

Electronic Supplementary Information

**Fe-Catalyzed Decarbonylative Alkylative Arylation of *N*-aryl Cinnamamides with Aliphatic Aldehydes to construct 3,4-dihydroquinolin-2(1*H*)-ones**

Ru-Xin Gao,<sup>†</sup> Xin-Qi Luan,<sup>†</sup> Zi-Yi Xie, Luo Yang\* and Yong Pei\*

Key Laboratory for Environmentally Friendly Chemistry and Application of the Ministry of Education,  
Key Laboratory for Green Organic Synthesis and Application of Hunan Province,  
College of Chemistry, Xiangtan University, Hunan, 411105, PR China.

L. Yang, E-mail: [yangluo@xtu.edu.cn](mailto:yangluo@xtu.edu.cn); Fax: +86-731-58292251; Tel: +86-731-59292229

Y. Pei, E-mail: [ypnku78@gmail.com](mailto:ypnku78@gmail.com); Fax: +86-731-58292251; Tel: +86-731-59298910

**Table of Contents**

I. General information.....	S2
II. General experimental procedures.....	S2
III. Radical inhibition experiment.....	S2
IV. Spectra data of products <b>3a-3k, 4b-4t, 5, 6</b> .....	S3
V. References.....	S16
VI. Copies of <sup>1</sup> H and <sup>13</sup> C NMR spectra of products <b>3a-3k, 4b-4t, 5, 6</b> .....	S17

## I. General information

Unless otherwise noted, all commercially available compounds were used as provided without further purification. Dry solvents (toluene, ethylacetate, dichloroethane, acetonitrile, chlorobenzene, fluorobenzene) were used as commercially available. The tertiary amide substrates were synthesized according to the literature reports.<sup>1,2</sup> Thin-layer chromatography (TLC) was performed using E. Merck silica gel 60 F254 precoated plates (0.25 mm) or Sorbent Silica Gel 60 F254 plates. The developed chromatography was analyzed by UV lamp (254 nm). Gas chromatograph (GC) was measured on Shimadzu GC-2014 instrument with a FID detector and *n*-dodecane as the internal standard; Gas Chromatograph-Mass Spectrometer (GC-MS) was measured on Agilent 7890-5975C instrument under the EI ionization model, instead. High-resolution mass spectra (HRMS) were obtained from a JEOL JMS-700 instrument (ESI). Melting points are uncorrected. Nuclear magnetic resonance (NMR) spectra were recorded on a Bruker Avance 400 spectrometer at ambient temperature. Chemical shifts for <sup>1</sup>H NMR spectra are reported in parts per million (ppm) from tetramethylsilane with the solvent resonance as the internal standard (chloroform: δ 7.26 ppm). Chemical shifts for <sup>13</sup>C NMR spectra are reported in parts per million (ppm) from tetramethylsilane with the solvent as the internal standard (CDCl<sub>3</sub>: δ 77.16 ppm). Data are reported as following: chemical shift, multiplicity (s = singlet, d = doublet, dd = doublet of doublets, t = triplet, q = quartet, m = multiplet, br = broad signal), coupling constant (Hz), and integration.

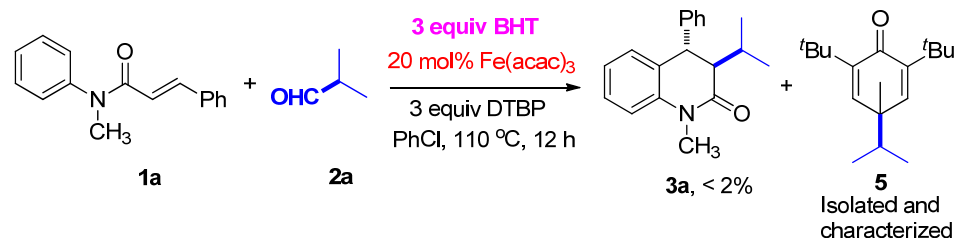
## II. General experimental procedures

A general experimental procedure is described as following:

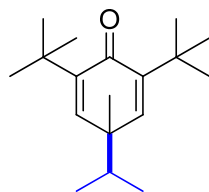
An oven-dried microwave reaction vessel was charged with *N*-methyl-*N*-phenylcinnamamide (**1a**, 0.2 mmol, 1 equiv), Fe(acac)<sub>3</sub> (0.04 mmol, 20 mol%) and isobutyraldehyde (**2a**, 0.8 mmol, 4 equiv) in chlorobenzene (1.0 mL) at ambient temperature, then DTBP (0.6 mmol, 3.0 equiv) was added with vigorous stirring under argon atmosphere. The reaction mixture was stirred at 110°C (oil bath temperature) for 12h. Afterwards the resulting mixture was cooled to room temperature, transferred to silica gel column directly and purified by column chromatography with a mixture of EtOAc in petroleum ether as eluent to give the pure product **3a**.

## III. Radical inhibition experiment

The cascade reaction of **1a** and **2a** was completely inhibited in the presence of 2,6-di-*tert*-butyl-4-methylphenol (BHT); instead, the decarbonylated alkyl radical was captured as 2,6-di-*tert*-butyl-4-isopropyl-4-methylcyclohexa-2,5-dienone **5**, which was isolated by column chromatography and characterized by NMR and MS.



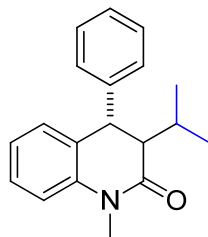
(5) 2,6-di-*tert*-butyl-4-isopropyl-4-methylcyclohexa-2,5-dienone



$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  6.44 (s, 2H), 1.81 – 1.74 (m, 1H), 1.24 (s, 18H), 1.17 (s, 3H), 0.84 (d,  $J = 6.8$  Hz, 6H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  186.91, 146.95, 145.81, 42.43, 37.54, 34.88, 29.70, 24.72, 18.09. IR: 2998, 2959, 2872, 1658, 1639, 1458, 1374, 1248, 1061, 880, 741  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{18}\text{H}_{30}\text{ONa}$   $[\text{M}+\text{Na}]^+$  285.2189, found: 285.2173.

#### IV. Spectra data of products 3a-3k, 4b-4s, 6

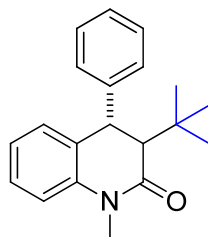
##### (3a) 3-isopropyl-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one<sup>1</sup>



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (43.0 mg, 77%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.32 (td,  $J = 7.6, 1.2$  Hz, 1H), 7.24 – 7.15 (m, 4H), 7.07 – 7.04 (m, 2H), 6.98 (d,  $J = 7.2$  Hz, 2H), 4.19 (s, 1H), 3.36 (s, 3H), 2.60 (dd,  $J = 9.2, 2.0$  Hz, 1H), 1.70 – 1.64 (m, 1H), 1.04 (d,  $J = 6.8$  Hz, 3H), 0.97 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  170.75, 142.16, 140.19, 129.66, 128.80, 128.14, 127.19, 126.80, 126.77, 123.33, 114.90, 56.52, 45.09, 29.58, 28.57, 21.13, 21.05. IR: 3061, 2961, 1673, 1602, 1468, 1360, 755, 698  $\text{cm}^{-1}$ .

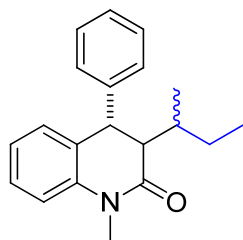
##### (3b) 3-(tert-butyl)-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one<sup>1</sup>



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with pivalaldehyde (**2b**), and purified by flash column chromatography as colorless oil (36.3 mg, 62%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.30 (td,  $J = 8.0, 1.6$  Hz, 1H), 7.23 – 7.12 (m, 4H), 7.06 – 7.02 (m, 2H), 6.96 (d,  $J = 7.2$  Hz, 2H), 4.31 (s, 1H), 3.41 (s, 3H), 2.68 (s, 1H), 0.94 (s, 9H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  169.63, 143.79, 140.78, 129.18, 128.89, 128.08, 127.56, 127.05, 126.68, 123.55, 114.75, 59.40, 43.93, 34.66, 29.70, 28.99. IR: 3060, 2958, 1661, 1599, 1463, 1357, 1128, 753  $\text{cm}^{-1}$ .

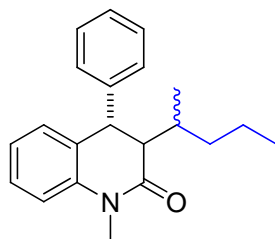
##### (3c) 3-(sec-butyl)-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with 2-methylbutanal (**2c**), and purified by flash column chromatography as colorless oil (39.9mg, 68%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.32 (td,  $J = 8.0, 1.6$  Hz, 1H), 7.25 – 7.21 (m, 2H), 7.18 – 7.15 (m, 2H), 7.07 – 7.05 (m, 2H), 6.98 (d,  $J = 8.0$  Hz, 2H), 4.18 (s, 1H), 3.36 (s, 3H), 2.74 – 2.70 (m, 1H), 1.64 – 1.47 (m, 2H), 1.37 – 1.26 (m, 1H), 0.96 – 0.84 (m, 6H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  171.01, 170.86, 142.42, 142.29, 140.23, 129.68, 129.60, 128.84, 128.14, 127.25, 127.22, 127.00, 126.92, 126.84, 126.81, 123.39, 123.35, 114.93, 114.87, 54.99, 54.22, 45.18, 44.66, 35.13, 34.43, 29.66, 29.62, 27.31, 26.95, 16.99, 16.94, 11.36, 10.64. IR: 3060, 2962, 1672, 1601, 1463, 1363, 1120, 754, 697  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{20}\text{H}_{23}\text{NONa}$   $[\text{M}+\text{Na}]^+$  316.1672, found: 316.1652.

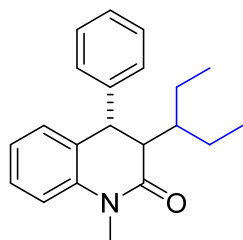
**(3d) 1-methyl-3-(pentan-2-yl)-4-phenyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with 2-methylpentanal (**2d**), and purified by flash column chromatography as colorless oil (43.6 mg, 71%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.32 (td,  $J = 8.0, 1.6$  Hz, 1H), 7.25 – 7.20 (m, 2H), 7.17 – 7.14 (m, 2H), 7.06 – 7.03 (m, 2H), 6.99 – 6.96 (m, 2H), 4.18 (s, 1H), 3.36 (d,  $J = 1.6$  Hz, 3H), 2.71 (dd,  $J = 8.4, 2.0$  Hz, 1H), 1.57 – 1.53 (m, 1H), 1.41 – 1.26 (m, 4H), 0.95 – 0.90 (m, 3H), 0.88 – 0.81 (m, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  171.03, 170.81, 142.47, 142.24, 140.26, 140.21, 129.68, 129.57, 128.84, 128.13, 127.29, 127.24, 127.05, 126.99, 126.84, 126.80, 123.39, 123.33, 114.89, 114.87, 55.19, 54.64, 45.32, 44.57, 36.99, 36.79, 33.62, 33.00, 29.68, 29.61, 20.18, 19.58, 17.56, 17.49, 14.41, 14.34. IR: 3025, 2957, 1672, 1599, 1462, 1358, 1120, 753  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{21}\text{H}_{25}\text{NONa}$   $[\text{M}+\text{Na}]^+$  330.1828, found : 330.1792.

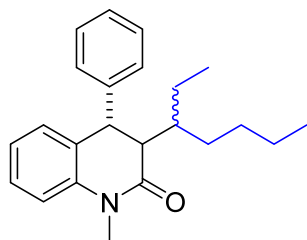
**(3e) 1-methyl-3-(pentan-3-yl)-4-phenyl-3,4-dihydroquinolin-2(1H)-one<sup>1</sup>**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with 2-ethylbutanal (**2e**), and purified by flash column chromatography as colorless oil (42.4 mg, 69%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.33 (td, *J* = 7.6, 1.2 Hz, 1H), 7.25 – 7.21 (m, 2H), 7.17 – 7.16 (m, 2H), 7.07 – 7.03 (m, 2H), 6.97 (d, *J* = 7.2 Hz, 2H), 4.17 (d, *J* = 1.6 Hz, 1H), 3.36 (s, 3H), 2.85 (dd, *J* = 8.0, 2.0 Hz, 1H), 1.57 – 1.52 (m, 1H), 1.46 – 1.38 (m, 4H), 0.87 (t, *J* = 7.2 Hz, 3H), 0.81 (t, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 171.13, 142.32, 140.28, 129.62, 128.84, 128.13, 127.24, 126.97, 126.82, 123.35, 114.89, 51.94, 44.72, 40.06, 29.68, 22.72, 21.85, 11.24, 9.56. IR: 3060, 2961, 1672, 1600, 1462, 1363, 753 cm<sup>-1</sup>.

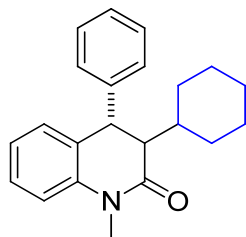
**(3f) 1-methyl-3-(octan-3-yl)-4-phenyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with 2-ethylhexanal (**2f**), and purified by flash column chromatography as colorless oil (46.9 mg, 70%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.32 (t, *J* = 7.2 Hz, 1H), 7.26 – 7.21 (m, 2H), 7.16 (t, *J* = 7.2 Hz, 2H), 7.06 – 7.03 (m, 2H), 6.98 (d, *J* = 7.6 Hz, 2H), 4.16 (s, 1H), 3.35 (s, 3H), 2.84 (d, *J* = 5.6 Hz, 1H), 1.46 – 1.38 (m, 5H), 1.26 – 1.18 (m, 4H), 0.90 – 0.87 (m, 3H), 0.83 – 0.80 (m, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 171.17, 142.36, 140.31, 129.65, 128.87, 128.15, 127.32, 127.10, 126.86, 123.36, 114.89, 52.39, 44.86, 39.12, 29.70, 29.50, 27.77, 23.52, 23.17, 14.19, 11.22. IR: 2925, 1672, 1599, 1462, 1359, 1120, 669 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>23</sub>H<sub>29</sub>NONa [M+Na]<sup>+</sup> 358.2141, found: 358.2113.

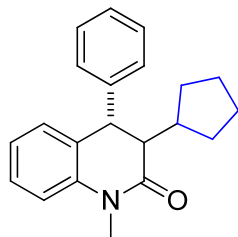
**(3g) 3-cyclohexyl-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one<sup>2</sup>**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with cyclohexanecarbaldehyde (**2g**), and purified by flash column chromatography as colorless oil (46.6 mg, 73%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.33 (td, *J* = 7.6, 1.2 Hz, 1H), 7.23 – 7.14 (m, 4H), 7.07 – 7.04 (m, 2H), 6.96 (d, *J* = 7.2 Hz, 2H), 4.21 (s, 1H), 3.36 (s, 3H), 2.67 (dd, *J* = 8.8, 1.2 Hz, 1H), 1.93 – 1.91 (m, 1H), 1.74 – 1.71 (m, 2H), 1.58 – 1.57 (m, 2H), 1.38 – 1.33 (m, 1H), 1.29 – 1.20 (m, 1H), 1.16 – 1.07 (m, 4H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.62, 142.46, 140.21, 129.73, 128.81, 128.13, 127.19, 126.82, 126.77, 123.36, 114.95, 55.75, 44.56, 37.86, 31.50, 31.22, 29.58, 26.27, 26.25, 26.09. IR: 3024, 2925, 1673, 1601, 1463, 1362, 1128, 753, 696 cm<sup>-1</sup>.

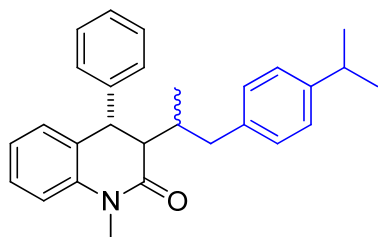
**(3h) 3-cyclopentyl-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one<sup>2</sup>**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with cyclopentanecarbaldehyde (**2h**), and purified by flash column chromatography as colorless oil (40.3 mg, 66%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.33 (td, *J* = 8.0, 1.6 Hz, 1H), 7.25 – 7.20 (m, 3H), 7.16 – 7.14 (m, 1H), 7.08 – 7.04 (m, 2H), 6.97 (d, *J* = 7.6 Hz, 2H), 4.13 (s, 1H), 3.35 (s, 3H), 2.70 (dd, *J* = 10.4, 1.2 Hz, 1H), 1.92 – 1.89 (m, 1H), 1.78 – 1.74 (m, 1H), 1.67 – 1.65 (m, 2H), 1.57 – 1.43 (m, 4H), 1.37 – 1.36 (m, 1H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.95, 142.15, 140.14, 129.84, 128.81, 128.16, 127.12, 126.81, 126.55, 123.33, 114.90, 55.15, 46.63, 40.39, 31.48, 30.93, 29.56, 25.22, 24.67. IR: 3061, 2950, 1674, 1601, 1467, 1362, 1130, 753, 697 cm<sup>-1</sup>.

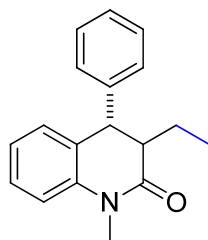
**(3i)(4S)-3-(1-(4-isopropylphenyl)propan-2-yl)-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with 3-(4-isopropylphenyl)-2-methylpropanal (**2i**), and purified by flash column chromatography as colorless oil (66.7 mg, 84 %).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.34 – 7.29 (m, 1H), 7.25 – 7.02 (m, 8H), 6.98 – 6.92 (m, 4H), 4.22 (s, 1H), 3.33 (d, *J* = 17.2 Hz, 3H), 2.99 – 2.79 (m, 3H), 2.49 – 2.42 (m, 1H), 1.93 – 1.85 (m, 1H), 1.22 (dd, *J* = 6.8, 4.8 Hz, 6H), 0.90 (dd, *J* = 11.6, 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.81, 170.60, 146.73, 146.45, 142.04, 141.86, 140.23, 140.16, 137.79, 137.71, 129.55, 129.51, 129.30, 129.24, 128.86, 128.23, 128.18, 127.50, 127.37, 127.32, 126.99, 126.93, 126.91, 126.42, 126.26, 123.45, 123.38, 114.96, 114.89, 54.86, 53.58, 45.63, 44.87, 41.32, 40.30, 35.80, 35.50, 33.82, 33.78, 29.67, 29.63, 24.20, 17.76, 17.39. IR: 3024, 2960, 1673, 1601, 1462, 1363, 754, 698 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>28</sub>H<sub>31</sub>NONa [M+Na]<sup>+</sup> 420.2298, found: 420.2252.

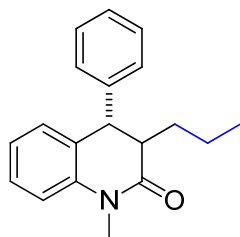
**(3j) 3-ethyl-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with propionaldehyde (**2j**), and purified by flash column chromatography as colorless oil (22.8 mg, 43%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.33 – 7.25 (m, 3H), 7.22 – 7.18 (m, 1H), 7.06 – 7.00 (m, 5H), 4.05 (d, *J* = 4.4 Hz, 1H), 3.38 (s, 3H), 2.87 – 2.82 (m, 1H), 1.60 – 1.54 (m, 2H), 1.01 (t, *J* = 7.6 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 171.55, 141.80, 139.89, 129.57, 128.88, 128.04, 127.66, 127.36, 126.98, 123.24, 114.75, 49.96, 46.20, 29.71, 23.57, 11.60. IR: 3027, 2964, 1673, 1599, 1461, 1365, 753, 699 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>18</sub>H<sub>19</sub>NONa [M+Na]<sup>+</sup> 288.1359, found : 288.1333.

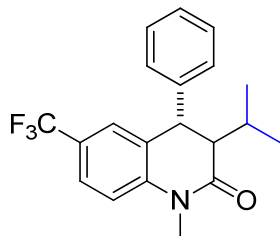
**(3k) 1-methyl-4-phenyl-3-propyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-phenylcinnamamide (**1a**) with butyraldehyde (**2k**), and purified by flash column chromatography as colorless oil (23.4 mg, 42%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.32 (td, *J* = 8.0, 1.6 Hz, 1H), 7.27 – 7.24 (m, 2H), 7.20 – 7.17 (m, 1H), 7.10 – 7.02 (m, 5H), 4.03 (d, *J* = 3.6 Hz, 1H), 3.37 (s, 3H), 2.93 (dd, *J* = 10.0, 6.4 Hz, 1H), 1.52 – 1.42 (m, 4H), 0.89 (t, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 171.71, 141.98, 139.90, 129.72, 128.89, 128.09, 127.53, 127.12, 126.97, 123.30, 114.81, 48.55, 46.65, 32.82, 29.71, 20.38, 14.06. IR: 3027, 2957, 1672, 1600, 1463, 1368, 754, 699 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>19</sub>H<sub>21</sub>NONa [M+Na]<sup>+</sup> 302.1515, found : 302.1489.

**(4b) 3-isopropyl-1-methyl-4-phenyl-6-(trifluoromethyl)-3,4-dihydroquinolin-2(1H)-one**

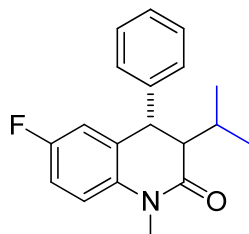


The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-(4-(trifluoromethyl)phenyl) cinnamamide (**1b**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (37.8 mg, 62%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.59 (d, *J* = 8.4 Hz, 1H), 7.45 (s, 1H), 7.27 – 7.13 (m, 4H), 6.95 (d, *J* = 7.6 Hz, 2H), 4.26 (s, 1H), 3.39 (s, 3H), 2.64 (dd, *J* = 9.2, 1.6 Hz, 1H), 1.70 – 1.61 (m, 1H), 1.06

(d,  $J = 6.8$  Hz, 3H), 0.97 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  170.66, 143.11, 141.29, 129.07, 127.34, 127.23, 127.07, 126.56 (q,  $J = 3.7$  Hz), 125.59 (q,  $J = 3.7$  Hz), 125.32 (q,  $J = 32.7$  Hz), 124.18 (d,  $J = 269.8$  Hz), 114.90, 56.27, 45.10, 29.74, 28.77, 21.10, 21.05.  $^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -62.41 (s, 3F). IR: 2964, 1683, 1619, 1152, 1324, 1118, 746  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{20}\text{H}_{20}\text{F}_3\text{NONa}$   $[\text{M}+\text{Na}]^+$  370.1389, found: 370.1362.

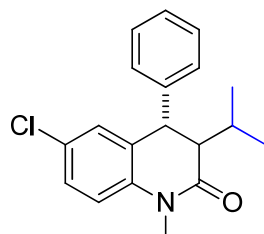
**(4c) 6-fluoro-3-isopropyl-1,4-dimethyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-(4-fluorophenyl)-*N*-methylcinnamamide (**1c**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (41.6 mg, 70%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.24 (t,  $J = 7.2$  Hz, 2H), 7.19 – 7.16 (m, 1H), 7.02 – 6.96 (m, 4H), 6.93 – 6.91 (m, 1H), 4.15 (d,  $J = 1.6$  Hz, 1H), 3.35 (s, 3H), 2.59 (dd,  $J = 9.2, 2.0$  Hz, 1H), 1.70 – 1.64 (m, 1H), 1.04 (d,  $J = 6.4$  Hz, 3H), 0.98 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  170.98, 140.76 (d,  $J = 229.7$  Hz), 137.33, 129.91, 128.73 (d,  $J = 11.0$  Hz), 128.14, 127.27 (d,  $J = 44.1$  Hz), 127.04 (d,  $J = 33.9$  Hz), 126.82, 123.45, 115.77, 56.55, 46.09, 45.01, 28.48, 21.36, 21.03.  $^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -120.27 (s, 1F). IR: 2961, 1673, 1502, 1356, 1115, 697  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{19}\text{H}_{20}\text{FNONa}$   $[\text{M}+\text{Na}]^+$  320.1421, found: 320.1389.

**(4d) 6-chloro-3-isopropyl-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one<sup>1</sup>**

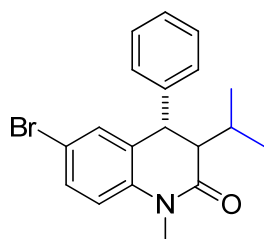


The title compound was prepared according to the general procedure described above by the reaction between *N*-(4-chlorophenyl)-*N*-methylcinnamamide (**1d**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (43.8 mg, 70%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.30 – 7.22 (m, 3H), 7.19 – 7.16 (m, 2H), 6.97 (t,  $J = 8.8$  Hz, 3H), 4.15 (s, 1H), 3.34 (s, 3H), 2.59 (dd,  $J = 9.2, 2.0$  Hz, 1H), 1.69 – 1.62 (m, 1H), 1.04 (d,  $J = 6.4$  Hz, 3H), 0.97 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  170.40, 141.43, 138.91, 129.49, 128.98, 128.66, 128.43, 128.05, 127.10, 116.14, 56.24, 44.98, 29.71, 28.69, 21.06. IR: 3027, 2960, 1676, 1493, 1348, 1109, 697  $\text{cm}^{-1}$ .

**(4e) 6-bromo-3-isopropyl-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one**

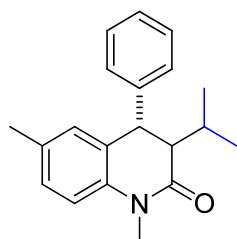




The title compound was prepared according to the general procedure described above by the reaction between *N*-(4-bromophenyl)-*N*-methylcinnamamide (**1e**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (47.8 mg, 67%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.43 (dd,  $J = 8.8, 2.4$  Hz, 1H), 7.32 (d,  $J = 2.4$  Hz, 1H), 7.26 – 7.18 (m, 3H), 6.97 – 6.92 (m, 3H), 4.15 (s, 1H), 3.33 (s, 3H), 2.58 (dd,  $J = 9.2, 2.0$  Hz, 1H), 1.69 – 1.62 (m, 1H), 1.04 (d,  $J = 6.8$  Hz, 3H), 0.97 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  170.39, 141.43, 139.42, 132.32, 131.02, 129.03, 128.99, 127.12, 127.10, 116.55, 115.97, 56.27, 44.94, 29.67, 28.69, 21.08. IR: 3060, 2959, 1672, 1490, 1413, 1346, 1150, 696  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{19}\text{H}_{20}\text{BrNONa}$   $[\text{M}+\text{Na}]^+$  380.0620, found: 380.0606.

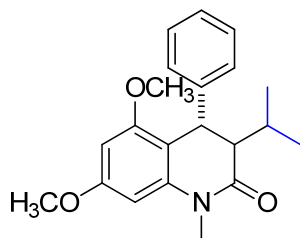
**(4f) 3-isopropyl-1,6-dimethyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one<sup>1</sup>**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-(*p*-tolyl)cinnamamide (**1f**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (41.6 mg, 71%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.22 (t,  $J = 7.2$  Hz, 2H), 7.16 – 7.10 (m, 2H), 6.99 – 6.94 (m, 4H), 4.13 (s, 1H), 3.34 (s, 3H), 2.56 (dd,  $J = 9.2, 1.6$  Hz, 1H), 2.29 (s, 3H), 1.70 – 1.64 (m, 1H), 1.04 (d,  $J = 6.8$  Hz, 3H), 0.97 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  170.64, 142.41, 137.86, 132.88, 130.36, 128.82, 128.57, 127.21, 126.78, 126.61, 114.82, 56.77, 45.20, 29.60, 28.60, 21.19, 21.09, 20.74. IR: 3024, 2960, 1672, 1505, 1355, 809, 697  $\text{cm}^{-1}$ .

**(4g) 3-isopropyl-5,7-dimethoxy-1-methyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one**

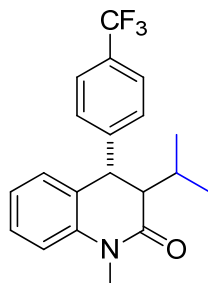


The title compound was prepared according to the general procedure described above by the reaction between *N*-(3,5-dimethoxyphenyl)-*N*-methylcinnamamide (**1g**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as white solid (47.5 mg, 70%). M.p 187- 188 °C.

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.22 – 7.18 (m, 2H), 7.15 – 7.11 (m, 1H), 7.01 (d,  $J = 7.2$  Hz, 2H), 6.26 (dd,  $J = 7.6, 2.0$  Hz, 2H), 4.53 (s, 1H), 3.85 (s, 3H), 3.76 (s, 3H), 3.33 (s, 3H), 2.52 (dd,  $J = 9.2$

Hz, 1.2 1H), 1.68 – 1.61 (m, 1H), 1.02 (d,  $J = 6.8$  Hz, 3H), 0.96 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  171.46, 160.30, 158.18, 142.59, 141.93, 128.66, 127.14, 126.55, 107.52, 94.06, 92.97, 56.73, 55.93, 55.54, 37.31, 29.90, 28.92, 21.39, 21.29. IR: 2958, 1673, 1597, 1336, 742, 697  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{21}\text{H}_{25}\text{NO}_3\text{Na}$   $[\text{M}+\text{Na}]^+$  362.1727, found: 362.1700.

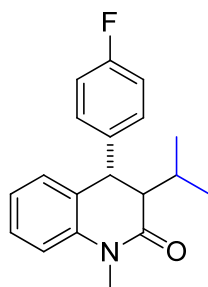
**(4h) 3-isopropyl-1-methyl-4-(4-(trifluoromethyl)phenyl)-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between (*E*)-*N*-methyl-*N*-phenyl-3-(4-(trifluoromethyl) phenyl) acrylamide (**1h**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (46.5 mg, 67%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.48 (d,  $J = 8.0$  Hz, 2H), 7.38 – 7.34 (m, 1H), 7.18 (d,  $J = 7.6$  Hz, 1H), 7.10 – 7.06 (m, 4 H), 4.24 (s, 1H), 3.36 (s, 3H), 2.58 (dd,  $J = 9.2, 1.6$  Hz, 1H), 1.71 – 1.65 (m, 1H), 1.05 (d,  $J = 6.4$  Hz, 3H), 0.98 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  170.32, 146.26, 140.18, 129.64, 129.32 (q,  $J = 32.3$  Hz), 128.64, 127.65, 125.81 (q,  $J = 3.7$  Hz), 125.76, 125.53 (q,  $J = 270.1$  Hz), 123.59, 115.15, 56.46, 45.00, 29.63, 28.58, 21.13, 21.01.  $^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -62.87 (s, 3F). IR: 2964, 2934, 1675, 1602, 1469, 1326, 1121, 755  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{20}\text{H}_{20}\text{F}_3\text{NONa}$   $[\text{M}+\text{Na}]^+$  370.1389, found: 370.1360.

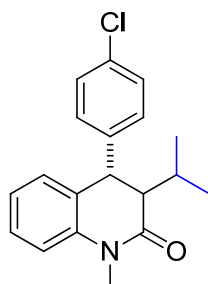
**(4i) 4-(4-fluorophenyl)-3-isopropyl-1-methyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between (*E*)-3-(4-fluorophenyl)-*N*-methyl-*N*-phenylacrylamide (**1i**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (41.6 mg, 70%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.34 (td,  $J = 8.0, 1.2$  Hz, 1H), 7.18 – 7.16 (m, 1H), 7.08 – 7.05 (m, 2H), 6.95 – 6.88 (m, 4H), 4.16 (s, 1H), 3.35 (s, 3H), 2.55 (dd,  $J = 9.2, 1.6$  Hz, 1H), 1.68 – 1.60 (m, 1H), 1.03 (d,  $J = 6.4$  Hz, 3H), 0.97 (d,  $J = 6.8$  Hz, 3H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  170.63, 161.71 (d,  $J = 243.7$  Hz), 140.13, 137.86 (d,  $J = 3.1$  Hz), 129.64, 128.75 (d,  $J = 8.0$  Hz), 128.35, 126.60, 123.47, 115.64 (d,  $J = 21.2$  Hz), 115.05, 56.72, 44.41, 29.62, 28.51, 21.17, 21.04.  $^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -116.70 (s, 1F). IR: 3068, 2962, 1673, 1601, 1508, 1361, 755  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{19}\text{H}_{20}\text{FNONa}$   $[\text{M}+\text{Na}]^+$  320.1421, found: 320.1389.

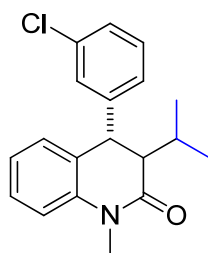
**(4j) 4-(4-chlorophenyl)-3-isopropyl-1-methyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-(4-chlorophenyl)-*N*-methylcinnamamide (**1j**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as white solid (42.6 mg, 68%). M.p101-102 °C

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.34 (td, *J* = 8.0, 1.6 Hz, 1H), 7.21 – 7.15 (m, 3H), 7.08 – 7.05 (m, 2H), 6.90 (d, *J* = 8.8 Hz, 2H), 4.15 (d, *J* = 1.2 Hz, 1H), 3.35 (s, 3H), 2.55 (dd, *J* = 9.2, 2.0 Hz, 1H), 1.68 – 1.62 (m, 1H), 1.03 (d, *J* = 6.8 Hz, 3H), 0.97 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.51, 140.66, 140.16, 132.66, 129.63, 128.97, 128.64, 128.44, 126.27, 123.50, 115.08, 56.53, 44.54, 29.63, 28.52, 21.16, 21.04. IR: 2961, 1673, 1601, 1490, 1360, 754 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>19</sub>H<sub>20</sub>ClN<sub>2</sub>O [M+Na]<sup>+</sup> 336.1126, found: 336.1079.

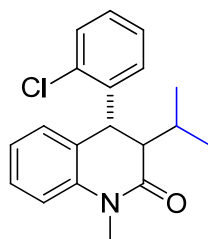
**(4k) 4-(3-chlorophenyl)-3-isopropyl-1-methyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-(3-chlorophenyl)-*N*-methylcinnamamide (**1k**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (43.2 mg, 69%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.35 (td, *J* = 8.0, 1.2 Hz, 1H), 7.17 – 7.14 (m, 3H), 7.09 – 7.06 (m, 2H), 6.94 (s, 1H), 6.84 (d, *J* = 6.0 Hz, 1H), 4.16 (s, 1H), 3.36 (s, 3H), 2.56 (dd, *J* = 9.2, 2.0 Hz, 1H), 1.68 – 1.61 (m, 1H), 1.03 (d, *J* = 6.4 Hz, 3H), 0.97 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.40, 144.29, 140.19, 134.62, 130.11, 129.68, 128.54, 127.60, 127.11, 125.88, 125.36, 123.54, 115.12, 56.54, 44.85, 29.63, 28.58, 21.15, 21.02. IR: 3066, 2962, 1673, 1602, 1471, 1361, 754 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>19</sub>H<sub>20</sub>ClN<sub>2</sub>O [M+Na]<sup>+</sup> 336.1126, found: 336.1088.

**(4l) 4-(2-chlorophenyl)-3-isopropyl-1-methyl-3,4-dihydroquinolin-2(1H)-one**

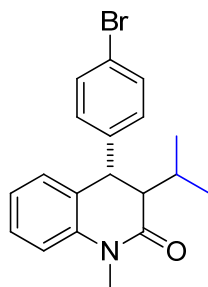


The title compound was prepared according to the general procedure described above by the reaction

between *N*-(2-chlorophenyl)-*N*-methylcinnamamide (**1l**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (47.0 mg, 75%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.37 – 7.35 (m, 2H), 7.15 – 7.02 (m, 5H), 6.51 (dd, *J* = 7.6, 1.2 Hz, 1H), 4.66 (d, *J* = 0.8 Hz, 1H), 3.40 (s, 3H), 2.61 (dd, *J* = 9.6, 2.0 Hz, 1H), 1.70 – 1.66 (m, 1H), 1.12 (d, *J* = 6.4 Hz, 3H), 0.96 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.51, 140.98, 139.00, 133.28, 130.15, 129.86, 128.58, 128.54, 128.23, 127.28, 126.03, 123.64, 114.95, 54.60, 42.53, 29.63, 29.24, 21.27, 20.77. IR: 32962, 1677, 1602, 1469, 1274, 1039, 751, 689 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>19</sub>H<sub>20</sub>ClNONa [M+Na]<sup>+</sup> 336.1126, found: 336.1087.

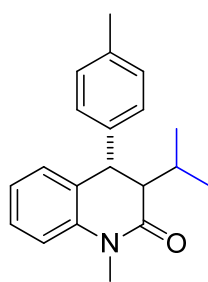
**(4m) 4-(4-bromophenyl)-3-isopropyl-1-methyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-(4-bromophenyl)-*N*-methylcinnamamide (**1m**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (50.7 mg, 71%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.35 – 7.32 (m, 3H), 7.17 – 7.15 (m, 1H), 7.08 – 7.05 (m, 2H), 6.85 (d, *J* = 8.4 Hz, 2H), 4.13 (s, 1H), 3.35 (s, 3H), 2.54 (dd, *J* = 9.2, 1.6 Hz, 1H), 1.67 – 1.62 (m, 1H), 1.03 (d, *J* = 6.8 Hz, 3H), 0.97 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.45, 141.16, 140.11, 131.87, 129.58, 128.99, 128.43, 126.14, 123.48, 120.72, 115.05, 56.43, 44.55, 29.60, 28.48, 21.13, 21.01. IR: 3041, 2961, 1672, 1467, 1360, 754 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>19</sub>H<sub>20</sub>BrNONa [M+Na]<sup>+</sup> 380.0620, found: 380.0599.

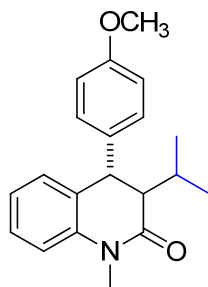
**(4n) 3-isopropyl-1-methyl-4-(p-tolyl)-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-(p-tolyl)cinnamamide (**1n**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (41.6 mg, 71%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.31 (td, *J* = 8.0, 1.6 Hz, 1H), 7.19 – 7.17 (m, 1H), 7.06 – 7.02 (m, 4H), 6.86 (d, *J* = 8.0 Hz, 2H), 4.15 (s, 1H), 3.35 (s, 3H), 2.58 (dd, *J* = 9.2, 2.0 Hz, 1H), 2.26 (s, 3H), 1.68 – 1.62 (m, 1H), 1.03 (d, *J* = 6.8 Hz, 3H), 0.97 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.89, 140.18, 139.13, 136.36, 129.62, 129.50, 128.05, 127.05, 123.31, 114.89, 56.56, 44.72, 29.58, 28.51, 21.15, 21.06, 21.03. IR: 3020, 2961, 1675, 1601, 1360, 754, cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>20</sub>H<sub>23</sub>NONa [M+Na]<sup>+</sup> 316.1672, found: 316.1646.

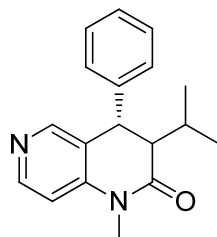
**(4o) 3-isopropyl-4-(4-methoxyphenyl)-1-methyl-3,4-dihydroquinolin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-(4-methoxyphenyl)-*N*-methylcinnamamide (**1o**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (46.4 mg, 75%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.31 (td, *J* = 8.0, 1.2 Hz, 1H), 7.18 – 7.17 (m, 1H), 7.07 – 7.03 (m, 2H), 6.89 (d, *J* = 8.0 Hz, 2H), 6.76 (d, *J* = 8.8 Hz, 2H), 4.14 (s, 1H), 3.73 (s, 3H), 3.35 (s, 3H), 2.57 (dd, *J* = 9.2, 2.0 Hz, 1H), 1.67 – 1.62 (m, 1H), 1.03 (d, *J* = 6.4 Hz, 3H), 0.96 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.12, 142.19, 139.13, 130.07, 128.71, 128.16, 127.31, 126.97, 126.76, 123.14, 114.72, 56.58, 45.23, 37.12, 28.39, 21.09, 21.00, 12.77. IR: 2960, 1673, 1601, 1361, 1250, 1035, 755 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>20</sub>H<sub>23</sub>NO<sub>2</sub>Na [M+Na]<sup>+</sup> 332.1621, found: 332.1586.

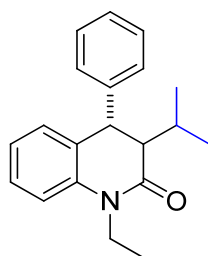
**(4p) 3-isopropyl-1-methyl-4-phenyl-3,4-dihydro-1,6-naphthyridin-2(1H)-one**



The title compound was prepared according to the general procedure described above by the reaction between *N*-methyl-*N*-(pyridin-4-yl)cinnamamide (**1p**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (29.1 mg, 52%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.51 (d, *J* = 5.6 Hz, 1H), 8.36 (s, 1H), 7.25 – 7.19 (m, 3H), 6.99 – 6.93 (m, 3H), 4.27 (s, 1H), 3.35 (s, 3H), 2.68 (dd, *J* = 9.2, 2.0 Hz, 1H), 1.70 – 1.65 (m, 1H), 1.07 (d, *J* = 6.4 Hz, 3H), 0.97 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.74, 150.07, 149.99, 146.74, 141.27, 129.05, 127.23, 126.97, 121.76, 109.18, 56.05, 42.21, 29.01, 28.91, 21.02, 20.97. IR: 2962, 1685, 1590, 1499, 1358, 742, 698.

**(4q) 1-ethyl-3-isopropyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one**

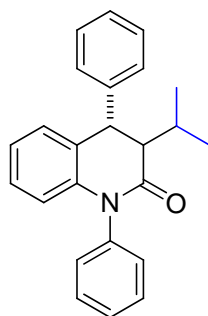


The title compound was prepared according to the general procedure described above by the reaction

between *N*-ethyl-*N*-phenylcinnamamide (**1p**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (37.5 mg, 64%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.31 (td, *J* = 8.4, 1.2 Hz, 1H), 7.23 – 7.12 (m, 4H), 7.09 – 7.02 (m, 2H), 6.98 (d, *J* = 7.2 Hz, 2H), 4.17 (s, 1H), 4.06 – 3.99 (m, 1H), 3.96 – 3.87 (m, 1H), 2.55 (dd, *J* = 9.2, 1.6 Hz, 1H), 1.70 – 1.61 (m, 1H), 1.20 (t, *J* = 6.8 Hz, 3H), 1.04 (d, *J* = 6.8 Hz, 3H), 0.98 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.91, 158.40, 140.14, 134.23, 129.61, 128.19, 128.06, 127.22, 123.32, 114.91, 114.22, 56.63, 55.33, 44.33, 29.58, 28.48, 21.15, 21.07. IR: 3061, 2964, 1673, 1601, 1461, 1378, 753, 697 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>20</sub>H<sub>23</sub>NONa [M+Na]<sup>+</sup> 316.1672, found: 316.1663.

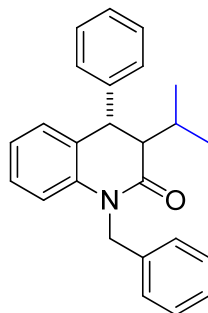
#### (4r) 3-isopropyl-1,4-diphenyl-3,4-dihydroquinolin-2(1H)-one



The title compound was prepared according to the general procedure described above by the reaction between *N,N*-diphenylcinnamamide (**1q**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as white solid (52.5 mg, 77%).

M.p 161-162 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.48 (t, *J* = 7.2 Hz, 2H), 7.41 – 7.39 (m, 1H), 7.31 – 7.09 (m, 9H), 7.05 – 7.03 (m, 1H), 6.44 (d, *J* = 8.0 Hz, 1H), 4.32 (s, 1H), 2.72 (dd, *J* = 9.6, 2.0 Hz, 1H), 1.89 – 1.84 (m, 1H), 1.14 (d, *J* = 2.8 Hz, 3H), 1.12 (d, *J* = 3.2 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.69, 142.19, 141.24, 138.70, 130.00, 129.78, 128.92, 128.24, 127.85, 127.41, 126.99, 126.15, 123.52, 117.17, 56.89, 45.43, 28.54, 21.26, 21.10. IR: 3061, 2960, 1682, 1493, 753, 694 cm<sup>-1</sup>. HRMS (+ESI) calculated for C<sub>24</sub>H<sub>23</sub>NONa [M+Na]<sup>+</sup> 364.1672, found: 364.1644.

#### (4s) 1-benzyl-3-isopropyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one

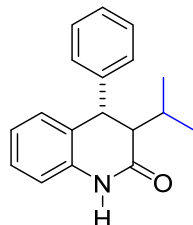


The title compound was prepared according to the general procedure described above by the reaction between *N*-benzyl-*N*-phenylcinnamamide (**1r**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as white solid (51.1 mg, 72%).

M.p 119-120 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.27 – 7.14 (m, 10H), 7.04 – 6.96 (m, 4H), 5.26 (d, *J* = 16.0 Hz, 1H), 5.02 (d, *J* = 16.0 Hz, 1H), 4.26 (s, 1H), 2.70 (dd, *J* = 9.6, 1.6 Hz, 1H), 1.81 – 1.75 (m, 1H), 1.09 (d, *J* = 6.4 Hz, 3H), 1.06 (d, *J* = 6.8 Hz, 3H). <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 170.36,

160.05, 157.63, 141.45, 136.53, 136.51, 128.97, 128.90, 127.14, 127.10, 116.67, 116.45, 116.14, 116.06, 114.61, 114.38, 56.20, 45.12, 29.86, 28.66, 21.07, 21.04. IR: 3027, 2960, 1672, 1494, 1462, 753, 696  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{25}\text{H}_{25}\text{NONa}$   $[\text{M}+\text{Na}]^+$  378.1828, found: 378.1808.

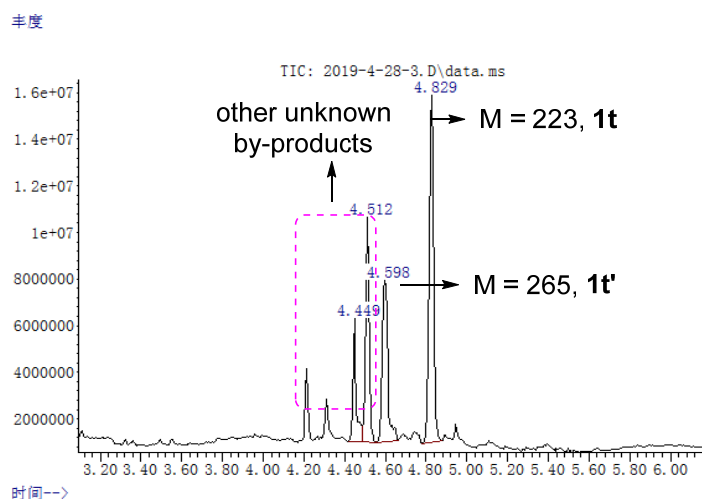
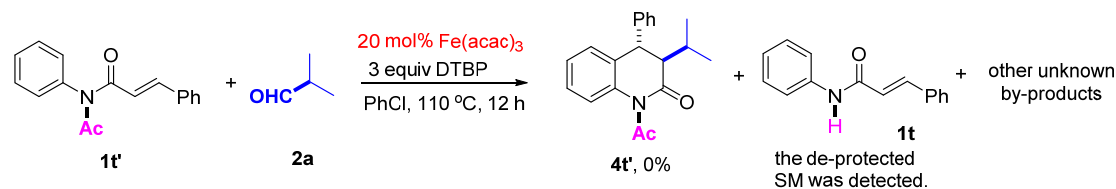
**(4t) 3-isopropyl-4-phenyl-3,4-dihydroquinolin-2(1H)-one**



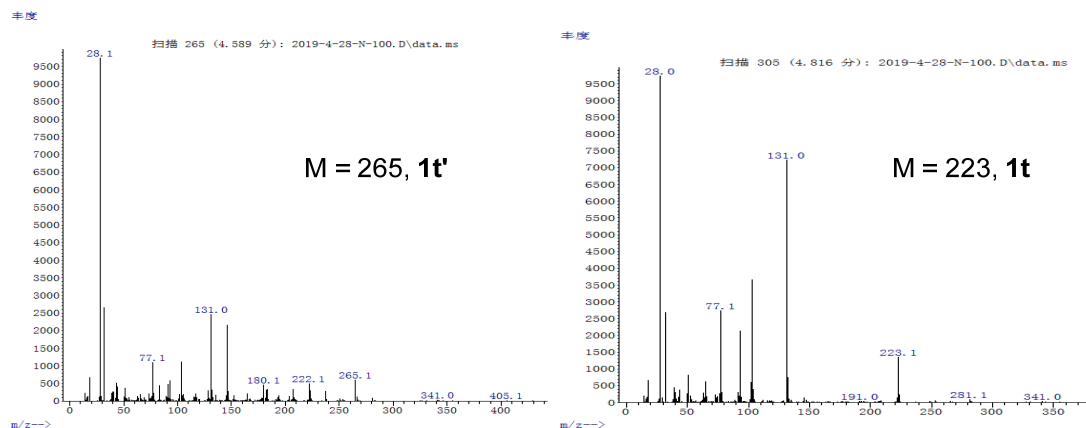
The title compound was prepared according to the general procedure described above by the reaction between *N*-phenylcinnamamide (**1s**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (4.8 mg, 9%).

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.64 (s, 1H), 7.24 – 7.16 (m, 3H), 7.18 – 7.16 (m, 2H), 7.04 – 7.02 (m, 3H), 6.79 (d,  $J = 8.0$  Hz, 1H), 4.26 (s, 1H), 2.53 (d,  $J = 8.0$  Hz, 1H), 1.85 – 1.79 (m, 1H), 1.06 (dd,  $J = 14.8, 6.4$  Hz, 6H).  $^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )  $\delta$  172.10, 142.61, 136.76, 129.78, 128.92, 128.21, 127.29, 126.93, 125.19, 123.71, 115.44, 56.17, 45.54, 29.12, 21.03, 20.89. IR: 2960, 2925, 1676, 1597, 1494, 1373, 753, 698  $\text{cm}^{-1}$ . HRMS (+ESI) calculated for  $\text{C}_{18}\text{H}_{19}\text{NONa}$   $[\text{M}+\text{Na}]^+$  288.1359, found: 288.1330.

**(4t')** For the *N*-acetyl analog (**1t'**), no cyclized product could be detected at all, and the *N*-acetyl group of substrate (**1t'**) was de-protected to produce amide **1t** as the main product.

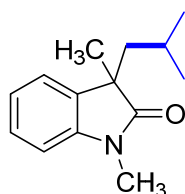


The GC spectrum of crude reaction mixture (*N*-acetyl substrate **1t'** under standard conditions)



The MS spectrum of **1t'** (left) and **1t** (right)

### (6) 3-isobutyl-1, 3-dimethylindolin-2-one <sup>3</sup>



The title compound was prepared according to the general procedure described above by the reaction between *N*-phenyl methacrylamide (**1u**) with isobutyraldehyde (**2a**), and purified by flash column chromatography as colorless oil (37.3 mg, 86%).

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.26 (t, *J* = 7.6 Hz, 1H), 7.16 (d, *J* = 7.2 Hz, 1H), 7.06 (t, *J* = 7.6 Hz, 1H), 6.84 (d, *J* = 7.6 Hz, 1H), 3.22 (s, 3H), 1.94 (dd, *J* = 14.0, 7.6 Hz, 1H), 1.76 (dd, *J* = 14.0, 5.6 Hz, 1H), 1.32 (s, 3H), 1.32 – 1.23 (m, 1H), 0.65 (d, *J* = 6.8 Hz, 3H), 0.61 (d, *J* = 6.4 Hz, 3H).

<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>) δ 181.19, 143.29, 134.31, 127.66, 122.91, 122.43, 108.05, 48.18, 46.84, 26.28, 26.24, 25.63, 24.22, 22.93.

## V. References

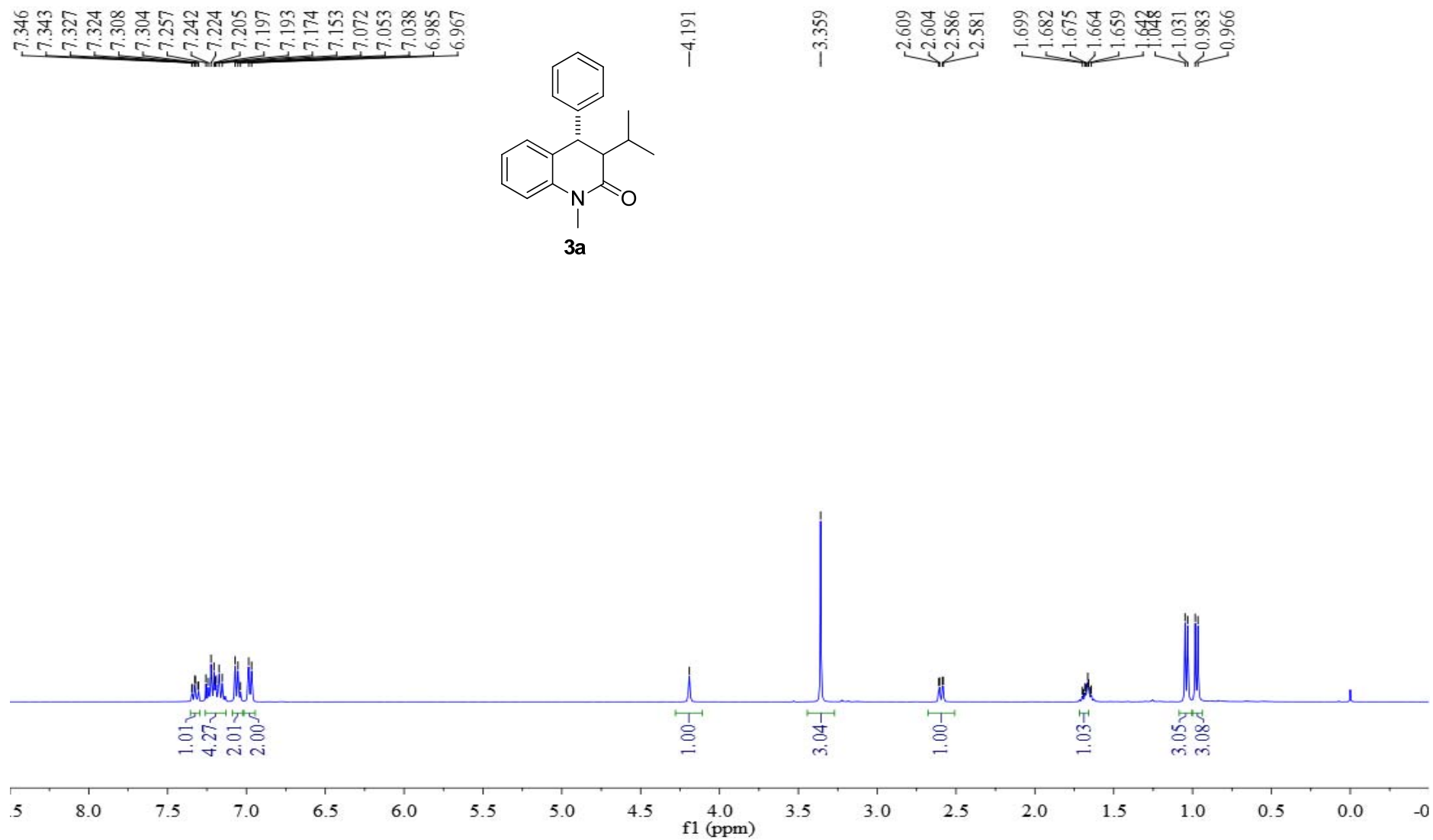
[1] W. P. Mai, J. T. Wang, L. R. Yang, J. W. Yuan, Y. M. Xiao, P. Mao, L. B. Qu, *Org. Lett.* **2014**, *16*, 204-207.

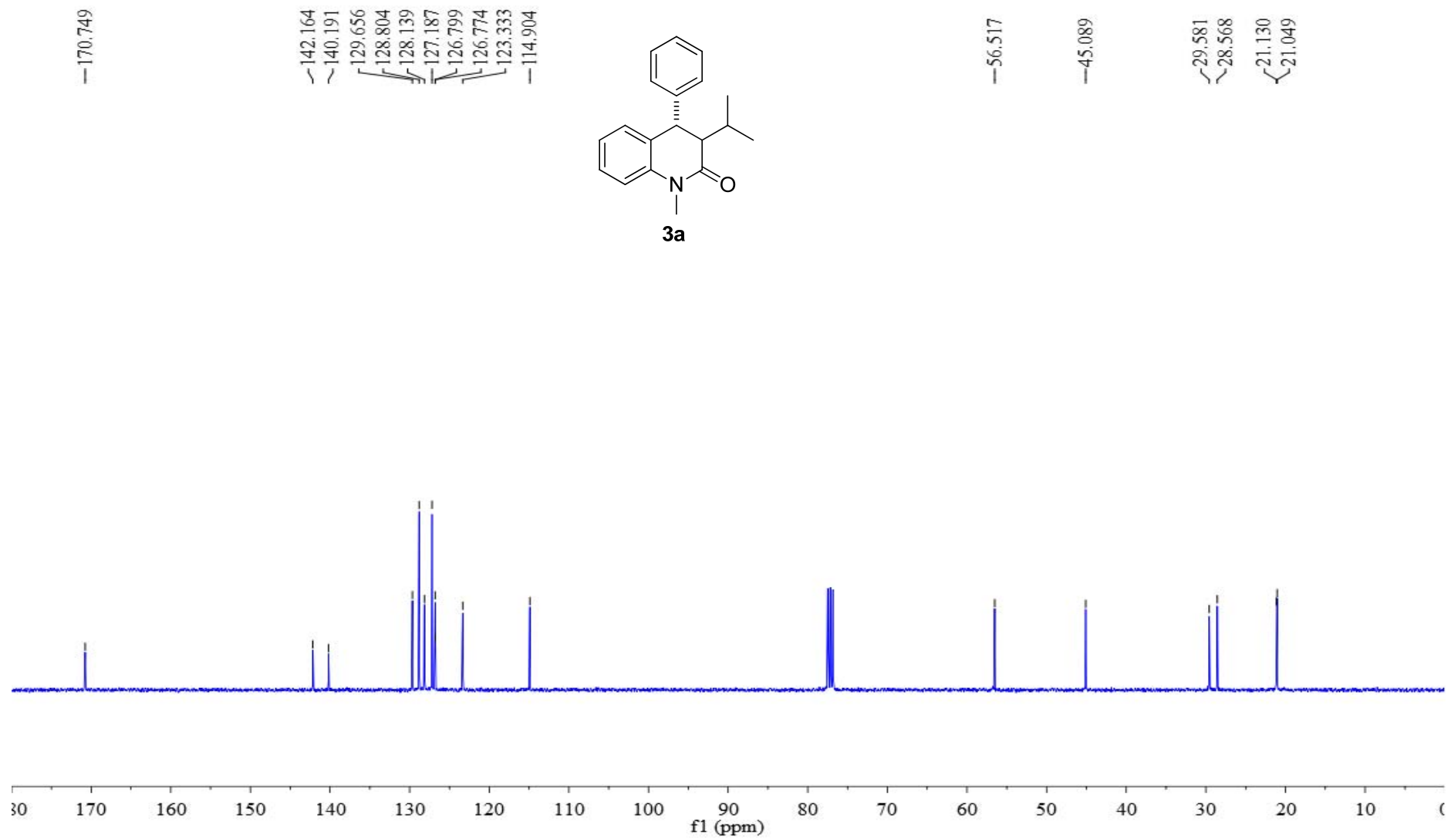
[2] S. L. Zhou, L. N. Guo, S. Wang, X. H. Duan, *Chem. Commun.* **2014**, *50*, 3589-3591.

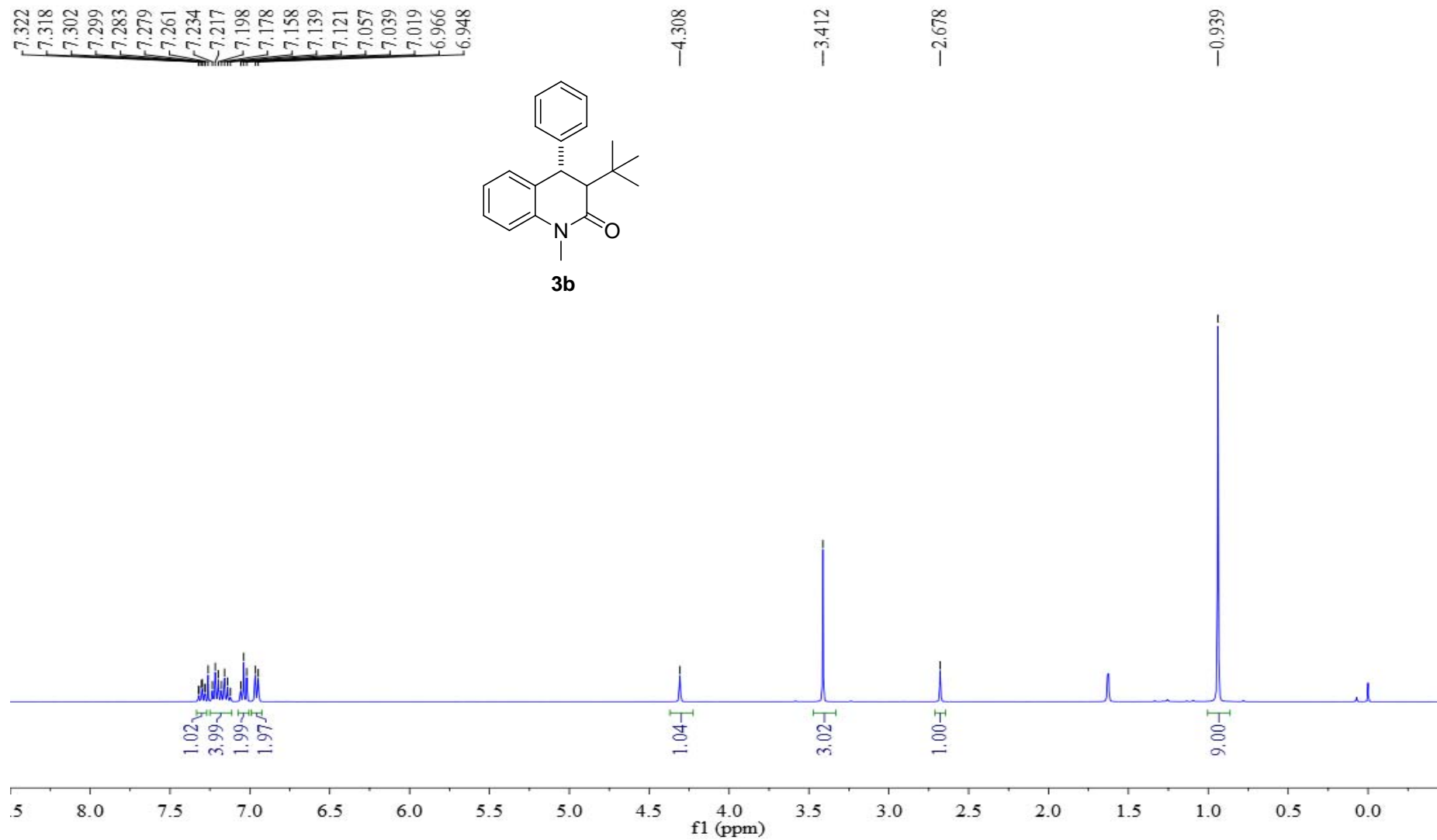
[3] L. Yang, W. Lu, W. Zhou, F. Zhang, *Green Chem.* **2016**, *18*, 2941-2945.

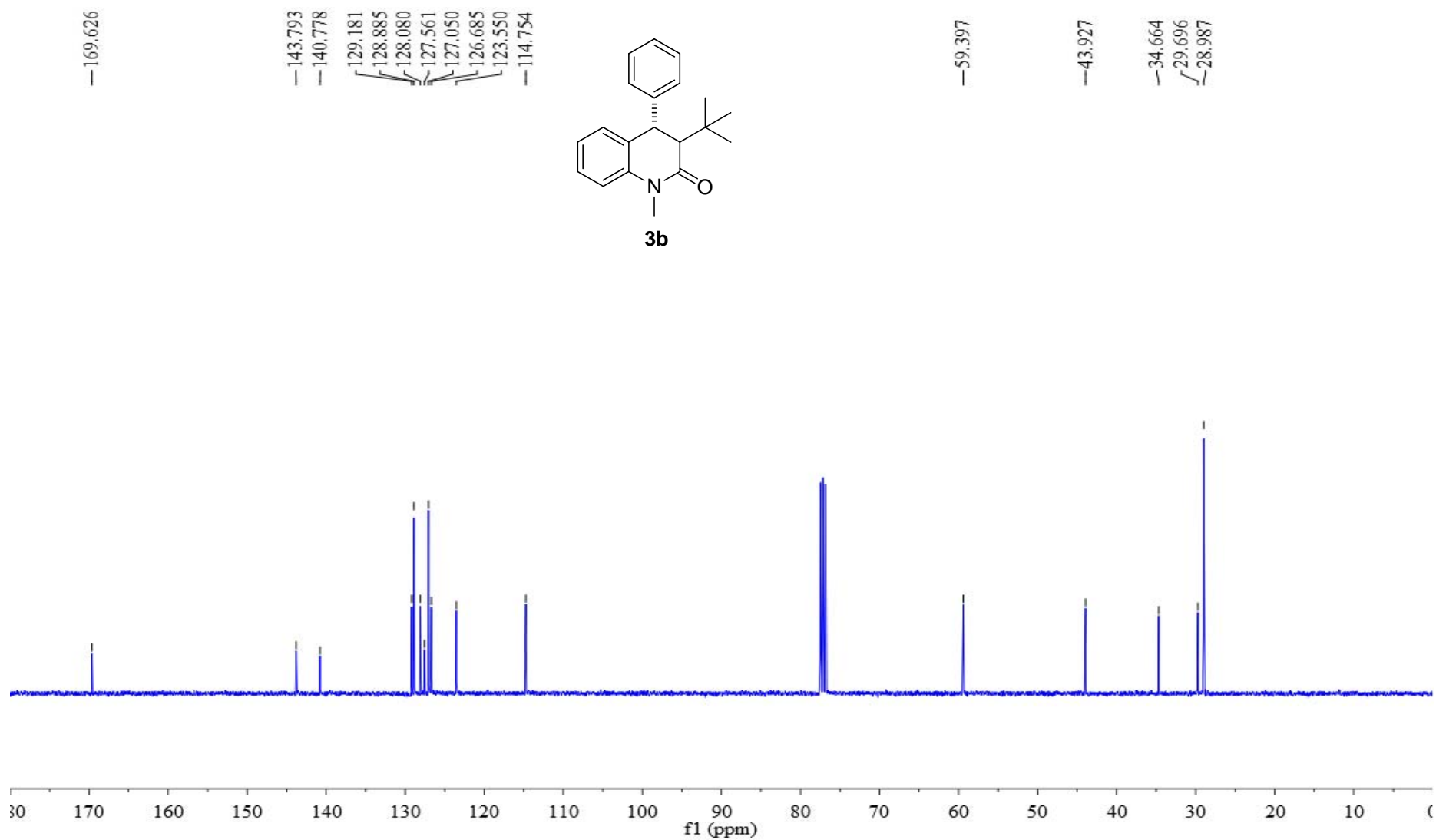
## VI. Copies of <sup>1</sup>H and <sup>13</sup>C NMR spectra of products **3a-3k**, **4b-4s**, **5**, **6**

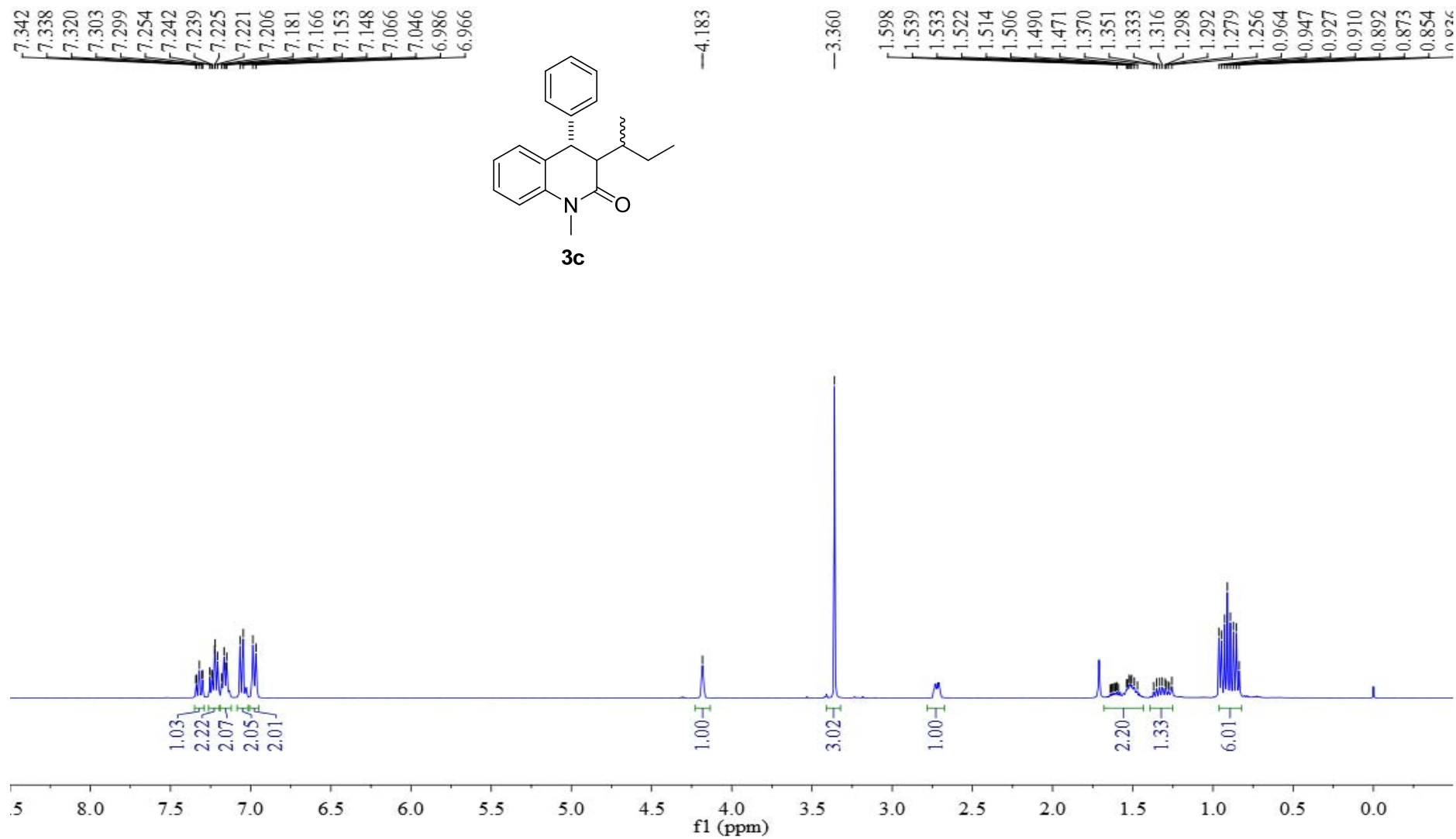


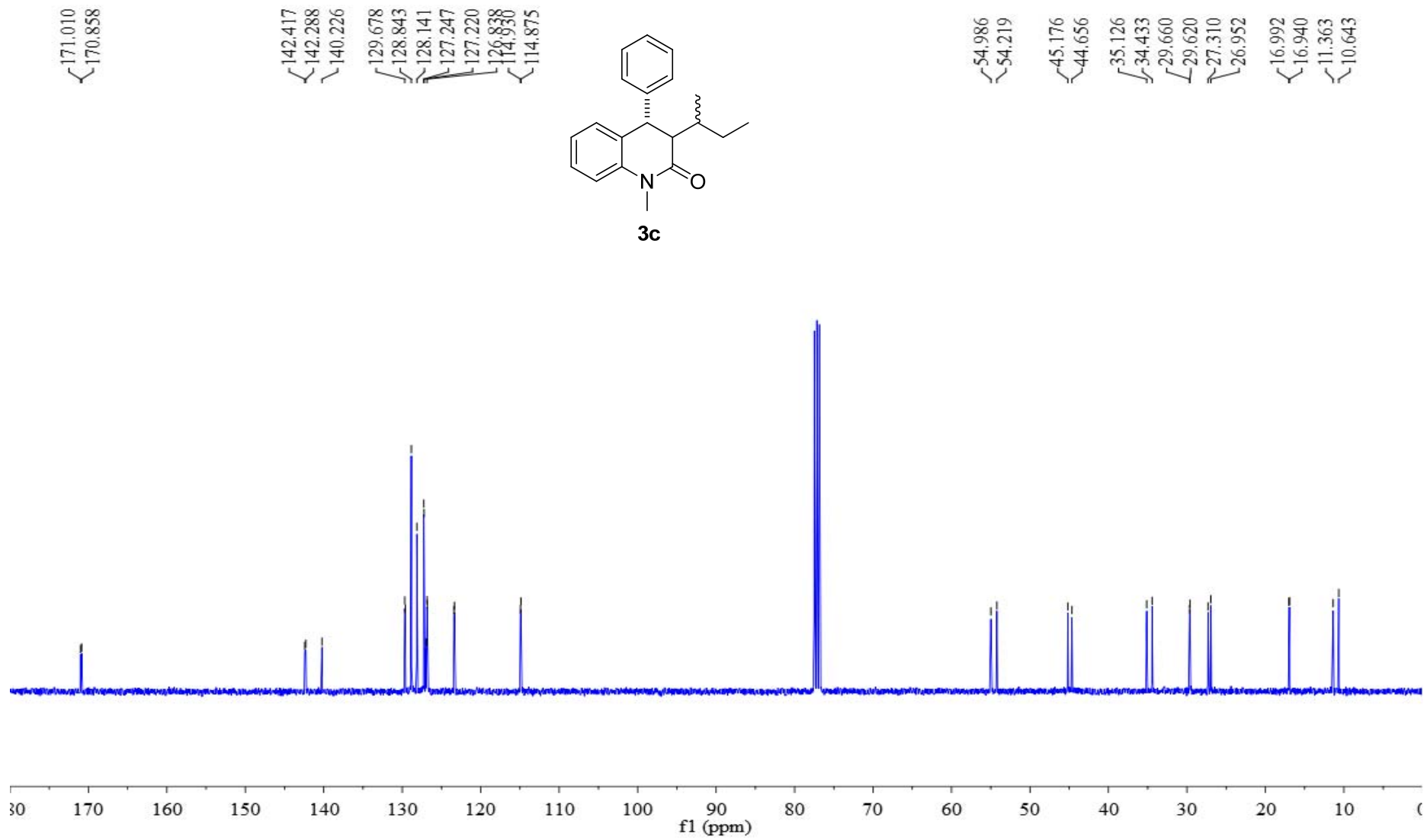


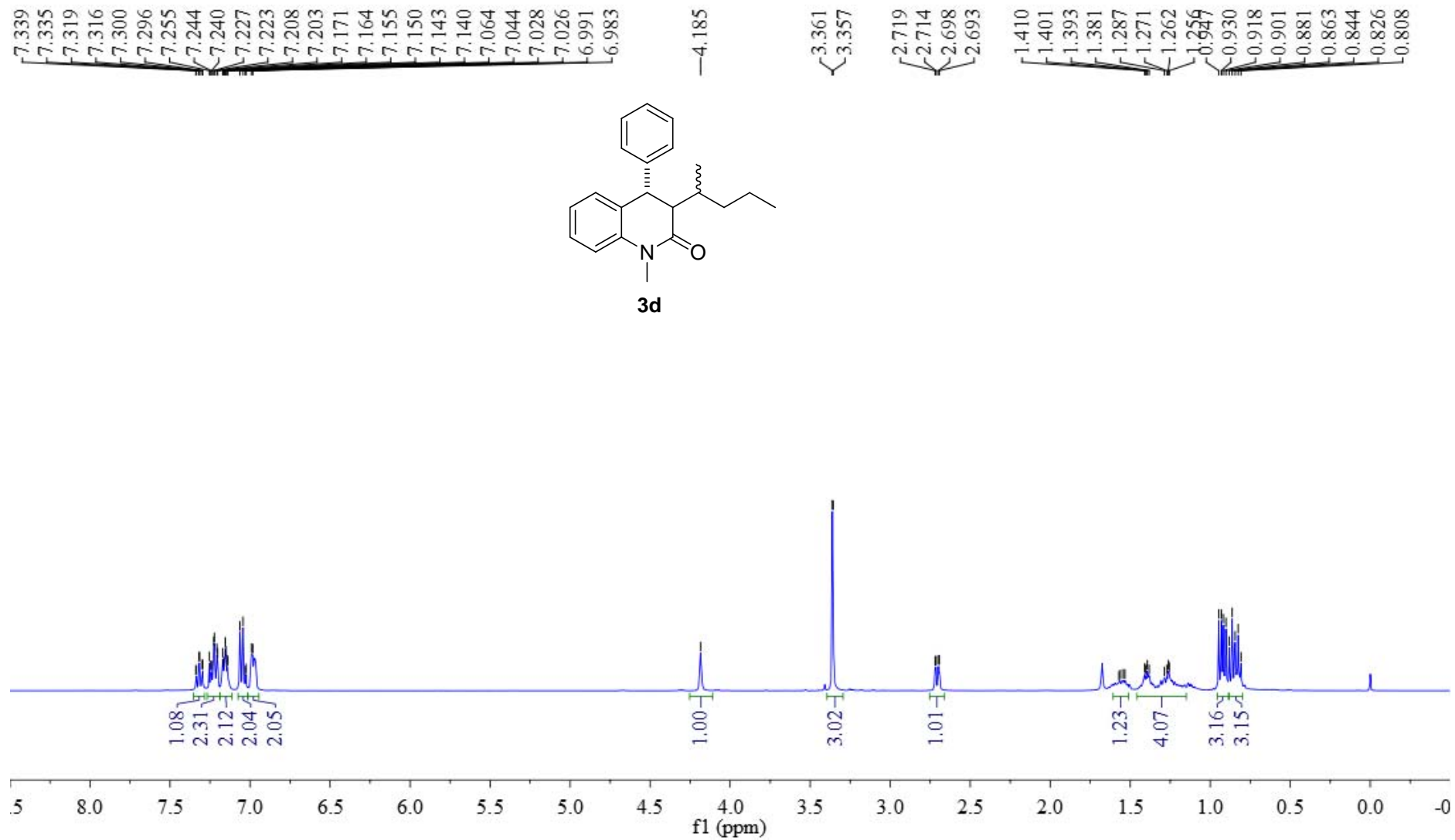


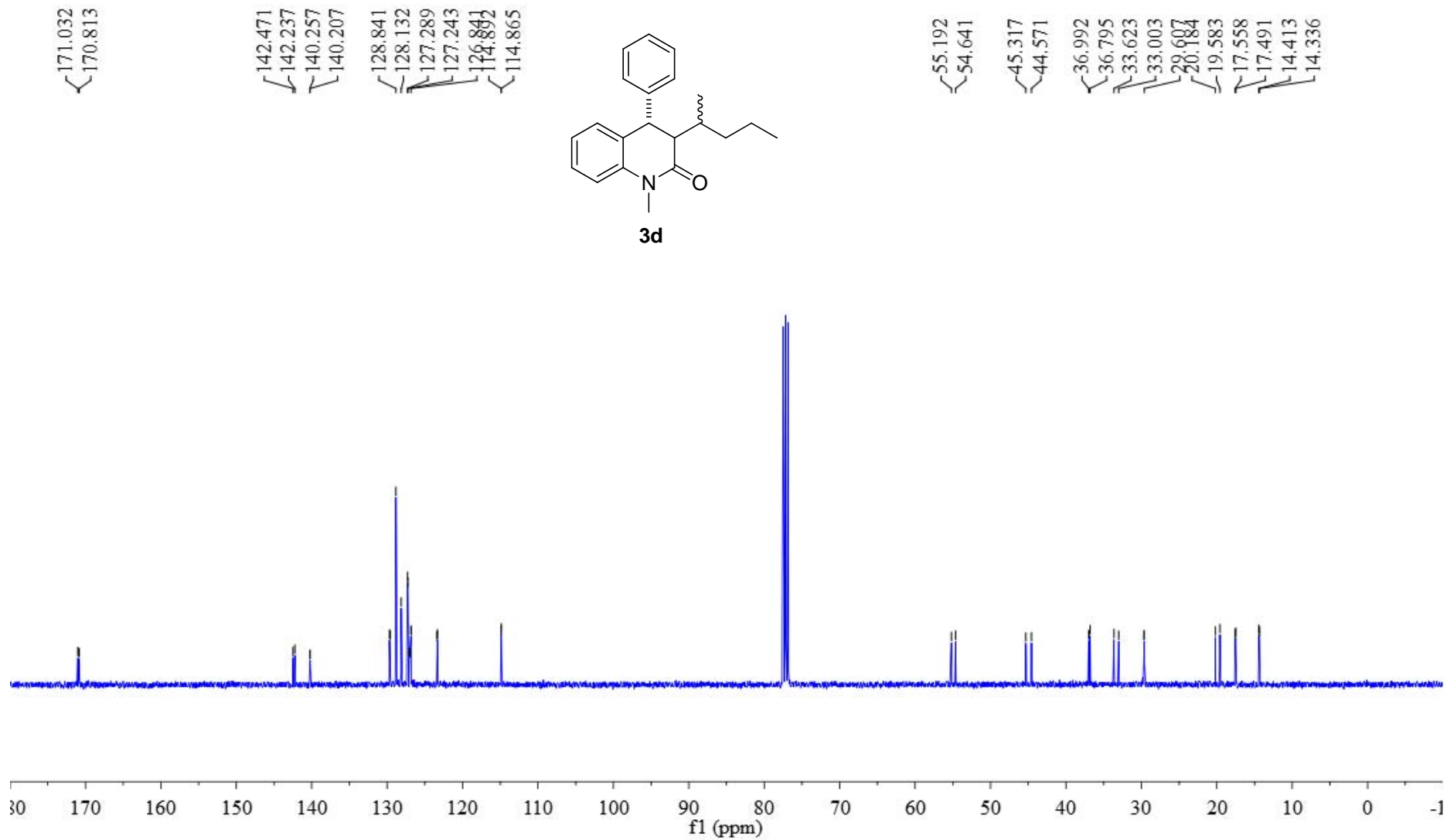




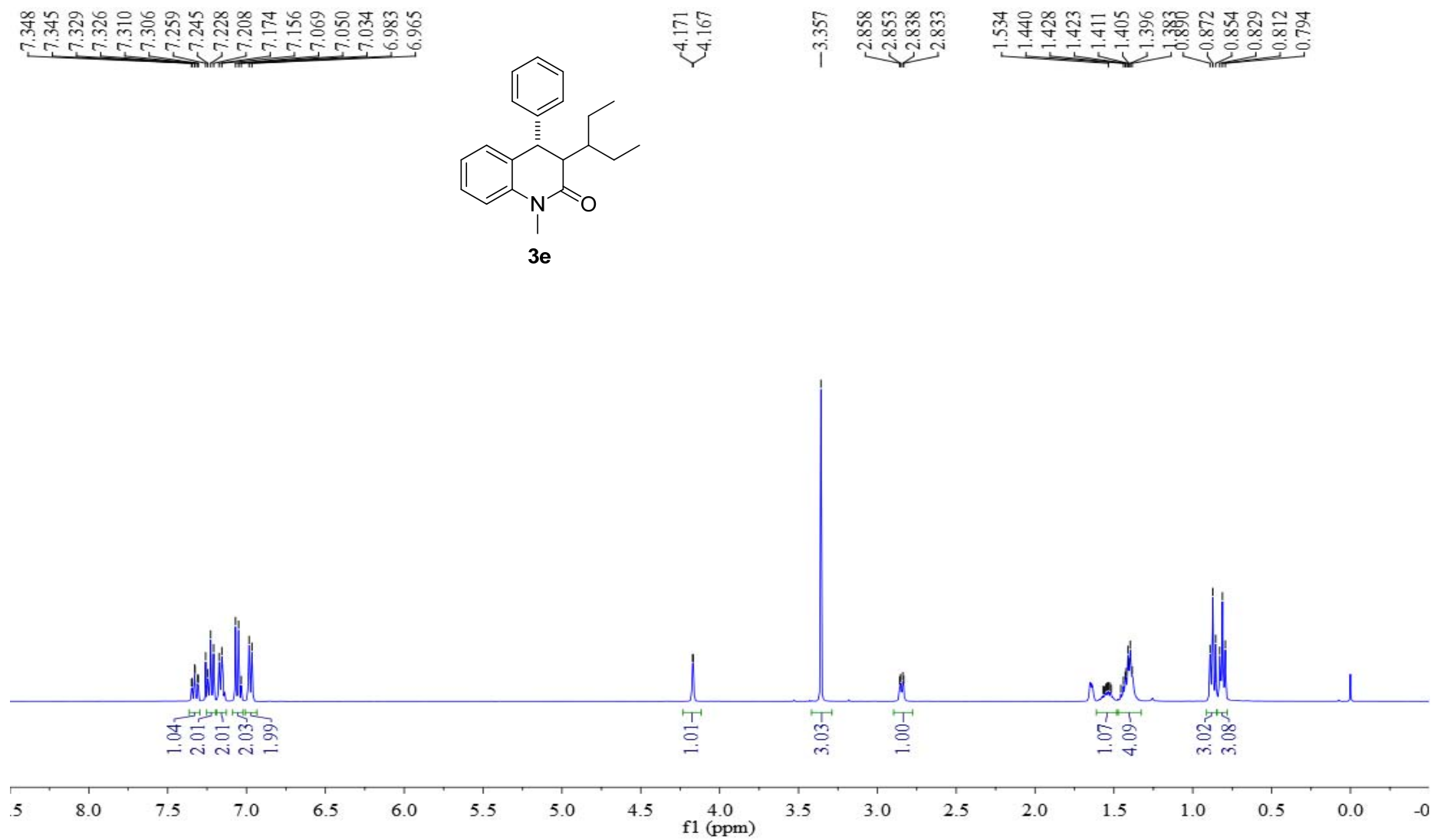


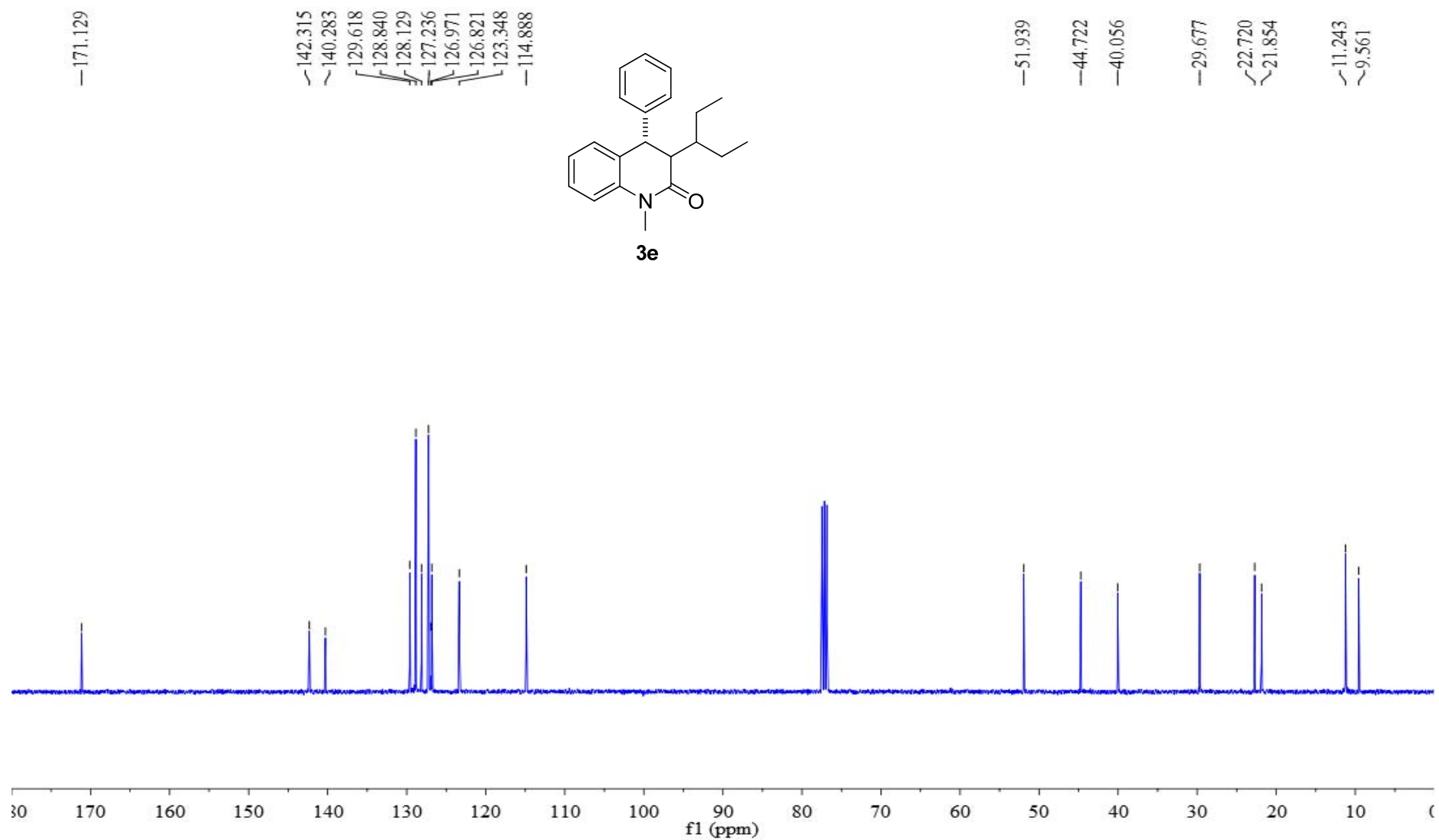


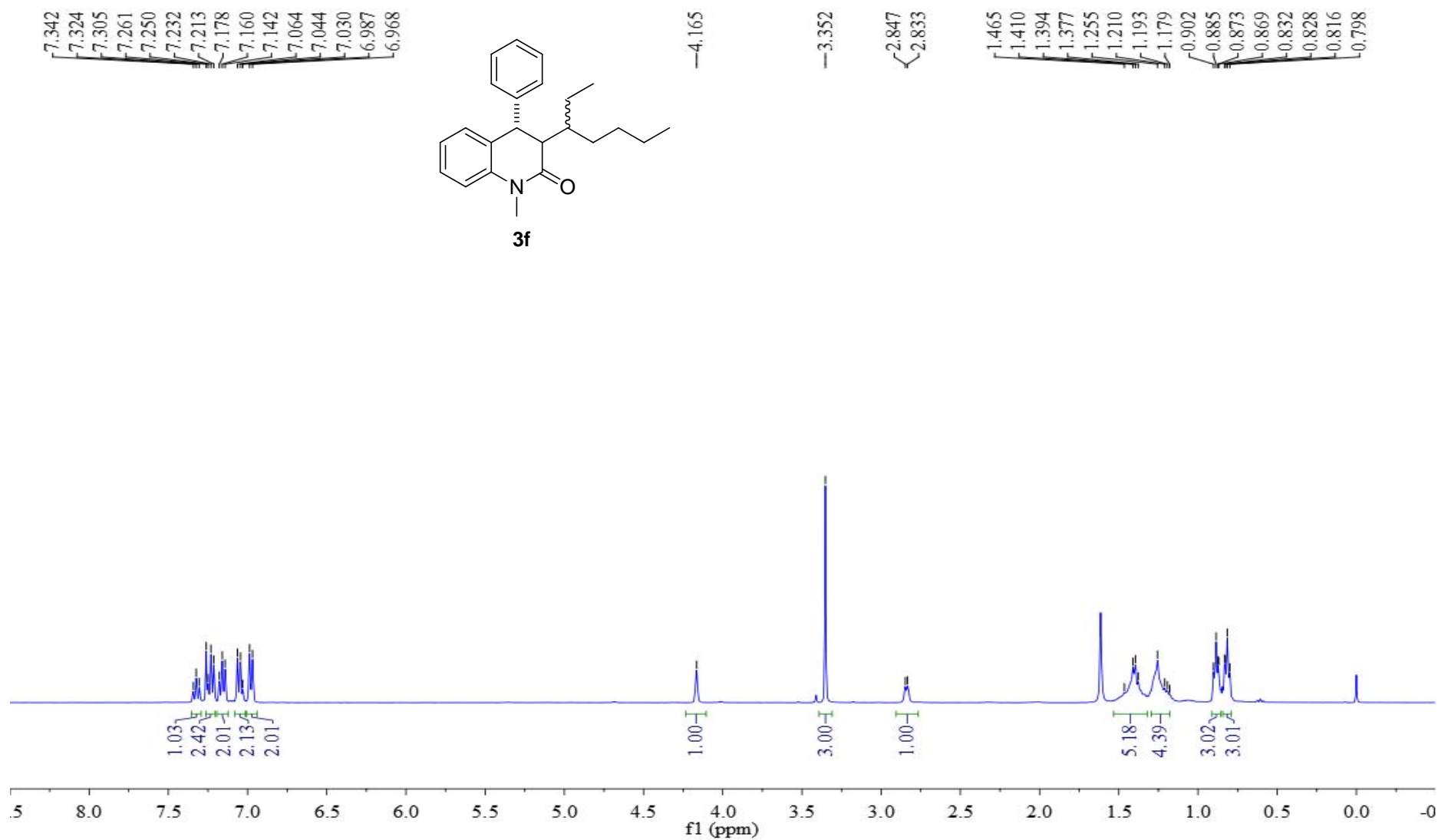


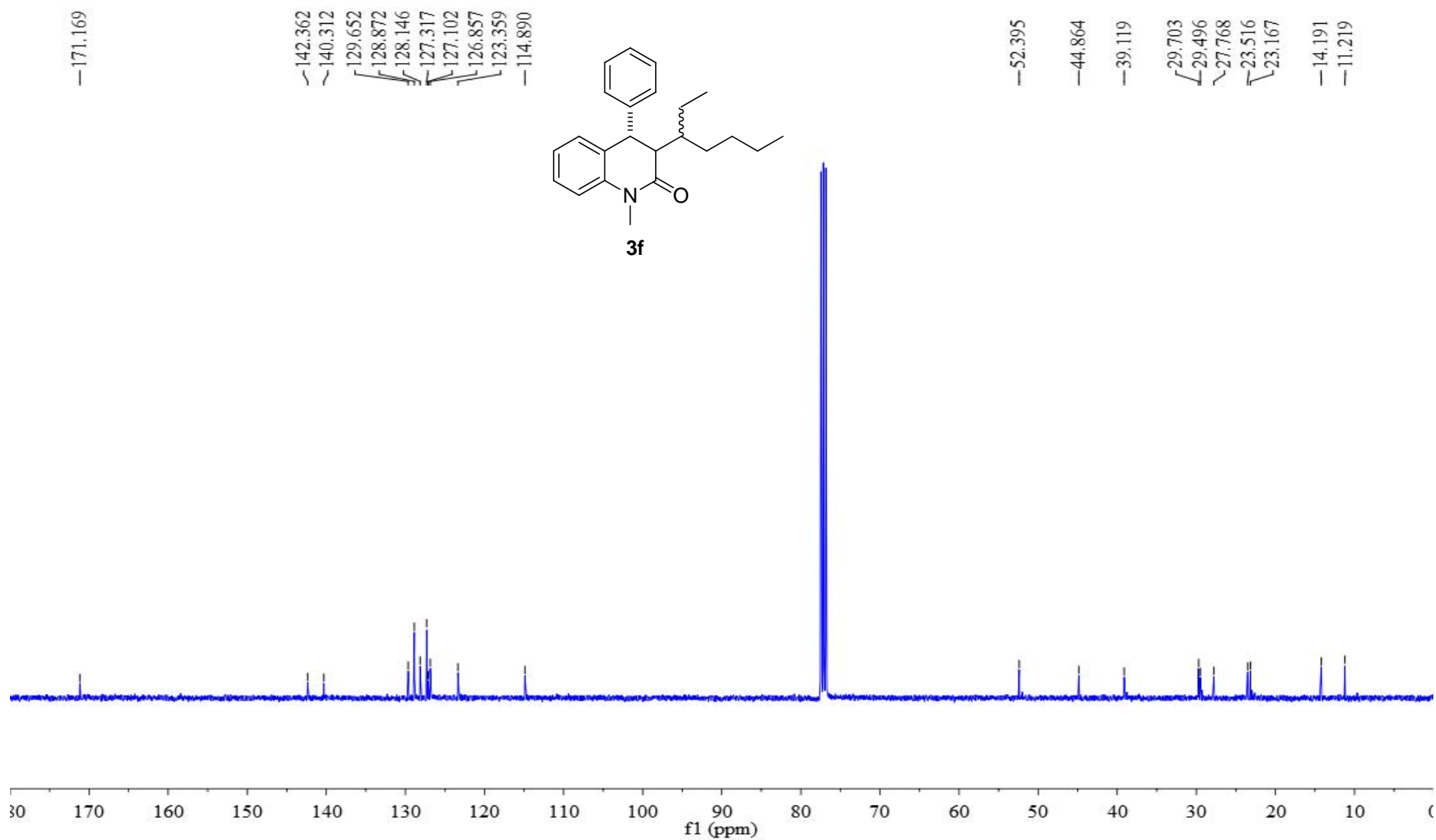


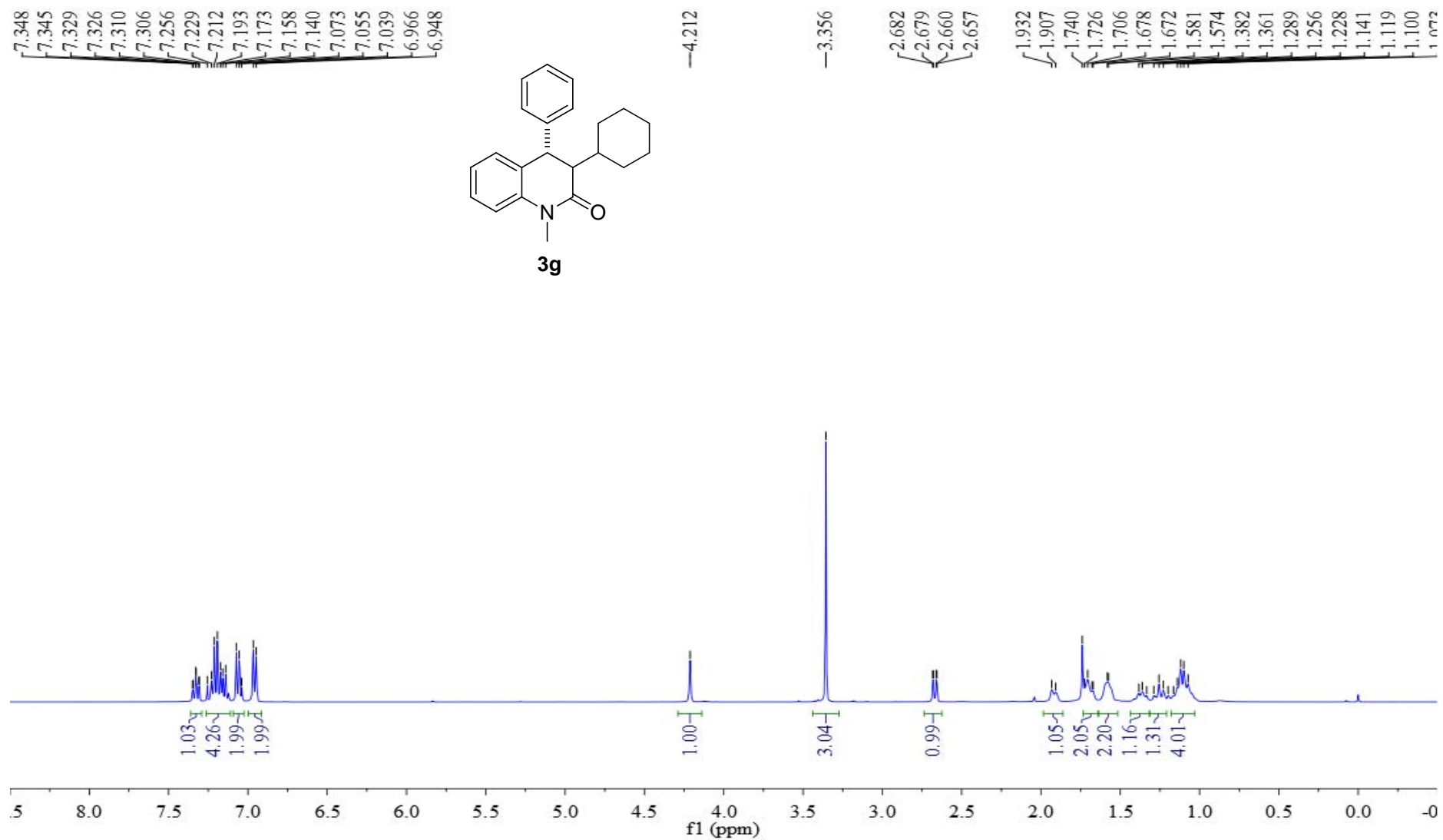


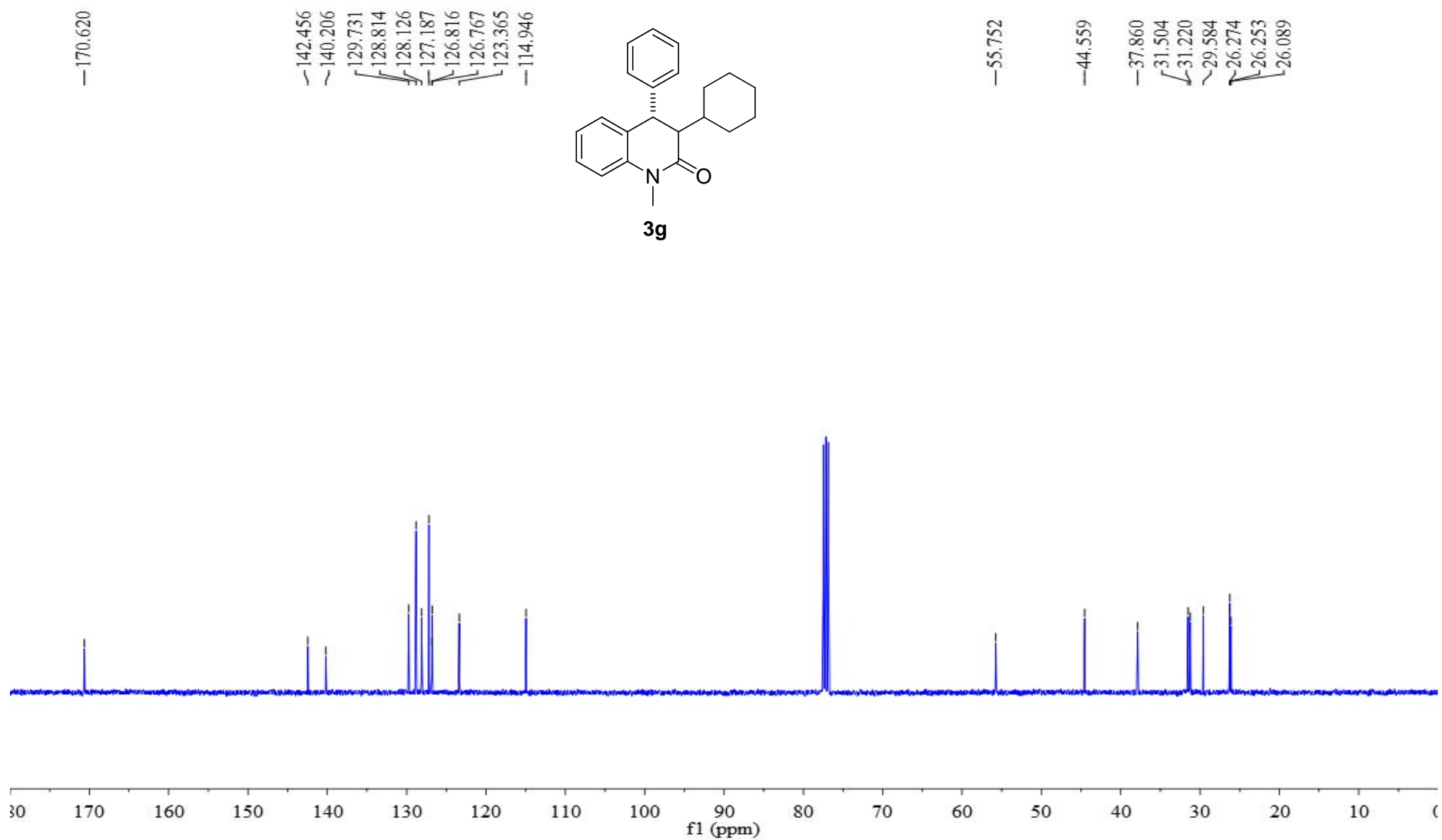


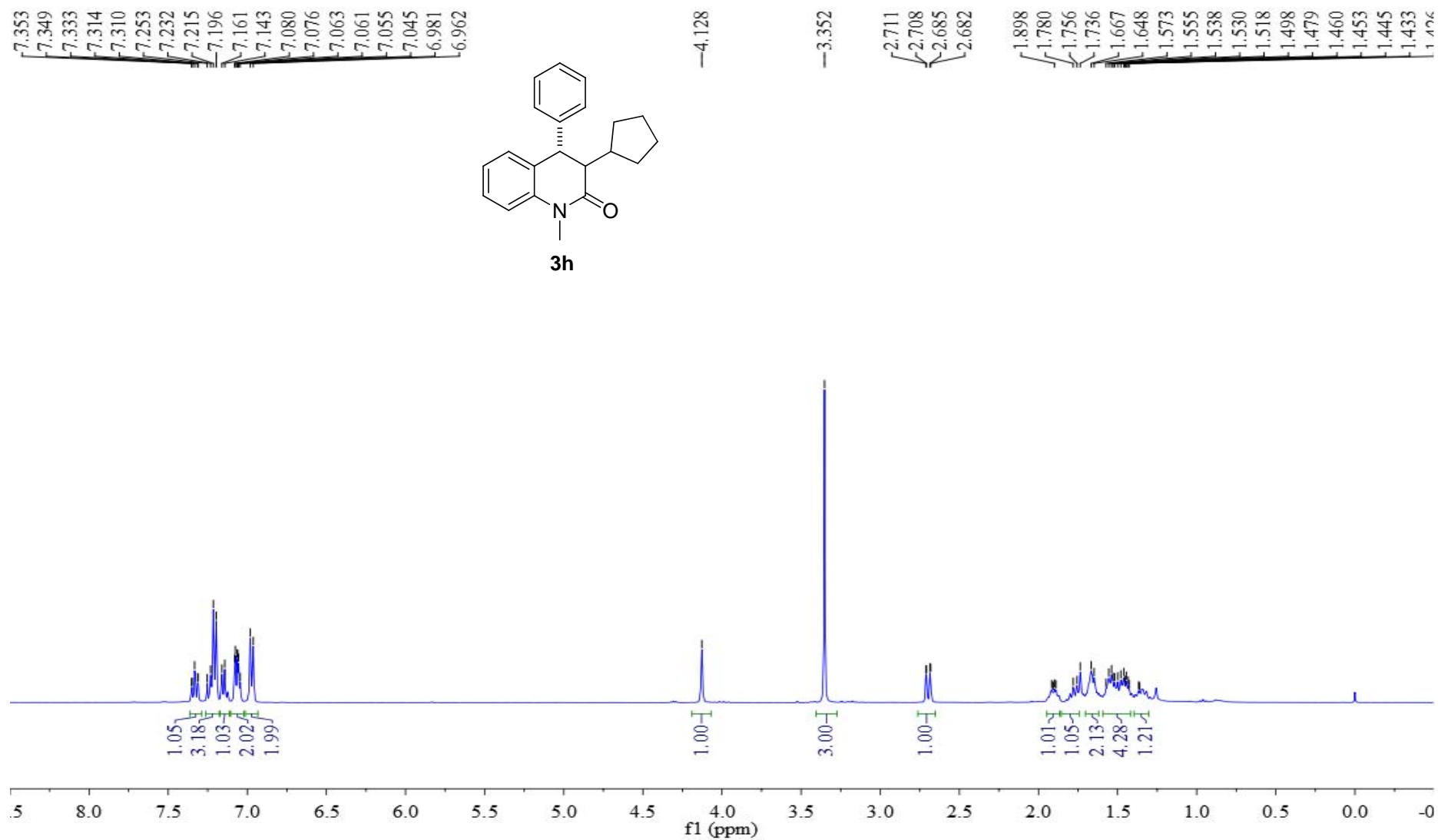


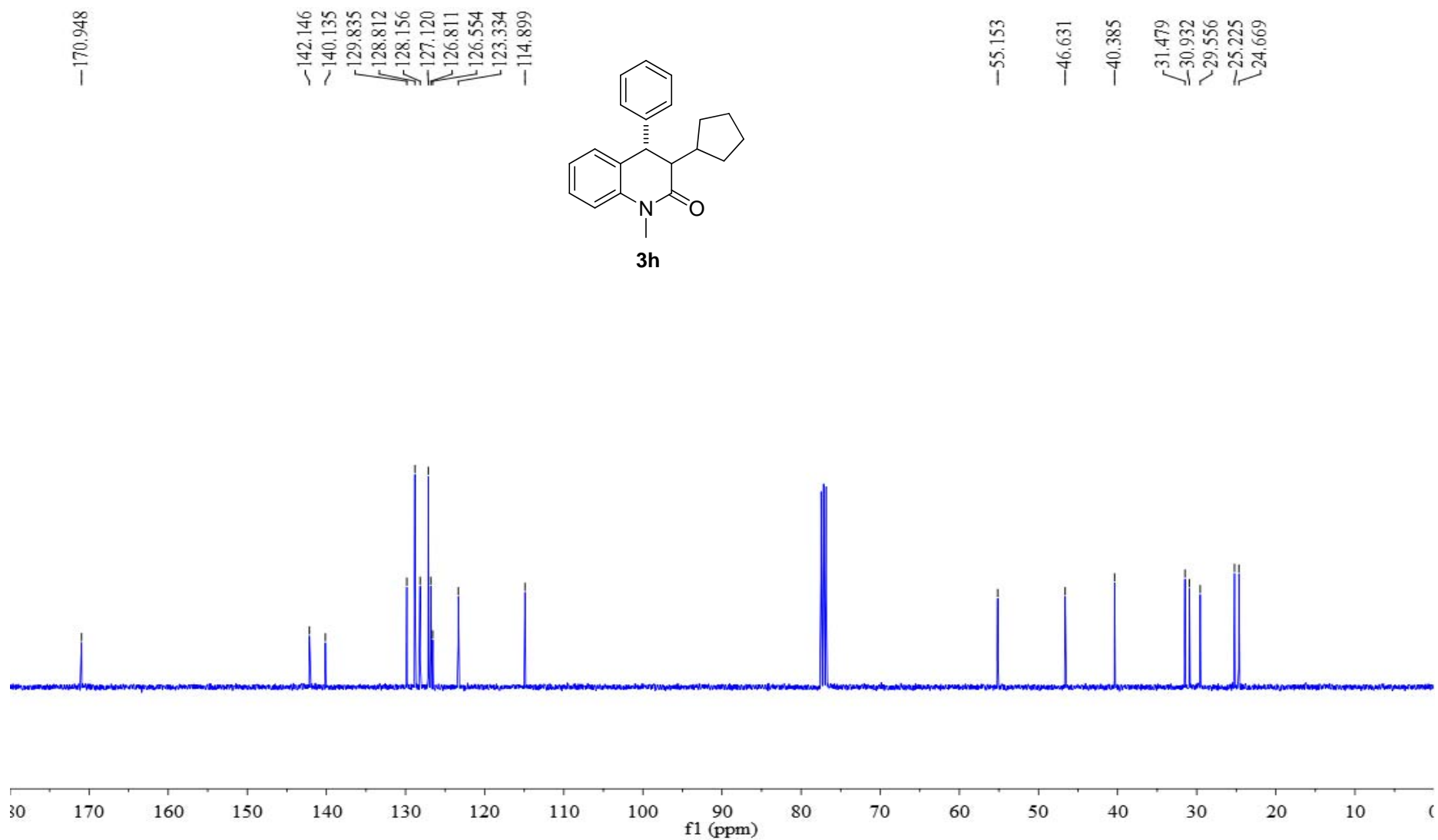




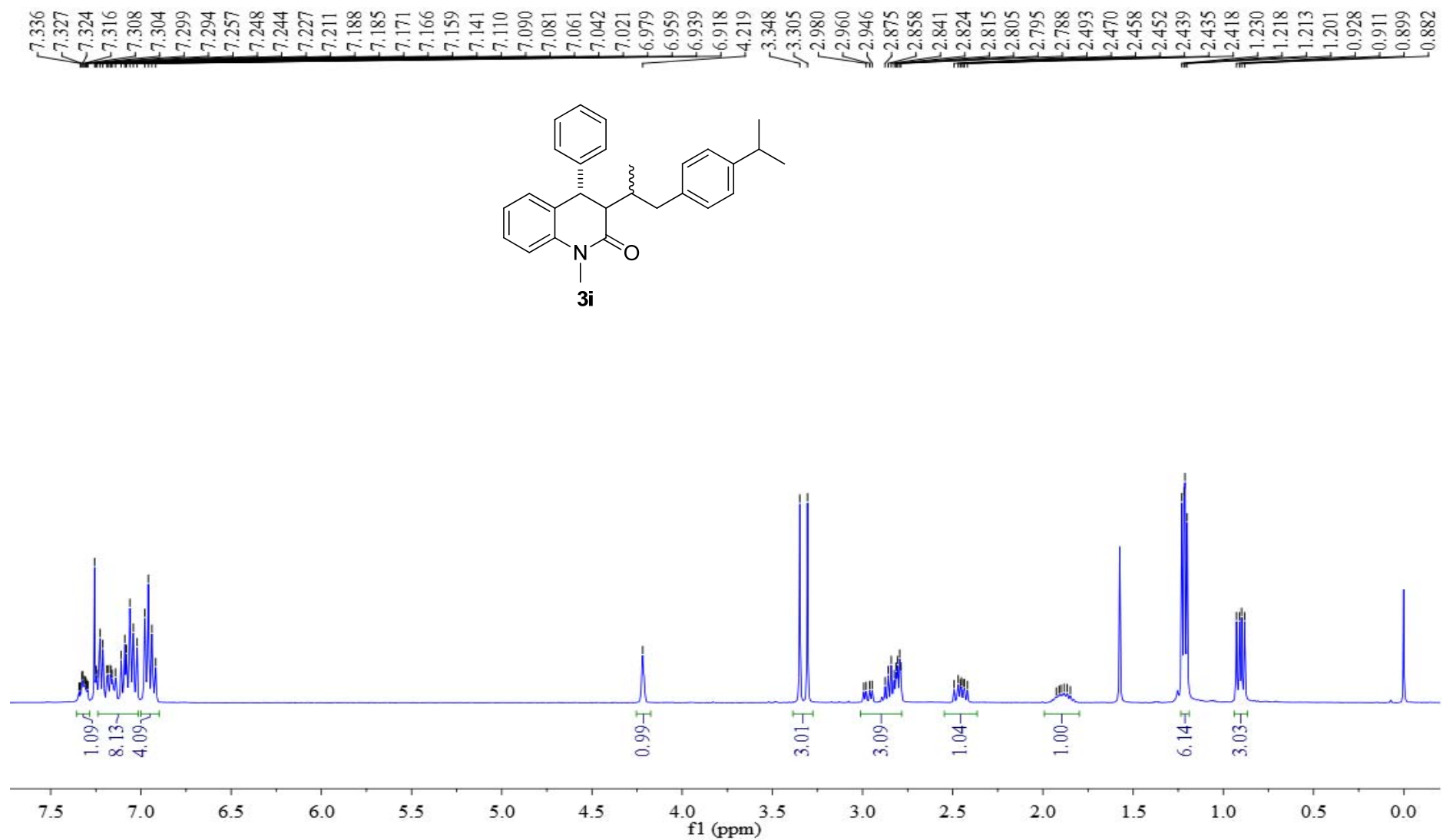


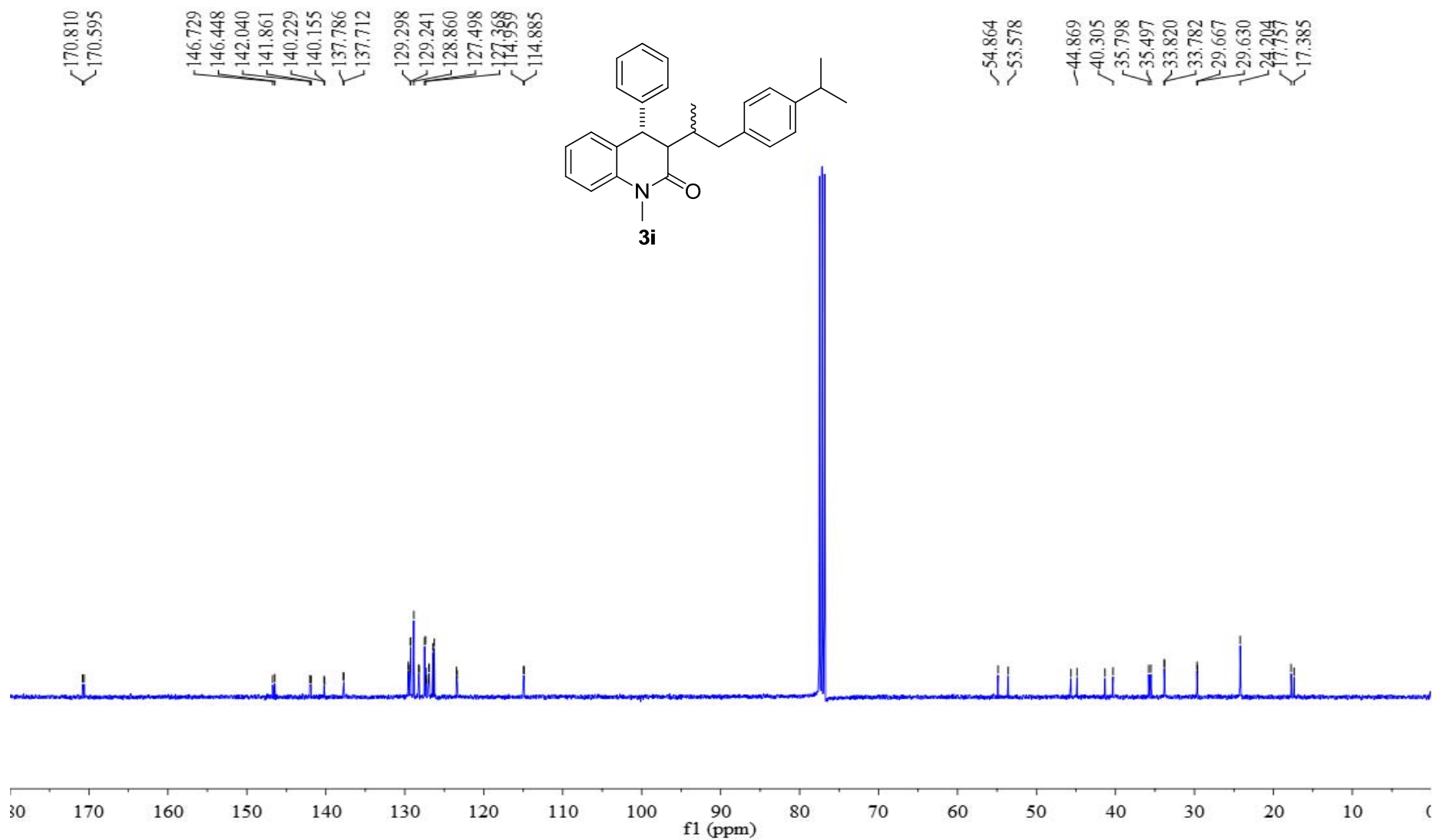


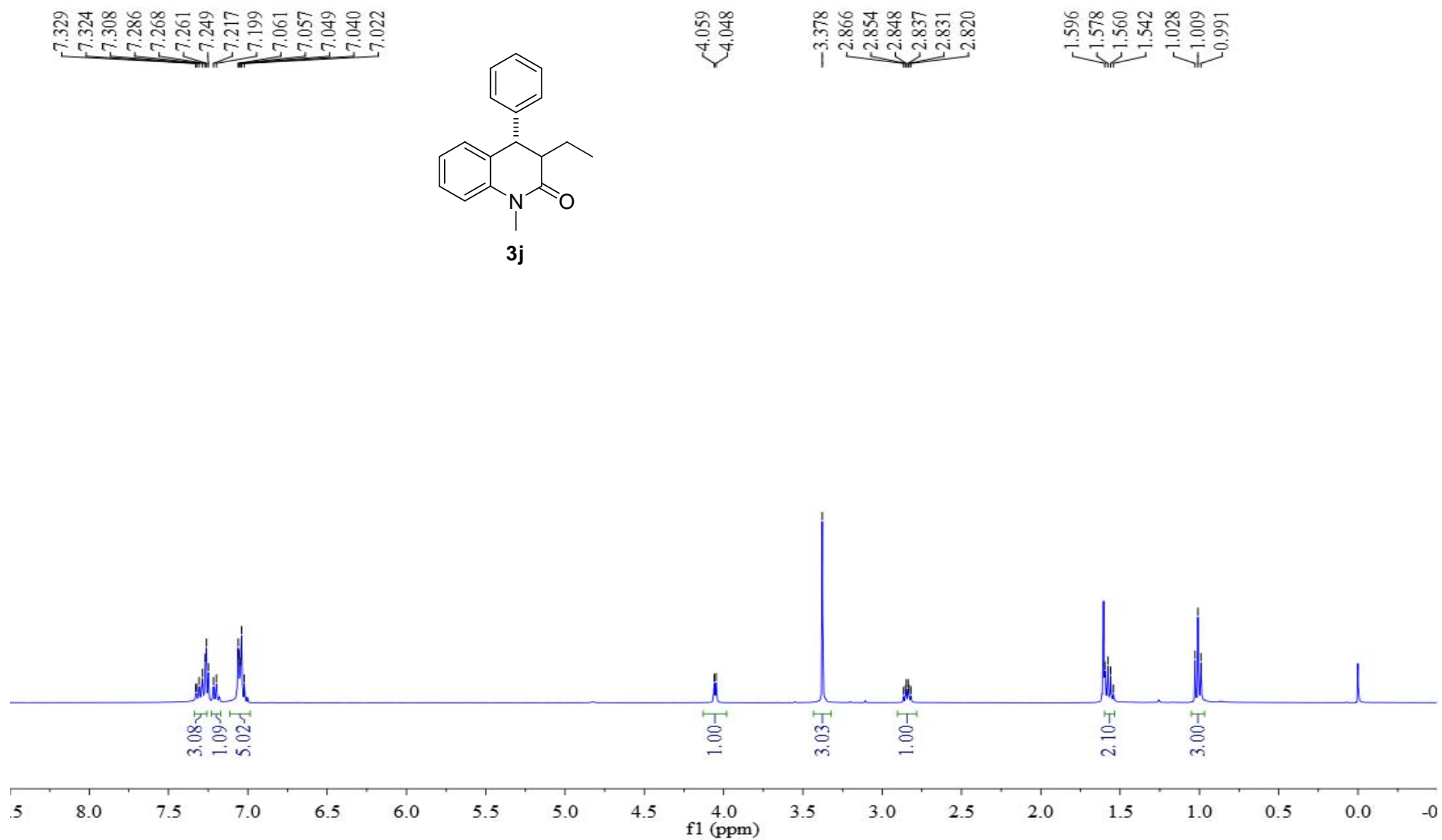


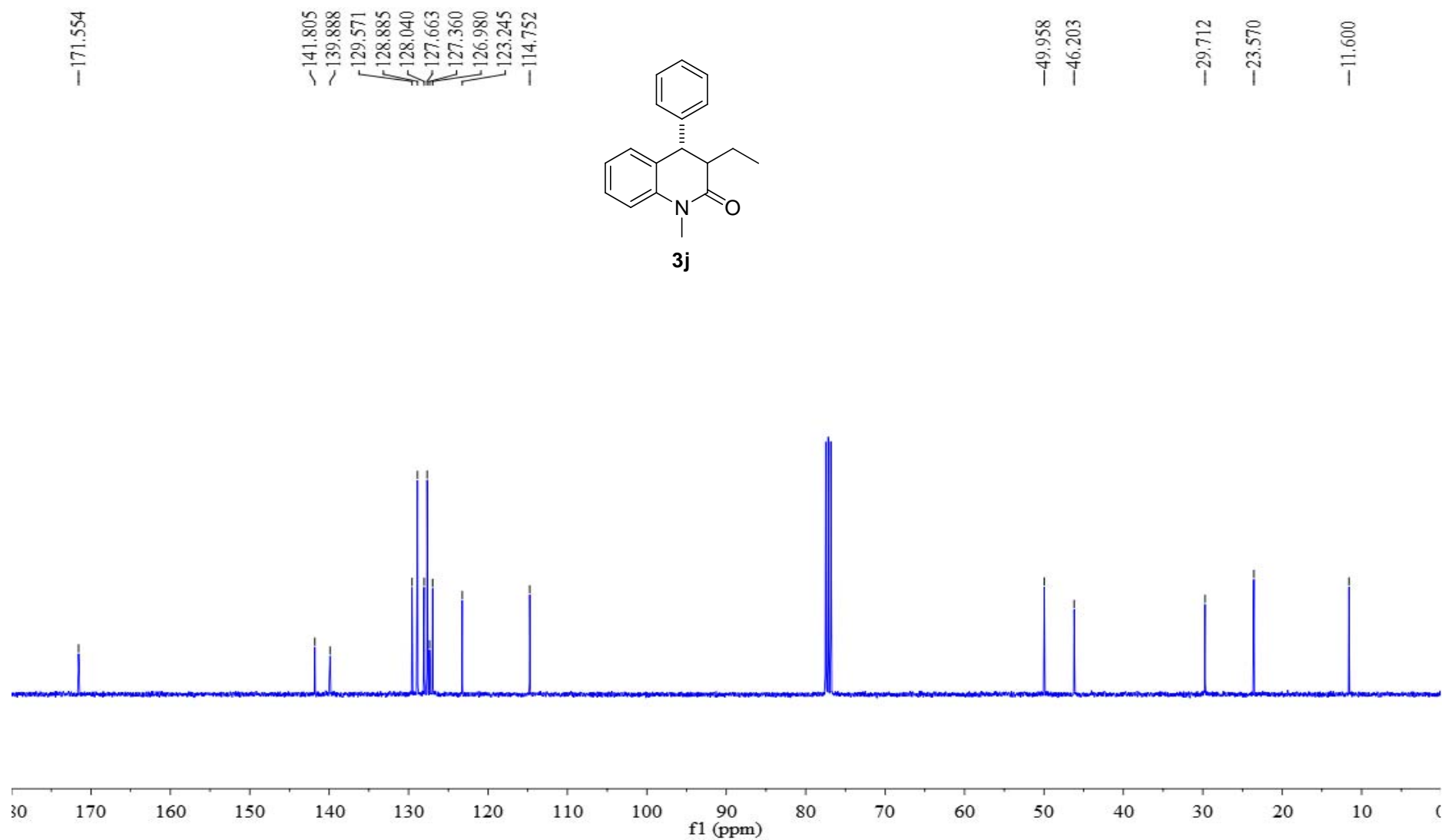




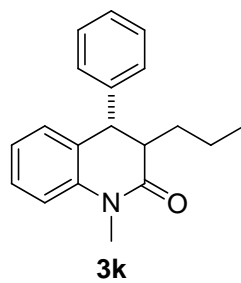








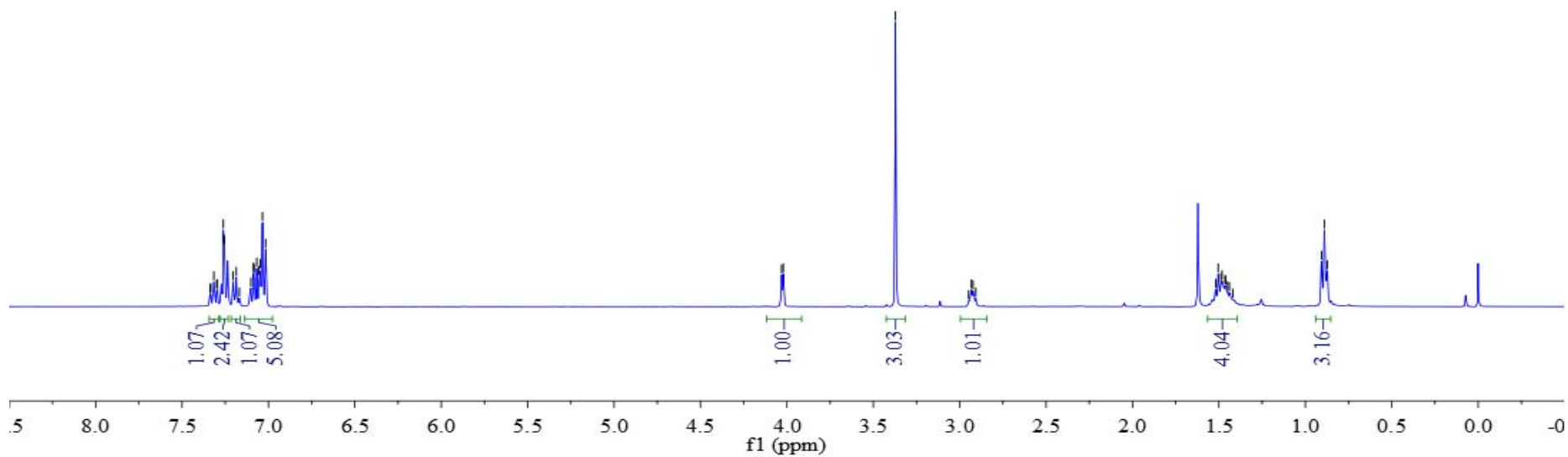
7.338  
7.334  
7.316  
7.299  
7.295  
7.261  
7.255  
7.204  
7.186  
7.168  
7.101  
7.086  
7.083  
7.067  
7.055  
7.047  
7.035  
7.016

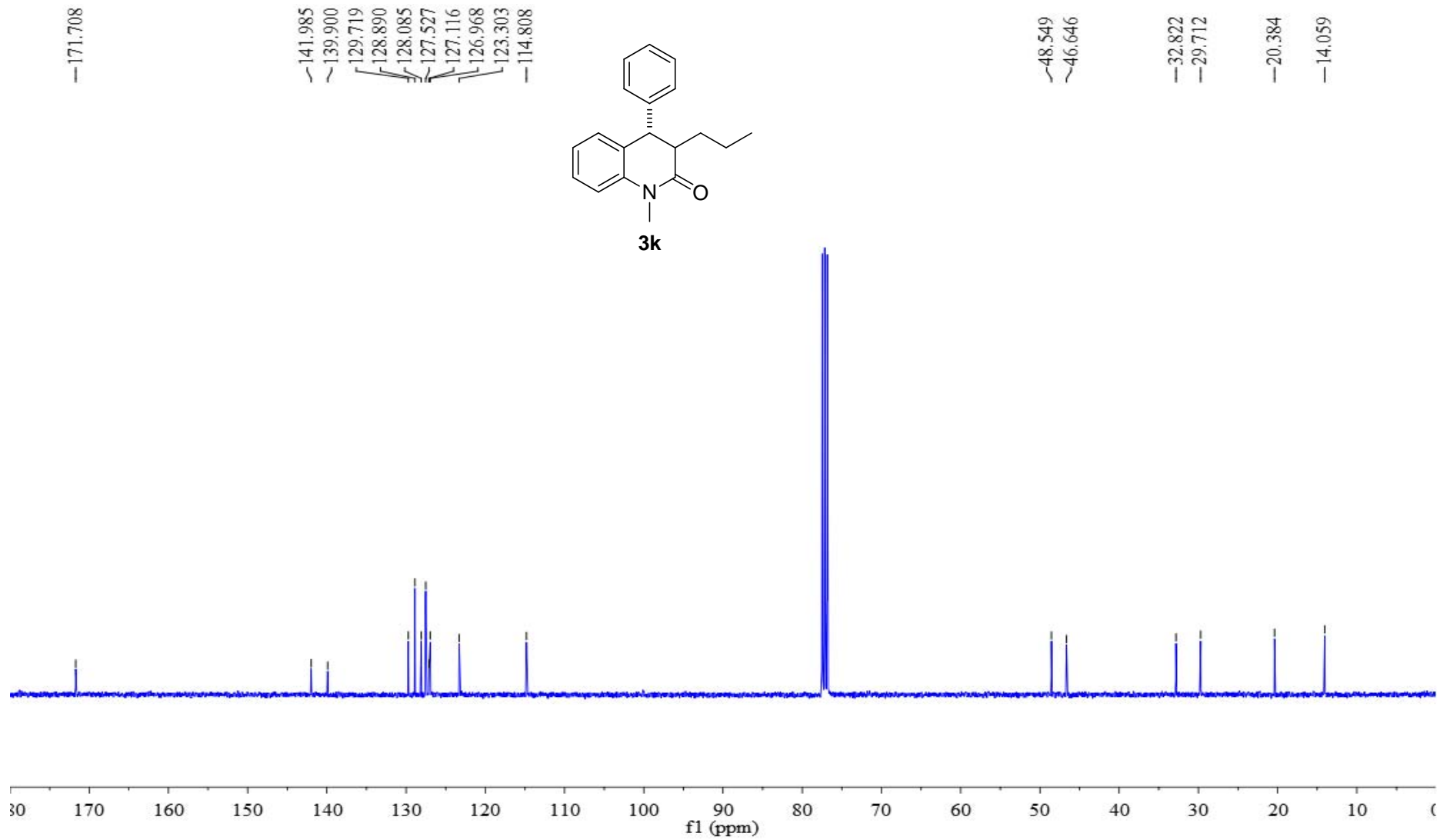


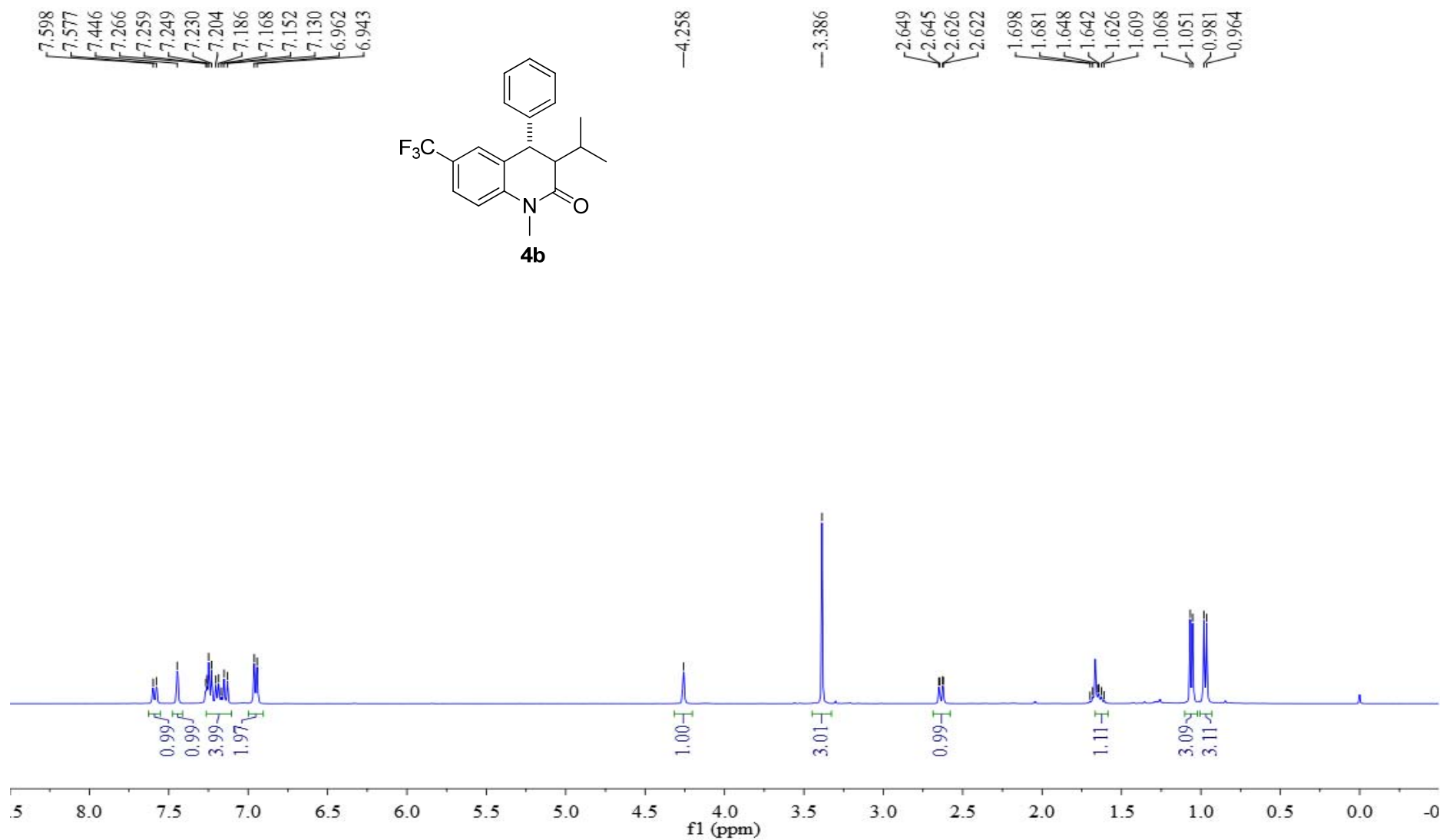
4.030  
4.021

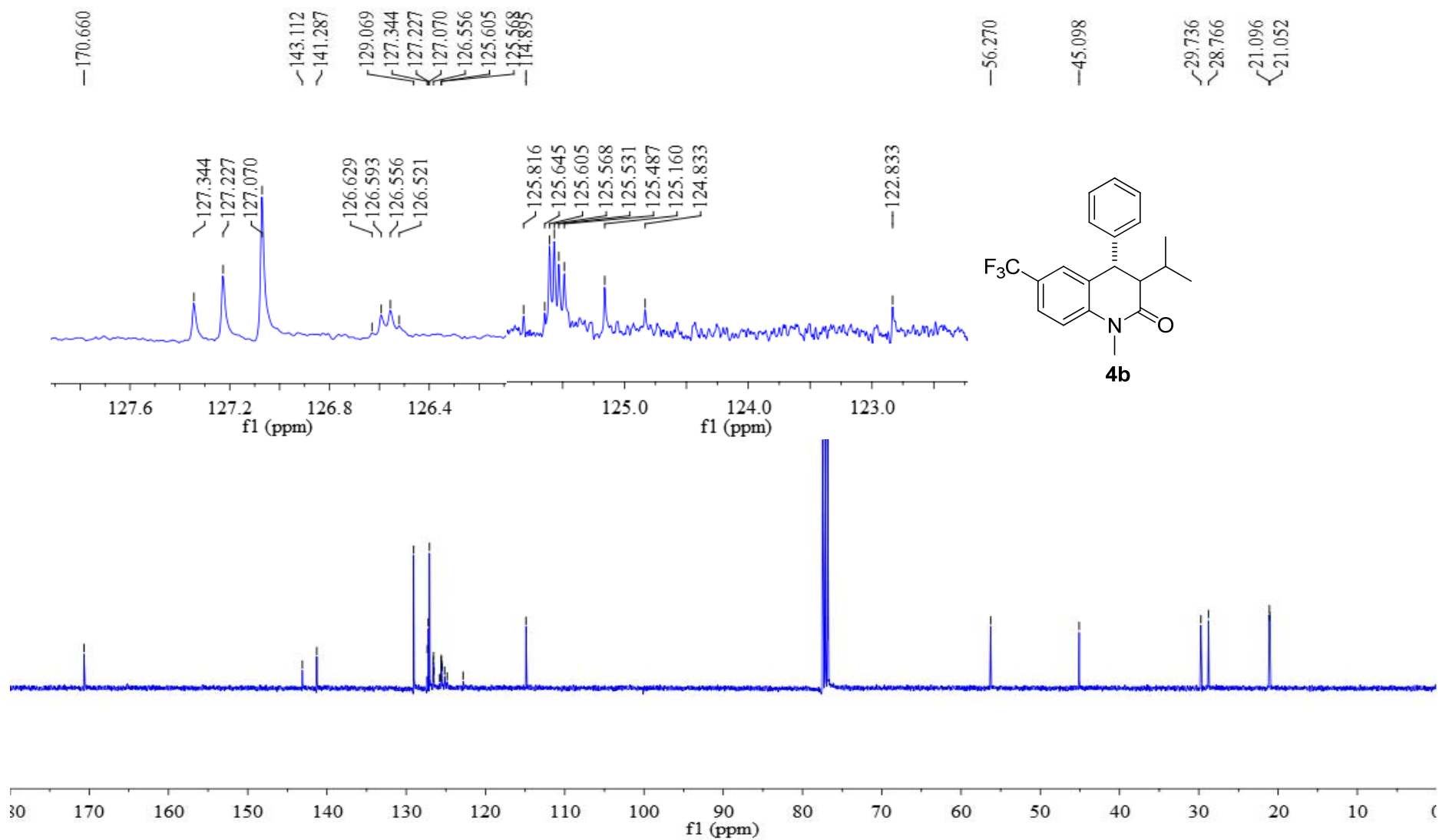
3.372  
2.948  
2.932  
2.923  
2.907

1.518  
1.504  
1.488  
1.482  
1.464  
1.461  
1.450  
1.440  
1.418  
0.906  
0.889  
0.873

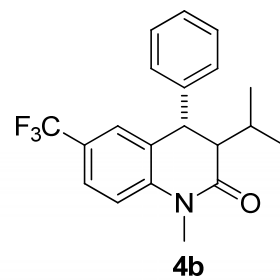




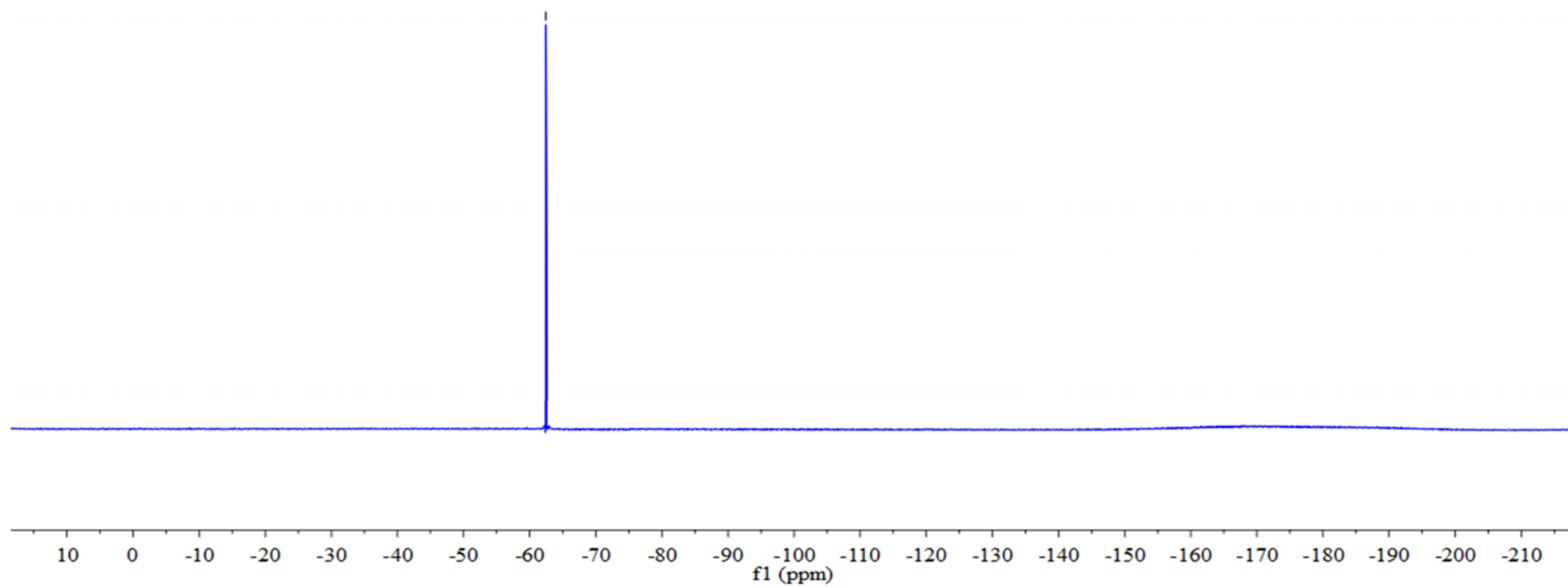


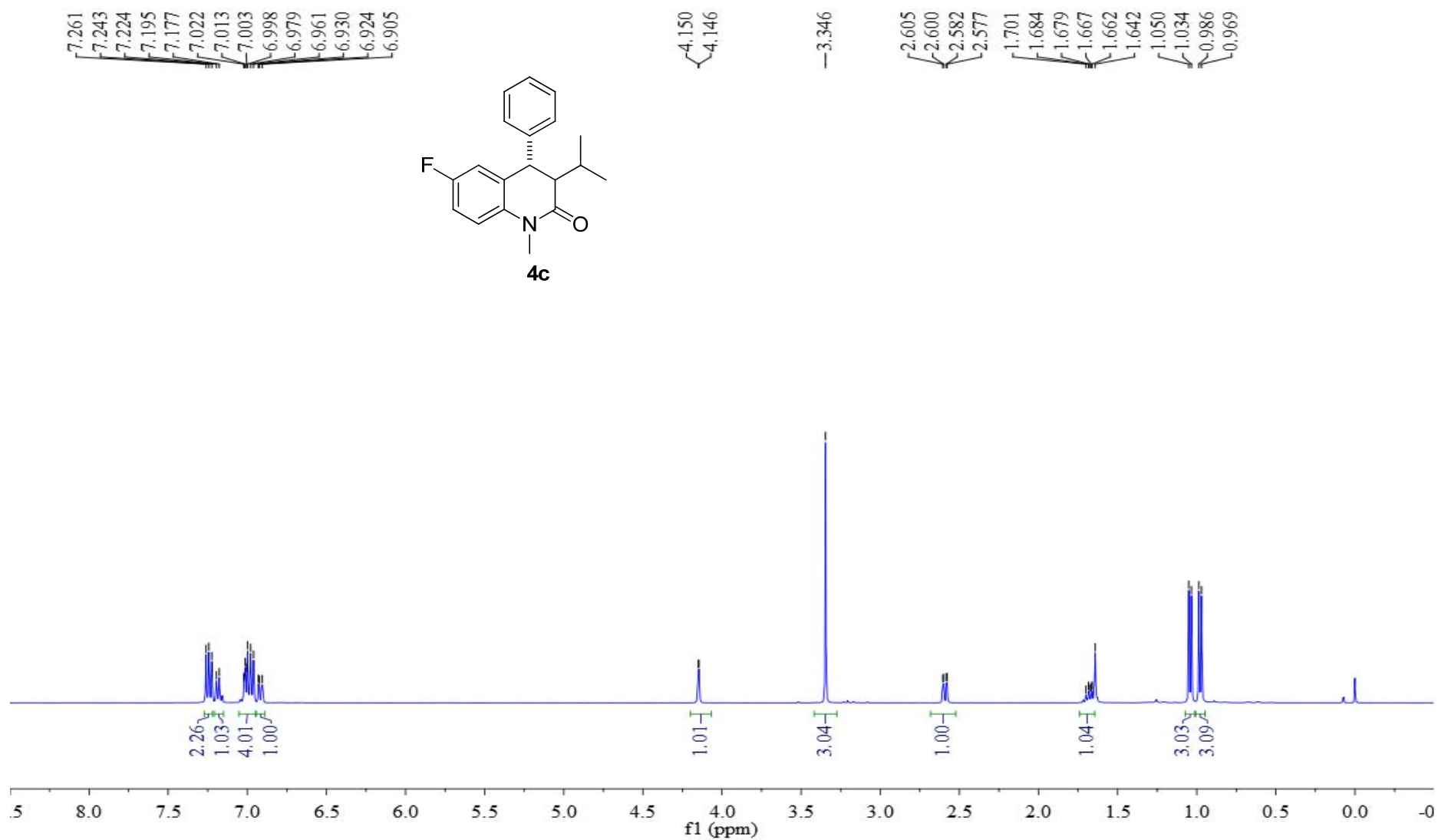


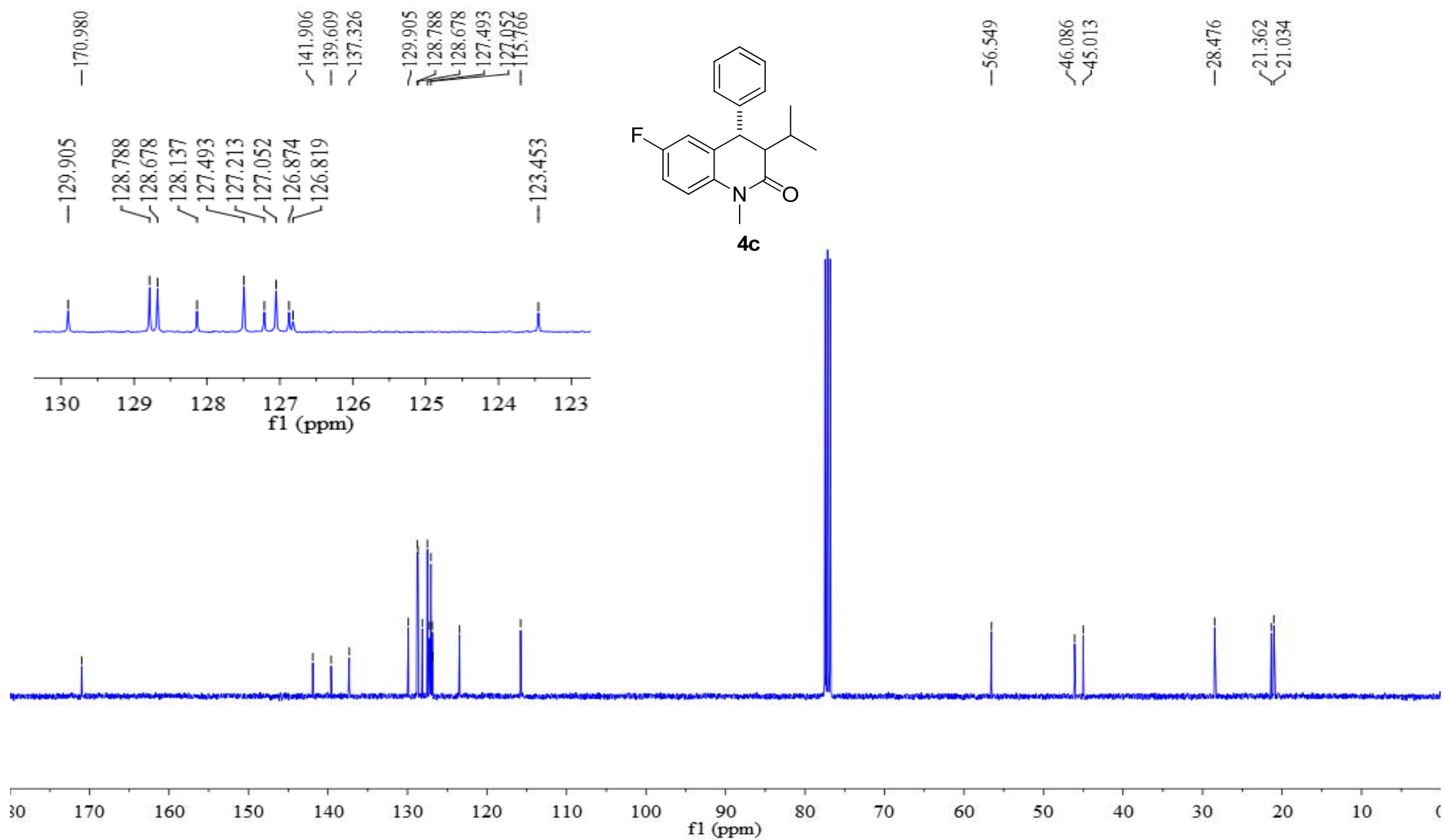


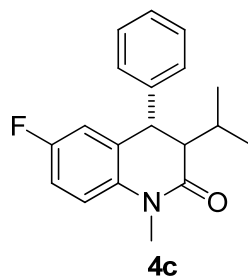


--62.410

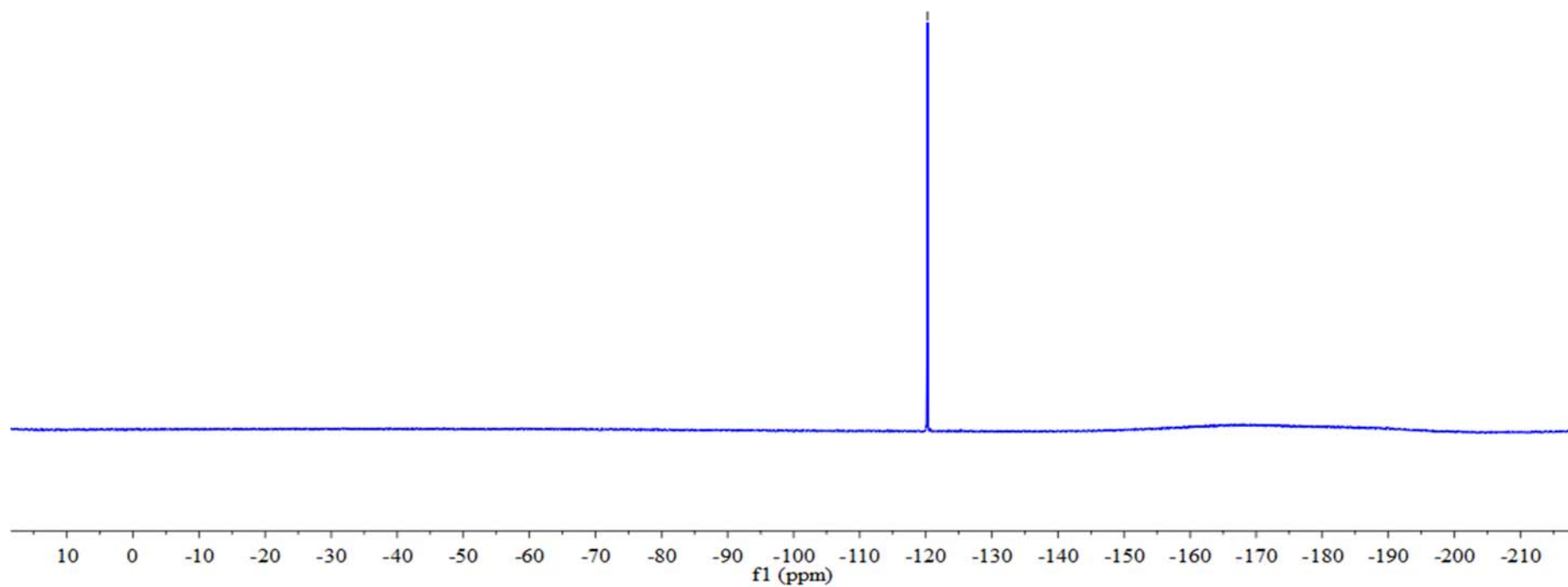


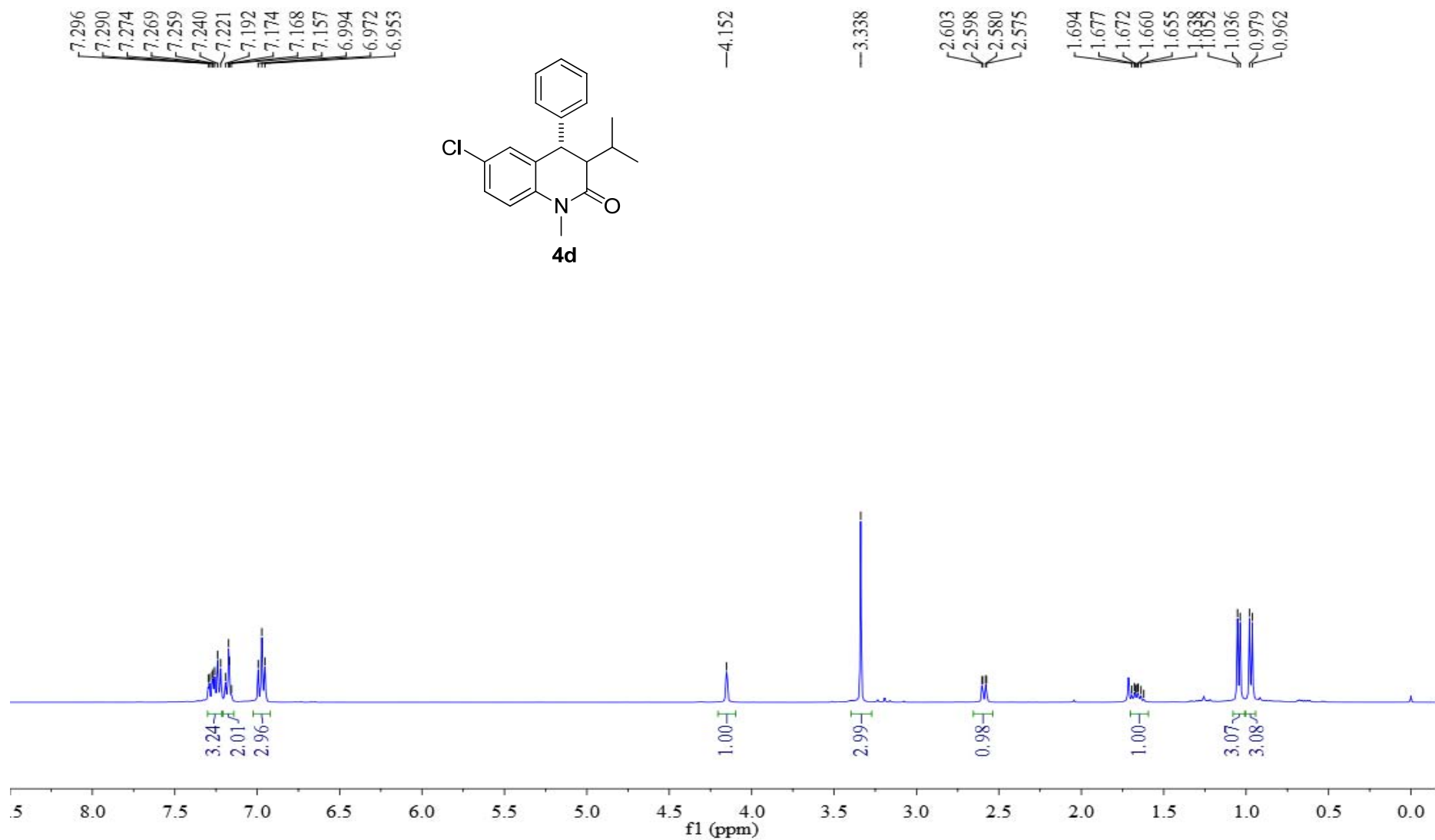


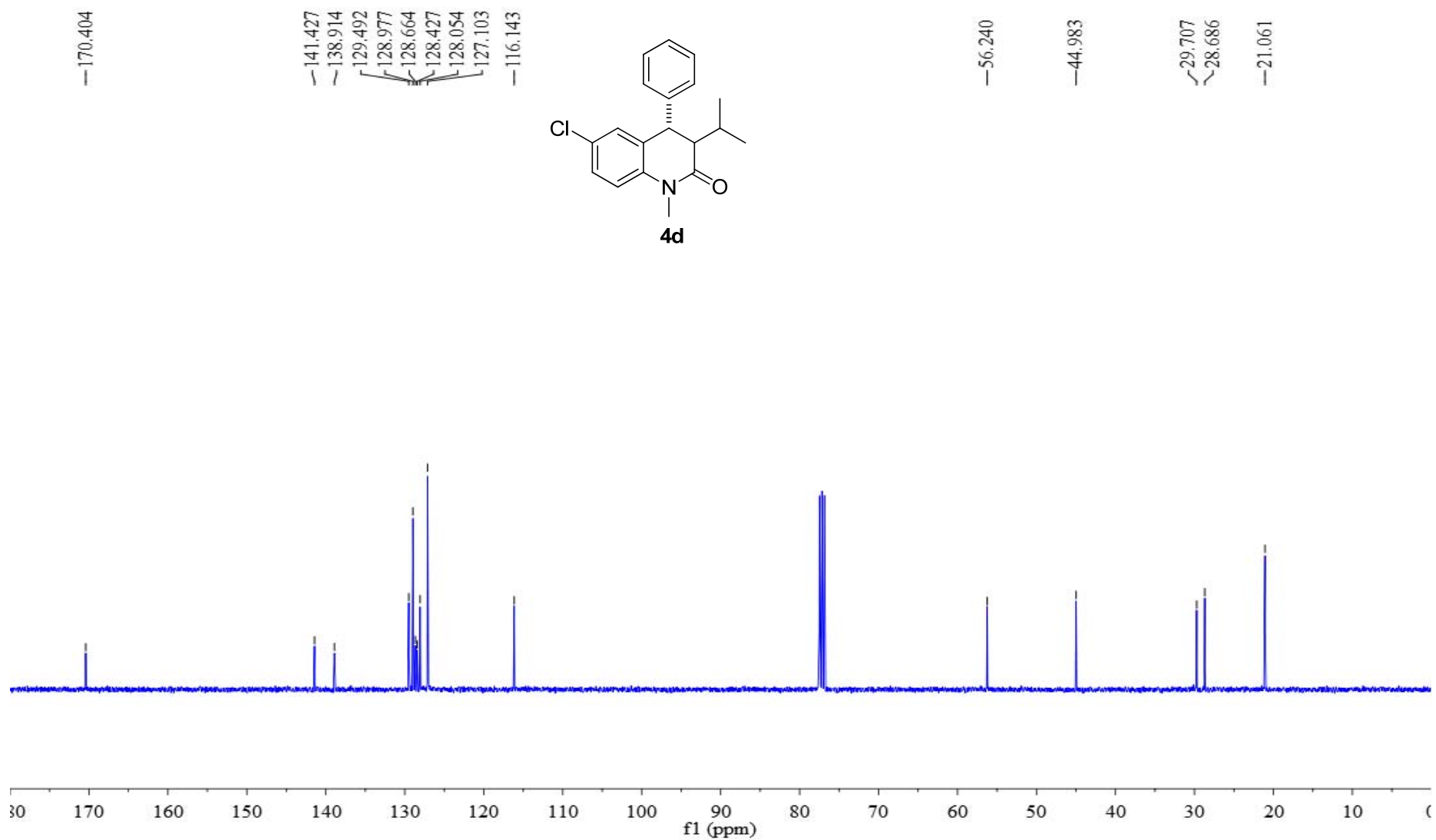


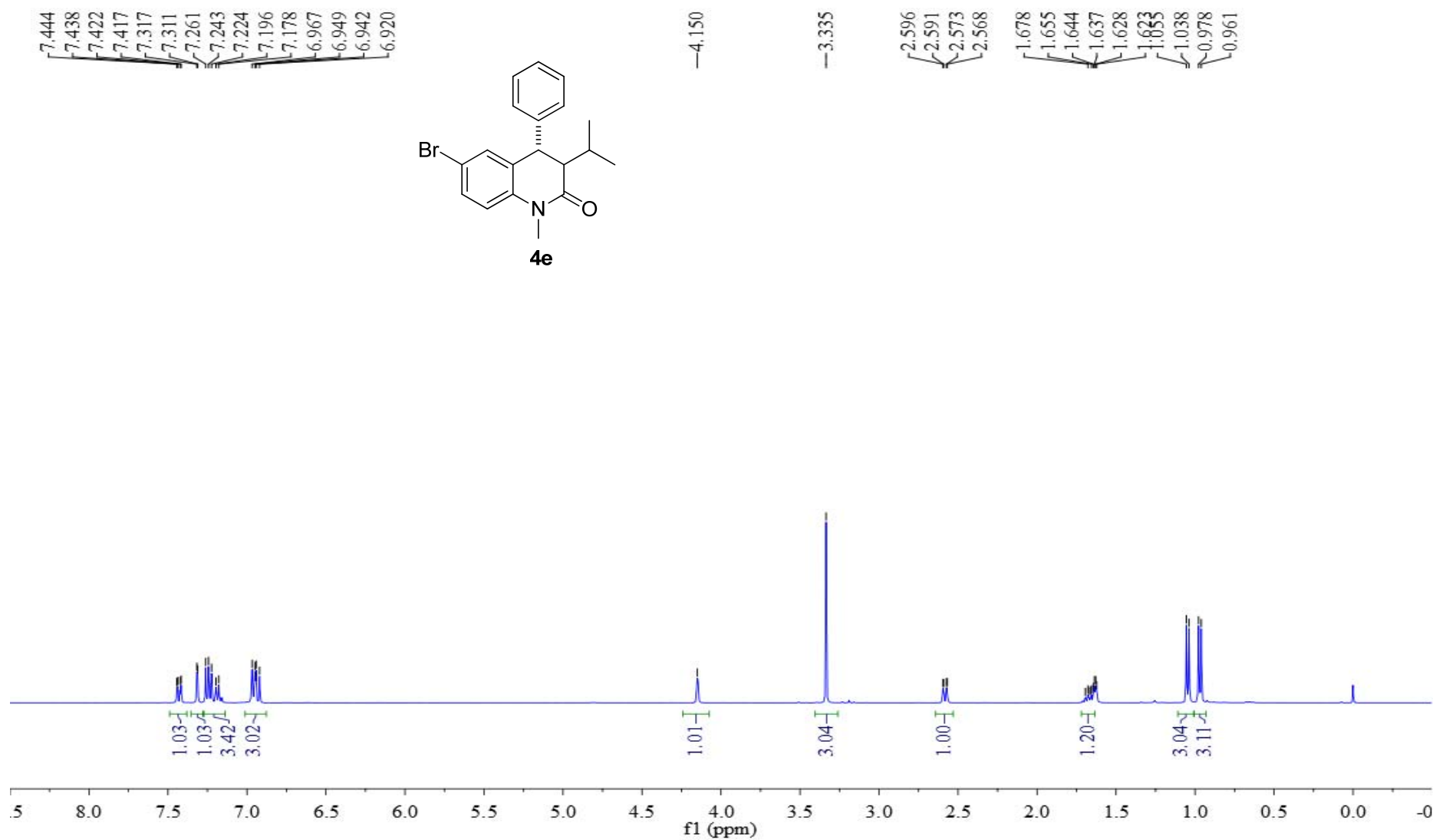


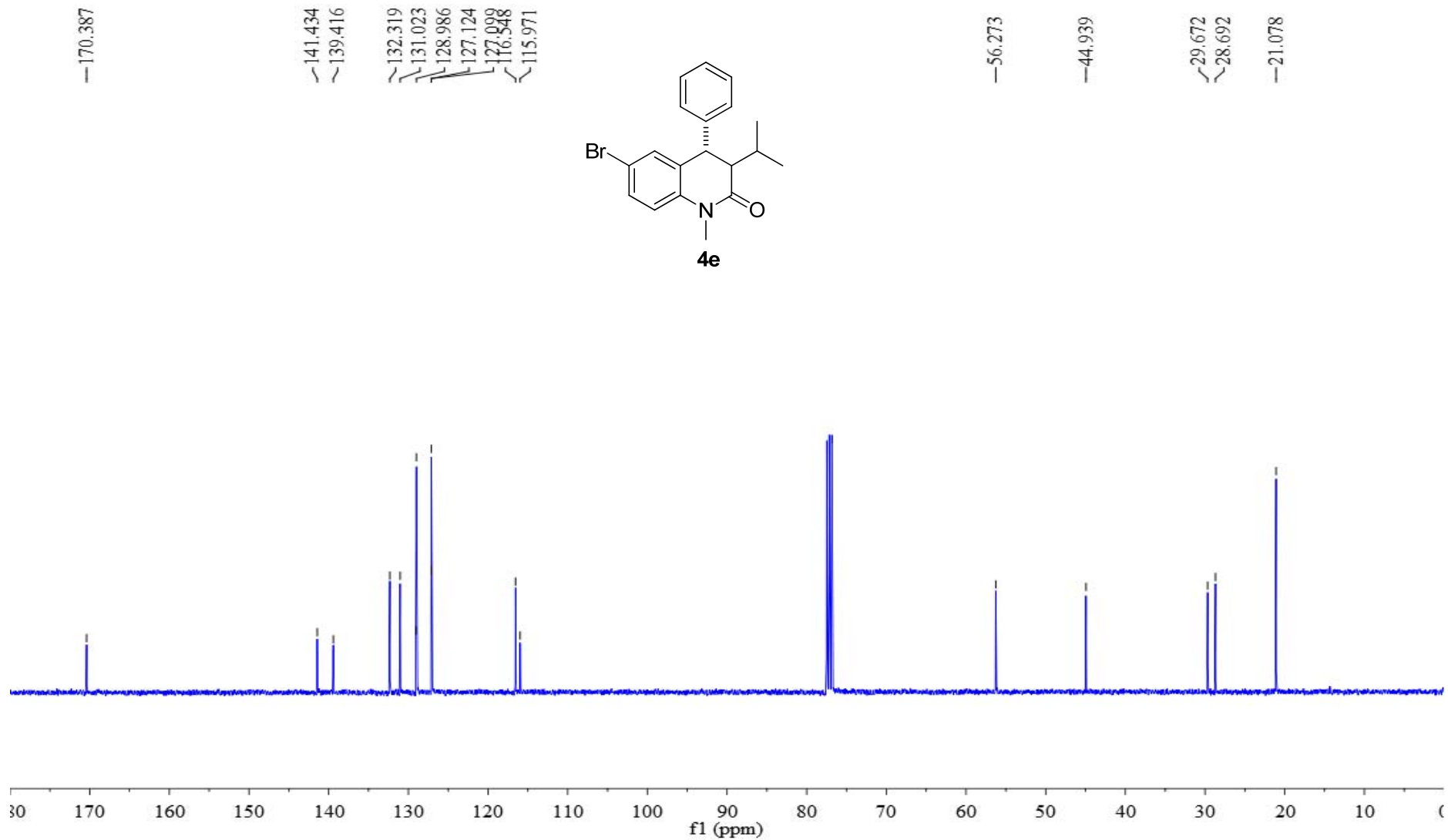
--120.266



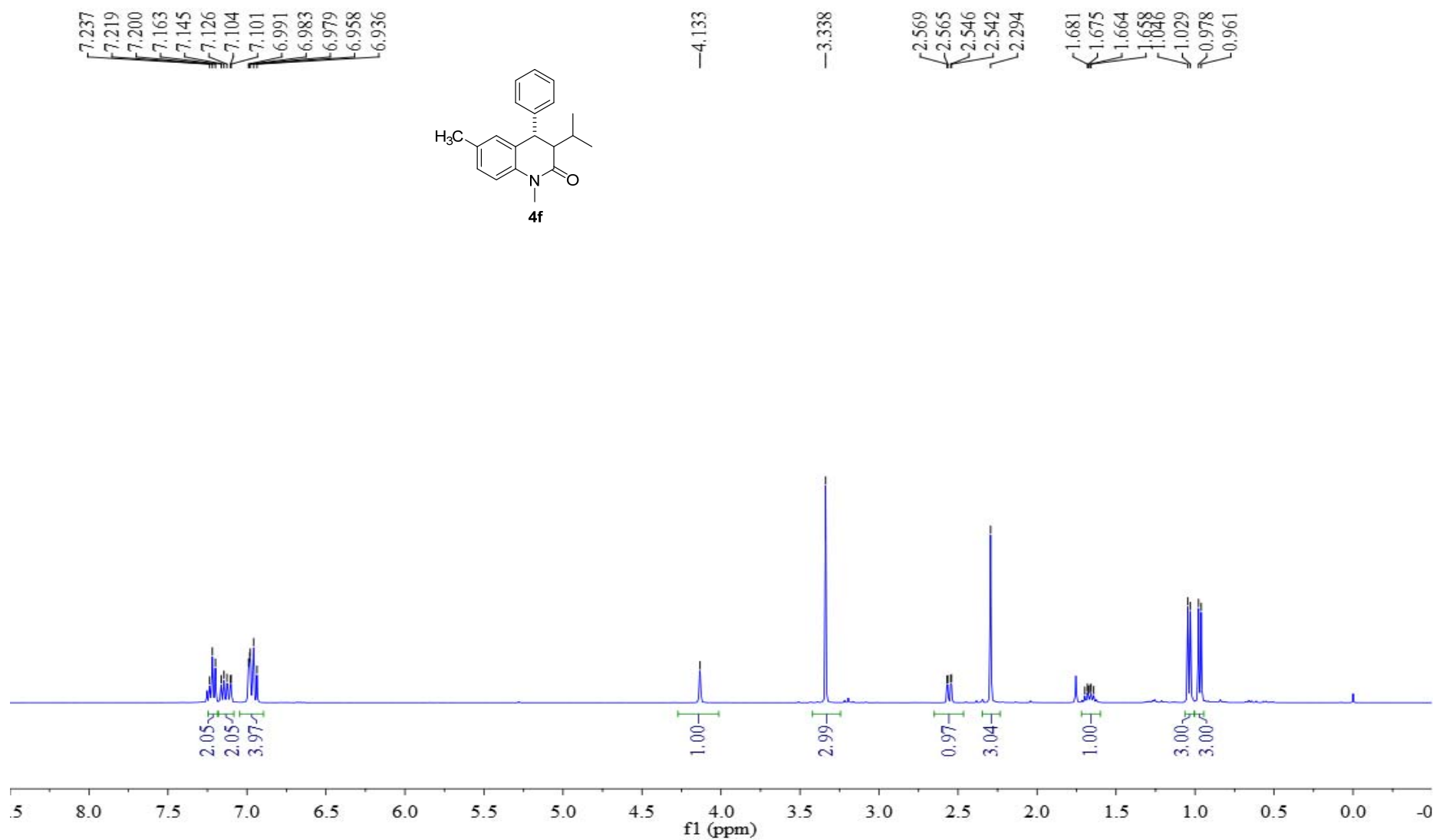


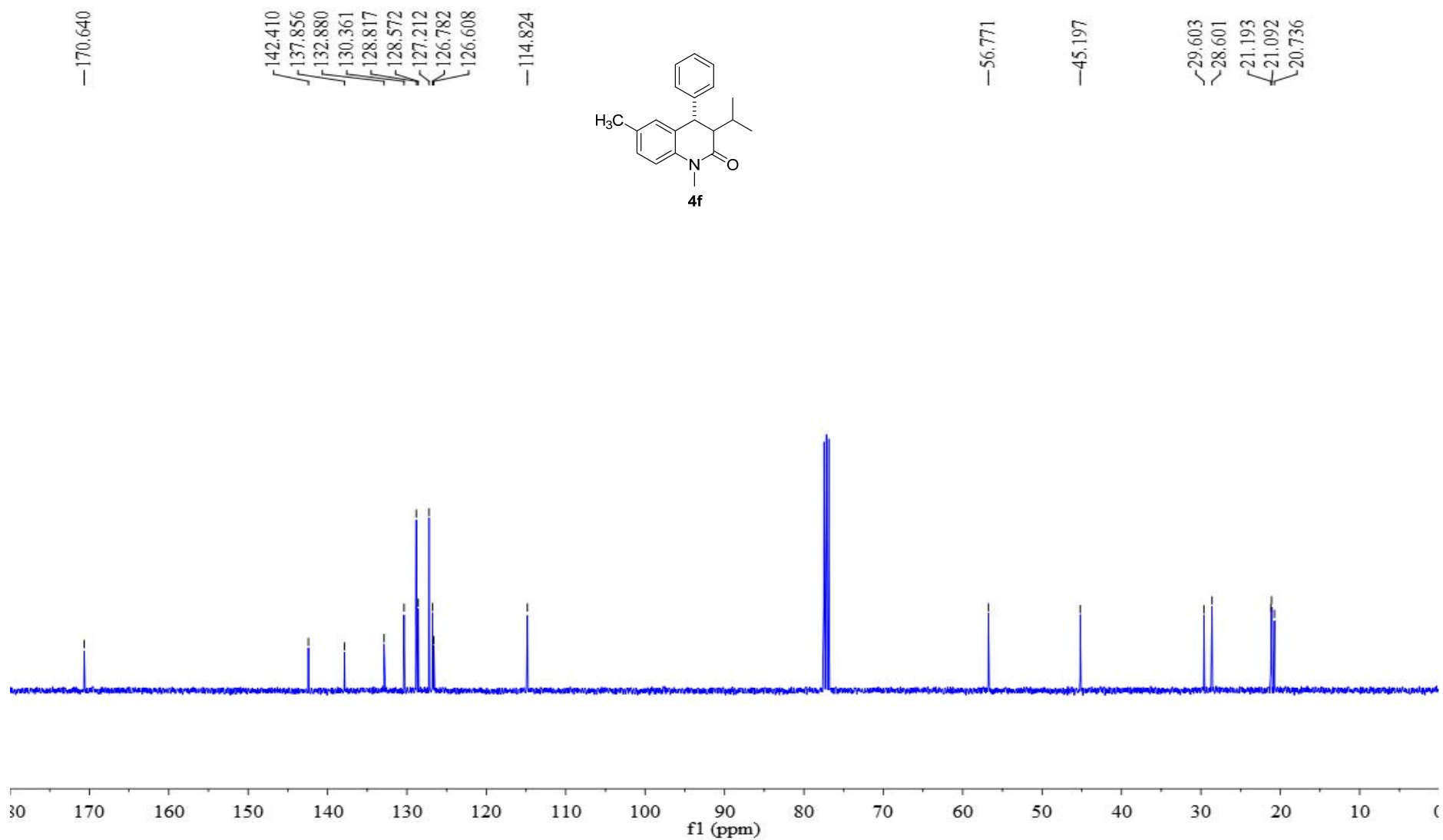


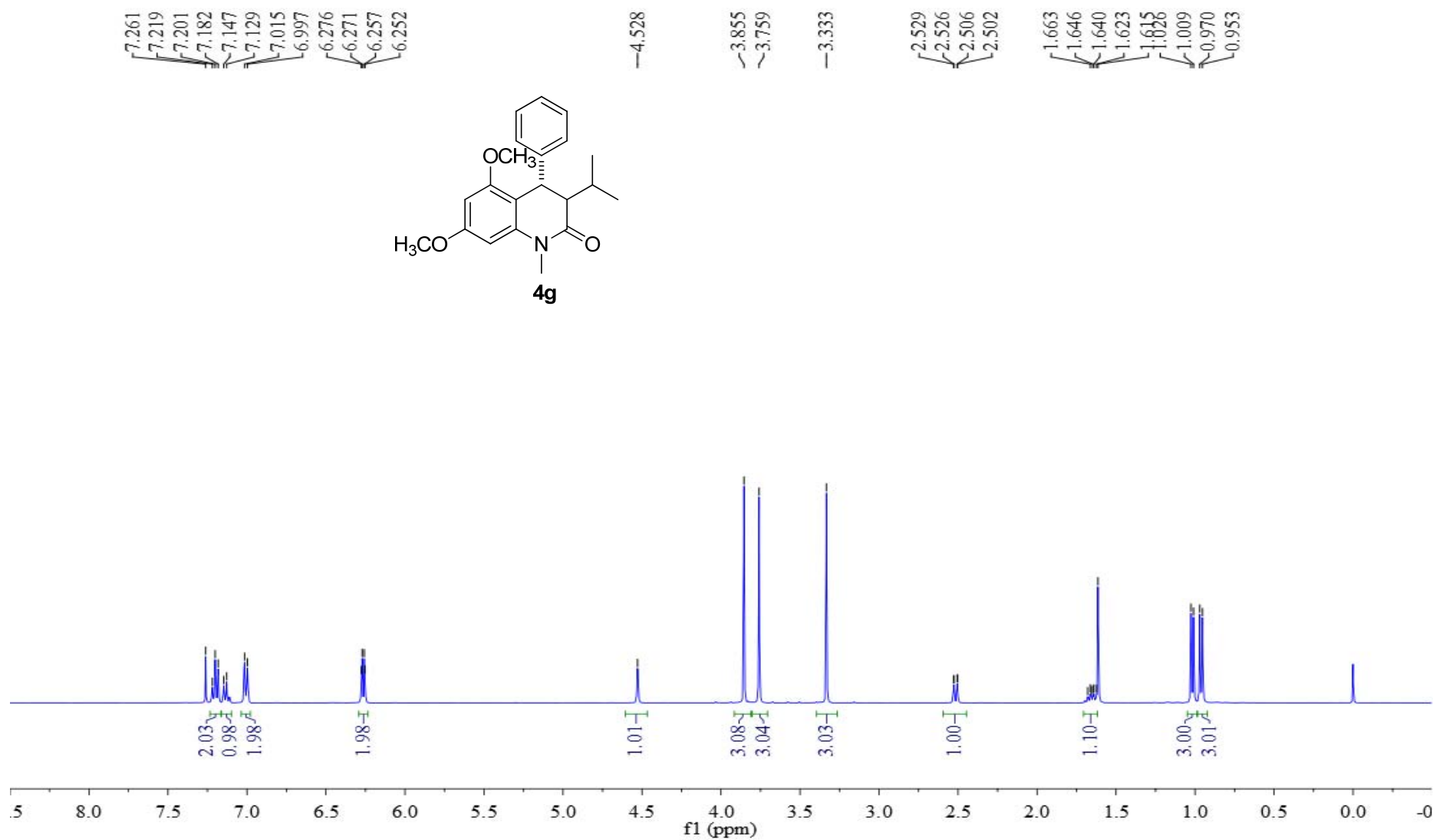


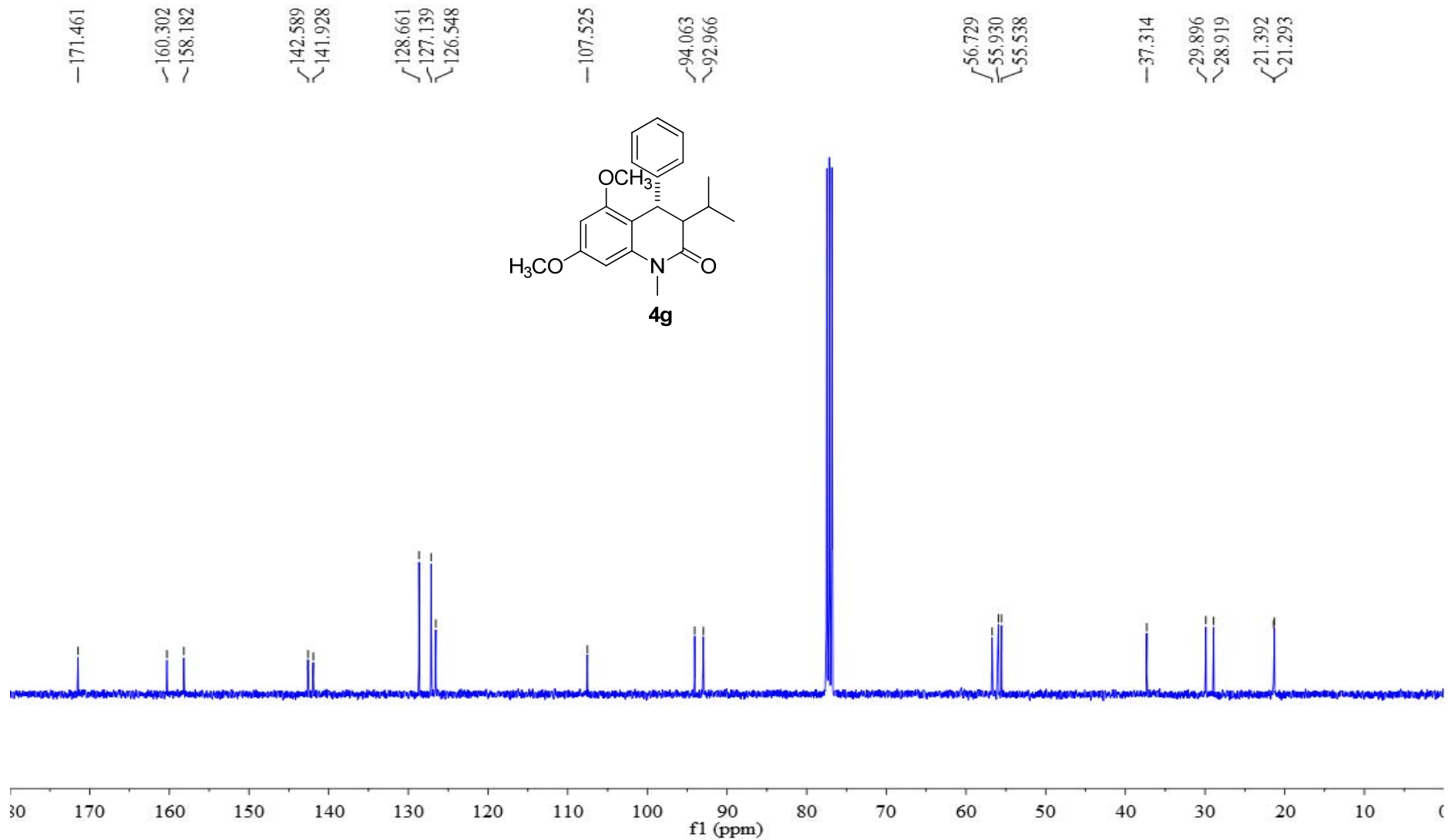


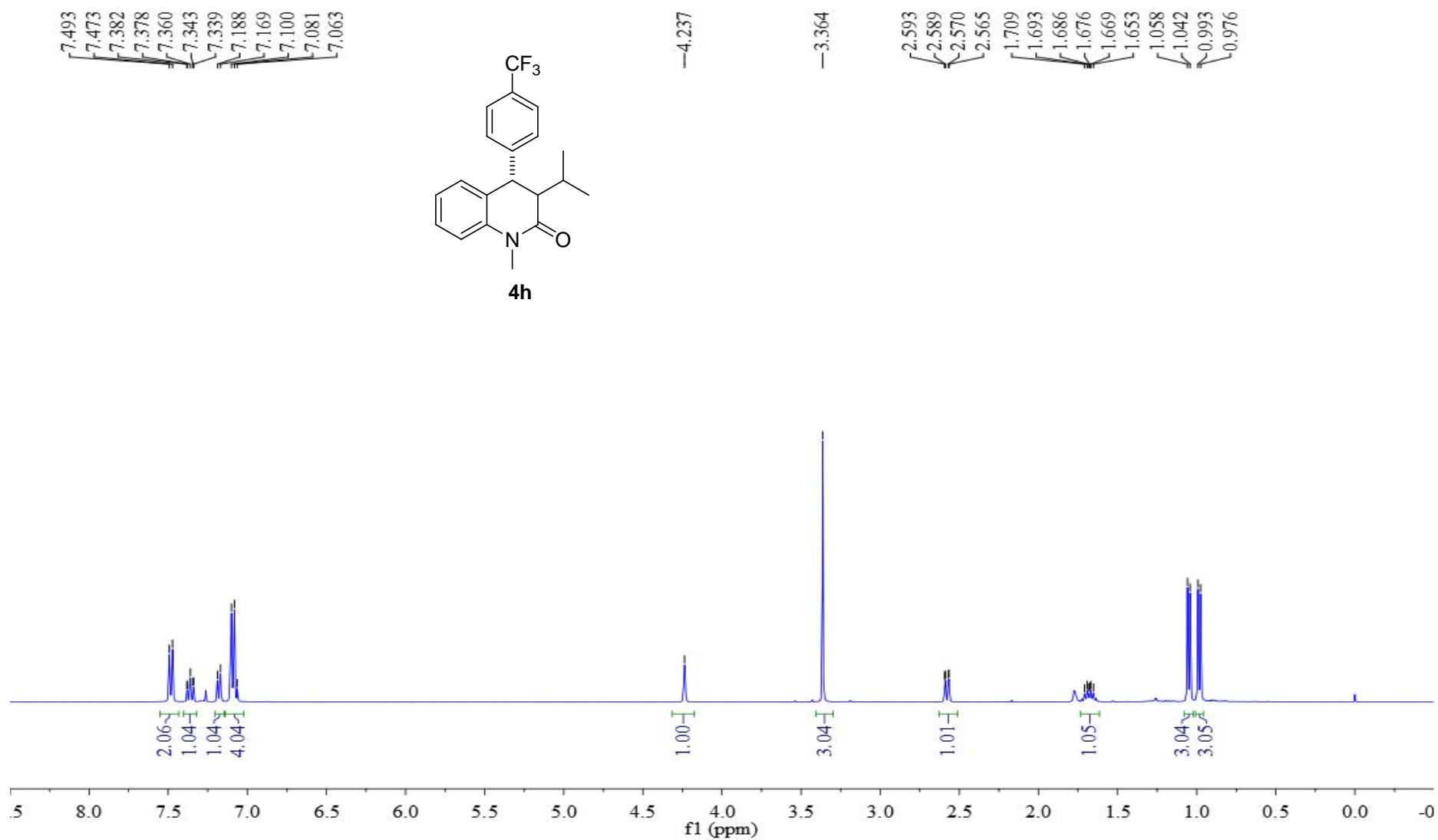


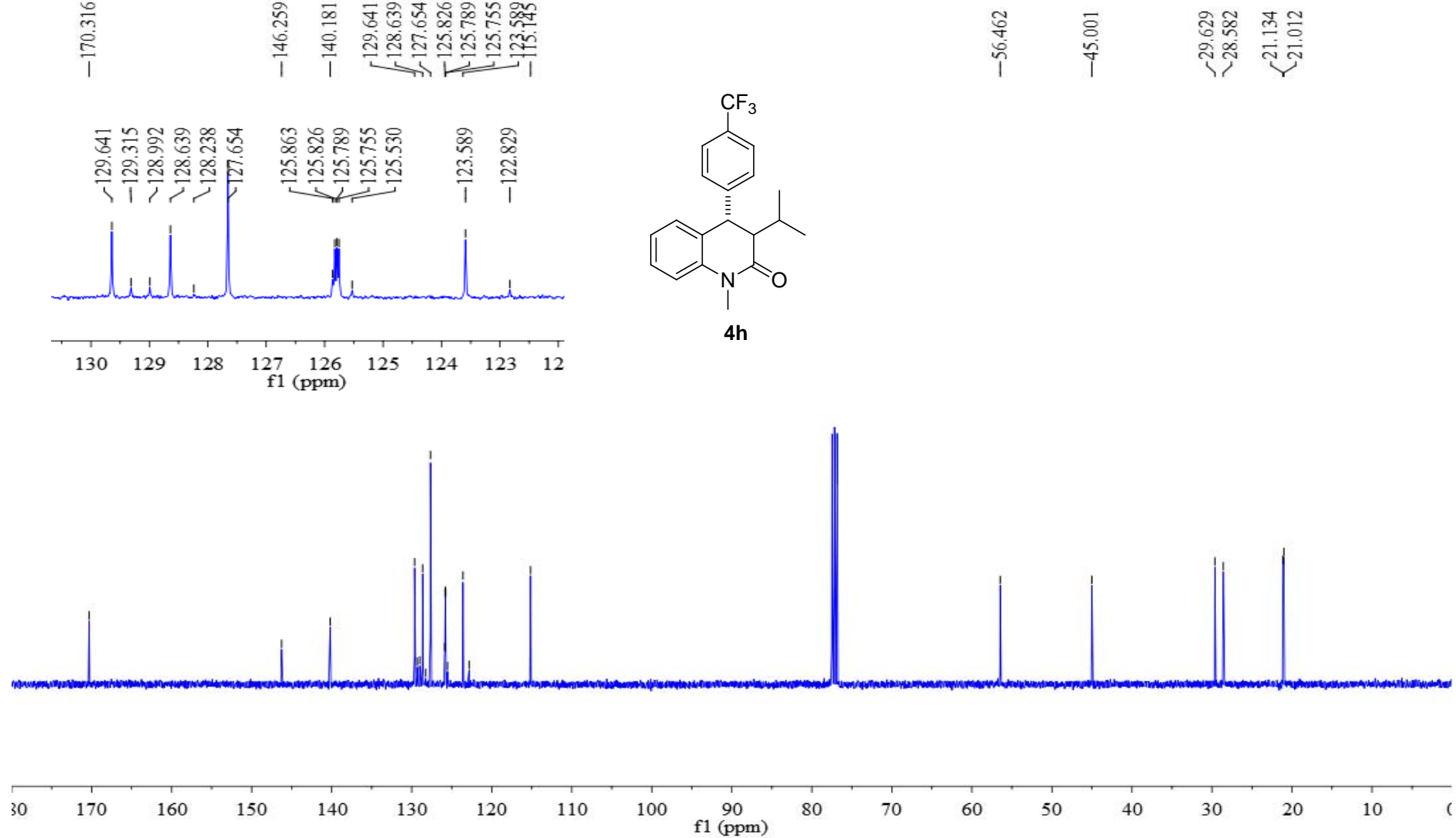
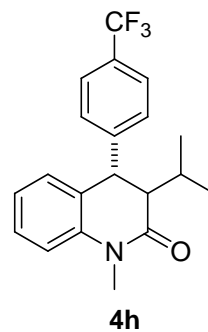
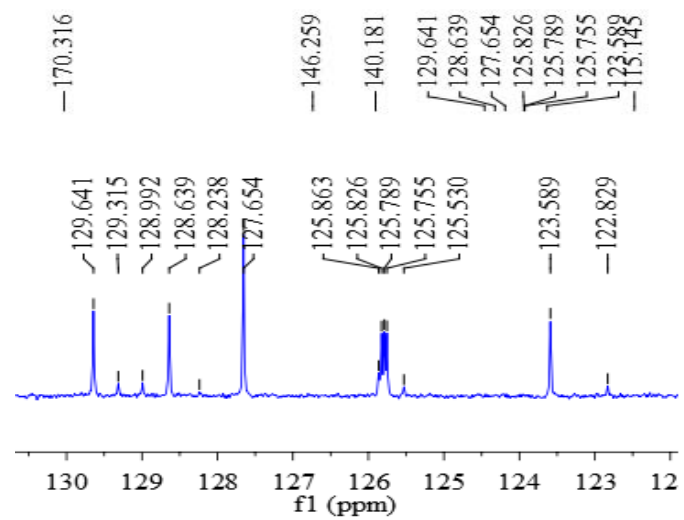


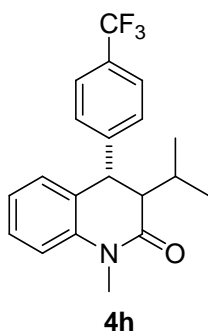




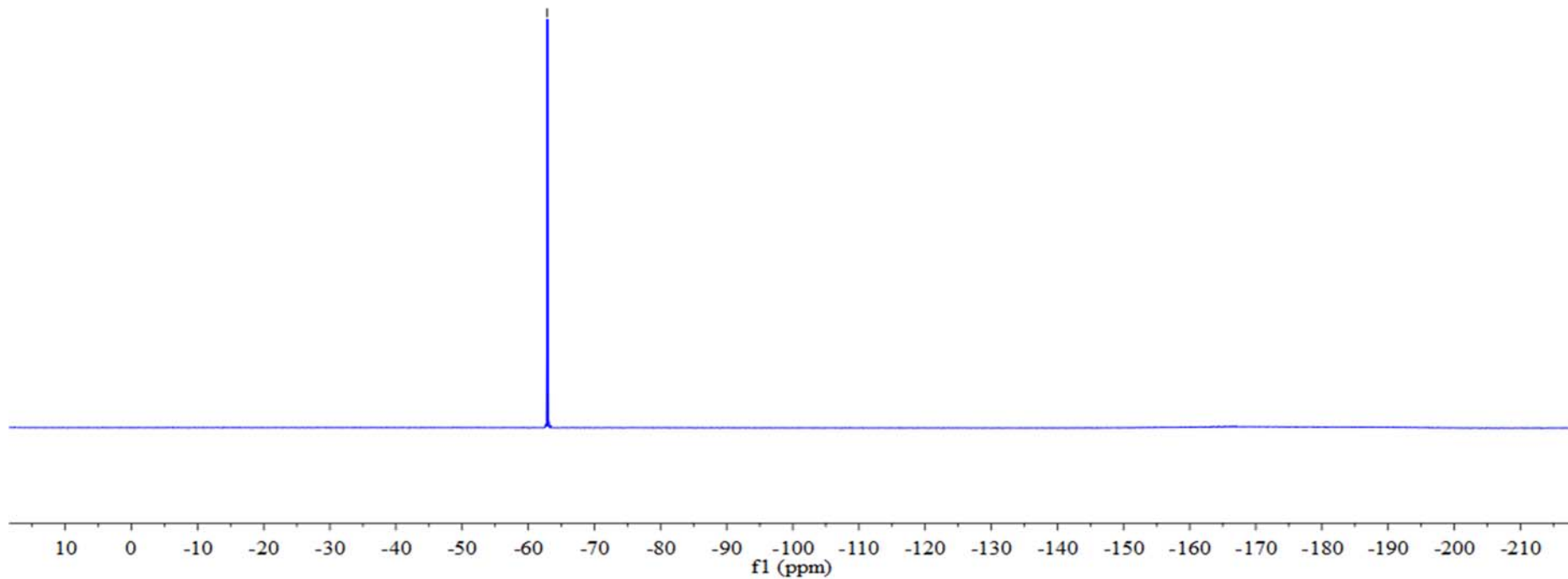


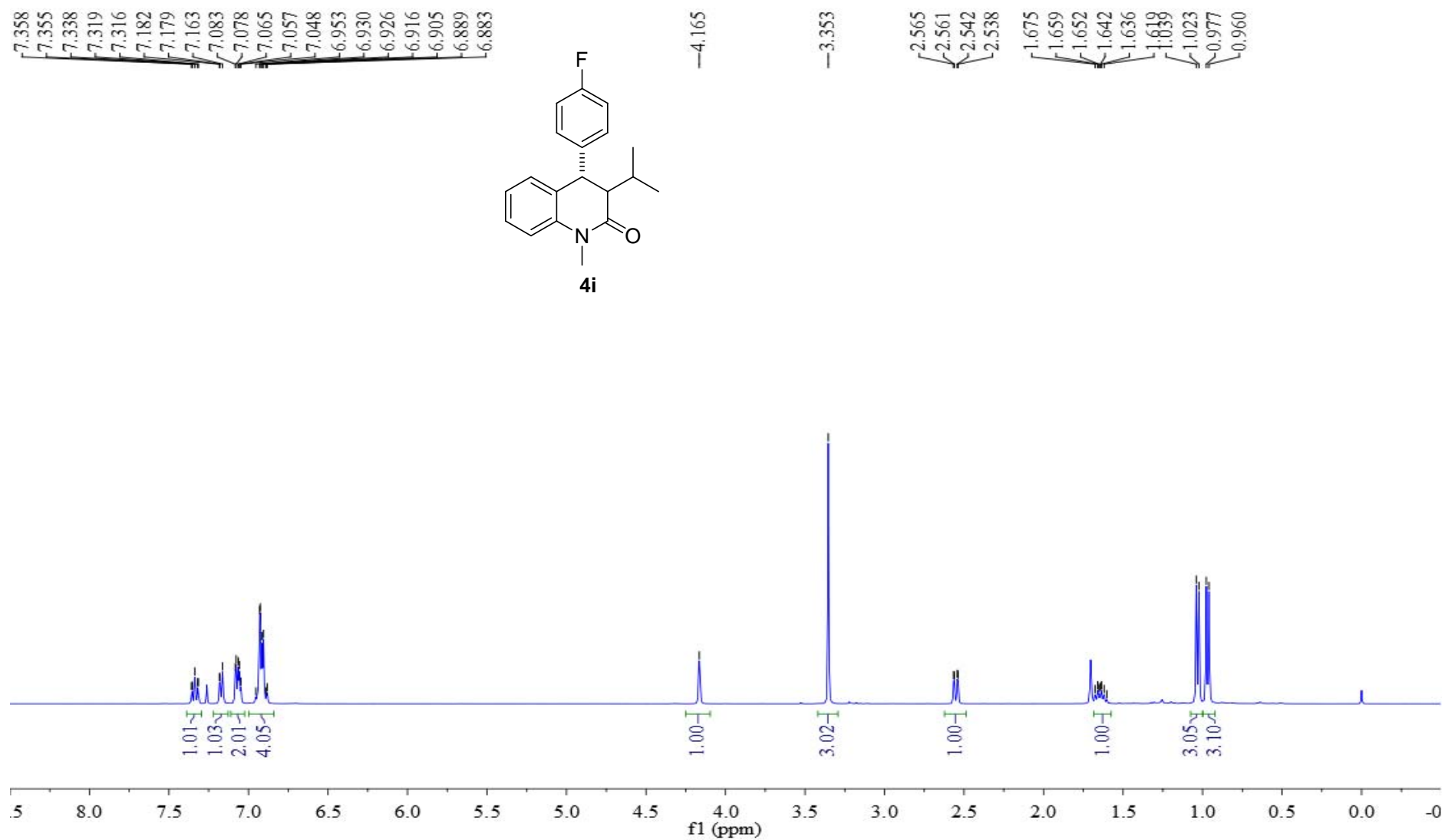




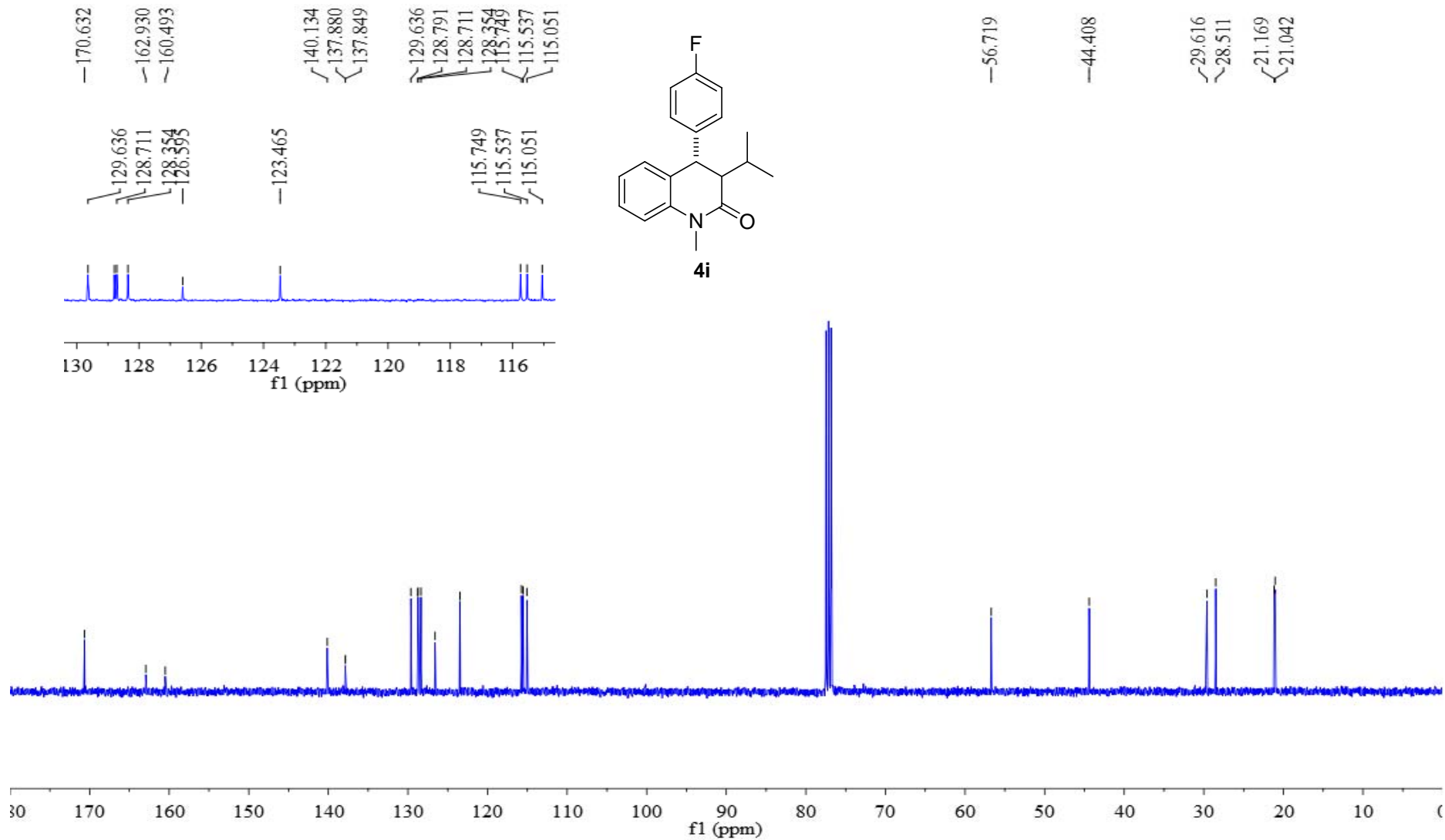


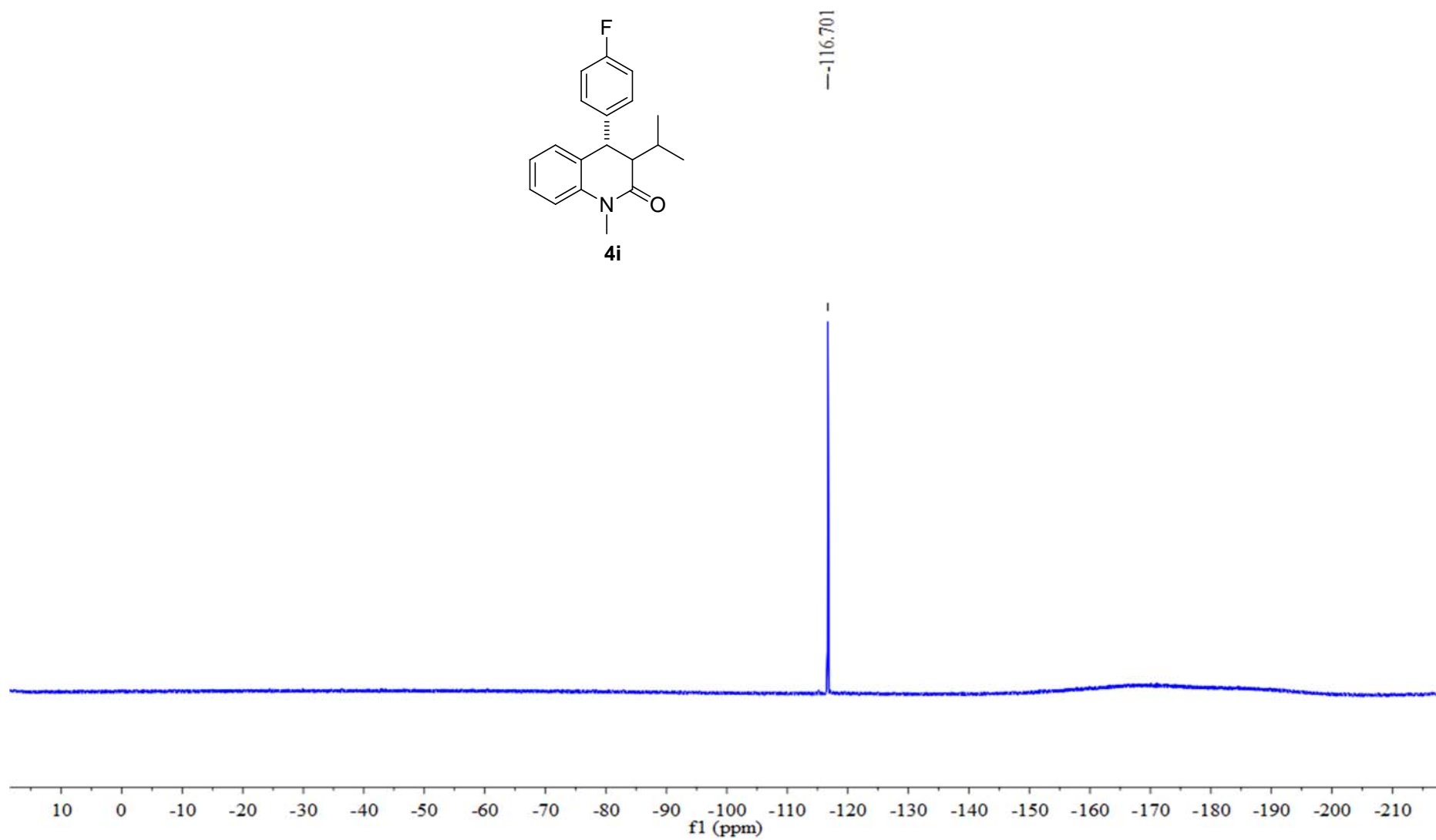
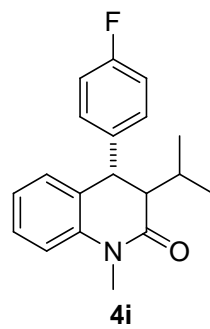
---62.872

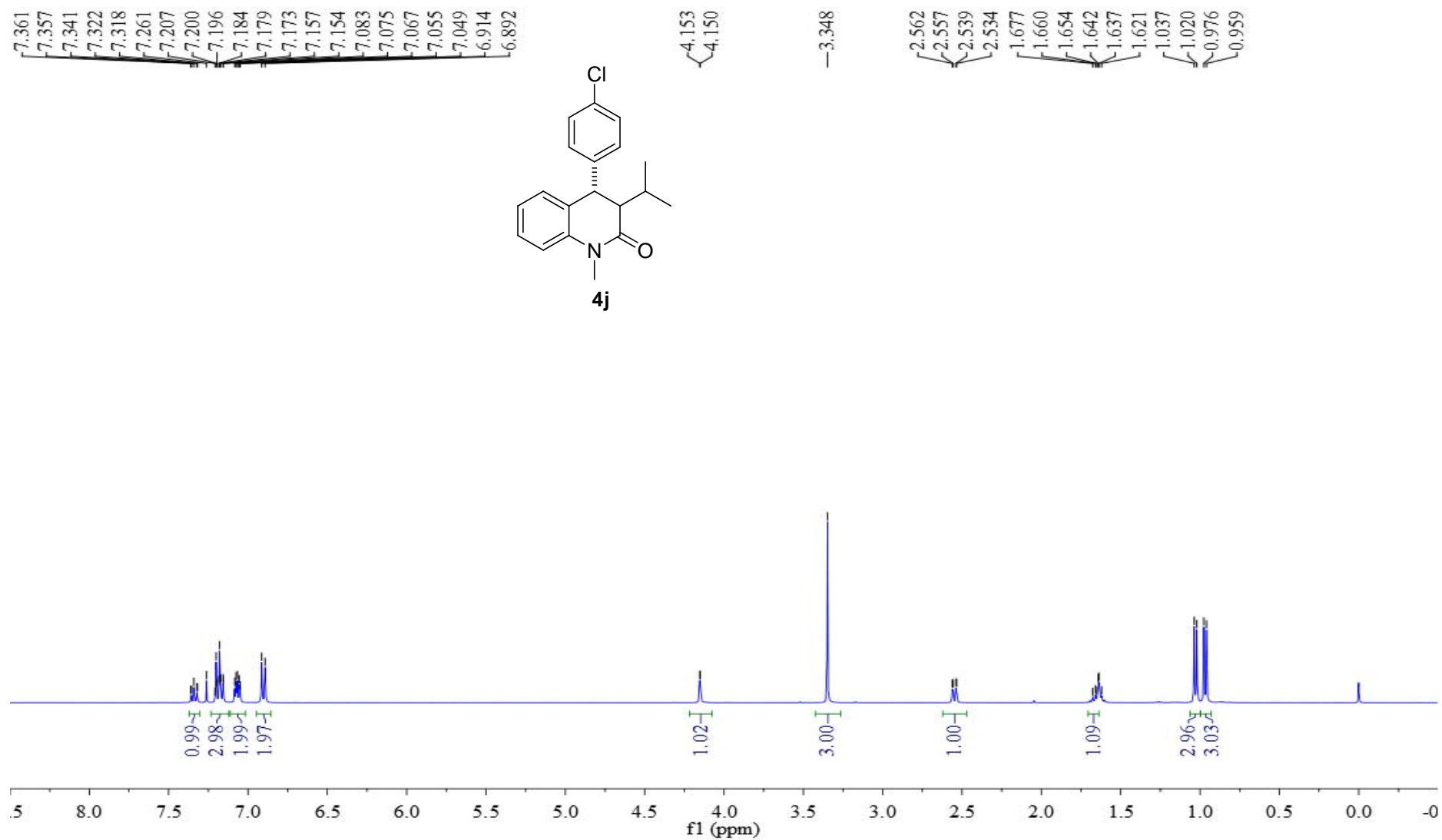


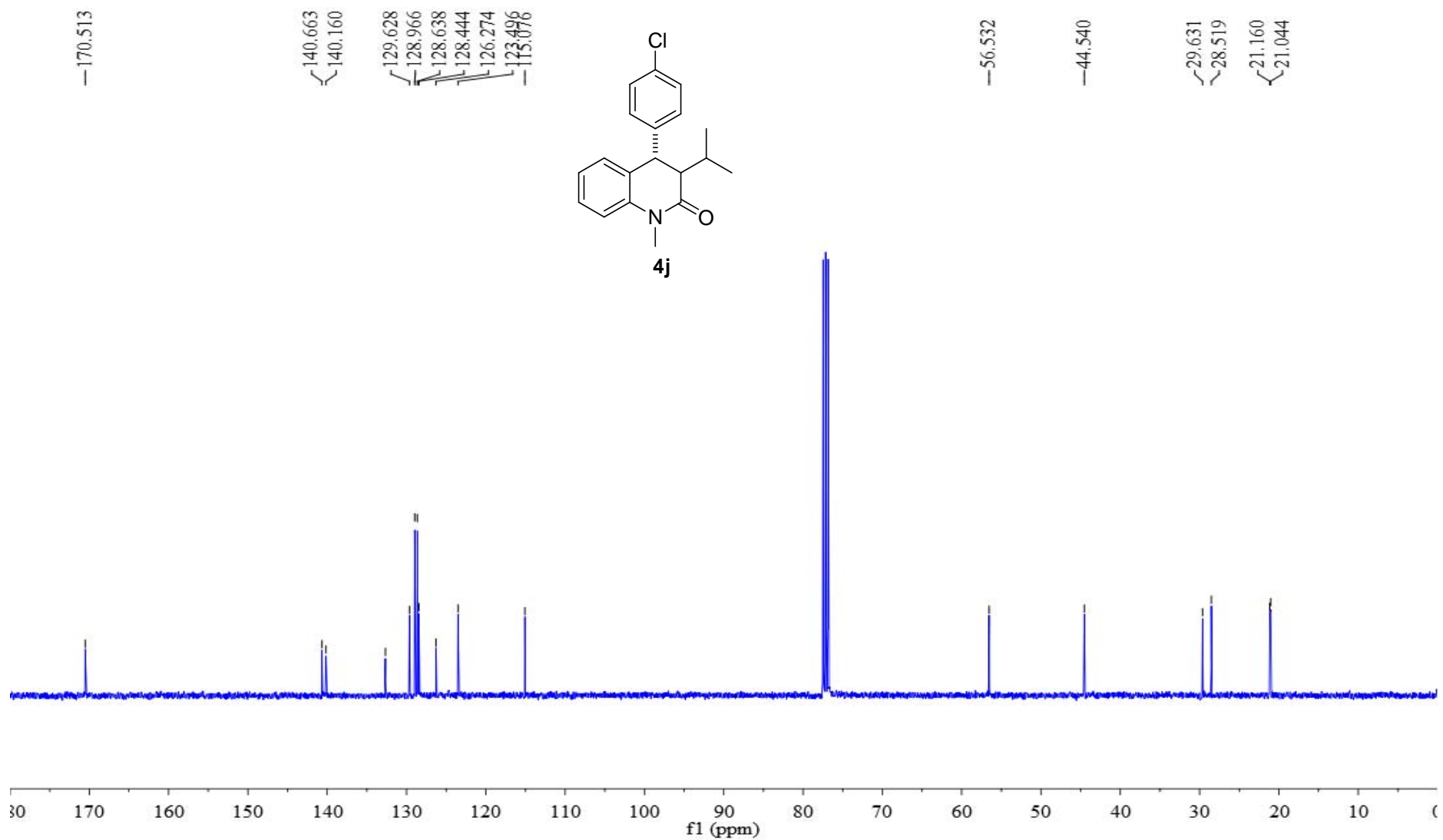


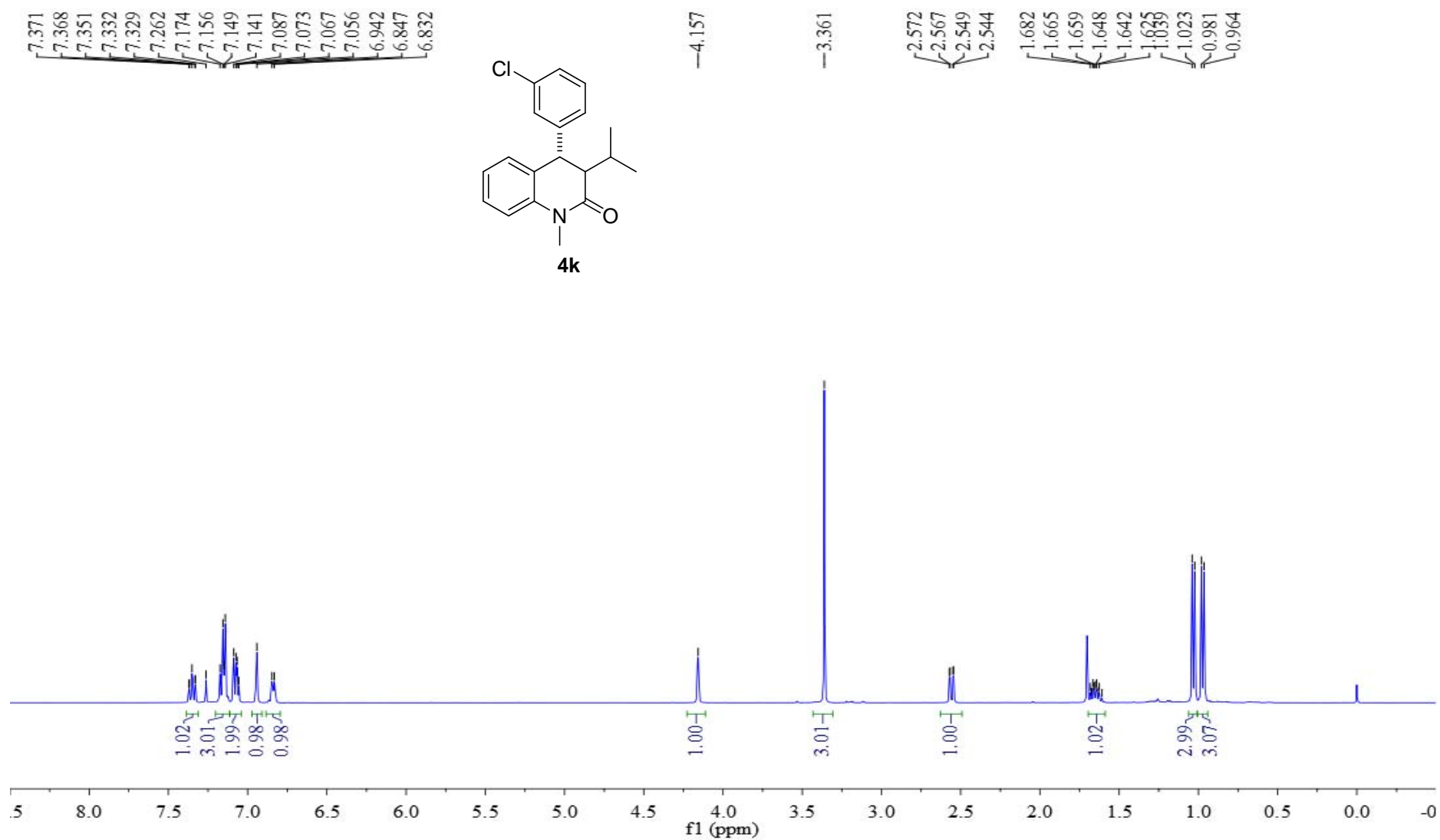


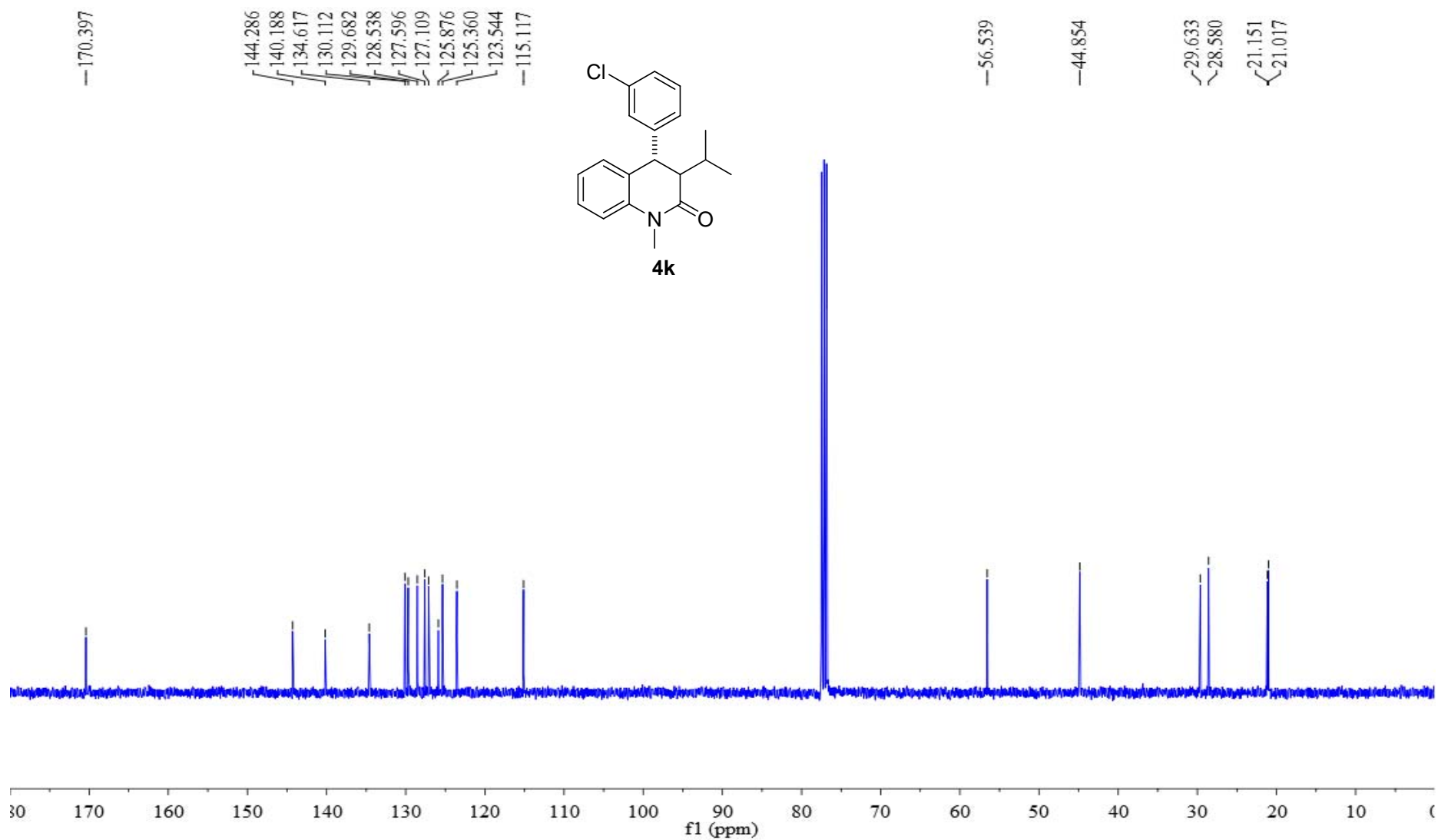


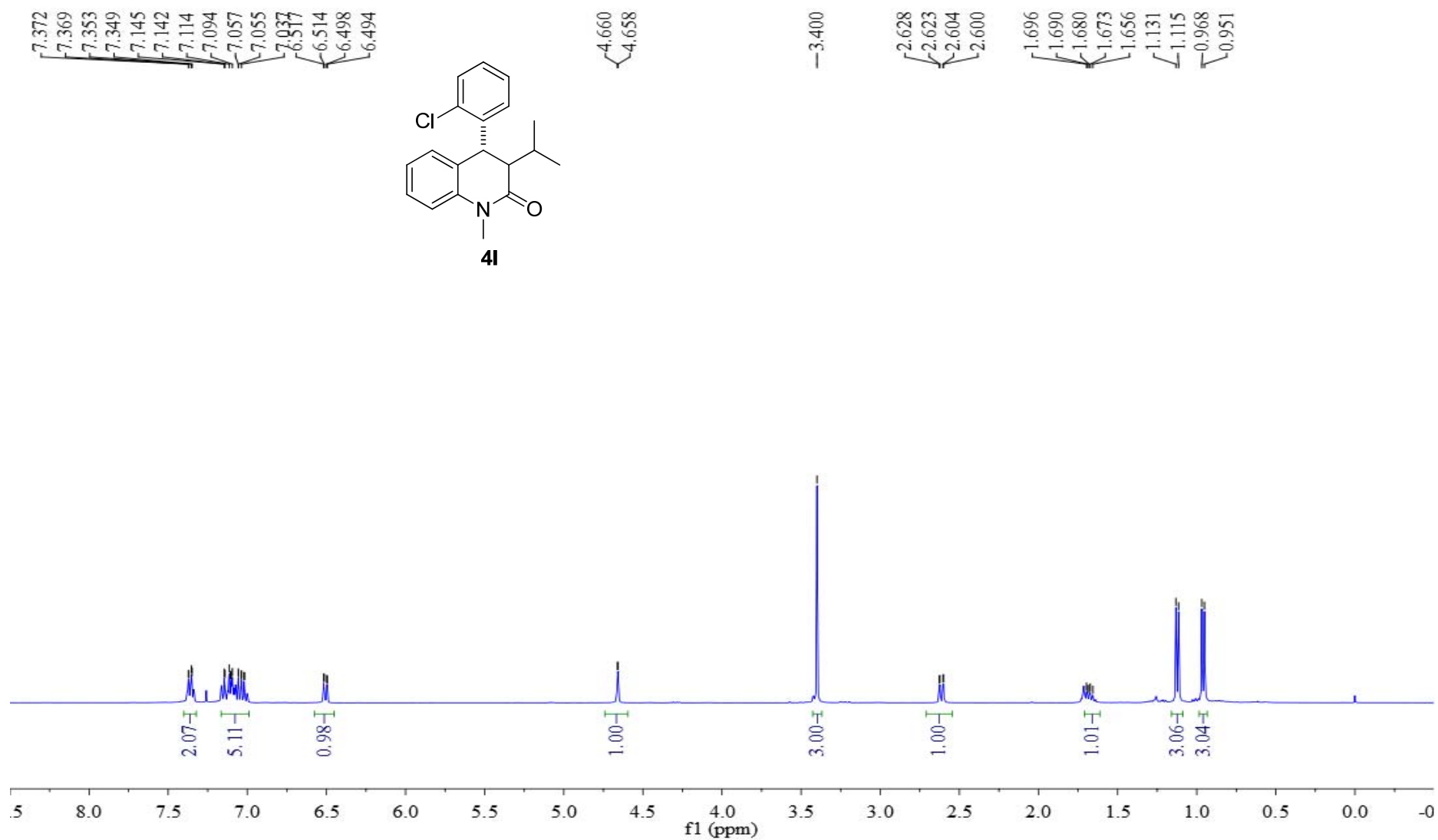


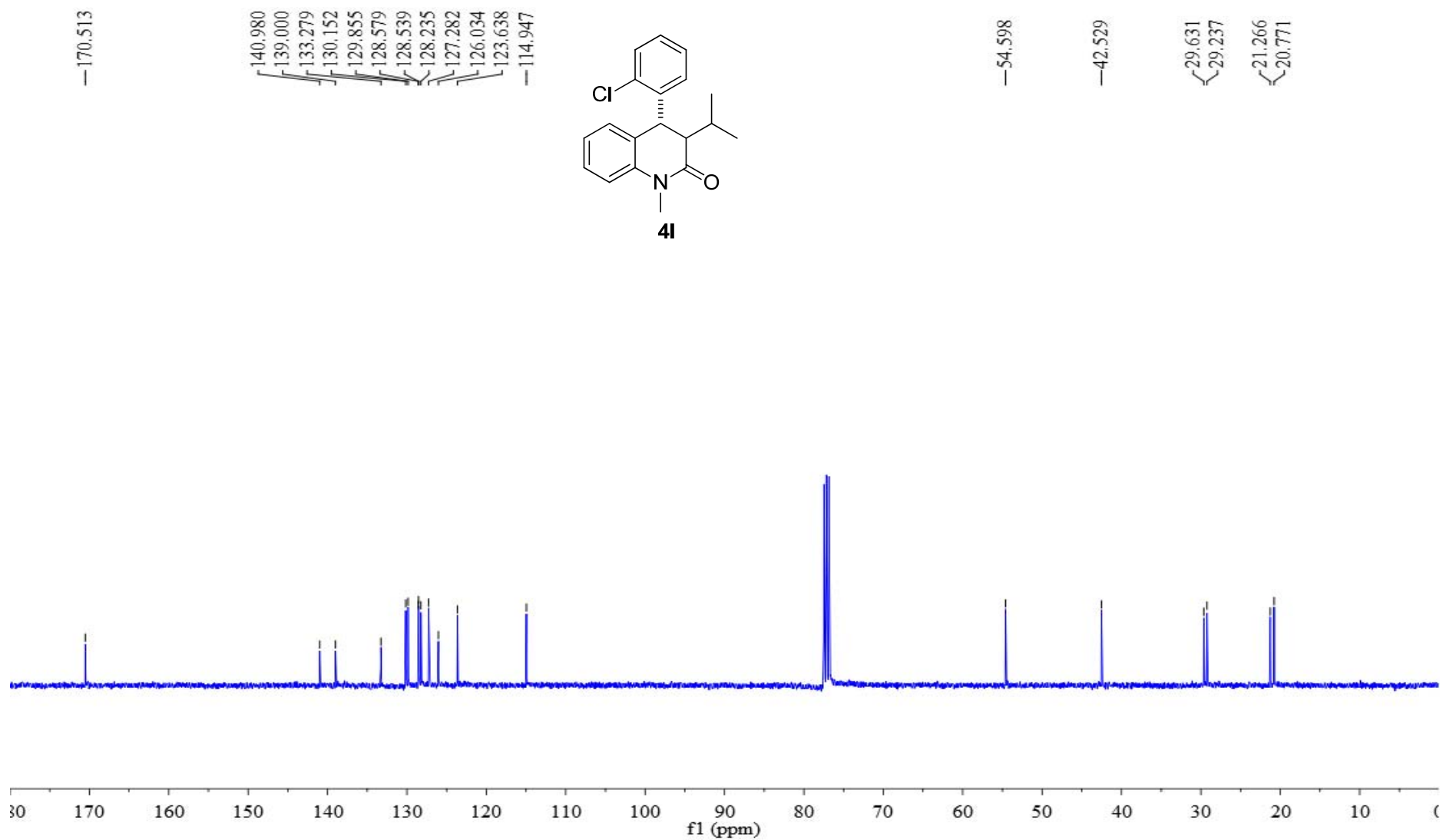




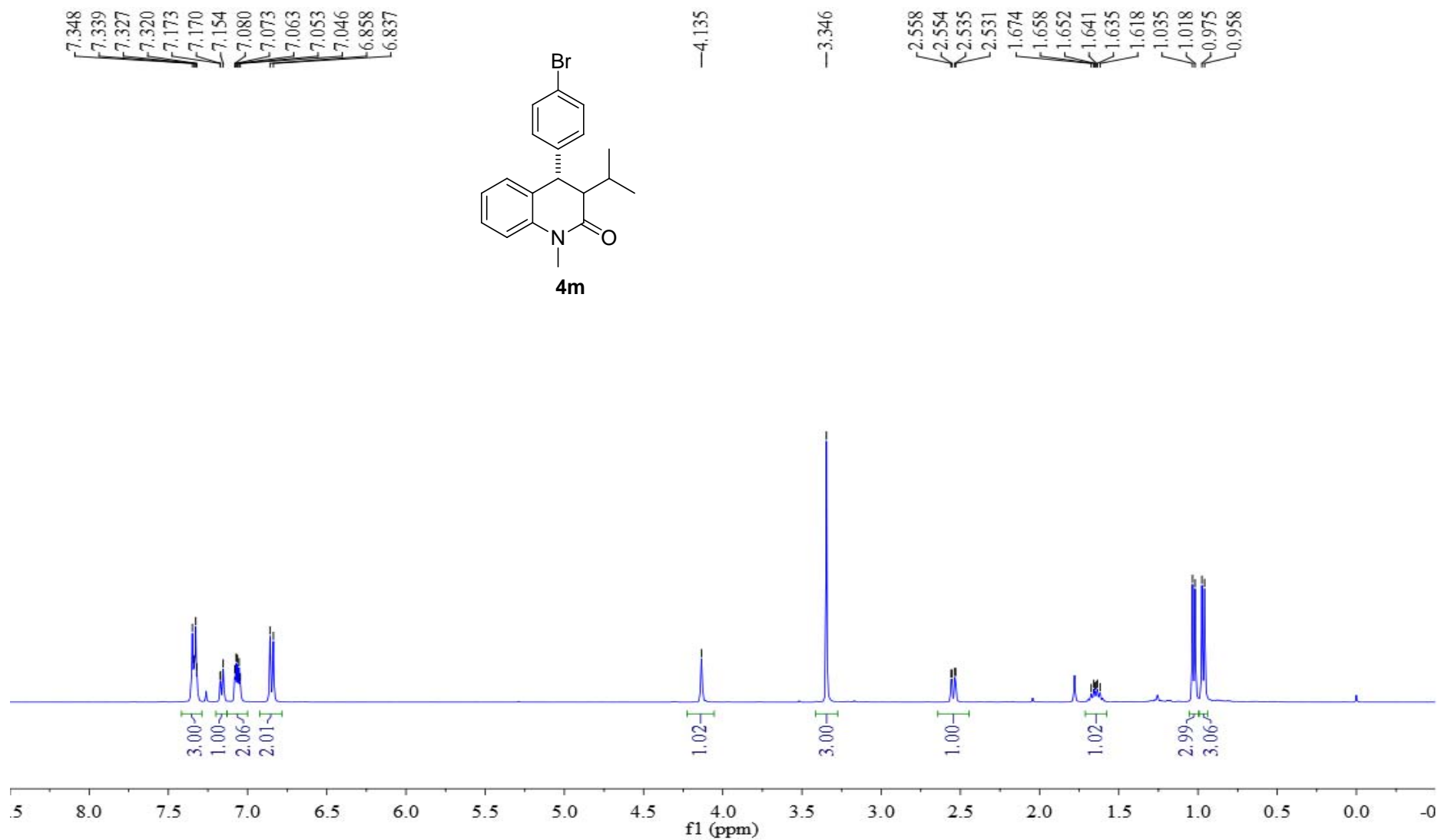


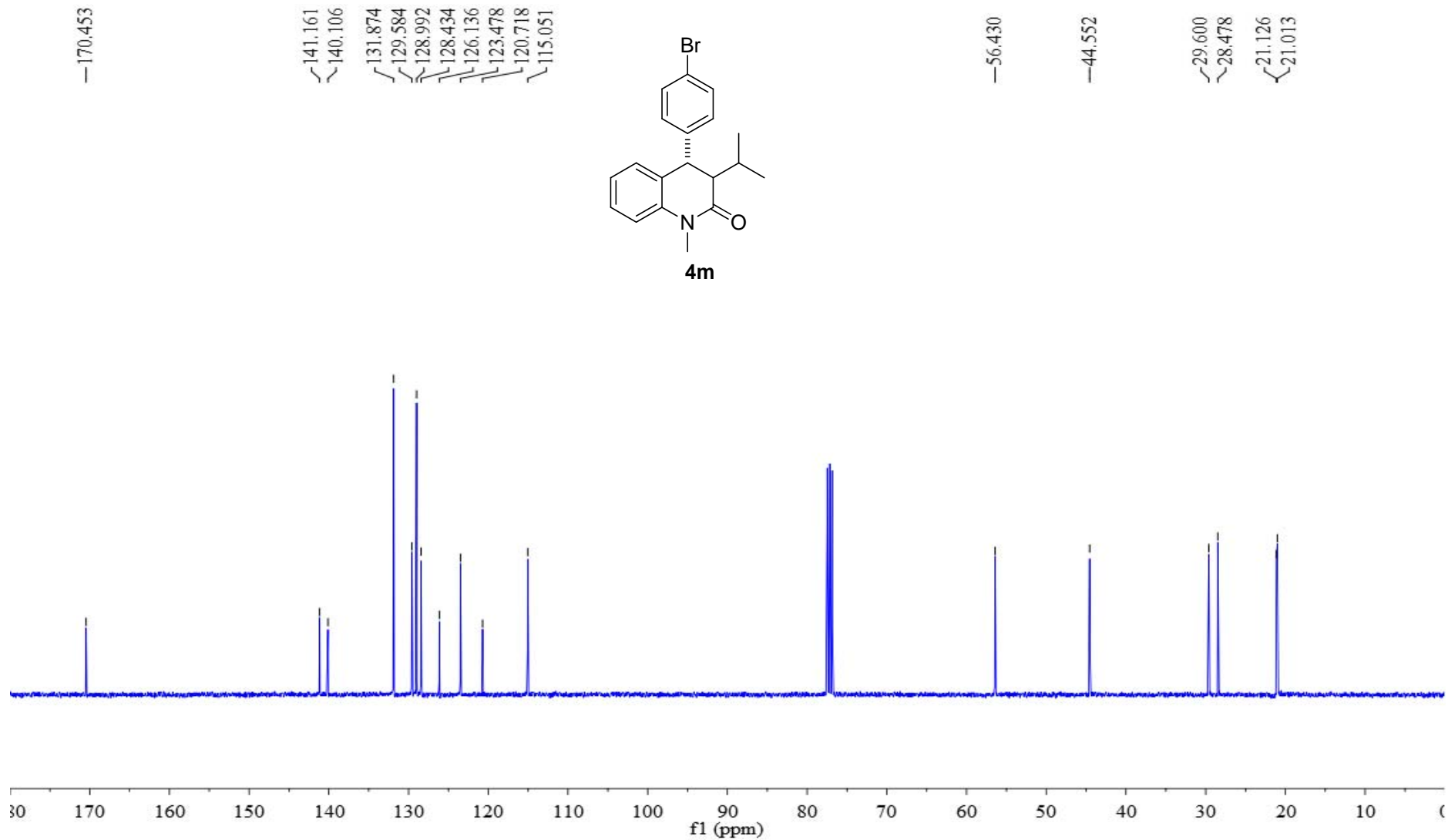


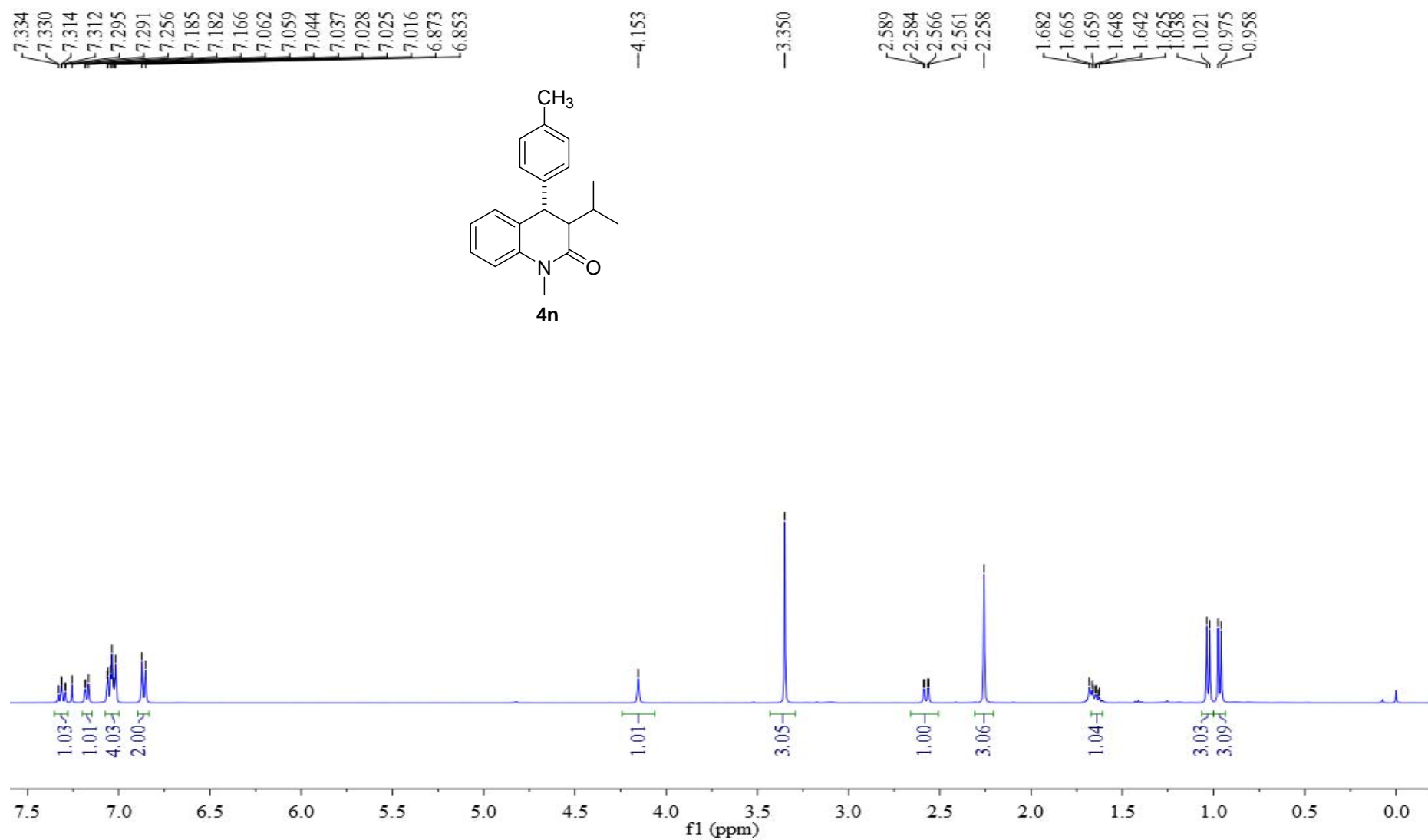


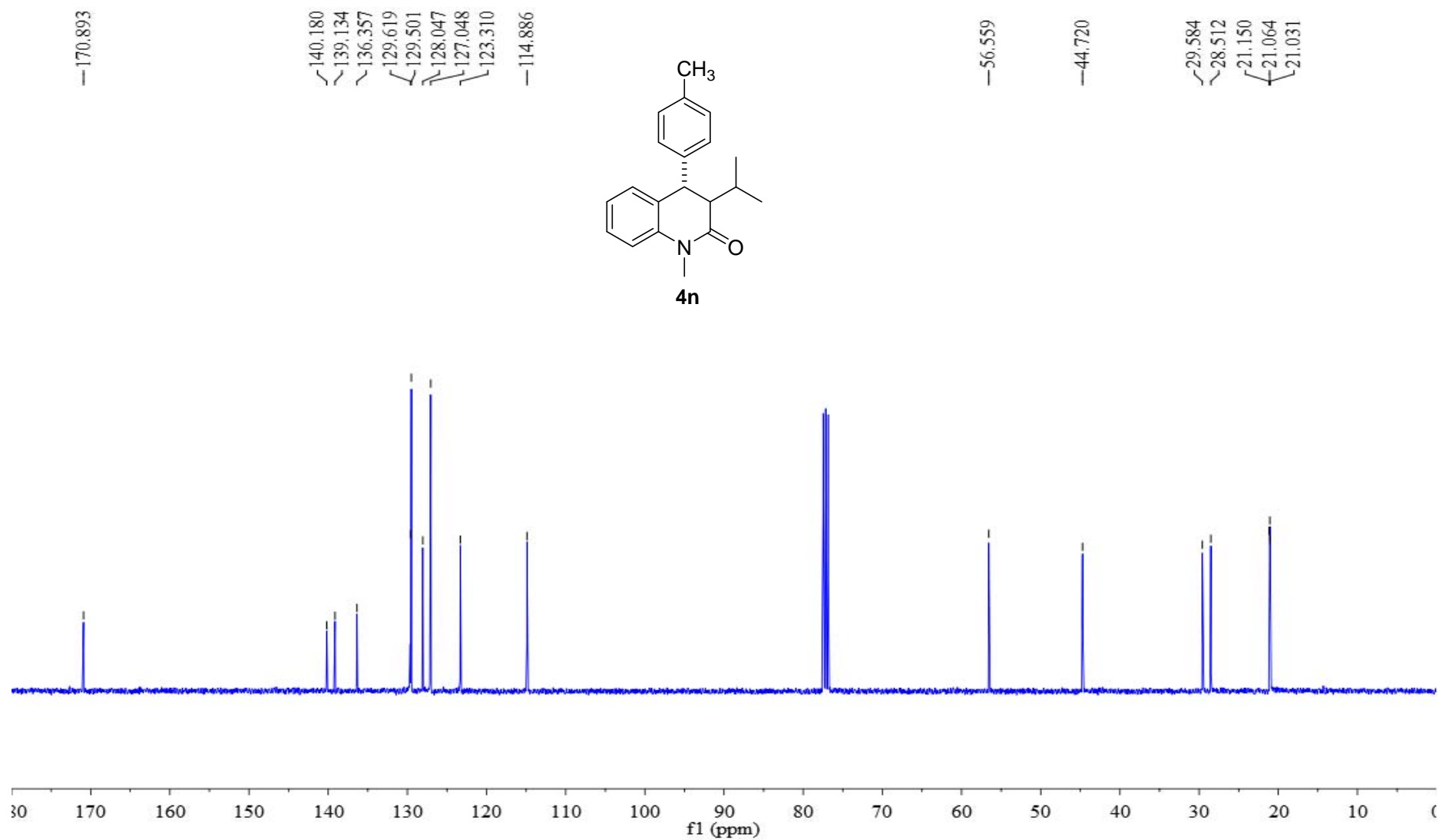


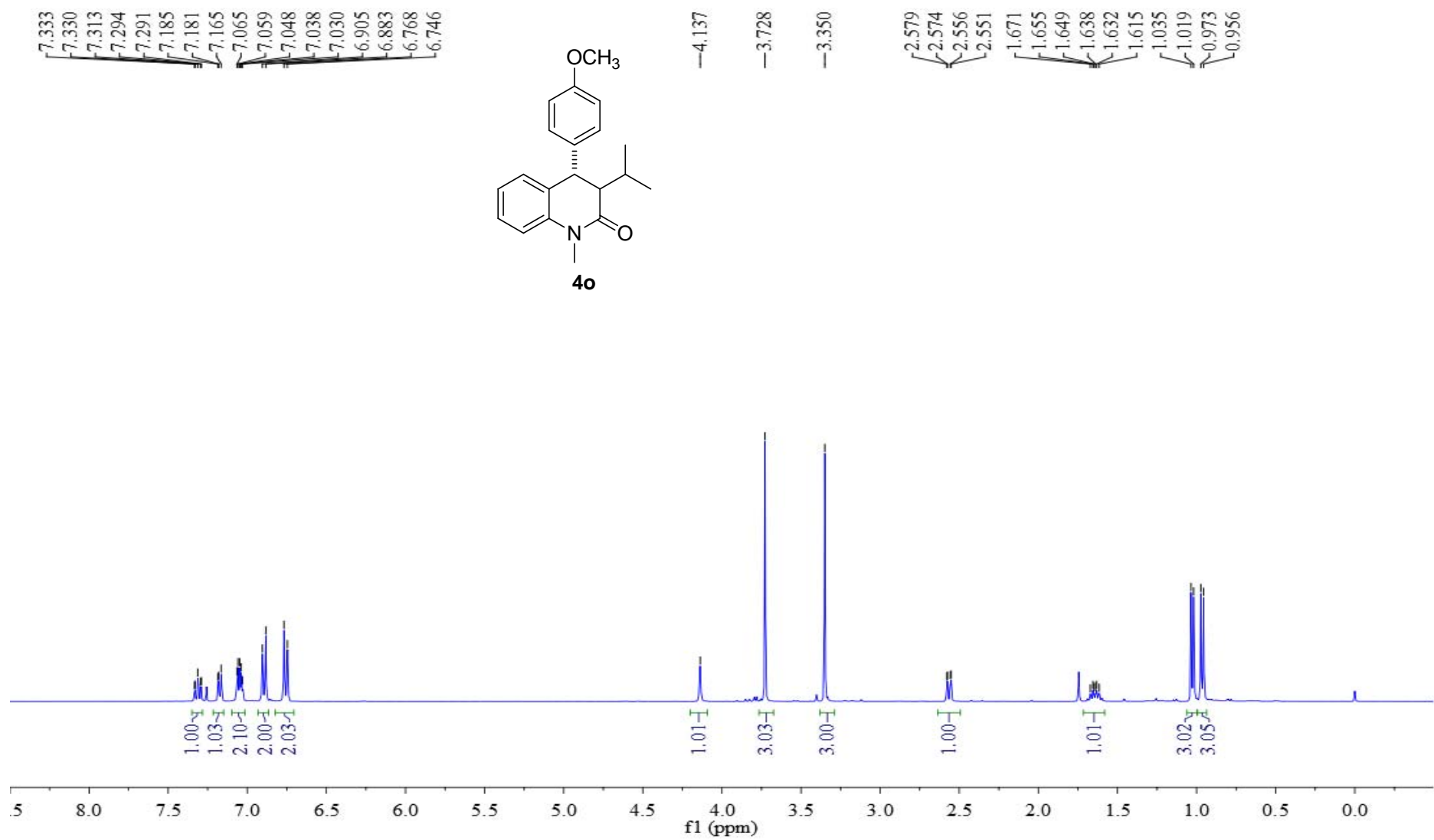


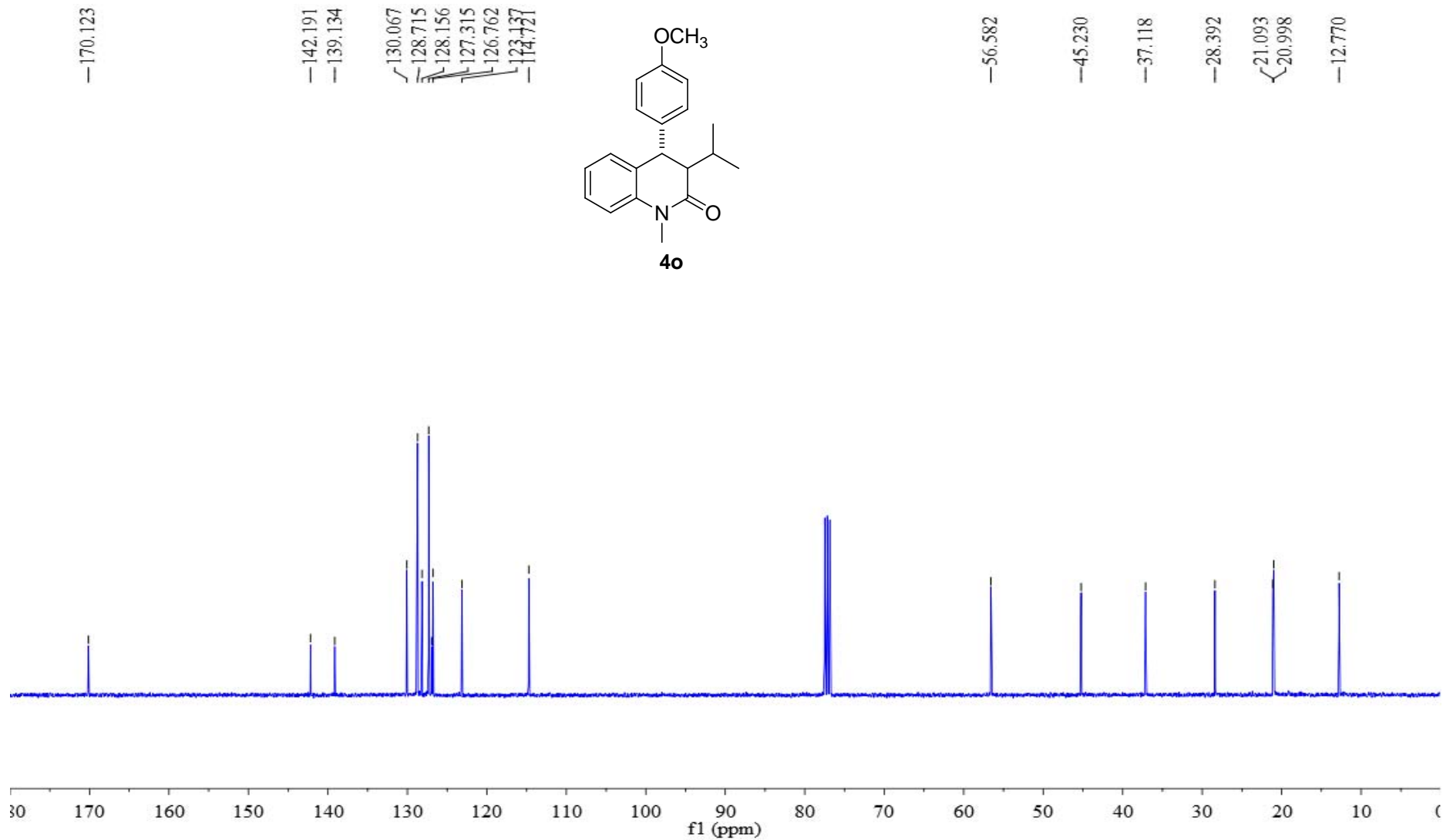


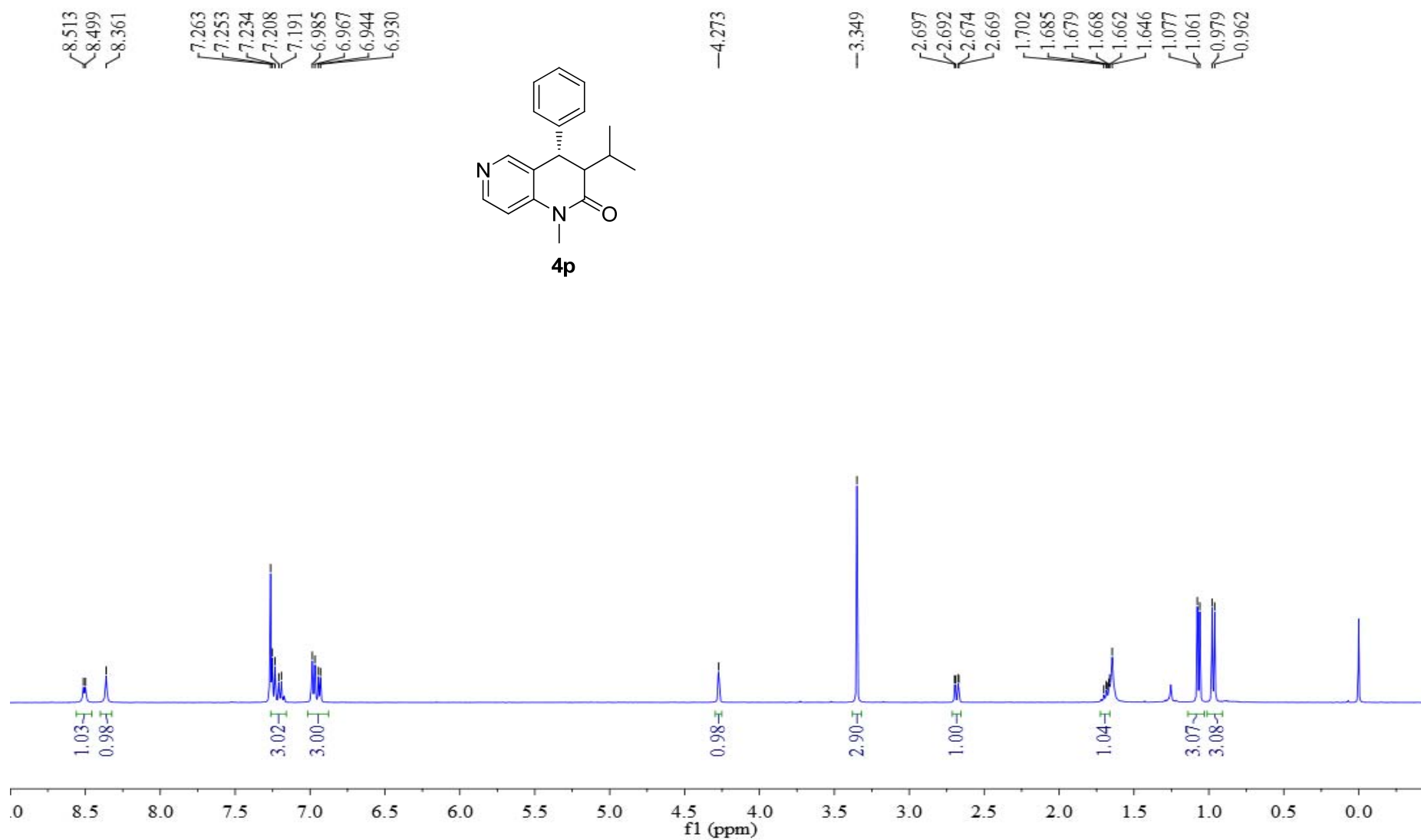


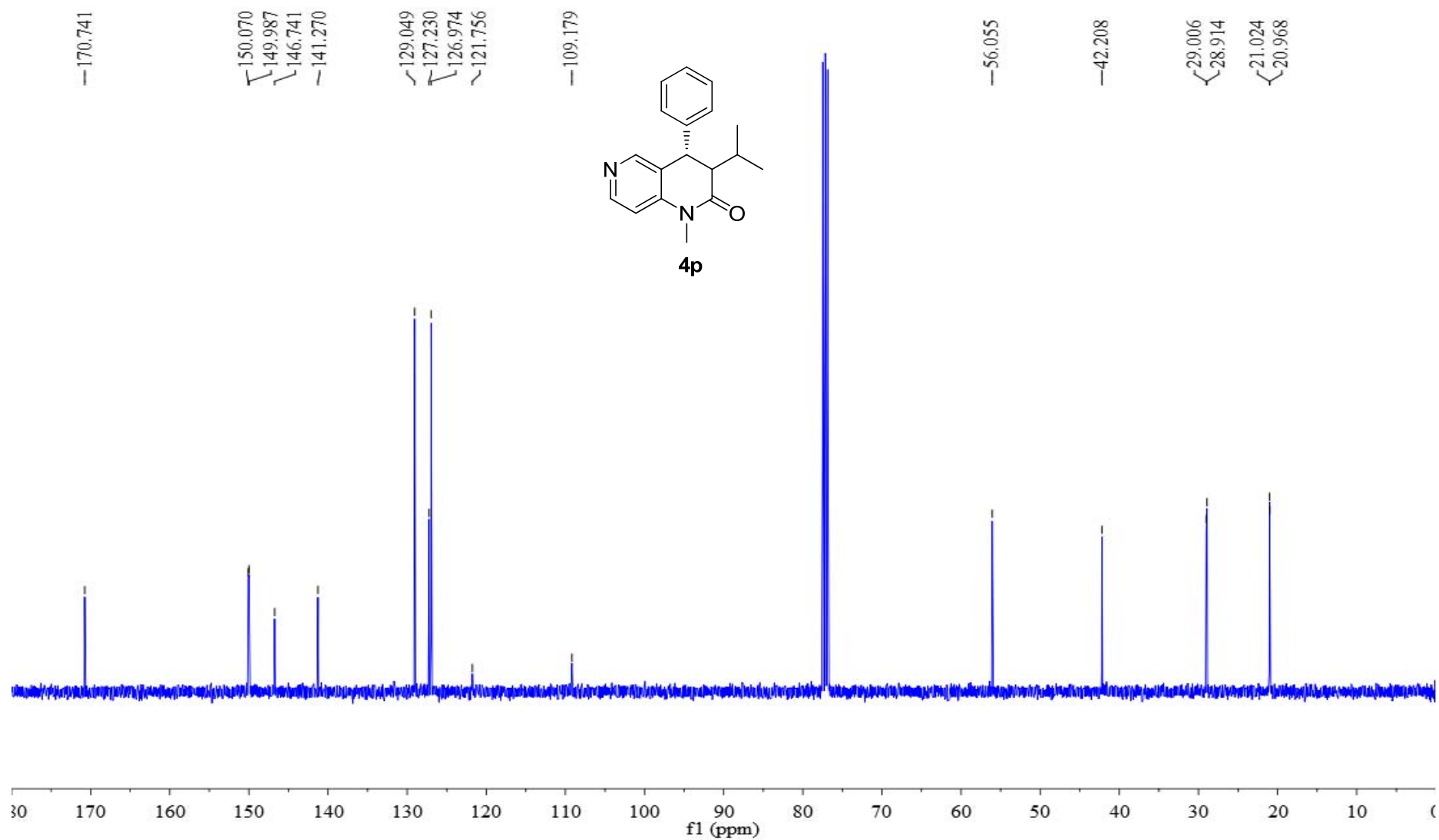












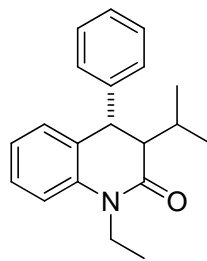


7.331  
7.328  
7.310  
7.292  
7.289  
7.248  
7.228  
7.211  
7.192  
7.178  
7.175  
7.157  
7.139  
7.121  
7.091  
7.071  
7.054  
7.035  
7.017  
6.985  
6.967

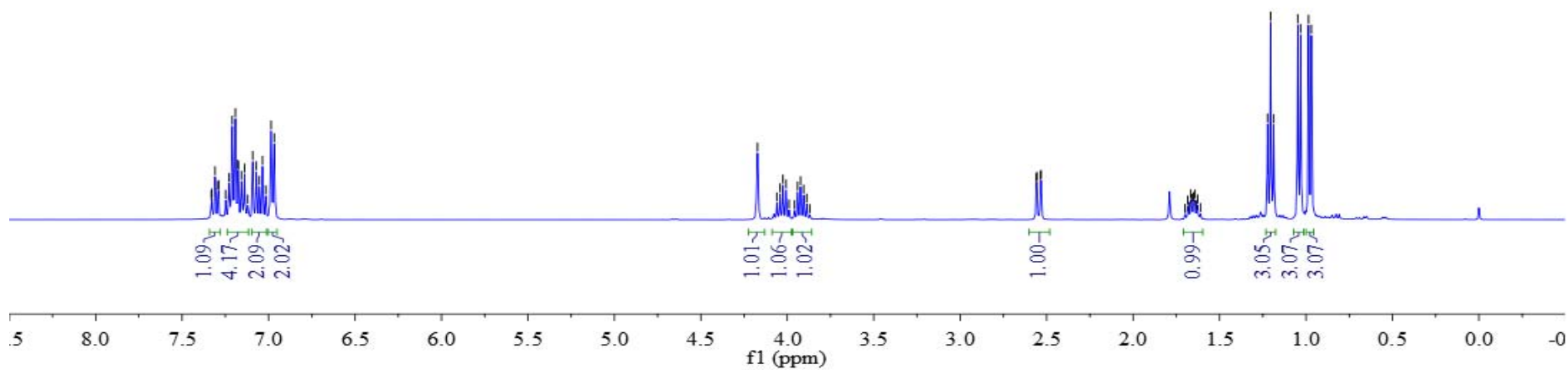
4.172  
4.060  
4.042  
4.025  
4.007  
3.990  
3.958  
3.941  
3.923  
3.905  
3.888  
3.870

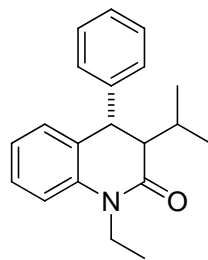
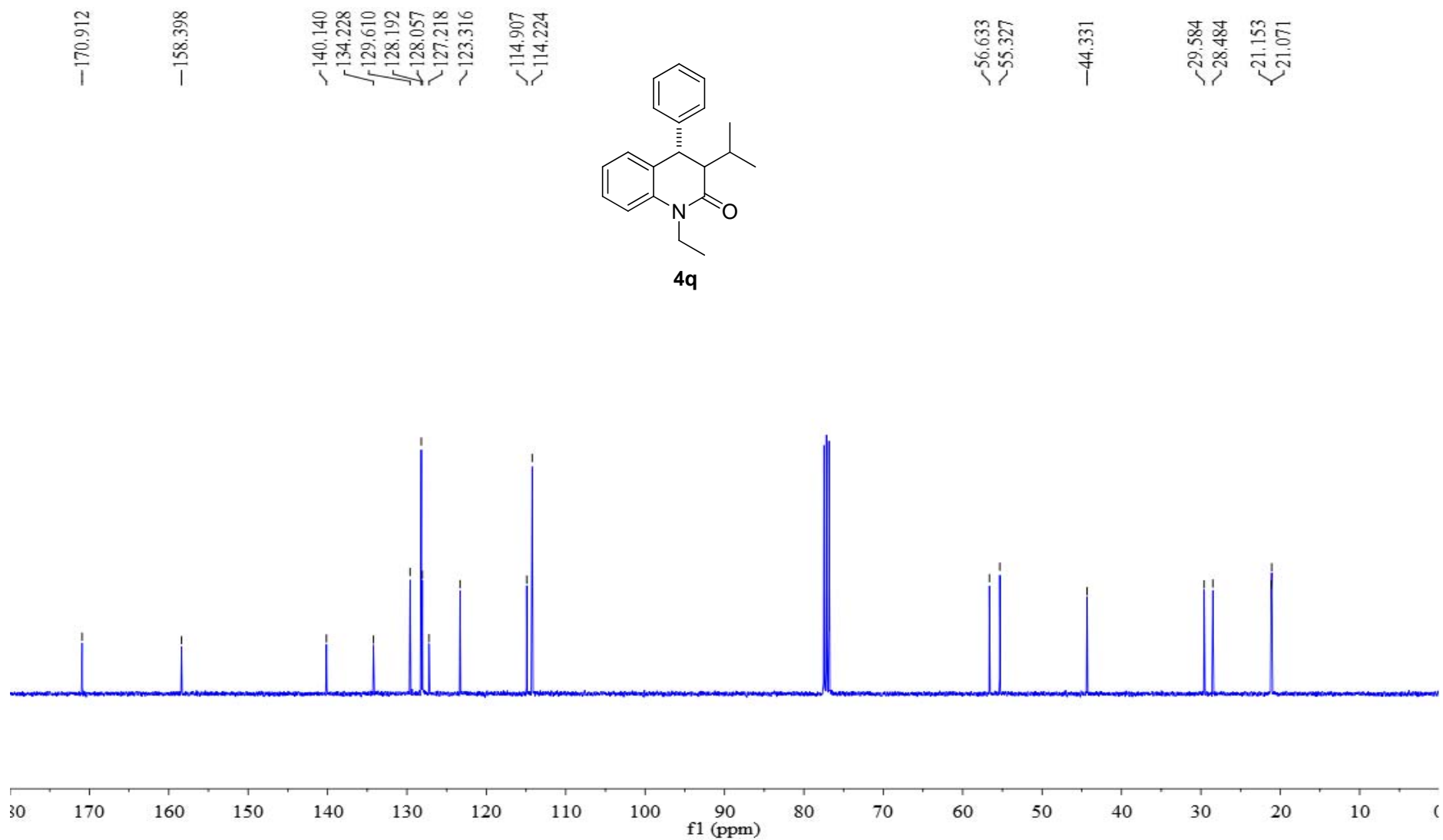
2.560  
2.556  
2.537  
2.532

1.667  
1.660  
1.650  
1.643  
1.627  
1.622  
1.205  
1.187  
1.048  
1.031  
0.986  
0.969



4q





4q

