

# Supporting Information

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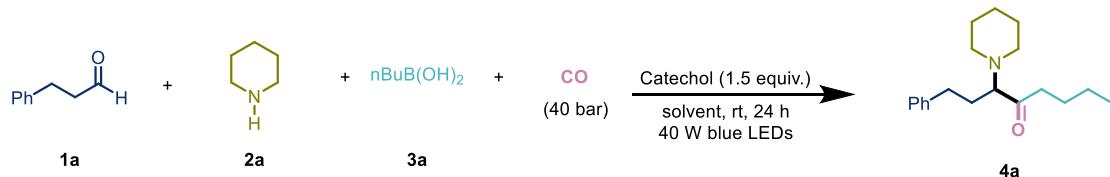
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## **1. General Information.**

Unless otherwise noted, all reactions were carried out under carbon monoxide or nitrogen atmosphere. All reagents were from commercial sources (Sigma-Aldrich, Bidepharm, EnergyChemical) and used as received without further purification. All solvents were dried by standard techniques and distilled prior to use. Column chromatography was performed on silica gel (200-300 meshes) using petroleum ether (bp. 60~90 °C), dichloromethane and ethyl acetate as eluent. All NMR spectra were recorded at ambient temperature using Bruker Avance III 400 MHz NMR ( $^1\text{H}$ , 400 MHz;  $^{13}\text{C}$  { $^1\text{H}$ }, 101 MHz,  $^{19}\text{F}$  376 MHz).  $^1\text{H}$  NMR chemical shifts are reported relative to TMS and were referenced via residual proton resonances of the corresponding deuterated solvent ( $\text{CDCl}_3$ : 7.26 ppm) whereas  $^{13}\text{C}$ { $^1\text{H}$ } NMR spectra are reported relative to TMS via the carbon signals of the deuterated solvent ( $\text{CDCl}_3$ : 77.0 ppm). Data for  $^1\text{H}$  are reported as follows: chemical shift ( $\delta$  ppm), multiplicity (s = singlet, d = doublet, t = triplet, q = quartet, dd (doublet of doublets), dt (doublet of triplets), qd (quartet of doublets), quint = quintet, m = multiplet, br = broad), coupling constant (Hz), and integration. All  $^{13}\text{C}$  NMR spectra were broad-band  $^1\text{H}$  decoupled. All reactions were monitored by GC-FID or NMR analysis. HRMS data was obtained with Micromass HPLC-Q-TOF mass spectrometer (ESI-TOF) or Agilent 6540 Accurate-MS spectrometer (Q-TOF). FT-ICR MS was obtained with Solarix FT-ICR MS (15 T, Bruker Daltonics GmbH, Bremen, Germany). Because of the high toxicity of carbon monoxide, all the reactions should be performed in an autoclave. The laboratory should be well-equipped with a CO detector and alarm system.

## 2. Optimization of the Reaction Conditions.

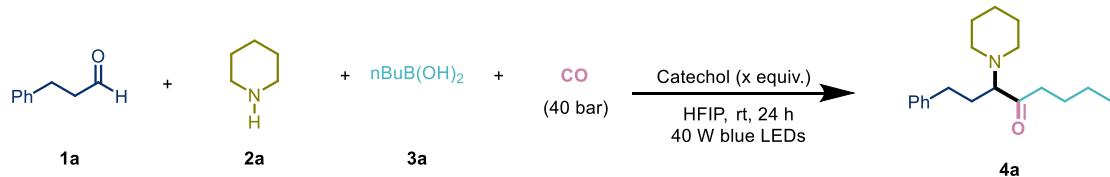
*Table 1.* Optimization of solvent.



Entry	Solvent	Yield (%)
1	DCM	0
2	DMF	0
3	EA	0
4	MeCN	0
5	HFIP	68

Reaction conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), **3a** (0.15 mmol), Catechol (1.5 equiv.), CO (40 bar), HFIP (1 mL), rt, 24 h, 40W blue LEDs. The yields were determined by GC using dodecane as the internal standard.

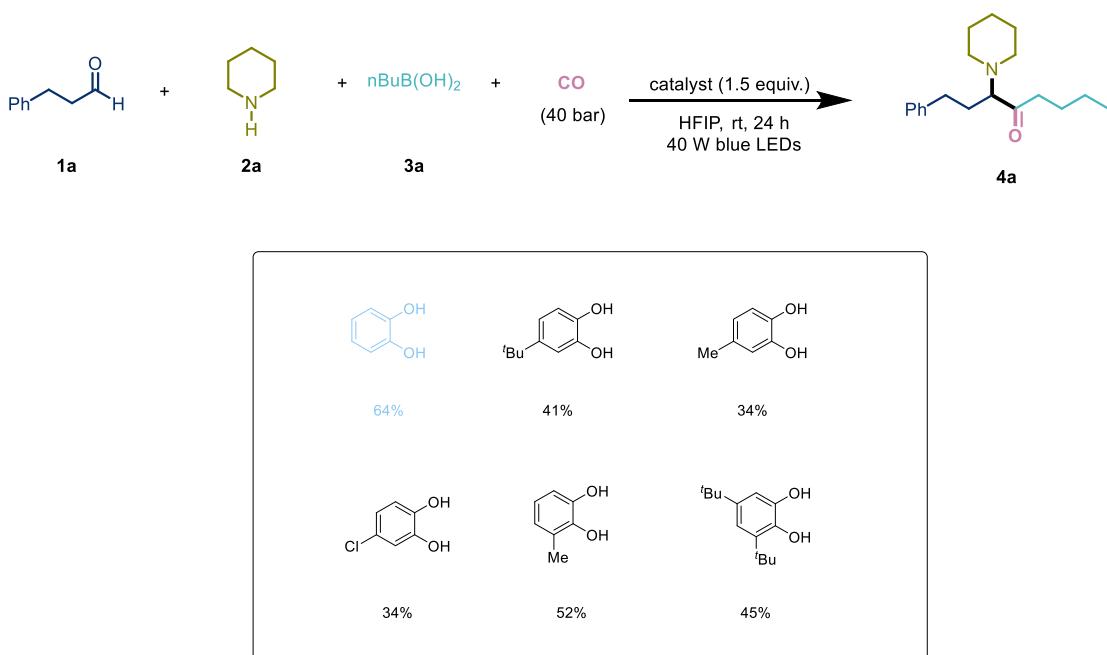
*Table 2.* Optimization of the equivalent ratio.



Entry	<b>1a</b> : <b>2a</b> : <b>3a</b> (mmol)	Yield (%)
1	0.1:0.1:0.15	75
2	0.1:0.15:0.15	70
3	0.15:0.1:0.15	57
4 <sup>a</sup>	0.2:0.1:0.2	54

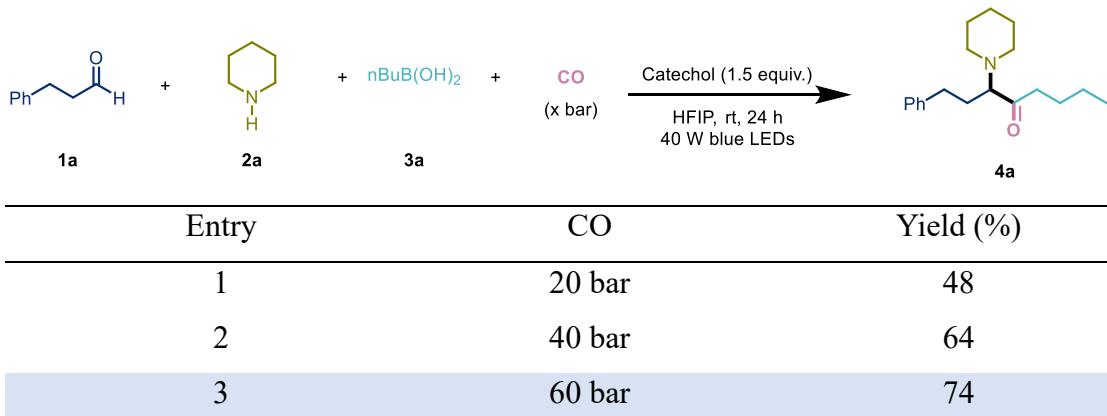
Reaction conditions: Catechol (1.5 equiv.), CO (40 bar), HFIP (1 mL), rt, 24 h, 40W blue LEDs. The yields were determined by GC using dodecane as the internal standard. a: Catechol (2.0 equiv.).

*Table 3.* Optimization of the Catechol.



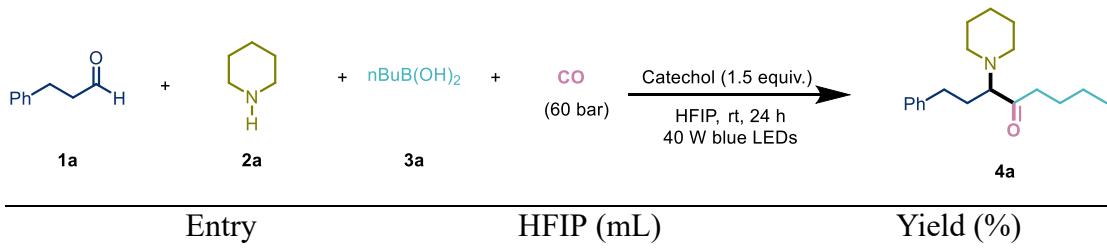
Reaction conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), **3a** (0.15 mmol), Catechol (1.5 equiv.), CO (40 bar), HFIP (1 mL), rt, 24 h, 40W blue LEDs. The yields were determined by GC using dodecane as the internal standard.

*Table 4.* Optimization of pressure.



Reaction conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), **3a** (0.15 mmol), Catechol (1.5 equiv.), HFIP (1 mL), rt, 24 h, 40W blue LEDs. The yields were determined by GC using dodecane as the internal standard.

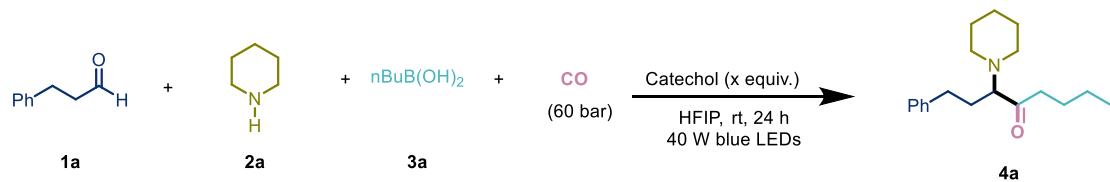
*Table 5.* Optimization of solvent quantity.



1	0.5	34
2	1.0	51
3	1.5	73
4	2.0	92

Reaction conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), **3a** (0.15 mmol), Catechol (1.5 equiv.), rt, 24 h, 40W blue LEDs. The yields were determined by GC using dodecane as the internal standard.

*Table 6.* Optimization of the amount of catalyst.

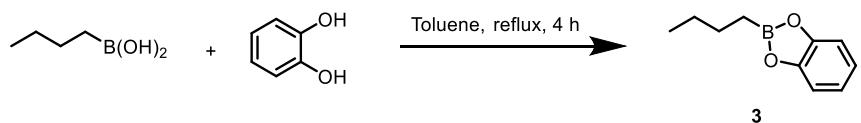


Entry	Catechol (x equiv.)	Yield (%)
1	0.2	29
2	0.3	92
3	0.5	99
4	1.5	92

Reaction conditions: **1a** (0.1 mmol), **2a** (0.15 mmol), **3a** (0.15 mmol), HFIP (2 mL), rt, 24 h, 40W blue LEDs. The yields were determined by GC using dodecane as the internal standard.

### 3. Mechanistic investigations.

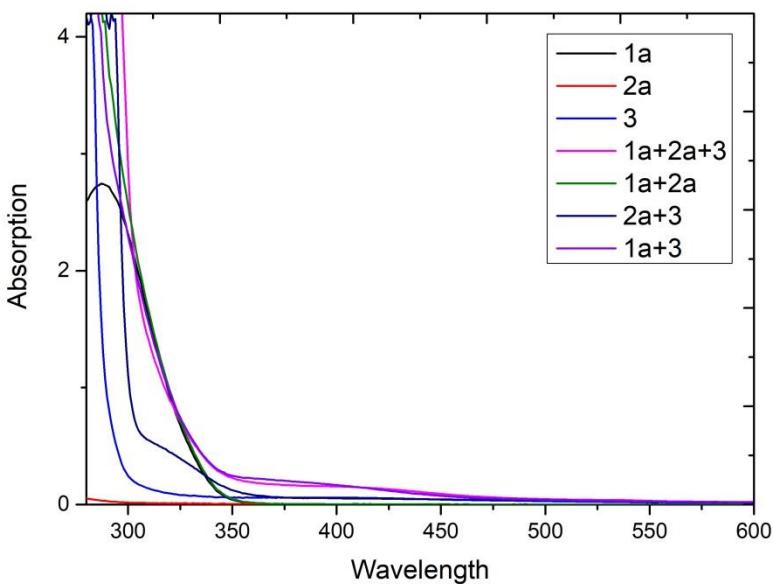
#### 3.1 Synthesis of nBuBCat.



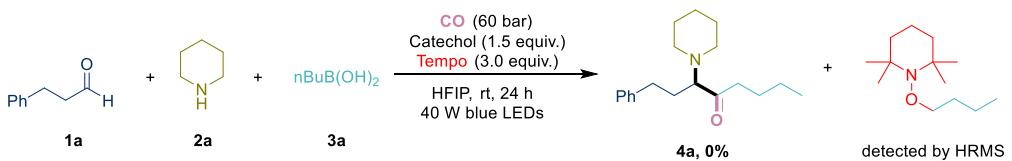
Add toluene (8 mL), catechol (324 mg, 2.94 mmol) and n-butylboronic acid (300 mg, 2.94 mmol) to a flame dried 25 mL round-bottom flask and attach a Dean-Stark apparatus. Reflux the solution for 4 hours. A separate water layer in the Dean-Stark trap would be observed .Then concentrate the solution in vacuo to obtain n-butylcatecholboronate ester **3** as yellow oil.

#### 3.2 UV-Vis Absorbance Experiment.

A solution of **4a** (0.1 M, in HFIP), **4b** (0.1 M, in HFIP), n-butylcatecholboronate ester **3** (0.1 M, in HFIP) was prepared in 3 mL glass bottles. Then placed in a quartz dish in order to measure the absorbance of the solution alone or in a mixture from 280 to 500 nm, a new UV absorption was observed for mixtures of **1a**, **2a** and **3**.



### 3.3 Radical Trapping Experiment.

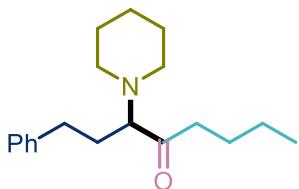


### 4. General Experimental Procedure and Characterization Data.



A 4 mL screw-cap vial was charged with **3a** n-butyl boric acid (15 mg, 1.5 equiv) and catechol (17mg, 1.5 equiv) an oven-dried stirring bar. After replacing nitrogen in the bottle three times, HFIP (2 mL) was added, then add **1a** phenylpropanal (14 $\mu$ L, 1.0 equiv.), **2a** piperidine (10 $\mu$ L, 1.0 equiv) with a microinJector. the vial was moved to an alloy plate and put into an autoclave which are euphotic under argon atmosphere. At room temperature, the autoclave was charged with 60 atm of CO. The autoclave was placed on an environment equipped with a magnetic stirrer and two Kesill light (450 nm, 40W). The reaction mixture was stirred for 24 h. After the reaction was complete, the pressure in autoclave was released carefully. The reaction mixture was purified by column chromatography on silica gel using petroleum ether and ethyl acetate (30:1) to afford the product **4a**.

**Tips:** the products are all colorless liquids.



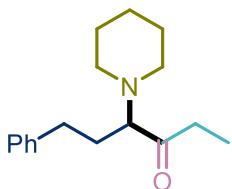
**1-phenyl-3-(piperidin-1-yl) octan-4-one (4a):** 26 mg, 90%.  $R_f = 0.4$  (PE: EA = 20:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.31 – 7.24 (m, 2H), 7.18 (t,  $J = 6.1$  Hz, 3H), 3.04 (dd,  $J = 8.7, 5.0$  Hz, 1H), 2.61 – 2.55 (m, 2H), 2.50 – 2.41 m, 6H), 2.01 – 1.89 (m, 1H), 1.88 – 1.79 (m, 1H), 1.59 – 1.48 (m, 6H), 1.41 (dd,  $J = 11.0, 6.4$  Hz, 2H), 1.31 (dd,  $J = 15.0, 7.4$  Hz, 2H), 0.91 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C NMR}$  (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.1, 142.0, 128.4, 128.4, 125.9, 72.8, 51.0, 41.1,

33.0, 26.9, 26.6, 25.9, 24.5, 22.5, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>19</sub>H<sub>30</sub>NO<sup>+</sup> 288.2322, found: 288.2325.

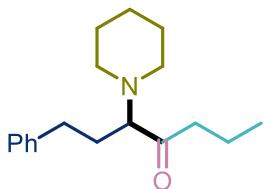


**6-phenyl-4-(piperidin-1-yl) hexan-3-one (4b):** 23 mg, 88%, R<sub>f</sub> = 0.4 (PE: EA = 20:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.32 – 7.22 (m, 2H), 7.18 (t, J = 6.4 Hz, 3H), 3.05 (dd, J = 8.7, 5.1 Hz, 1H), 2.67 – 2.54 (m, 2H), 2.53 – 2.39 (m, 6H), 2.03 – 1.91 (m, 1H), 1.89 – 1.80 (m, 1H), 1.53 (dt, J = 10.9, 5.4 Hz, 4H), 1.41 (dd, J = 11.2, 6.1 Hz, 2H), 1.04 (t, J = 7.3 Hz, 3H).

**<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 212.8, 142.0, 128.4, 128.4, 125.9, 72.7, 51.0, 34.6, 33.0, 27.2, 26.6, 24.5, 7.8.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>17</sub>H<sub>26</sub>NO<sup>+</sup> 260.2009, found: 260.2010.

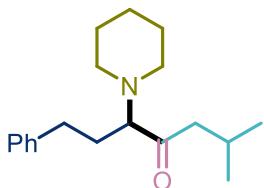


**1-phenyl-3-(piperidin-1-yl) heptan-4-one (4c):** 26 mg, 58%, R<sub>f</sub> = 0.4 (PE: EA = 20:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.32 – 7.23 (m, 2H), 7.18 (t, J = 6.4 Hz, 3H), 3.03 (dd, J = 8.7, 5.0 Hz, 1H), 2.65 – 2.35 (m, 8H), 2.02 – 1.90 (m, 1H), 1.89 – 1.78 (m, 1H), 1.64 – 1.49 (m, 6H), 1.41 (dd, J = 11.2, 6.1 Hz, 2H), 0.92 (t, J = 7.4 Hz, 3H).

**<sup>13</sup>C NMR (101 MHz, CDCl<sub>3</sub>)** δ 212.0, 142.0, 128.4, 128.4, 125.9, 72.8, 51.0, 43.3, 33.0, 26.9, 26.6, 24.5, 17.2, 13.9.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>18</sub>H<sub>28</sub>NO<sup>+</sup> 274.2165, found: 274.2169.



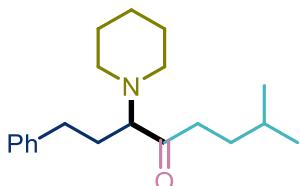
**6-methyl-1-phenyl-3-(piperidin-1-yl) heptan-4-one (4d):** 27 mg, 96%, R<sub>f</sub> = 0.4 (PE: EA = 20:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.30 – 7.23 (m, 2H), 7.17 (d, J = 7.7 Hz, 3H), 3.01

(dd,  $J = 8.7, 4.9$  Hz, 1H), 2.67 – 2.56 (m, 1H), 2.52 – 2.36 (m, 7H), 2.16 (dt,  $J = 13.4, 6.7$  Hz, 1H), 2.03 – 1.90 (m, 1H), 1.86 – 1.77 (m, 1H), 1.52 (dd,  $J = 10.8, 5.3$  Hz, 4H), 1.46 – 1.35 (m, 2H), 0.98 – 0.83 (m, 6H).

**$^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  211.3, 142.0, 128.4, 128.4, 125.9, 72.8, 51.0, 50.4, 33.0, 26.6, 26.5, 24.5, 24.2, 22.8, 22.6.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{19}\text{H}_{30}\text{NO}^+$  288.2322, found: 288.2325.

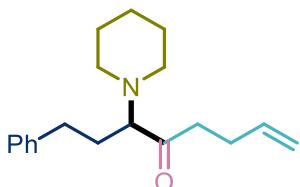


**7-methyl-1-phenyl-3-(piperidin-1-yl) octan-4-one (4e):** 14 mg, 48%,  $R_f = 0.4$  (PE: EA = 20:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.31 – 7.24 (m, 2H), 7.18 (t,  $J = 6.1$  Hz, 3H), 3.04 (dd,  $J = 8.7, 4.9$  Hz, 1H), 2.66 – 2.53 (m, 2H), 2.50 – 2.39 (m, 6H), 2.00 – 1.91 (m, 1H), 1.87 – 1.79 (m, 1H), 1.57 – 1.49 (m, 5H), 1.48 – 1.37 (m, 4H), 0.89 (d,  $J = 6.4$  Hz, 6H).

**$^{13}\text{C}$  NMR (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.2, 142.0, 128.4, 128.4, 125.9, 72.8, 51.0, 39.3, 33.0, 32.7, 27.7, 26.8, 26.6, 24.6, 22.5, 22.4.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{20}\text{H}_{32}\text{NO}^+$  302.2478, found: 302.2477.

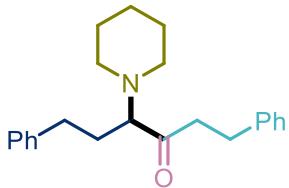


**1-(4-methoxyphenyl)-3-oxopentan-1-aminium chloride (4f):** 15 mg, 54%,  $R_f = 0.4$  (PE: EA = 20:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.30 – 7.24 (m, 2H), 7.18 (t,  $J = 6.5$  Hz, 3H), 5.86 – 5.76 (m, 1H), 5.11 – 4.92 (m, 2H), 3.03 (dd,  $J = 8.8, 4.9$  Hz, 1H), 2.73 – 2.51 (m, 3H), 2.50 – 2.39 (m, 5H), 2.32 (q,  $J = 7.2$  Hz, 2H), 2.01 – 1.91 (m, 1H), 1.88 – 1.79 (m, 1H), 1.53 (dt,  $J = 10.7, 5.3$  Hz, 4H), 1.41 (dd,  $J = 11.2, 6.1$  Hz, 2H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  211.1, 142.0, 137.6, 128.4, 128.4, 125.9, 115.1, 72.9, 51.0, 40.3, 32.99, 27.8, 26.7, 26.6, 24.5.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{19}\text{H}_{28}\text{NO}^+$  286.2165, found: 286.2165.

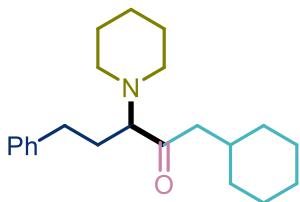


**1,6-diphenyl-4-(piperidin-1-yl) hexan-3-one (4g):** 19.4 mg, 58%,  $R_f = 0.4$  (PE: EA = 20:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.30 – 7.23 (m, 4H), 7.20 – 7.17 (m, 4H), 7.12 (d,  $J = 7.2$  Hz, 2H), 3.03 – 2.85 (m, 4H), 2.81 – 2.71 (m, 1H), 2.62 – 2.51 (m, 1H), 2.45 – 2.34 (m, 5H), 2.00 – 1.88 (m, 1H), 1.87 – 1.76 (m, 1H), 1.49 (d,  $J = 4.9$  Hz, 4H), 1.39 (dd,  $J = 11.1, 5.6$  Hz, 2H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.9, 134.8, 134.2, 129.3, 128.7, 50.3, 44.5, 36.0, 6.8.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{23}\text{H}_{30}\text{NO}^+$  336.2322, found: 336.2323.

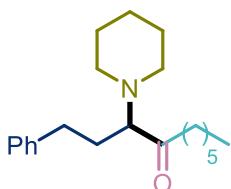


**1-cyclohexyl-5-phenyl-3-(piperidin-1-yl) pentan-2-one (4h):** 17.3 mg, 53%,  $R_f = 0.4$  (PE: EA = 20:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.31 – 7.24 (m, 2H), 7.18 (t,  $J = 6.1$  Hz, 3H), 2.99 (dd,  $J = 8.7, 4.9$  Hz, 1H), 2.67 – 2.56 (m, 1H), 2.51 – 2.31 (m, 7H), 2.00 – 1.90 (m, 1H), 1.89 – 1.76 (m, 2H), 1.67 (d,  $J = 9.3$  Hz, 5H), 1.52 (dd,  $J = 10.8, 5.4$  Hz, 4H), 1.44 – 1.36 (m, 2H), 1.35 – 1.21 (m, 2H), 1.20 – 1.09 (m, 1H), 0.99 – 0.84 (m, 2H).

**$^{13}\text{C NMR}$  (101 MHz,  $\text{CDCl}_3$ )**  $\delta$  211.3, 142.1, 128.4, 128.4, 125.9, 72.9, 51.0, 49.0, 33.5, 33.4, 33.3, 33.0, 26.6, 26.5, 26.3, 26.2, 26.2, 24.6.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{22}\text{H}_{34}\text{NO}^+$  328.2635, found: 328.2635.



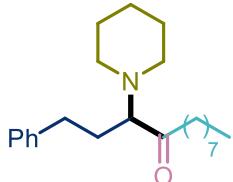
**1-phenyl-3-(piperidin-1-yl) decan-4-one (4i):** 20.4 mg, 65%,  $R_f = 0.5$  (PE: EA = 20:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.30 – 7.24 (m, 2H), 7.18 (t,  $J = 6.1$  Hz, 3H), 3.03 (dd,  $J = 8.7, 5.0$  Hz, 1H), 2.66 – 2.53 (m, 2H), 2.52 – 2.40 (m, 6H), 2.03 – 1.90 (m, 1H),

1.87 – 1.79 (m, 1H), 1.53 (dd,  $J = 11.3, 5.5$  Hz, 6H), 1.41 (dd,  $J = 11.0, 5.9$  Hz, 2H), 1.34 – 1.23 (m, 6H), 0.88 (t,  $J = 6.7$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.1, 142.0, 128.4, 128.4, 125.9, 72.8, 51.0, 41.3, 33.0, 31.7, 29.0, 26.9, 26.6, 24.6, 23.7, 22.5, 14.1.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{21}\text{H}_{34}\text{NO}^+$  316.2635, found: 316.2633.

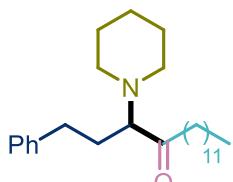


**1-phenyl-3-(piperidin-1-yl) dodecan-4-one (4j):** 20.5 mg, 60%,  $R_f = 0.5$  (PE: EA = 20:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.27 (dd,  $J = 10.3, 4.7$  Hz, 2H), 7.18 (t,  $J = 6.2$  Hz, 3H), 3.05 (dd,  $J = 8.8, 5.0$  Hz, 1H), 2.66 – 2.36 (m, 8H), 2.00 – 1.91 (m, 1H), 1.90 – 1.77 (m, 1H), 1.54 (dt,  $J = 10.8, 5.4$  Hz, 6H), 1.41 (dd,  $J = 10.6, 6.7$  Hz, 2H), 1.27 (d,  $J = 6.6$  Hz, 10H), 0.92 – 0.84 (m, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.1, 142.0, 128.4, 128.4, 125.9, 72.7, 51.0, 41.4, 33.0, 31.9, 29.4, 29.3, 29.2, 26.9, 26.5, 24.5, 23.7, 22.7, 14.1.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{23}\text{H}_{38}\text{NO}^+$  344.2948, found: 344.2951.

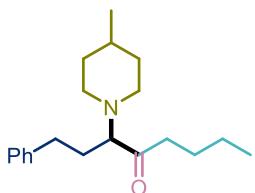


**1-phenyl-3-(piperidin-1-yl) hexadecan-4-one (4k):** 21.9 mg, 57%,  $R_f = 0.5$  (PE: EA = 20:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.27 (dd,  $J = 10.2, 4.8$  Hz, 2H), 7.18 (dd,  $J = 7.1, 5.2$  Hz, 3H), 3.03 (dd,  $J = 8.8, 5.0$  Hz, 1H), 2.65 – 2.38 (m, 8H), 2.00 – 1.90 (m, 1H), 1.90 – 1.76 (m, 1H), 1.59 – 1.48 (m, 6H), 1.41 (dd,  $J = 11.1, 6.0$  Hz, 2H), 1.26 (s, 18H), 0.88 (t,  $J = 6.8$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.1, 142.0, 128.4, 128.4, 125.9, 72.8, 51.0, 41.3, 33.0, 31.9, 29.7, 29.7, 29.5, 29.5, 29.4, 29.4, 26.9, 26.6, 24.6, 23.8, 22.7, 14.1.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{27}\text{H}_{46}\text{NO}^+$  400.3574, found: 400.3575.

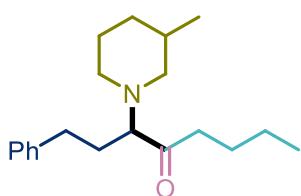


**3-(4-methylpiperidin-1-yl)-1-phenyloctan-4-one (5a):** 22.5 mg, 75%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.30 – 7.23 (m, 2H), 7.18 (t,  $J = 6.3$  Hz, 3H), 3.05 (dd,  $J = 8.7, 5.0$  Hz, 1H), 2.70 (d,  $J = 10.7$  Hz, 1H), 2.66 – 2.40 (m, 5H), 2.33 (td,  $J = 11.3, 2.0$  Hz, 1H), 2.18 (td,  $J = 11.4, 2.2$  Hz, 1H), 2.01 – 1.91 (m, 1H), 1.87 – 1.79 (m, 1H), 1.60 – 1.49 (m, 4H), 1.31 (dq,  $J = 14.7, 7.2$  Hz, 3H), 1.20 – 1.12 (m, 2H), 0.91 (t,  $J = 7.3$  Hz, 6H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.1, 142.0, 128.4, 128.4, 125.9, 72.5, 51.1, 49.6, 41.0, 35.0, 34.9, 33.0, 30.9, 27.0, 25.9, 22.5, 22.0, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{20}\text{H}_{32}\text{NO}^+$  302.2478, found: 302.2480.

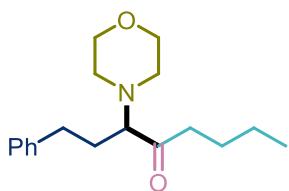


**3-(3-methylpiperidin-1-yl)-1-phenyloctan-4-one (5b):** 21.9 mg, 73%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.32 – 7.24 (m, 2H), 7.18 (dd,  $J = 7.1, 4.2$  Hz, 3H), 3.13 – 2.97 (m, 1H), 2.71 – 2.36 (m, 3H), 2.28 (td,  $J = 11.1, 2.6$  Hz, 1H), 2.12 (td,  $J = 11.1, 2.8$  Hz, 1H), 2.04 – 1.75 (m, 1H), 1.72 – 1.41 (m, 3H), 1.31 (dq,  $J = 14.6, 7.3$  Hz, 1H), 0.91 (t,  $J = 7.3$  Hz, 1H), 0.83 (dd,  $J = 8.1, 6.6$  Hz, 2H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.0, 142.1, 128.4, 128.4, 125.9, 72.6, 72.5, 59.0, 57.6, 51.1, 49.8, 41.1, 41.0, 33.1, 33.1, 33.0, 31.8, 31.6, 26.8, 26.8, 26.1, 26.0, 25.9, 25.9, 22.5, 19.6, 19.6, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{20}\text{H}_{32}\text{NO}^+$  302.2478, found: 302.2480.



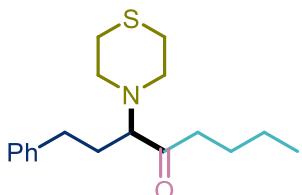
**3-morpholino-1-phenyloctan-4-one (5c):** 21.0 mg, 73%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.30 – 7.25 (m, 2H), 7.18 (dd,  $J = 13.8, 7.1$  Hz, 3H),

3.67 (t,  $J = 4.6$  Hz, 4H), 3.06 (dd,  $J = 8.7, 5.1$  Hz, 1H), 2.66 – 2.41 (m, 8H), 2.03 – 1.93 (m, 1H), 1.92 – 1.80 (m, 1H), 1.60 – 1.48 (m, 2H), 1.31 (tt,  $J = 12.2, 6.1$  Hz, 2H), 0.91 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  211.1, 141.6, 128.5, 128.4, 126.0, 72.3, 67.4, 50.2, 41.1, 32.6, 26.9, 25.8, 22.4, 13.9.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{18}\text{H}_{28}\text{NO}_2^+$  274.2165, found: 274.2167.

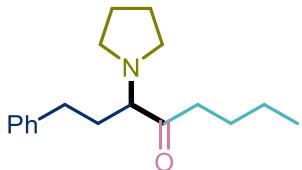


**1-phenyl-3-thiomorpholinoctan-4-one (5d):** 26.8 mg, 88%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.28 (t,  $J = 7.4$  Hz, 2H), 7.22 – 7.11 (m, 3H), 3.04 (dd,  $J = 8.5, 5.3$  Hz, 1H), 2.85 – 2.73 (m, 4H), 2.67 – 2.59 (m, 5H), 2.58 – 2.36 (m, 3H), 2.04 – 1.94 (m, 1H), 1.86 – 1.75 (m, 1H), 1.60 – 1.48 (m, 2H), 1.35 – 1.22 (m, 2H), 0.91 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  210.9, 141.7, 128.4, 128.4, 126.0, 72.9, 52.1, 41.2, 32.9, 28.7, 26.2, 25.9, 22.3, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{18}\text{H}_{28}\text{NOS}^+$  306.1886, found: 306.1886.

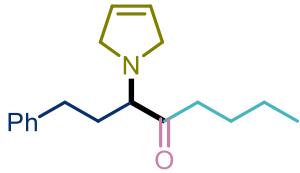


**1-phenyl-3-(pyrrolidin-1-yl) octan-4-one (5e):** 26.7 mg, 98%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.31 – 7.24 (m, 2H), 7.18 (dd,  $J = 13.7, 7.2$  Hz, 3H), 3.00 (dd,  $J = 8.2, 5.2$  Hz, 1H), 2.63 – 2.40 (m, 8H), 2.11 – 1.91 (m, 2H), 1.77 (t,  $J = 2.8$  Hz, 4H), 1.57 (dt,  $J = 15.1, 7.3$  Hz, 2H), 1.37 – 1.28 (m, 2H), 0.92 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.4, 141.6, 128.5, 128.3, 126.0, 73.3, 51.3, 39.4, 32.0, 31.6, 25.7, 23.4, 22.5, 14.0.

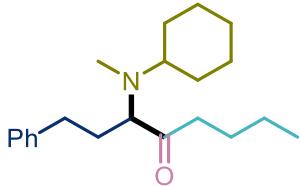
**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{18}\text{H}_{28}\text{NO}^+$  274.2165, found: 274.2165.



**3-(2,5-dihydro-1H-pyrrol-1-yl)-1-phenyloctan-4-one (5f):** 16.2 mg, 60%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.29 (t,  $J = 7.4$  Hz, 2H), 7.19 (t,  $J = 7.7$  Hz, 3H), 5.78 (s, 2H), 3.58 (s, 4H), 3.30 (dd,  $J = 7.5, 6.1$  Hz, 1H), 2.67 – 2.53(m, 2H), 2.49 (t,  $J = 7.4$  Hz, 2H), 2.17 – 1.99 (m, 1H), 1.96 – 1.87 (m, 1H), 1.63 – 1.50 (m, 2H), 1.36 – 1.29 (m, 2H), 0.91 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.4, 141.6, 128.5, 128.4, 127.3, 126.0, 71.0, 56.9, 39.6, 32.2, 31.2, 25.8, 22.5, 14.0.

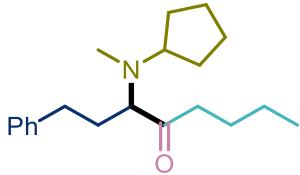


**3-(cyclohexyl(methyl)amino)-1-phenyloctan-4-one (5g):** 25.8 mg, 82%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.23 – 7.17 (m, 2H), 7.11 (t,  $J = 6.2$  Hz, 3H), 3.20 (dd,  $J = 9.5, 3.8$  Hz, 1H), 2.62 – 2.47 (m, 2H), 2.44 – 2.23 (m, 3H), 2.11 (s, 3H), 2.07 – 1.93 (m, 1H), 1.68 – 1.60 (m, 5H), 1.48 (dt,  $J = 15.2, 7.5$  Hz, 3H), 1.23 (dt,  $J = 14.8, 7.4$  Hz, 2H), 1.16 – 1.10 (m, 4H), 1.07 – 0.95 (m, 1H), 0.84 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.1, 142.2, 128.5, 128.4, 125.9, 67.5, 61.3, 39.9, 33.3, 33.2, 30.9, 30.6, 27.6, 26.2, 26.1, 25.8, 25.8, 22.5, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{21}\text{H}_{34}\text{NO}^+$  316.2635, found: 316.2635.

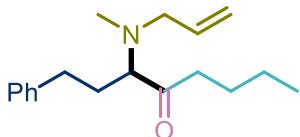


**3-(cyclopentyl(methyl)amino)-1-phenyloctan-4-one (5h):** 16.8 mg, 56%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.32 – 7.24 (m, 2H), 7.18 (t,  $J = 5.8$  Hz, 3H), 3.29 (dd,  $J = 9.3, 3.6$  Hz, 1H), 2.91 – 2.76 (m, 1H), 2.72 – 2.58 (m, 2H), 2.50 – 2.34 (m, 2H), 2.11 (s, 3H), 2.08 – 2.00 (m, 1H), 1.85 – 1.69 (m, 2H), 1.65 – 1.63 (m, 2H), 1.61 – 1.46 (m, 5H), 1.41 – 1.28 (m, 4H), 0.91 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.1, 142.2, 128.5, 128.4, 125.9, 68.6, 64.3, 40.6, 35.1, 33.3, 31.4, 31.3, 26.1, 24.8, 23.7, 23.7, 22.5, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}+\text{H}]^+$   $\text{C}_{20}\text{H}_{32}\text{NO}^+$  302.2478, found: 302.2478.

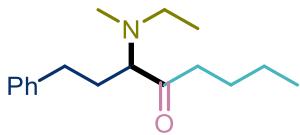


**3-(allyl(methyl)amino)-1-phenyloctan-4-one (5i):** 21.8 mg, 80%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.28 (t,  $J = 7.5$  Hz, 2H), 7.18 (t,  $J = 6.9$  Hz, 3H), 5.83 – 5.73 (m, 1H), 5.19 – 4.96 (m, 2H), 3.19 (dd,  $J = 8.7, 4.9$  Hz, 1H), 3.08 (d,  $J = 6.3$  Hz, 2H), 2.68 – 2.52 (m, 2H), 2.52 – 2.37 (m, 2H), 2.21 (s, 3H), 2.07 – 1.94 (m, 1H), 1.83 – 1.71 (m, 1H), 1.61 – 1.48 (m, 2H), 1.31 (dq,  $J = 14.7, 7.3$  Hz, 2H), 0.91 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  211.9, 141.9, 136.2, 128.5, 128.4, 125.9, 117.2, 69.7, 57.7, 40.8, 38.00, 32.9, 26.1, 25.9, 22.5, 13.9.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}+\text{H}]^+$   $\text{C}_{18}\text{H}_{28}\text{NO}^+$  274.2165, found: 274.2167.

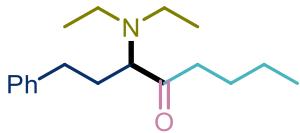


**3-(ethyl(methyl)amino)-1-phenyloctan-4-one (5j):** 15.9 mg, 61%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.31 – 7.25 (m, 2H), 7.18 (t,  $J = 6.5$  Hz, 3H), 3.14 (dd,  $J = 8.9, 4.6$  Hz, 1H), 2.68 – 2.53 (m, 2H), 2.52 – 2.39 (m, 4H), 2.21 (s, 3H), 2.04 – 1.95 (m, 1H), 1.79 – 1.72 (m, 1H), 1.62 – 1.48 (m, 2H), 1.35 – 1.26 (m, 2H), 1.01 (t,  $J = 7.1$  Hz, 3H), 0.91 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.1, 142.0, 128.4, 128.4, 125.9, 70.4, 48.5, 40.7, 37.7, 33.0, 26.2, 25.9, 22.5, 14.0, 13.4.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}+\text{H}]^+$   $\text{C}_{17}\text{H}_{28}\text{NO}^+$  262.2165, found: 262.2161.



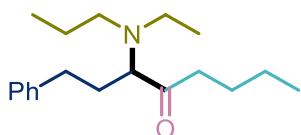
**3-(diethylamino)-1-phenyloctan-4-one (5k):** 21.4 mg, 78%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.31 – 7.24 (m, 2H), 7.18 (t,  $J = 5.6$  Hz, 3H), 3.21 (dd,

*J* = 9.1, 4.1 Hz, 1H), 2.69 – 2.60 (m, 2H), 2.57 – 2.38 (m, 6H), 2.09 – 1.96 (m, 1H), 1.76 – 1.65 (m, 1H), 1.60 – 1.49 (m, 2H), 1.35 – 1.26 (m, 2H), 0.96 (t, *J* = 7.1 Hz, 6H), 0.91 (t, *J* = 7.3 Hz, 3H).

**<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 212.5, 142.2, 128.4, 128.4, 125.9, 67.3, 44.5, 40.2, 33.3, 26.2, 25.7, 22.5, 14.1, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>18</sub>H<sub>30</sub>NO<sup>+</sup> 276.2322, found: 276.2321.

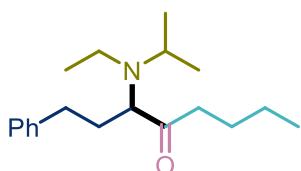


**3-(ethyl(propyl)amino)-1-phenyloctan-4-one (5l):** 21.1 mg, 73%, R<sub>f</sub> = 0.5 (PE: EA = 10:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.23 – 7.17 (m, 2H), 7.11 (dd, *J* = 7.1, 4.4 Hz, 3H), 3.12 (dd, *J* = 9.1, 4.0 Hz, 1H), 2.61 – 2.53 (m, 2H), 2.44 – 2.26 (m, 6H), 2.06 – 1.90 (m, 1H), 1.70 – 1.56 (m, 1H), 1.54 – 1.40 (m, 2H), 1.30 – 1.21 (m, 4H), 0.86 (dt, *J* = 17.7, 7.2 Hz, 6H), 0.76 (t, *J* = 7.3 Hz, 3H).

**<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 212.3, 142.2, 128.4, 128.4, 125.9, 67.5, 52.7, 44.9, 40.3, 33.4, 26.1, 25.5, 22.5, 21.9, 14.2, 14.0, 11.7.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>19</sub>H<sub>32</sub>NO<sup>+</sup> 290.2478, found: 290.2477.

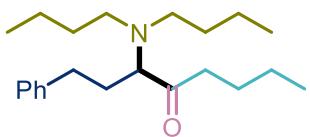


**3-(ethyl(isopropyl)amino)-1-phenyloctan-4-one (5m):** 17.6 mg, 61%, R<sub>f</sub> = 0.5 (PE: EA = 10:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 7.30 – 7.24 (m, 2H), 7.18 (t, *J* = 6.3 Hz, 3H), 3.25 (dd, *J* = 9.5, 3.6 Hz, 1H), 2.95 (dt, *J* = 13.2, 6.6 Hz, 1H), 2.76 – 2.50 (m, 4H), 2.48 – 2.34 (m, 2H), 2.22 – 2.05 (m, 1H), 1.75 – 1.62 (m, 1H), 1.61 – 1.49 (m, 2H), 1.39 – 1.28 (m, 2H), 0.99 (d, *J* = 6.6 Hz, 3H), 0.97 – 0.85 (m, 9H).

**<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 212.1, 142.2, 128.5, 128.4, 125.8, 64.8, 49.5, 39.9, 39.6, 33.6, 28.2, 26.3, 22.5, 22.1, 19.8, 15.6, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>19</sub>H<sub>32</sub>NO<sup>+</sup> 290.2478, found: 290.2479.

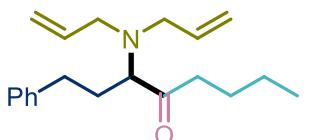


**3-(dibutylamino)-1-phenyloctan-4-one (5n):** 31.4 mg, 95%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.30 – 7.24 (m, 2H), 7.17 (t,  $J = 6.2$  Hz, 3H), 3.18 (dd,  $J = 9.2, 3.8$  Hz, 1H), 2.71 – 2.59 (m, 2H), 2.49 – 2.31 (m, 6H), 2.14 – 1.97 (m, 1H), 1.72 – 1.64 (m, 1H), 1.58 – 1.49 (m, 2H), 1.37 – 1.20 (m, 10H), 0.96 – 0.82 (m, 9H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.2, 142.2, 128.5, 128.4, 125.8, 67.5, 50.9, 40.4, 33.4, 31.1, 26.1, 25.2, 22.5, 20.4, 14.1, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{22}\text{H}_{38}\text{NO}^+$  332.2948, found: 332.2948.

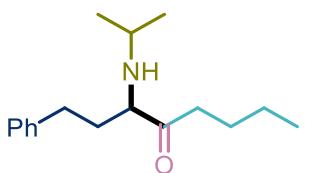


**3-(diallylamino)-1-phenyloctan-4-one (5o):** 26.9 mg, 90%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.27 (t,  $J = 7.7$  Hz, 2H), 7.18 (t,  $J = 8.3$  Hz, 3H), 5.81 – 5.67 (m, 2H), 5.07 (dd,  $J = 11.1, 6.2$  Hz, 4H), 3.33 (dd,  $J = 8.8, 4.5$  Hz, 1H), 3.15 (dd,  $J = 14.3, 5.4$  Hz, 2H), 2.99 (dd,  $J = 14.3, 7.2$  Hz, 2H), 2.68 – 2.56 (m, 2H), 2.51 – 2.35 (m, 2H), 2.11 – 1.96 (m, 1H), 1.74 – 1.66 (m, 1H), 1.60 – 1.46 (m, 2H), 1.30 (td,  $J = 14.6, 7.1$  Hz, 2H), 0.90 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.3, 142.0, 136.6, 128.5, 128.4, 125.9, 117.2, 66.1, 53.5, 40.5, 33.2, 26.0, 25.7, 22.4, 13.9.

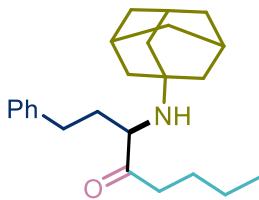
**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{20}\text{H}_{30}\text{NO}^+$  300.2322, found: 300.2322.



**3-(isopropylamino)-1-phenyloctan-4-one (5p):** 14.8 mg, 57%,  $R_f = 0.5$  (PE: EA = 5:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.28 (dd,  $J = 12.2, 5.0$  Hz, 2H), 7.19 (t,  $J = 6.2$  Hz, 3H), 3.33 (dd,  $J = 7.7, 4.6$  Hz, 1H), 2.79 – 2.55 (m, 3H), 2.53 – 2.42 (m, 1H), 2.36 (dt,  $J = 16.8, 7.3$  Hz, 1H), 1.95 – 1.86 (m, 1H), 1.76 (s, 1H), 1.72 – 1.59 (m, 1H), 1.60 – 1.47 (m, 2H), 1.37 – 1.21 (m, 2H), 1.01 (dd,  $J = 15.2, 6.2$  Hz, 6H), 0.90 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  214.5, 141.6, 128.5, 128.4, 126.0, 64.4, 47.3, 39.8, 34.5, 32.1, 25.8, 23.9, 22.7, 22.4, 13.9.

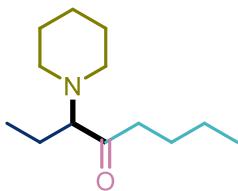


**3-(adamantan-1-ylamino)-1-phenyloctan-4-one (5q):** 21.8 mg, 62%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.32 – 7.24 (m, 2H), 7.19 (d,  $J = 6.6$  Hz, 3H), 3.41 (dd,  $J = 8.3, 3.9$  Hz, 1H), 2.84 – 2.73 (m, 1H), 2.69 – 2.49 (m, 2H), 2.45 – 2.37 (m, 1H), 2.02 (s, 3H), 1.85 – 1.77 (m, 2H), 1.68 – 1.50 (m, 12H), 1.45 (d,  $J = 11.5$  Hz, 3H), 1.30 (td,  $J = 14.9, 7.4$  Hz, 2H), 0.90 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  215.0, 141.9, 128.5, 128.4, 125.9, 59.3, 50.6, 43.6, 39.1, 36.6, 36.0, 32.5, 29.6, 25.9, 22.5, 13.9.

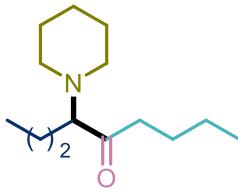
**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}+\text{H}]^+$   $\text{C}_{24}\text{H}_{36}\text{NO}^+$  354.2791, found: 354.2795.



**3-(piperidin-1-yl) octan-4-one (6a):** 11 mg, 52%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  2.89 (dd,  $J = 8.8, 5.1$  Hz, 1H), 2.59 – 2.44 (m, 4H), 2.40 (dt,  $J = 10.9, 5.3$  Hz, 2H), 1.71 – 1.57 (m, 2H), 1.58 – 1.48 (m, 6H), 1.46 – 1.37 (m, 2H), 1.32 (dq,  $J = 14.6, 7.3$  Hz, 2H), 0.91 (t,  $J = 7.3$  Hz, 3H), 0.83 (t,  $J = 7.4$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.5, 75.8, 51.3, 40.7, 26.5, 25.8, 24.6, 22.5, 18.9, 14.0, 11.3.

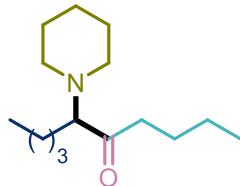


**4-(piperidin-1-yl) nonan-5-one (6b):** 15.1 mg, 67%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  2.97 (dd,  $J = 9.0, 4.8$  Hz, 1H), 2.60 – 2.36 (m, 6H), 1.65 – 1.58 (m, 1H), 1.57 – 1.46 (m, 7H), 1.47 – 1.37 (m, 2H), 1.32 (dt,  $J = 15.0, 7.4$  Hz, 2H), 1.27 – 1.13 (m, 2H), 0.91 (td,  $J = 7.3, 3.7$  Hz, 6H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.6, 73.9, 51.3, 40.7, 28.0, 26.5, 25.8, 24.6, 22.4, 20.2, 14.3, 13.9.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{14}\text{H}_{28}\text{NO}^+$  226.2165, found: 226.2164.

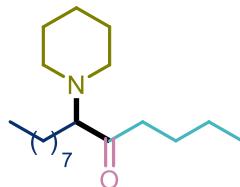


**6-(piperidin-1-yl) decan-5-one (6c):** 16.2 mg, 68%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  2.96 (dd,  $J = 8.9, 4.8$  Hz, 1H), 2.64 – 2.32 (m, 6H), 1.70 – 1.58 (m, 1H), 1.59 – 1.48 (m, 7H), 1.46 – 1.37 (m, 2H), 1.37 – 1.22 (m, 4H), 1.22 – 1.10 (m, 2H), 0.90 (dt,  $J = 12.5, 7.3$  Hz, 6H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.6, 74.1, 51.3, 40.7, 29.1, 26.5, 25.8, 25.5, 24.6, 22.9, 22.4, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{15}\text{H}_{30}\text{NO}^+$  240.2322, found: 240.2321.

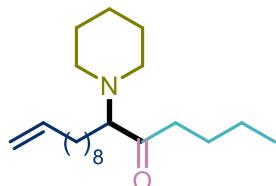


**6-(piperidin-1-yl) tetradecan-5-one (6d):** 16.2 mg, 55%,  $R_f = 0.4$  (PE: EA = 20:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  2.96 (dd,  $J = 8.9, 4.8$  Hz, 1H), 2.61 – 2.45 (m, 4H), 2.46 – 2.34 (m, 3H), 1.67 – 1.48 (m, 8H), 1.46 – 1.37 (m, 2H), 1.37 – 1.21 (m, 14H), 0.97 – 0.82 (m, 7H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.6, 74.2, 51.3, 40.7, 31.9, 29.9, 29.4, 29.3, 26.9, 26.5, 25.8, 24.6, 22.7, 22.4, 14.1, 13.9.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{19}\text{H}_{38}\text{NO}^+$  296.2948, found: 296.2947.

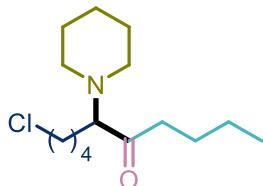


**6-(piperidin-1-yl) hexadec-15-en-5-one (6e):** 24.4 mg, 76%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  5.81 (ddt,  $J = 16.9, 10.2, 6.7$  Hz, 1H), 5.09 – 4.75 (m, 2H), 2.95 (dd,  $J = 9.0, 4.8$  Hz, 1H), 2.64 – 2.31 (m, 6H), 2.03 (dd,  $J = 14.3, 6.9$  Hz, 2H), 1.70 – 1.47 (m, 8H), 1.44 – 1.21 (m, 16H), 0.91 (t,  $J = 7.3$  Hz, 3H).

**<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 212.6, 139.2, 114.1, 74.2, 51.3, 40.7, 33.8, 29.8, 29.4, 29.1, 28.9, 26.9, 26.5, 25.8, 25.8, 24.6, 22.4, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>21</sub>H<sub>40</sub>NO<sup>+</sup> 322.3104, found: 322.3103.

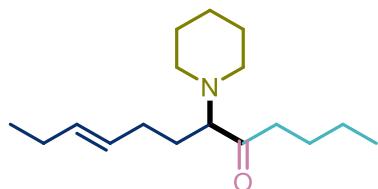


**10-chloro-6-(piperidin-1-yl) decan-5-one (6f):** 20.0 mg, 73%, R<sub>f</sub> = 0.4 (PE: EA = 10:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 3.62 – 3.43 (m, 2H), 2.99 (dd, J = 8.9, 4.8 Hz, 1H), 2.57 (dt, J = 16.9, 7.5 Hz, 1H), 2.51 – 2.39 (m, 5H), 1.83 – 1.73 (m, 2H), 1.71 – 1.60 (m, 1H), 1.59 – 1.49 (m, 7H), 1.42 (dd, J = 11.2, 5.9 Hz, 2H), 1.38 – 1.27 (m, 4H), 0.91 (t, J = 7.3 Hz, 3H).

**<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 212.1, 73.7, 51.2, 44.8, 40.9, 32.7, 26.5, 25.9, 24.5, 24.3, 22.4, 13.9.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>15</sub>H<sub>29</sub>ClNO<sup>+</sup> 274.1932, found: 274.1932.

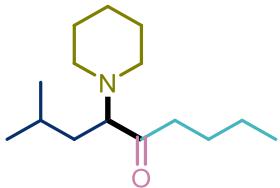


**6-(piperidin-1-yl) dodec-9-en-5-one (6g):** 19.1 mg, 72%, R<sub>f</sub> = 0.4 (PE: EA = 10:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 5.51 – 5.22 (m, 2H), 3.01 (dd, J = 8.8, 4.7 Hz, 1H), 2.63 – 2.35 (m, 6H), 2.07 – 1.90 (m, 3H), 1.89 – 1.77 (m, 1H), 1.76 – 1.64 (m, 1H), 1.62 – 1.47 (m, 7H), 1.46 – 1.36 (m, 2H), 1.31 (dt, J = 14.9, 7.4 Hz, 2H), 1.00 – 0.83 (m, 6H).

**<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 212.2, 132.7, 132.4, 128.4, 128.3, 73.0, 73.0, 51.1, 51.1, 41.0, 29.8, 26.6, 25.9, 25.6, 25.2, 25.1, 24.6, 24.3, 22.4, 20.5, 14.4, 13.9, 13.9.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>17</sub>H<sub>32</sub>NO<sup>+</sup> 266.2478, found: 266.2483.

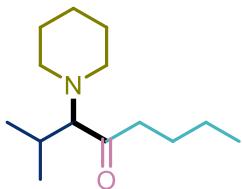


**2-methyl-4-(piperidin-1-yl) nonan-5-one (6h):** 15.3 mg, 64%,  $R_f = 0.4$  (PE: EA = 20:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  3.07 (dd,  $J = 8.8, 4.9$  Hz, 1H), 2.63 – 2.36 (m, 6H), 1.61 – 1.47 (m, 7H), 1.47 – 1.36 (m, 3H), 1.36 – 1.26 (m, 3H), 0.99 – 0.74 (m, 9H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  212.4, 71.8, 51.1, 40.7, 34.2, 26.6, 25.9, 25.6, 24.6, 23.3, 22.4, 22.3, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{15}\text{H}_{30}\text{NO}^+$  240.2322, found: 240.2321.

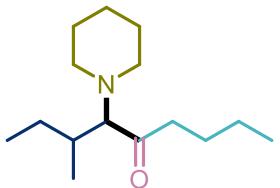


**2-methyl-3-(piperidin-1-yl) octan-4-one (6i):** 10.3 mg, 45%,  $R_f = 0.4$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  2.74 (d,  $J = 10.2$  Hz, 1H), 2.61 – 2.51 (m, 2H), 2.51 – 2.41 (m, 2H), 2.35 (td,  $J = 7.2, 3.3$  Hz, 2H), 2.12 – 1.99 (m, 1H), 1.61 – 1.45 (m, 6H), 1.41 (dd,  $J = 10.8, 5.2$  Hz, 2H), 1.31 (dd,  $J = 15.1, 7.4$  Hz, 2H), 0.99 – 0.87 (m, 6H), 0.78 (d,  $J = 6.6$  Hz, 3H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  211.5, 79.1, 51.1, 45.5, 26.9, 26.3, 25.2, 24.9, 22.5, 19.9, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{14}\text{H}_{28}\text{NO}^+$  226.2165, found: 226.2168.



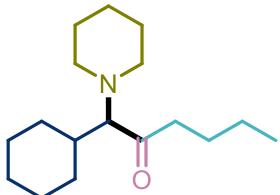
**3-methyl-4-(piperidin-1-yl) nonan-5-one (6j):** 15.1 mg, 63%,  $R_f = 0.5$  (PE: EA = 10:1), dr = 3:1.

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  2.83 (dd,  $J = 12.2, 10.4$  Hz, 1H), 2.60 – 2.49 (m, 2H), 2.49 – 2.38 (m, 2H), 2.34 (t,  $J = 7.4$  Hz, 2H), 1.97 – 1.79 (m, 1H), 1.72 – 1.36 (m, 9H), 1.35 – 1.26 (m, 2H), 0.96 – 0.82 (m, 8H), 0.74 (d,  $J = 6.6$  Hz, 2H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  211.46, 211.37, 77.85, 51.13, 51.06, 45.54, 45.43,

32.92, 32.04, 26.90, 26.44, 25.40, 25.18, 24.88, 22.47, 15.88, 15.63, 13.96, 11.49, 10.49.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>15</sub>H<sub>30</sub>NO<sup>+</sup> 240.2322, found: 240.2324.

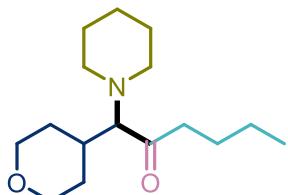


**1-cyclohexyl-1-(piperidin-1-yl) hexan-2-one (6k):** 20.7 mg, 88%, R<sub>f</sub> = 0.5 (PE: EA = 10:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 2.87 (d, J = 10.1 Hz, 1H), 2.61 – 2.51 (m, 2H), 2.50 – 2.41 (m, 2H), 2.41 – 2.25 (m, 2H), 1.90 (d, J = 13.3 Hz, 1H), 1.84 – 1.68 (m, 2H), 1.68 – 1.59 (m, 2H), 1.59 – 1.36 (m, 9H), 1.37 – 1.27 (m, 2H), 1.26 – 1.10 (m, 3H), 0.96 – 0.81 (m, 5H).

**<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 211.7, 77.8, 51.0, 45.8, 35.9, 30.5, 30.2, 26.9, 26.8, 26.0, 26.0, 25.1, 24.9, 22.5, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>17</sub>H<sub>32</sub>NO<sup>+</sup> 266.2478, found: 266.2483.

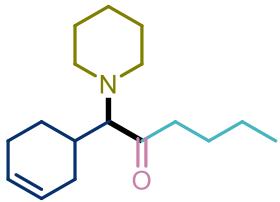


**1-(piperidin-1-yl)-1-(tetrahydro-2H-pyran-4-yl) hexan-2-one (6l):** 23.4 mg, 88%, R<sub>f</sub> = 0.3 (PE: EA = 5:1).

**<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)** δ 3.98 (dd, J = 11.3, 3.2 Hz, 1H), 3.89 (dd, J = 11.4, 2.7 Hz, 1H), 3.37 (td, J = 13.6, 1.9 Hz, 2H), 2.93 (d, J = 10.3 Hz, 1H), 2.68 – 2.53 (m, 2H), 2.51 – 2.43 (m, 2H), 2.41 – 2.27 (m, 2H), 2.12 – 1.99 (m, 1H), 1.79 (d, J = 13.6 Hz, 1H), 1.59 – 1.38 (m, 8H), 1.36 – 1.15 (m, 5H), 0.91 (t, J = 7.3 Hz, 3H).

**<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)** δ 210.5, 68.0, 67.5, 51.1, 46.0, 33.2, 30.5, 30.3, 26.9, 25.2, 24.8, 22.4, 13.9.

**HRMS(ESI-TOF)** m/z: calcd for [M+]H<sup>+</sup> C<sub>16</sub>H<sub>30</sub>NO<sub>2</sub><sup>+</sup> 268.2271, found: 268.2268.

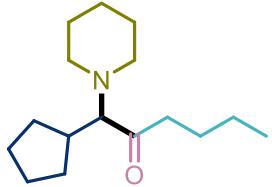


**1-(cyclohex-3-en-1-yl)-1-(piperidin-1-yl) hexan-2-one (6m):** 18.3 mg, 70%,  $R_f = 0.5$  (PE: EA = 20:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  5.73 – 5.53 (m, 2H), 2.98 (dd,  $J = 26.4, 10.3$  Hz, 1H), 2.69 – 2.55 (m, 2H), 2.54 – 2.42 (m, 2H), 2.44 – 2.25 (m, 2H), 2.24 – 1.72 (m, 5H), 1.64 – 1.38 (m, 9H), 1.38 – 1.21 (m, 3H), 0.91 (td,  $J = 7.3, 1.4$  Hz, 3H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  211.1, 211.0, 127.4, 126.7, 126.5, 125.5, 76.1, 51.1, 51.1, 45.8, 45.7, 31.9, 31.4, 29.1, 28.8, 27.0, 26.9, 26.2, 25.2, 25.2, 25.1, 24.9, 24.9, 24.3, 22.5, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}+\text{H}]^+$   $\text{C}_{17}\text{H}_{30}\text{NO}^+$  264.2322, found: 264.2323.

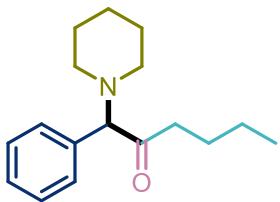


**1-cyclopentyl-1-(piperidin-1-yl) hexan-2-one (6n):** 15.1 mg, 63%,  $R_f = 0.4$  (PE: EA = 20:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  2.85 (d,  $J = 10.6$  Hz, 1H), 2.65 – 2.50 (m, 4H), 2.49 – 2.32 (m, 2H), 2.33 – 2.19 (m, 1H), 1.84 – 1.73 (m, 1H), 1.67 – 1.45 (m, 12H), 1.41 (dd,  $J = 10.9, 5.2$  Hz, 2H), 1.32 (dt,  $J = 15.0, 7.3$  Hz, 3H), 0.91 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  211.5, 78.0, 51.2, 43.9, 38.1, 30.6, 30.3, 27.0, 25.5, 25.2, 25.1, 24.9, 22.5, 14.0.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}+\text{H}]^+$   $\text{C}_{16}\text{H}_{30}\text{NO}^+$  252.2322, found: 252.2321.

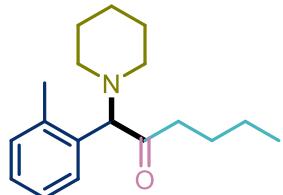


**1-phenyl-1-(piperidin-1-yl) hexan-2-one (6o):** 14.5 mg, 52%,  $R_f = 0.4$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.38 (d,  $J = 6.8$  Hz, 2H), 7.35 – 7.26 (m, 3H), 3.88 (s, 1H), 2.56 – 2.45 (m, 1H), 2.44 – 2.31 (m, 3H), 2.24 (d,  $J = 4.2$  Hz, 2H), 1.65 – 1.55 (m, 4H), 1.48 – 1.36 (m, 4H), 1.24 – 1.10 (m, 2H), 0.80 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  209.9, 135.8, 129.1, 128.6, 128.1, 82.5, 53.0, 38.4, 26.0, 25.9, 24.5, 22.2, 13.8.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{17}\text{H}_{26}\text{NO}^+$  260.2009, found: 260.2005.

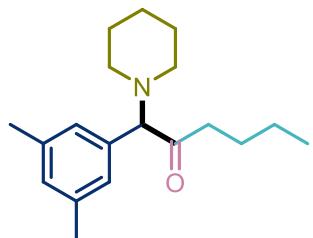


**1-(piperidin-1-yl)-1-(o-tolyl) hexan-2-one (6p):** 14.4 mg, 53%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.54 (d,  $J = 7.0$  Hz, 1H), 7.23 – 7.12 (m, 3H), 4.12 (s, 1H), 2.54 – 2.30 (m, 7H), 2.29 (d,  $J = 23.0, 5.6$  Hz, 2H), 1.59 (dt,  $J = 10.8, 5.4$  Hz, 4H), 1.52 – 1.41 (m, 2H), 1.41 – 1.30 (m, 2H), 1.24 – 1.08 (m, 2H), 0.79 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  209.8, 137.8, 134.2, 130.8, 128.7, 127.6, 126.2, 78.0, 52.8, 26.1, 26.0, 24.6, 22.2, 19.9, 13.8.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{18}\text{H}_{28}\text{NO}^+$  274.2165, found: 274.2167.

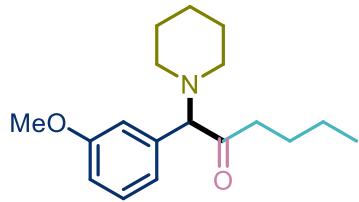


**1-(3,5-dimethylphenyl)-1-(piperidin-1-yl) hexan-2-one (6q):** 14.6 mg, 51%,  $R_f = 0.4$  (PE: EA = 10:1).

**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  6.97 (s, 2H), 6.91 (s, 1H), 3.80 (s, 1H), 2.52 – 2.38 (m, 2H), 2.36 – 2.18 (m, 10H), 1.67 – 1.52 (m, 4H), 1.49 – 1.36 (m, 4H), 1.27 – 1.10 (m, 2H), 0.81 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  209.9, 138.1, 135.6, 129.7, 126.9, 82.5, 53.1, 38.7, 26.0, 25.8, 24.5, 22.2, 21.3, 13.8.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{19}\text{H}_{30}\text{NO}^+$  288.2322, found: 288.2323.

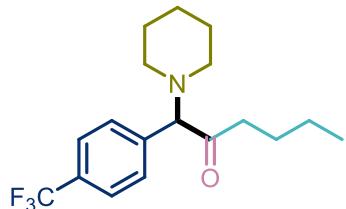


**1-(3-methoxyphenyl)-1-(piperidin-1-yl) hexan-2-one (6r):** 14.5 mg, 50%,  $R_f = 0.3$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.23 (t,  $J = 7.9$  Hz, 1H), 6.95 (dd,  $J = 11.9, 4.9$  Hz, 2H), 6.82 (dd,  $J = 7.9, 2.3$  Hz, 1H), 3.84 (s, 1H), 3.80 (s, 3H), 2.55 – 2.44 (m, 1H), 2.44 – 2.31 (m, 3H), 2.24 (d,  $J = 3.5$  Hz, 2H), 1.59 (dt,  $J = 10.9, 5.5$  Hz, 4H), 1.49 – 1.36 (m, 4H), 1.27 – 1.11 (m, 2H), 0.81 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  209.8, 159.8, 137.5, 129.5, 121.6, 114.3, 113.7, 82.5, 55.3, 53.0, 38.4, 26.0, 25.8, 24.5, 22.2, 13.8.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{18}\text{H}_{28}\text{NO}_2^+$  274.2165, found: 274.2163.



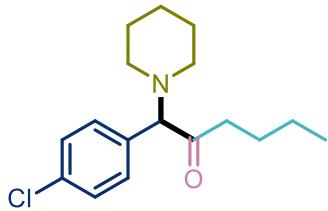
**1-(piperidin-1-yl)-1-(4-(trifluoromethyl) phenyl) hexan-2-one (6s):** 17.1 mg, 60%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.60 (d,  $J = 8.2$  Hz, 2H), 7.53 (d,  $J = 8.2$  Hz, 2H), 3.96 (s, 1H), 2.55 (dt,  $J = 17.1, 7.6$  Hz, 1H), 2.46 – 2.30 (m, 3H), 2.25 (m, 2H), 1.66 – 1.56 (m, 4H), 1.50 – 1.39 (m, 4H), 1.25 – 1.12 (m, 2H), 0.82 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  209.4, 140.2, 130.4 (q,  $J_{\text{C-F}} = 32.3$  Hz), 129.5, 125.7 (q,  $J_{\text{C-F}} = 3.8$  Hz), 124.2 (q,  $J_{\text{C-F}} = 272.1$  Hz), 82.2, 53.0, 38.6, 26.0, 25.9, 24.4, 22.3, 13.9.

**$^{19}\text{F NMR}$  (376 MHz,  $\text{CDCl}_3$ )**  $\delta$  -62.6.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{18}\text{H}_{25}\text{F}_3\text{NO}^+$  328.1883, found: 328.1882.

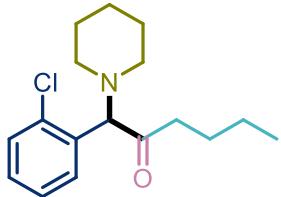


**1-(4-chlorophenyl)-1-(piperidin-1-yl) hexan-2-one (6t):** 15.3 mg, 51%,  $R_f = 0.4$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.41 – 7.27 (m, 1H), 3.87 (s, 1H), 2.50 (dt,  $J = 17.0, 7.6$  Hz, 1H), 2.43 – 2.29 (m, 1H), 2.23 (d,  $J = 4.7$  Hz, 1H), 1.59 (dt,  $J = 10.9, 5.6$  Hz, 1H), 1.50 – 1.37 (m, 1H), 1.24 – 1.11 (m, 1H), 0.82 (t,  $J = 7.3$  Hz, 1H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  209.5, 134.3, 134.0, 130.4, 128.8, 81.6, 52.8, 38.4, 25.9, 25.8, 24.4, 22.2, 13.8.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{17}\text{H}_{25}\text{ClNO}^+$  294.1619, found: 294.1616.

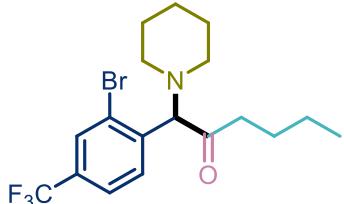


**1-(2-chlorophenyl)-1-(piperidin-1-yl) hexan-2-one (6u):** 20.1 mg, 67%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.54 (dd,  $J = 7.6, 1.9$  Hz, 1H), 7.39 (dd,  $J = 7.7, 1.5$  Hz, 1H), 7.31 – 7.16 (m, 2H), 4.65 (s, 1H), 2.49 – 2.26 (m, 6H), 1.63 – 1.54 (m, 4H), 1.53 – 1.38 (m, 4H), 1.24 – 1.13 (m, 2H), 0.81 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C NMR}$  (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  208.2, 135.1, 133.3, 130.6, 129.8, 129.1, 127.1, 75.7, 52.5, 40.4, 25.9, 25.9, 24.4, 22.2, 13.8.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{17}\text{H}_{25}\text{ClNO}^+$  294.1619, found: 294.1622.



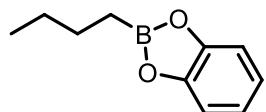
**1-(2-bromo-4-(trifluoromethyl) phenyl)-1-(piperidin-1-yl) hexan-2-one (6v):** 27.1 mg, 67%,  $R_f = 0.5$  (PE: EA = 10:1).

**$^1\text{H NMR}$  (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.83 (s, 1H), 7.73 (d,  $J = 8.2$  Hz, 1H), 7.57 (d,  $J = 8.1$  Hz, 1H), 4.66 (s, 1H), 2.54 – 2.36 (m, 4H), 2.35 – 2.24 (m, 2H), 1.64 – 1.53 (m, 4H), 1.53 – 1.39 (m, 4H), 1.32 – 1.12 (m, 2H), 0.83 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  207.6, 139.7, 131.5 (q,  $J_{\text{C-F}} = 33.2$  Hz), 131.4, 130.10 (q,  $J_{\text{C-F}} = 3.9$  Hz), 125.7, 124.6 (q,  $J_{\text{C-F}} = 3.6$  Hz), 123.2 (q,  $J_{\text{C-F}} = 272.7$  Hz), 78.1, 52.6, 41.1, 26.0, 25.8, 24.4, 22.3, 13.9.

**$^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )**  $\delta$  -62.8.

**HRMS(ESI-TOF)** m/z: calcd for  $[\text{M}^+]\text{H}^+$   $\text{C}_{18}\text{H}_{24}\text{BrF}_3\text{NO}^+$  406.0988, found: 406.0996.

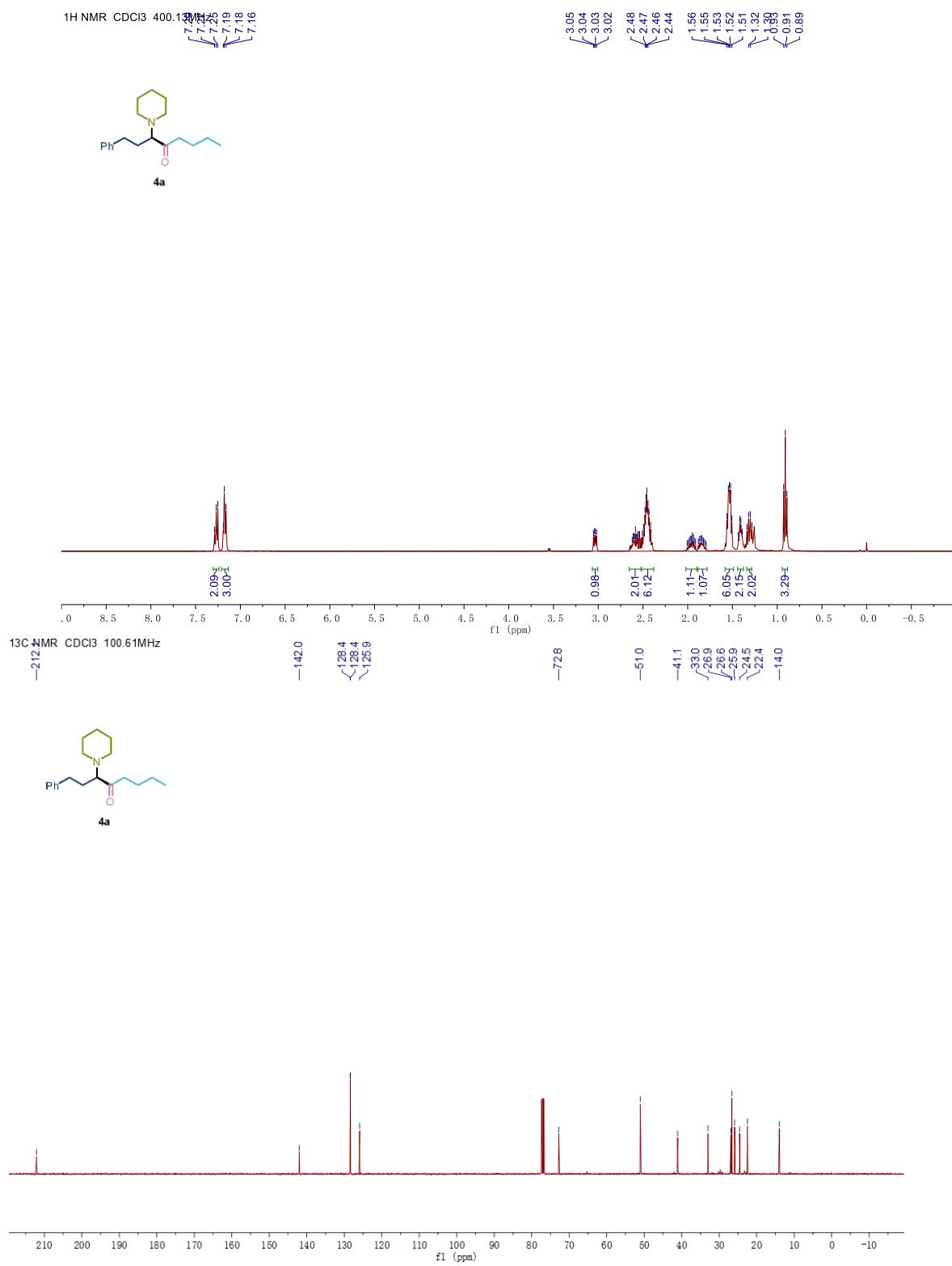


**2-butylbenzo[*d*] [1,3,2] dioxaborole**

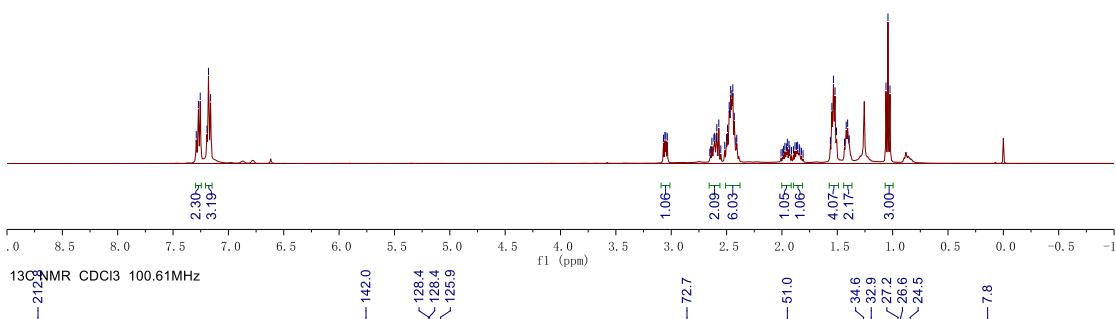
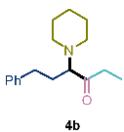
**$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )**  $\delta$  7.24 – 7.14 (m, 2H), 7.10 – 6.98 (m, 2H), 1.70 – 1.54 (m, 2H), 1.48 – 1.35 (m, 2H), 1.29 (t,  $J = 7.8$  Hz, 2H), 0.94 (t,  $J = 7.3$  Hz, 3H).

**$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )**  $\delta$  148.3, 122.5, 112.2, 25.9, 25.3, 13.9.

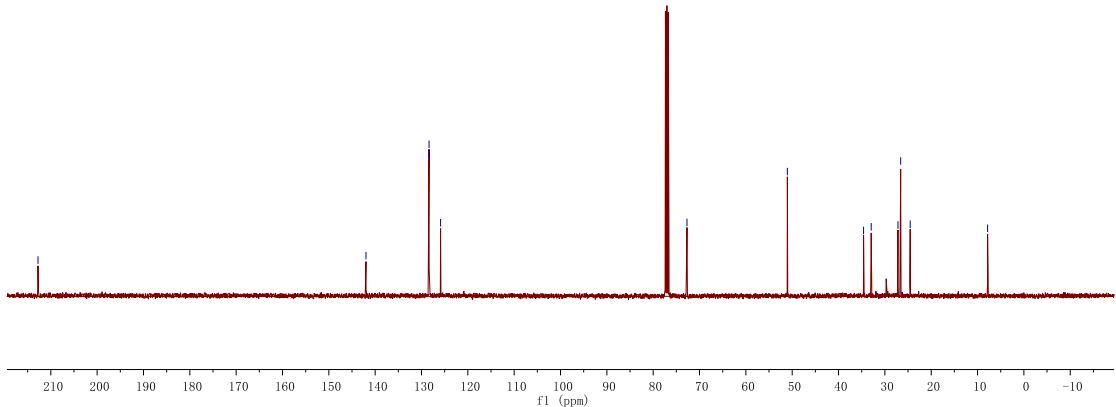
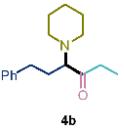
## 5. Copies of $^1\text{H}$ , $^{13}\text{C}$ and $^{19}\text{F}$ Spectra.

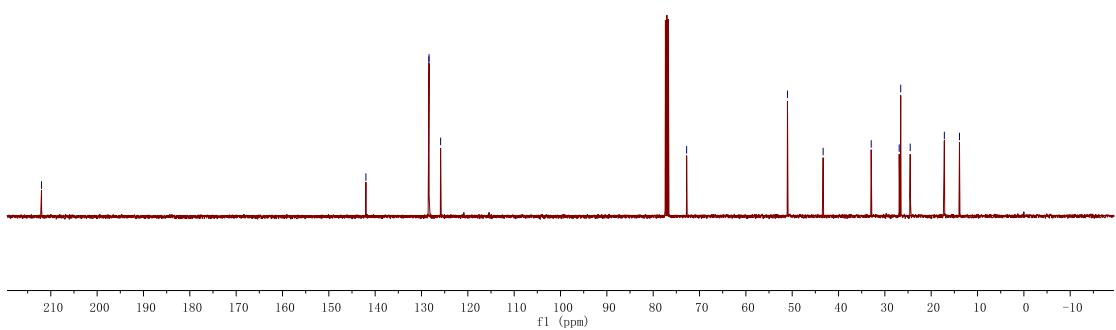
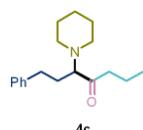
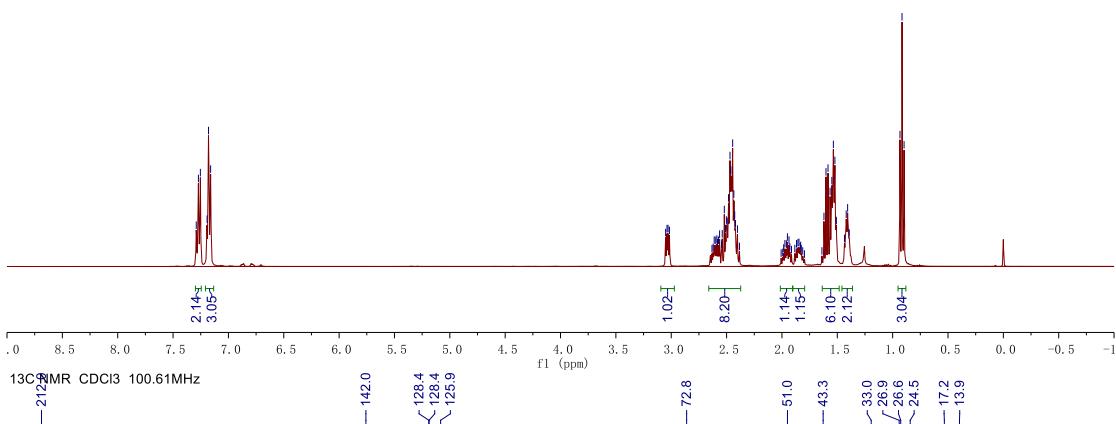
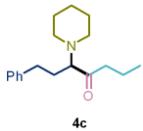
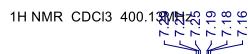


<sup>1</sup>H NMR CDCl<sub>3</sub> 400.13MHz

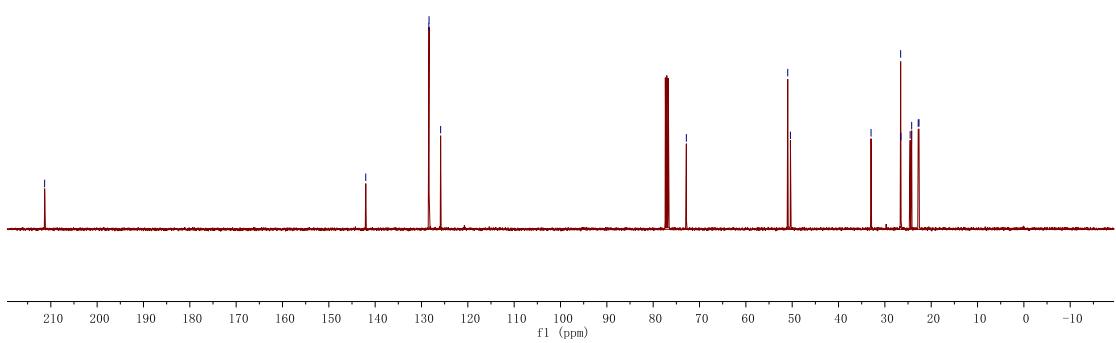
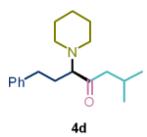
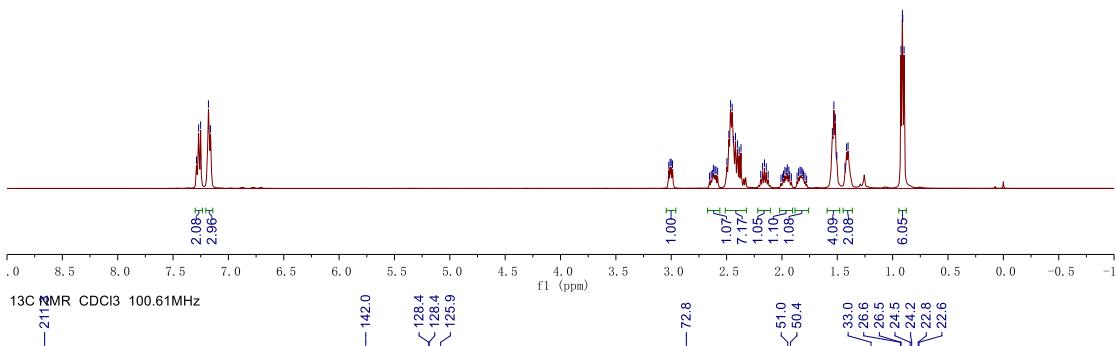
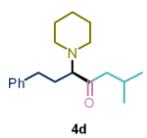


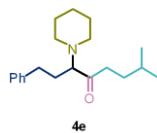
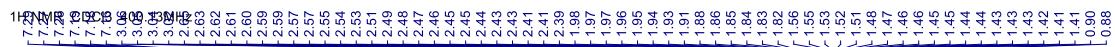
<sup>13</sup>C NMR CDCl<sub>3</sub> 100.61MHz



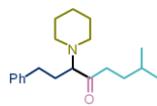
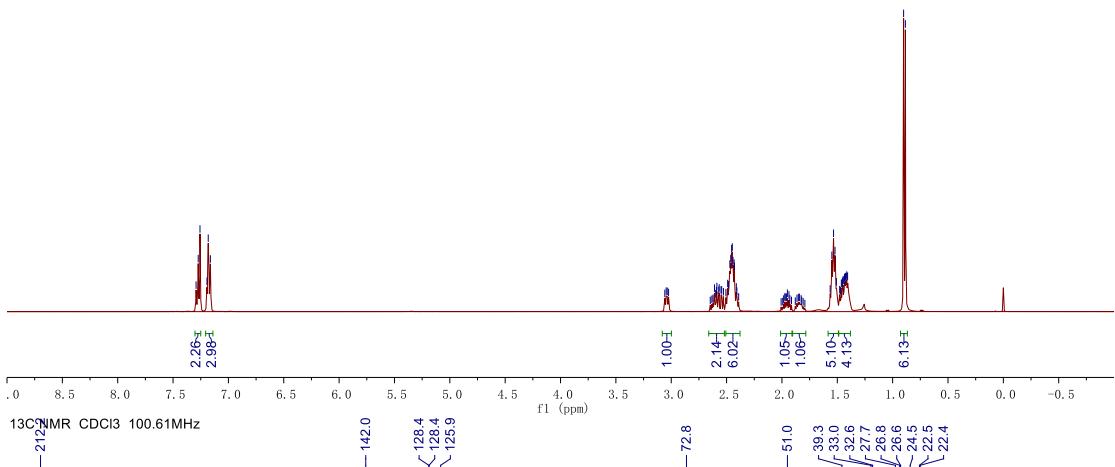


<sup>1</sup>H NMR CDCl<sub>3</sub> 400.13MHz

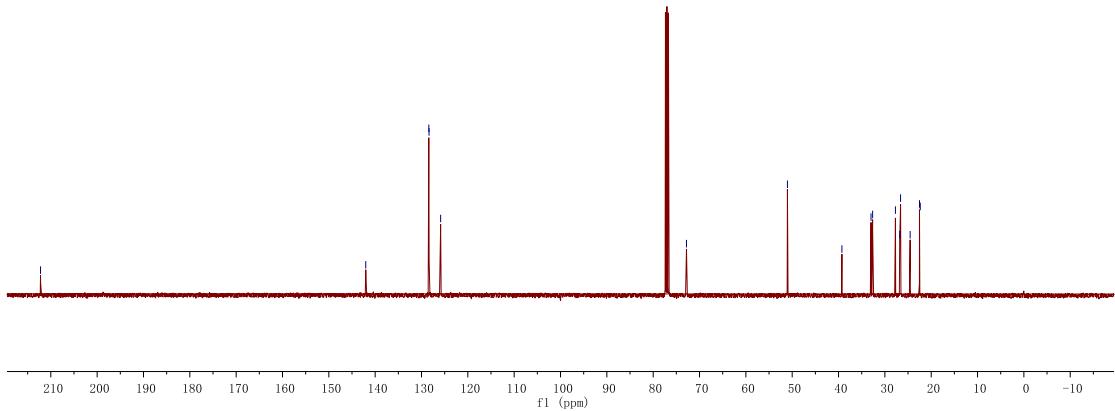


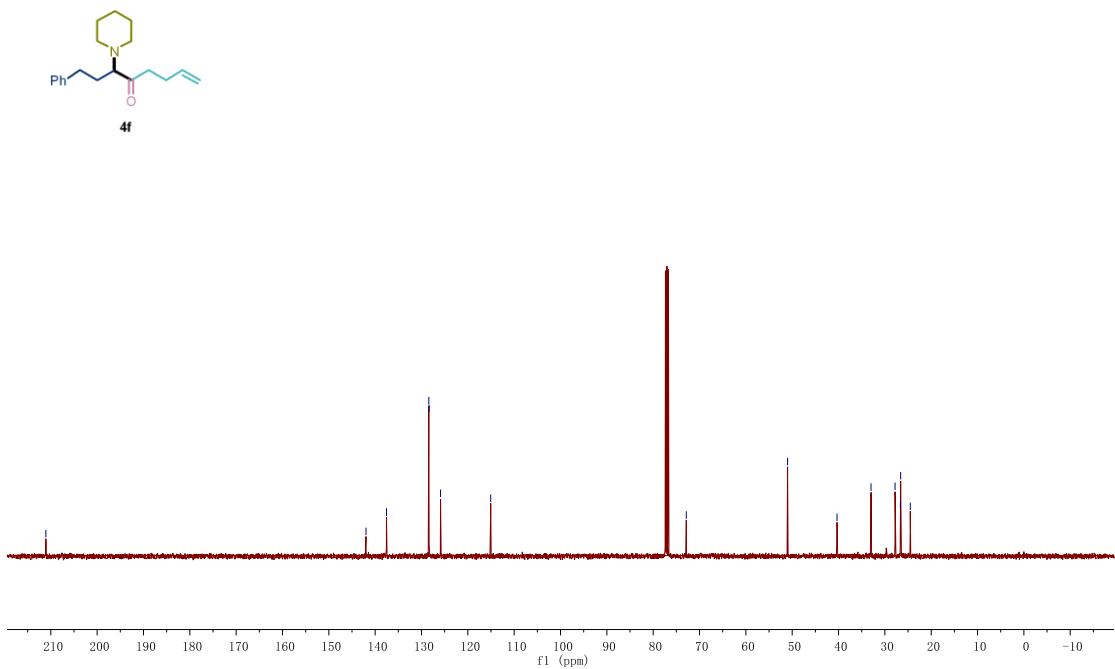
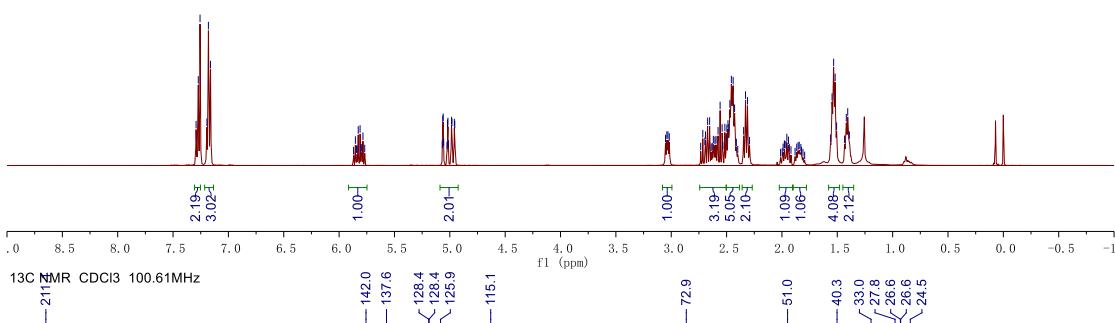


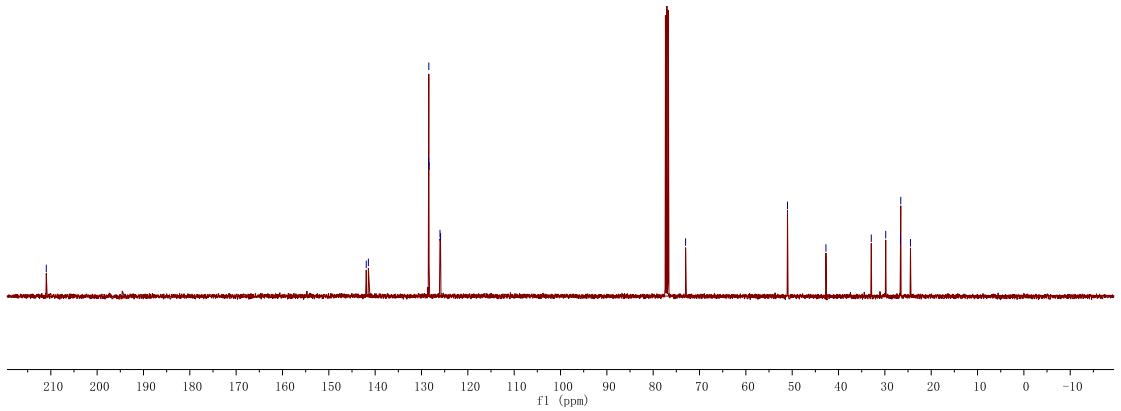
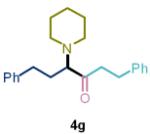
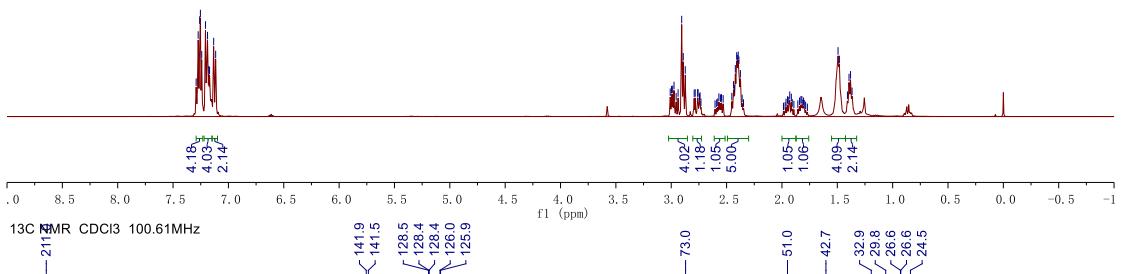
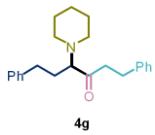
4e

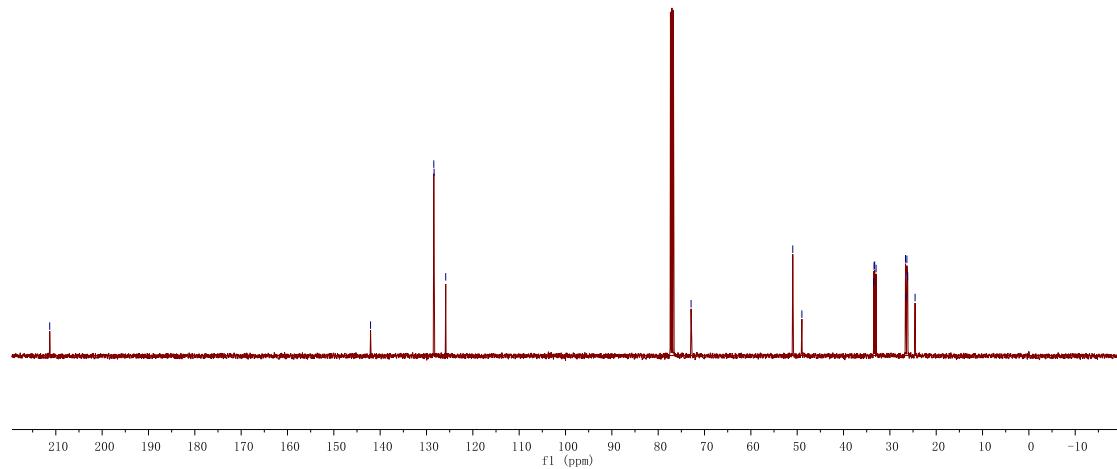
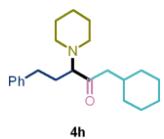
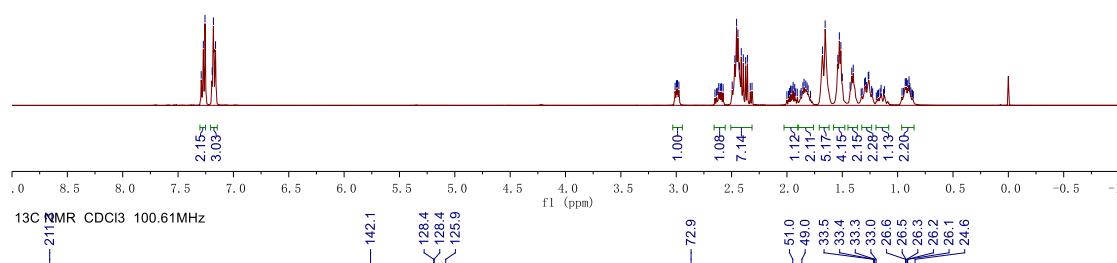
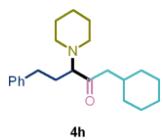


48

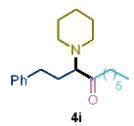








<sup>1</sup>H NMR CDCl<sub>3</sub> 400.13MHz



7.21  
7.20  
7.19  
7.18  
7.16

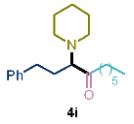
3.05  
3.04  
3.03  
3.01

2.47  
2.46  
2.45  
2.44

1.55  
1.53  
1.52  
1.41

1.28  
1.28  
0.66  
0.88  
0.87

<sup>13</sup>C NMR CDCl<sub>3</sub> 100.61MHz



2.29, t  
3.00, t

—212.0

—142.0

—128.4  
—128.4  
—125.9

—72.8

—51.0

—41.3

—31.7

—29.0

—26.6

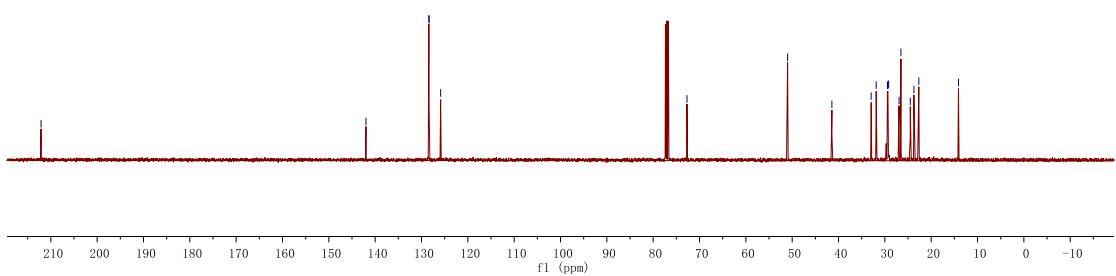
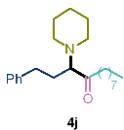
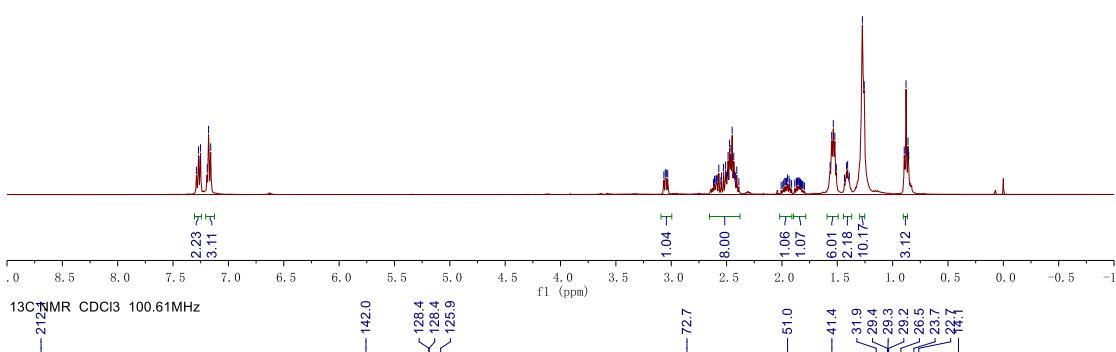
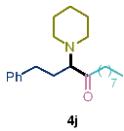
—24.5

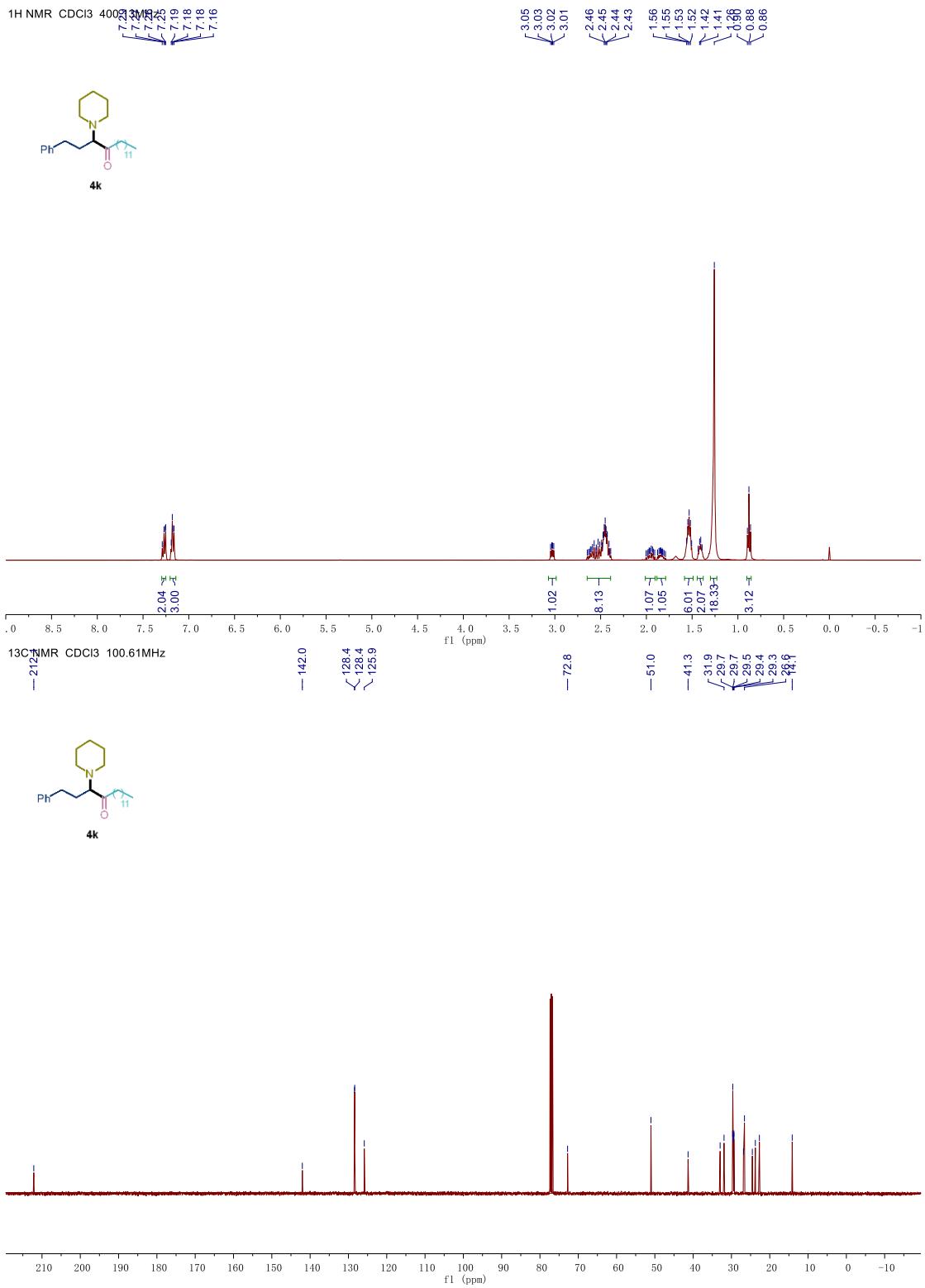
—23.7

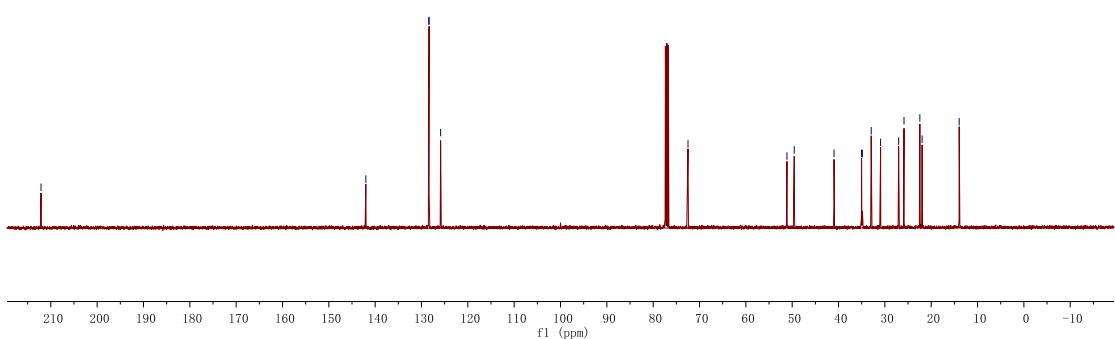
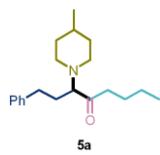
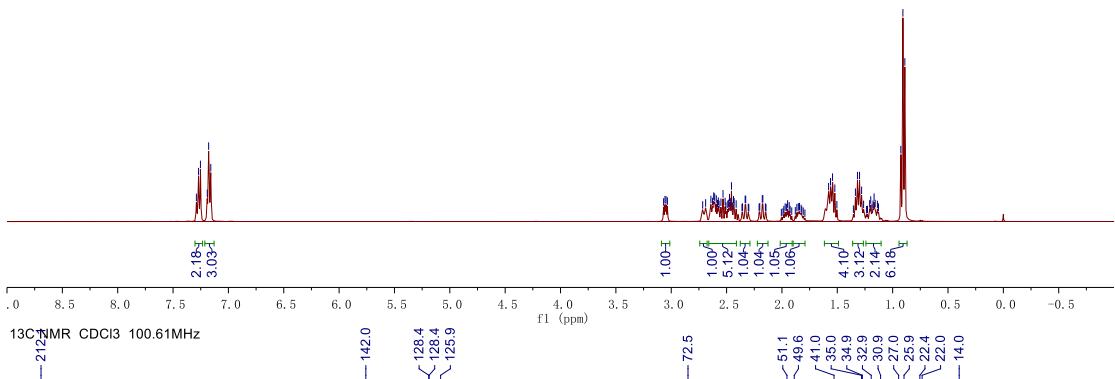
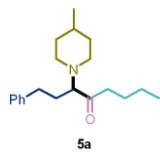
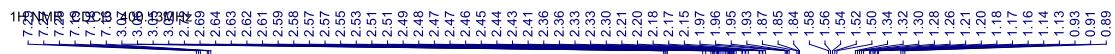
—22.5

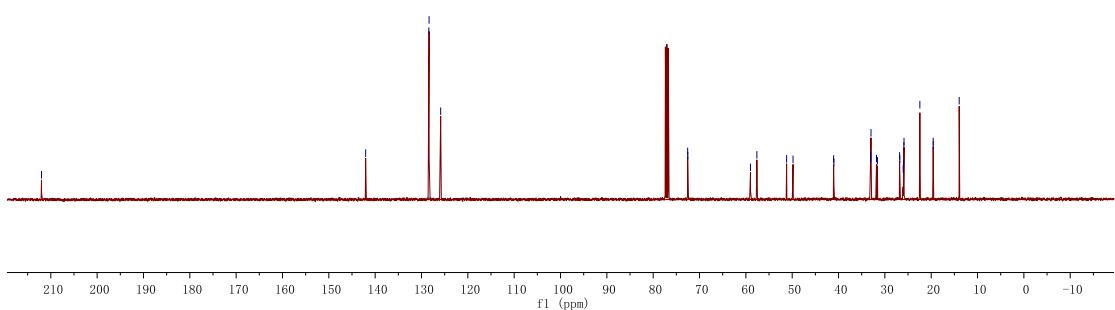
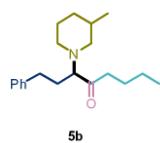
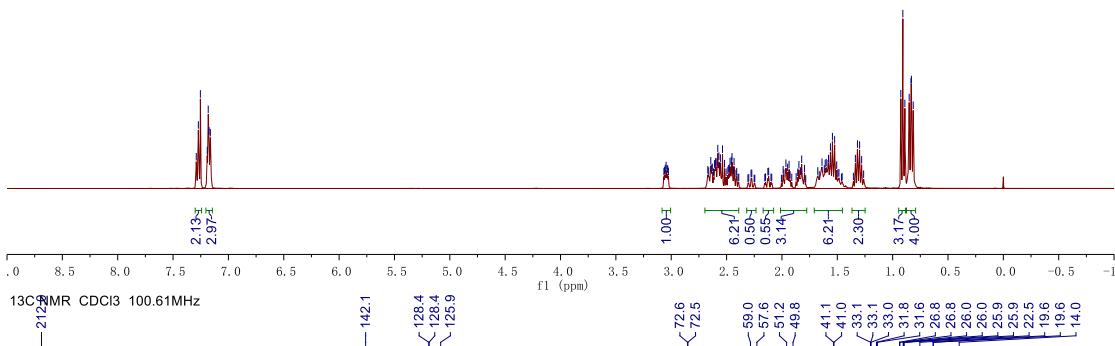
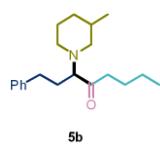
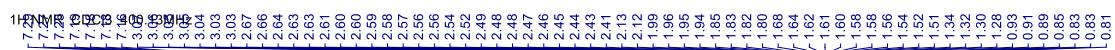
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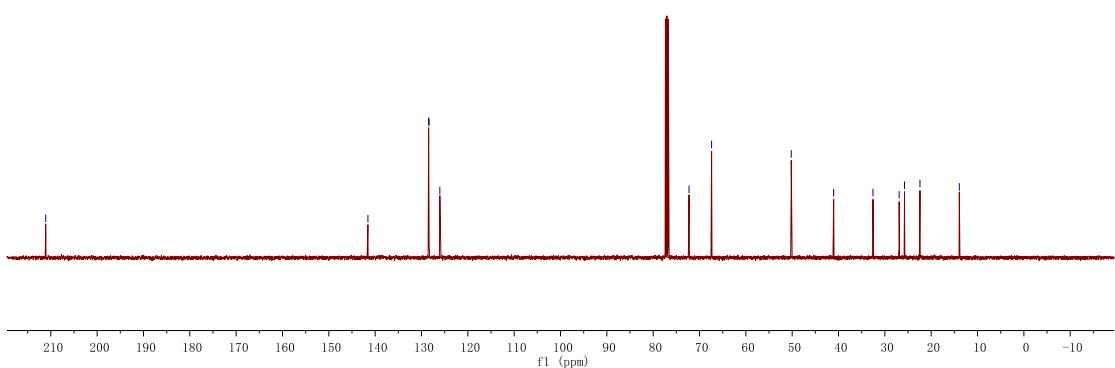
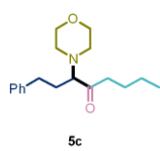
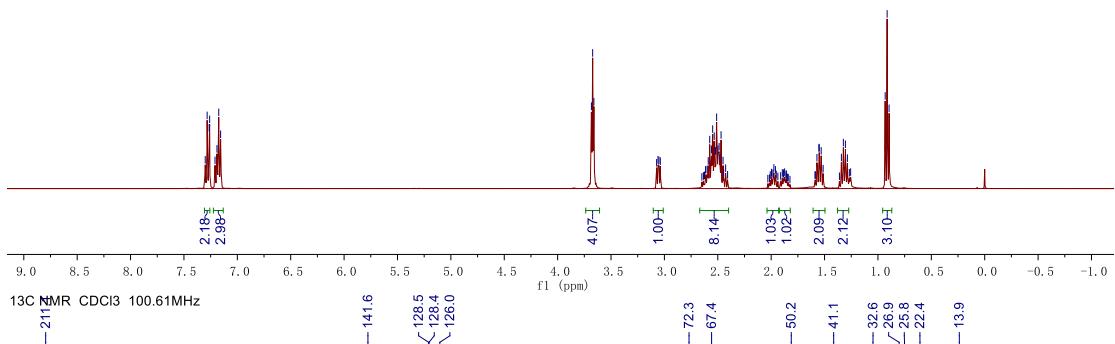
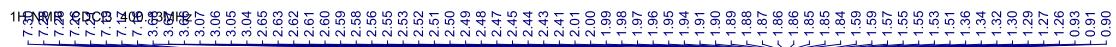
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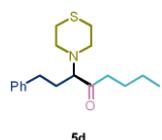




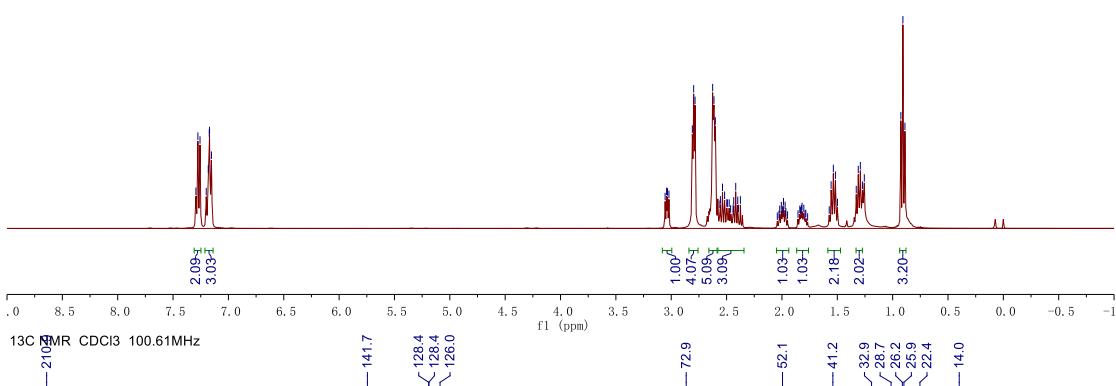




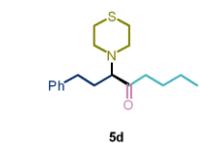
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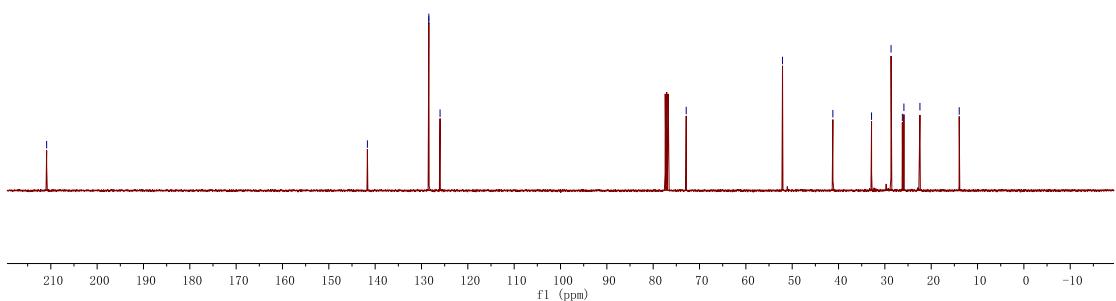
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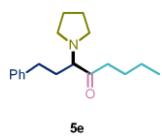
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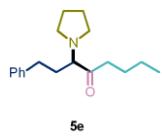
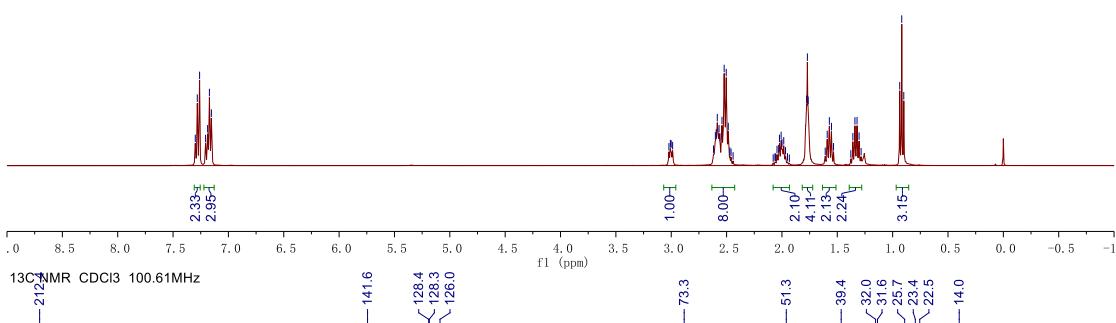
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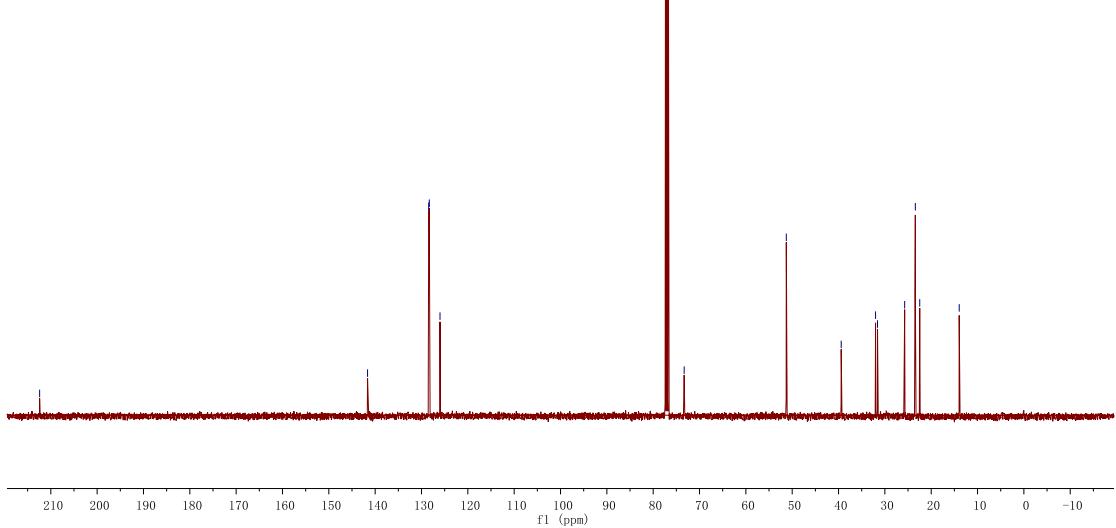
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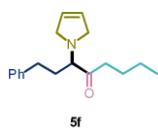
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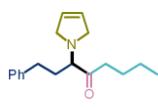
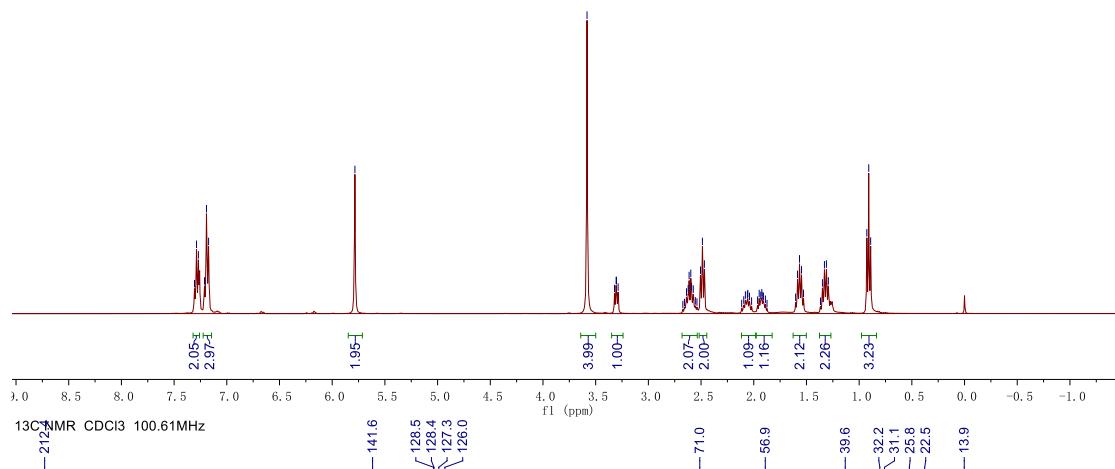
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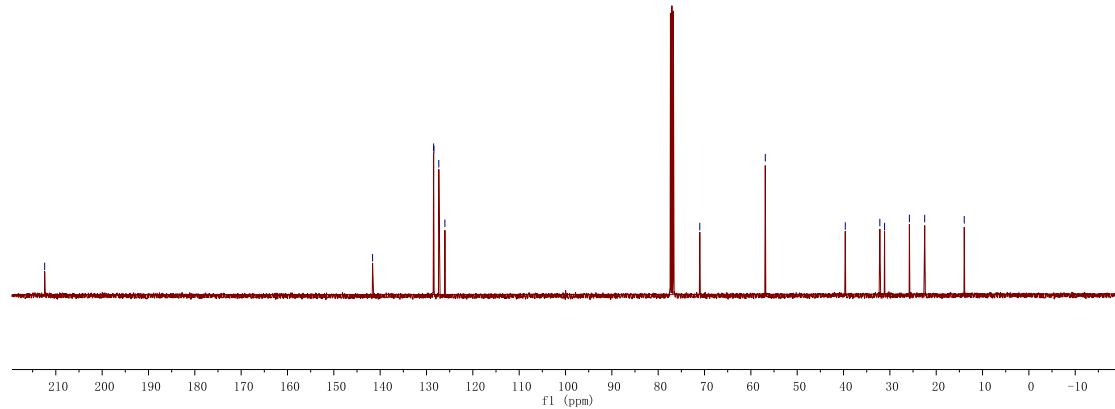
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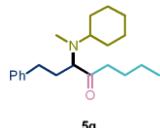


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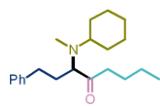
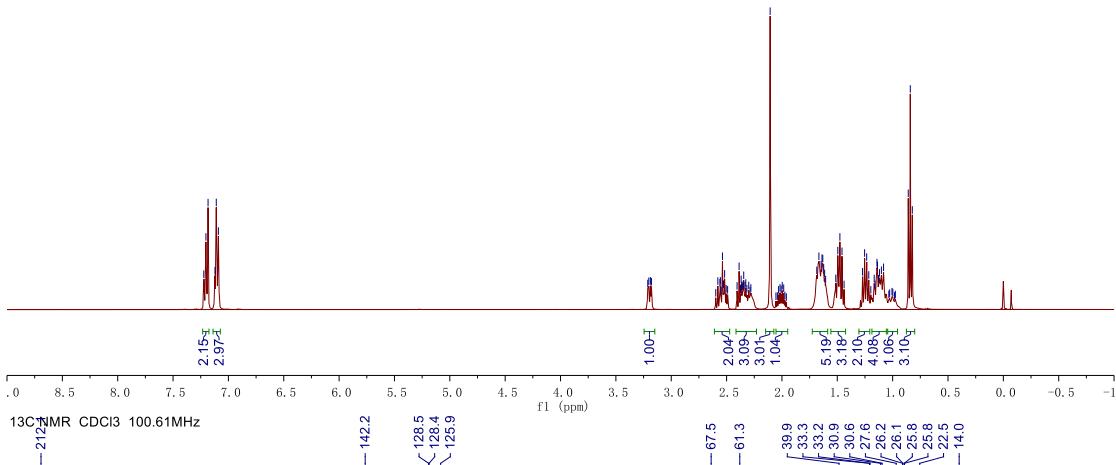


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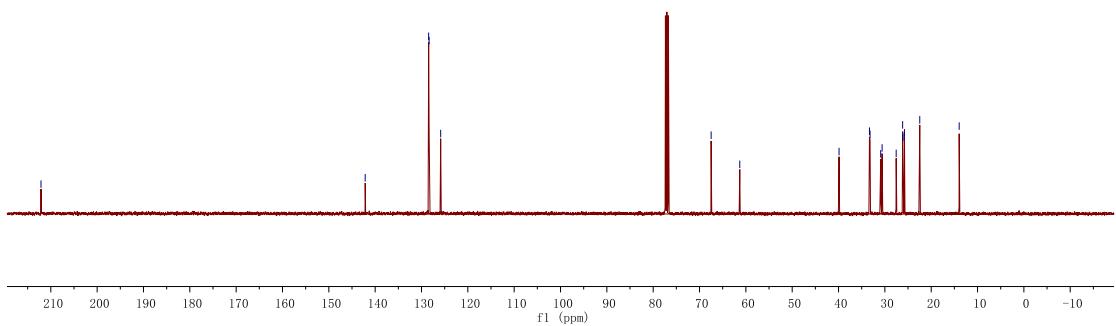




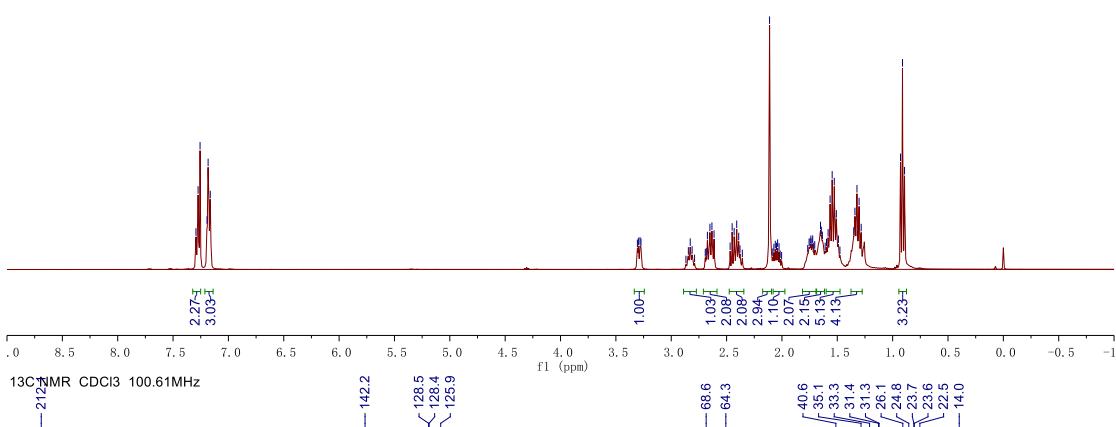
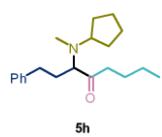
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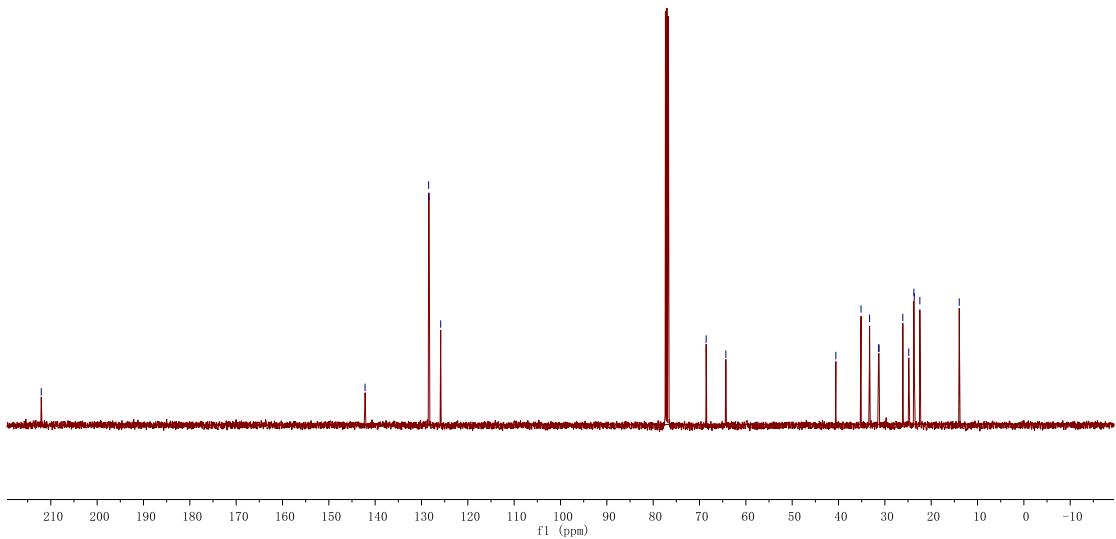
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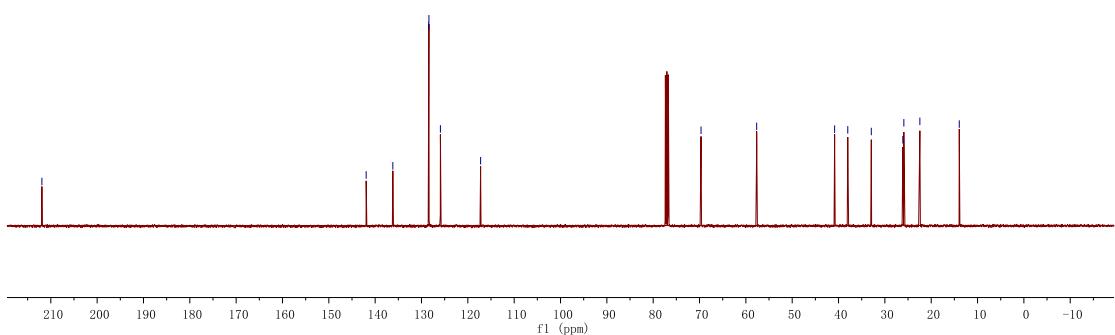
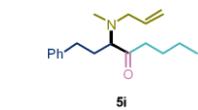
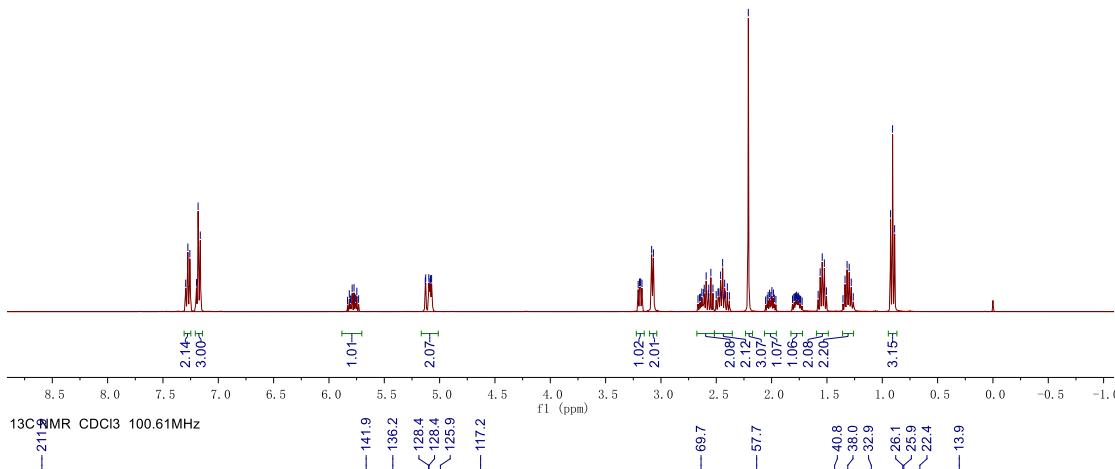
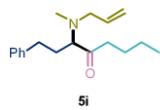


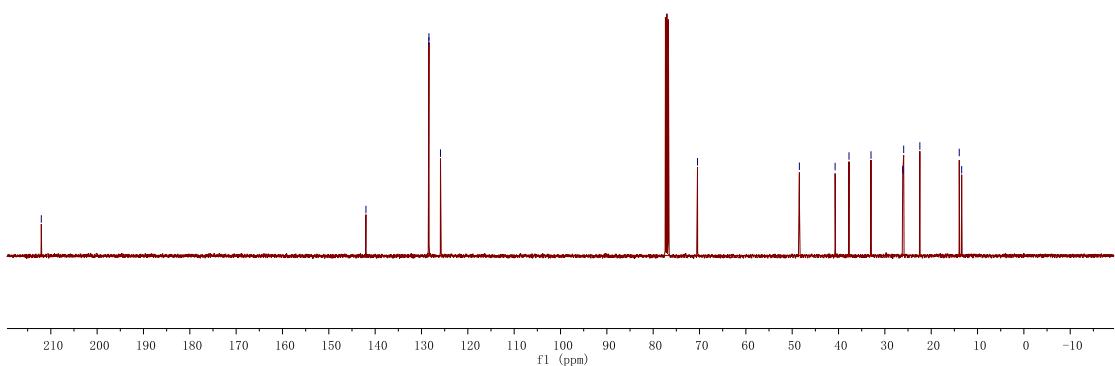
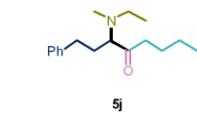
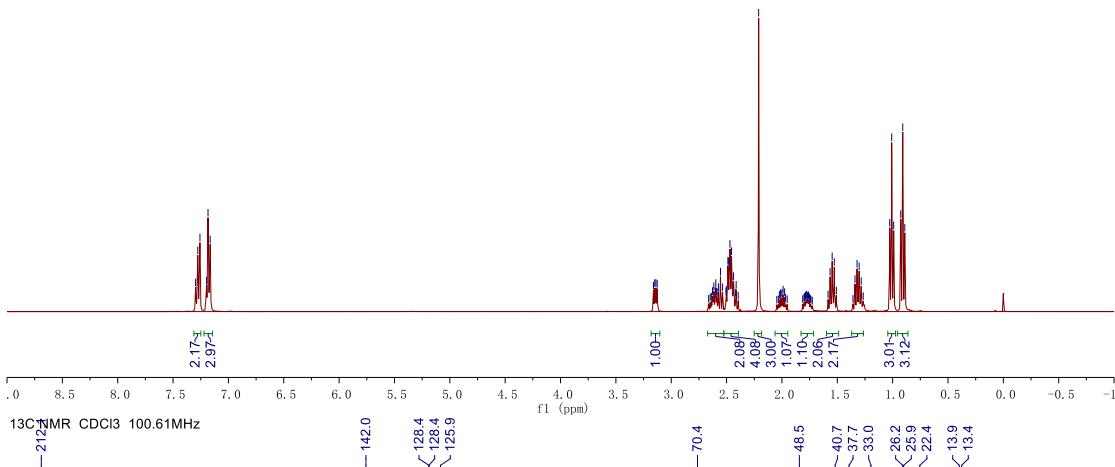
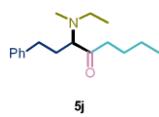
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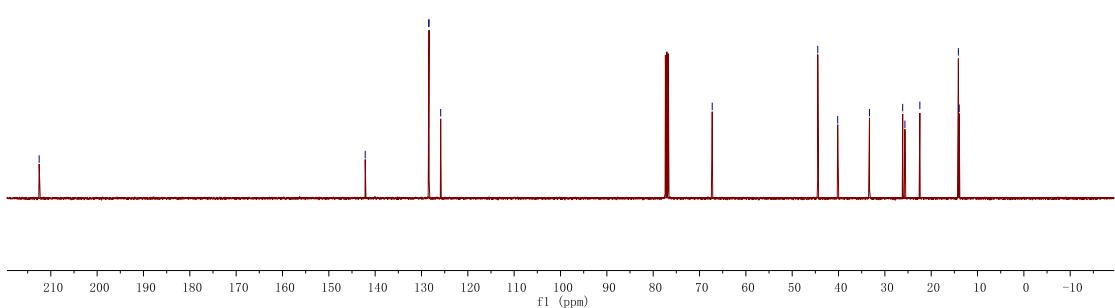
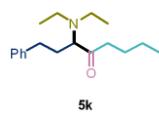
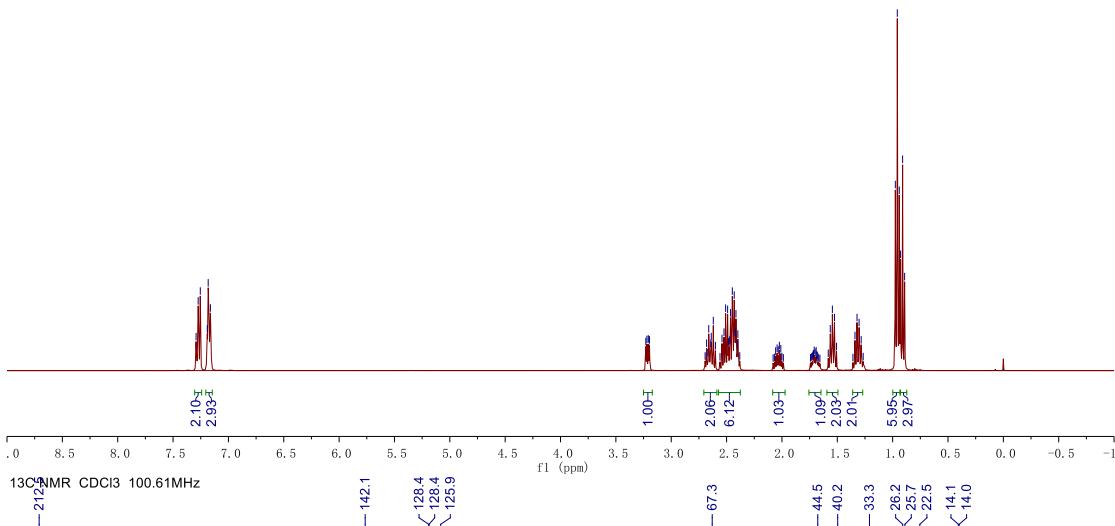
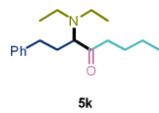


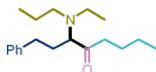
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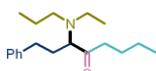
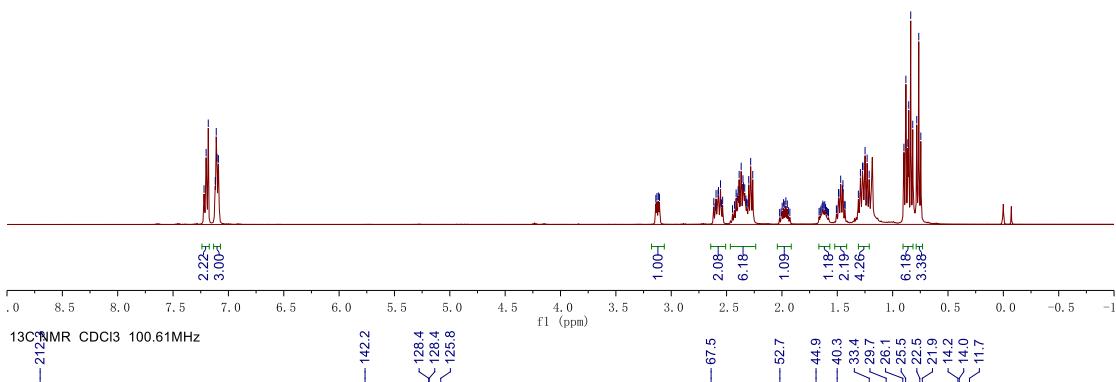




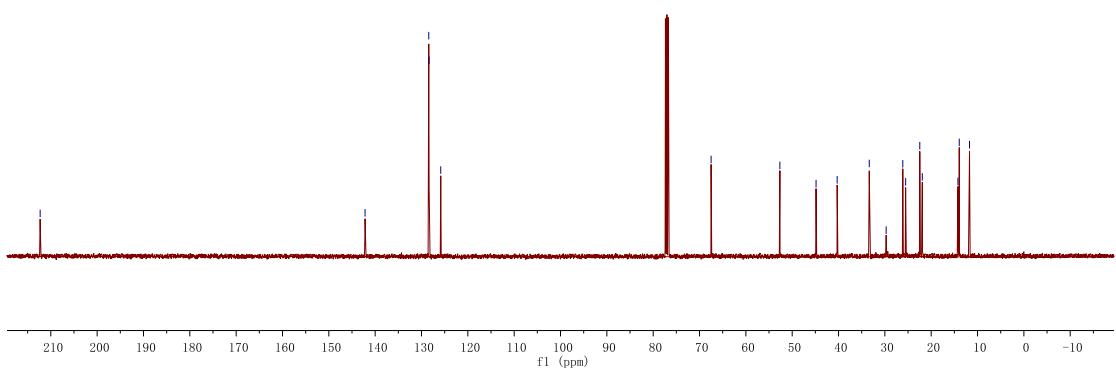


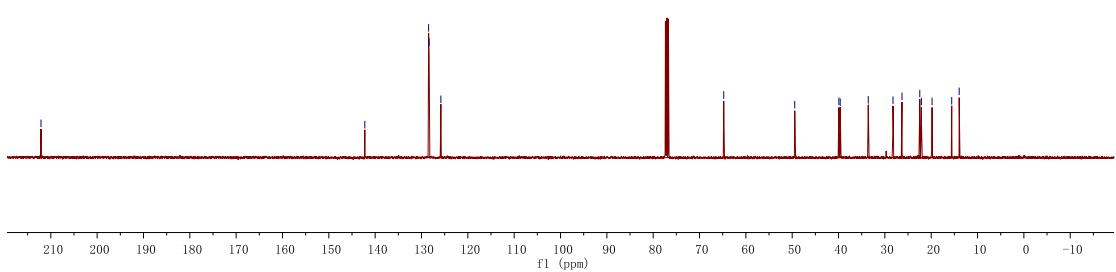
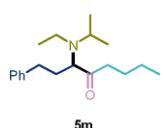
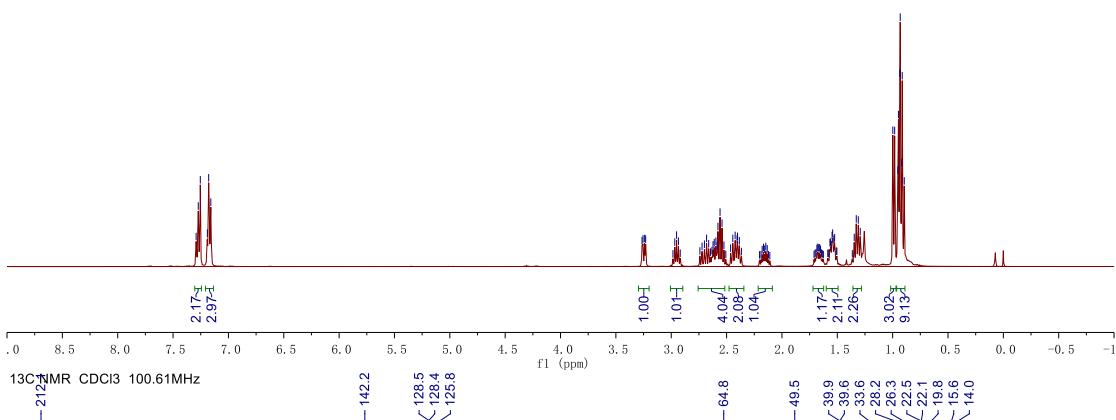
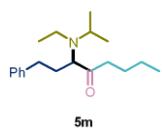


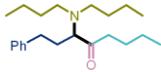
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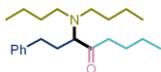
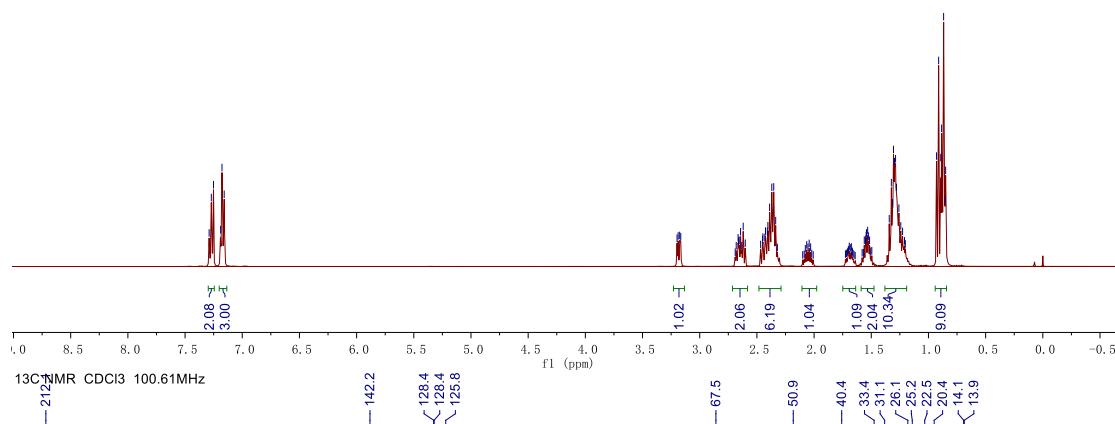
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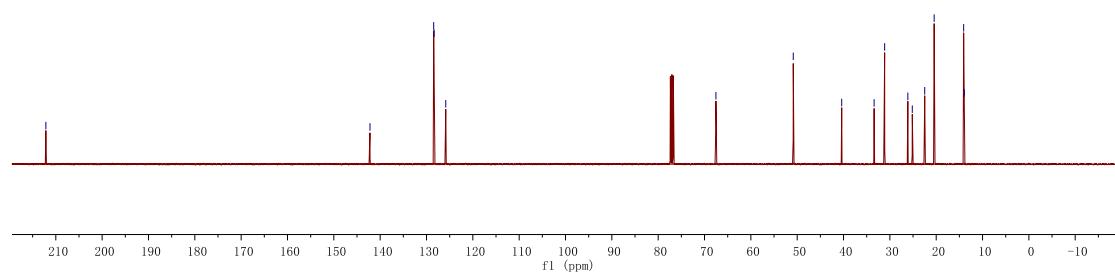


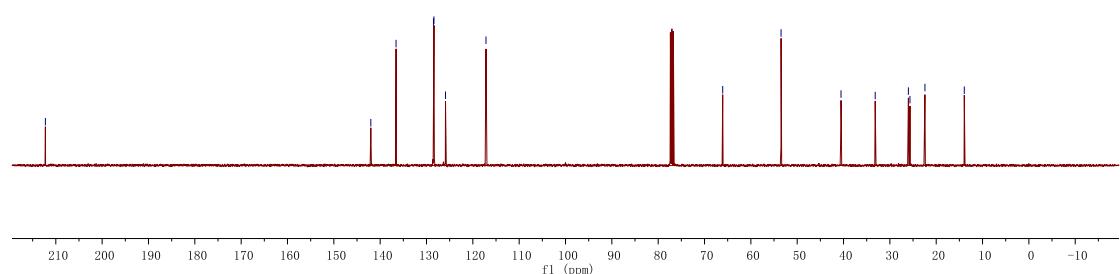
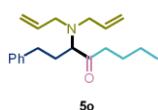
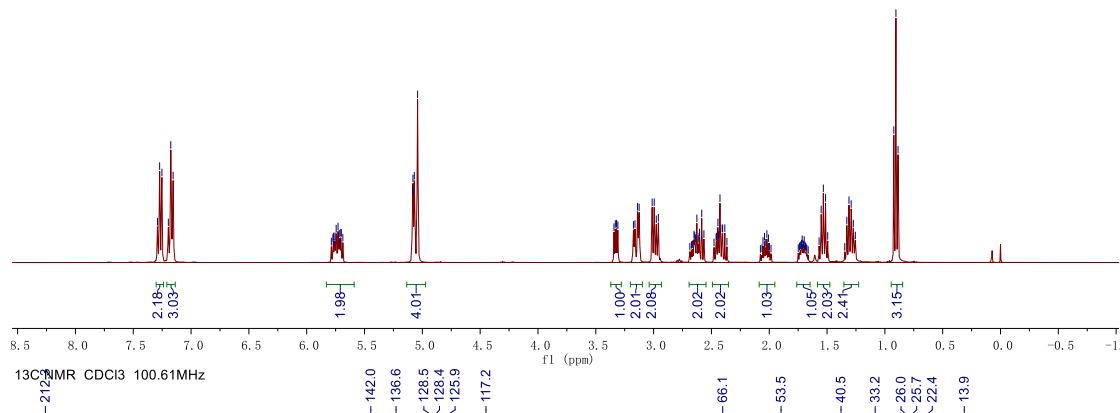
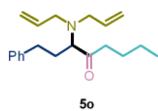


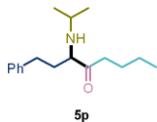
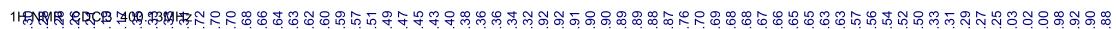
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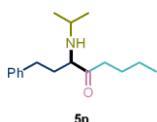
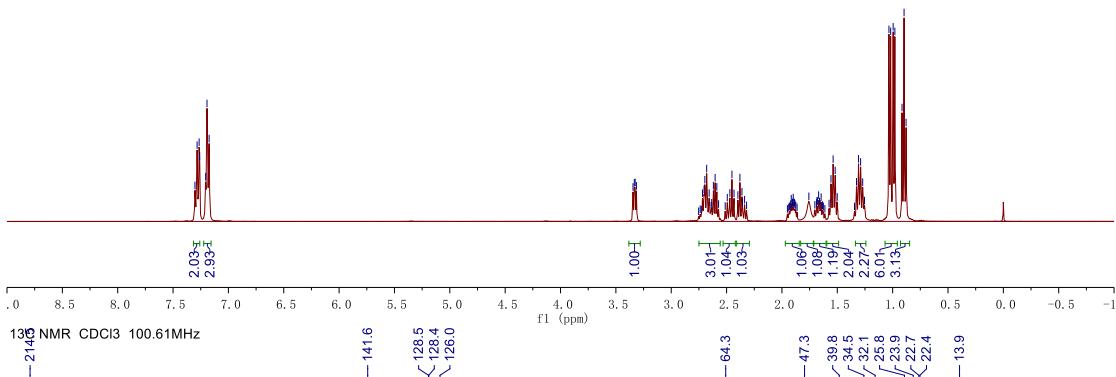
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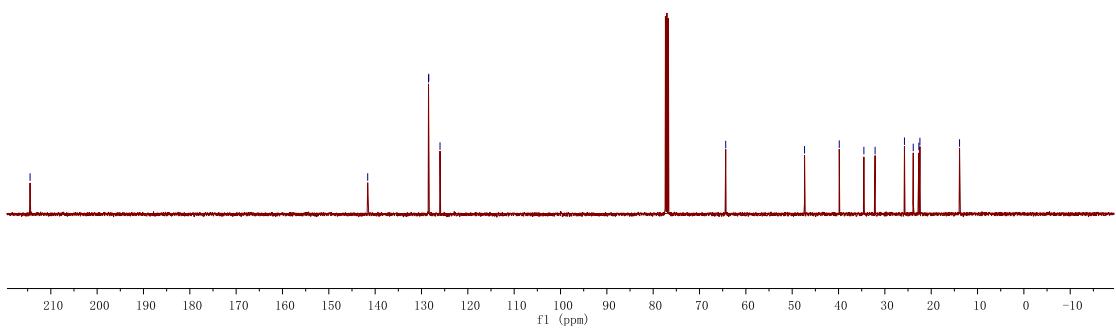


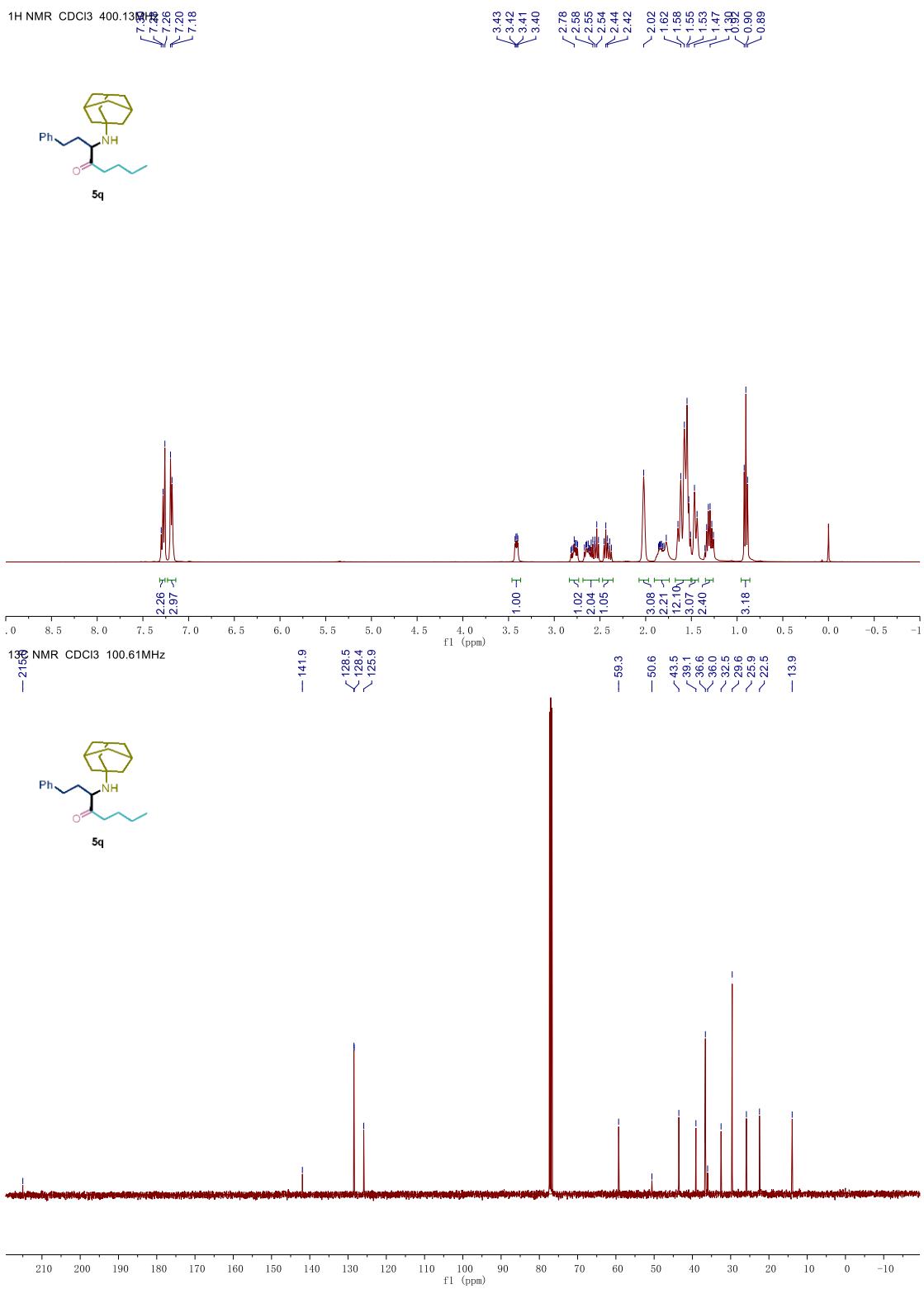


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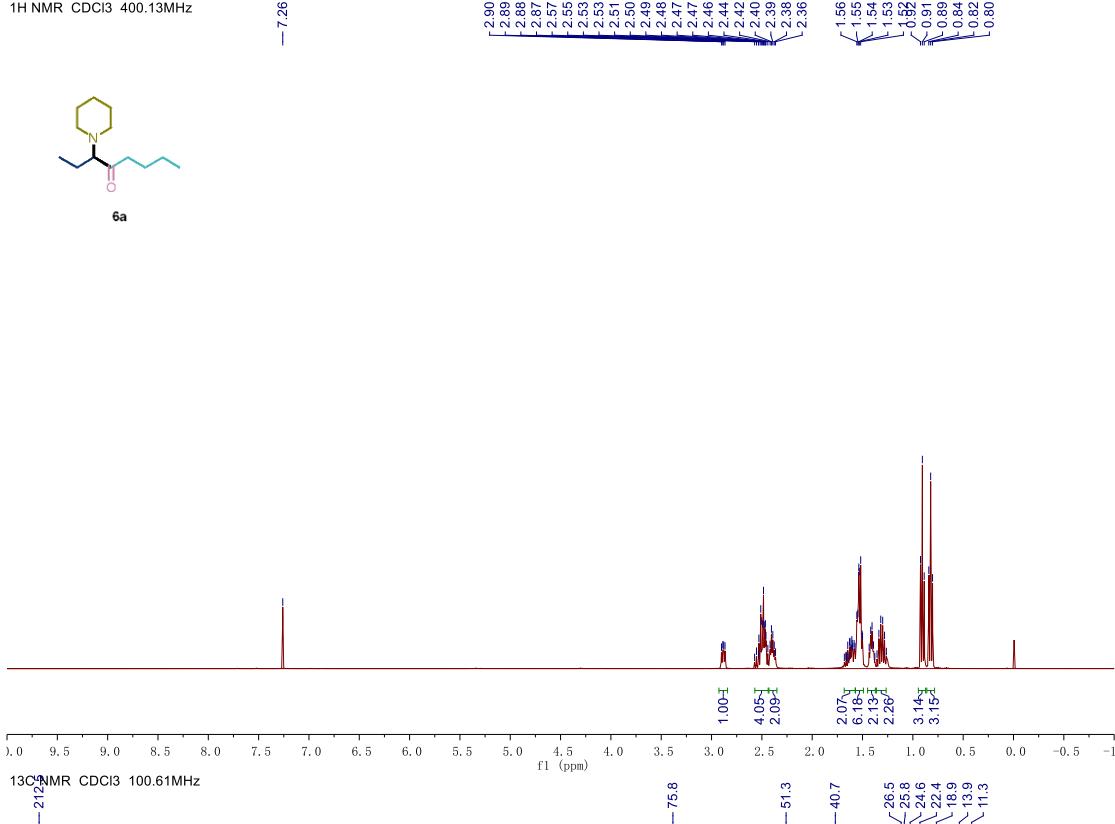


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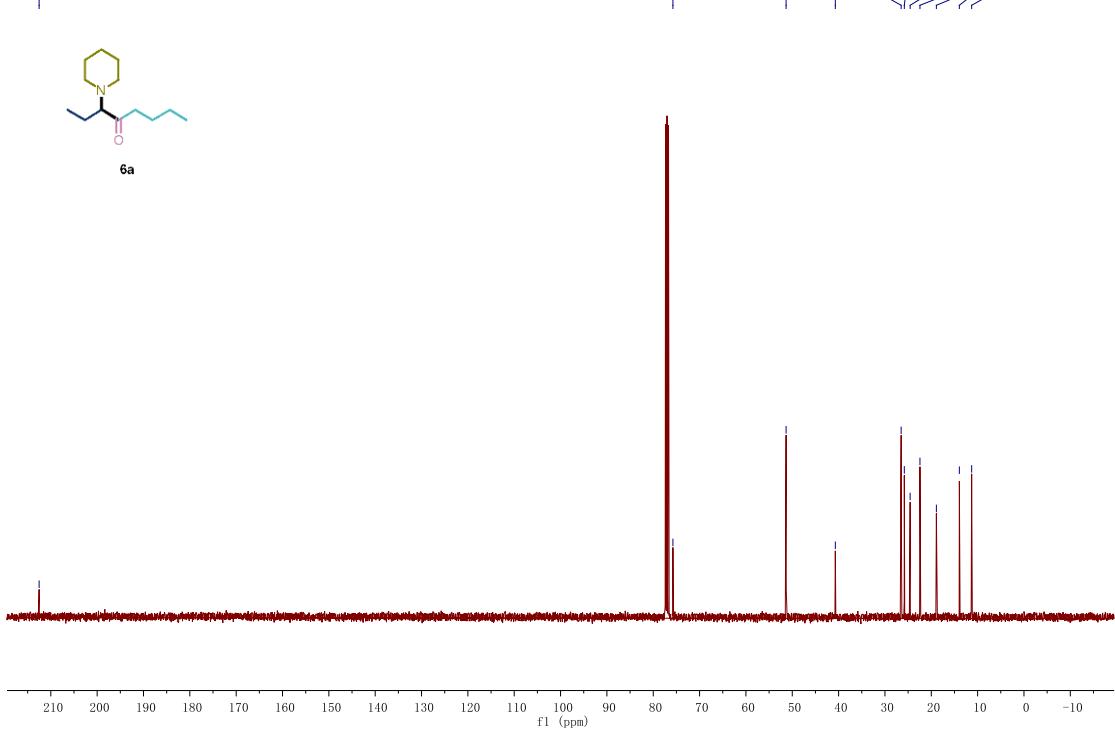




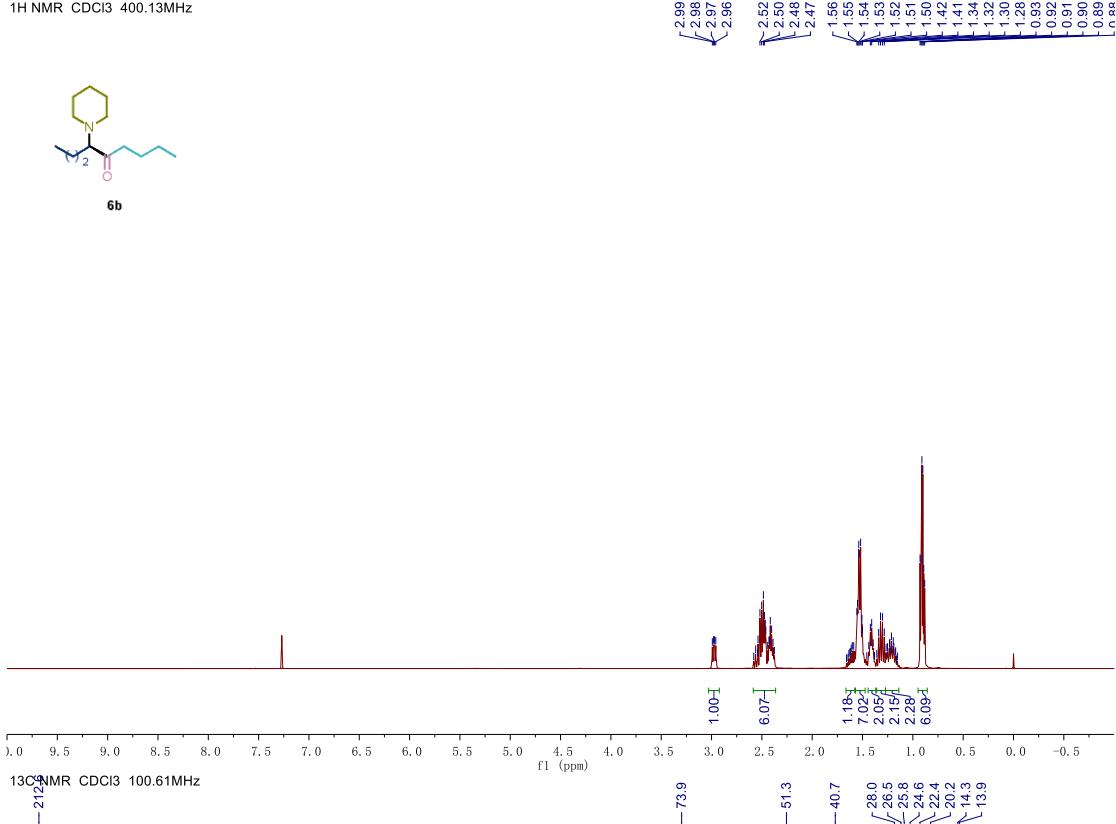
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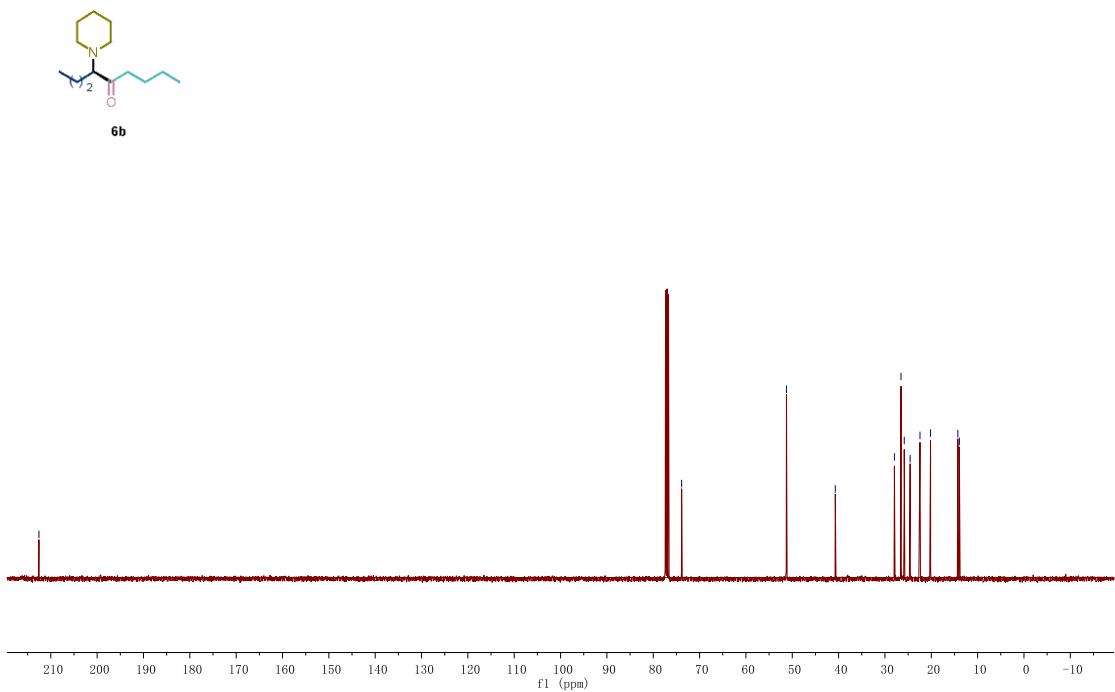
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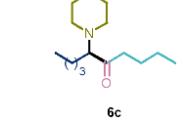
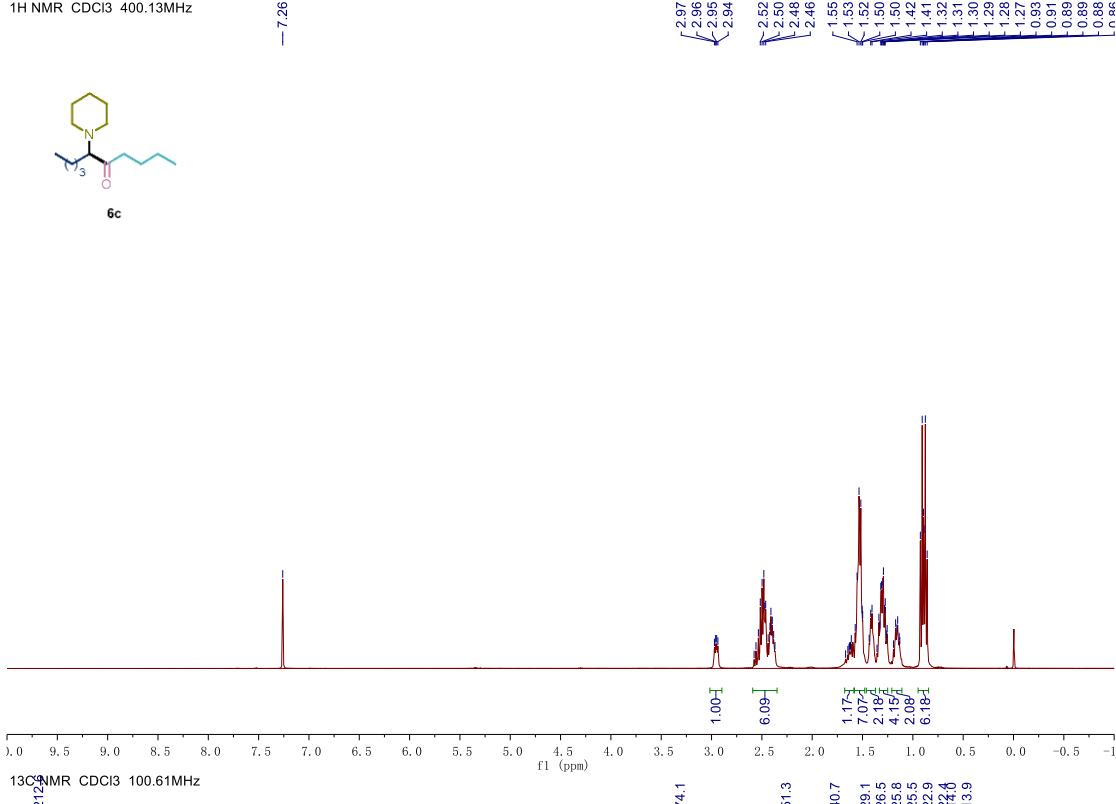
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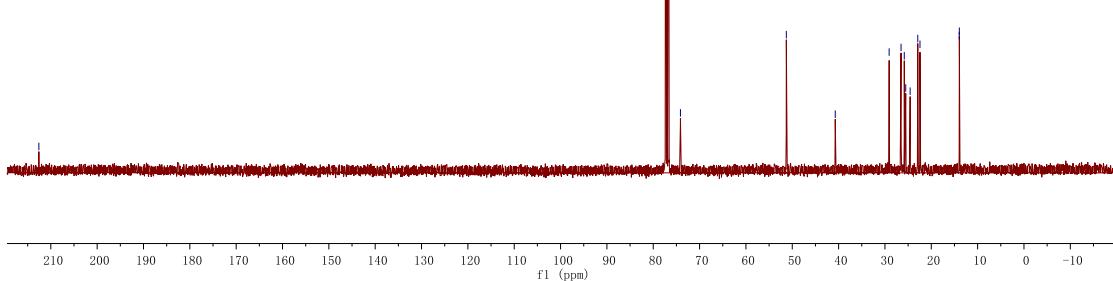
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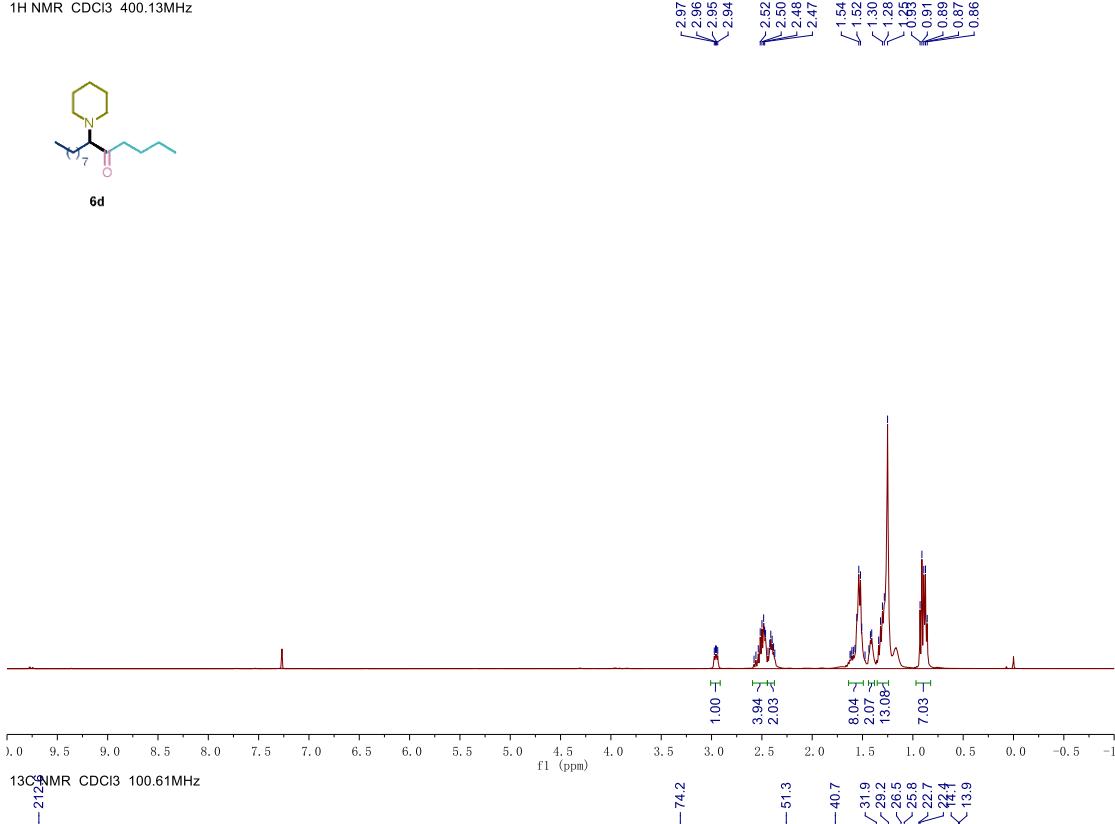
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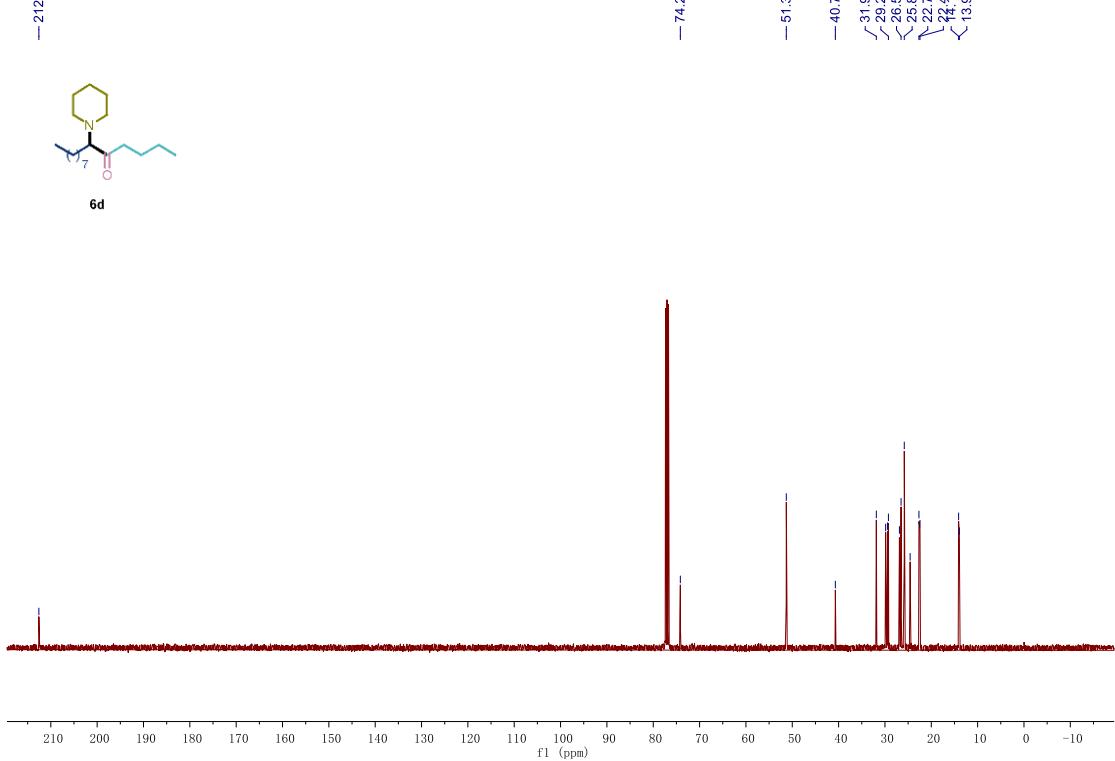
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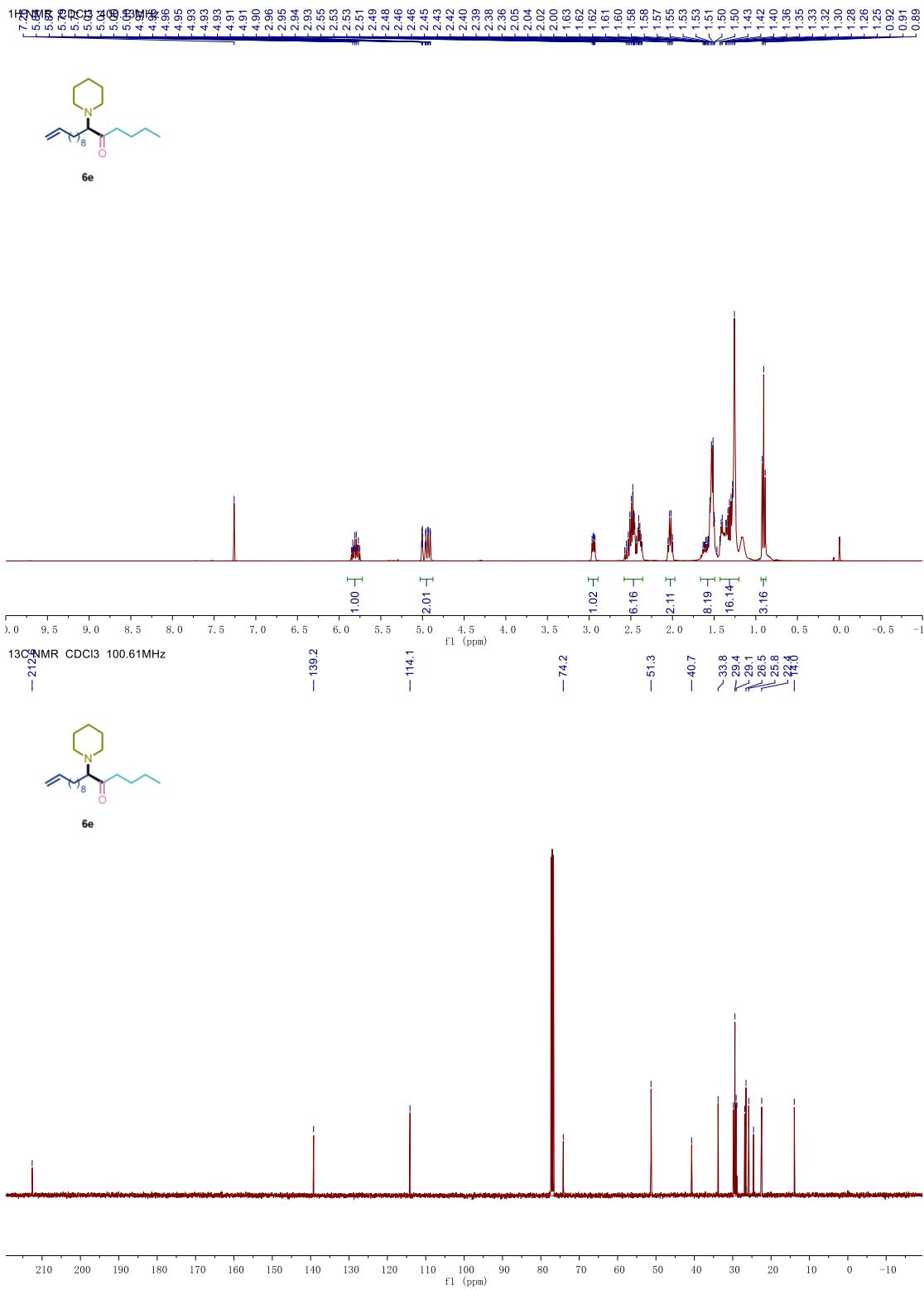


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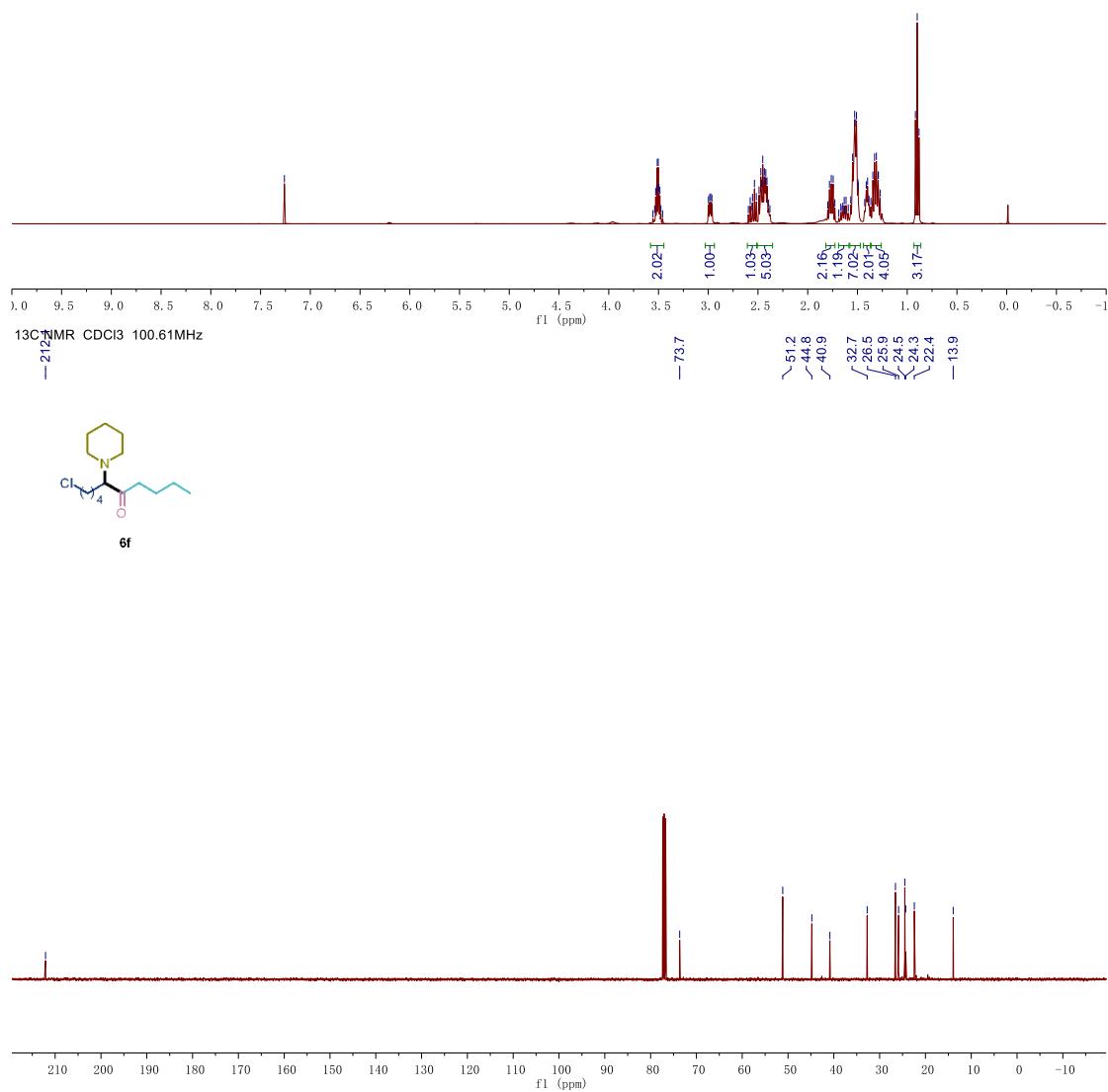
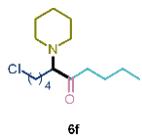
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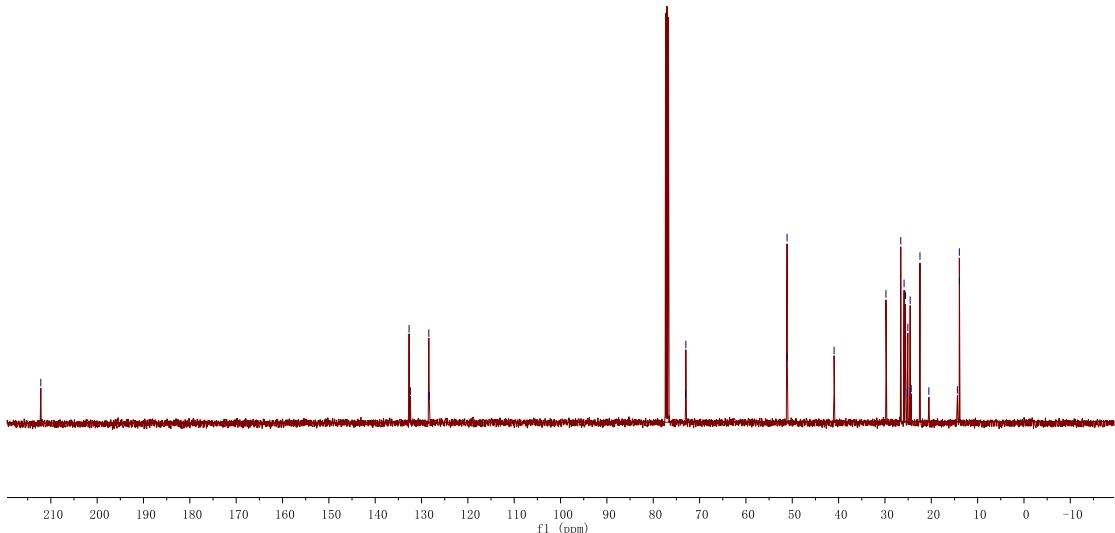
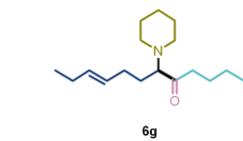
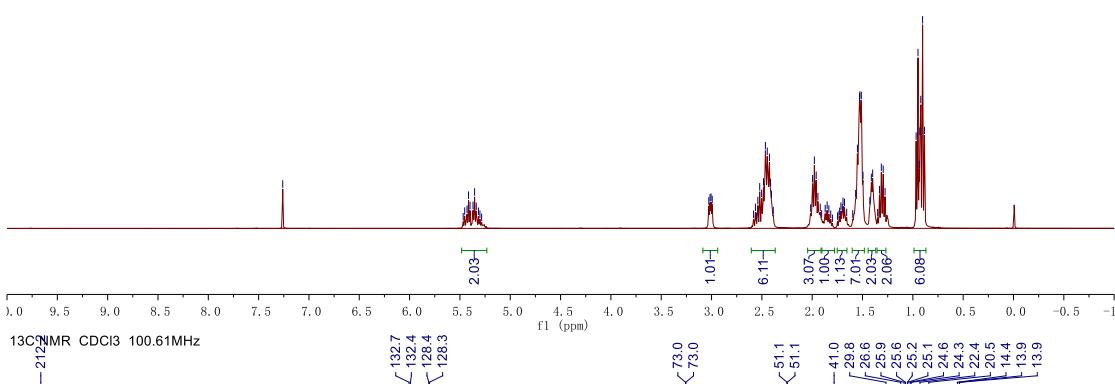
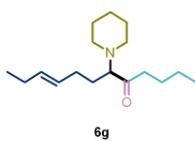




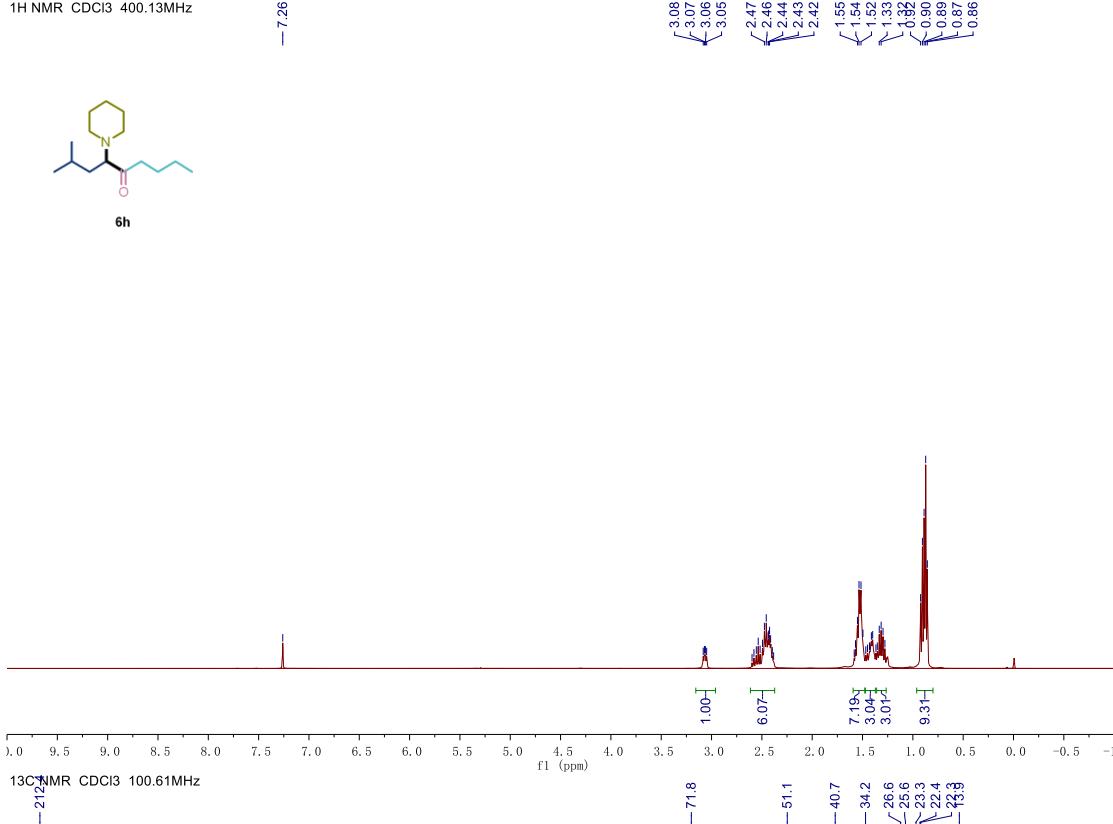
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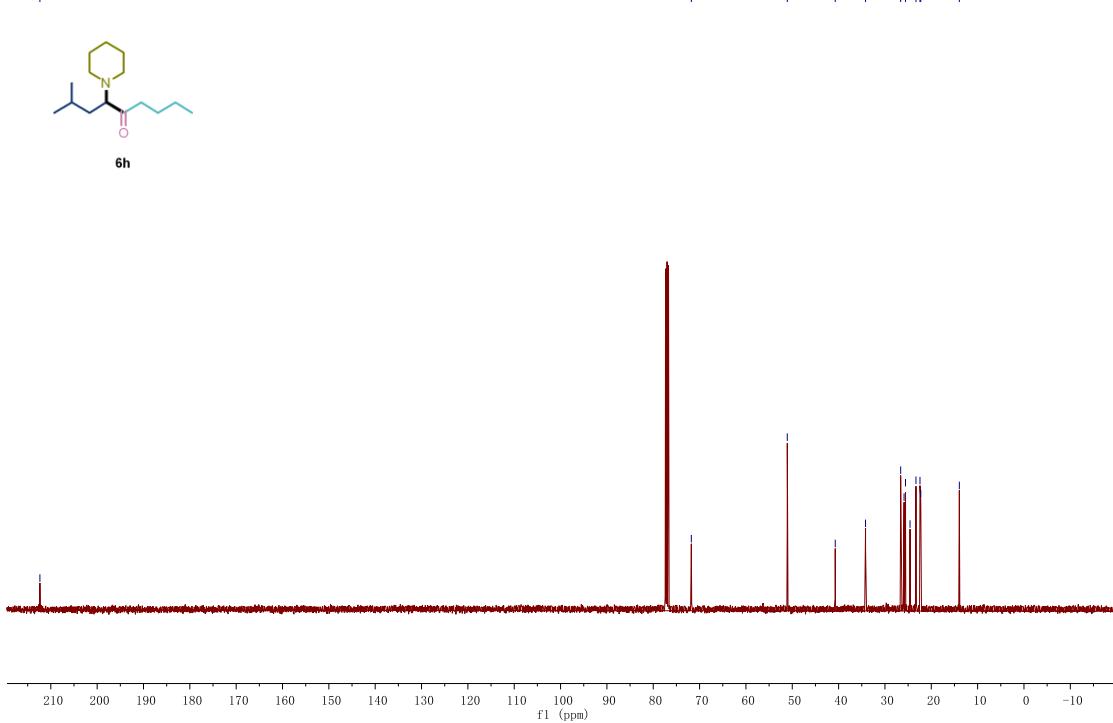




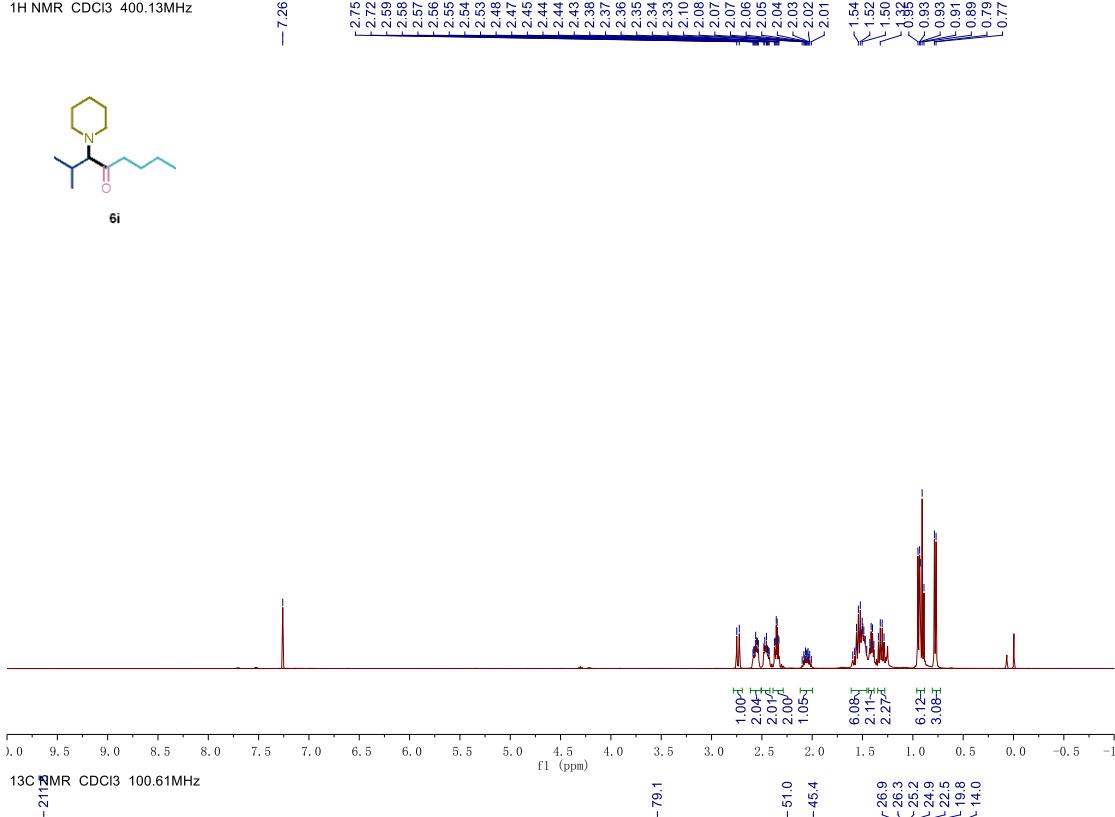
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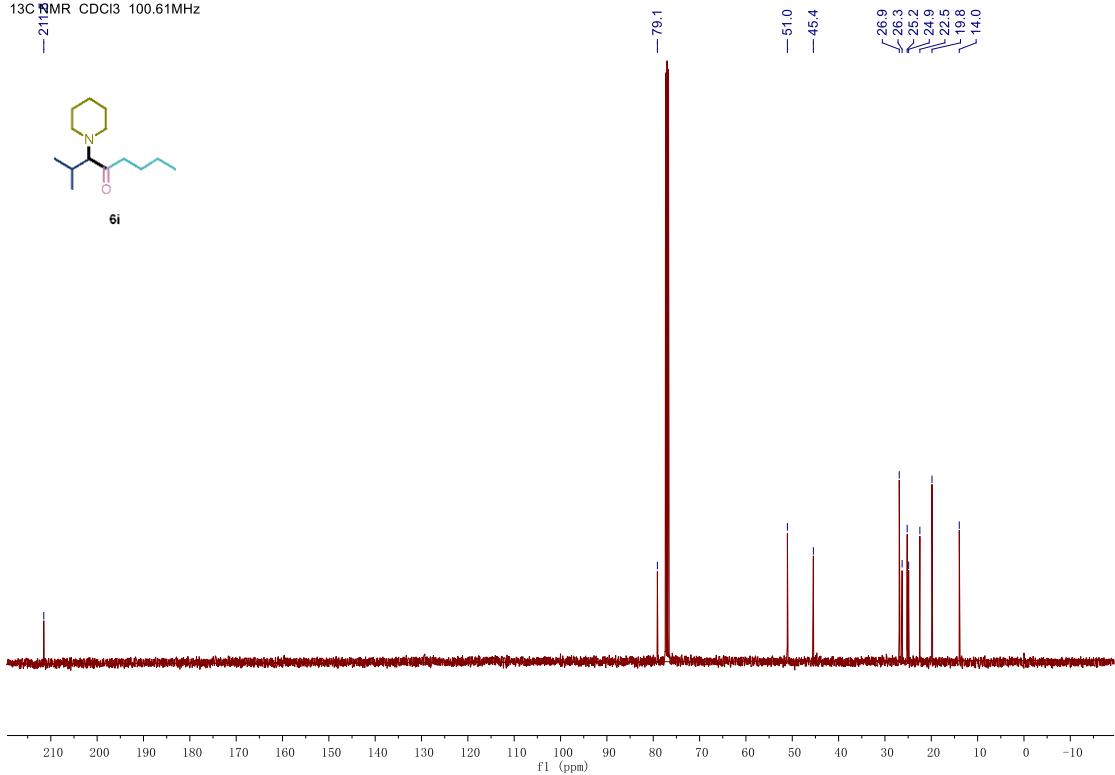
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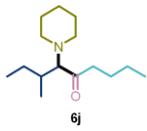


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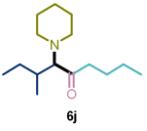
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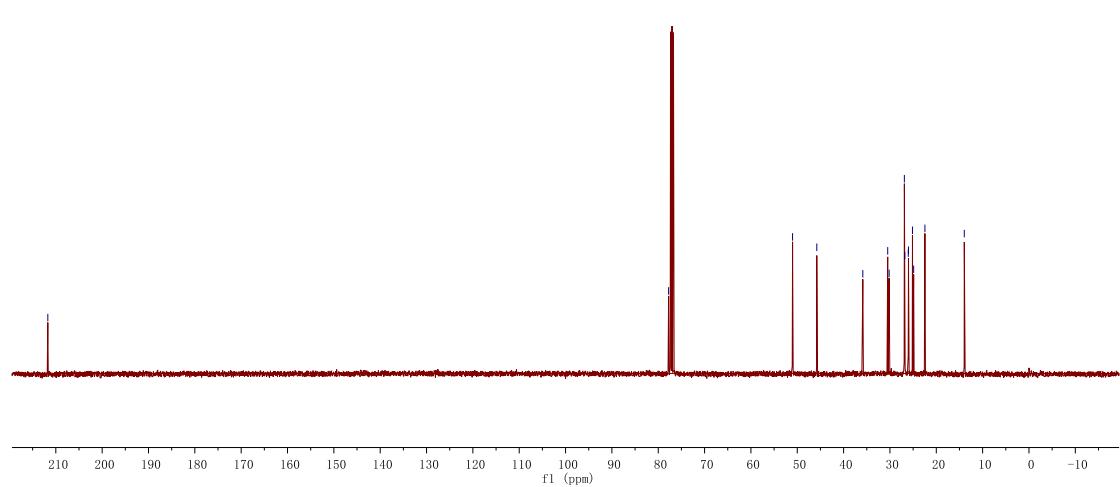
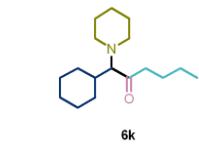
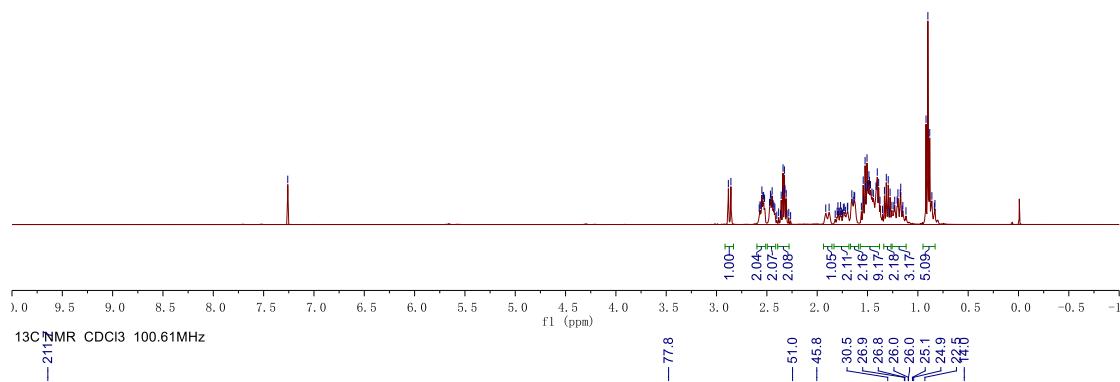
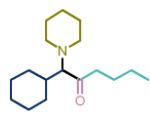
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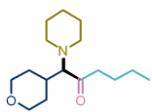
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— 77.8

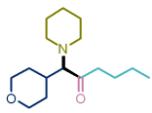
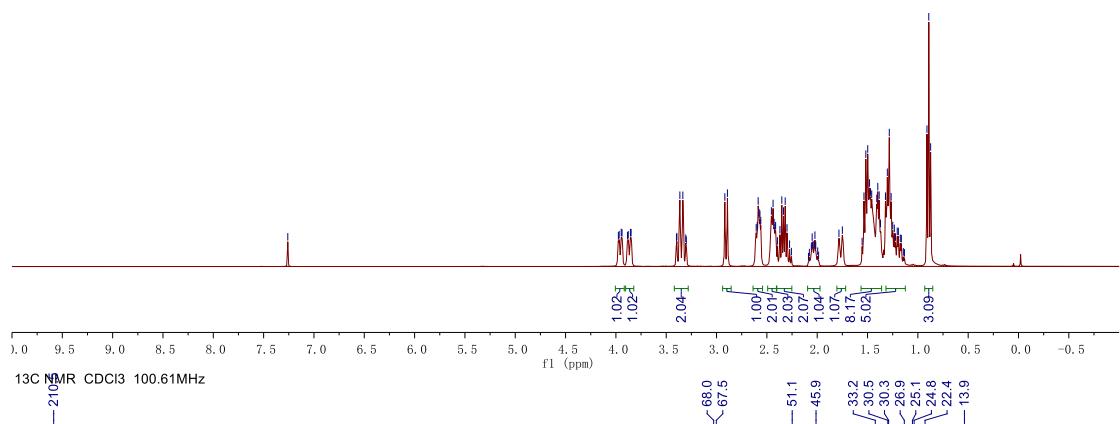


7.29	1H	1.26
7.22	1H	0.99
7.18	1H	2.25
7.15	1H	2.24
7.14	1H	2.24
7.13	1H	2.46
7.12	1H	2.45
7.11	1H	2.44
7.10	1H	2.43
7.09	1H	2.42
7.08	1H	2.36
7.07	1H	2.34
7.06	1H	2.34
7.05	1H	2.33
7.04	1H	2.32
7.03	1H	2.31
7.02	1H	1.91
7.01	1H	1.88
7.00	1H	1.79
6.99	1H	1.77
6.98	1H	1.77
6.97	1H	1.74
6.96	1H	1.73
6.95	1H	1.70
6.94	1H	1.70
6.93	1H	1.66
6.92	1H	1.64
6.91	1H	1.63
6.90	1H	1.63
6.89	1H	1.56
6.88	1H	1.54
6.87	1H	1.54
6.86	1H	1.52
6.85	1H	1.52
6.84	1H	1.51
6.83	1H	1.50
6.82	1H	1.50
6.81	1H	1.49
6.80	1H	1.48
6.79	1H	1.47
6.78	1H	1.46
6.77	1H	1.44
6.76	1H	1.42
6.75	1H	1.40
6.74	1H	1.39
6.73	1H	1.38
6.72	1H	1.33
6.71	1H	1.31
6.70	1H	1.29
6.69	1H	1.28
6.68	1H	1.26
6.67	1H	1.24
6.66	1H	1.23
6.65	1H	1.21
6.64	1H	1.20
6.63	1H	1.17
6.62	1H	1.15
6.61	1H	0.92
6.60	1H	0.90
6.59	1H	0.88
6.58	1H	0.86
6.57	1H	0.84
6.56	1H	0.83

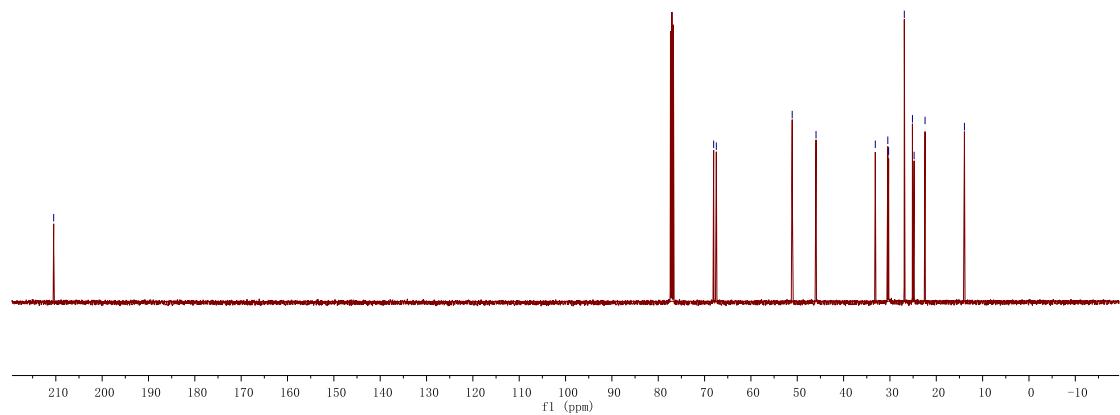




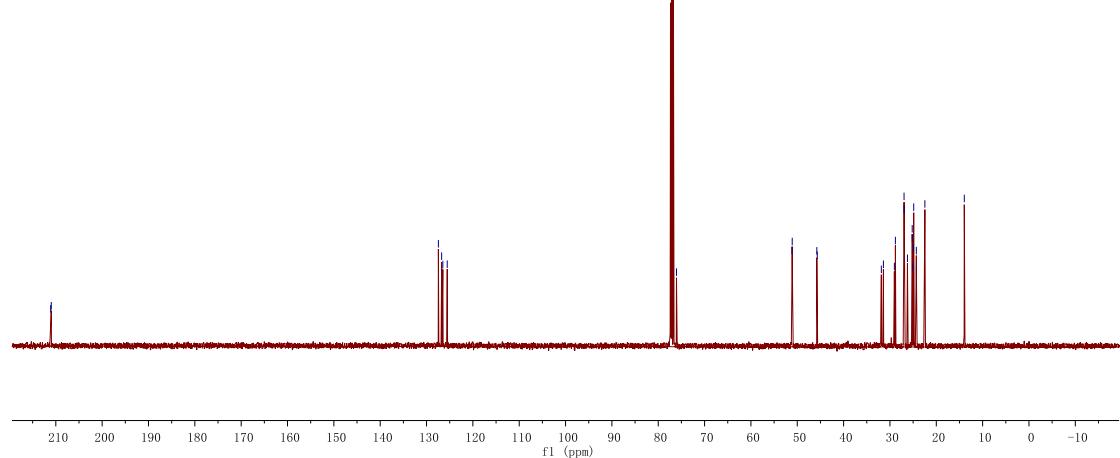
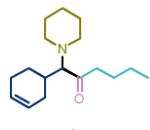
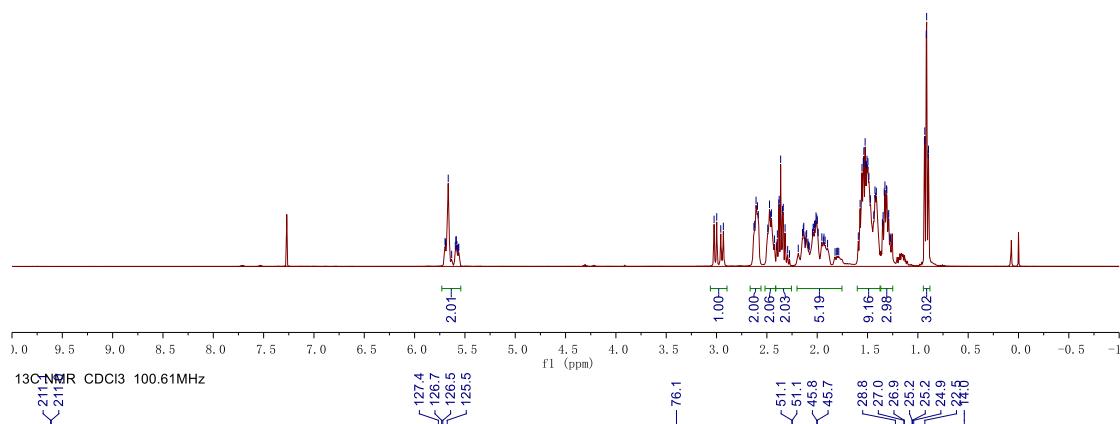
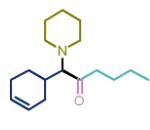
6l



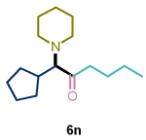
6l



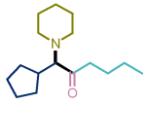
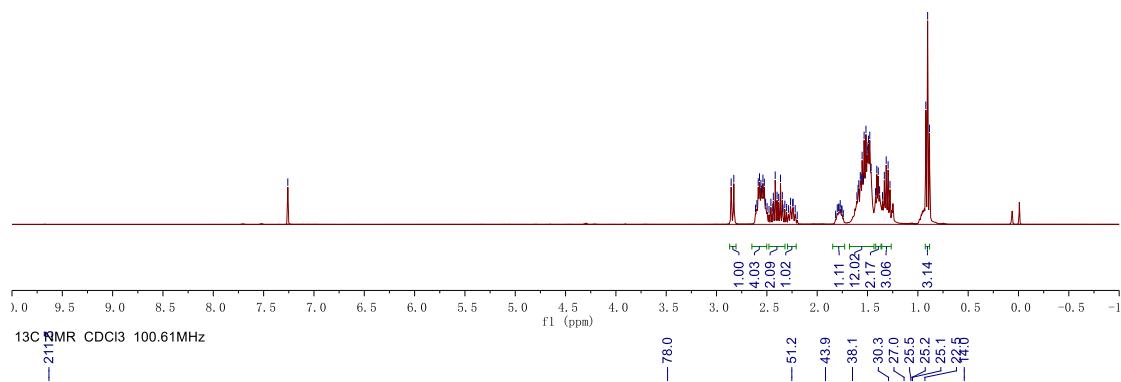
1	H-NMR	CDCl <sub>3</sub>	100.61MHz
2	δ ppm		
3	1.26	0.99	2.47
4	2.25	2.15	2.45
5	2.26	2.03	2.43
6	2.26	2.03	2.40
7	2.26	2.03	2.38
8	2.26	2.03	2.36
9	2.26	2.03	2.34
10	2.26	2.03	2.34
11	2.26	2.03	2.32
12	2.26	2.03	2.15
13	2.26	2.03	2.14
14	2.26	2.03	2.13
15	2.26	2.03	2.11
16	2.26	2.03	2.10
17	2.26	2.03	2.00
18	2.26	2.03	1.99
19	2.26	2.03	1.95
20	2.26	2.03	1.93
21	2.26	2.03	1.59
22	2.26	2.03	1.57
23	2.26	2.03	1.56
24	2.26	2.03	1.54
25	2.26	2.03	1.54
26	2.26	2.03	1.52
27	2.26	2.03	1.51
28	2.26	2.03	1.51
29	2.26	2.03	1.49
30	2.26	2.03	1.47
31	2.26	2.03	1.44
32	2.26	2.03	1.43
33	2.26	2.03	1.42
34	2.26	2.03	1.41
35	2.26	2.03	1.35
36	2.26	2.03	1.33
37	2.26	2.03	1.33
38	2.26	2.03	1.31
39	2.26	2.03	1.30
40	2.26	2.03	1.29
41	2.26	2.03	1.28
42	2.26	2.03	1.27
43	2.26	2.03	0.93
44	2.26	2.03	0.93
45	2.26	2.03	0.92
46	2.26	2.03	0.91
47	2.26	2.03	0.90
48	2.26	2.03	0.89



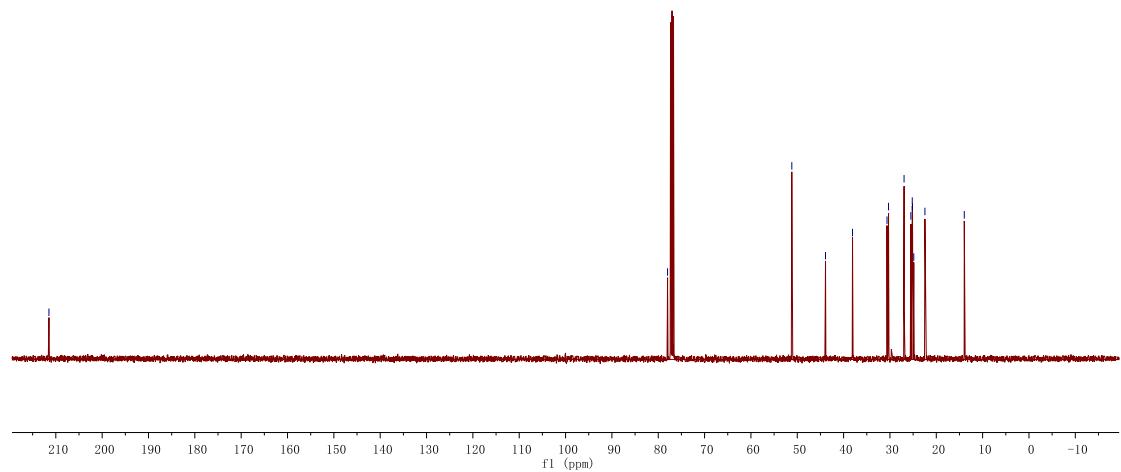
1H NMR CDCl<sub>3</sub> 400.13MHz

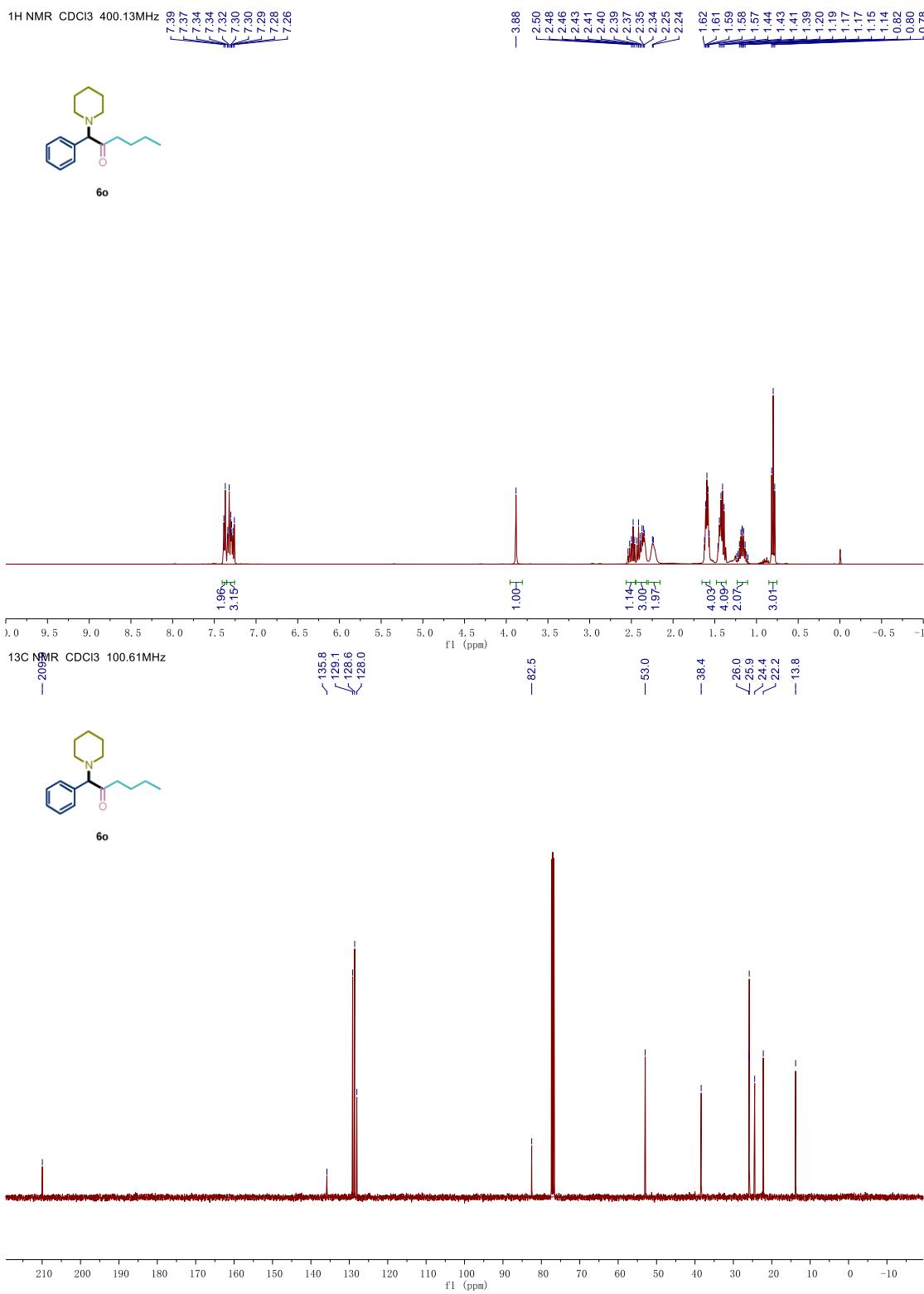


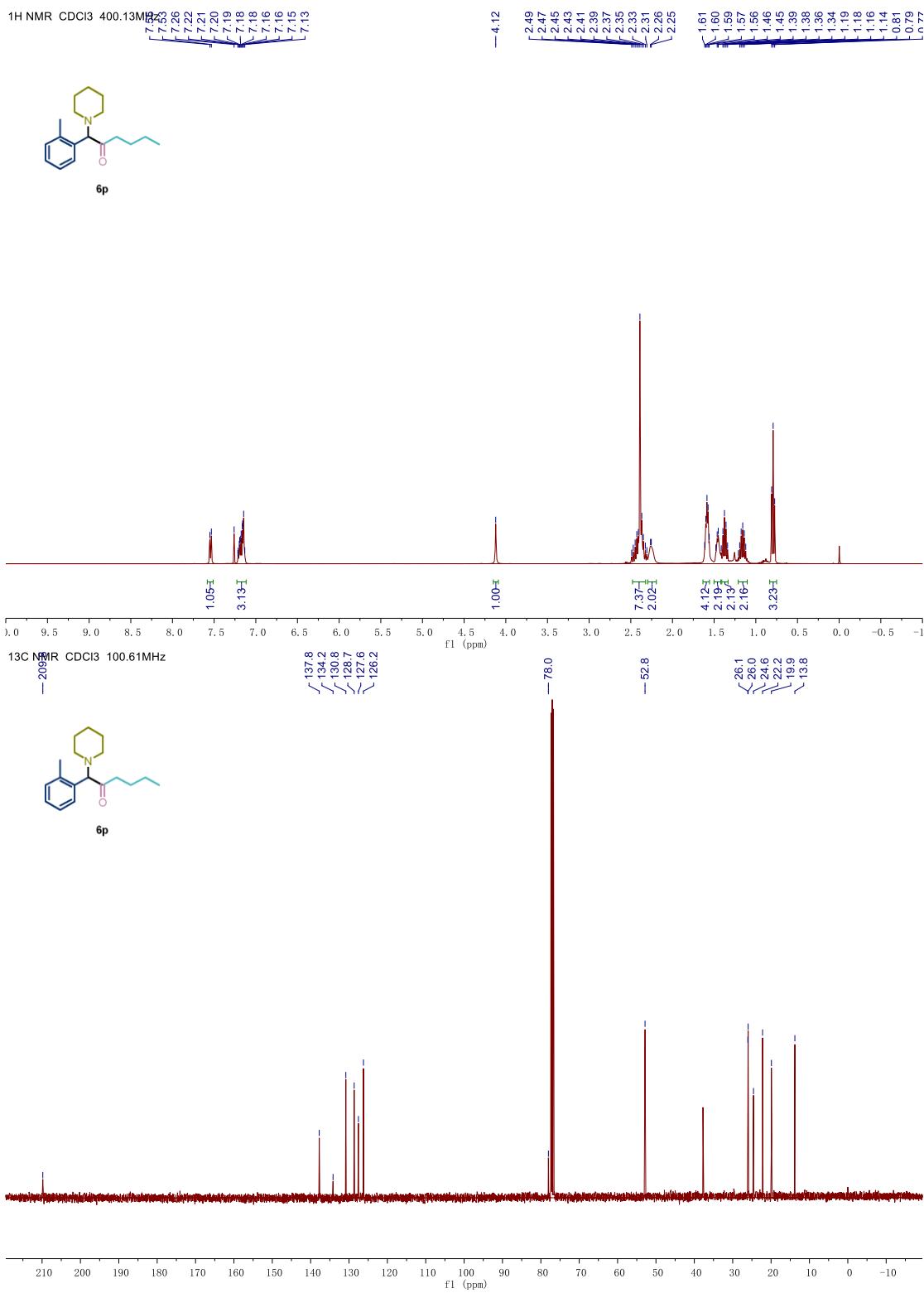
6n



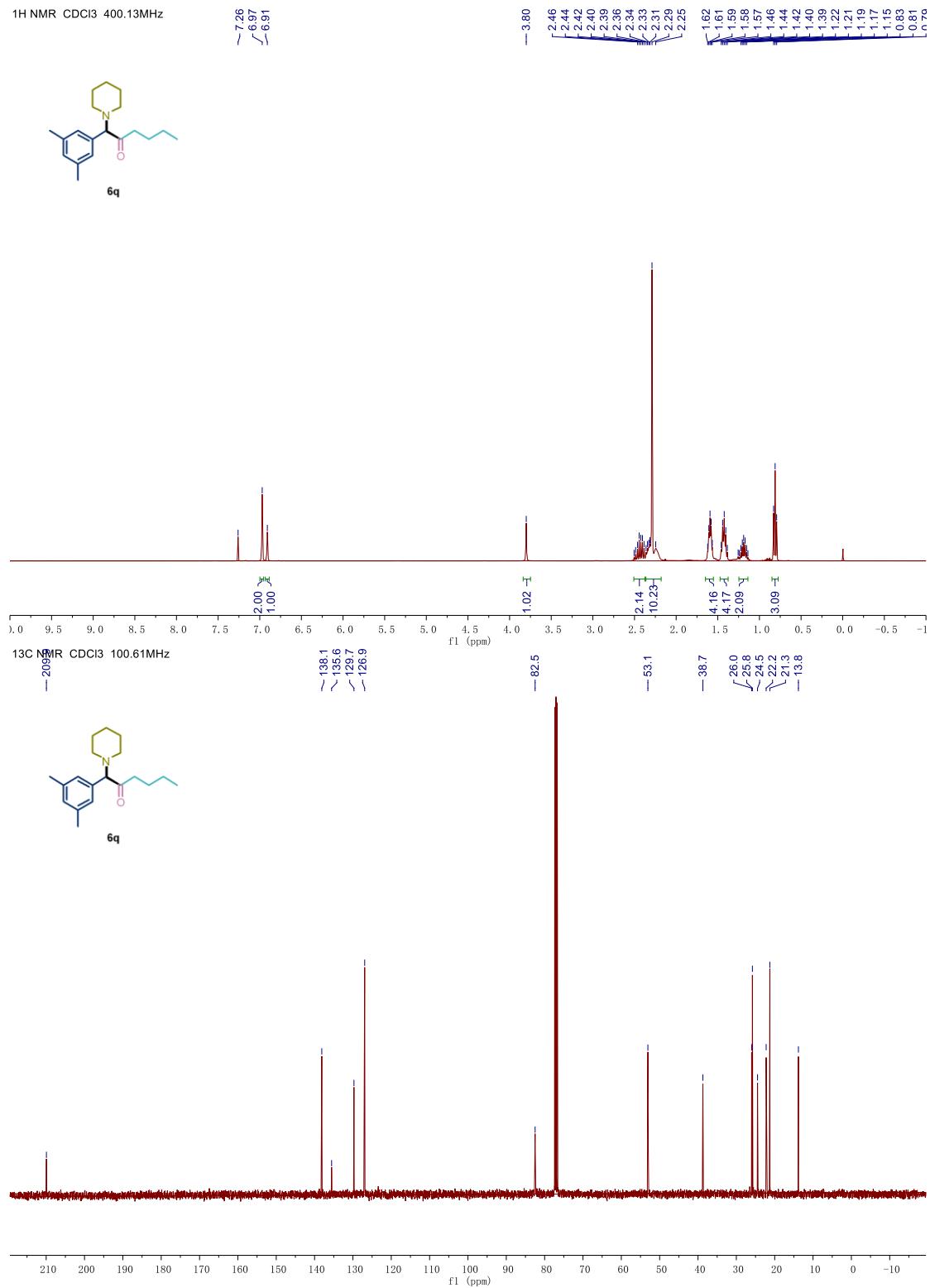
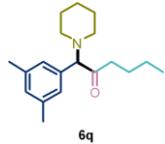
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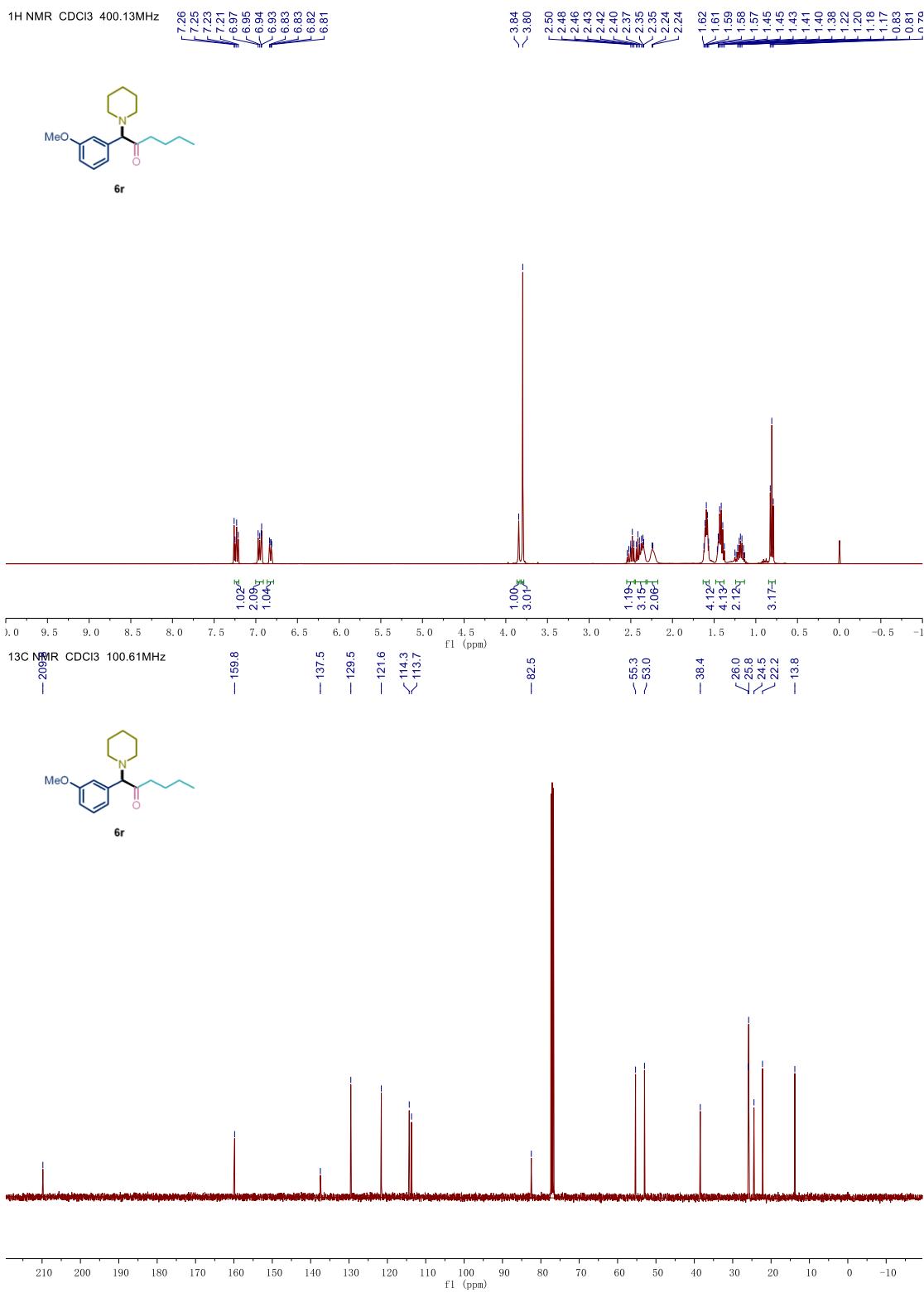


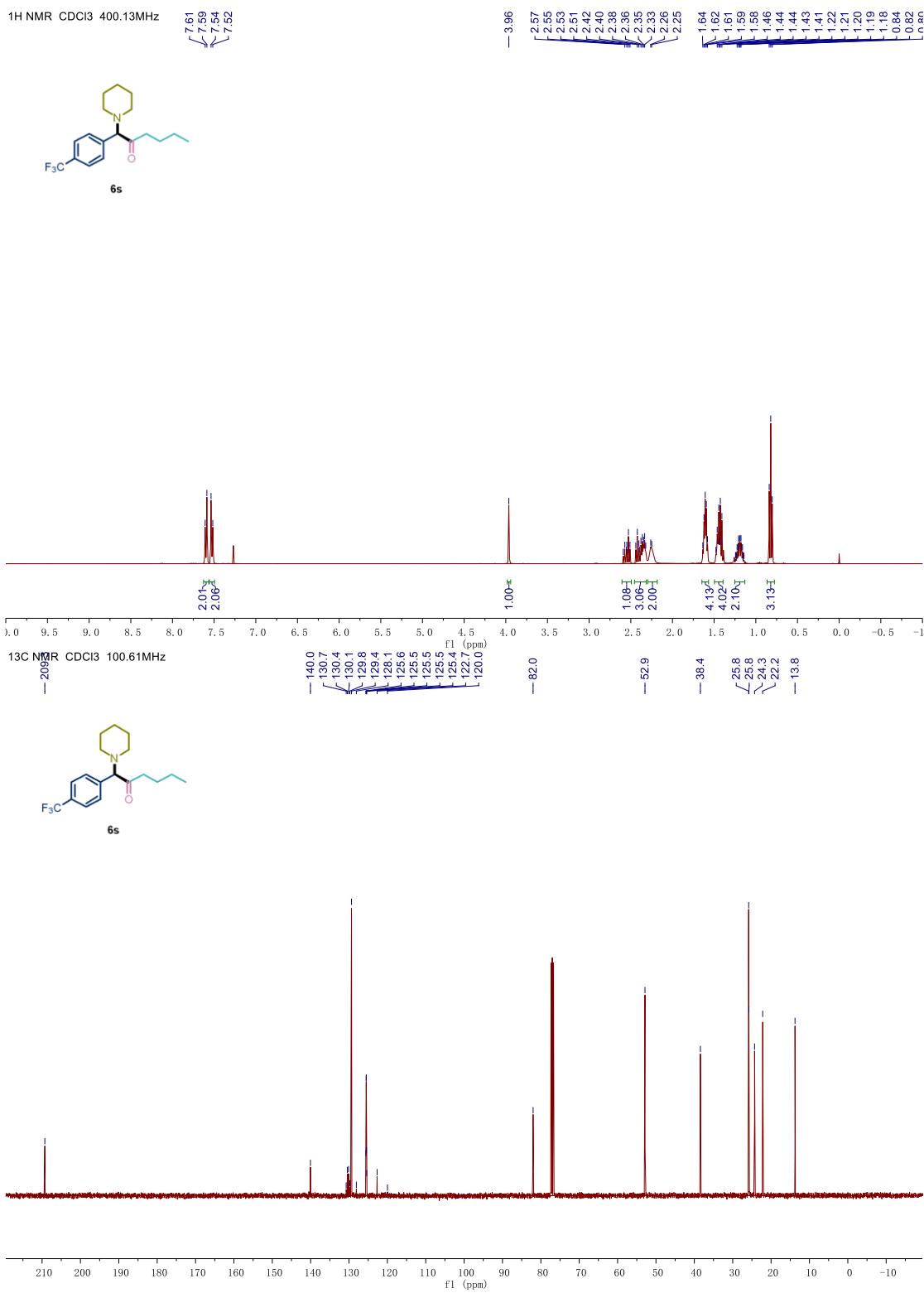




<sup>1</sup>H NMR CDCl<sub>3</sub> 400.13MHz

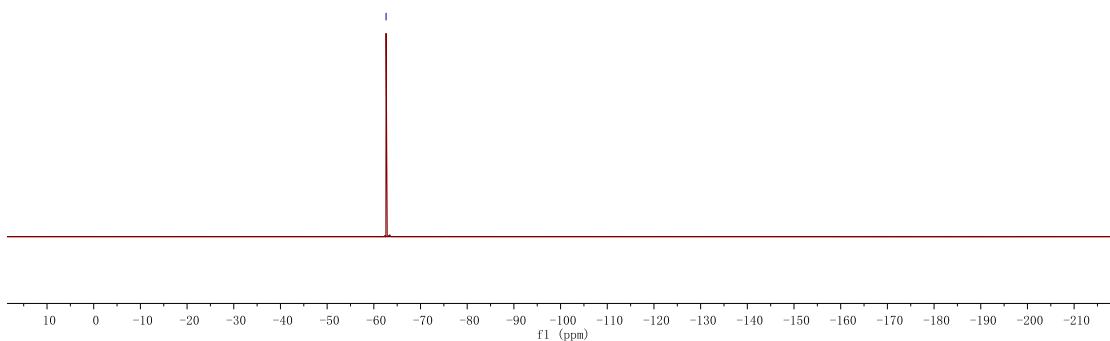
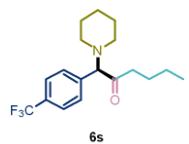




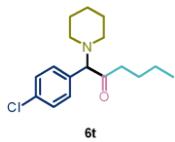


<sup>19</sup>F NMR CDCl<sub>3</sub> 376.50MHz

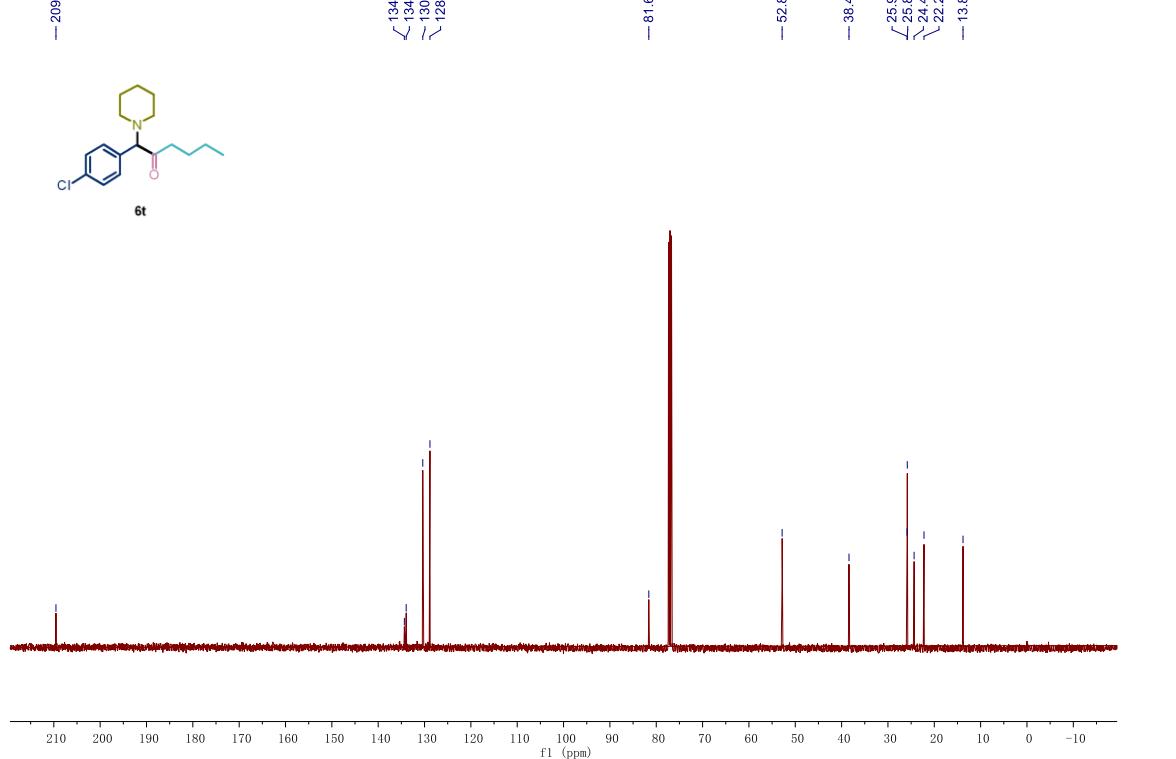
-62.6

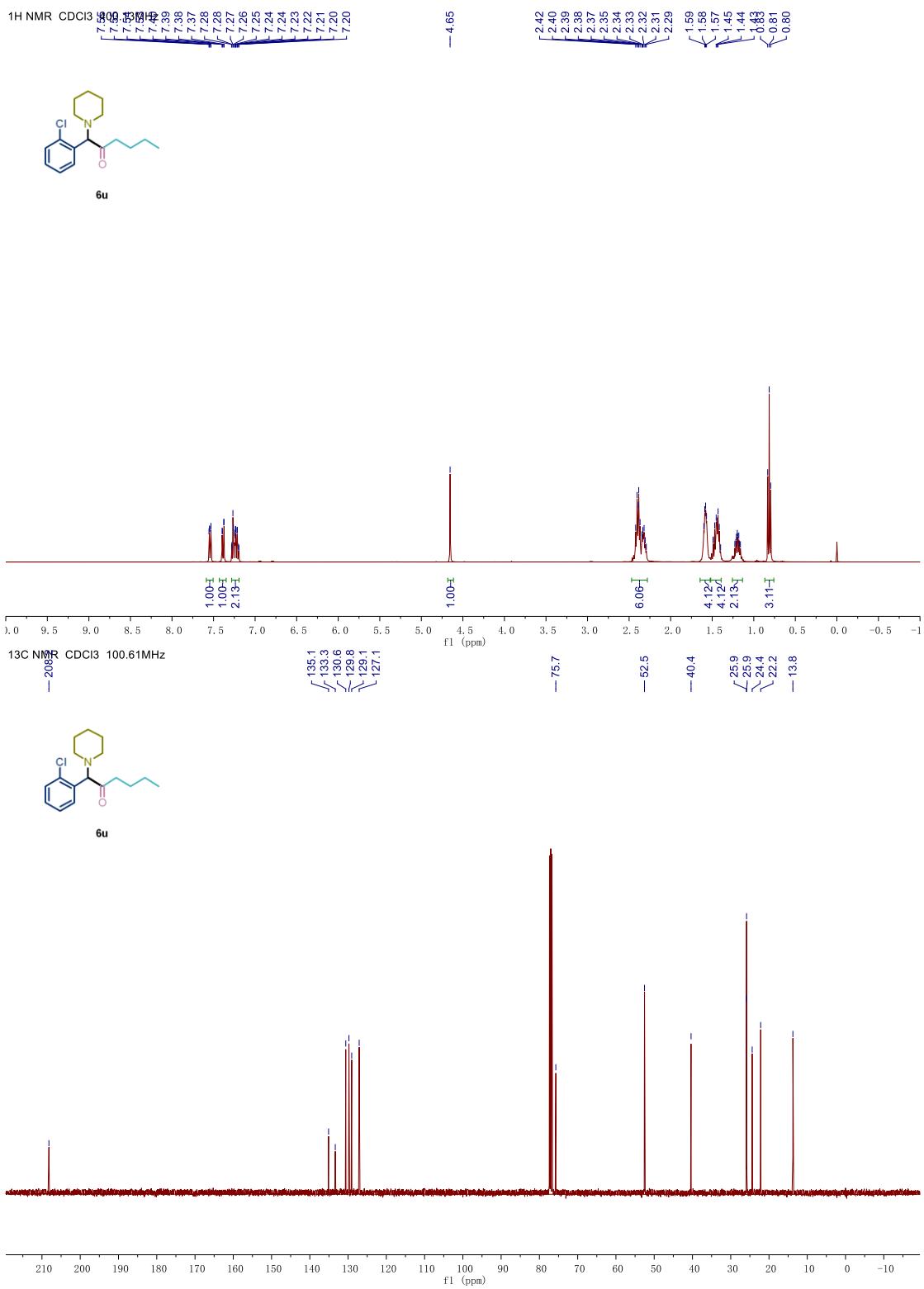


<sup>1</sup>H NMR CDCl<sub>3</sub> 400.13MHz

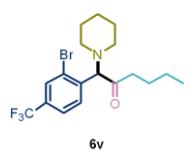


<sup>13</sup>C NMR CDCl<sub>3</sub> 100.61MHz





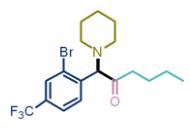
<sup>1</sup>H NMR CDCl<sub>3</sub> 400.13MHz



**6v**

7.83  
7.74  
7.58  
7.56  
7.26  
—4.66  
2.52  
2.51  
2.48  
2.46  
2.43  
2.44  
2.43  
2.33  
2.31  
2.30  
2.29  
2.27  
1.59  
1.57  
1.47  
0.85  
0.83  
0.81

<sup>13</sup>C NMR CDCl<sub>3</sub> 100.61MHz



**6v**

139.5  
131.9  
131.6  
131.3  
130.9  
130.3  
129.9  
127.1  
125.6  
124.5  
124.5  
124.4  
124.4  
121.7  
119.1

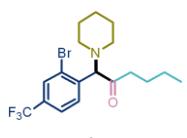
—78.0  
—41.0  
—32.5  
—25.9  
—25.7  
—24.3  
—22.2  
—13.8

210 200 190 180 170 160 150 140 130 120 110 100 90 80 70 60 50 40 30 20 10 0 -10

f1 (ppm)

<sup>19</sup>F NMR CDCl<sub>3</sub> 376.50MHz

-62.8



**6v**

