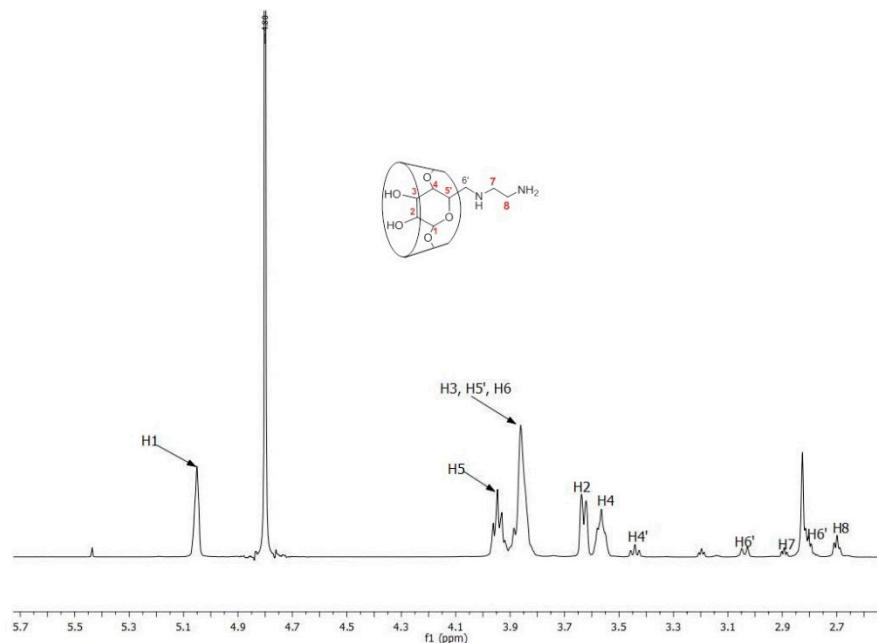
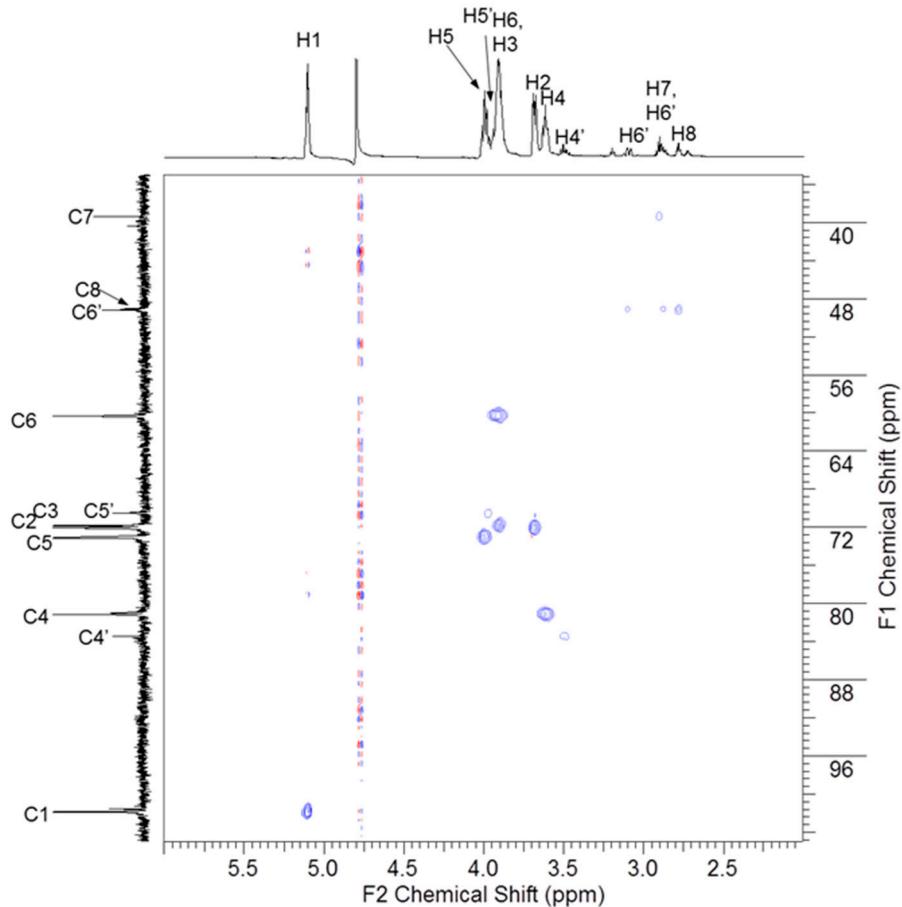


## Supplementary Materials

### 1. Characterization techniques and data for AEA- $\beta$ -CD

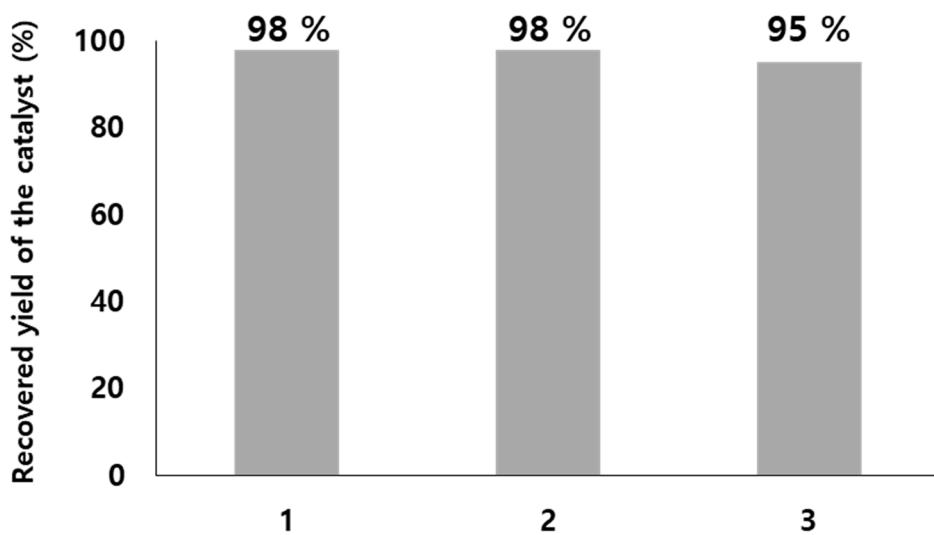


**Figure S1.**  $^1\text{H}$  spectra of AEA- $\beta$ -CD measured in  $\text{D}_2\text{O}$ .



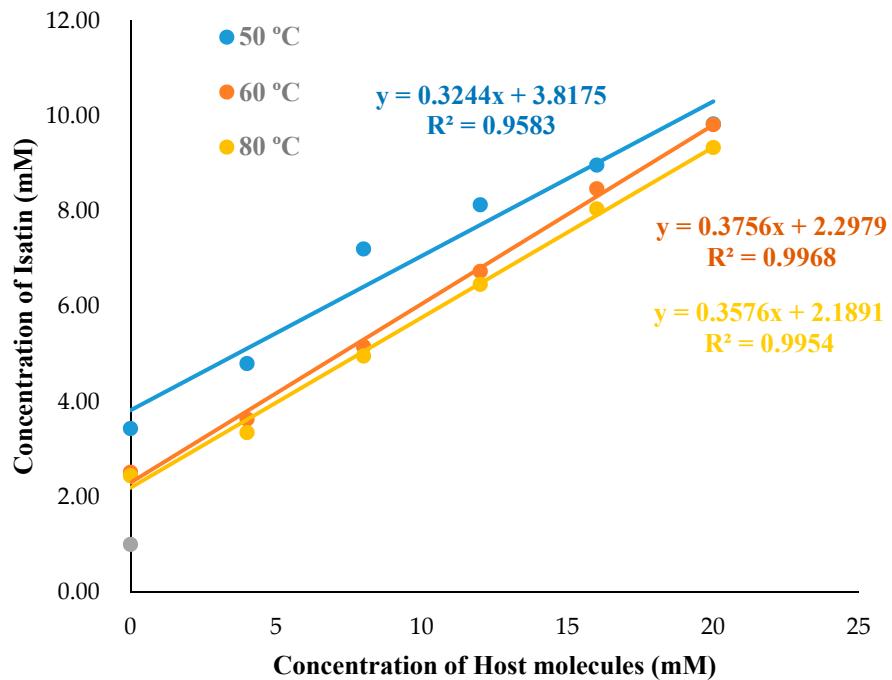
**Figure S2.** HSQC NMR spectrum

## 2. Recovered yield of the AEA- $\beta$ -CD



**Figure S3.** Recovered yield of the AEA- $\beta$ -CD.

### 3. Binding constant of the AEA- $\beta$ -CD



**Figure S4.** Phase solubility diagrams of isatin in aqueous solution with AEA- $\beta$ -CD

	0	4	8	12	16	20
50 °C	3.4329	4.8002	7.2055	8.1330	8.9647	9.8312
60 °C	2.5155	3.6335	5.1565	6.7339	8.4684	9.8161
80 °C	2.4421	3.3486	4.9571	6.4625	8.0455	9.3367

Standard curve :  $y = 6.6227x + 0.0826$

The binding constant,  $K_c$ , for the complex formation was calculated from the linear portion of the solubility diagram using the Higuchi and Connors equation.

$$K_c = \frac{\text{Slope}}{S_0(1-\text{Slope})}$$

**Table S1.** The binding constant  $K_c$  between isatine and AEA- $\beta$ -CD

T(K)	S <sub>0</sub>	slope	K <sub>c</sub> (M <sup>-1</sup> )
353.15	2.4421	0.3576	227.9406
333.15	2.5155	0.3756	239.1361
323.15	3.4329	0.3244	139.8711

### 4. Spectral data of the Compounds

*diethyl 2-propylquinoline-3,4-dicarboxylate (4a)*

Yield 87 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.14 (1 H, d,  $J = 8.3$ ), 8.08 (1 H, d,  $J = 8.5$ ), 7.86 – 7.81 (1 H, m), 7.66 – 7.62 (1 H, m), 4.56 (2 H, q,  $J = 7.2$ ), 4.49 (2 H, q,  $J = 7.2$ ), 3.18 – 3.14 (2 H, m), 1.95 – 1.86 (2 H, m), 1.49 (6 H, dt,  $J = 9.5, 7.2$ ), 1.09 (3 H, t,  $J = 7.4$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  167.38, 166.51, 159.53, 148.36, 138.88, 131.18, 129.41, 127.61, 125.51, 122.06, 110.57, 62.46, 62.18, 39.35, 23.20, 14.28, 14.25, 14.17. HRMS (ESI, m/z): calcd for  $\text{C}_{18}\text{H}_{21}\text{NO}_4$  ( $\text{M}+\text{H}^+$ ) 315.1471, found 315.1472.

*diethyl 6-bromo-2-propylquinoline-3,4-dicarboxylate (4b)*

Yield 89 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.29 (1 H, d,  $J = 2.1$ ), 7.99 (1 H, d,  $J = 9.0$ ), 7.88 (1 H, dd,  $J = 9.0, 2.1$ ), 4.55 (2 H, q,  $J = 7.2$ ), 4.48 (2 H, q,  $J = 7.2$ ), 3.14 – 3.08 (2 H, m), 1.92 – 1.84 (2 H, m), 1.48 (6 H, dt,  $J = 10.5, 7.2$ ), 1.07 (3 H, t,  $J = 7.4$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  167.09, 165.81, 159.85, 146.91, 137.24, 134.56, 131.04, 127.74, 125.78, 123.20, 121.84, 62.69, 62.31, 39.18, 22.90, 14.21, 14.19, 14.14. HRMS (ESI, m/z): calcd for  $\text{C}_{18}\text{H}_{20}\text{BrNO}_4$  ( $\text{M}+\text{H}^+$ ) 393.0576, found 393.0578.

*diethyl 6-methoxy-2-propylquinoline-3,4-dicarboxylate (4c)*

Yield 90 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  7.97 (1 H, d,  $J = 9.2$ ), 7.42 (1 H, dd,  $J = 9.2, 2.8$ ), 7.35 (1 H, d,  $J = 2.7$ ), 4.49 (2 H, q,  $J = 7.2$ ), 4.43 (2 H, q,  $J = 7.2$ ), 3.92 (3 H, s), 3.08 – 3.01 (2 H, m), 1.86 – 1.78 (2 H, m), 1.43 (6 H, dt,  $J = 10.6, 7.2$ ), 1.02 (3 H, t,  $J = 7.4$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  167.75, 166.67, 158.63, 156.64, 144.85, 136.74, 130.84, 125.24, 124.06, 123.24, 102.96, 62.30, 62.09, 55.68, 39.01, 23.28, 14.27, 14.25, 14.18. HRMS (ESI, m/z): calcd for  $\text{C}_{19}\text{H}_{23}\text{NO}_5$  ( $\text{M}+\text{H}^+$ ) 345.1576, found 345.1576.

*diethyl 6-fluoro-2-propylquinoline-3,4-dicarboxylate (4d)*

Yield 86 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.08 (1 H, dd,  $J = 9.3, 5.5$ ), 7.74 (1 H, dd,  $J = 9.8, 2.8$ ), 7.57 – 7.51 (1 H, m), 4.50 (2 H, q,  $J = 7.2$ ), 4.44 (2 H, q,  $J = 7.2$ ), 3.10 – 3.03 (2 H, m), 1.87 – 1.78 (2 H, m), 1.43 (6 H, dt,  $J = 10.0, 7.2$ ), 1.02 (3 H, t,  $J = 7.4$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  167.34, 166.01, 162.14, 160.16, 158.68, 158.66, 145.59, 132.00, 131.93, 121.53, 121.32, 109.35, 109.15,

62.66, 62.29, 39.06, 23.02, 14.24, 14.22, 14.17. HRMS (ESI, m/z): calcd for C<sub>18</sub>H<sub>20</sub>FNO<sub>4</sub> (M+H+) 333.3594, found 333.3595.

*diethyl 6-nitro-2-propylquinoline-3,4-dicarboxylate (4e)*

Yield 77 %; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 9.09 (1 H, d, J = 2.4), 8.53 (1 H, dd, J = 9.2, 2.5), 8.21 (1 H, d, J = 2.5), 4.57 (2 H, q, J = 7.2), 4.47 (2 H, q, J = 7.2), 3.14 – 3.07 (2 H, m), 1.93 – 1.83 (2 H, m), 1.48 (3 H, t, J = 8.2, 6.1), 1.44 (3 H, t, J = 7.2), 1.04 (3 H, t, J = 7.4). <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 166.66, 165.19, 163.58, 150.05, 146.24, 139.34, 131.32, 124.40, 122.90, 121.25, 63.21, 62.64, 39.40, 22.69, 14.24, 14.23, 14.16. HRMS (ESI, m/z): calcd for C<sub>18</sub>H<sub>20</sub>N<sub>2</sub>O<sub>6</sub> (M+H+) 360.3660, found 360.3658.

*diethyl 2-methylquinoline-3,4-dicarboxylate (4f)*

Yield 92 %; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.06 (1 H, d, J = 8.4), 8.01 (1 H, d, J = 8.5), 7.81 – 7.75 (1 H, m), 7.61 – 7.56 (1 H, m), 4.51 (2 H, q, J = 7.2), 4.44 (2 H, q, J = 7.2), 2.86 (3 H, s), 1.43 (6 H, dt, J = 9.3, 7.2). <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 167.14, 166.48, 156.09, 148.33, 139.20, 131.39, 129.23, 127.65, 125.58, 124.29, 122.14, 77.16, 62.48, 62.21, 24.75, 14.25, 14.21. HRMS (ESI, m/z): calcd for C<sub>16</sub>H<sub>17</sub>NO<sub>4</sub> (M+H+) 287.1158, found 287.1159.

*diethyl 6-chloro-2-methylquinoline-3,4-dicarboxylate (4g)*

Yield 91 %; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.06 (1 H, d, J = 2.8), 8.00 (1 H, d, J = 9.0), 7.71 (1 H, dd, J = 9.0, 2.3), 4.51 (2 H, q, J = 7.2), 4.44 (2 H, q, J = 7.2), 2.83 (3 H, s), 1.43 (6 H, dt, J = 9.9, 7.2). <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 166.97, 165.84, 156.31, 146.72, 137.64, 133.73, 132.27, 130.81, 124.56, 122.86, 62.75, 62.38, 29.84, 24.56, 14.21. HRMS (ESI, m/z): calcd for C<sub>16</sub>H<sub>16</sub>ClNO<sub>4</sub> (M+H+) 321.0768, found 321.0770.

*diethyl 6-methoxy-2-methylquinoline-3,4-dicarboxylate (4h)*

Yield 92 %; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 7.95 (1 H, d, J = 9.2), 7.43 (1 H, dd, J = 9.2, 2.8), 7.34 (1 H, d, J = 2.7), 4.50 (2 H, q, J = 7.2), 4.43 (2 H, q, J = 7.2), 3.92 (3 H, s), 2.80 (3 H, s), 1.43 (6 H, dt, J = 10.3, 7.2). <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 167.52, 166.62, 158.63, 153.07, 144.76, 137.02,

130.64, 125.03, 124.21, 123.31, 103.05, 62.31, 62.13, 55.68, 24.23, 14.24, 14.21. HRMS (ESI, m/z): calcd for C<sub>17</sub>H<sub>19</sub>NO<sub>5</sub> (M+H<sup>+</sup>) 317.1263, found 317.1265.

*diethyl 6-fluoro-2-methylquinoline-3,4-dicarboxylate (4i)*

Yield 90 %; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.06 (1 H, dd, *J* = 9.2, 5.4), 7.73 (1 H, dd, *J* = 9.7, 2.8), 7.58 – 7.52 (1 H, m), 4.53 – 4.48 (2 H, m), 4.44 (2 H, q, *J* = 7.2), 2.82 (3 H, s), 1.43 (6 H, dt, *J* = 9.3, 7.2). <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 167.11, 165.92, 162.11, 160.13, 155.18, 155.16, 145.49, 131.78, 131.71, 121.68, 121.48, 109.42, 109.23, 62.66, 62.32, 24.34, 14.19, 14.17..HRMS (ESI, m/z): calcd for C<sub>16</sub>H<sub>16</sub>FNO<sub>4</sub> (M+H<sup>+</sup>) 305.1063, found 305.1061.

*diethyl 6-bromo-2-methylquinoline-3,4-dicarboxylate (4j)*

Yield 90 %; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.22 (1 H, d, *J* 2.1), 7.92 (1 H, d, *J* 9.0), 7.84 (1 H, dd, *J* 9.0, 2.1), 4.53 – 4.48 (2 H, m), 4.44 (2 H, q, *J* 7.2), 2.82 (3 H, s), 1.43 (6 H, dt, *J* 9.9, 7.2). <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 166.92, 165.80, 156.45, 146.90, 137.55, 134.81, 130.88, 127.84, 125.59, 123.32, 121.91, 62.75, 62.39, 24.60, 14.20. HRMS (ESI, m/z): calcd for C<sub>16</sub>H<sub>16</sub>BrNO<sub>4</sub> (M+H<sup>+</sup>) 365.0263, found 365.0261.

*ethyl 3-acetyl-6-fluoro-2-methylquinoline-4-carboxylate (4k)*

Yield 92 %; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.45 (1 H, dd, *J* = 8.8, 2.9), 8.15 (1 H, dt, *J* = 10.8, 5.4), 7.64 – 7.57 (1 H, m), 3.53 (1 H, dq, *J* = 8.8, 7.1), 3.11 (1 H, dq, *J* = 8.9, 7.0), 2.85 (3 H, s), 1.98 (3 H, s), 1.22 (3 H, t, *J* = 7.0). <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 166.92, 163.01, 161.00, 152.94, 152.92, 146.54, 141.47, 131.73, 131.66, 121.64, 121.44, 107.93, 107.74, 60.39, 24.55, 21.78, 15.18. HRMS (ESI, m/z): calcd for C<sub>15</sub>H<sub>14</sub>FNO<sub>3</sub> (M+H<sup>+</sup>) 275.0958, found 275.0960.

*ethyl 3-acetyl-2-methylquinoline-4-carboxylate (4l)*

Yield 92 %; <sup>1</sup>H NMR (500 MHz, CDCl<sub>3</sub>): δ 8.84 (1 H, d, *J* = 8.3), 8.16 (1 H, d, *J* = 8.5), 7.88 – 7.82 (1 H, m), 7.74 – 7.68 (1 H, m), 3.52 (1 H, dq, *J* = 8.9, 7.1), 3.10 (1 H, dq, *J* = 8.9, 7.0), 2.86 (3 H, s), 1.98 (3 H, s), 1.21 (3 H, t, *J* = 7.0). <sup>13</sup>C NMR (125 MHz, CDCl<sub>3</sub>) δ 167.20, 153.71, 149.36, 140.70, 131.24, 130.30, 129.13, 128.83, 123.83, 121.64, 108.58, 60.28, 24.67, 21.95, 15.18. HRMS (ESI, m/z): calcd for C<sub>15</sub>H<sub>15</sub>NO<sub>3</sub> (M+H<sup>+</sup>) 257.1052, found 257.1050.

*ethyl 3-acetyl-6-bromo-2-methylquinoline-4-carboxylate (4m)*

Yield 90 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.01 (1 H, d,  $J = 2.2$ ), 8.01 (1 H, d,  $J = 9.0$ ), 7.91 (1 H, dd,  $J = 9.0, 2.2$ ), 3.52 (1 H, dq,  $J = 8.8, 7.1$ ), 3.10 (1 H, dq,  $J = 8.9, 7.0$ ), 2.83 (3 H, s), 1.97 (3 H, s), 1.22 (3 H, t,  $J = 7.0$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  166.75, 154.21, 147.94, 141.49, 134.92, 130.69, 129.43, 126.22, 123.54, 122.63, 108.67, 60.42, 24.55, 21.99, 15.19. HRMS (ESI, m/z): calcd for  $\text{C}_{15}\text{H}_{14}\text{BrNO}_3$  ( $\text{M}+\text{H}^+$ ) 335.0157, found 335.0156.

*ethyl 3-acetyl-6-chloro-2-methylquinoline-4-carboxylate (4n)*

Yield 89 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.82 (1 H, d,  $J = 2.3$ ), 8.08 (1 H, d,  $J = 9.0$ ), 7.80 – 7.74 (1 H, m), 3.56 – 3.49 (1 H, m), 3.14 – 3.08 (1 H, m), 2.85 (3 H, s), 1.98 (3 H, s), 1.22 (3 H, t,  $J = 7.0$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  166.75, 154.01, 147.70, 141.51, 135.21, 132.29, 130.60, 129.55, 122.87, 122.19, 108.65, 60.40, 24.52, 21.92, 15.18. HRMS (ESI, m/z): calcd for  $\text{C}_{15}\text{H}_{14}\text{ClNO}_3$  ( $\text{M}+\text{H}^+$ ) 291.0662, found 291.0664.

*isopropyl 3-acetyl-2-methylquinoline-4-carboxylate (4o)*

Yield 91 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.86 (1 H, dd,  $J = 8.3, 0.9$ ), 8.16 (1 H, d,  $J = 8.5$ ), 7.87 – 7.83 (1 H, m), 7.74 – 7.70 (1 H, m), 3.68 – 3.59 (1 H, m), 2.89 (3 H, s), 1.97 (3 H, s), 1.25 (3 H, d,  $J = 6.1$ ), 1.06 (3 H, d,  $J = 6.2$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  167.35, 153.91, 149.31, 141.08, 131.22, 129.13, 128.84, 123.90, 121.58, 108.70, 68.58, 24.92, 24.41, 23.44, 22.23. HRMS (ESI, m/z): calcd for  $\text{C}_{16}\text{H}_{17}\text{NO}_3$  ( $\text{M}+\text{H}^+$ ) 271.3160, found 271.3162.

*isopropyl 3-acetyl-6-fluoro-2-methylquinoline-4-carboxylate (4p)*

Yield 90 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.47 (2 H, dd,  $J = 8.9, 2.9$ ), 8.16 (2 H, dd,  $J = 9.3, 5.2$ ), 7.63 – 7.57 (2 H, m), 3.65 (2 H, hept,  $J = 6.1$ ), 2.87 (6 H, s), 1.97 (6 H, s), 1.25 (7 H, d,  $J = 6.1$ ), 1.07 (6 H, d,  $J = 6.2$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  167.05, 163.03, 161.03, 153.11, 153.09, 146.47, 141.83, 131.71, 131.64, 121.60, 121.40, 107.97, 107.78, 68.72, 24.75, 24.37, 23.45, 22.04. HRMS (ESI, m/z): calcd for  $\text{C}_{16}\text{H}_{17}\text{NO}_3$  ( $\text{M}+\text{H}^+$ ) 271.3160, found 271.3162.

*isopropyl 3-acetyl-6-methoxy-2-methylquinoline-4-carboxylate (4q)*

Yield 87 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.13 (1 H, d,  $J = 2.8$ ), 8.03 (1 H, d,  $J = 9.3$ ), 7.47 (1 H, dd,  $J = 9.3, 2.9$ ), 4.00 (3 H, s), 3.64 – 3.58 (1 H, m), 2.83 (3 H, s), 1.96 (3 H, s), 1.24 (3 H, d,  $J = 6.1$ ), 1.06 (3 H, d,  $J = 6.2$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  167.76, 159.86, 150.56, 145.64, 140.98, 130.53, 128.80, 124.32, 123.12, 108.71, 101.19, 68.53, 56.06, 24.99, 24.41, 23.42, 21.78. HRMS (ESI, m/z): calcd for  $\text{C}_{17}\text{H}_{19}\text{NO}_4$  ( $\text{M}+\text{H}^+$ ) 301.3420, found 301.3420.

*isopropyl 3-acetyl-8-fluoro-2-methylquinoline-4-carboxylate (4r)*

Yield 69 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.64 (1 H, d,  $J = 8.3$ ), 7.67 (1 H, td,  $J = 8.1, 4.9$ ), 7.54 (1 H, ddd,  $J = 10.6, 7.8, 1.2$ ), 3.65 (1 H, dt,  $J = 12.3, 6.1$ ), 2.94 (3 H, s), 1.98 (3 H, s), 1.26 (3 H, d,  $J = 6.1$ ), 1.07 (3 H, d,  $J = 6.2$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  166.90, 158.65, 156.59, 154.54, 142.12, 139.39, 139.30, 130.19, 130.17, 129.02, 128.95, 123.10, 119.75, 119.71, 115.54, 115.39, 108.68, 68.74, 24.72, 24.36, 23.42, 22.39. HRMS (ESI, m/z): calcd for  $\text{C}_{17}\text{H}_{18}\text{ClNO}_3$  ( $\text{M}+\text{H}^+$ ) 319.7850, found 319.7848.

*butyl 3-acetyl-2-methylquinoline-4-carboxylate (4s)*

Yield 84 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.84 (1 H, d,  $J = 8.3$ ), 8.16 (1 H, d,  $J = 8.5$ ), 7.88 – 7.82 (1 H, m), 7.74 – 7.70 (1 H, m), 3.45 (1 H, dt,  $J = 8.8, 6.5$ ), 3.02 (1 H, dt,  $J = 8.8, 6.5$ ), 2.86 (3 H, s), 1.98 (3 H, s), 1.60 – 1.52 (2 H, m), 1.41 – 1.29 (2 H, m), 0.88 (3 H, t,  $J = 7.4$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  167.22, 153.70, 149.35, 140.75, 131.21, 130.28, 129.11, 128.80, 123.84, 121.64, 108.58, 64.32, 31.60, 24.59, 21.96, 19.27, 13.86. HRMS (ESI, m/z): calcd for  $\text{C}_{16}\text{H}_{17}\text{NO}_3$  ( $\text{M}+\text{H}^+$ ) 271.3160, found 271.3162.

*butyl 3-acetyl-6-bromo-2-methylquinoline-4-carboxylate (4t)*

Yield 86 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  9.00 (1 H, d,  $J = 2.2$ ), 8.01 (1 H, d,  $J = 9.0$ ), 7.91 (1 H, dd,  $J = 9.0, 2.2$ ), 3.45 (1 H, dt,  $J = 8.8, 6.5$ ), 3.02 (1 H, dt,  $J = 8.8, 6.5$ ), 2.83 (3 H, s), 1.97 (3 H, s), 1.59 – 1.53 (2 H, m), 1.40 – 1.31 (2 H, m), 0.88 (3 H, t,  $J = 7.4$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  166.76, 154.20, 147.90, 141.52, 134.87, 130.66, 129.40, 126.20, 123.49, 122.61, 108.66, 64.45, 31.58, 24.45, 21.98, 19.27, 13.86. HRMS (ESI, m/z): calcd for  $\text{C}_{17}\text{H}_{18}\text{BrNO}_3$  ( $\text{M}+\text{H}^+$ ) 364.2390, found 364.2392.

*butyl 3-acetyl-6-fluoro-2-methylquinoline-4-carboxylate (4u)*

Yield 83 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.44 (1 H, dd,  $J = 8.8, 2.9$ ), 8.16 (1 H, dd,  $J = 9.3, 5.2$ ), 7.60 (1 H, ddd,  $J = 9.2, 8.3, 2.9$ ), 3.46 (1 H, dt,  $J = 8.8, 6.5$ ), 3.04 (1 H, dt,  $J = 8.8, 6.5$ ), 2.85 (3 H, s), 1.98 (3 H, s), 1.60 – 1.53 (2 H, m), 1.41 – 1.32 (2 H, m), 0.89 (3 H, t,  $J = 7.4$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  166.90, 162.95, 160.94, 152.91, 152.89, 146.49, 141.48, 131.69, 131.62, 130.00, 129.96, 122.52, 122.42, 121.56, 121.35, 108.64, 107.88, 107.69, 64.39, 31.56, 24.43, 21.76, 19.25, 13.83. HRMS (ESI, m/z): calcd for  $\text{C}_{17}\text{H}_{18}\text{FNO}_3$  ( $\text{M}+\text{H}^+$ ) 303.3334, found 303.3333.

*butyl 3-acetyl-6-chloro-2-methylquinoline-4-carboxylate (4v)*

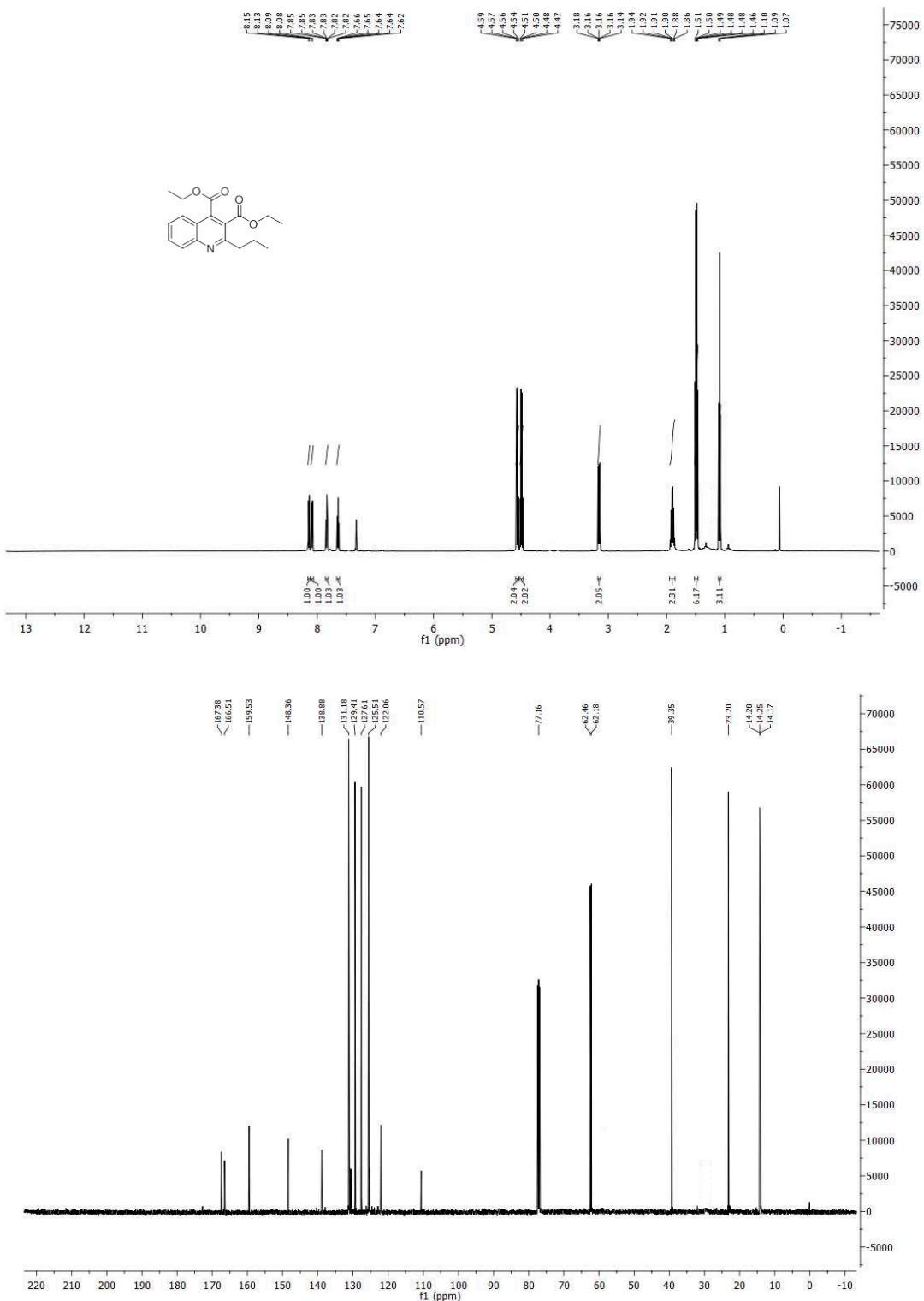
Yield 85 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.82 (1 H, d,  $J = 2.3$ ), 8.08 (1 H, d,  $J = 9.0$ ), 7.77 (1 H, dd,  $J = 9.0, 2.4$ ), 3.45 (1 H, dt,  $J = 8.8, 6.5$ ), 3.03 (1 H, dt,  $J = 8.8, 6.5$ ), 2.84 (3 H, s), 1.97 (3 H, s), 1.60 – 1.53 (2 H, m), 1.40 – 1.31 (2 H, m), 0.89 (3 H, t,  $J = 7.4$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  166.79, 154.01, 147.70, 141.56, 135.20, 132.28, 130.60, 129.54, 122.89, 122.21, 108.67, 64.44, 31.58, 24.45, 21.93, 19.27, 13.86. HRMS (ESI, m/z): calcd for  $\text{C}_{17}\text{H}_{18}\text{ClNO}_3$  ( $\text{M}+\text{H}^+$ ) 319.7850, found 319.7848.

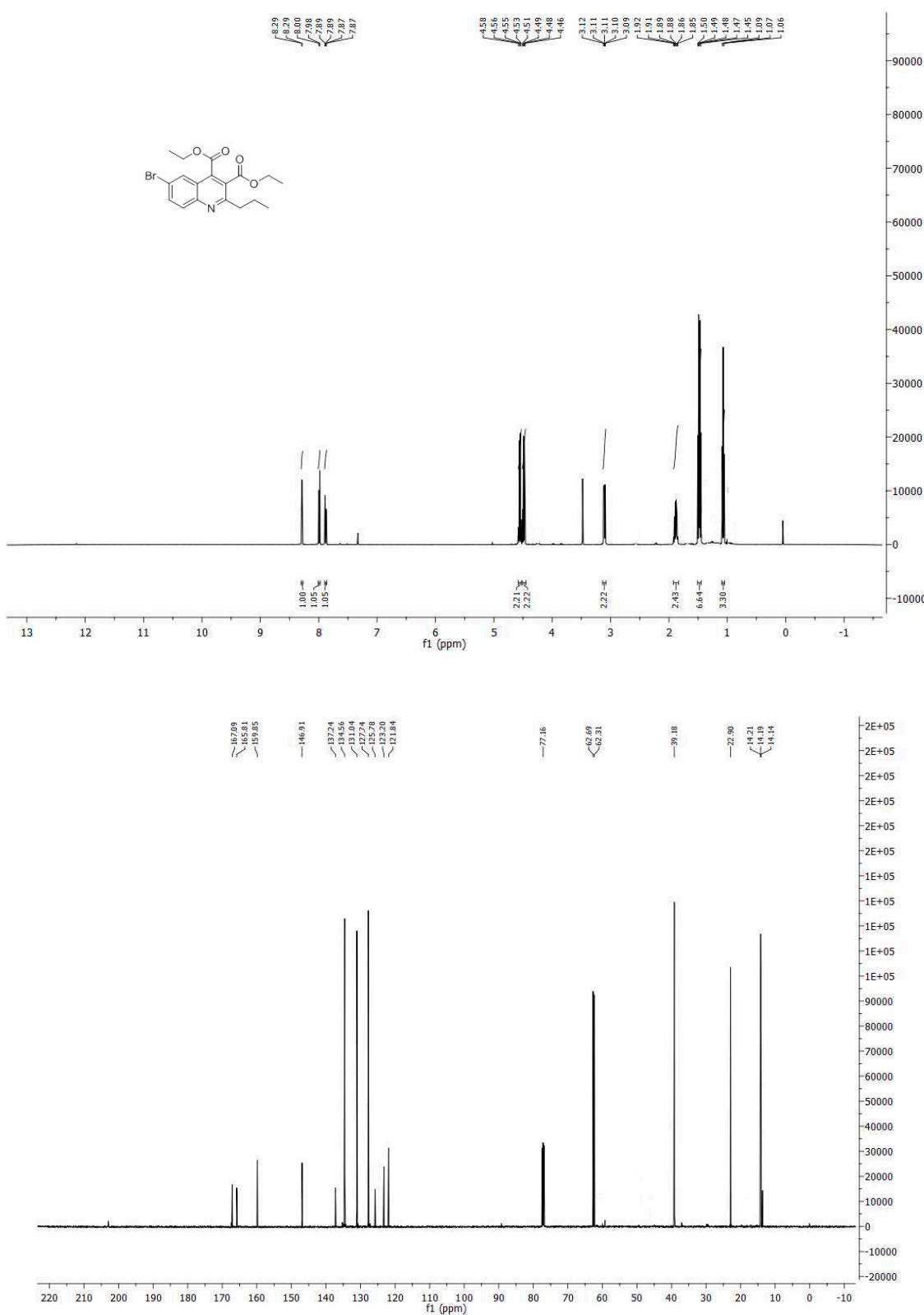
*butyl 3-acetyl-6-methoxy-2-methylquinoline-4-carboxylate (4w)*

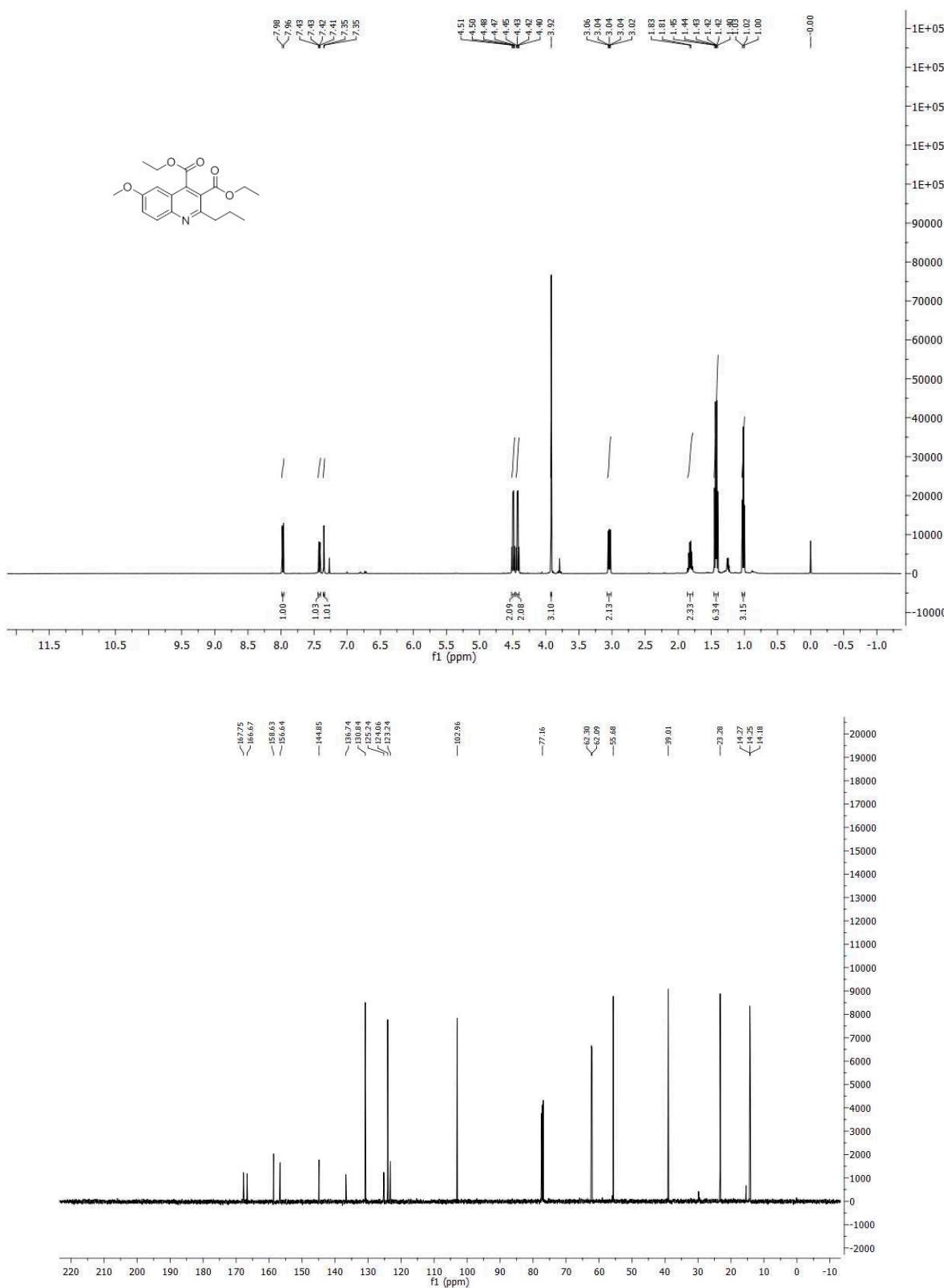
Yield 85 %;  $^1\text{H}$  NMR (500 MHz,  $\text{CDCl}_3$ ):  $\delta$  8.11 (1 H, d,  $J 2.8$ ), 8.03 (1 H, d,  $J 9.3$ ), 7.46 (1 H, dd,  $J 9.3, 2.9$ ), 4.00 (3 H, s), 3.43 (1 H, dt,  $J 8.8, 6.5$ ), 3.01 (1 H, dt,  $J 8.8, 6.5$ ), 2.81 (3 H, s), 1.97 (3 H, s), 1.59 – 1.52 (2 H, m), 1.41 – 1.31 (2 H, m), 0.88 (3 H, t,  $J 7.4$ ).  $^{13}\text{C}$  NMR (125 MHz,  $\text{CDCl}_3$ )  $\delta$  167.64, 159.80, 150.36, 145.66, 140.65, 130.50, 128.76, 124.29, 123.18, 108.57, 101.14, 77.16, 64.25, 56.01, 31.60, 24.63, 21.48, 19.26, 13.85. HRMS (ESI, m/z): calcd for  $\text{C}_{18}\text{H}_{21}\text{NO}_4$  ( $\text{M}+\text{H}^+$ ) 315.3690, found 315.3691.

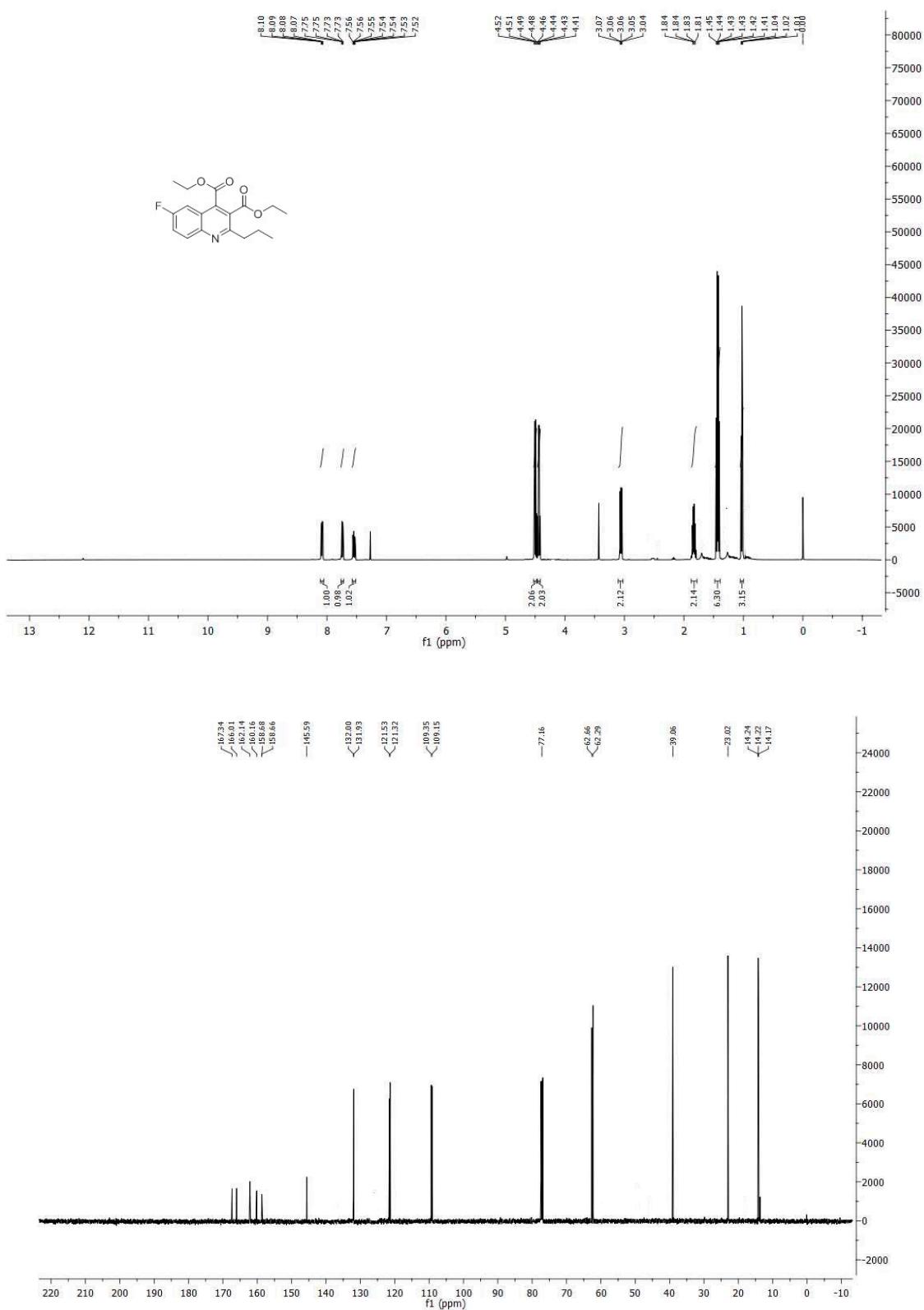
## 5. $^1\text{H}$ NMR and $^{13}\text{C}$ NMR Spectra of all compounds

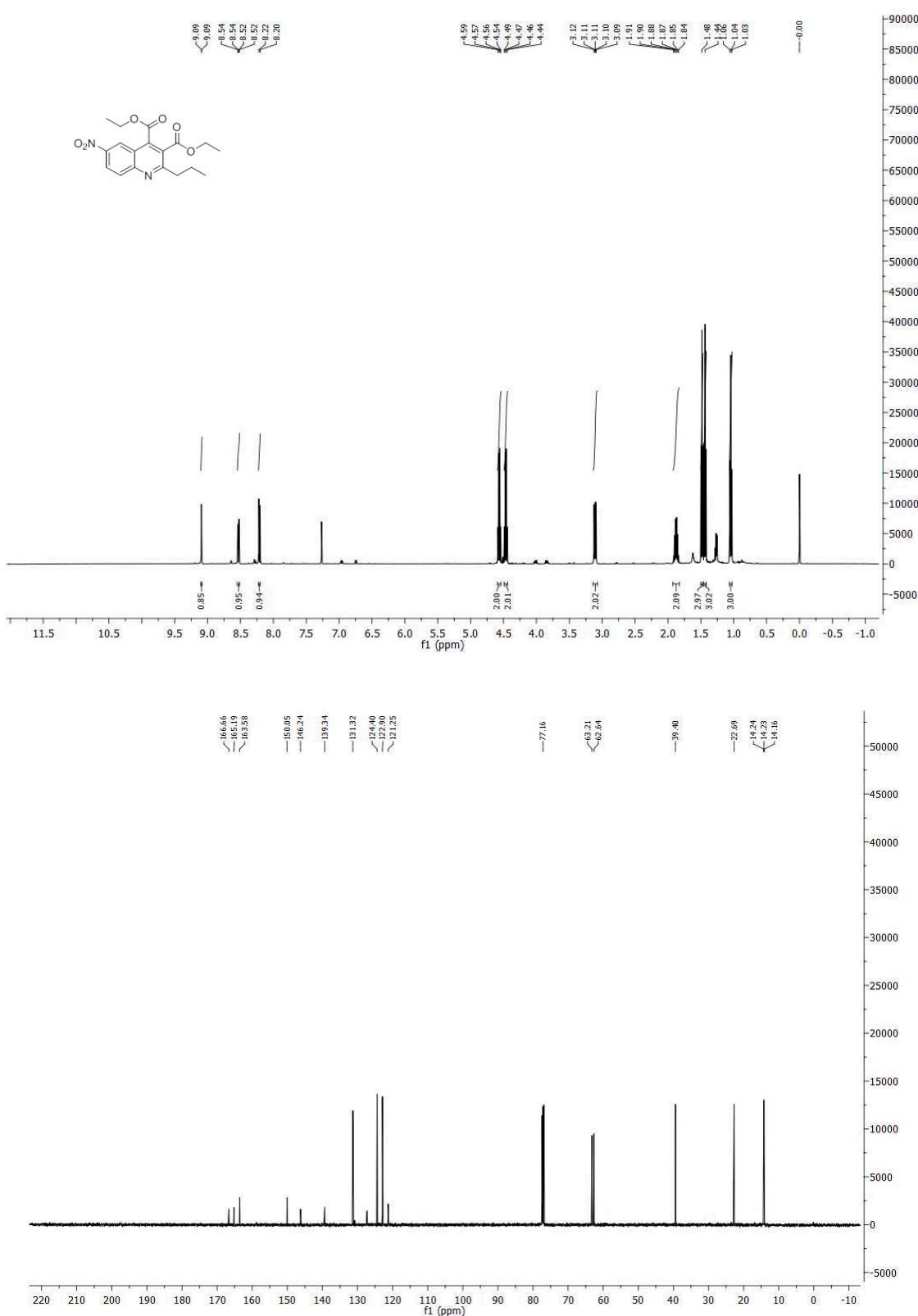
$^1\text{H}$  and  $^{13}\text{C}$  NMR of compound 4a

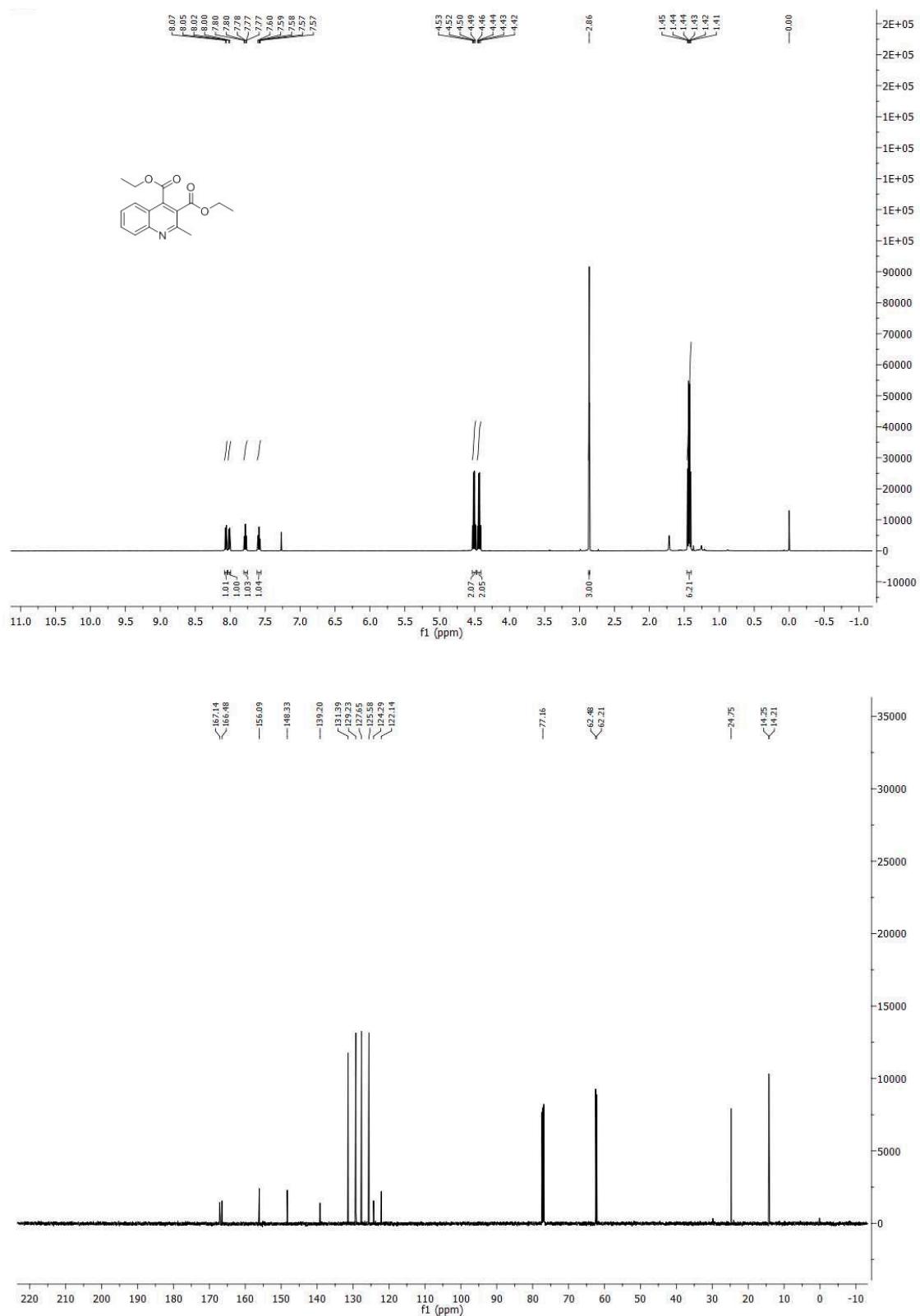


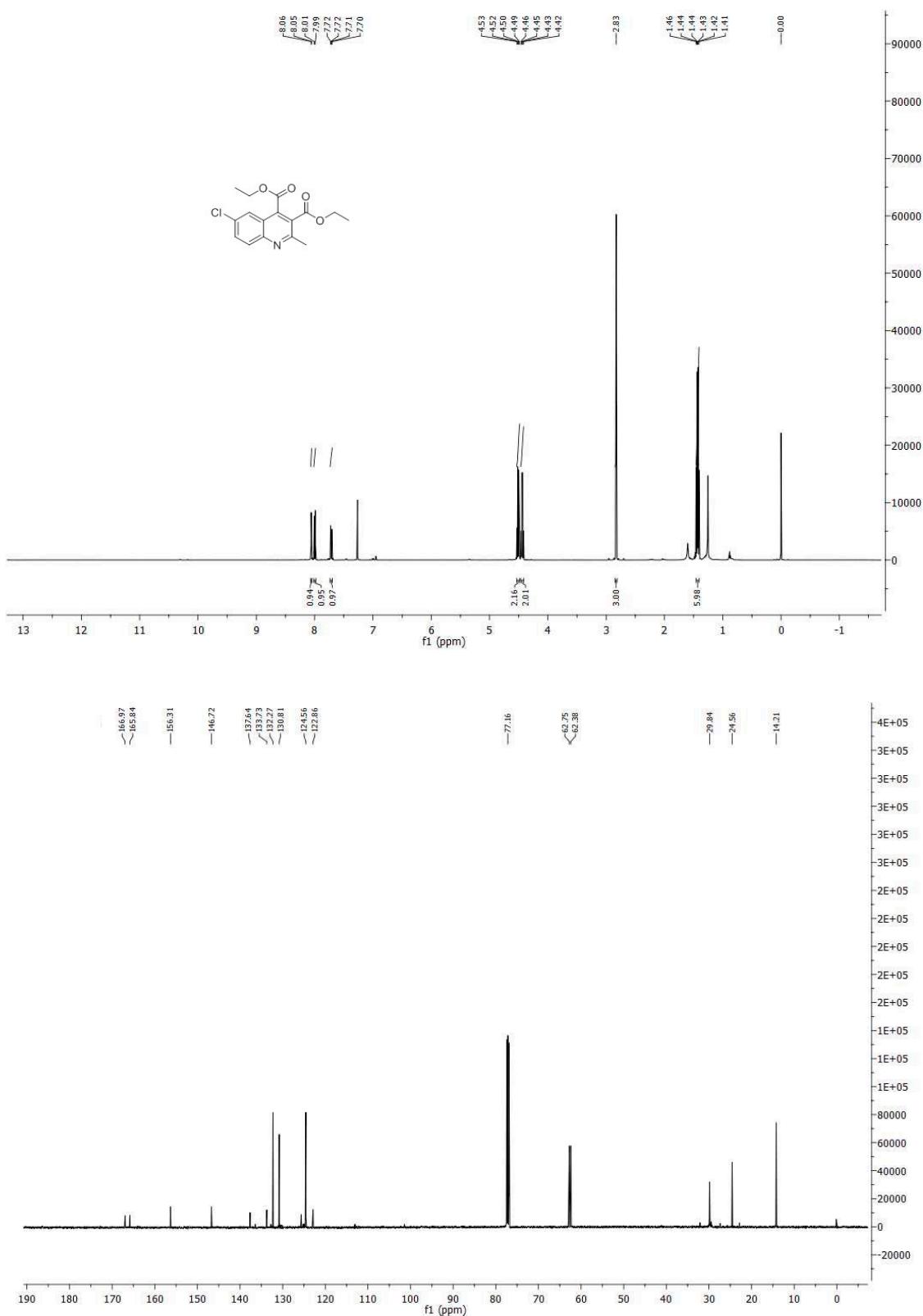
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4b

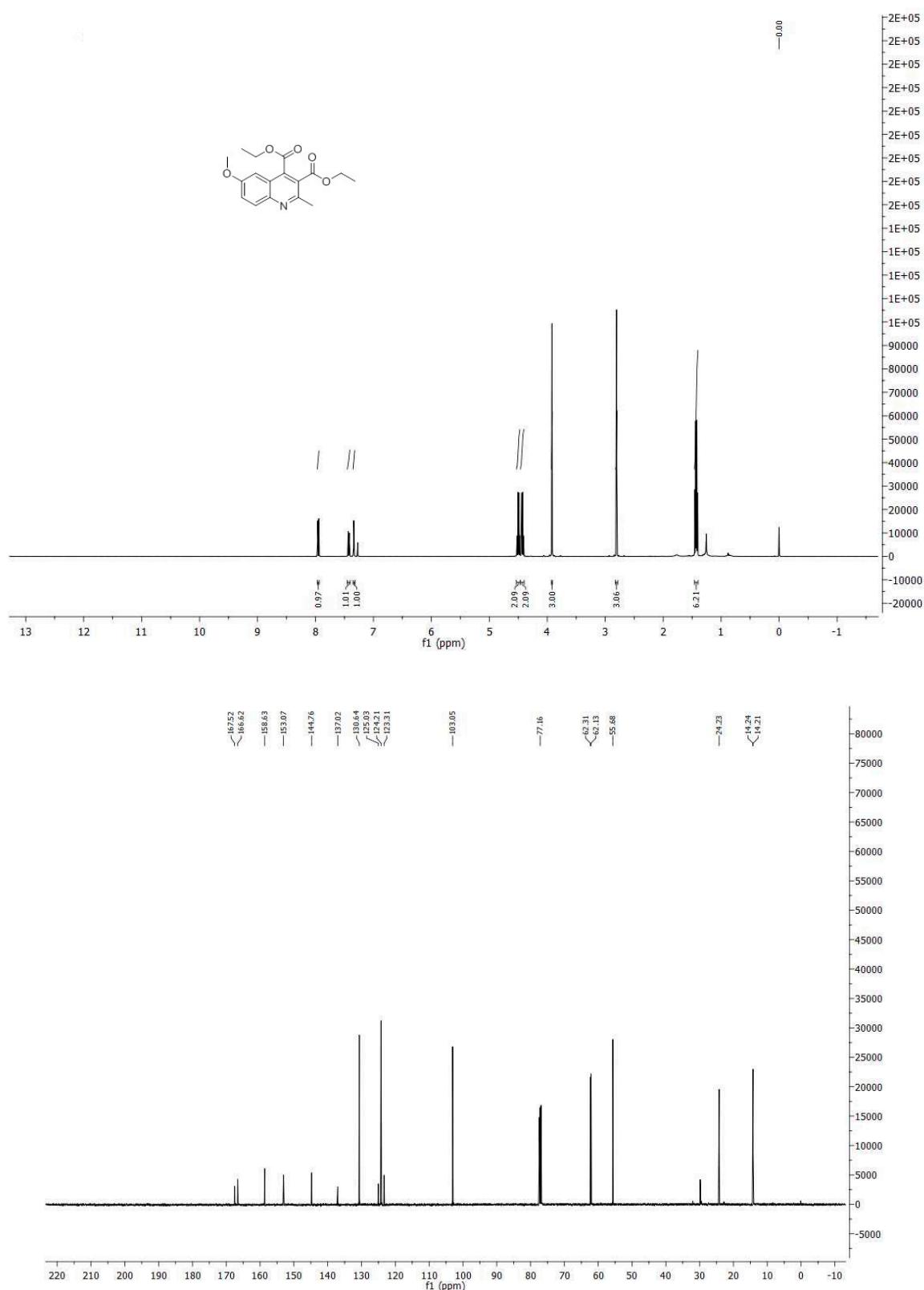
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4c

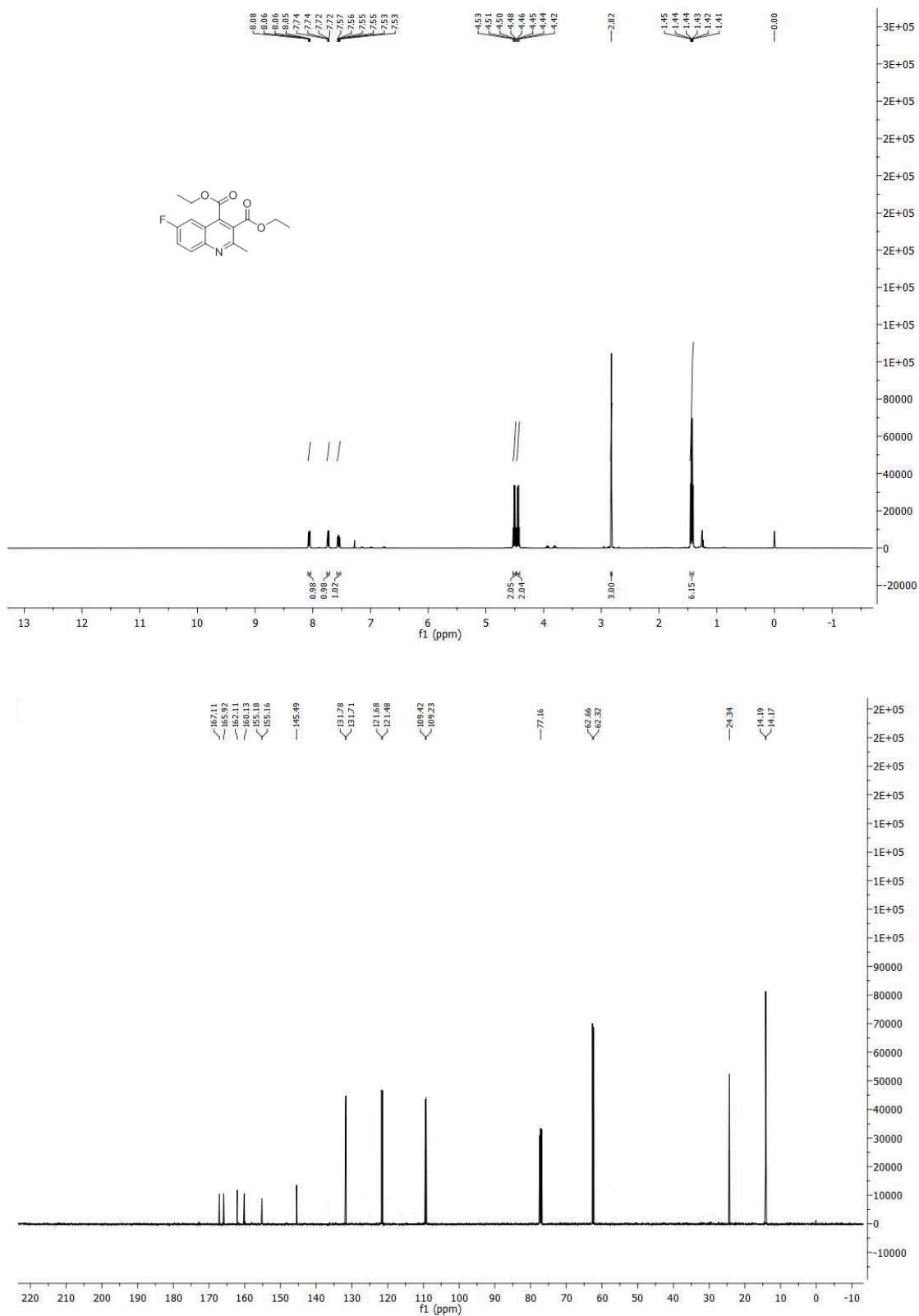
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4d

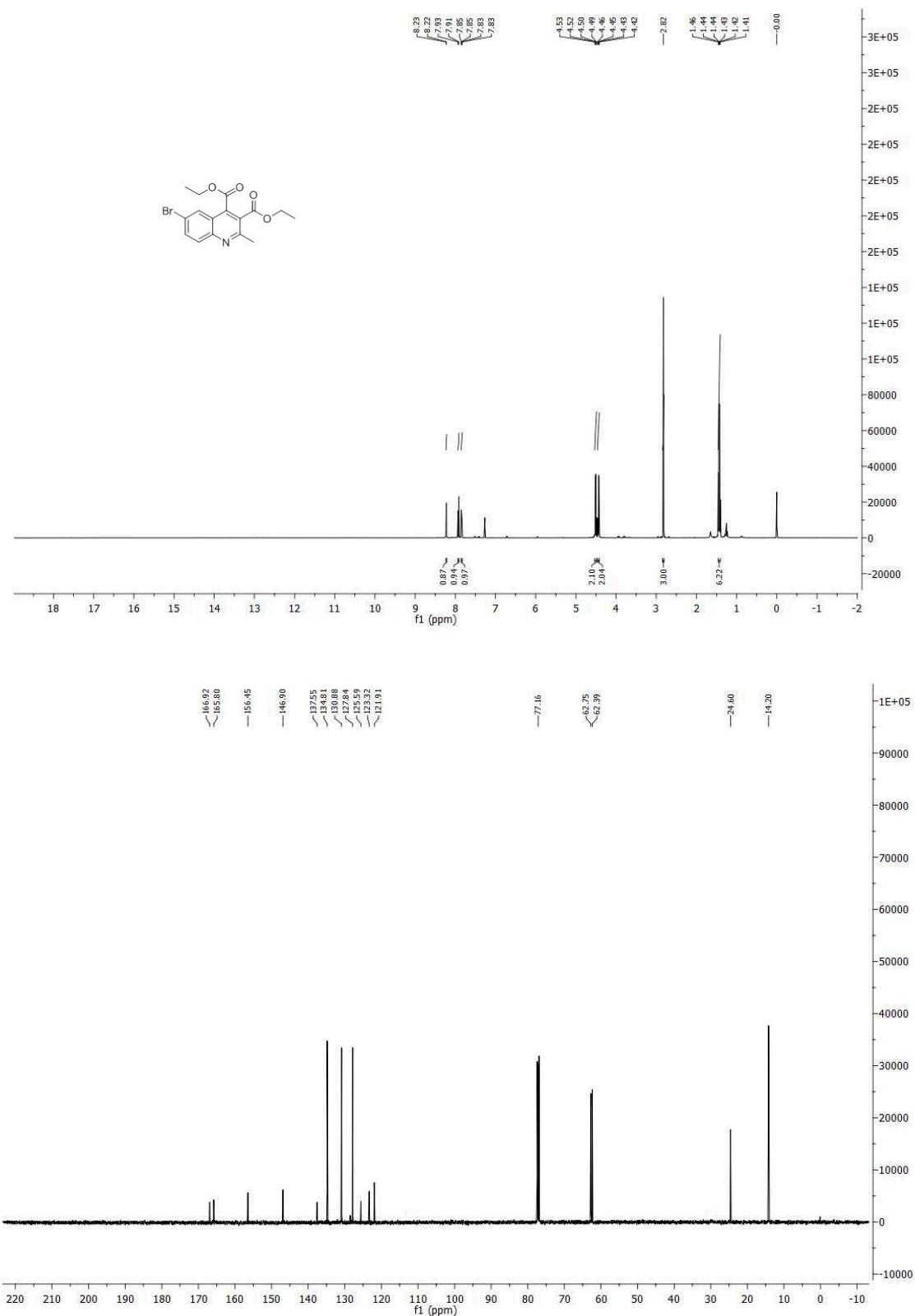
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4e

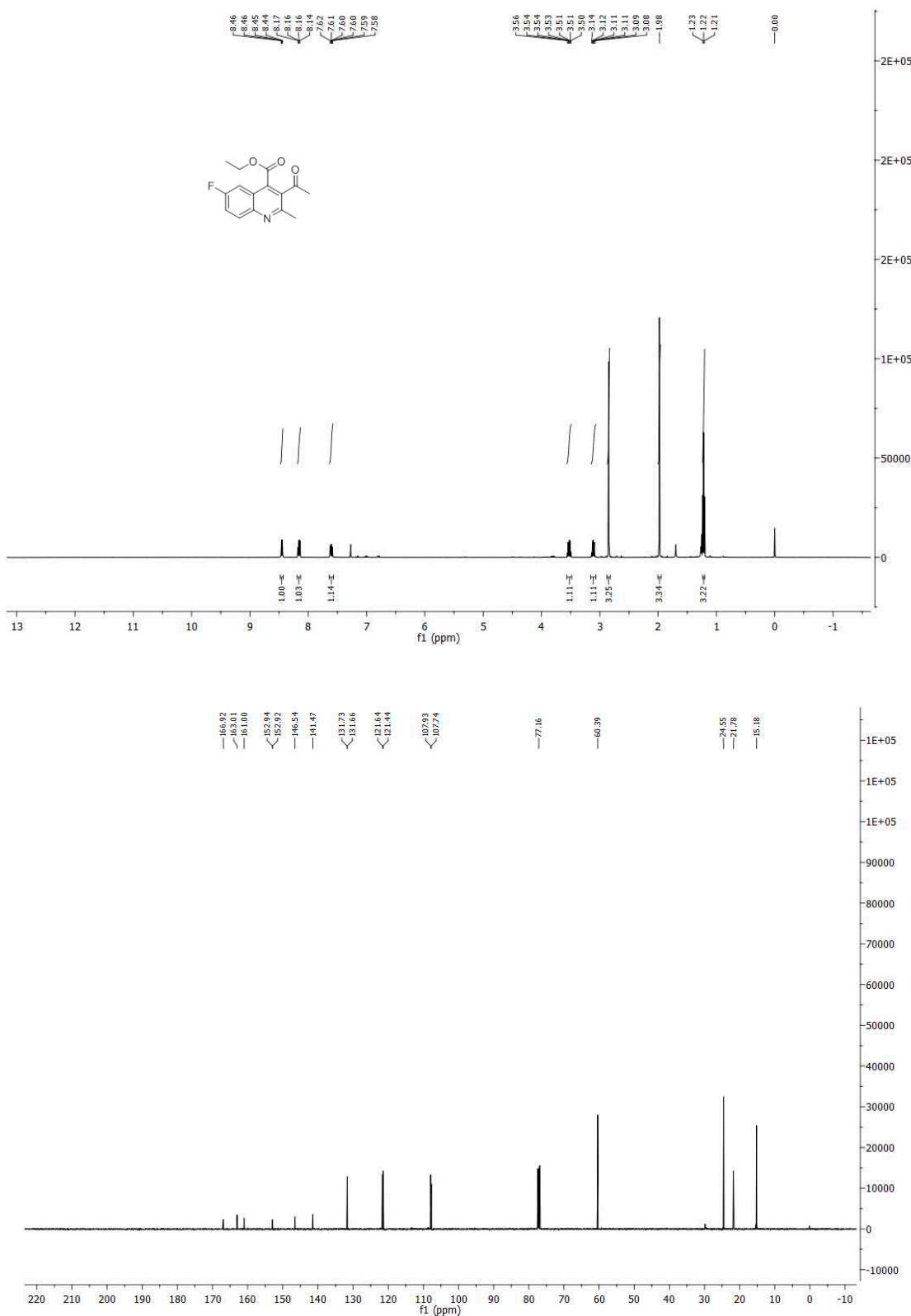
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4f

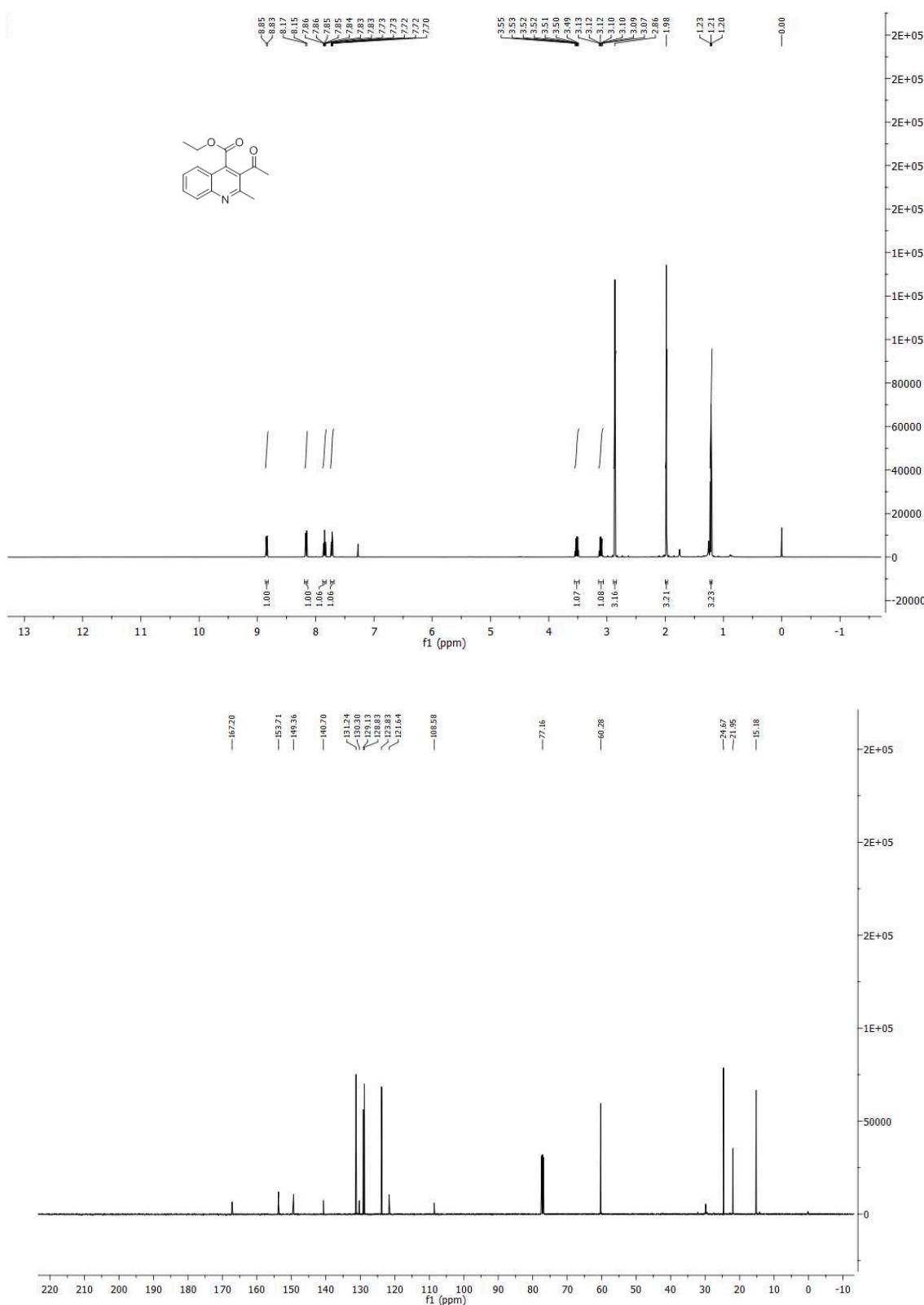
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4g

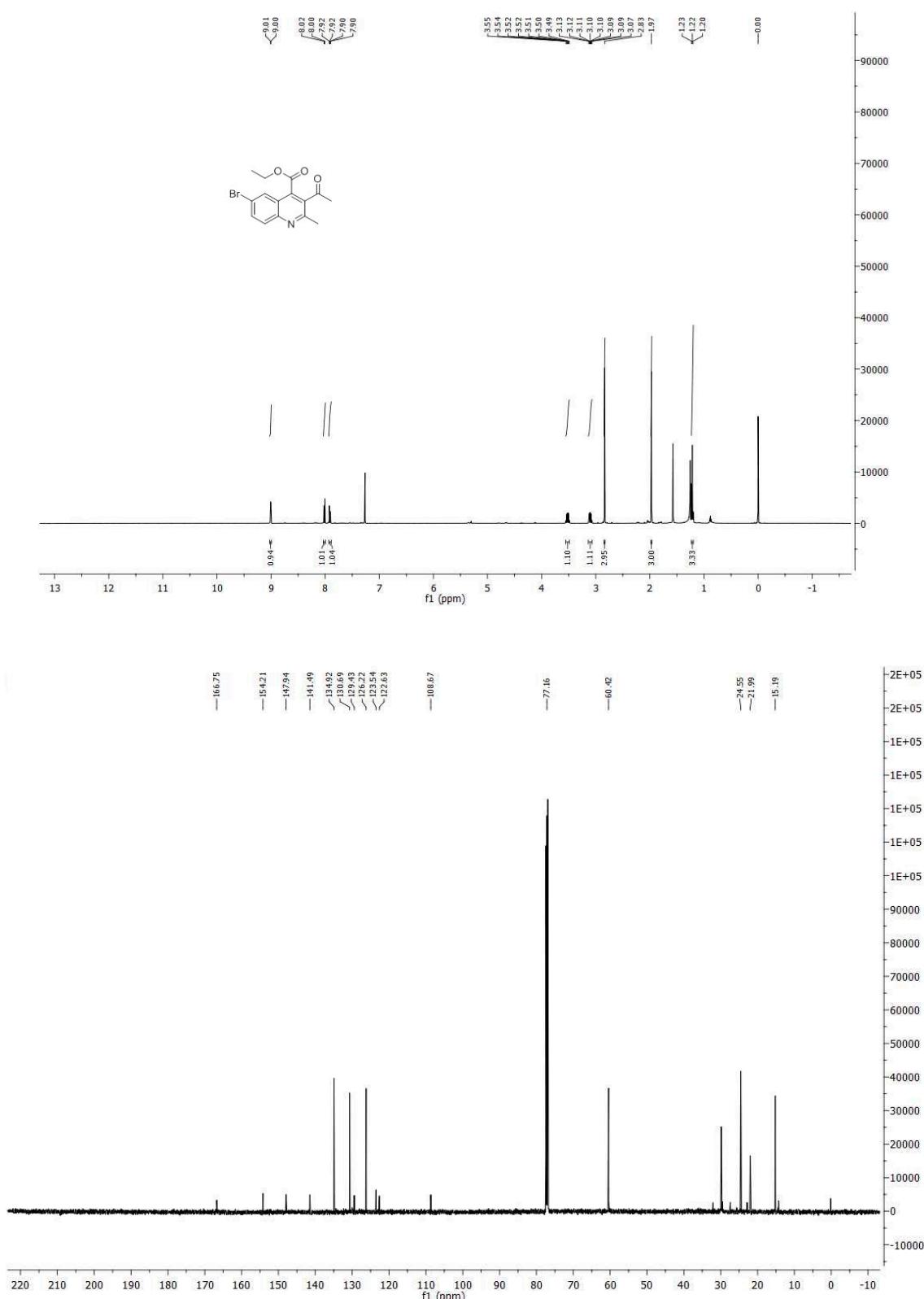
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4h

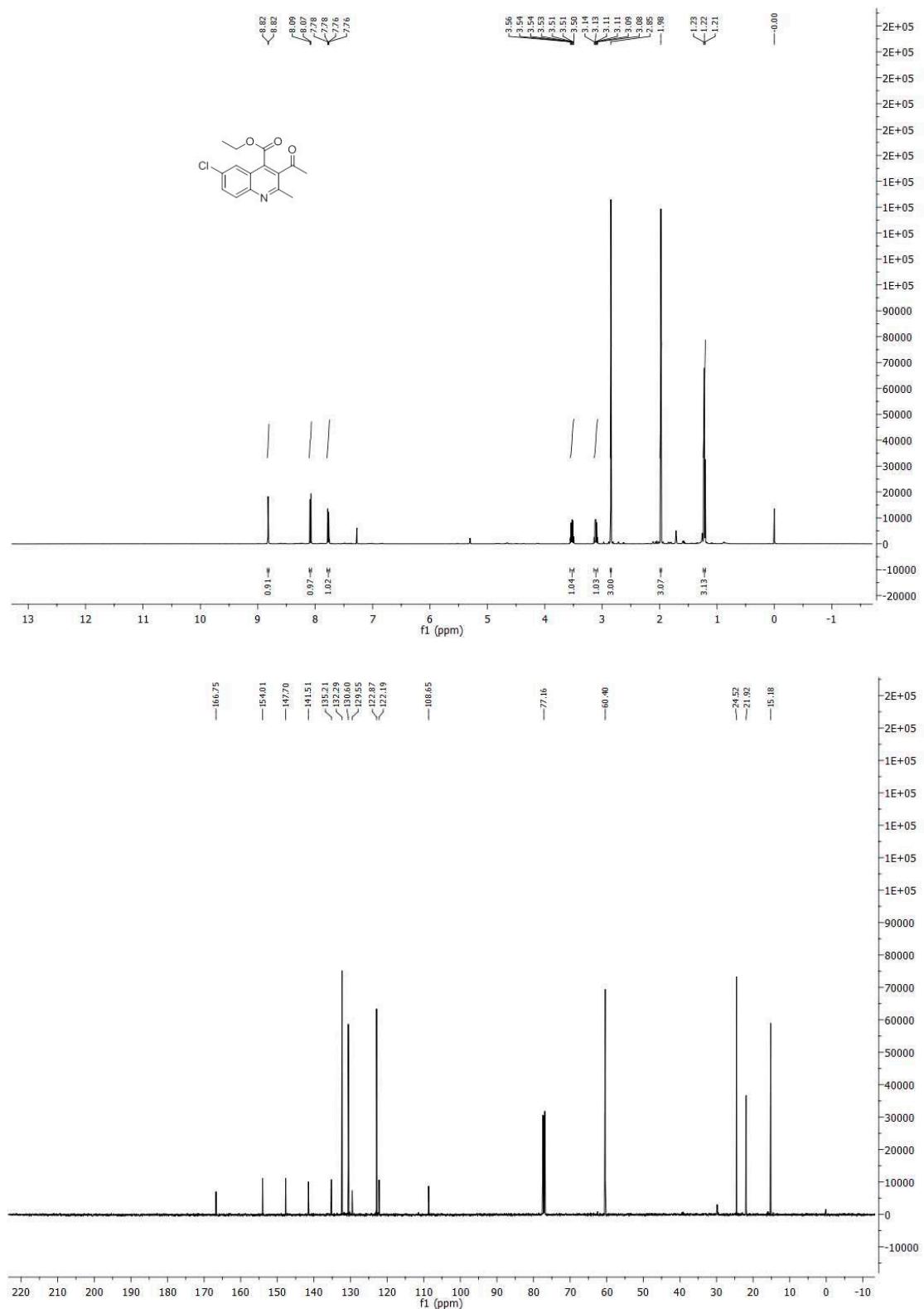
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4i

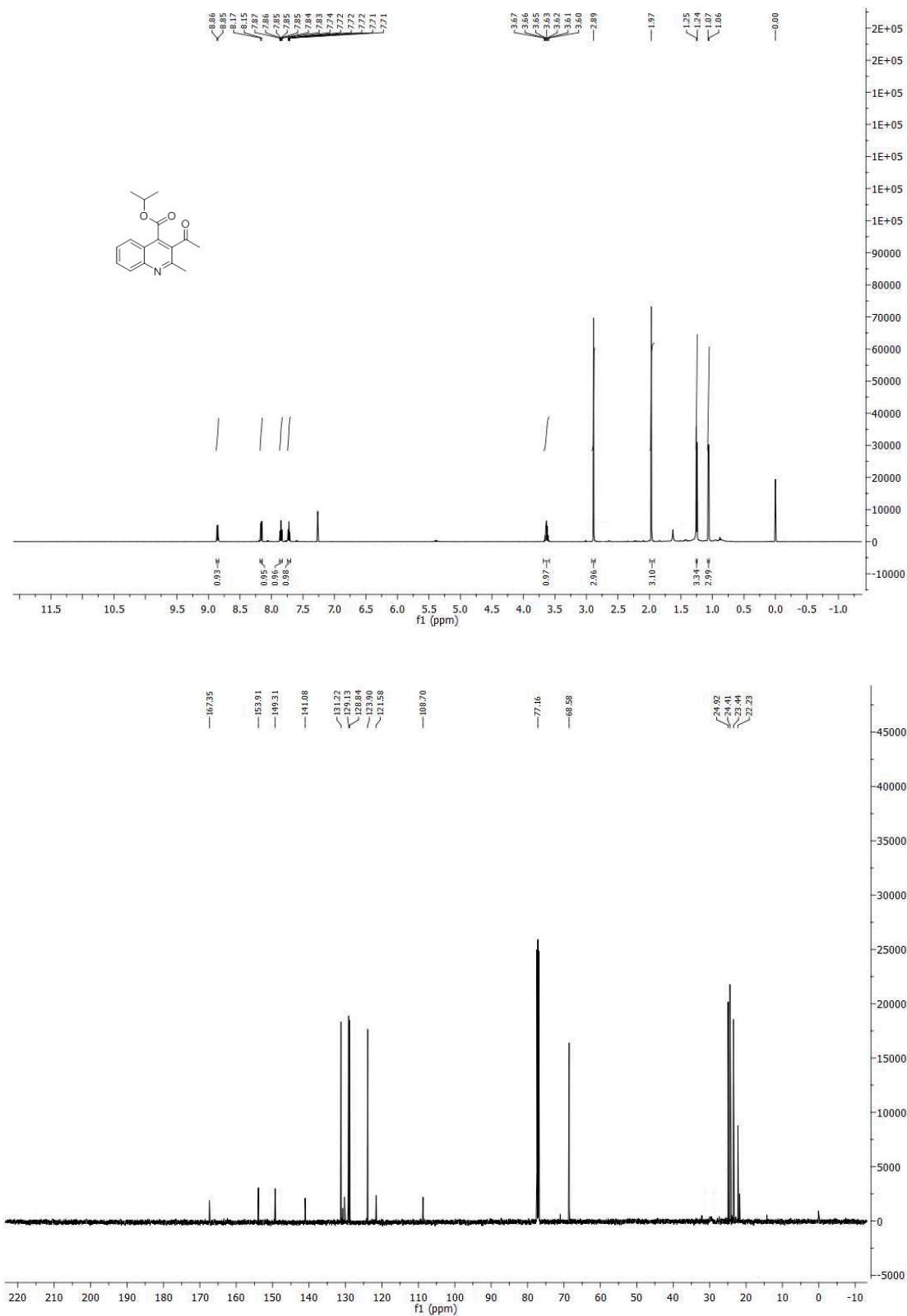
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4j

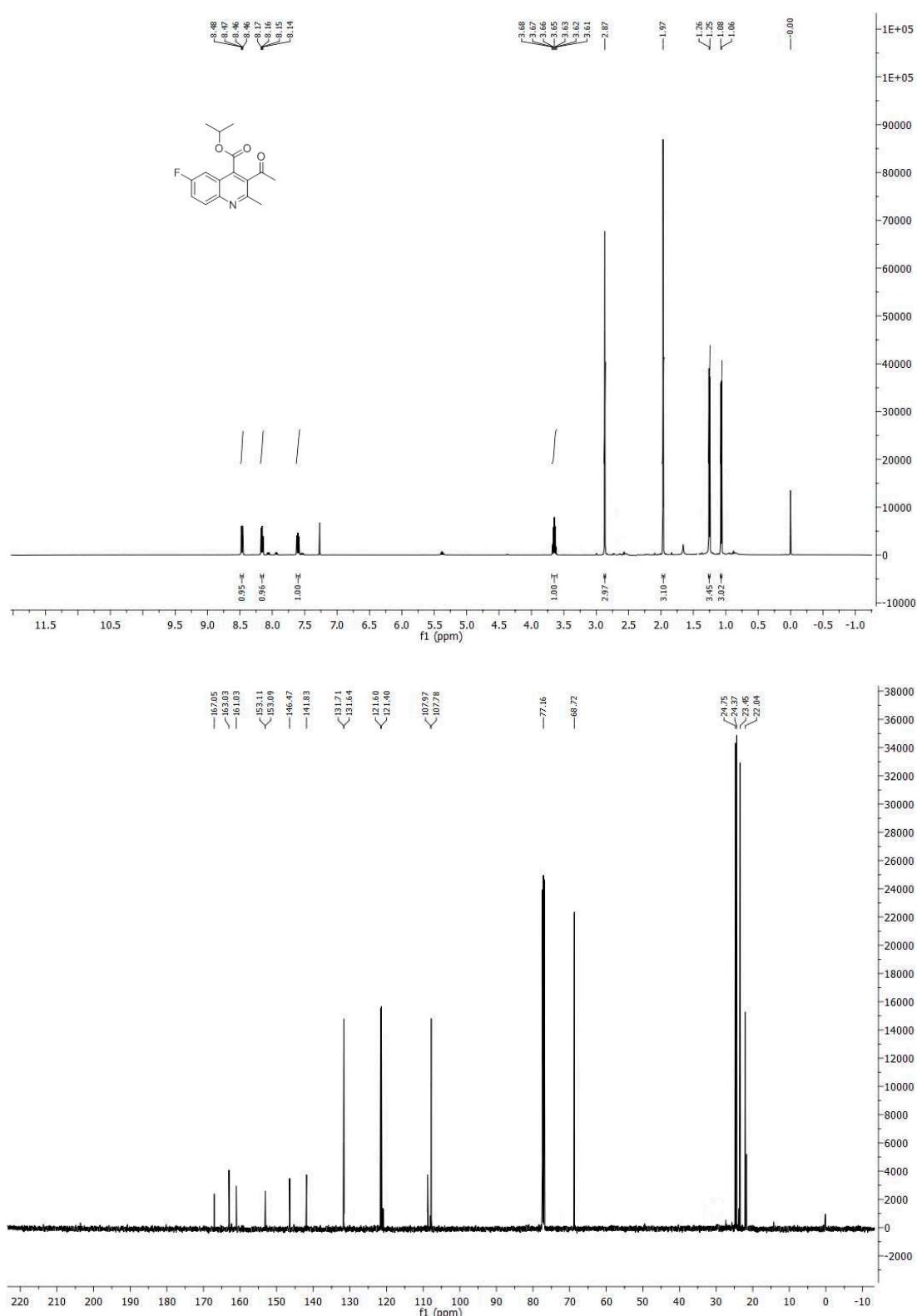
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4k

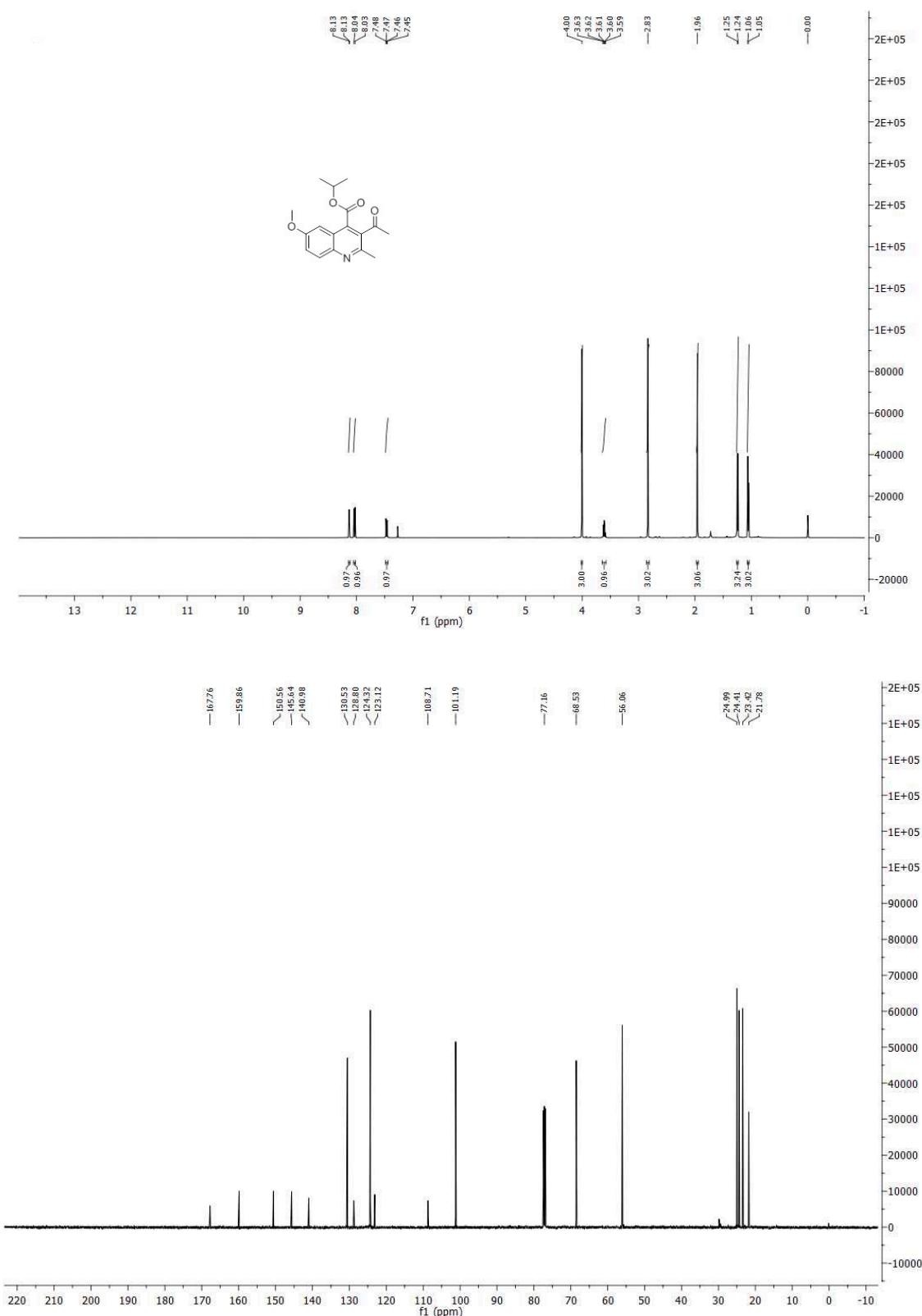
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4l

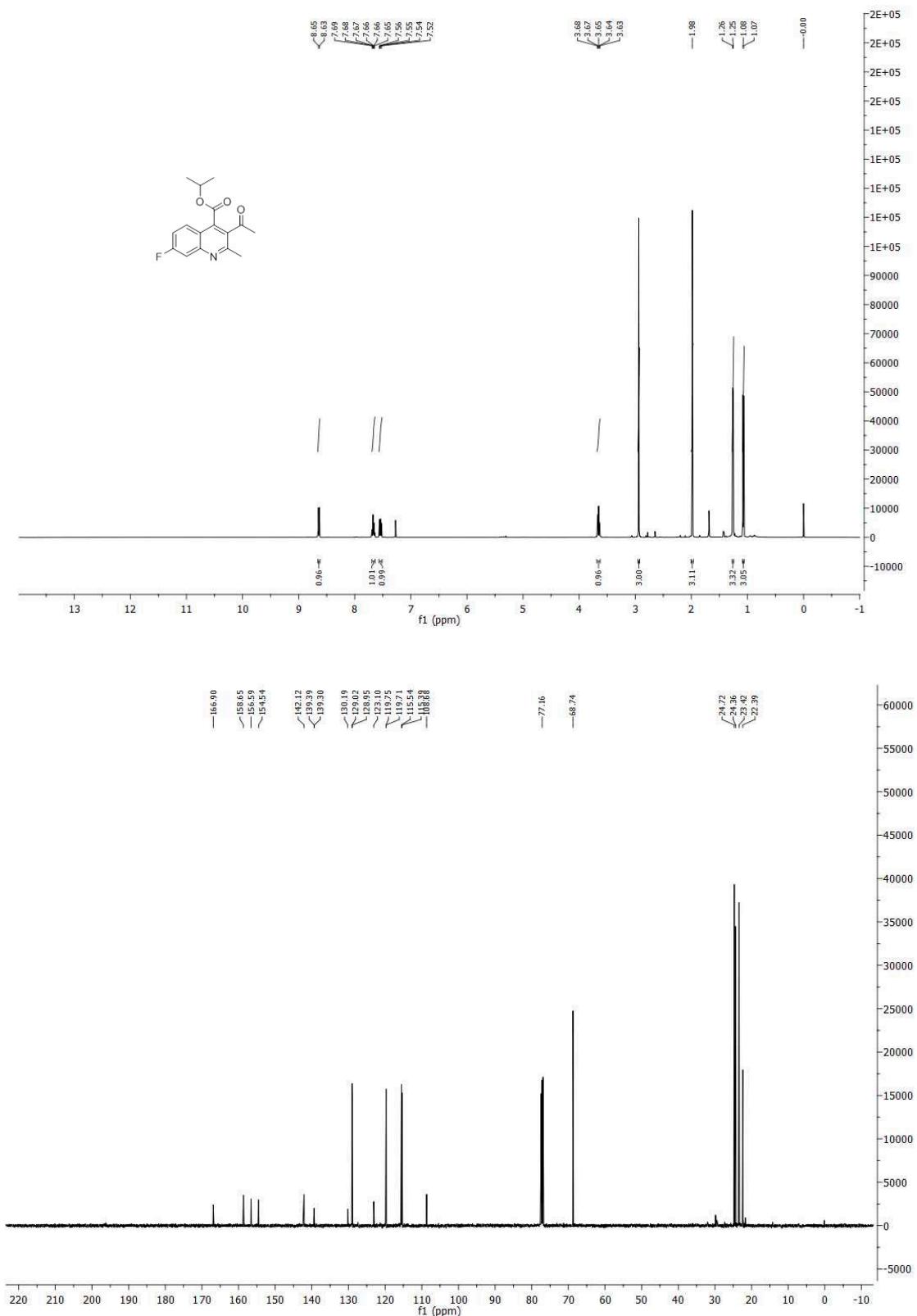
**<sup>1</sup>H and <sup>13</sup>C NMR of compound 4m**

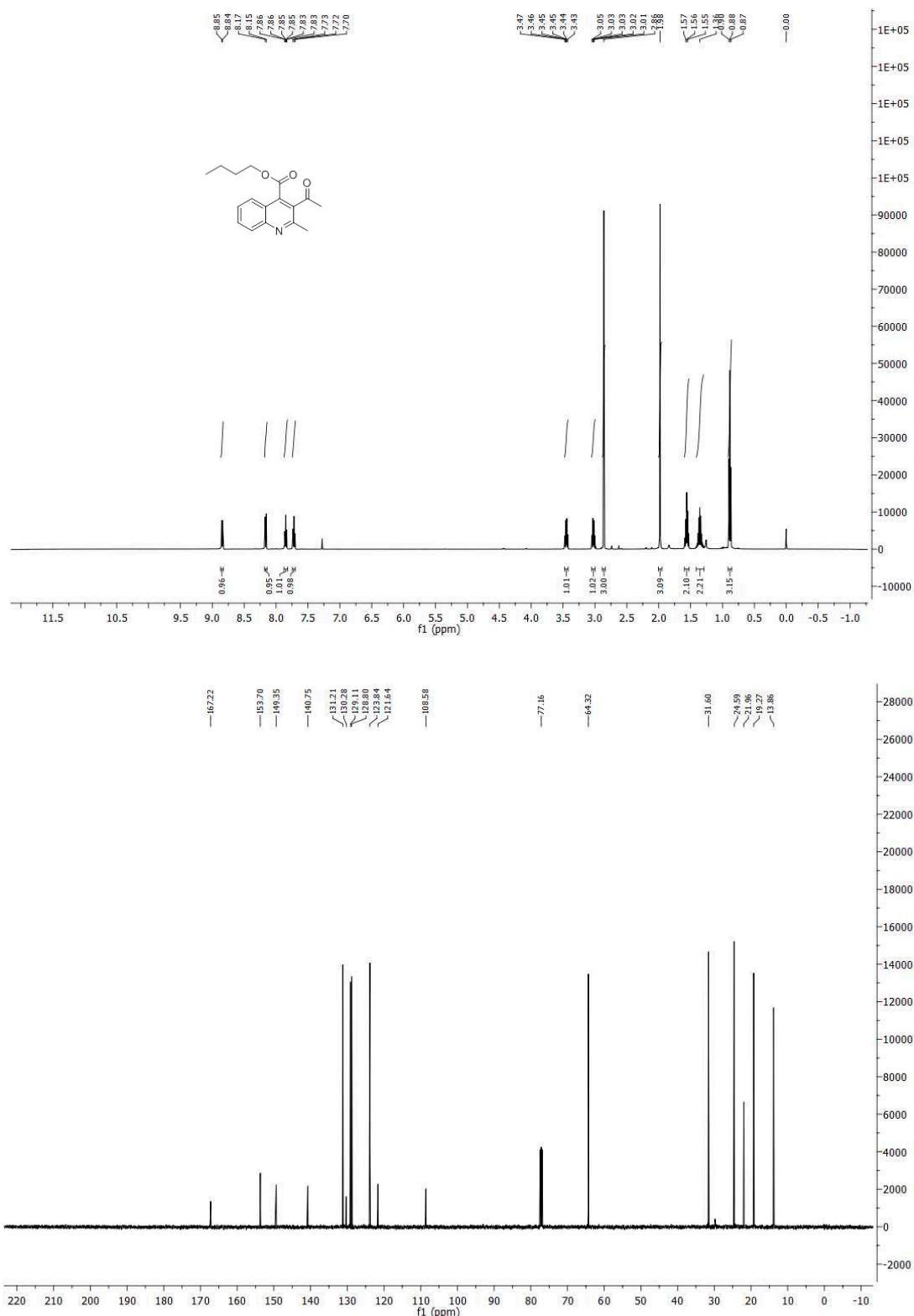
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4n

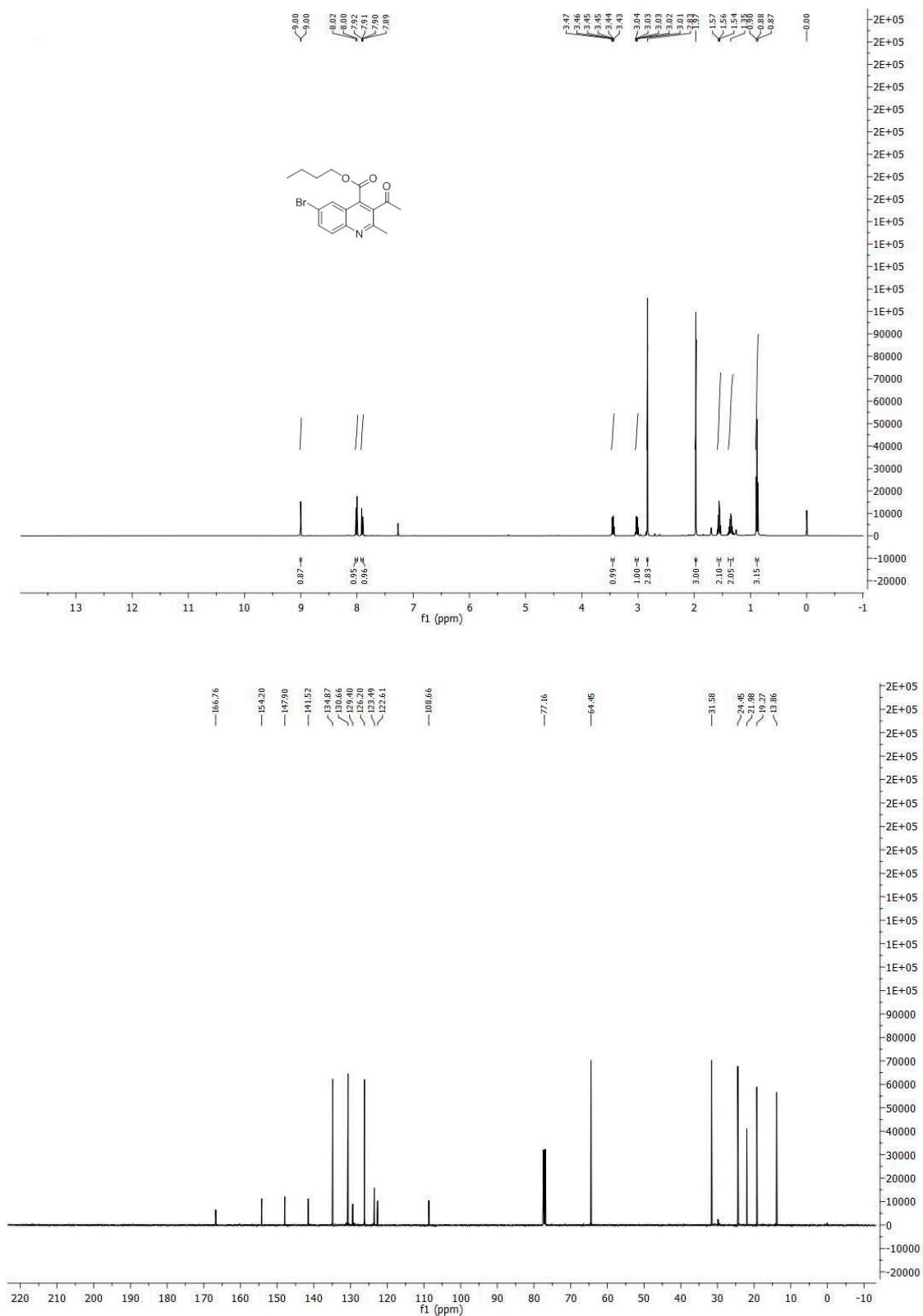
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4o

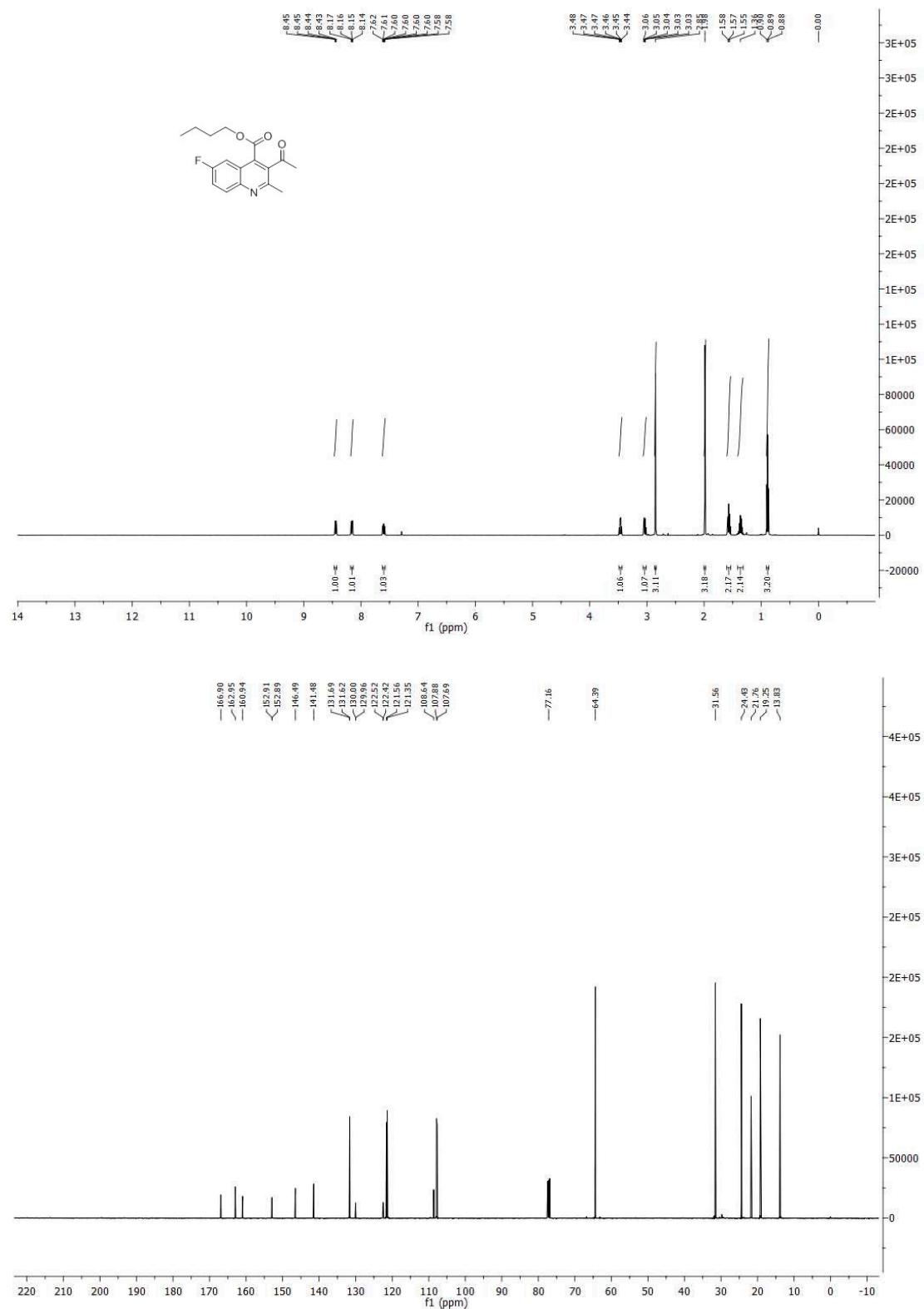
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4p

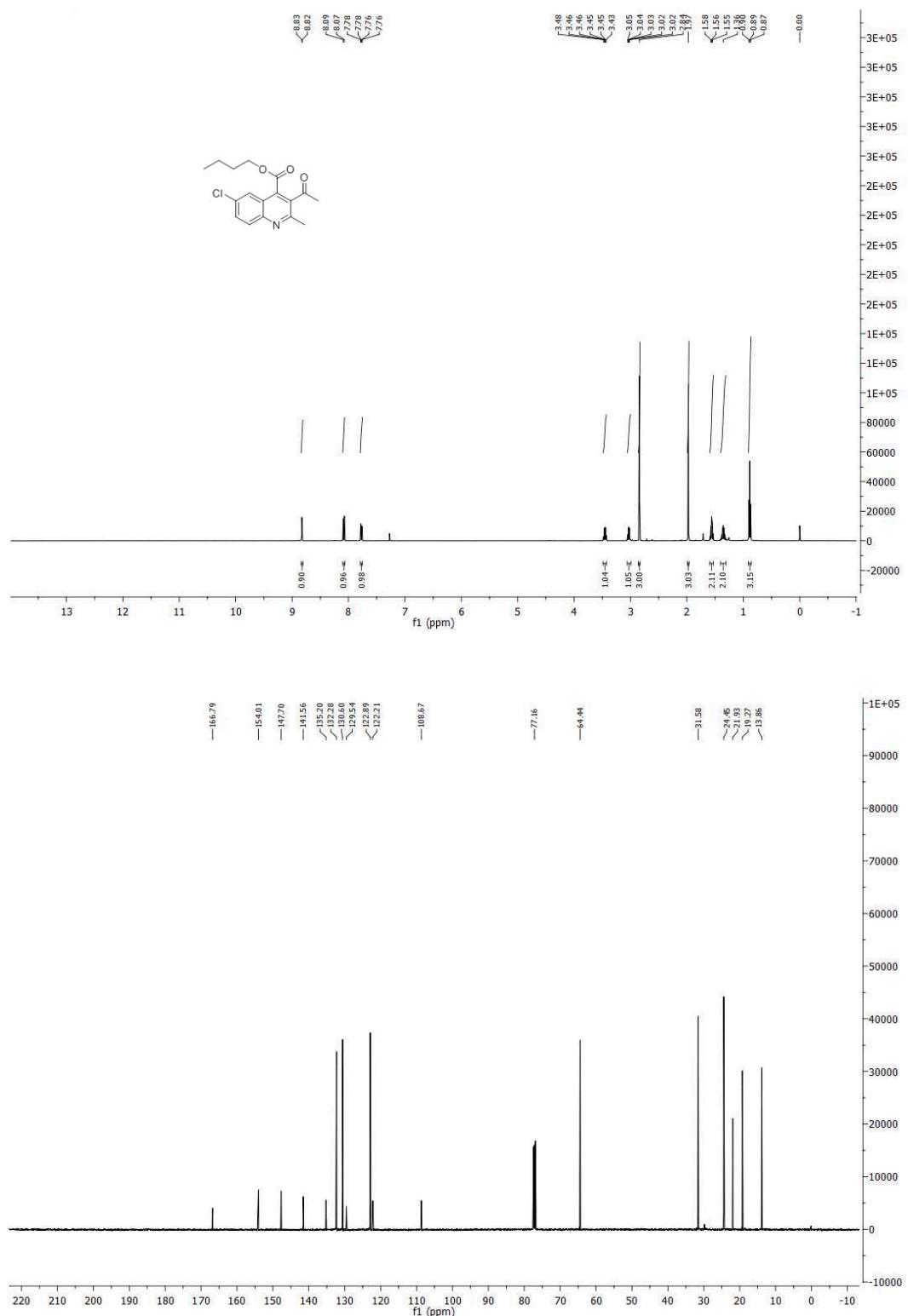
<sup>1</sup>H and <sup>13</sup>C NMR of compound 4q

<sup>1</sup>H and <sup>13</sup>C NMR of compound 4r

<sup>1</sup>H and <sup>13</sup>C NMR of compound 4s

<sup>1</sup>H and <sup>13</sup>C NMR of compound 4t

<sup>1</sup>H and <sup>13</sup>C NMR of compound 4u

<sup>1</sup>H and <sup>13</sup>C NMR of compound 4v

<sup>1</sup>H and <sup>13</sup>C NMR of compound 4w