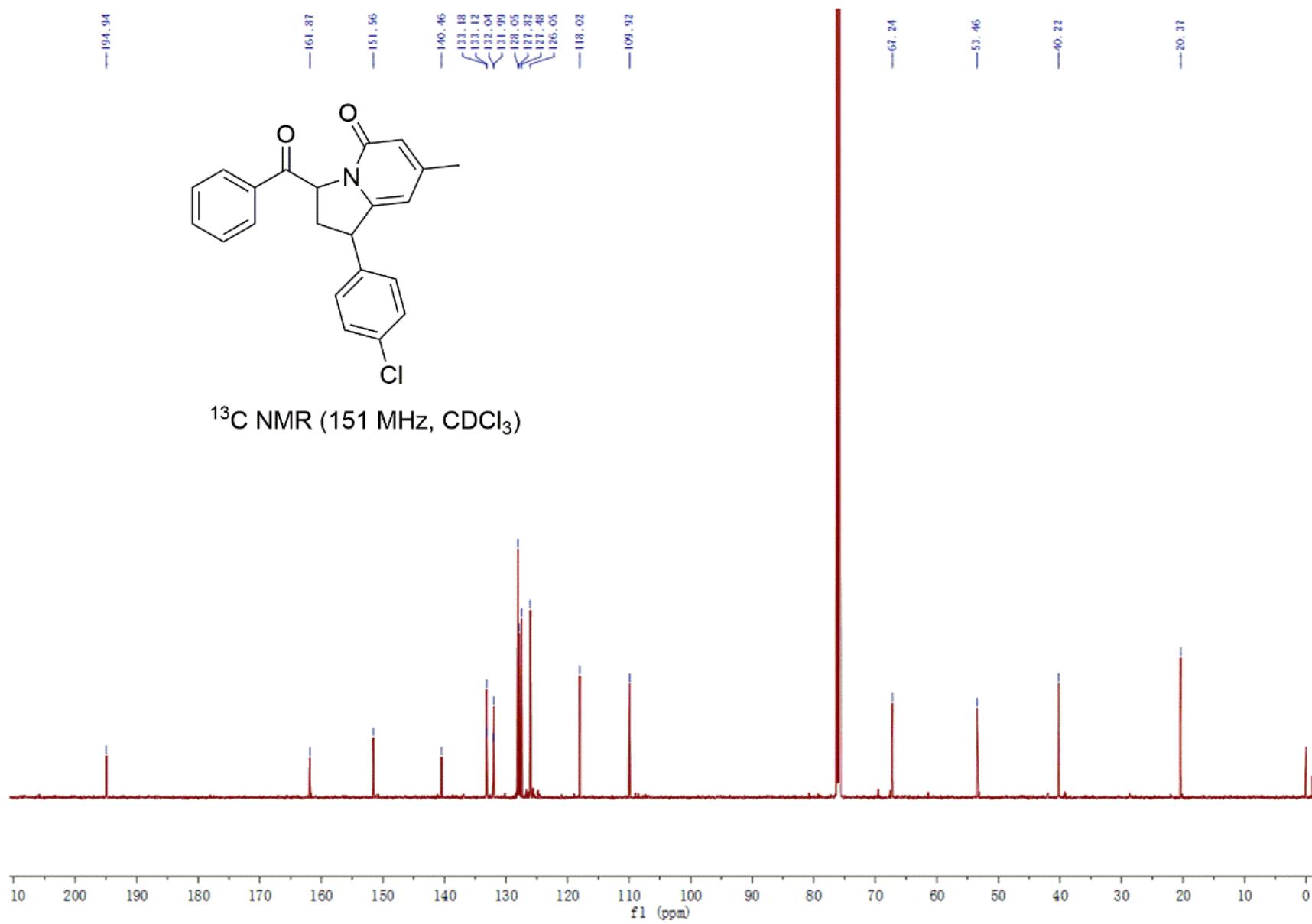
**3-benzoyl-1-(4-chlorophenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3d):**  $^1\text{H}$  NMR



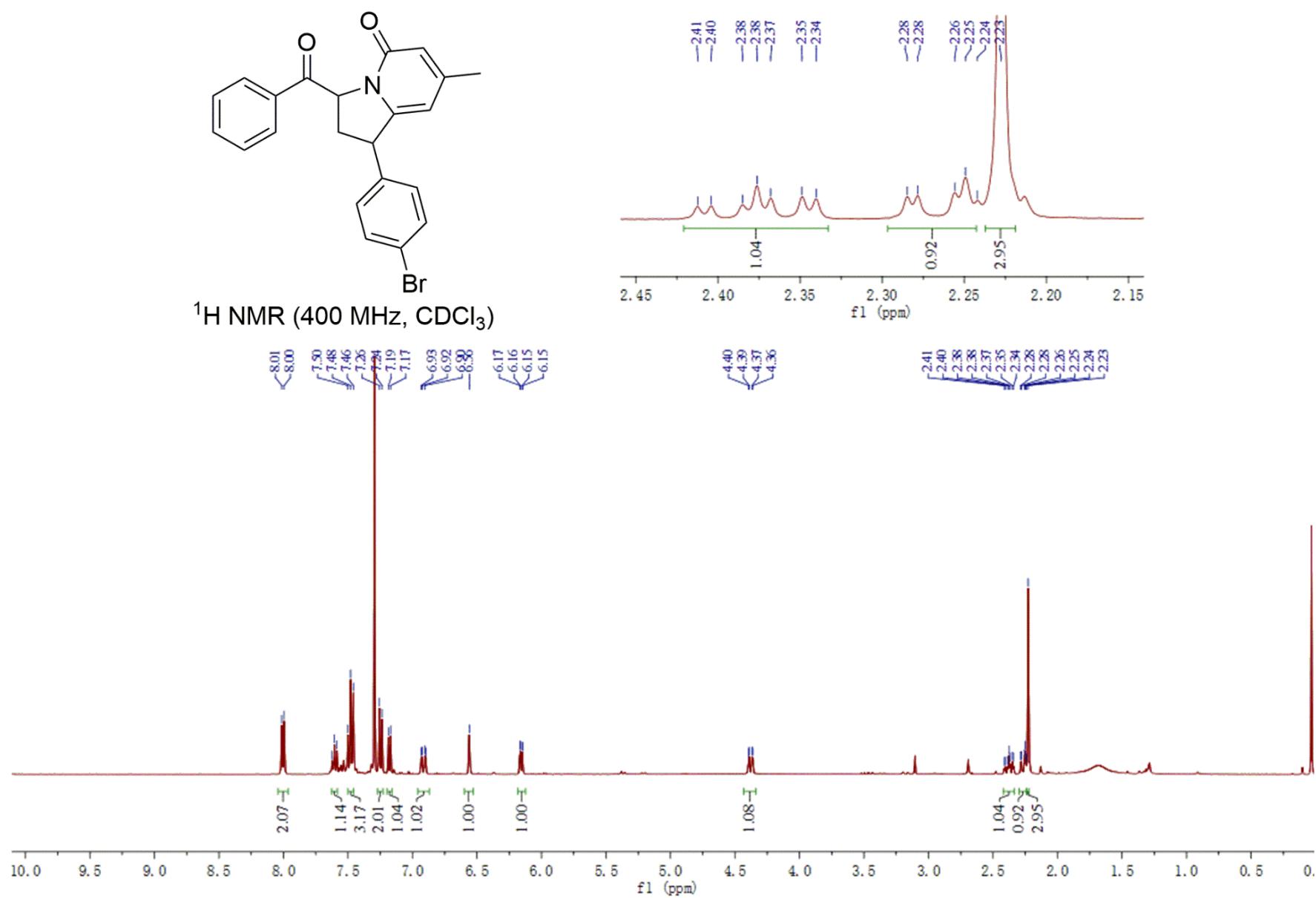
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



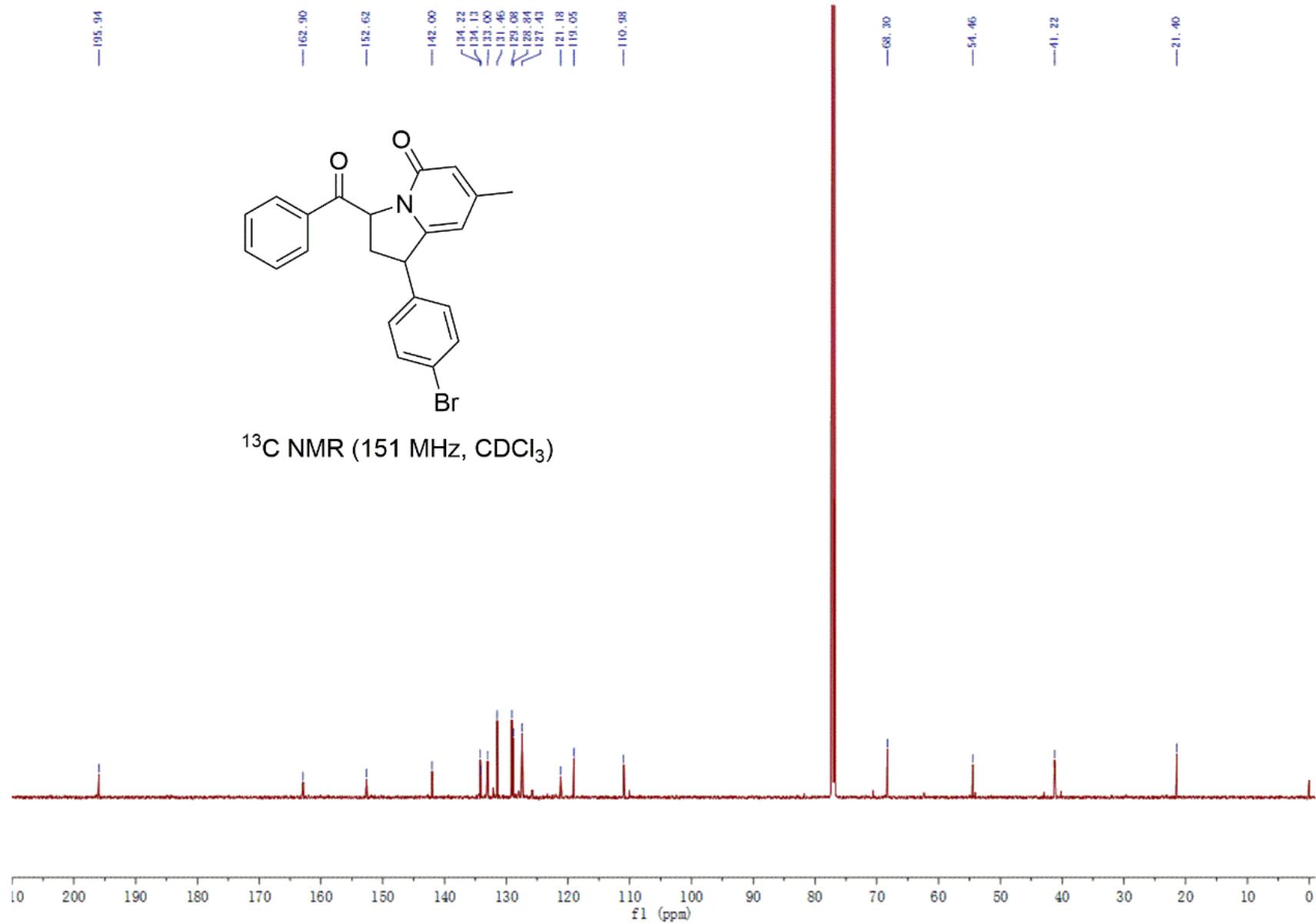
**3-benzoyl-1-(4-chlorophenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3d):  $^{13}\text{C}$  NMR**



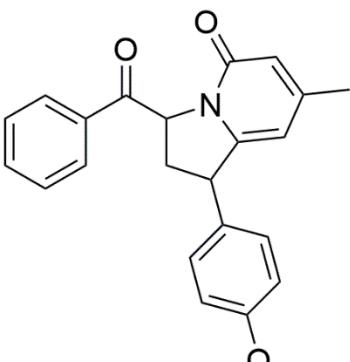
**3-benzoyl-1-(4-bromophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3e):  $^1\text{H}$  NMR**



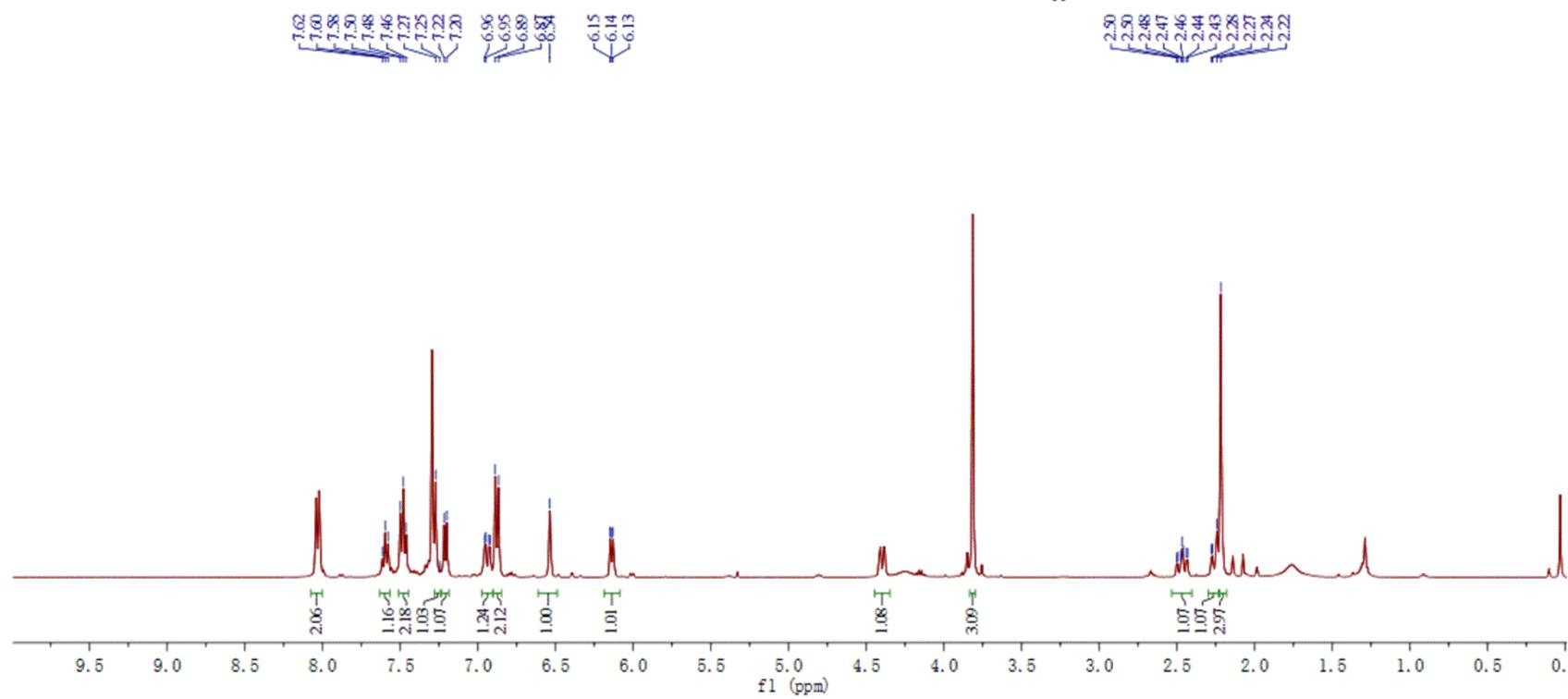
**3-benzoyl-1-(4-bromophenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3e):  $^{13}\text{C}$  NMR**



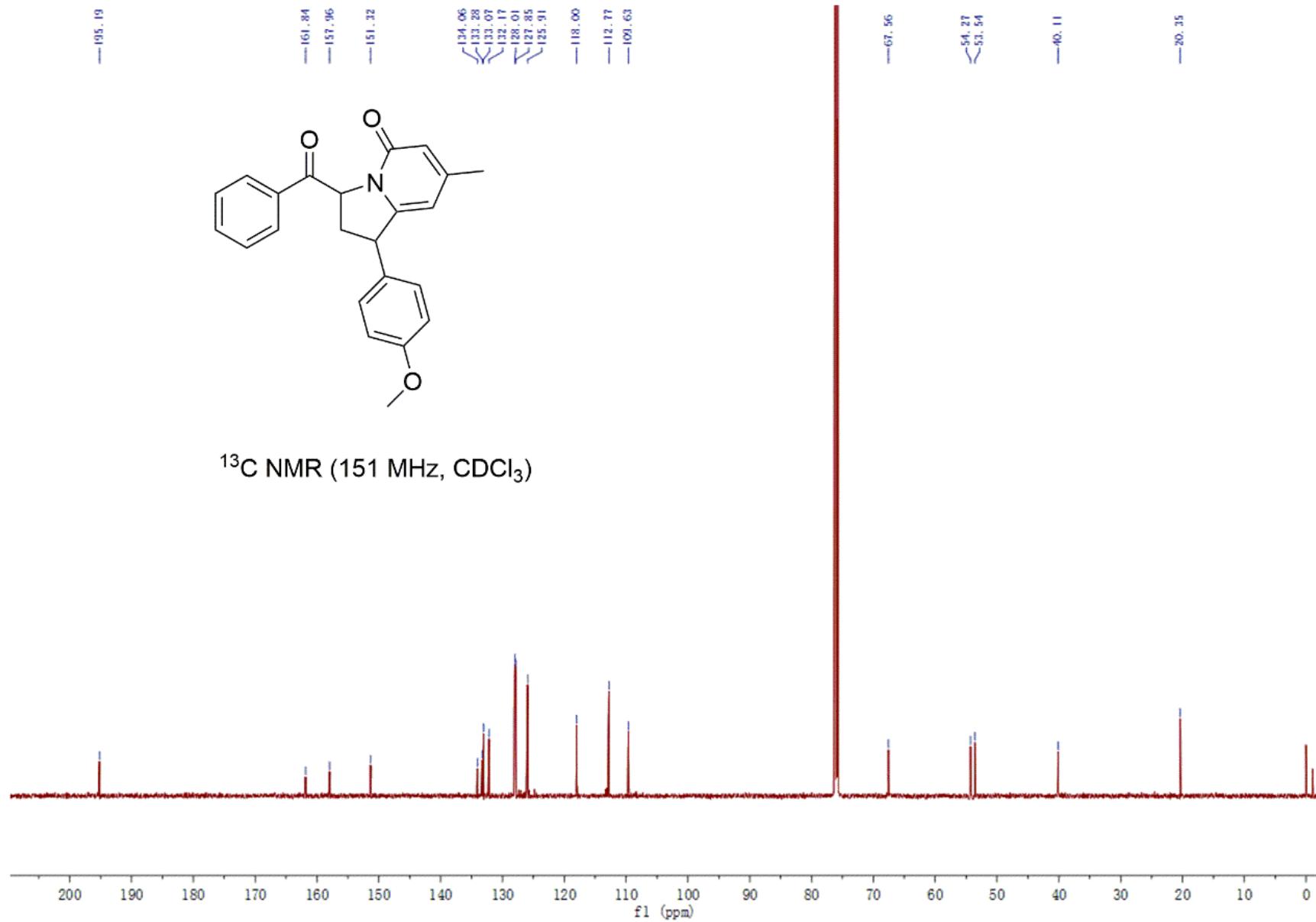
**3-benzoyl-1-(4-methoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3f):**  $^1\text{H}$  NMR



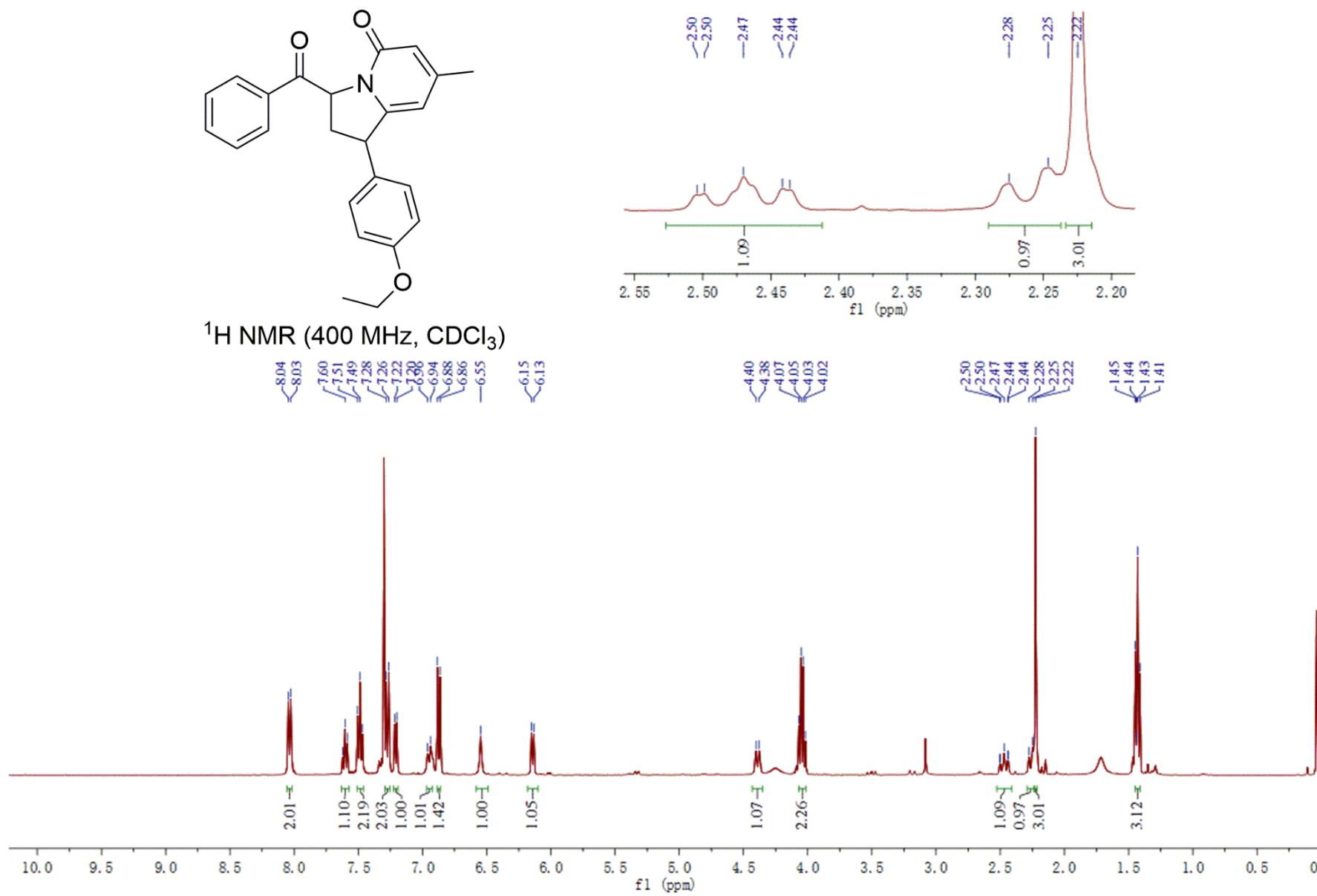
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



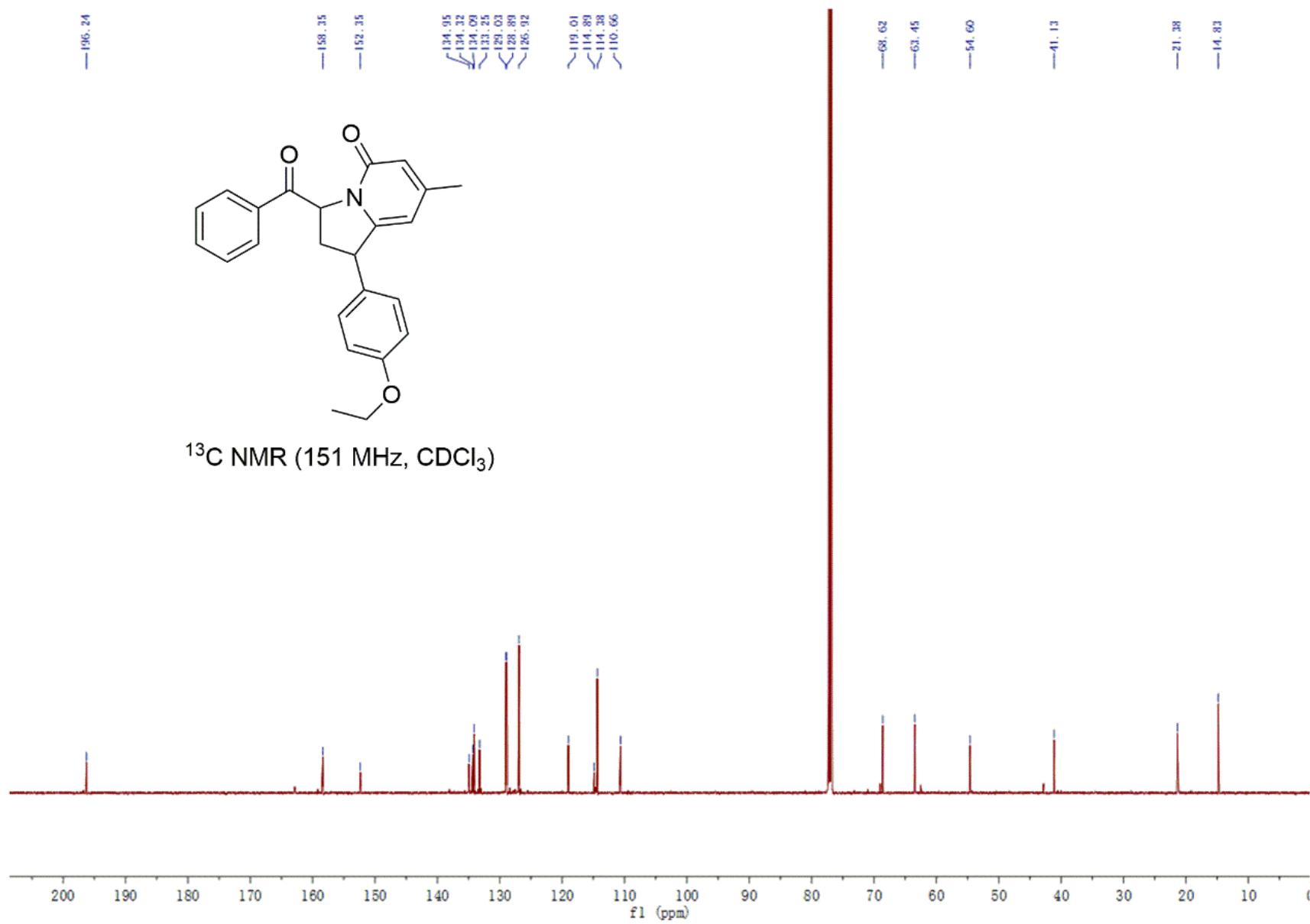
**3-benzoyl-1-(4-methoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3f):  $^{13}\text{C}$  NMR**



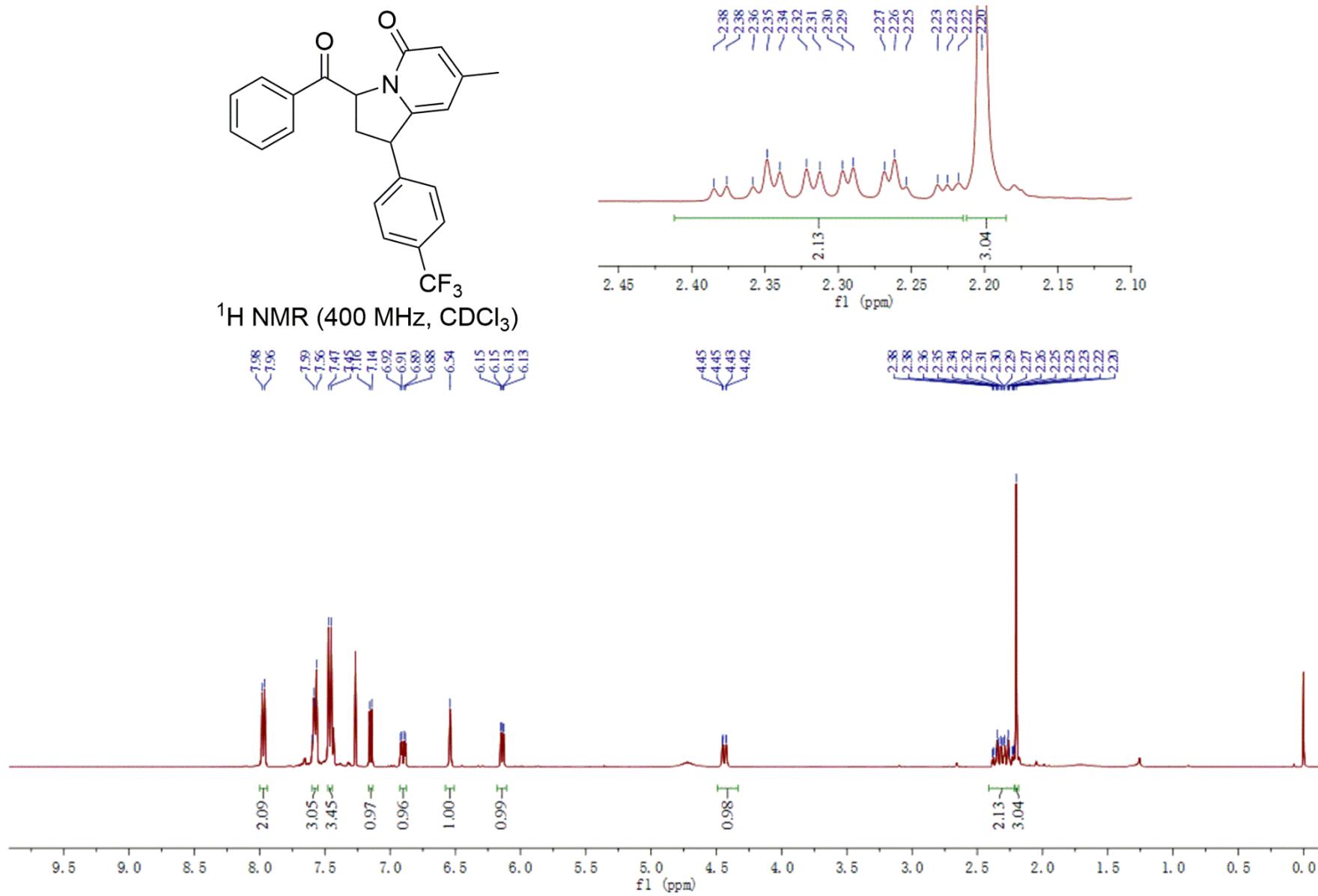
**3-benzoyl-1-(4-ethoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3g):  $^1\text{H}$  NMR**



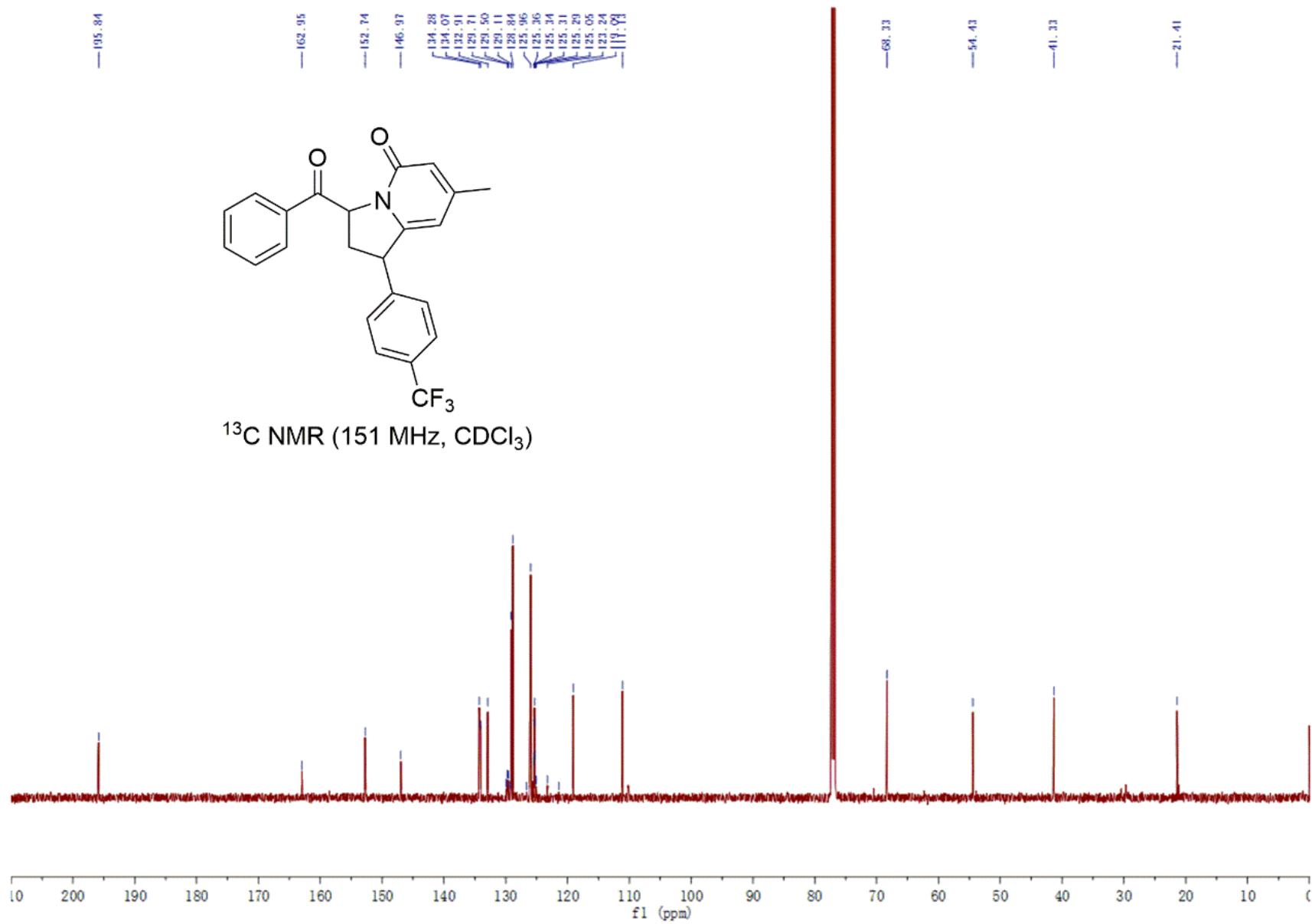
**3-benzoyl-1-(4-ethoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3g):  $^{13}\text{C}$  NMR**



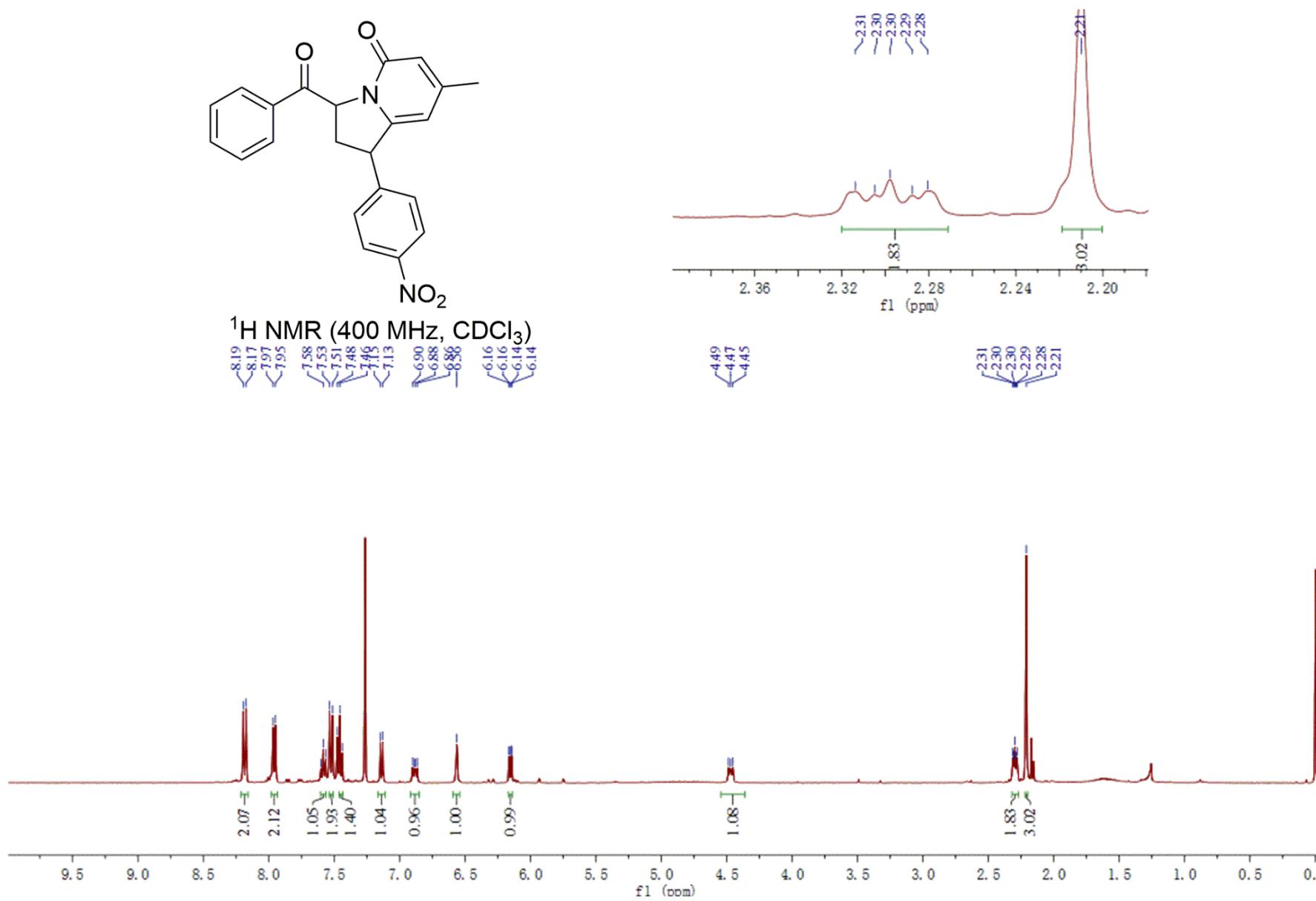
**3-benzoyl-7-methyl-1-(4-(trifluoromethyl)phenyl)-2,3-dihydroindolin-5(1*H*)-one (3h):  $^1\text{H}$  NMR**



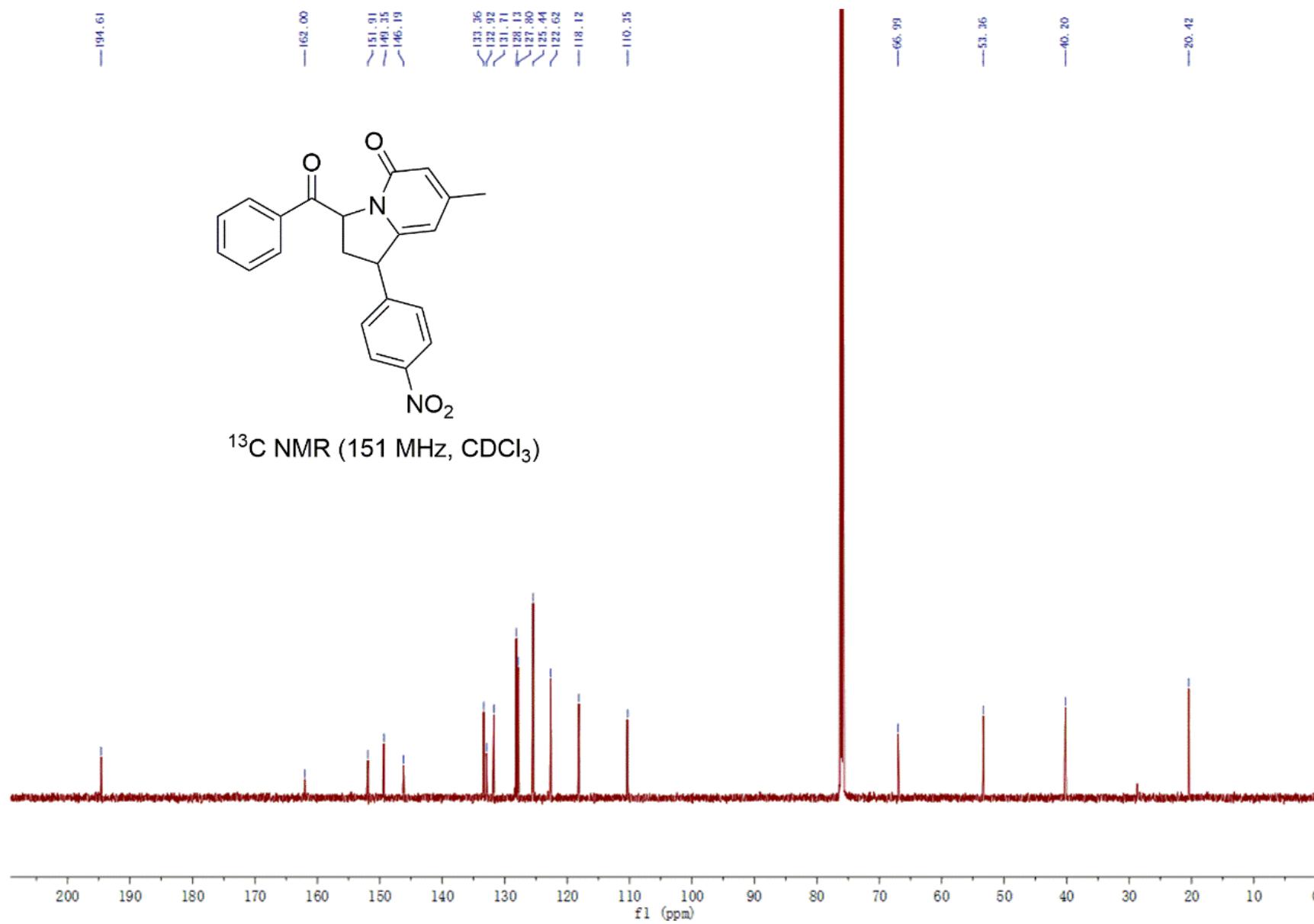
**3-benzoyl-7-methyl-1-(4-(trifluoromethyl)phenyl)-2,3-dihydroindolin-5(1*H*)-one (3h):  $^{13}\text{C}$  NMR**



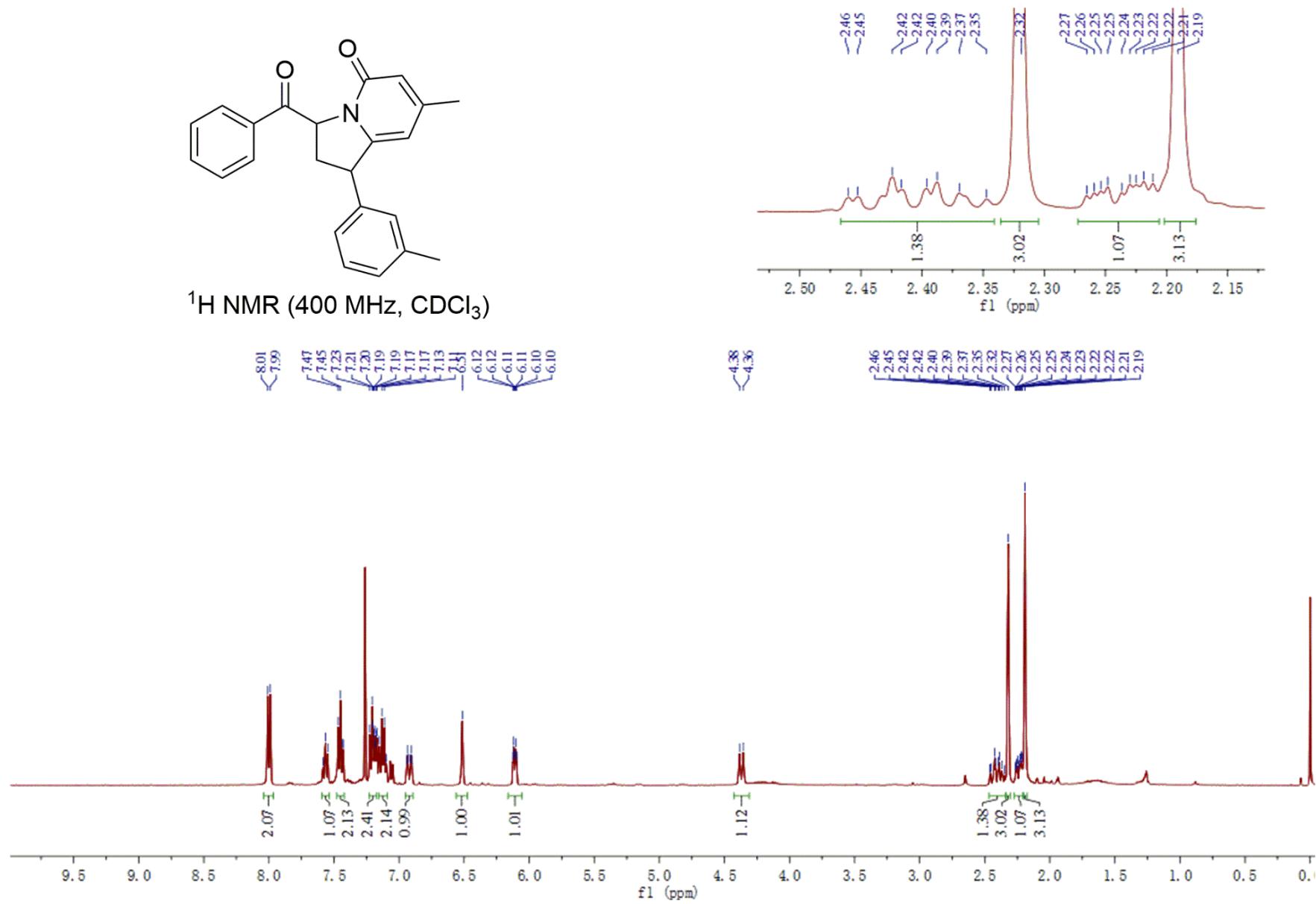
**3-benzoyl-7-methyl-1-(4-nitrophenyl)-2,3-dihydroindolin-5(1H)-one (3i):  $^1\text{H}$  NMR**



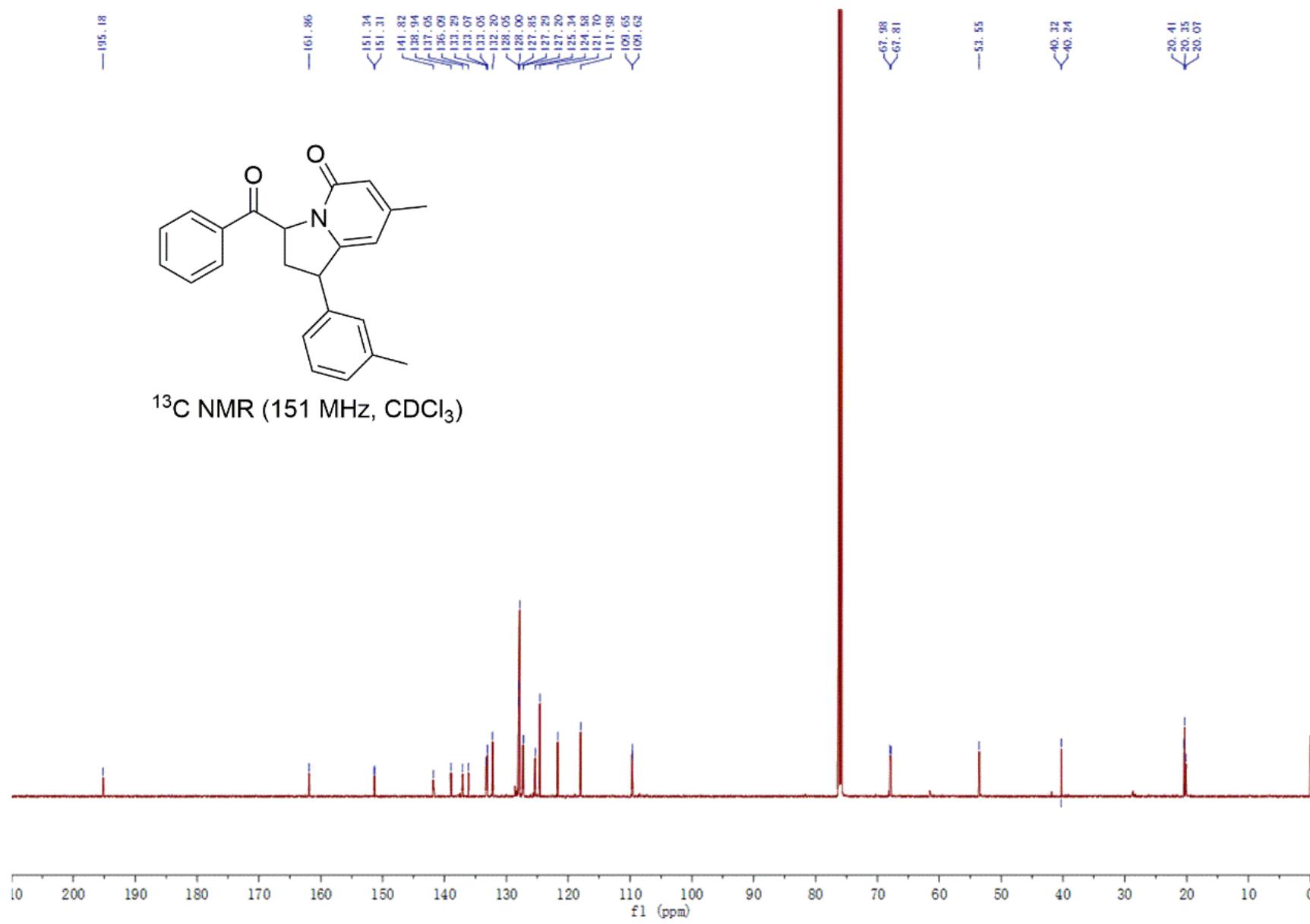
**3-benzoyl-7-methyl-1-(4-nitrophenyl)-2,3-dihydroindolin-5(1H)-one (3i):  $^{13}\text{C}$  NMR**



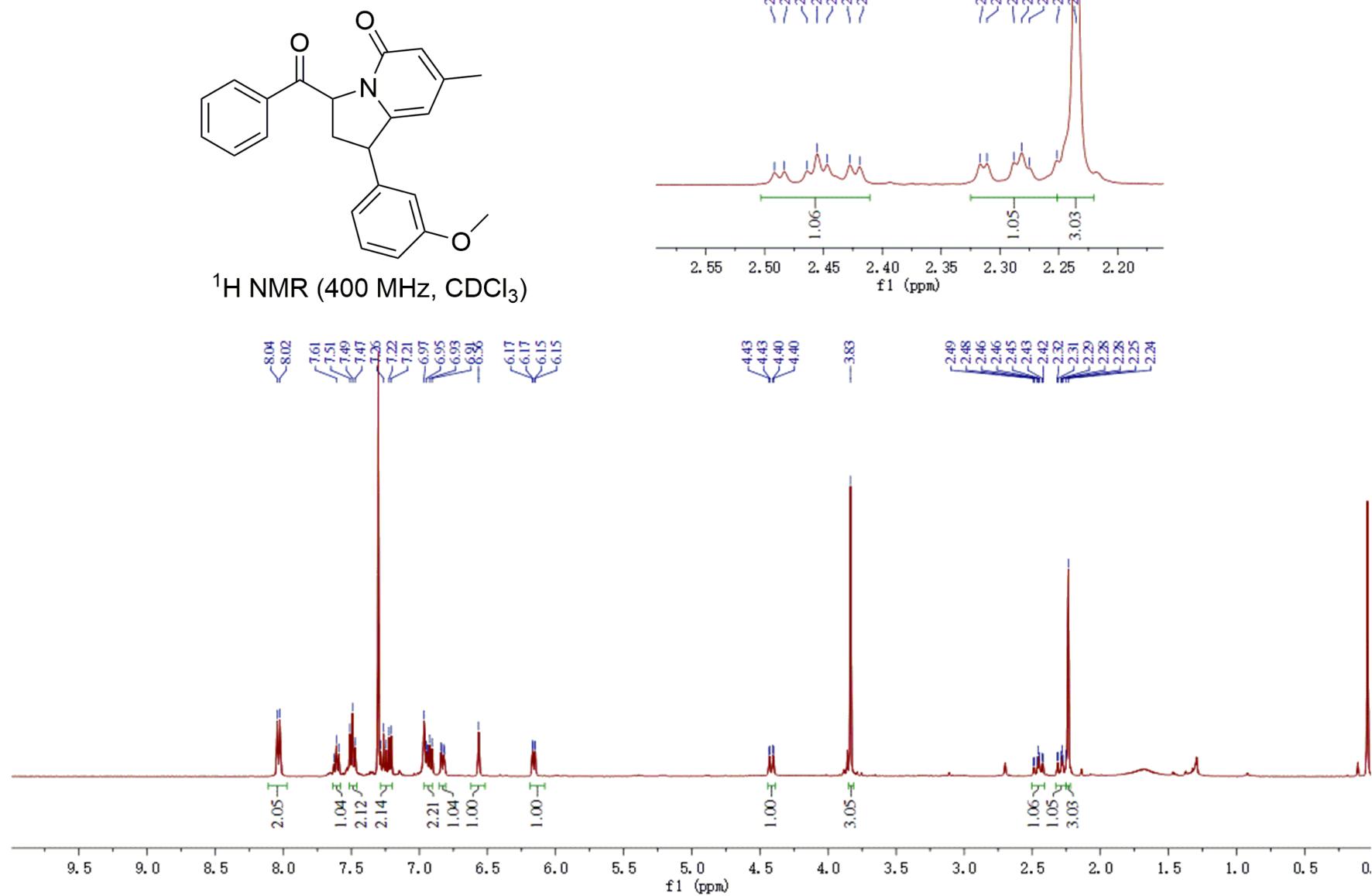
**3-benzoyl-7-methyl-1-(*m*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (3j):  $^1\text{H}$  NMR**



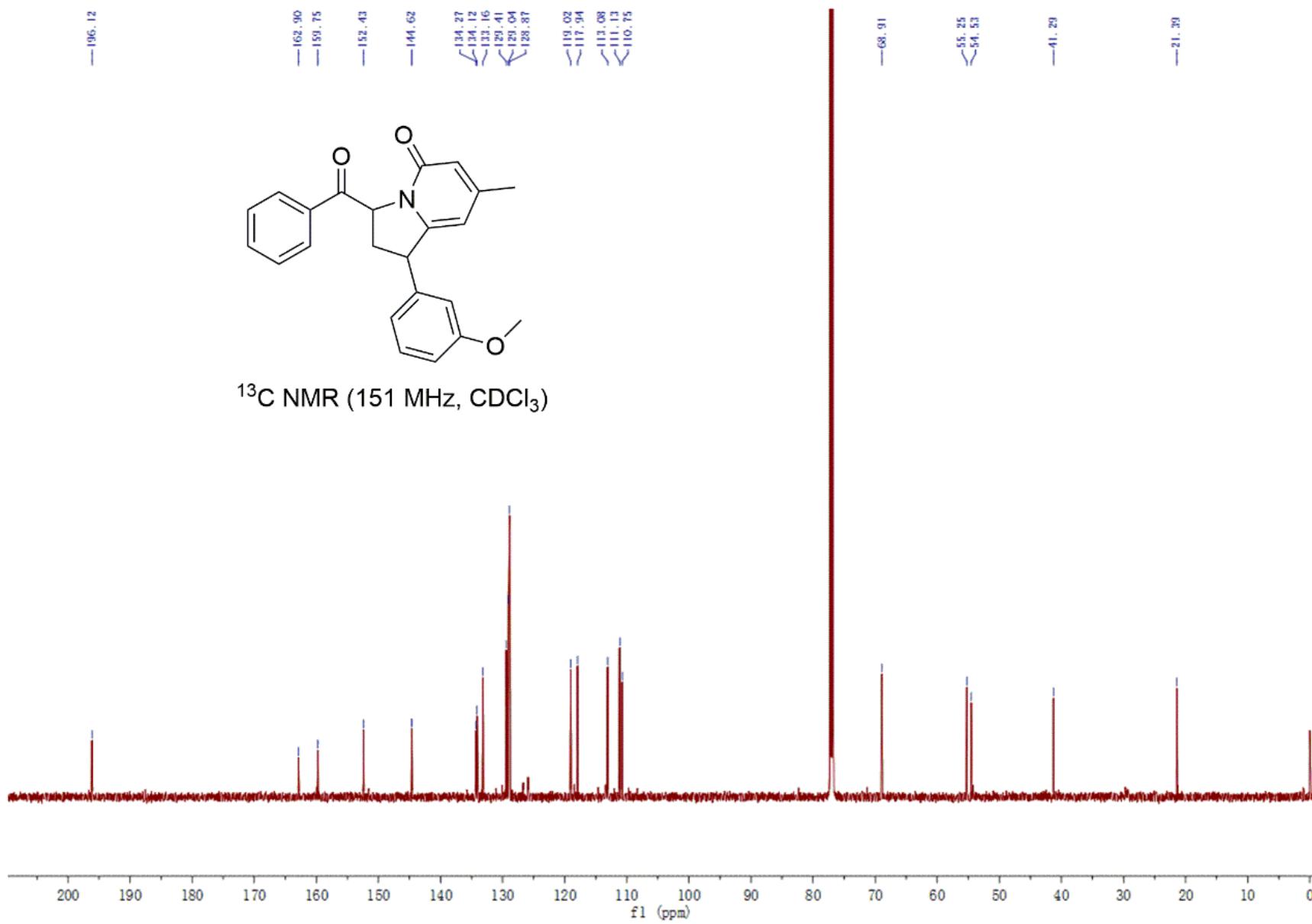
**3-benzoyl-7-methyl-1-(*m*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (3j):  $^{13}\text{C}$  NMR**



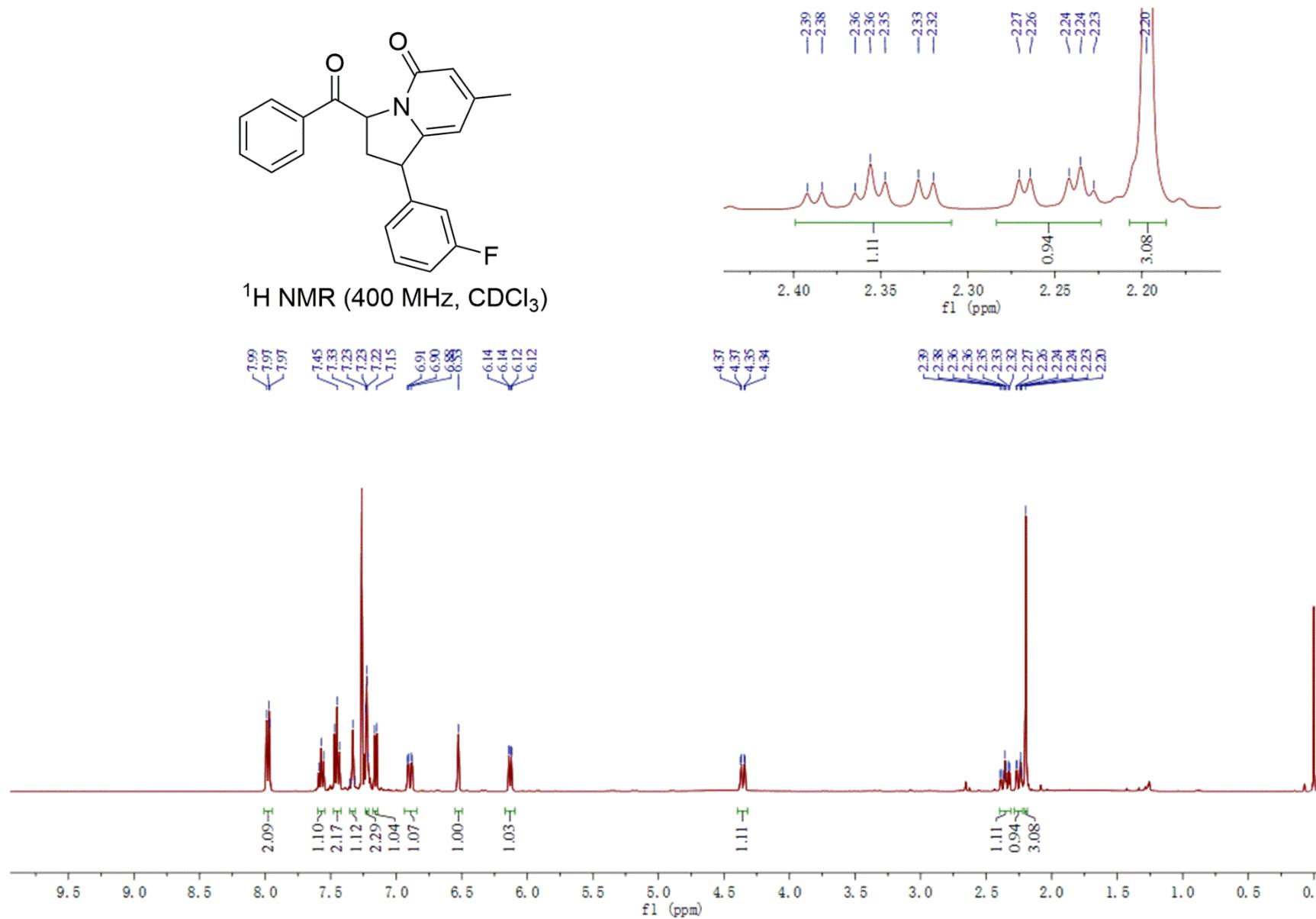
3-benzoyl-1-(3-methoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3k):  $^1\text{H}$  NMR



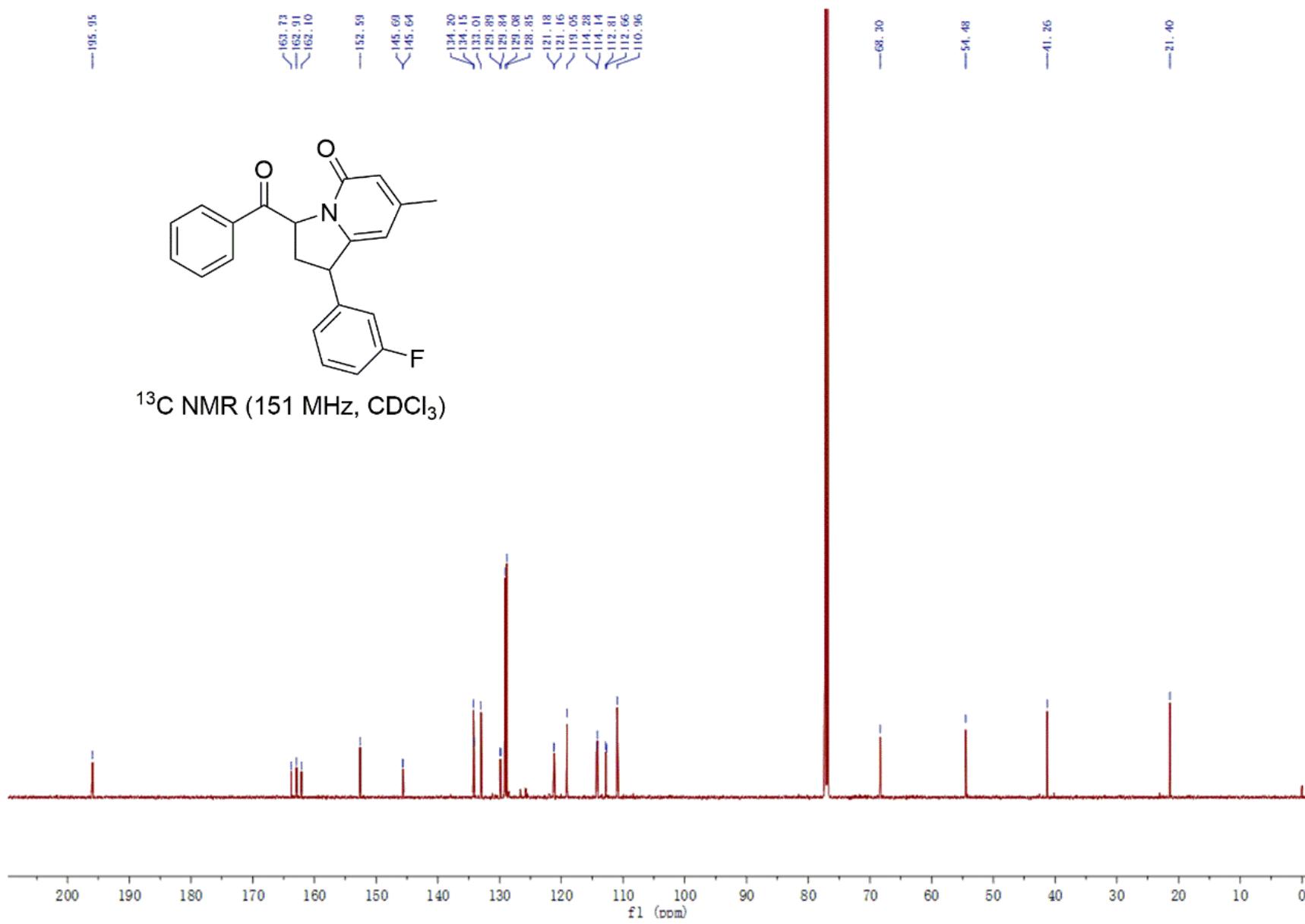
3-benzoyl-1-(3-methoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3k):  $^{13}\text{C}$  NMR



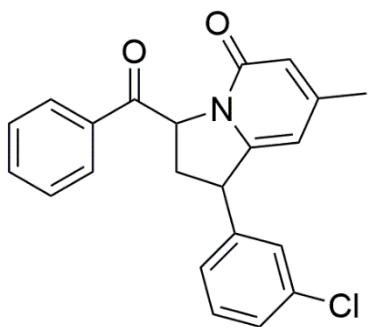
**3-benzoyl-1-(3-fluorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3l):  $^1\text{H}$  NMR**



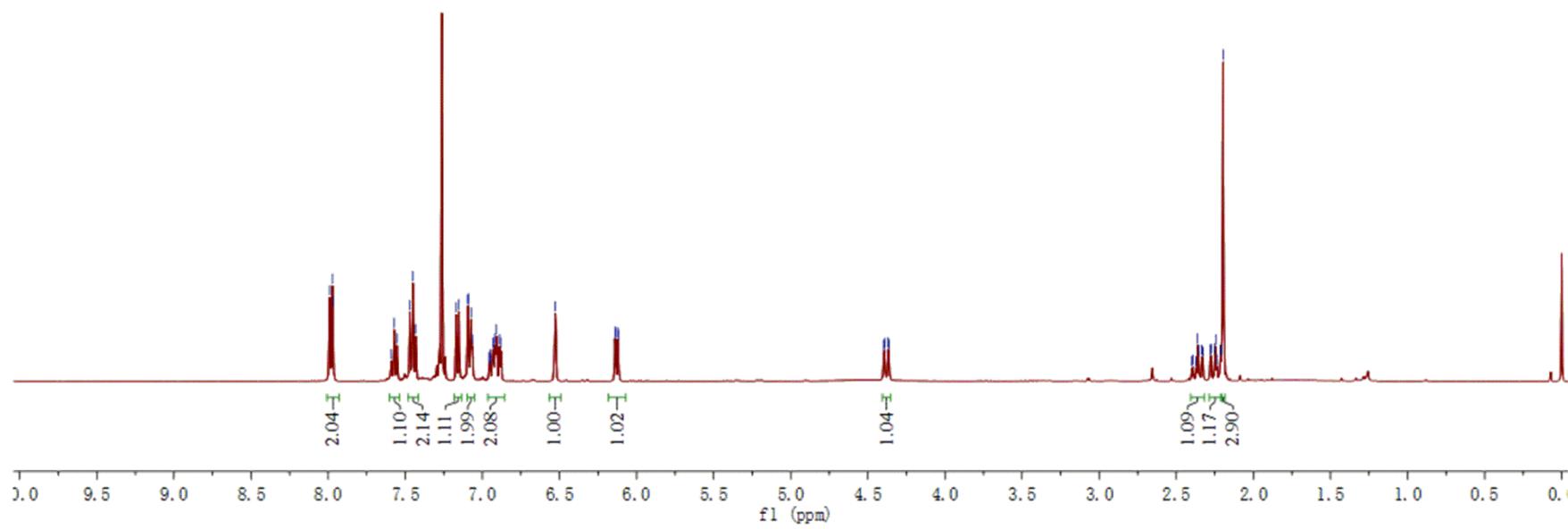
**3-benzoyl-1-(3-fluorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3l):  $^{13}\text{C}$  NMR**



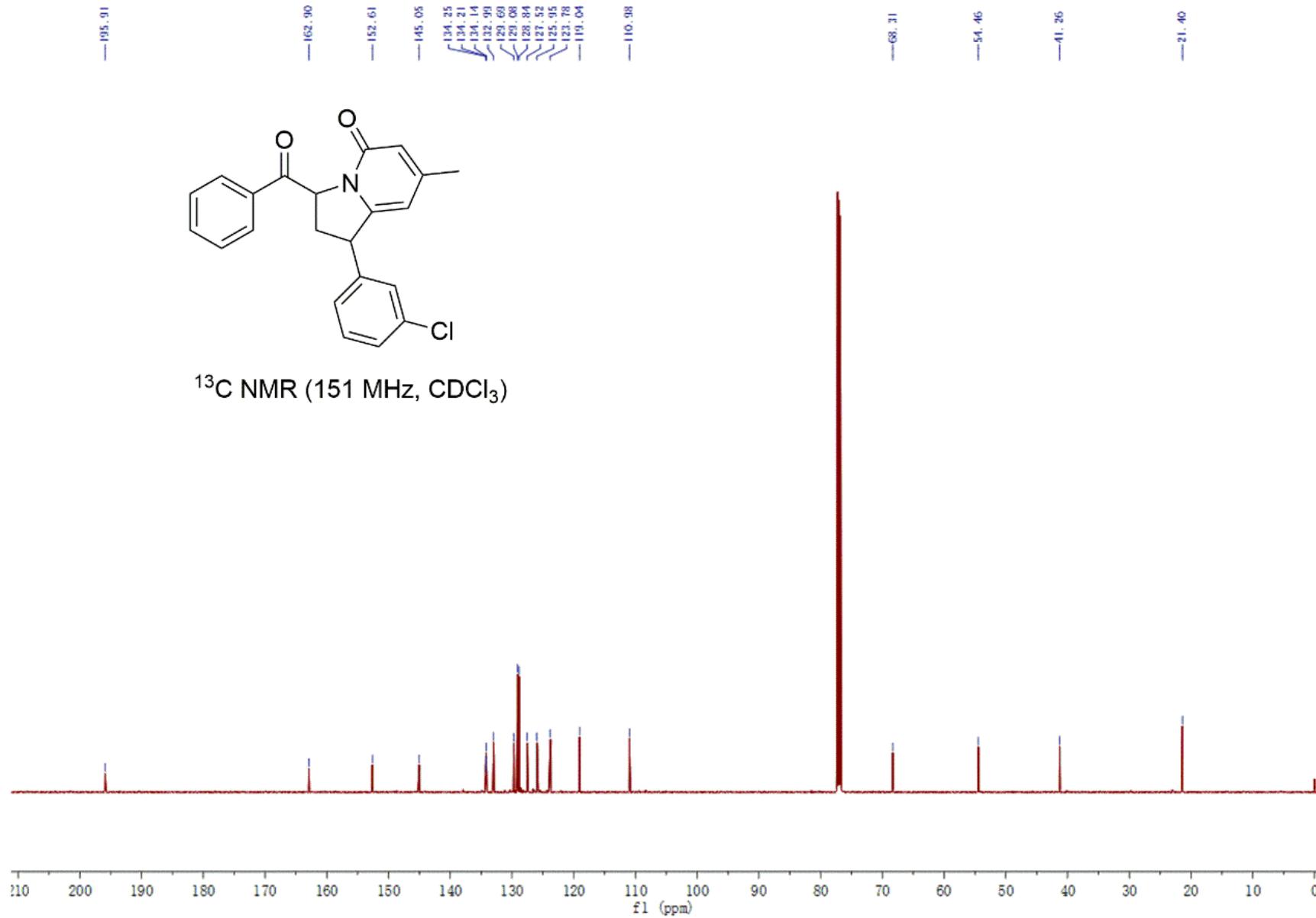
**3-benzoyl-1-(3-chlorophenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3m):**  $^1\text{H}$  NMR



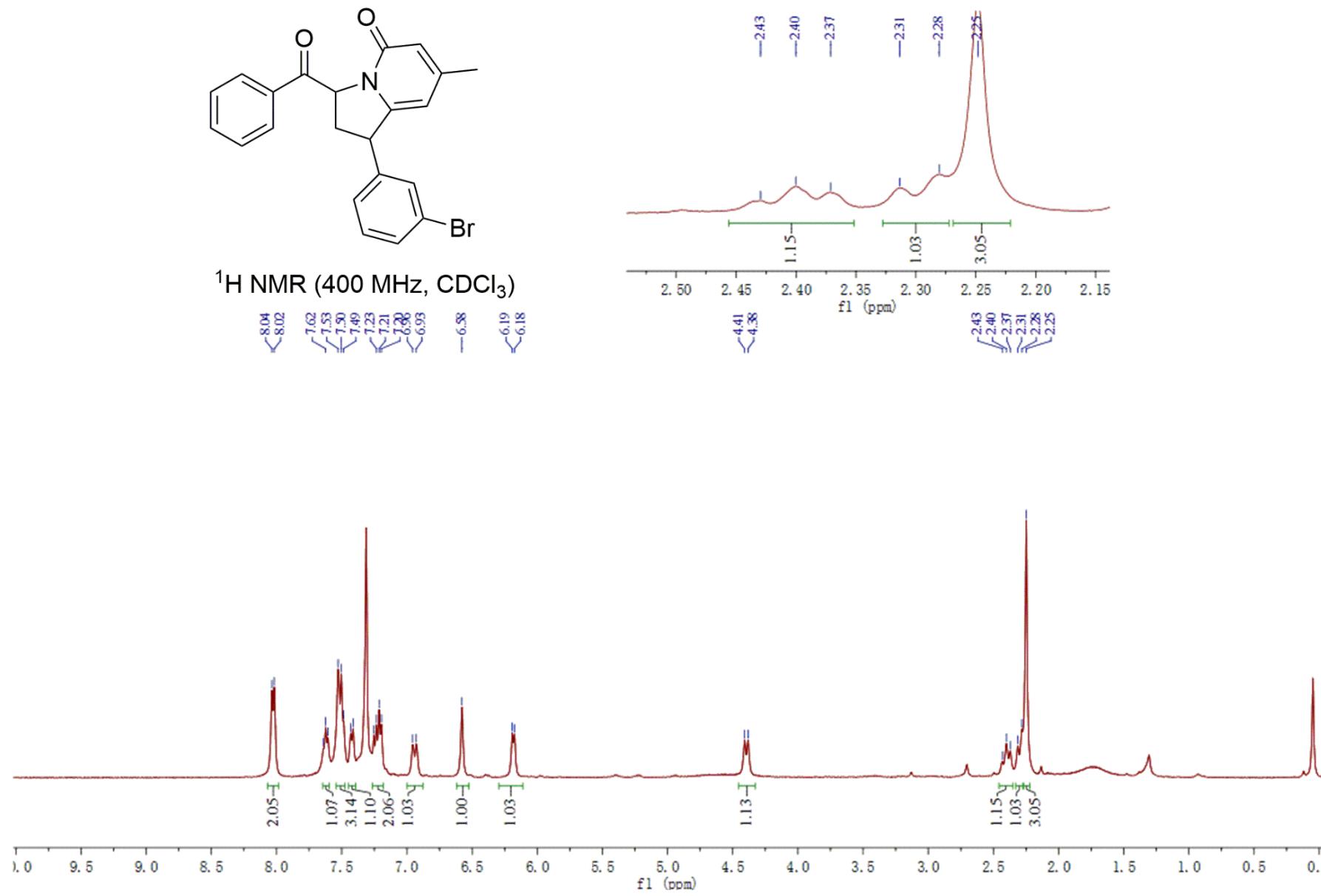
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



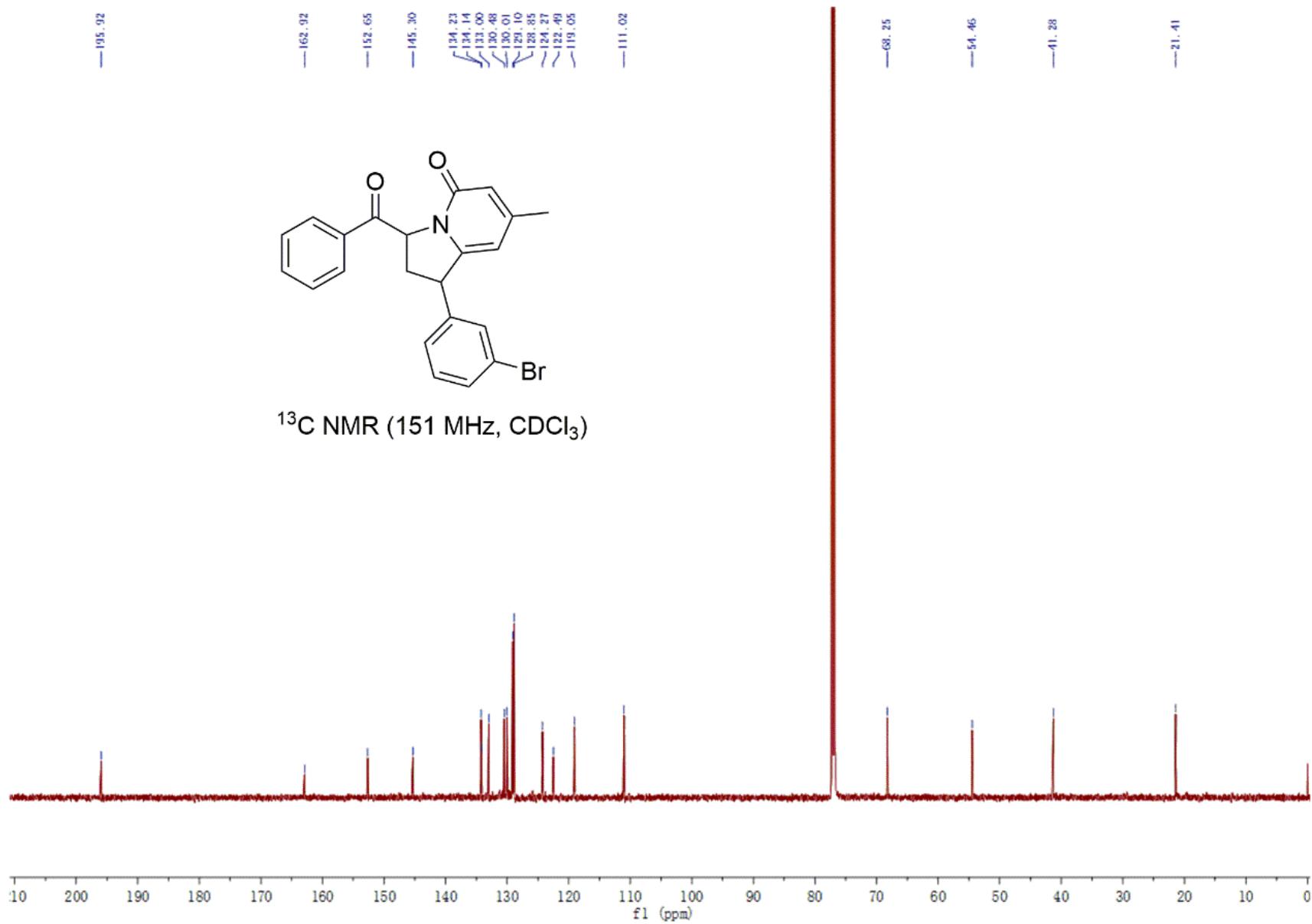
**3-benzoyl-1-(3-chlorophenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3m):  $^{13}\text{C}$  NMR**



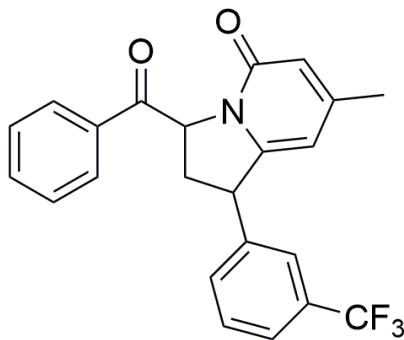
**3-benzoyl-1-(3-bromophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3n):  $^1\text{H}$  NMR**



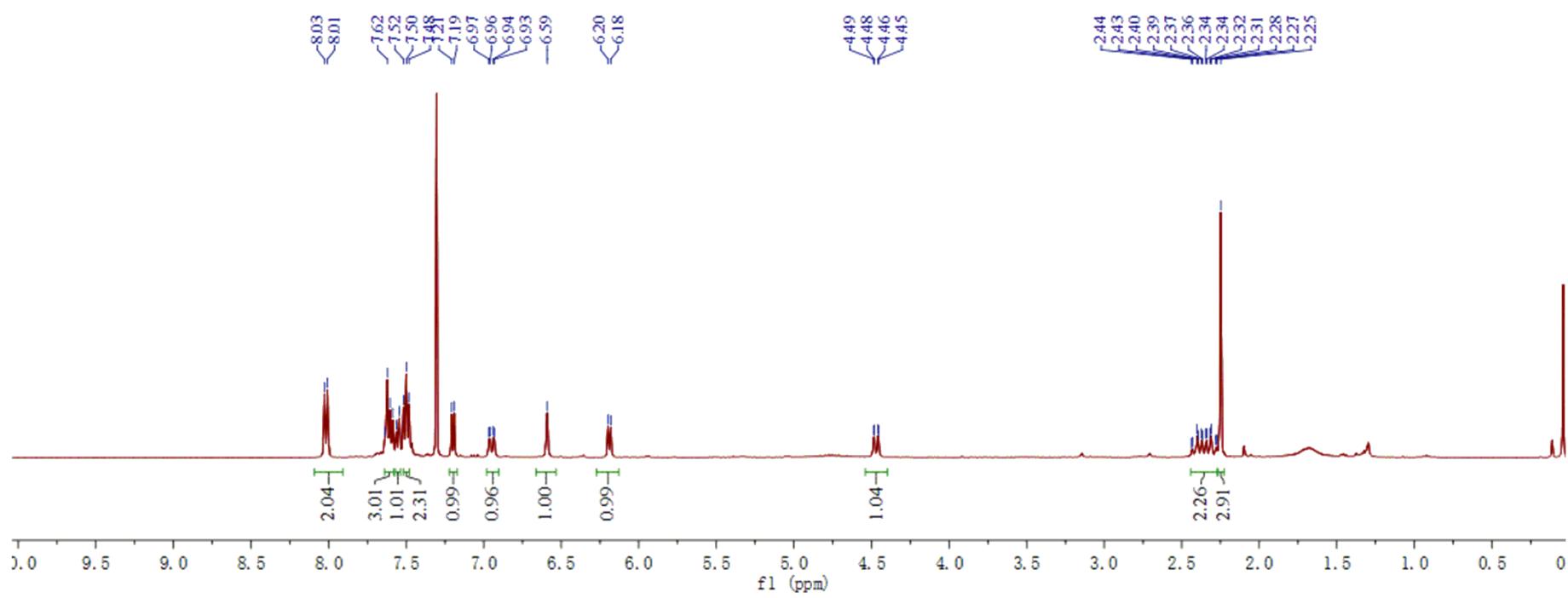
**3-benzoyl-1-(3-bromophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3n):  $^{13}\text{C}$  NMR**



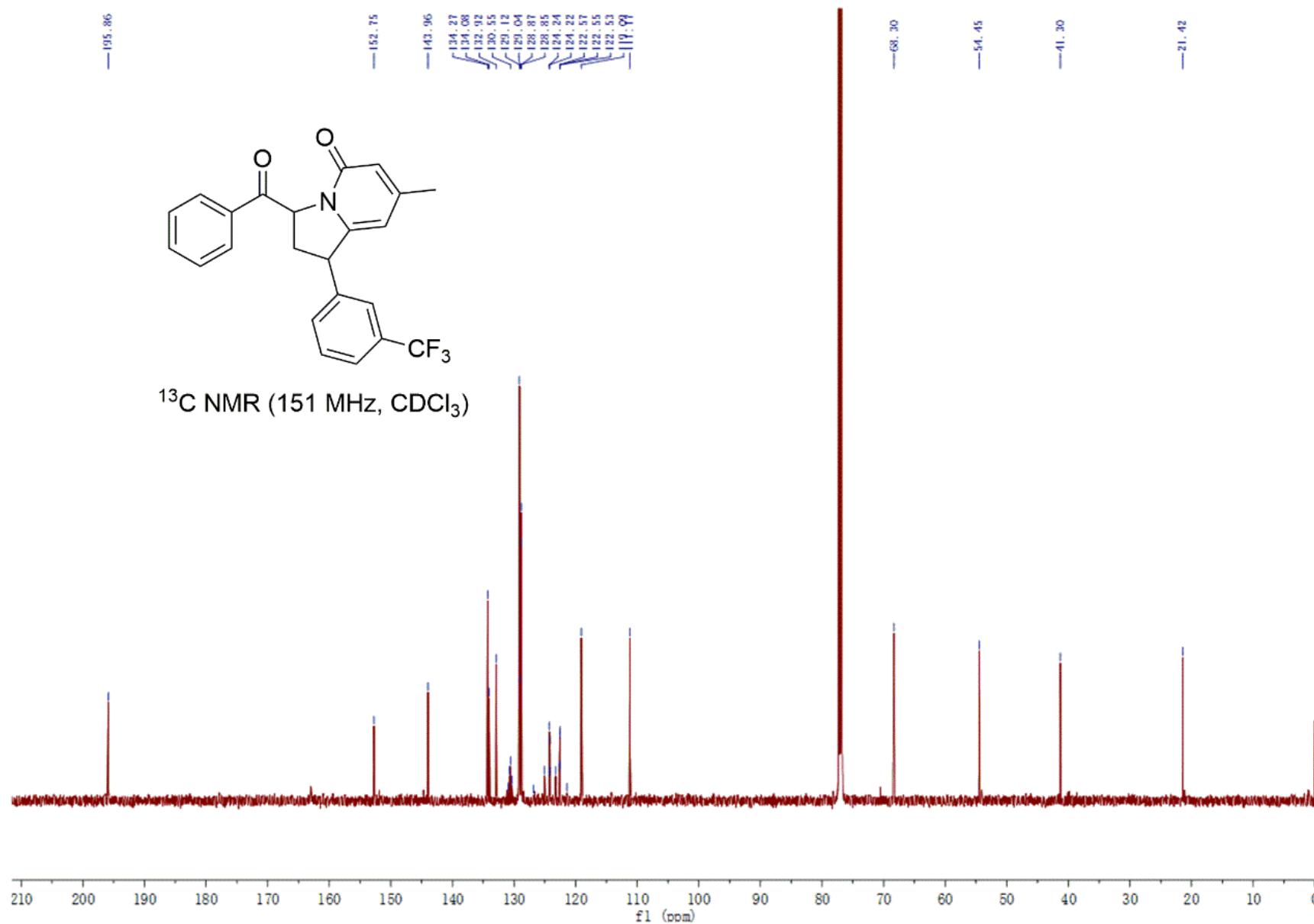
**3-benzoyl-7-methyl-1-(3-(trifluoromethyl)phenyl)-2,3-dihydroindolin-5(1*H*)-one (3o):  $^1\text{H}$  NMR**



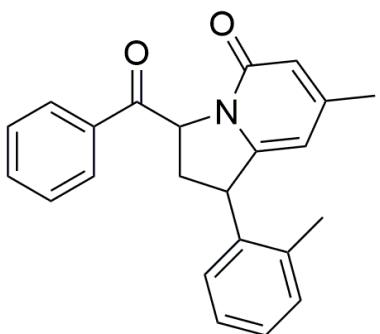
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



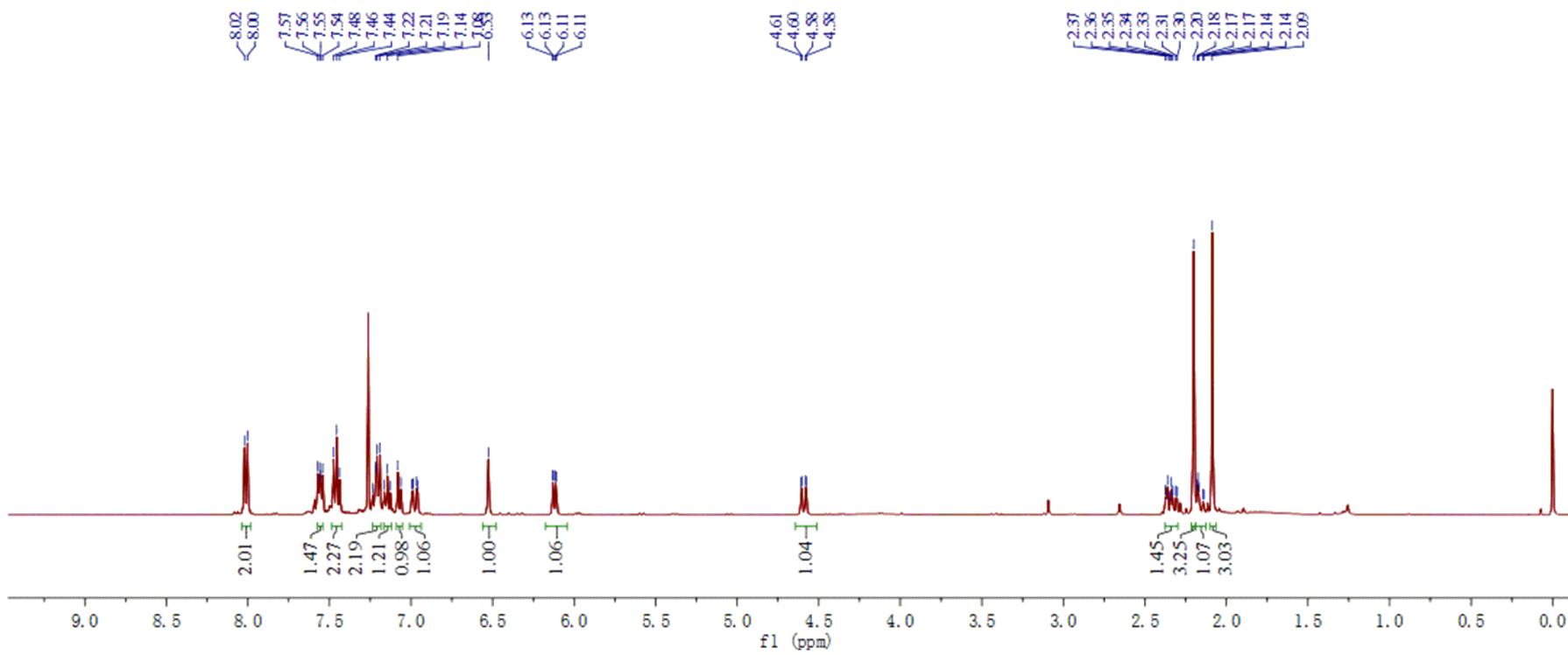
**3-benzoyl-7-methyl-1-(3-(trifluoromethyl)phenyl)-2,3-dihydroindolin-5(1*H*)-one (**3o**):  $^{13}\text{C}$  NMR**



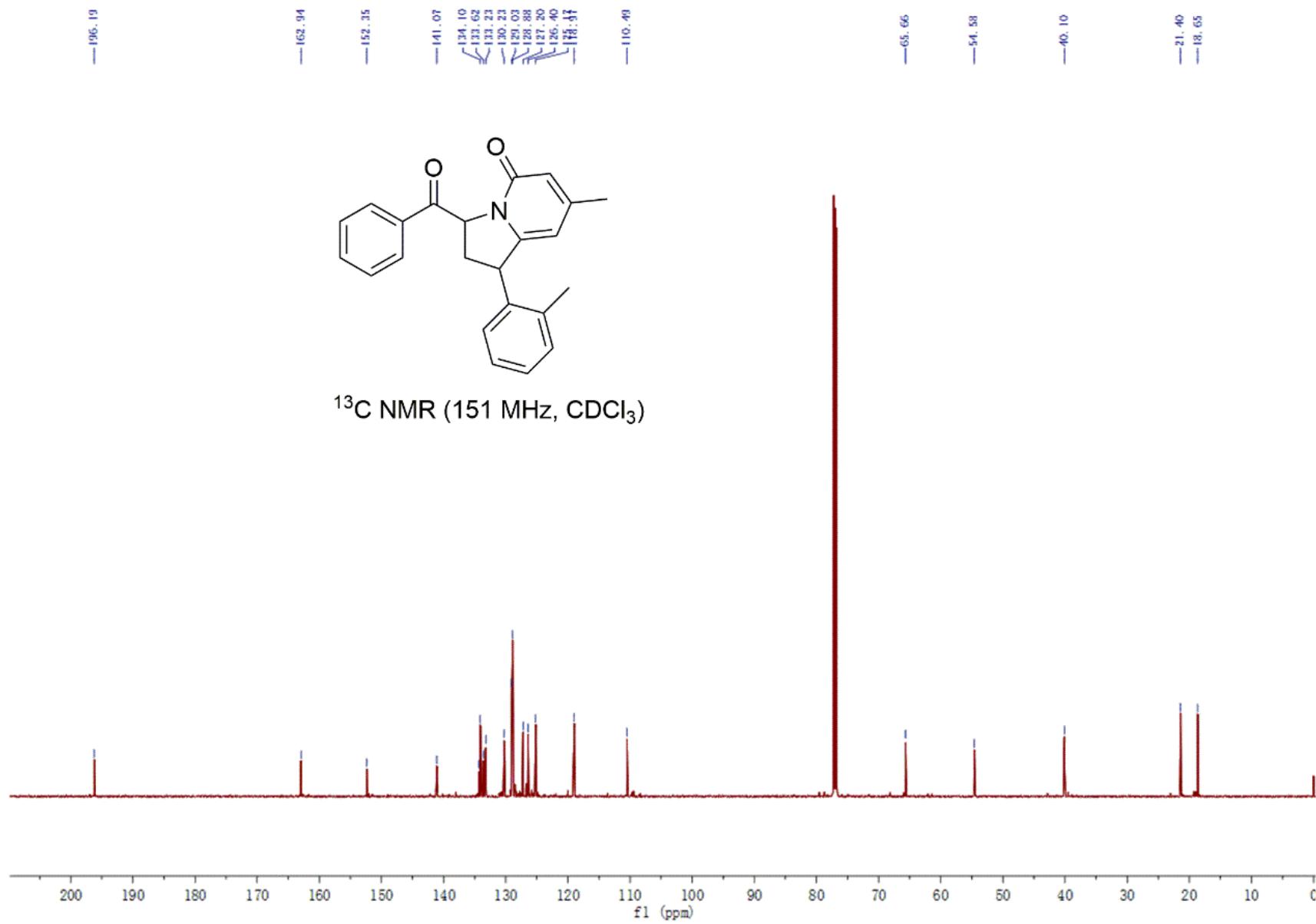
**3-benzoyl-7-methyl-1-(*o*-tolyl)-2,3-dihydroindolizin-5(1*H*)-one (3p):**  $^1\text{H}$  NMR



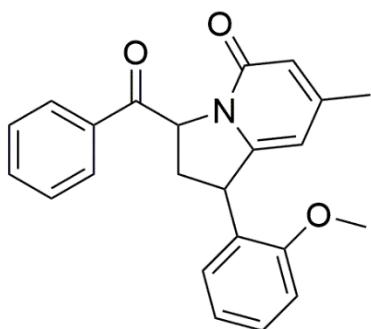
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



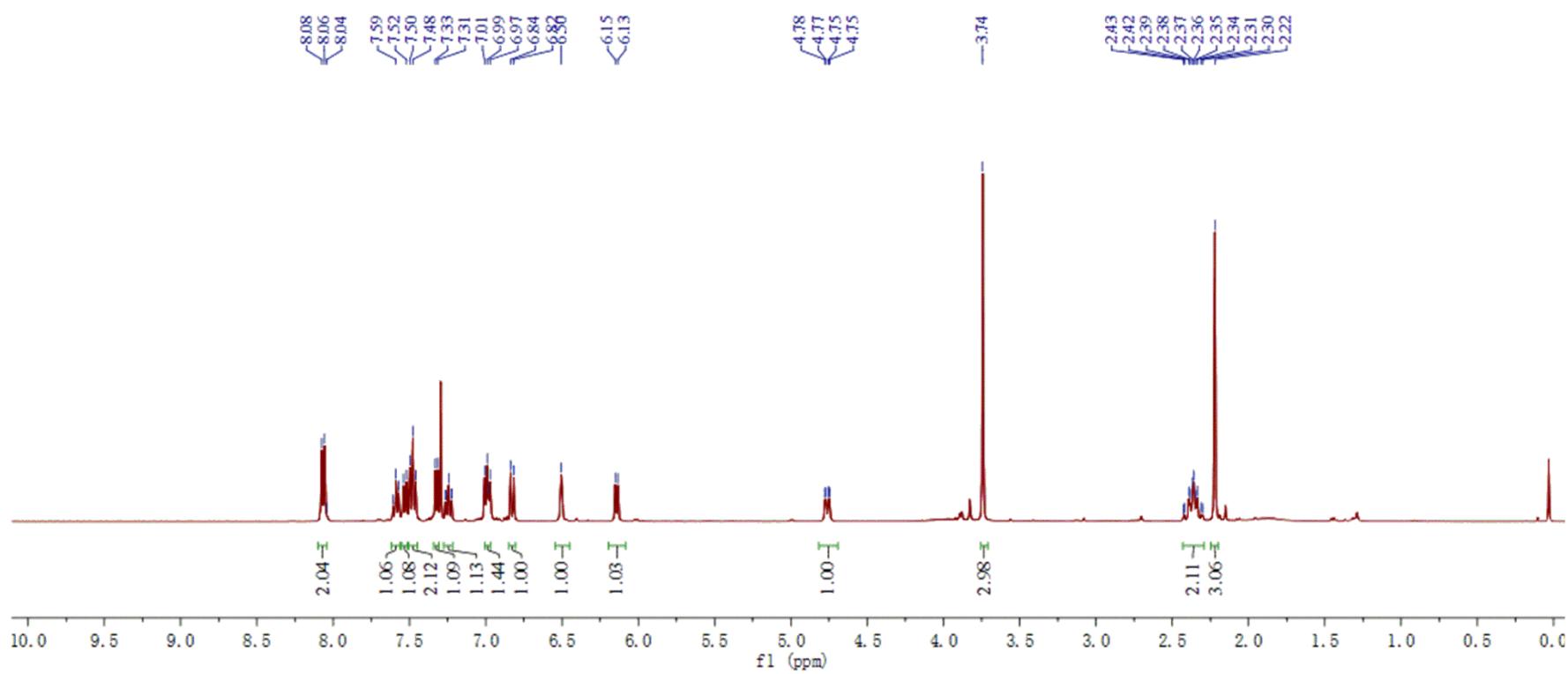
**3-benzoyl-7-methyl-1-(*o*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (3p):  $^{13}\text{C}$  NMR**



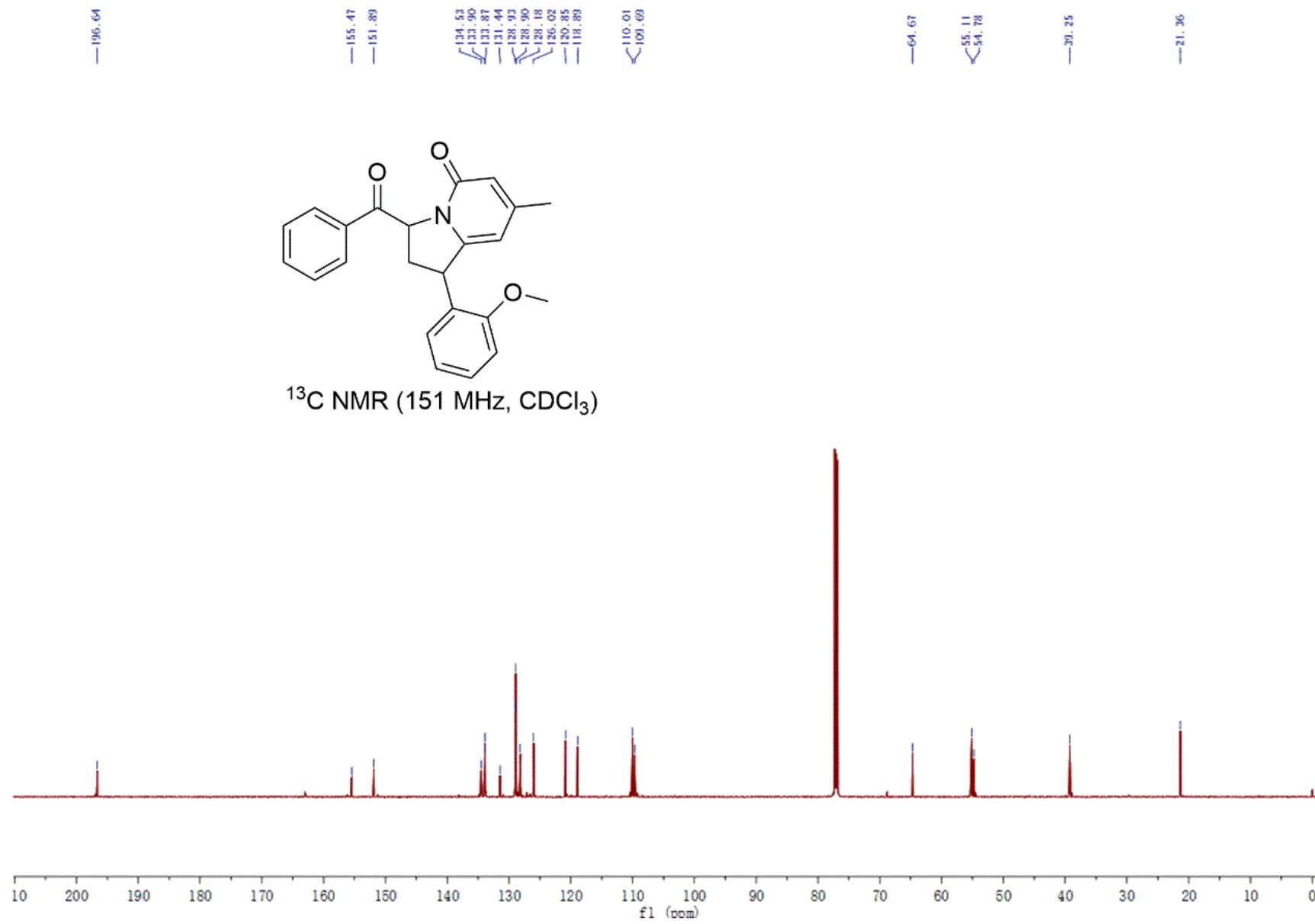
3-benzoyl-1-(2-methoxyphenyl)-7-methyl-2,3-dihydroindolizin-5(1*H*)-one (3q):  $^1\text{H}$  NMR



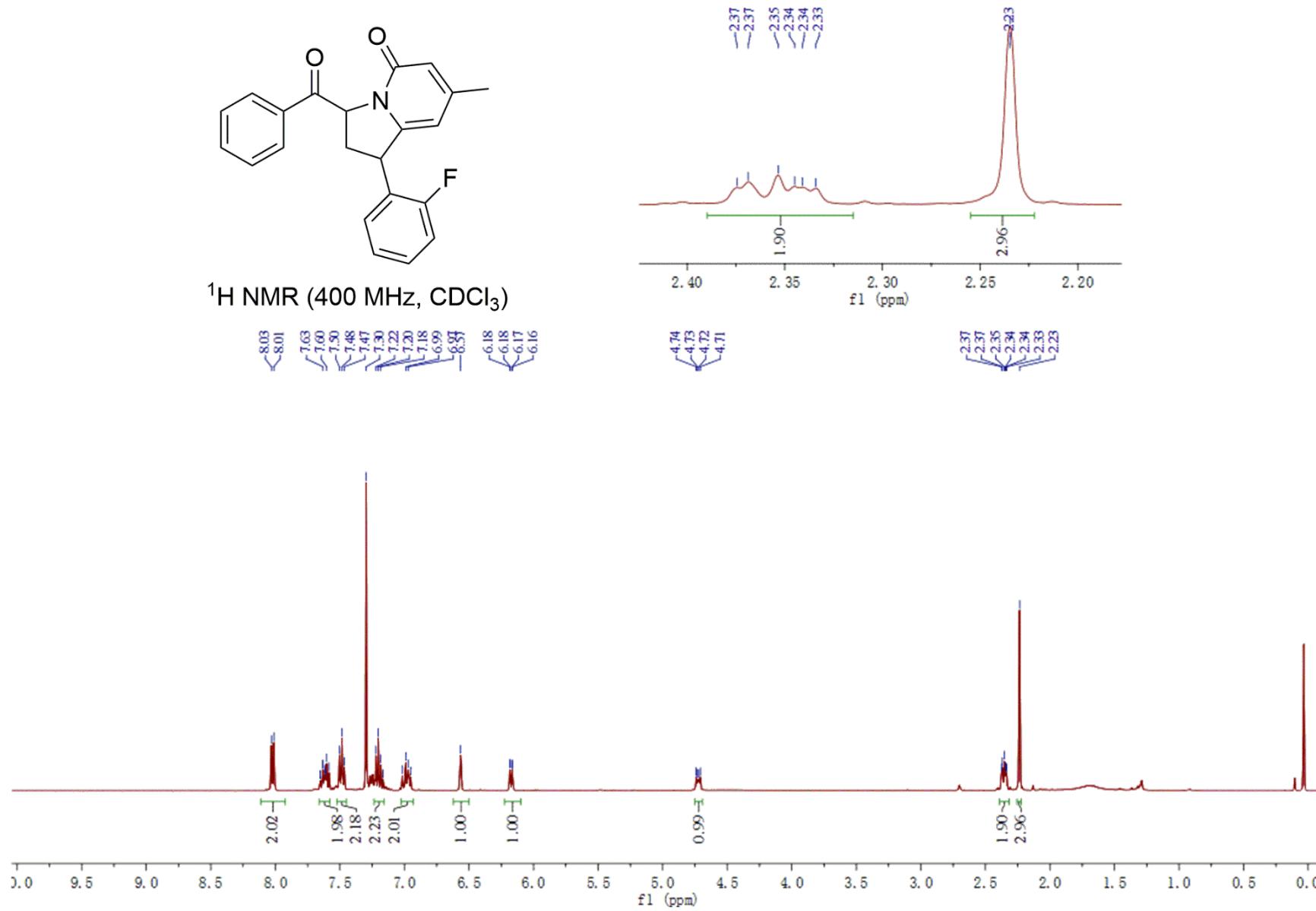
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



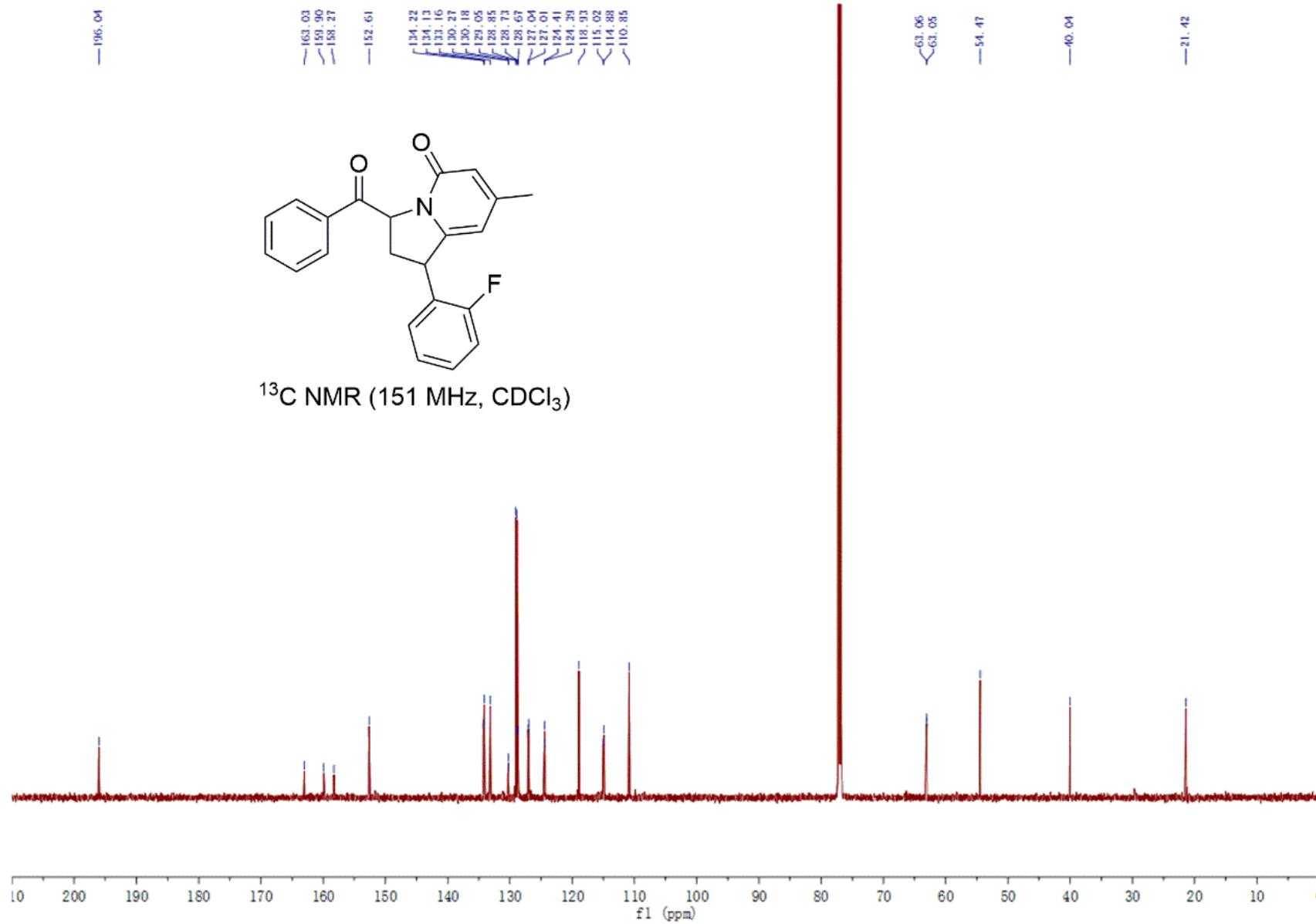
**3-benzoyl-1-(2-methoxyphenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3q):  $^{13}\text{C}$  NMR**



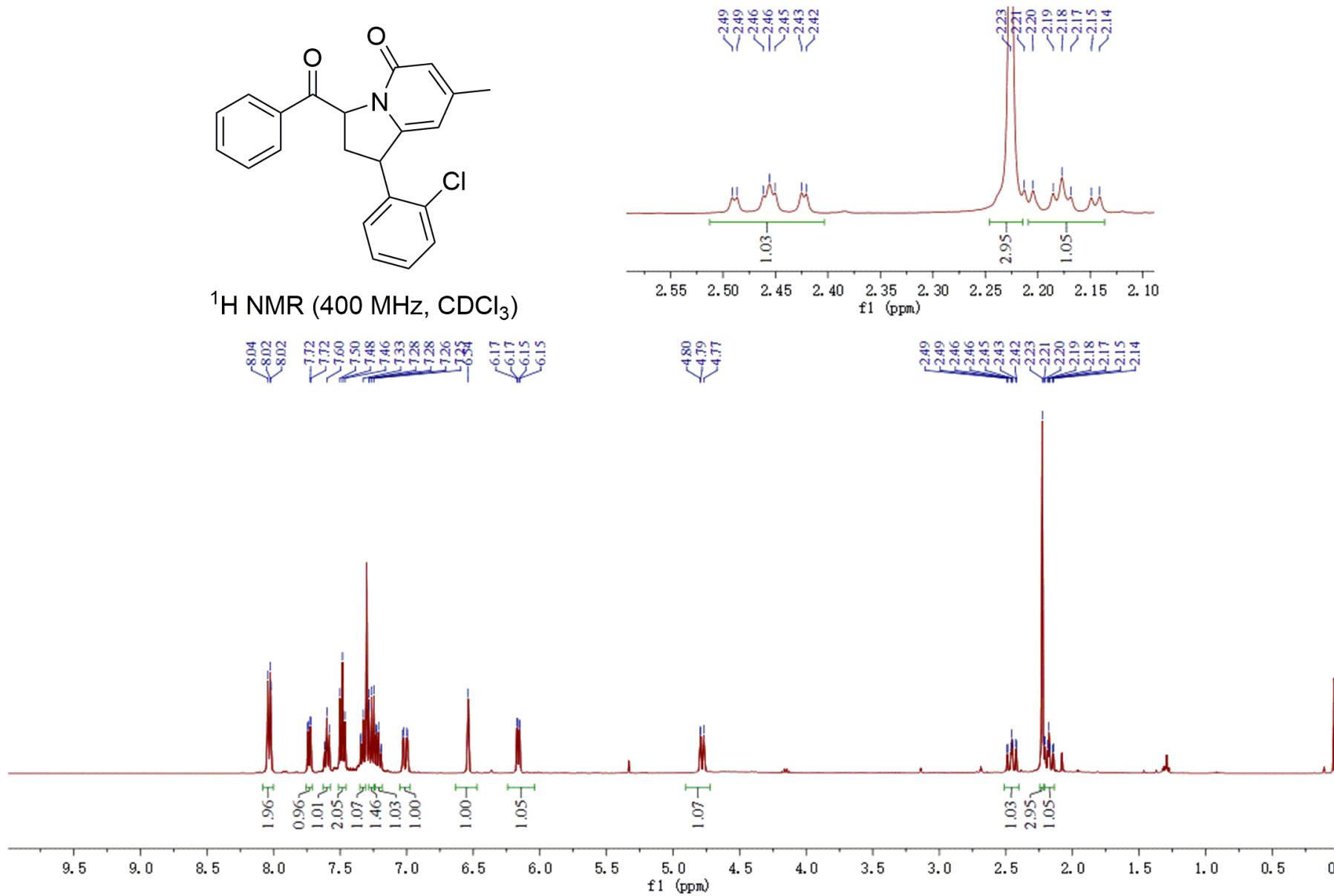
**3-benzoyl-1-(2-fluorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3r):  $^1\text{H}$  NMR**



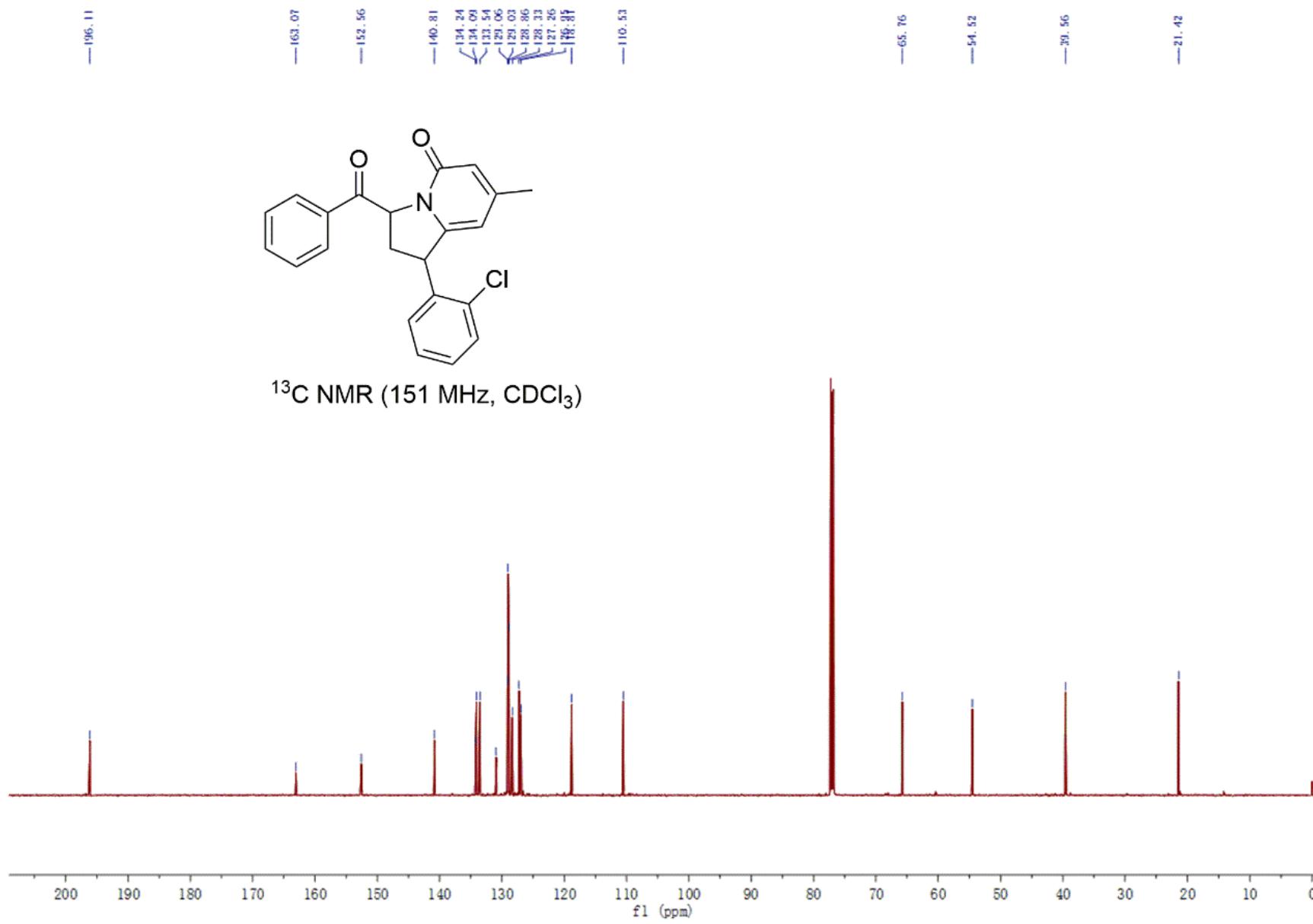
**3-benzoyl-1-(2-fluorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3r):  $^{13}\text{C}$  NMR**



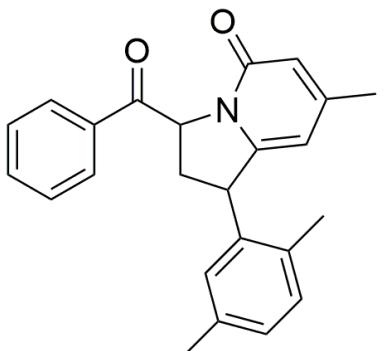
**3-benzoyl-1-(2-chlorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3s):  $^1\text{H}$  NMR**



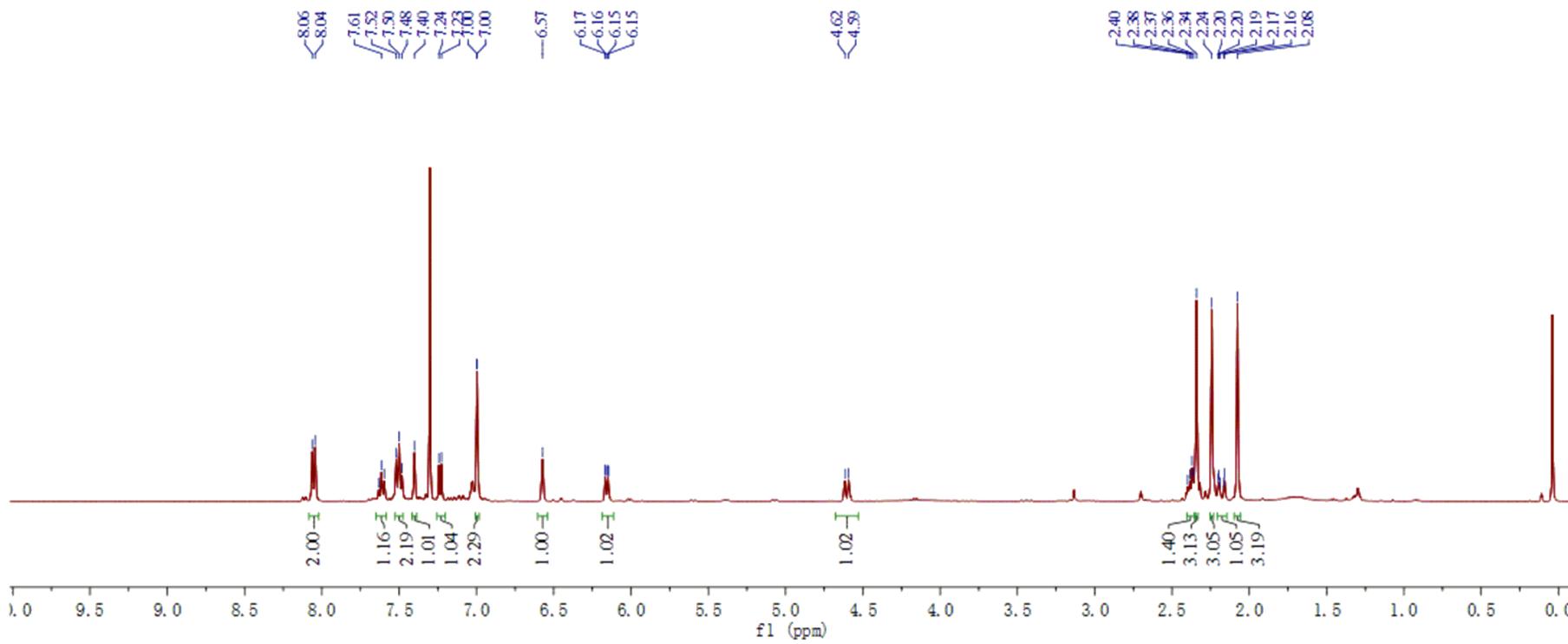
**3-benzoyl-1-(2-chlorophenyl)-7-methyl-2,3-dihydroindolin-5(1H)-one (3s):  $^{13}\text{C}$  NMR**



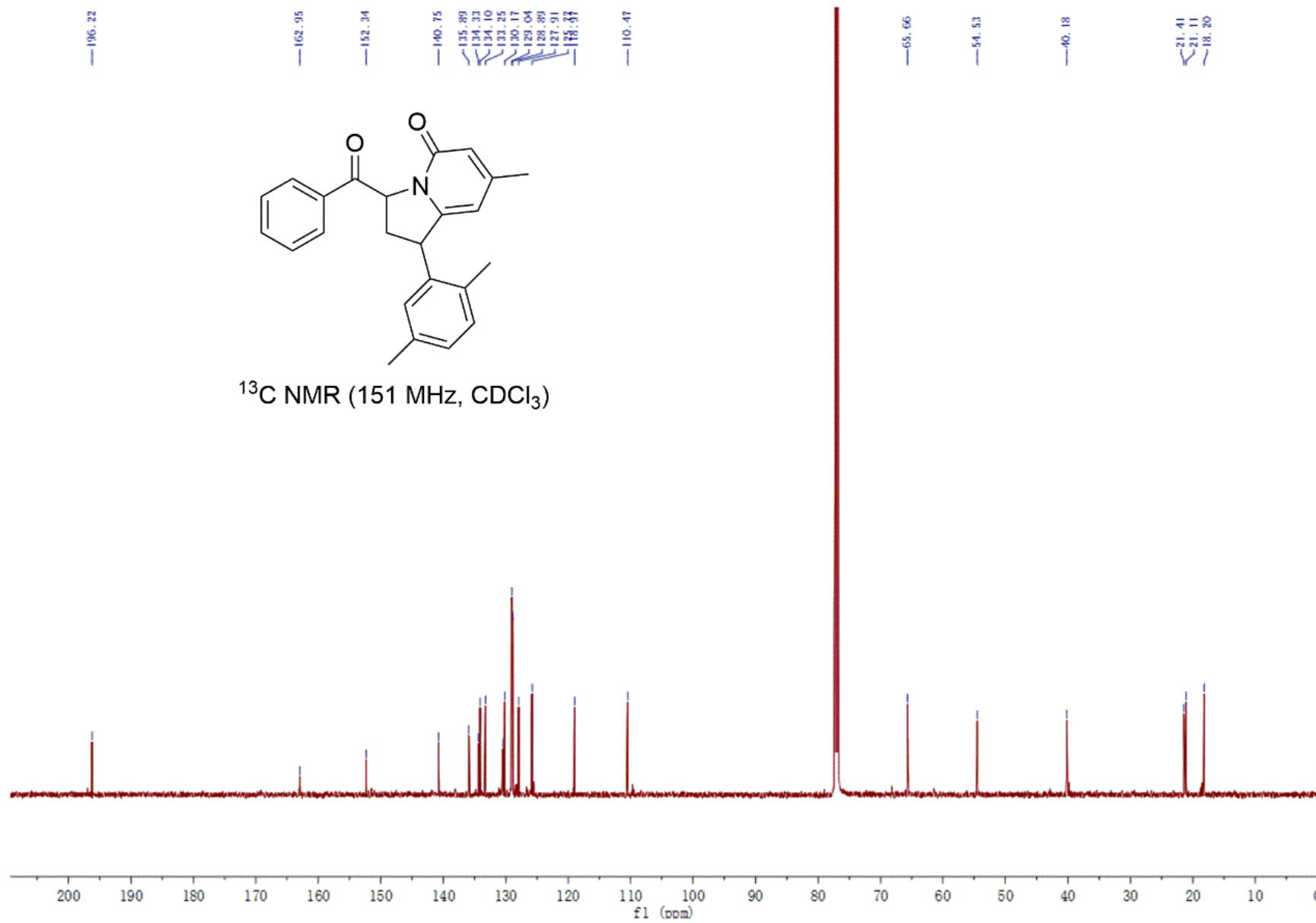
**3-benzoyl-1-(2,5-dimethylphenyl)-7-methyl-2,3-dihydroindolizin-5(1*H*)-one (3u):**  $^1\text{H}$  NMR



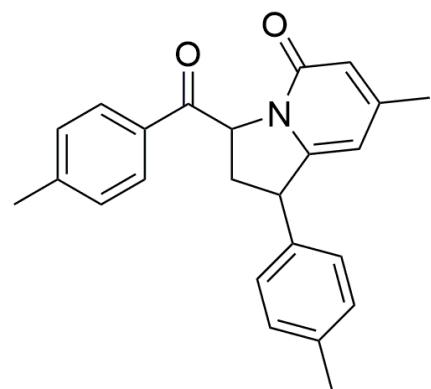
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



**3-benzoyl-1-(2,5-dimethylphenyl)-7-methyl-2,3-dihydroindolin-5(1*H*)-one (3u):  $^{13}\text{C}$  NMR**

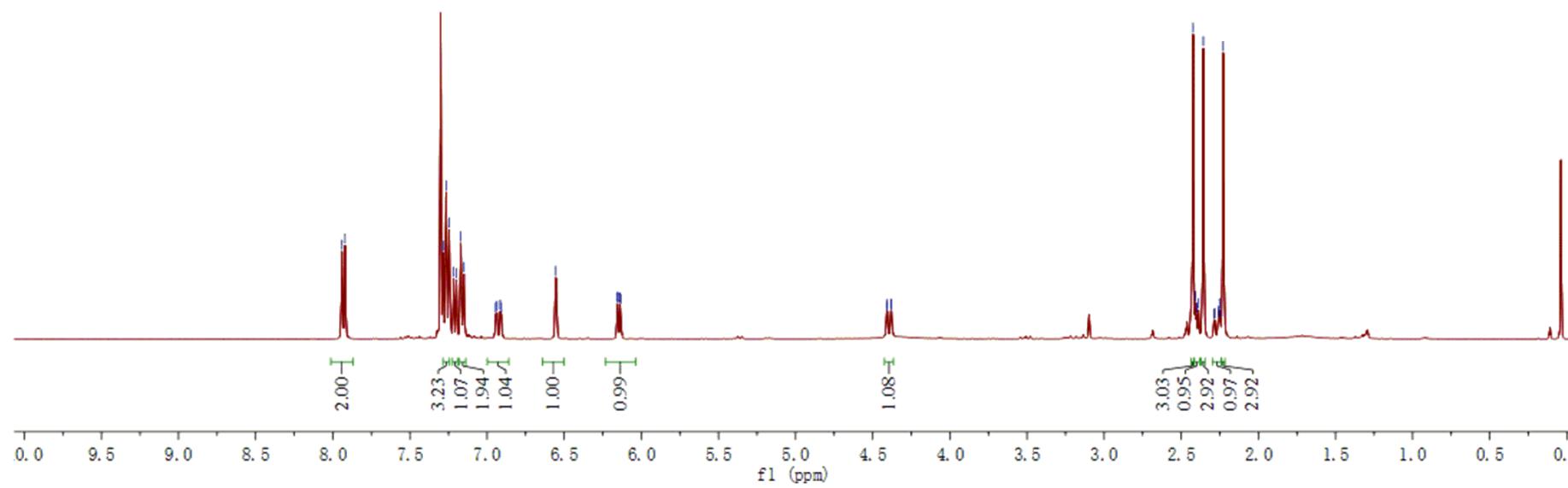
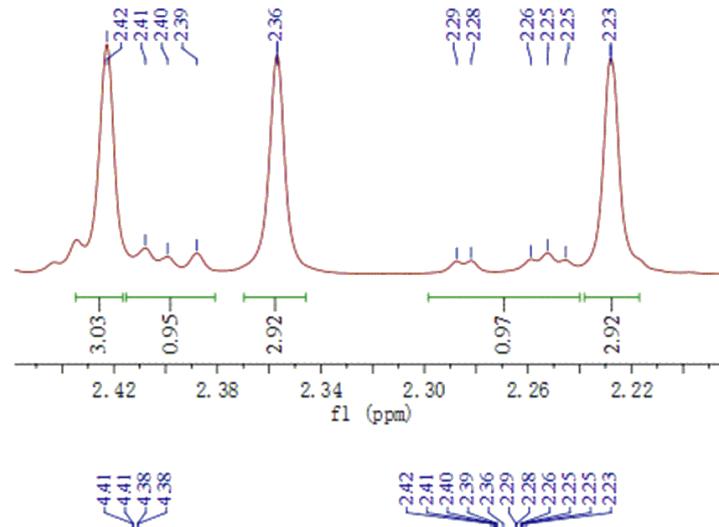


**7-methyl-3-(4-methylbenzoyl)-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4a):  $^1\text{H}$  NMR**

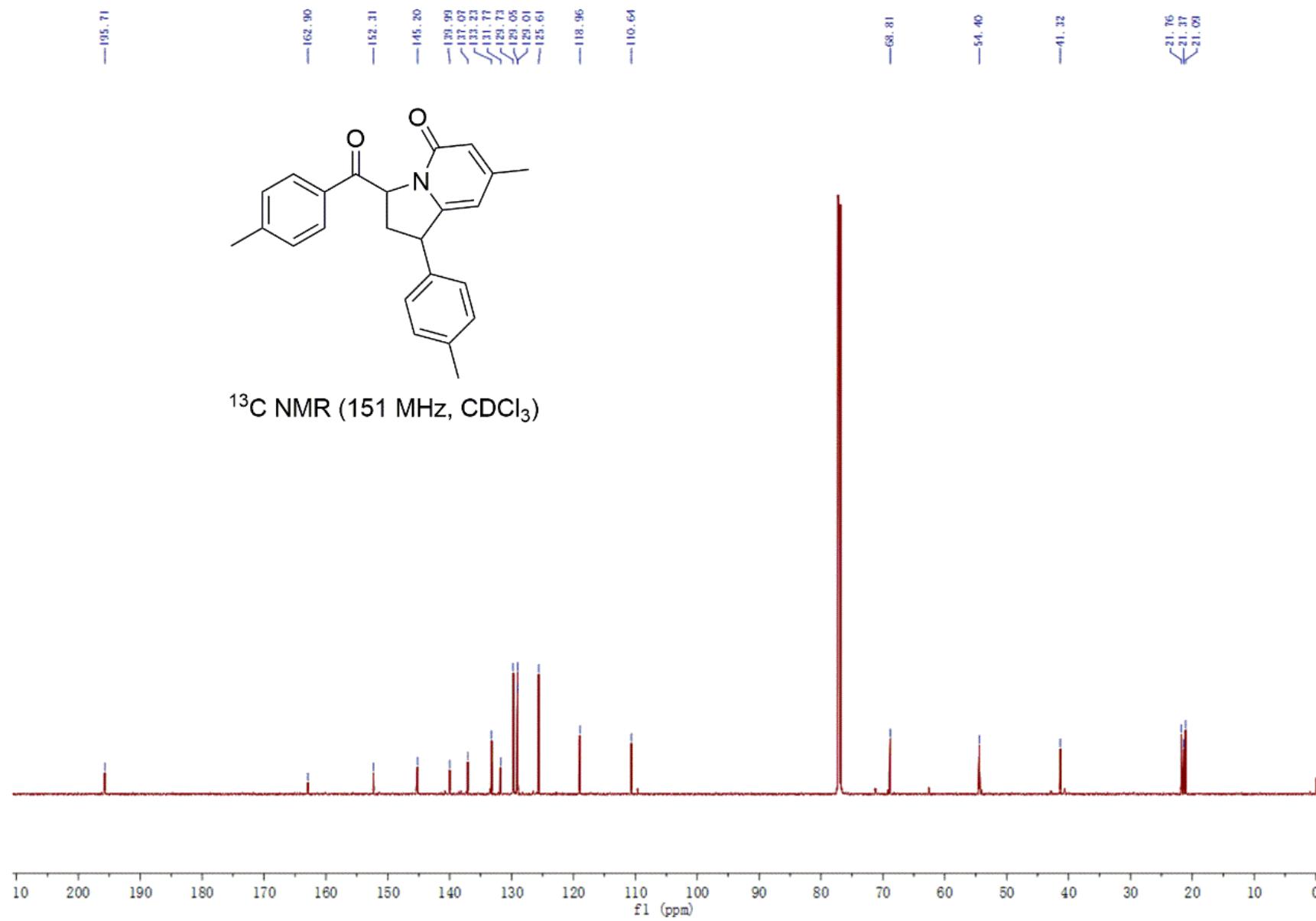


$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

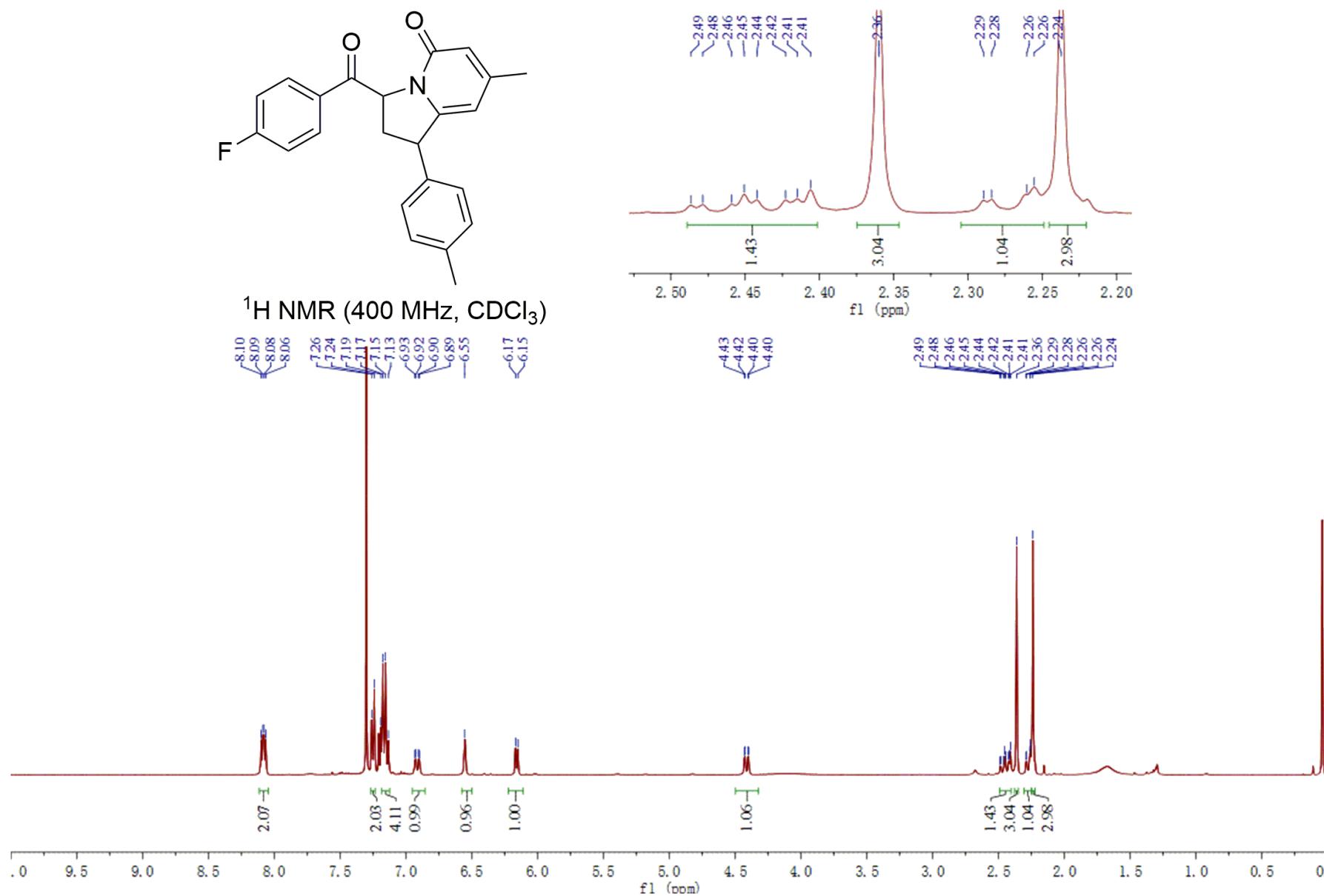
Peak labels (ppm): 7.94, 7.92, 7.29, 7.27, 7.25, 7.22, 7.20, 7.17, 7.15, 6.94, 6.94, 6.92, 6.16, 6.15, 6.14, 6.13.



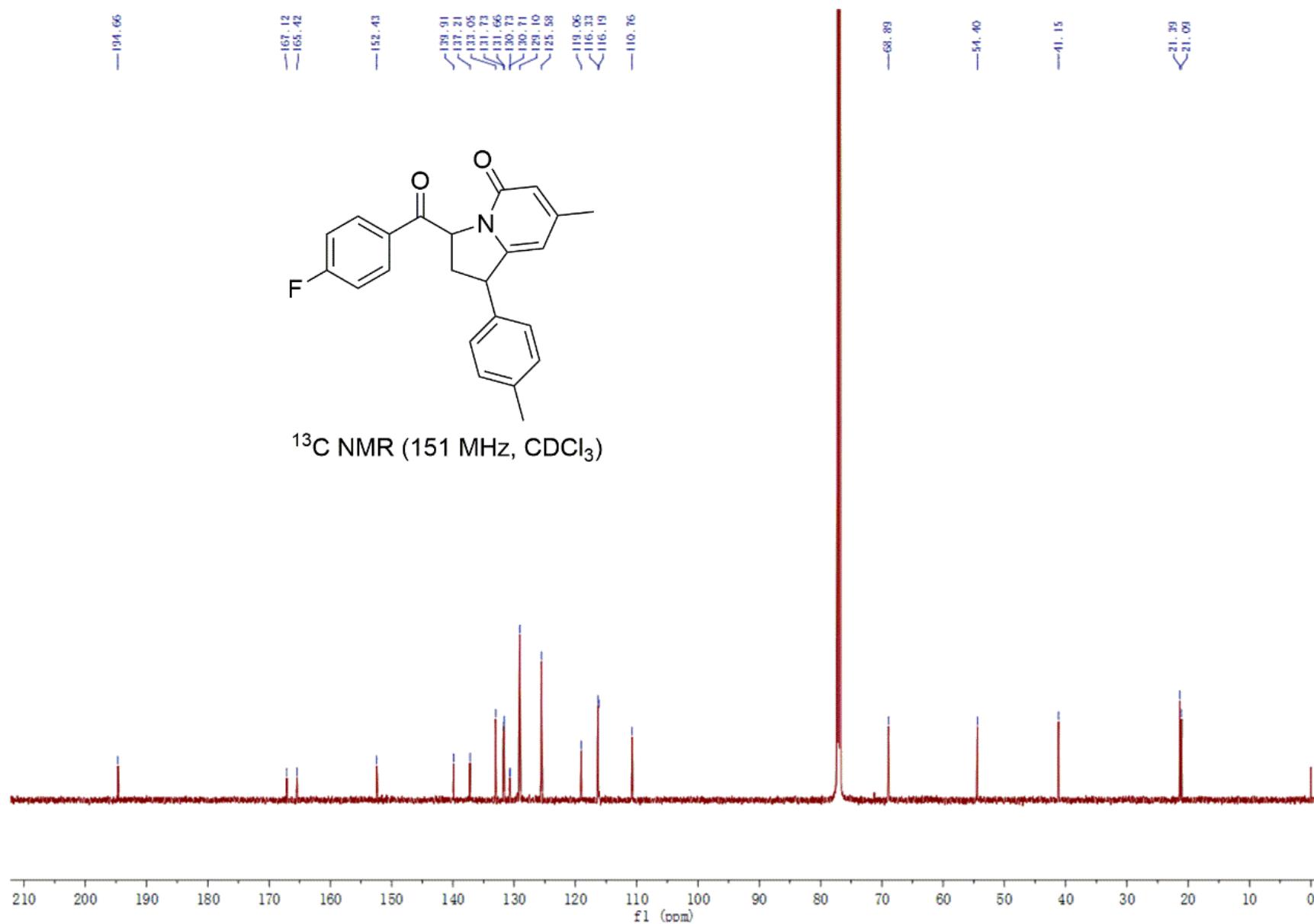
**7-methyl-3-(4-methylbenzoyl)-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4a):  $^{13}\text{C}$  NMR**



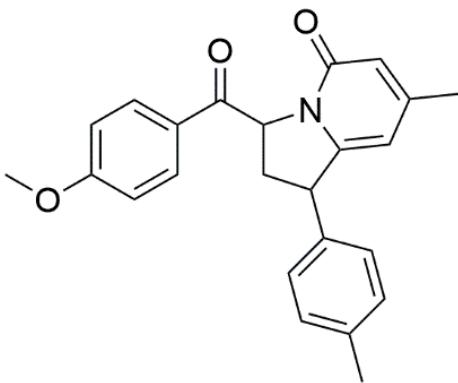
**3-(4-fluorobenzoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4b):  $^1\text{H}$  NMR**



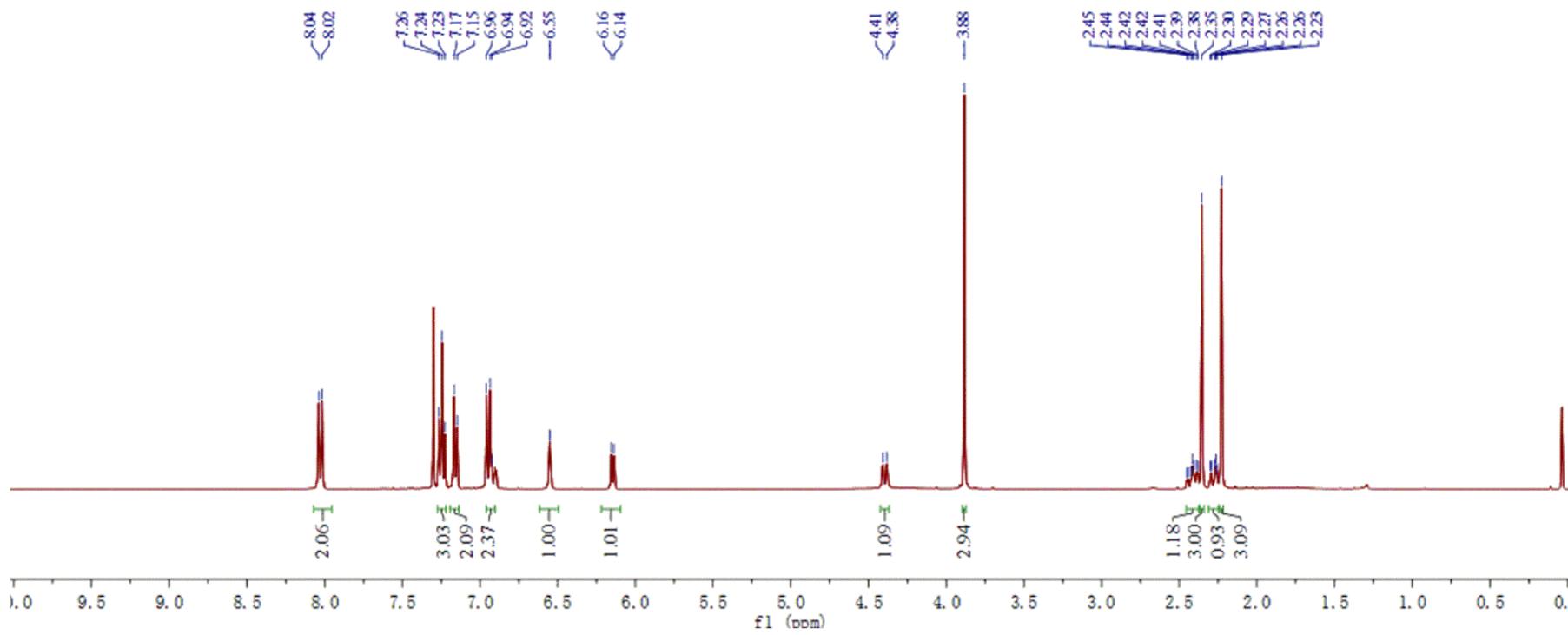
**3-(4-fluorobenzoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4b):  $^{13}\text{C}$  NMR**



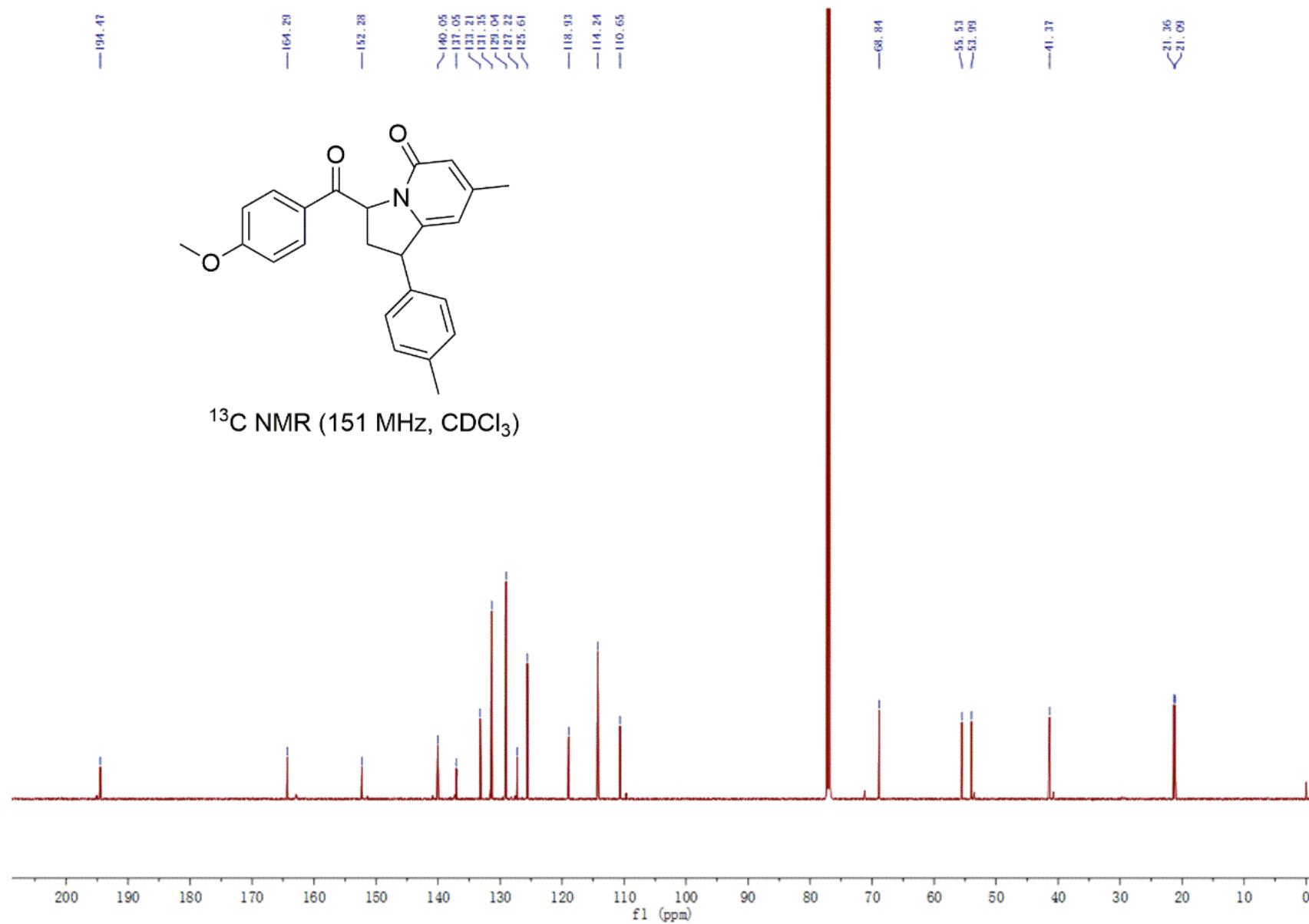
**3-(4-methoxybenzoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolizin-5(1*H*)-one (4c):**  $^1\text{H}$  NMR



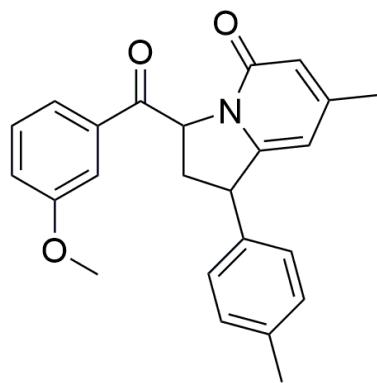
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



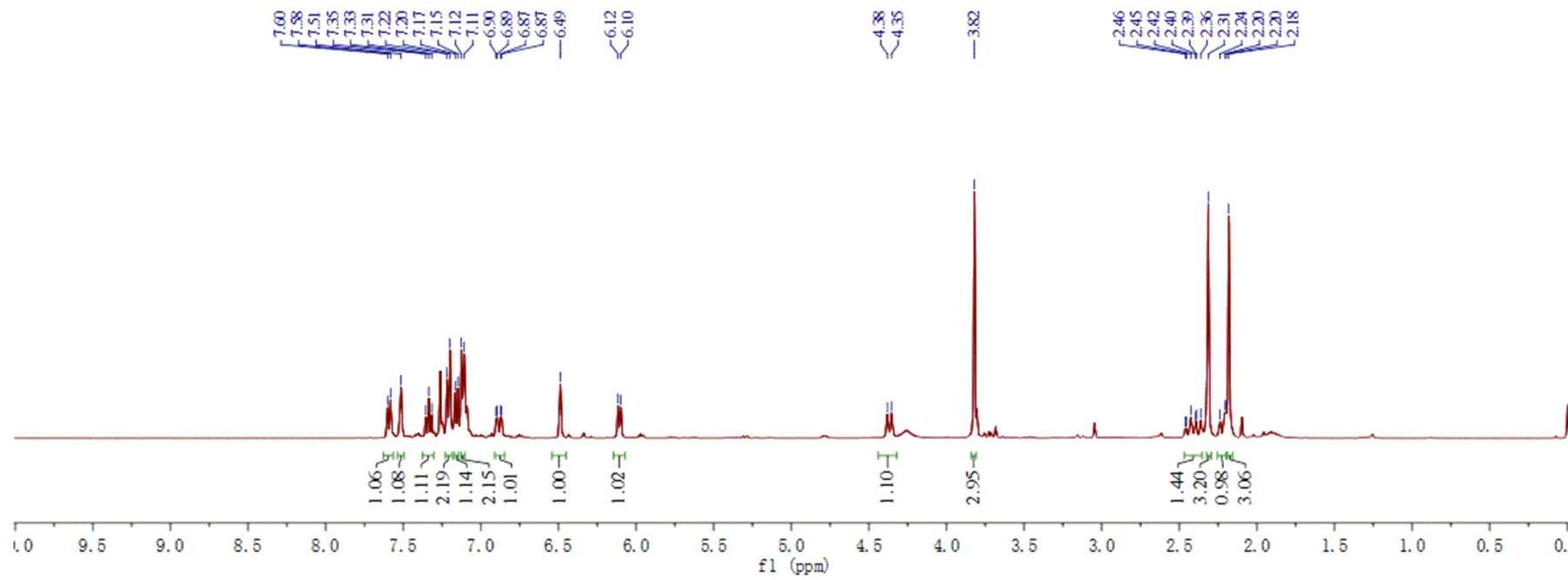
**3-(4-methoxybenzoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (**4c**):  $^{13}\text{C}$  NMR**



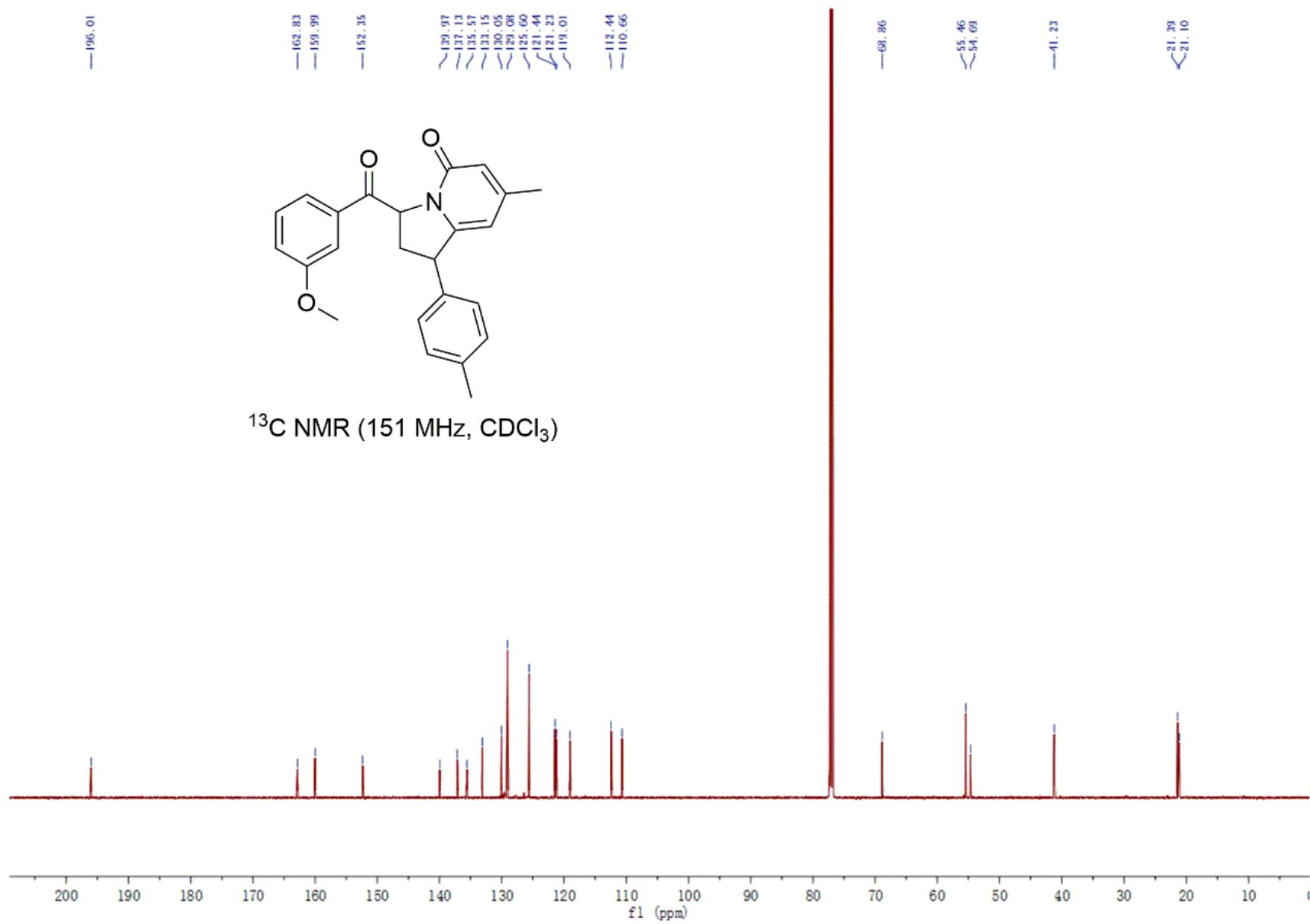
**3-(3-methoxybenzoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4d):**  $^1\text{H}$  NMR



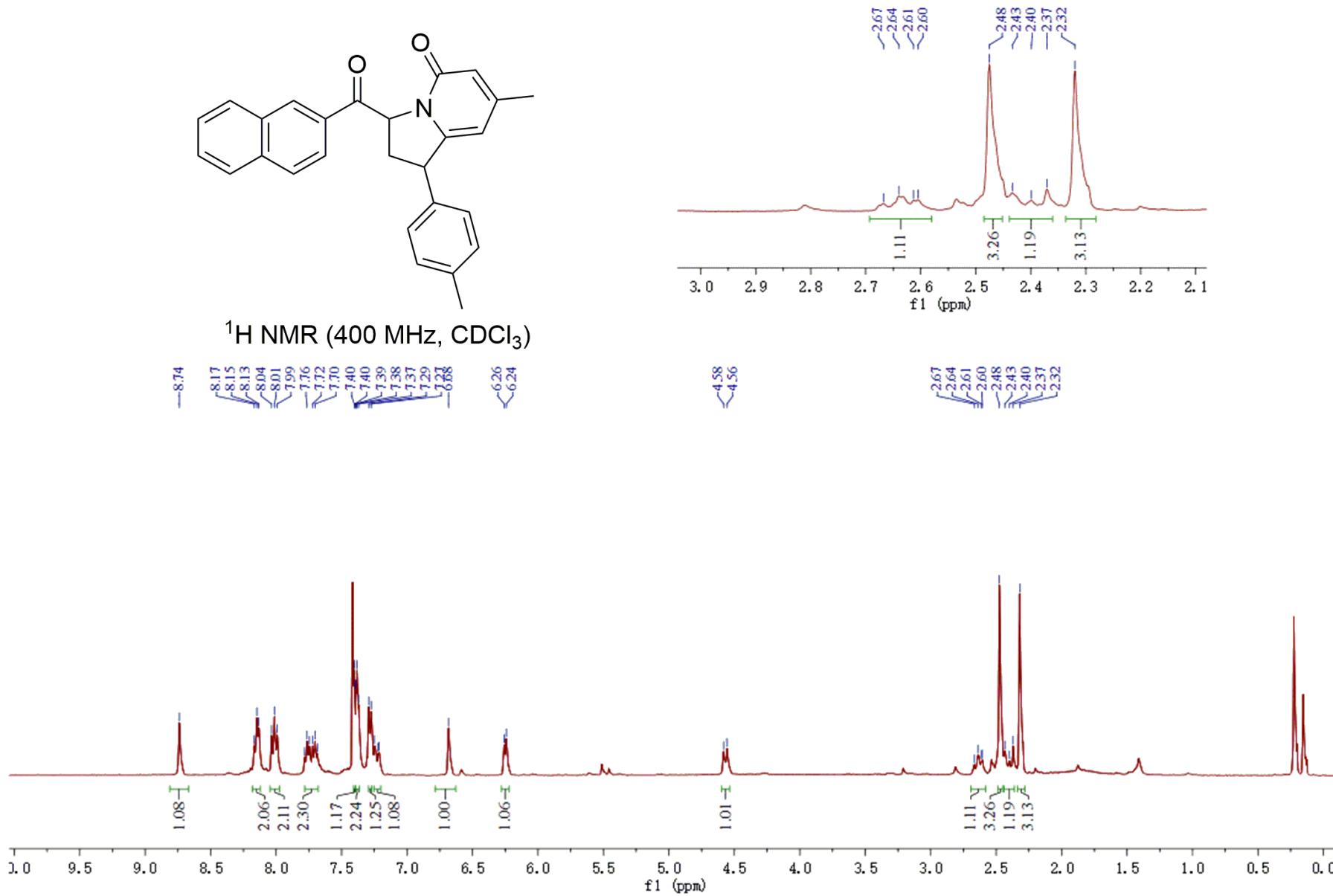
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



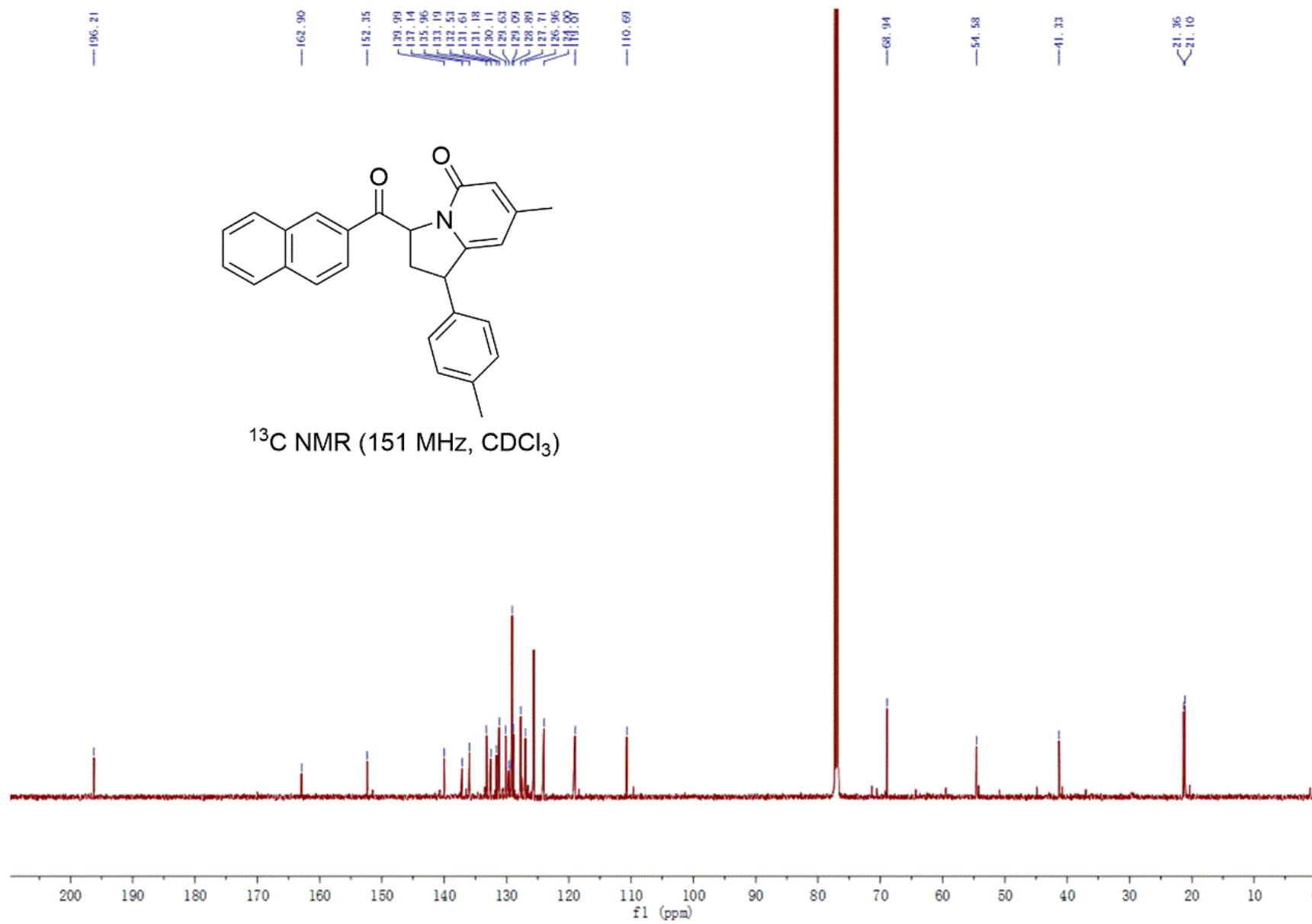
**3-(3-methoxybenzoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4d):  $^{13}\text{C}$  NMR**



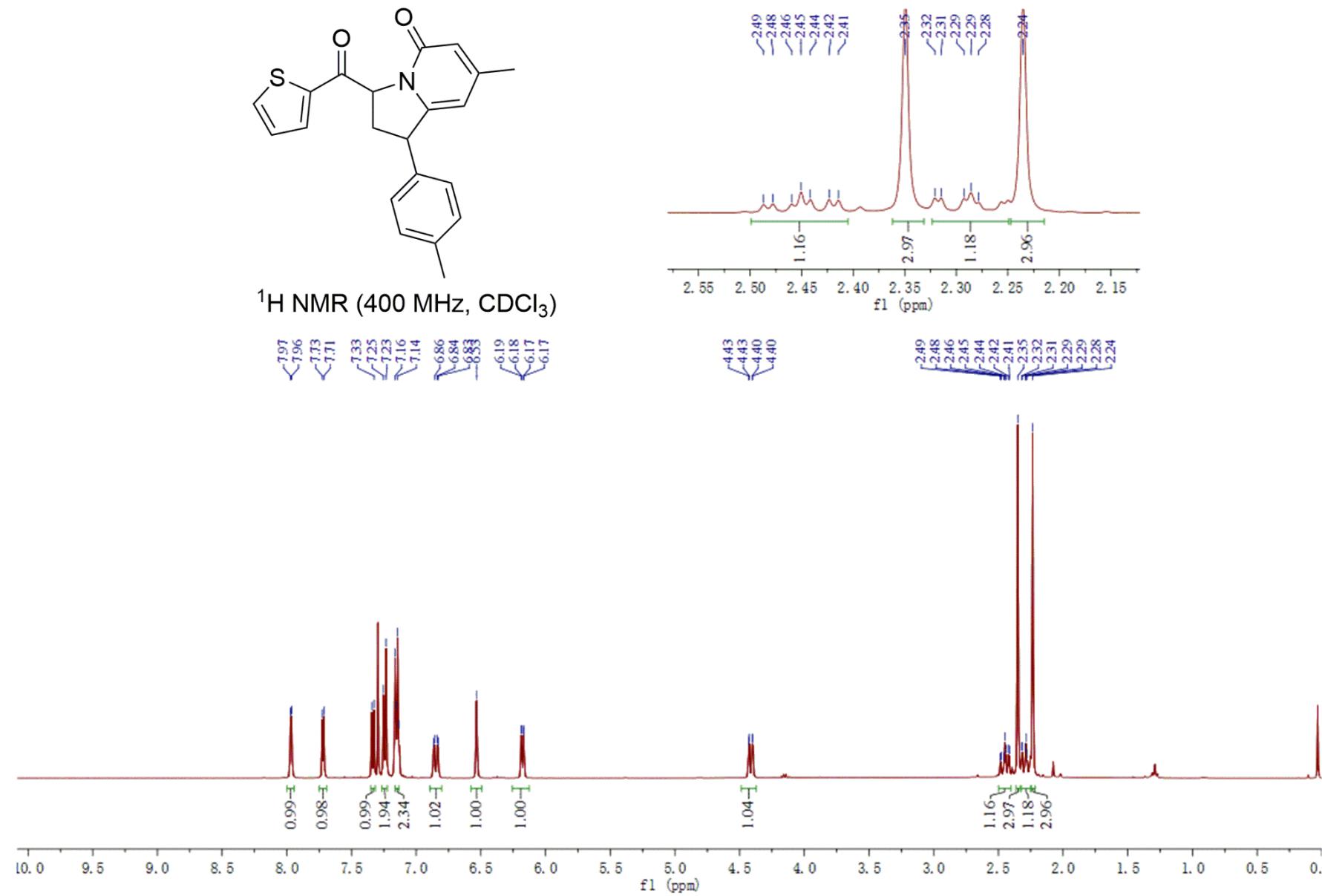
3-(2-naphthoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4e):  $^1\text{H}$  NMR



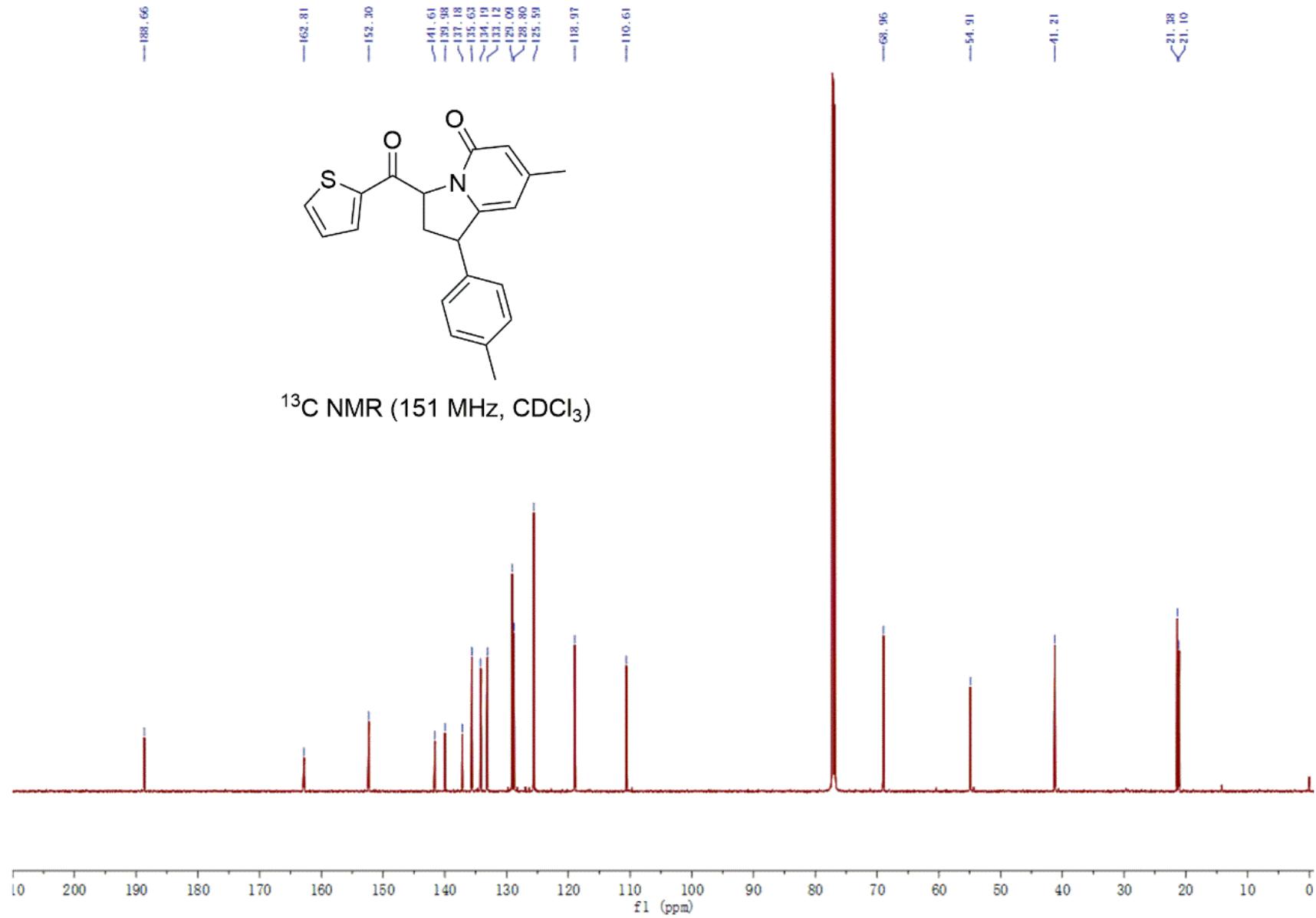
3-(2-naphthoyl)-7-methyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4e):  $^{13}\text{C}$  NMR



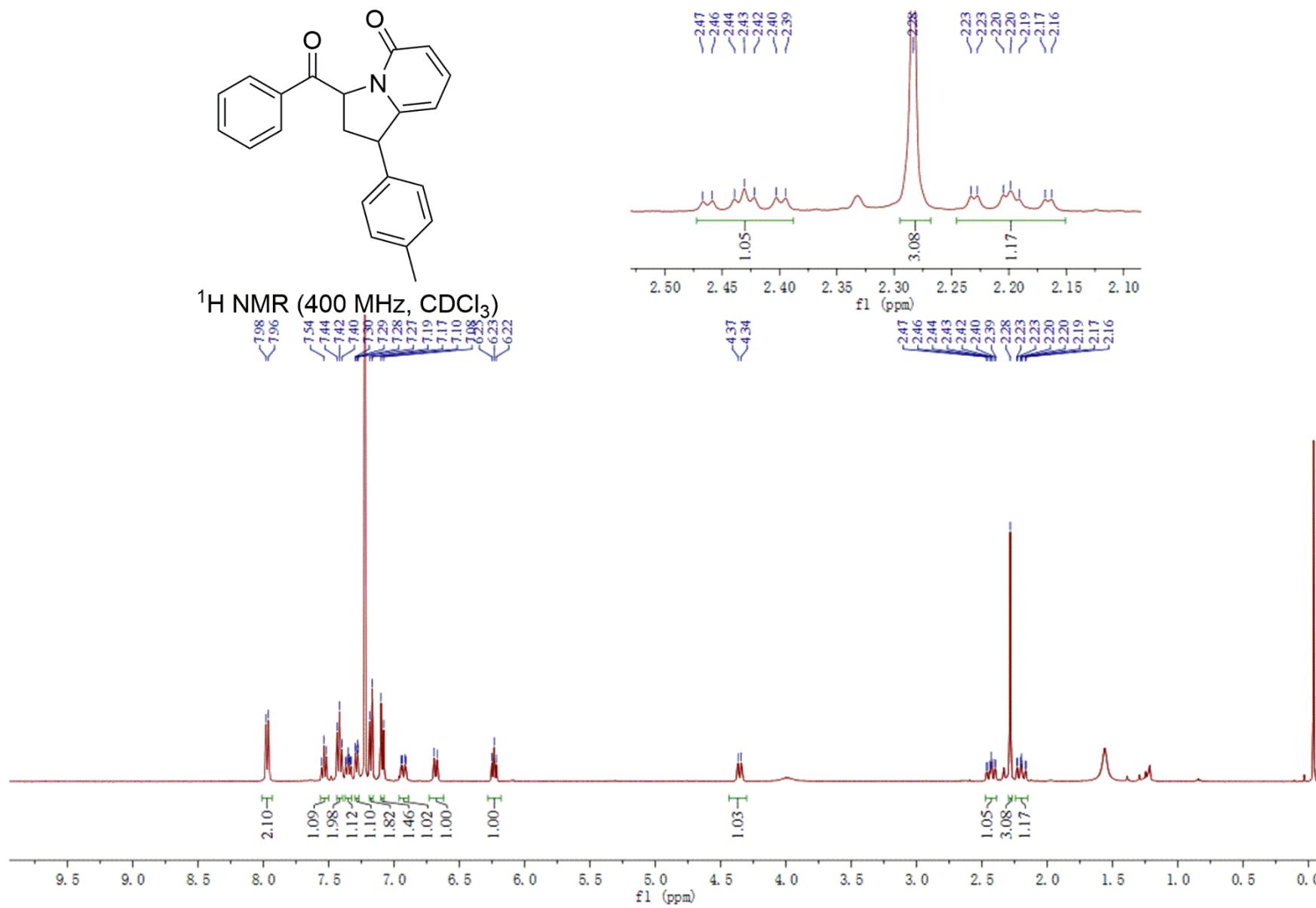
**7-methyl-3-(thiophene-2-carbonyl)-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4f):  $^1\text{H}$  NMR**



**7-methyl-3-(thiophene-2-carbonyl)-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4f):  $^{13}\text{C}$  NMR**



**3-benzoyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4g):  $^1\text{H}$  NMR**



**3-benzoyl-1-(*p*-tolyl)-2,3-dihydroindolin-5(1*H*)-one (4g):  $^{13}\text{C}$  NMR**

