

**Synthesis of *N*-substituted phthalimides via Pd-catalyzed [4+1]  
cycloaddition reaction**

**Contents**

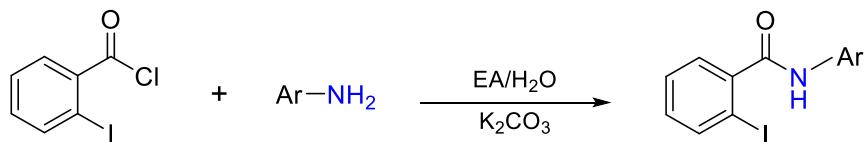
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## 1. General Information

All chemicals were purchased from Energy Chemical Reagent, Ltd, Zane Chemical Technology company, Aladdin Ltd, Crystal pure bio-tech company and so forth. Unless otherwise stated, all experiments were conducted in a seal tube under argon atmosphere. Reactions were monitored by TLC or GC-MS analysis. Flash column chromatography was performed over silica gel (200-300 mesh).

$^1\text{H}$ -NMR and  $^{13}\text{C}$ -NMR spectra were recorded in  $\text{CDCl}_3$  on Nuclear Magnetic Resonance spectrometer (400 MHz for  $^1\text{H}$  or 600 MHz for  $^1\text{H}$ , 151 MHz for  $^{13}\text{C}$ ) at room temperature. Chemical shifts were reported in ppm on the scale relative to  $\text{CDCl}_3$  ( $\delta = 7.26$  for  $^1\text{H}$  NMR,  $\delta = 77.00$  for  $^{13}\text{C}$  NMR) as an internal reference. High resolution mass spectra were recorded using ZAB-HS Bifocal high resolution mass spectrometer. Coupling constants ( $J$ ) were reported in Hertz (Hz).

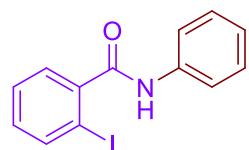
## 2. Synthetic Methods of Starting Materials



Primary amine (1.5 mmol) was added to a stirred solution of  $\text{K}_2\text{CO}_3$  (2.0 mmol) in ethyl acetate (2 mL) and  $\text{H}_2\text{O}$  (1 mL). Then, 2-iodobenzoyl chloride (1 mmol) was added dropwise at 0 °C. After that, the residue was stirred at room temperature for 4-6 h. The reaction was completed by TLC monitoring, the organic phase was separated, dried over  $\text{Na}_2\text{SO}_4$ , and concentrated under vacuum. The residue was subjected to column chromatography on  $\text{SiO}_2$  with PE-EtOAc as an eluent to give the desired product.<sup>1</sup>

## 3. Characterization Data of Some Starting Materials

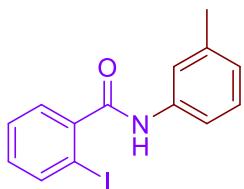
### 2-iodo-N-phenylbenzamide



$^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  7.86 (d,  $J = 8.0$  Hz, 1H), 7.73 (s, 1H), 7.61 (d,  $J = 8.0$  Hz, 2H), 7.45 (d,  $J = 7.5$  Hz, 1H), 7.38 – 7.32 (m, 3H), 7.16 (t,  $J = 7.4$  Hz, 1H), 7.10 (t,  $J = 7.7$  Hz, 1H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  167.3, 142.0, 139.9, 137.6, 131.4, 129.1,

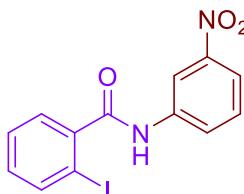
128.48, 128.3, 124.9, 120.2, 92.5.

**2-iodo-N-(3-methyphenyl)benzamide**



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.90 (d, *J* = 9.1 Hz, 1H), 7.50 (d, *J* = 7.5 Hz, 2H), 7.48 - 7.37 (m, 3H), 7.29 - 7.23 (m, 1H), 7.14 (t, *J* = 7.7 Hz, 1H), 6.99 (d, *J* = 7.5 Hz, 1H), 2.37 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.2, 142.2, 140.0, 139.1, 137.42, 131.5, 128.9, 128.5, 128.3, 125.7, 120.7, 117.1, 92.3, 21.5.

**2-iodo-N-(3-nitrophenyl)benzamide**



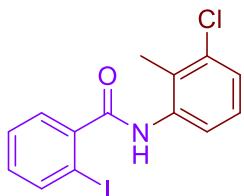
<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.47 (s, 1H), 8.10 - 8.00 (m, 2H), 7.92 (d, *J* = 8.0 Hz, 1H), 7.84 (s, 1H), 7.59 - 7.51 (m, 2H), 7.45 (t, *J* = 8.1 Hz, 1H), 7.18 (td, *J* = 7.7, 1.8 Hz, 1H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.4, 148.6, 141.2, 138.6, 131.98, 130.0, 128.5, 125.7, 119.5, 114.8, 92.2.

**2-iodo-N-(4-ethylphenyl)benzamide**



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.90 (d, *J* = 8.0 Hz, 1H), 7.54 (d, *J* = 8.5 Hz, 2H), 7.51 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.43 (dd, *J* = 13.8, 6.4 Hz, 2H), 7.21 (d, *J* = 8.4 Hz, 2H), 7.14 (td, *J* = 7.7, 1.8 Hz, 1H), 2.65 (q, *J* = 7.6 Hz, 2H), 1.24 (t, *J* = 7.6 Hz, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.1, 142.2, 141.1, 140.0, 135.1, 131.4, 128.5, 128.5, 128.3, 120.2, 92.4, 28.4, 15.7.

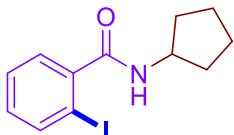
**2-iodo-N-(3-chloro-2-methylphenyl)benzamide**



<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.92 (d, *J* = 7.9 Hz, 1H), 7.82 (d, *J* = 7.9 Hz, 1H), 7.53 (d, *J* = 9.3 Hz, 1H), 7.44 (t, *J* = 7.5 Hz, 1H), 7.36 (s, 1H), 7.27 (d, *J* = 9.2 Hz, 1H), 7.22

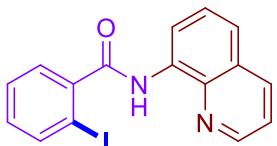
- 7.14 (m, 2H), 2.39 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  142.0, 140.1, 136.3, 135.0, 131.6, 128.8, 128.5, 128.4, 127.1, 126.9, 122.4, 92.2, 15.0.

### **N-cyclopentyl-2-iodobenzamide**



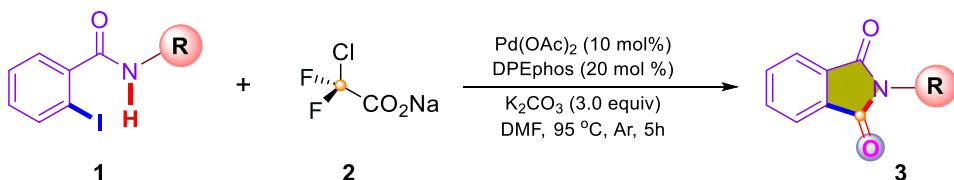
$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.82 (d,  $J = 7.9$  Hz, 1H), 7.40 - 7.32 (m, 2H), 7.10 - 7.04 (m, 1H), 5.75 (s, 1H), 4.40 (h,  $J = 7.2$  Hz, 1H), 2.06 (dd,  $J = 12.2, 6.5$  Hz, 2H), 1.74 - 1.63 (m, 4H), 1.61 - 1.54 (m, 2H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  168.9, 142.5, 139.7, 130.9, 128.3, 92.4, 51.8, 32.9, 23.8.

### **2-iodo-N-(quinolin-8-yl)benzamide**



$^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  10.14 (s, 1H), 8.94 (d,  $J = 9.0$  Hz, 1H), 8.76 (dd,  $J = 4.2, 1.7$  Hz, 1H), 8.16 (dd,  $J = 8.3, 1.7$  Hz, 1H), 7.94 (d,  $J = 8.0$  Hz, 1H), 7.63 - 7.54 (m, 3H), 7.47 - 7.42 (m, 2H), 7.15 (m,  $J = 7.7, 1.7$  Hz, 1H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  167.4, 148.3, 142.3, 140.3, 138.5, 136.4, 134.3, 131.4, 128.4, 128.3, 128.0, 127.4, 122.2, 121.7, 116.9, 92.8.

## **4. General Procedure for the Synthesis of Product 3**

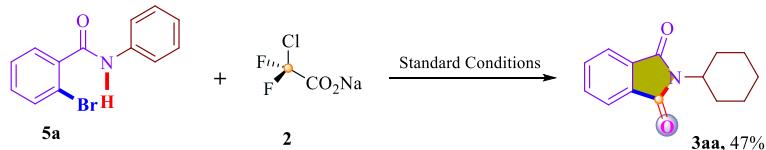


A dry sealed tube equipped with a magnetic stir bar was charged with 2-iodo-N-phenylbenzamides **1** (0.2 mmol), Sodium chlorodifluoroacetate **2** (0.4 mmol, 2 equiv),  $\text{Pd}(\text{OAc})_2$  (10 mol%), DPEphos (20 mol%),  $\text{K}_2\text{CO}_3$  (0.6 mmol, 3.0 equiv), DMF (2 mL), Ar. The mixture was heated in an oil bath at 95 °C for 5 h. Upon completion of the reaction, ethyl acetate was added to the mixture, and then washed with saturated brine. The combined water layers were extracted with ethyl acetate three times. The combined organic layers were dried over anhydrous  $\text{MgSO}_4$ . The solvent was evaporated under reduced pressure and the residue was purified by recrystallization to give the desired product **3**.

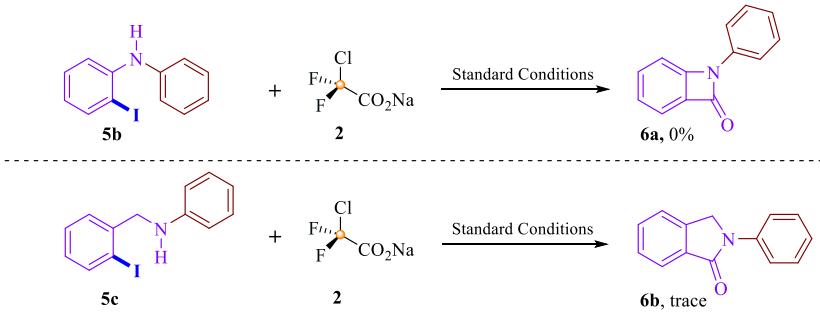
## 5. Control Experiments.

**Table S1**

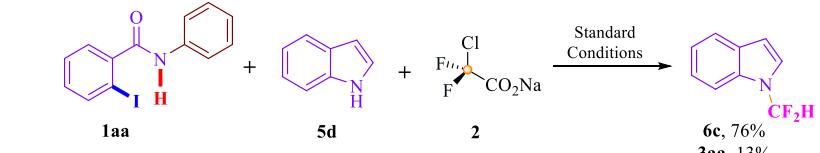
(a) Cyclization reaction of **5a** and  $\text{ClCF}_2\text{COONa}$



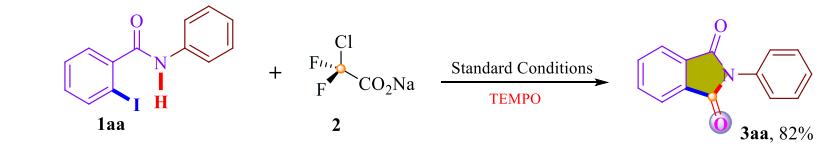
(b) Exploration the role of carbonyl group in amides



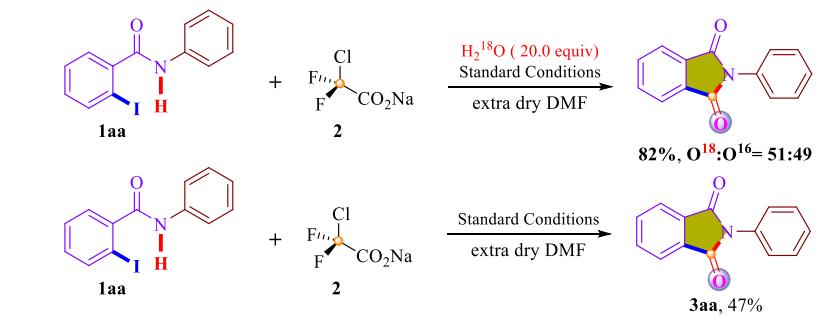
(c) Trapping of difluorocarbene in the reaction



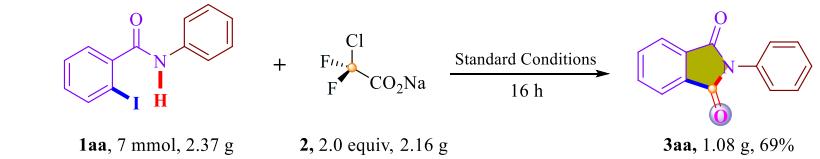
(d) Radical capture experiment



(e) Isotope labeling experiment



(f) Gram-scale synthesis

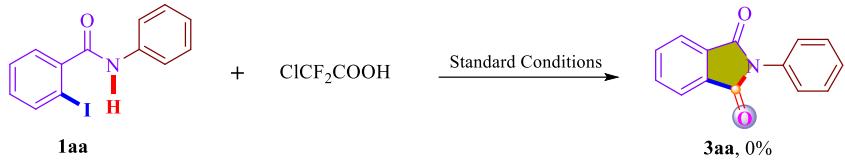


<sup>a</sup> Standard conditions: **2** (2.0 equiv),  $\text{Pd}(\text{OAc})_2$  (10 mol %), DPEphos (20 mol %),  $\text{K}_2\text{CO}_3$  (0.6 mmol, 3.0 equiv), DMF (2 mL), 95 °C (oil bath), Ar, 5 h. <sup>b</sup> Isolated yields.

**Table S2**

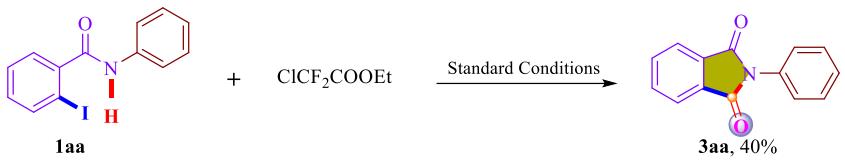
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(a) ClCF<sub>2</sub>COOH instead of ClCF<sub>2</sub>COONa



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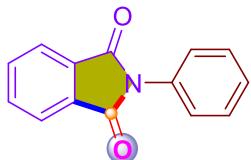
(b) ClCF<sub>2</sub>COOEt instead of ClCF<sub>2</sub>COONa



<sup>a</sup> Standard conditions: **1aa** (0.2 mmol) **2**, Pd(OAc)<sub>2</sub> (10 mol %), DPEphos (20 mol %), K<sub>2</sub>CO<sub>3</sub> (0.6 mmol, 3.0 equiv), DMF (2 mL), 95 °C (oil bath), Ar, 5 h. <sup>b</sup> Isolated yields.

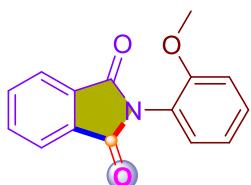
## 6. Characterization Data of Product 3

### *N*-Phenylphthalimide (**3aa**):



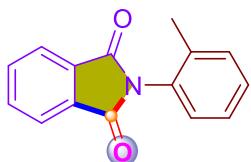
The reaction was performed following the general procedure to give **3aa** (37.5 mg, 84% yield). White solid. M.p. 197.2-198.4 °C. (204-205 °C.)<sup>4</sup>. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.95 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.79 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.51 (t, *J* = 7.7 Hz, 2H), 7.45 (s, 1H), 7.44 - 7.37 (m, 2H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.3, 134.4, 131.8, 131.7, 129.1, 128.1, 126.6, 123.7.

### *N*-(2-Methoxyphenyl)phthalimide (**3ab**):



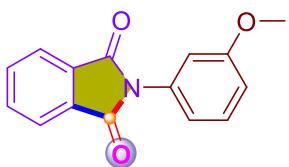
The reaction was performed following the general procedure to give **3ab** (45.0 mg, 89% yield). White solid. M.p. 130.0-131.4 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.95 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.82 - 7.76 (m, 2H), 7.41 (t, *J* = 8.1 Hz, 1H), 7.03 (d, *J* = 8.9 Hz, 1H), 6.97 (d, *J* = 13.4 Hz, 2H), 3.83 (d, *J* = 1.1 Hz, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.2, 160.0, 134.4, 132.7, 131.7, 129.8, 123.7, 118.9, 114.1, 112.3, 55.4.

### *N*-(2-Methylphenyl)phthalimide (**3ac**):



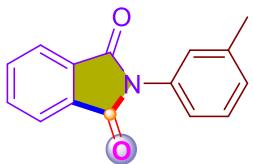
The reaction was performed following the general procedure to give **3ac** (40.8 mg, 86% yield). White solid. M.p. 180.5-181.4 °C. (176.2-178.1 °C.)<sup>4</sup>. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.96 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.79 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.37 (s, 2H), 7.36 - 7.31 (m, 1H), 7.21 (d, *J* = 7.6 Hz, 1H), 2.22 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.3, 136.5, 134.3, 132.0, 131.1, 130.6, 129.4, 128.7, 126.9, 123.8, 18.0.

### *N*-(3-Methoxyphenyl)phthalimide (**3ad**):<sup>2</sup>



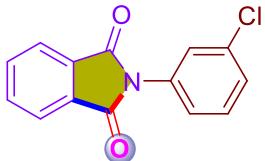
The reaction was performed following the general procedure to give **3ad** (46.1 mg, 91% yield). White solid. M.p. 142.6-144.1 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.95 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.79 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.41 (t, *J* = 8.1 Hz, 1H), 7.03 (d, *J* = 7.9 Hz, 1H), 7.00 - 6.93 (m, 2H), 3.83 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.2, 160.0, 134.4, 132.7, 131.7, 129.8, 123.7, 118.9, 114.1, 112.3, 55.4.

#### *N*-(3-Methyphenyl)phthalimide (**3ae**):<sup>3</sup>



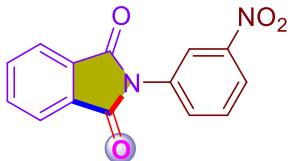
The reaction was performed following the general procedure to give **3ae** (41.7 mg, 88% yield). White solid. M.p. 176.8-178.3 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.94 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.78 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.39 (t, *J* = 7.3 Hz, 1H), 7.22 (d, *J* = 9.8 Hz, 3H), 2.42 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.4, 139.1, 134.3, 131.8, 131.5, 129.0, 128.9, 127.3, 123.8, 123.7, 21.4.

#### *N*-(3-Chlorophenyl)phthalimide (**3af**):



The reaction was performed following the general procedure to give **3af** (34.4 mg, 67% yield). White solid. M.p. 168.7-169.9 °C. (164.6-165.7 °C.)<sup>4</sup>. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.95 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.80 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.49 (s, 1H), 7.43 (dd, *J* = 9.2, 6.5 Hz, 1H), 7.37 (dd, *J* = 6.8, 2.0 Hz, 2H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 166.8, 134.6, 132.8, 131.5, 130.0, 128.2, 126.6, 124.6, 123.9.

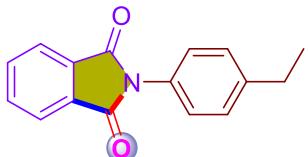
#### *N*-(3-Nitrophenyl)phthalimide (**3ag**):



The reaction was performed following the general procedure to give **3ag** (24.1 mg, 45%

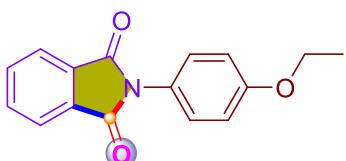
yield). Yellow solid. M.p. 232.1-233.4 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.43 (s, 1H), 8.27 (d,  $J = 8.2$  Hz, 1H), 8.00 (dd,  $J = 5.4, 3.1$  Hz, 2H), 7.85 (dd,  $J = 5.5, 3.0$  Hz, 2H), 7.75 - 7.72 (m, 1H), 7.69 (d,  $J = 7.0$  Hz, 1H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  166.5, 134.9, 132.9, 131.9, 131.4, 129.9, 129.0, 124.1, 122.5, 121.4.

***N*-(4-Ethylphenyl)phthalimide (**3ah**):<sup>2</sup>**



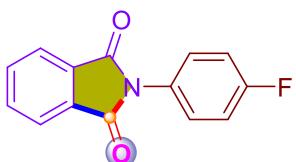
The reaction was performed following the general procedure to give **3ah** (43.7 mg, 87% yield). White solid. M.p. 169.9-170.8 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.94 (dd,  $J = 5.4, 3.1$  Hz, 2H), 7.77 (dd,  $J = 5.5, 3.0$  Hz, 2H), 7.34 (s, 4H), 2.71 (q,  $J = 7.6$  Hz, 2H), 1.27 (t,  $J = 7.6$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  167.4, 144.3, 134.3, 131.8, 129.2, 128.6, 126.5, 123.7, 28.6, 15.9.

***N*-(4-Ethoxyphenyl) phthalimide (**3ai**):<sup>2</sup>**



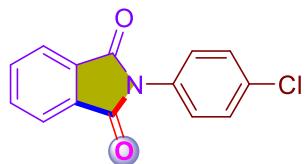
The reaction was performed following the general procedure to give **3ai** (49.7 mg, 93% yield). White solid. M.p. 203.1-204.5 °C.  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  7.93 (dd,  $J = 5.4, 3.0$  Hz, 2H), 7.77 (dd,  $J = 5.5, 3.0$  Hz, 2H), 7.33 - 7.29 (m, 2H), 7.01 - 6.98 (m, 2H), 4.07 (q,  $J = 7.0$  Hz, 2H), 1.43 (t,  $J = 7.0$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  167.6, 158.6, 134.3, 131.8, 127.9, 124.1, 123.6, 114.9, 63.7, 14.8.

***N*-(4-Fluorophenyl)phthalimide (**3aj**):**



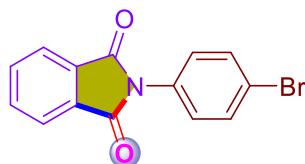
The reaction was performed following the general procedure to give **3aj** (36.2 mg, 75% yield). White solid. M.p. 190.2-192.1 °C. (184.0-184.9 °C.)<sup>4</sup>.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.95 (dd,  $J = 5.5, 3.0$  Hz, 2H), 7.79 (dd,  $J = 5.5, 3.1$  Hz, 2H), 7.42 (dd,  $J = 8.9, 4.8$  Hz, 2H), 7.19 (t,  $J = 8.6$  Hz, 2H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  167.2, 161.9 (d,  $J_{C-F} = 249.15$  Hz), 134.5, 131.6, 128.4 (d,  $J_{C-F} = 9.06$  Hz), 127.6 (d,  $J_{C-F} = 3.02$  Hz), 123.8, 116.1 (d,  $J_{C-F} = 22.65$  Hz).  $^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -113.1.

**N-(4-Chlorophenyl)phthalimide (3ak):**



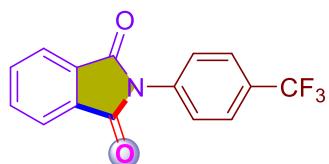
The reaction was performed following the general procedure to give **3ak** (37.0 mg, 72% yield). White solid. M.p. 187.7-189.2 °C. (193-194 °C.)<sup>4</sup>. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.94 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.79 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.48 - 7.44 (m, 2H), 7.42 -7.39 (m, 2H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 166.9, 134.5, 133.8, 131.6, 130.2, 129.3, 127.7, 123.8.

**N-(4-Bromophenyl)phthalimide (3al):**



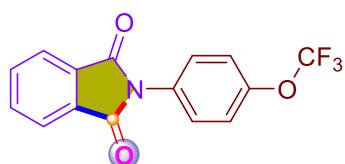
The reaction was performed following the general procedure to give **3al** (32.5 mg, 54% yield). White solid. M.p. 204.1-205.8 °C. (206.7-208.8 °C.)<sup>4</sup>. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.96 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.80 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.63 (d, *J* = 8.7 Hz, 2H), 7.36 (d, *J* = 8.7 Hz, 2H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 166.9, 134.6, 132.3, 131.6, 130.7, 127.9, 123.9, 121.8.

**N-(4- (Trifluoromethyl)phenyl) phthalimide (3am):<sup>3</sup>**



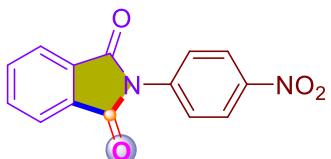
The reaction was performed following the general procedure to give **3am** (27.4 mg, 47% yield). Yellow solid. M.p. 242.2-244.1 °C. <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 7.98 (dd, *J* = 5.4, 2.7 Hz, 2H), 7.82 (dd, *J* = 5.5, 2.7 Hz, 2H), 7.77 (d, *J* = 8.2 Hz, 2H), 7.64 (d, *J* = 8.2 Hz, 2H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 166.7, 134.7, 131.5, 126.4, 126.2 (q, *J*<sub>C-F</sub> = 3.92 Hz), 124.0. <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ -62.6.

**N-(4- (Trifluoromethoxy)phenyl)phthalimide (3an):<sup>3</sup>**



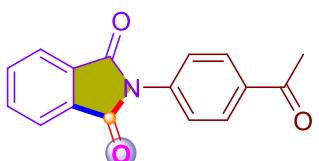
The reaction was performed following the general procedure to give **3an** (34.4 mg, 56% yield). White solid. M.p. 197.7-198.6 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.96 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.81 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.52 (d, *J* = 8.9 Hz, 2H), 7.36 (d, *J* = 8.0 Hz, 2H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.0, 148.3, 134.6, 131.6, 130.2, 127.8, 123.9, 121.6, 120.4 (d, *J*<sub>C-F</sub> = 256.87 Hz). <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ -57.9.

**N-( 4- Nitrophenyl)phenyl)phthalimide (3ao):**



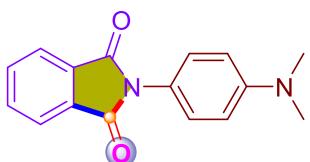
The reaction was performed following the general procedure to give **3ao** (28.3 mg, 53% yield). Yellow solid. M.p. 263.2-265.2 °C. (>250 °C.)<sup>4</sup>. <sup>1</sup>H NMR (600 MHz, CDCl<sub>3</sub>) δ 8.38 - 8.36 (m, 2H), 8.00 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.85 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.78 - 7.76 (m, 2H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 166.4, 135.0, 131.3, 126.3, 124.4, 124.2.

**N-( 4-Acetylphenyl)phthalimide (3ap):**



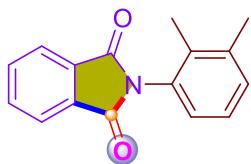
The reaction was performed following the general procedure to give **3ap** (27.0 mg, 51% yield). White solid. M.p. 241.1-242.6 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.10 (d, *J* = 8.6 Hz, 2H), 7.98 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.82 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.63 (d, *J* = 8.6 Hz, 2H), 2.64 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 197.1, 166.8, 136.0, 136.0, 134.7, 131.6, 129.1, 126.1, 124.0, 26.7.

**N-( 4- (Dimethylamino)phenyl) phthalimide (3aq):**



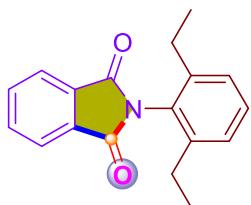
The reaction was performed following the general procedure to give **3aq** (36.2 mg, 68% yield). Yellow solid. M.p. 237.6-238.9 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.93 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.76 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.26 - 7.22 (m, 2H), 6.80 (d, *J* = 9.0 Hz, 2H), 3.00 (s, 6H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.9, 150.3, 134.1, 132.0, 127.5, 123.5, 120.1, 112.5, 40.5.

**N-( 2,3- Dimethylphenyl)phthalimide (3ar):**



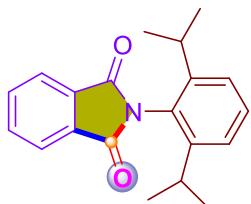
The reaction was performed following the general procedure to give **3ar** (47.2 mg, 94% yield). White solid. M.p. 160.4-161.6 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.96 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.79 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.29 - 7.20 (m, 2H), 7.06 (d, *J* = 7.6 Hz, 1H), 2.36 (s, 3H), 2.09 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.5, 138.4, 135.1, 134.3, 132.1, 131.0, 130.5, 126.3, 123.7, 20.4, 14.6.

**N-(2,6-Diethylphenyl)phthalimide (3as):**



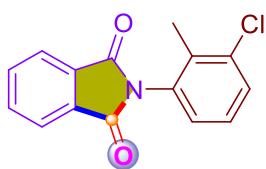
The reaction was performed following the general procedure to give **3as** (45.8 mg, 82% yield). White solid. M.p. 176.8-178.1 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.97 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.81 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.40 (t, *J* = 7.7 Hz, 1H), 7.25 (d, *J* = 8.3 Hz, 2H), 2.47 (q, *J* = 7.6 Hz, 4H), 1.15 (d, *J* = 7.6 Hz, 6H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 168.0, 142.6, 134.3, 131.9, 129.9, 128.5, 126.6, 123.8, 24.6, 14.4.

**N-(2,6-Diisopropylphenyl)phthalimide (3at):<sup>3</sup>**



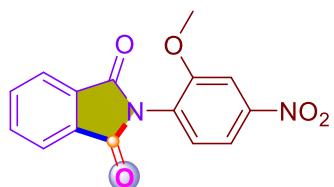
The reaction was performed following the general procedure to give **3at** (26.4 mg, 43% yield). White solid. M.p. 168.3-169.5 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.98 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.82 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.47 (t, *J* = 7.8 Hz, 1H), 7.30 (d, *J* = 7.7 Hz, 2H), 2.72 (p, *J* = 6.8 Hz, 2H), 1.17 (d, *J* = 6.8 Hz, 12H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 168.2, 147.3, 134.3, 131.9, 130.2, 126.9, 124.0, 29.3, 24.0.

**N-(3-Chloro-2-methylphenyl)phthalimide (3au):**



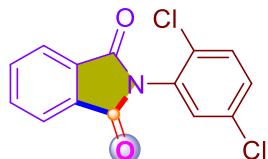
The reaction was performed following the general procedure to give **3au** (34.2 mg, 63% yield). White solid. M.p. 212.9-214.8 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.96 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.81 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.48 (d, *J* = 8.0 Hz, 1H), 7.27 (t, *J* = 8.0 Hz, 1H), 7.14 (d, *J* = 9.3 Hz, 1H), 2.23 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.0, 135.8, 135.3, 134.5, 131.9, 131.8, 130.3, 127.4, 127.2, 123.9, 15.7.

**N-(2-Methoxy-4-nitrophenyl)phthalimide (3av):**



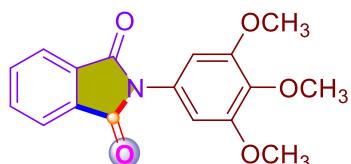
The reaction was performed following the general procedure to give **3av** (34.6 mg, 58% yield). Yellow solid. M.p. 173.6-175.1 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.97 (d, *J* = 3.6 Hz, 1H), 7.96 - 7.93 (m, 2H), 7.90 (d, *J* = 2.4 Hz, 1H), 7.81 (dd, *J* = 5.5, 3.1 Hz, 2H), 7.45 (d, *J* = 8.5 Hz, 1H), 3.91 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 166.3, 155.9, 149.1, 134.5, 132.0, 130.5, 126.5, 124.0, 115.9, 56.5.

**N-(2,5-Dichlorophenyl)phthalimide (3aw):**



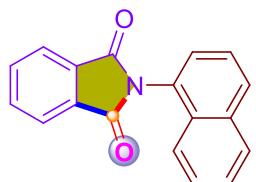
The reaction was performed following the general procedure to give **3aw** (25.6 mg, 44% yield). White solid. M.p. 206.5-208.2 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.98 (dd, *J* = 5.4, 3.1 Hz, 2H), 7.82 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.50 (d, *J* = 8.7 Hz, 1H), 7.41 (dd, *J* = 8.6, 2.4 Hz, 1H), 7.37 (d, *J* = 2.4 Hz, 1H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 166.2, 134.7, 133.2, 131.8, 131.7, 131.2, 130.8, 130.8, 130.6, 124.1.

**N-(3,4,5-Trimethoxyphenyl)phthalimide (3ax):**



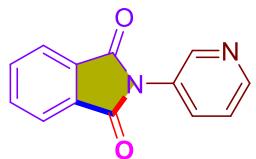
The reaction was performed following the general procedure to give **3ax** (60.1 mg, 86% yield). White solid. M.p. 202.4-204.0 °C. (204-206 °C.)<sup>5</sup>. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.96 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.80 (dd, *J* = 5.5, 3.0 Hz, 2H), 6.64 (s, 2H), 3.88 (d, *J* = 4.5 Hz, 9H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.4, 153.5, 137.9, 134.5, 131.7, 127.1, 123.7, 104.4, 60.9, 56.2.

**N-(Naphthalen-1-yl)phthalimide (3az):**



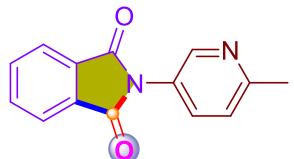
The reaction was performed following the general procedure to give **3az** (38.8 mg, 71% yield). White solid. M.p. 176.5-178.1 °C. (184.6-186.7 °C)<sup>4</sup>. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.03 - 8.00 (m, 2H), 8.00 - 7.92 (m, 2H), 7.83 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.62 (q, *J* = 7.8 Hz, 2H), 7.57 - 7.46 (m, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.8, 134.5, 134.5, 132.0, 130.3, 129.9, 128.6, 128.2, 127.1, 127.0, 126.6, 125.4, 123.9, 122.5.

**N-(Pyridin-3-yl)phthalimide (3ba):<sup>3</sup>**



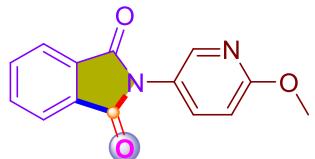
The reaction was performed following the general procedure to give **3ba** (< 10% yield). White solid. M.p. 172.5-174.3 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.83 (s, 1H), 8.65 (s, 1H), 8.00 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.91 (d, *J* = 8.1 Hz, 1H), 7.84 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.53 - 7.48 (m, 1H).

**N-(6-Methylpyridin-3-yl)phthalimide (3bb):**



The reaction was performed following the general procedure to give **3bb** (21.4 mg, 45% yield). White solid. M.p. 235.0-236.7 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.63 (d, *J* = 2.5 Hz, 1H), 7.97 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.84 - 7.80 (m, 2H), 7.69 (dd, *J* = 8.3, 2.6 Hz, 1H), 7.31 (d, *J* = 8.3 Hz, 1H), 2.63 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 166.9, 158.0, 146.6, 134.6, 134.0, 131.6, 126.1, 123.9, 123.3, 24.2.

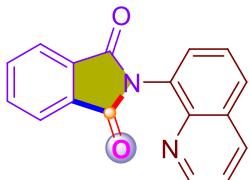
**N-(6-Methoxypyridin-3-yl)phthalimide (3bc):**



The reaction was performed following the general procedure to give **3bc** (26.4 mg, 52%

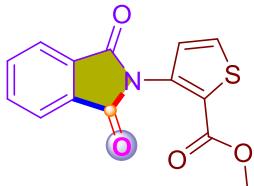
yield). White solid. M.p. 228.7-230.5 °C.  $^1\text{H}$  NMR (600 MHz,  $\text{CDCl}_3$ )  $\delta$  8.26 (d,  $J = 3.4$  Hz, 1H), 7.95 (dd,  $J = 5.4, 3.0$  Hz, 2H), 7.79 (dd,  $J = 5.5, 3.1$  Hz, 2H), 7.63 (dd,  $J = 8.8, 2.7$  Hz, 1H), 6.87 (d,  $J = 8.1$  Hz, 1H), 3.97 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  167.2, 163.3, 144.8, 136.8, 134.5, 131.7, 123.8, 122.2, 111.2, 53.8.

**N-(Quinolin-8-yl)phthalimide (3bd):**



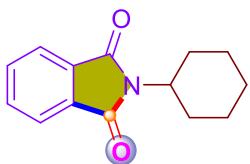
The reaction was performed following the general procedure to give **3bd** (29.6 mg, 54% yield). White solid. M.p. 183.2-185.1 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.27 - 7.25 (m, 4H), 7.21 (dd,  $J = 7.3, 1.9$  Hz, 3H), 6.95 (t,  $J = 7.4$  Hz, 1H), 6.82 - 6.77 (m, 1H), 6.68 (m,  $J = 8.3, 4.2$  Hz, 1H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  159.3, 159.2, 136.6, 136.5, 134.0, 133.8, 130.1, 128.9, 128.4, 128.3, 128.2, 123.5, 118.0.

**Methyl 3-(1,3-dioxoisindolin-2-yl)thiophene-2-carboxylate (3be)**



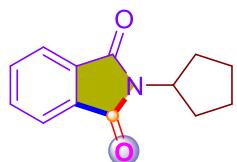
The reaction was performed following the general procedure to give **3be** (21.8 mg, 38% yield). White solid. M.p. 167.9-168.9 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.98 - 7.92 (m, 2H), 7.81 - 7.75 (m, 2H), 7.62 (d,  $J = 5.3$  Hz, 1H), 7.14 (d,  $J = 5.2$  Hz, 1H), 3.77 (s, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  166.5, 160.8, 134.4, 133.6, 132.1, 130.3, 128.1, 127.2, 123.9, 52.2.

**N-Cyclohexylphthalimide (3bf):<sup>2</sup>**



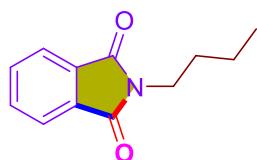
The reaction was performed following the general procedure to give **3bf** (34.3 mg, 75% yield). White solid. M.p. 171.6-172.8 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.80 (dd,  $J = 5.4, 3.1$  Hz, 2H), 7.68 (dd,  $J = 5.4, 3.1$  Hz, 2H), 4.14 - 4.05 (m, 1H), 2.25 - 2.14 (m, 2H), 1.85 (d,  $J = 12.7$  Hz, 2H), 1.71 (d,  $J = 12.4$  Hz, 2H), 1.34 (m,  $J = 26.8, 13.0$  Hz, 4H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  168.4, 133.7, 132.1, 123.0, 50.9, 29.9, 26.0, 25.1.

**N-Cyclopentylphthalimide (3bg):**



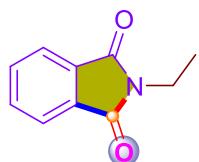
The reaction was performed following the general procedure to give **3bg** (39.7 mg, 69% yield). White solid. M.p. 138.4-140.0 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.80 (dd,  $J = 5.4, 3.1$  Hz, 2H), 7.68 (dd,  $J = 5.5, 3.1$  Hz, 2H), 4.61 (p,  $J = 8.5$  Hz, 1H), 2.12 - 2.05 (m, 2H), 1.98 - 1.90 (m, 4H), 1.67 - 1.60 (m, 2H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  167.1, 135.0, 131.2, 128.5, 126.8, 51.7, 33.3, 23.8.

**N-Butylphthalimide (3bh):<sup>6</sup>**



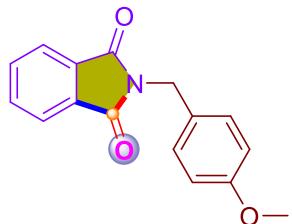
The reaction was performed following the general procedure to give **3bh** (32.5mg, 58% yield). Yellow oid.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.8 (dd,  $J = 5.5, 3.0$  Hz, 2H), 7.67 (dd,  $J = 5.4, 3.1$  Hz, 2H), 3.65 (t,  $J = 7.3$  Hz, 2H), 1.65 - 1.60 (m, 2H), 1.33 (q,  $J = 7.5$  Hz, 2H), 0.91 (t,  $J = 7.4$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  168.4, 133.8, 132.1, 123.1, 37.7, 30.6, 20.2, 13.6.

**N-Ethylphthalimide (3bi):**



The reaction was performed following the general procedure to give **3bi** (21.4 mg, 61% yield). White solid. M.p. 79.6-80.4 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.79 (dd,  $J = 5.5, 3.0$  Hz, 2H), 7.67 (dd,  $J = 5.4, 3.2$  Hz, 2H), 3.73 - 3.67 (m, 2H), 1.26 - 1.21 (m, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  168.2, 133.8, 132.2, 123.0, 32.8, 13.9.

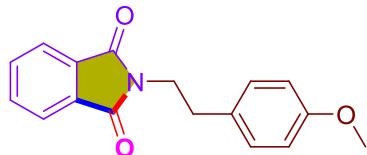
**N-(4-Methoxybenzyl)phthalimide (3bj):**



The reaction was performed following the general procedure to give **3bj** (41.1 mg, 77%

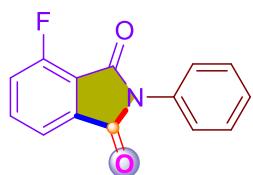
yield). White solid. M.p. 132.3-133.7 °C. (120-122 °C.)<sup>6</sup>. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.81 (dd, *J* = 5.6, 3.0 Hz, 2H), 7.67 (dd, *J* = 5.3, 3.2 Hz, 2H), 7.38 (d, *J* = 8.6 Hz, 2H), 6.83 (d, *J* = 8.6 Hz, 2H), 4.77 (s, 2H), 3.76 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 168.0, 159.2, 133.9, 132.2, 130.1, 128.7, 123.3, 114.0, 55.2, 41.0.

***N*-(4-Methoxyphenethyl)phthalimide (3bk):**



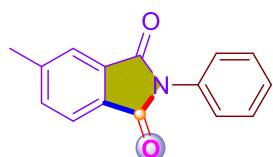
The reaction was performed following the general procedure to give **3bk** (35.4 mg, 63% yield). White solid. M.p. 137.8-139.2 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.82 (dd, *J* = 5.4, 3.0 Hz, 2H), 7.70 (dd, *J* = 5.5, 3.0 Hz, 2H), 7.16 (d, *J* = 8.5 Hz, 2H), 6.81 (d, *J* = 8.6 Hz, 2H), 3.91 - 3.85 (m, 2H), 3.77 (s, 3H), 2.96 - 2.90 (m, 2H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 168.2, 158.3, 133.9, 132.1, 130.0, 129.8, 123.2, 113.9, 55.2, 39.4, 33.7.

**4-Fluoro-2-phenylisoindoline-1,3-dione (3bo):**



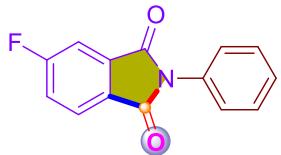
The reaction was performed following the general procedure to give **3bo** (35.5 mg, 74% yield). White solid. M.p. 150.6-152.1 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.78 - 7.71 (m, 2H), 7.48 (d, *J* = 7.9 Hz, 2H), 7.41 (d, *J* = 8.2 Hz, 4H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 166.1, 163.9, 157.8 (d, *J*<sub>C-F</sub> = 267.27 Hz), 137.1 (d, *J*<sub>C-F</sub> = 7.55 Hz), 133.9, 131.3, 129.1, 126.6, 122.7 (d, *J*<sub>C-F</sub> = 19.63 Hz), 120.5, 120.0 (d, *J*<sub>C-F</sub> = 4.53 Hz), 117.5 (d, *J*<sub>C-F</sub> = 12.08 Hz). <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ -112.4.

**5-Methyl-2-phenylisoindoline-1,3-dione (3bp):**



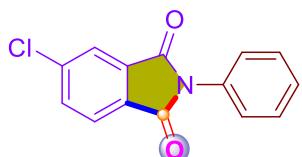
The reaction was performed following the general procedure to give **3bp** (39.3 mg, 83% yield). White solid. M.p. 233.7-135.4 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.82 (d, *J* = 7.6 Hz, 1H), 7.74 (s, 1H), 7.57 (d, *J* = 8.3 Hz, 1H), 7.52 - 7.48 (m, 2H), 7.46 - 7.36 (m, 3H), 2.54 (s, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.4, 167.3, 145.7, 135.0, 132.1, 131.8, 129.2, 129.1, 128.0, 126.5, 124.2, 123.6, 22.0.

**5-Fluoro-2-phenylisoindoline-1,3-dione (3bq):**



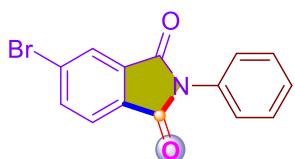
The reaction was performed following the general procedure to give **3bq** (36.6 mg, 76% yield). White solid. M.p. 191.4-192.6 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.95 (m, 1H), 7.65 - 7.60 (m, 1H), 7.50 (m, 2H), 7.42 (t,  $J = 6.1$  Hz, 4H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  167.5, 166.2, 165.8 (d,  $J_{\text{C}-\text{F}} = 3.02$  Hz), 165.7, 134.6 (d,  $J_{\text{C}-\text{F}} = 12.08$  Hz), 131.5, 129.2, 128.3, 126.5, 123.2 (d,  $J_{\text{C}-\text{F}} = 9.06$  Hz), 121.5 (d,  $J_{\text{C}-\text{F}} = 23.72$  Hz), 111.5 (d,  $J_{\text{C}-\text{F}} = 24.87$  Hz).  $^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )  $\delta$  -101.08.

**5-Chloro-2-phenylisoindoline-1,3-dione (3br):**



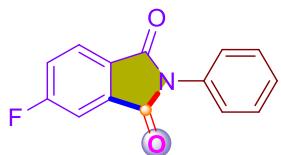
The reaction was performed following the general procedure to give **3br** (34.0mg, 66% yield). White solid. M.p. 183.5-185.2 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  7.92 - 7.86 (m, 2H), 7.76 - 7.72 (m, 1H), 7.49 (d,  $J = 7.9$  Hz, 2H), 7.45 - 7.40 (m, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  166.3, 166.0, 141.1, 134.5, 133.4, 131.4, 129.8, 129.2, 128.3, 126.5, 125.0, 124.1.

**5-Bromo-2-phenylisoindoline-1,3-dione (3bs):**



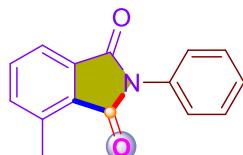
The reaction was performed following the general procedure to give **3bs** (36.7 mg, 61% yield). White solid. M.p. 218.7-220.4 °C.  $^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )  $\delta$  8.09 (s, 1H), 7.92 (s, 1H), 7.82 (d,  $J = 7.9$  Hz, 1H), 7.50 (d,  $J = 8.2$  Hz, 2H), 7.42 (d,  $J = 6.0$  Hz, 3H).  $^{13}\text{C}$  NMR (151 MHz,  $\text{CDCl}_3$ )  $\delta$  166.4, 165.9, 137.4, 133.4, 131.4, 130.3, 129.3, 129.2, 128.3, 127.1, 126.5, 125.1.

**5-Fluoro-2-phenylisoindoline-1,3-dione (3bt):**



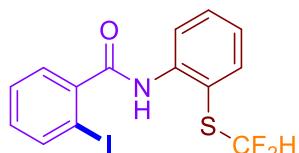
The reaction was performed following the general procedure to give **3bt** (34.7 mg, 72% yield). White solid. M.p. 188.7-189.8 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.95 (m, 1H), 7.61 (m, 1H), 7.53 - 7.48 (m, 2H), 7.42 (m, 4H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.4, 166.2, 165.8 (t, *J*<sub>C-F</sub> = 18.12 Hz), 134.6 (d, *J*<sub>C-F</sub> = 9.06 Hz), 131.5, 129.1, 128.2, 127.5 (d, *J*<sub>C-F</sub> = 3.02 Hz), 126.5, 126.2 (d, *J*<sub>C-F</sub> = 9.06 Hz), 121.5 (d, *J*<sub>C-F</sub> = 24.16 Hz), 111.5 (d, *J*<sub>C-F</sub> = 25.67 Hz). <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ -101.14.

#### **4-Methyl-2-phenylisoindoline-1,3-dione (3bu):**



The reaction was performed following the general procedure to give **3bu** (37.4 mg, 39% yield). White solid. M.p. 151.6-152.9 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 7.81 - 7.74 (m, 1H), 7.62 (t, *J* = 7.6 Hz, 1H), 7.53 - 7.40 (m, 6H), 2.75 (d, *J* = 11.4 Hz, 3H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 168.0, 167.3, 138.5, 136.7, 133.9, 132.2, 131.8, 129.0, 128.4, 128.0, 126.6, 121.3, 17.7.

#### **N-(2-((Difluoromethyl)thio)phenyl)-2-iodobenzamide (4a)**



The reaction was performed following the general procedure to give **4a** (56.7 mg, 70% yield). White solid. M.p. 122.3-123.7 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.65 (d, *J* = 8.2 Hz, 1H), 8.54 (s, 1H), 7.95 (d, *J* = 9.1 Hz, 1H), 7.66 (d, *J* = 9.3 Hz, 1H), 7.55 (dd, *J* = 19.6, 9.0 Hz, 2H), 7.46 (t, *J* = 7.5 Hz, 1H), 7.19 (m, *J* = 7.6, 3.5, 1.6 Hz, 2H), 6.75 (t, *J* = 56.6 Hz, 1H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 167.2, 141.7, 141.0, 140.4, 138.3, 132.5, 131.7, 128.4, 128.1, 125.0, 122.2, 121.3, 120.3, 118.5, 92.4. <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>) δ -90.9, -91.0.

#### **1-(Difluoromethyl)-1H-indole (6c):**



The reaction was performed following the general procedure to give **6c** (25.4 mg, 76% yield). Brown solid. M.p. 79.1-81.1 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>) δ 8.15 (d, *J* = 9.4 Hz, 1H), 7.87 - 7.83 (m, 2H), 7.72 (s, 1H), 7.66 (d, *J* = 8.2 Hz, 1H), 7.56 - 7.48 (m, 2H). <sup>13</sup>C NMR (151 MHz, CDCl<sub>3</sub>) δ 146.43, 129.94, 129.46, 125.56, 120.42, 116.40,

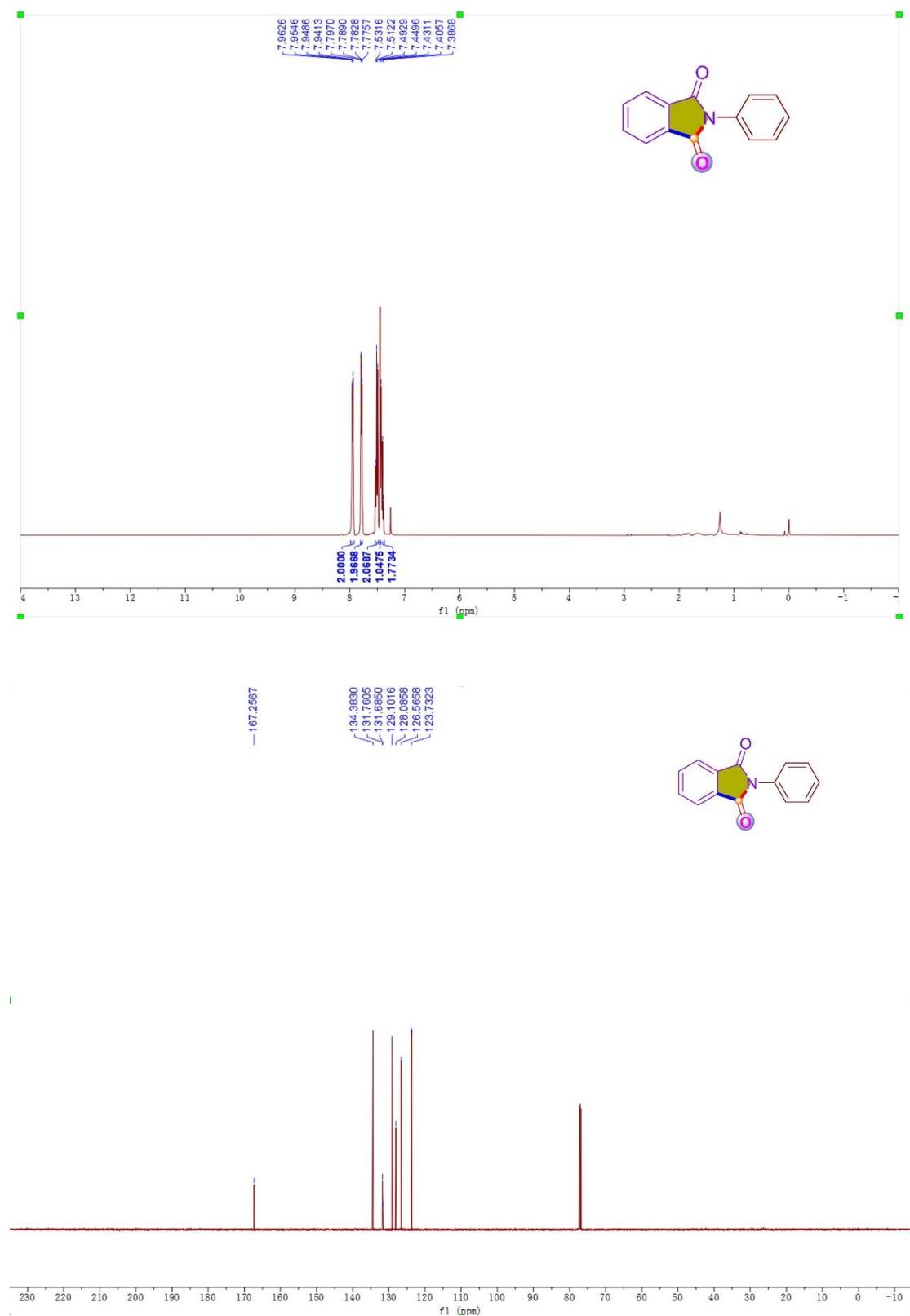
112.91, 111.25, 110.74, 109.59.

## 7. References

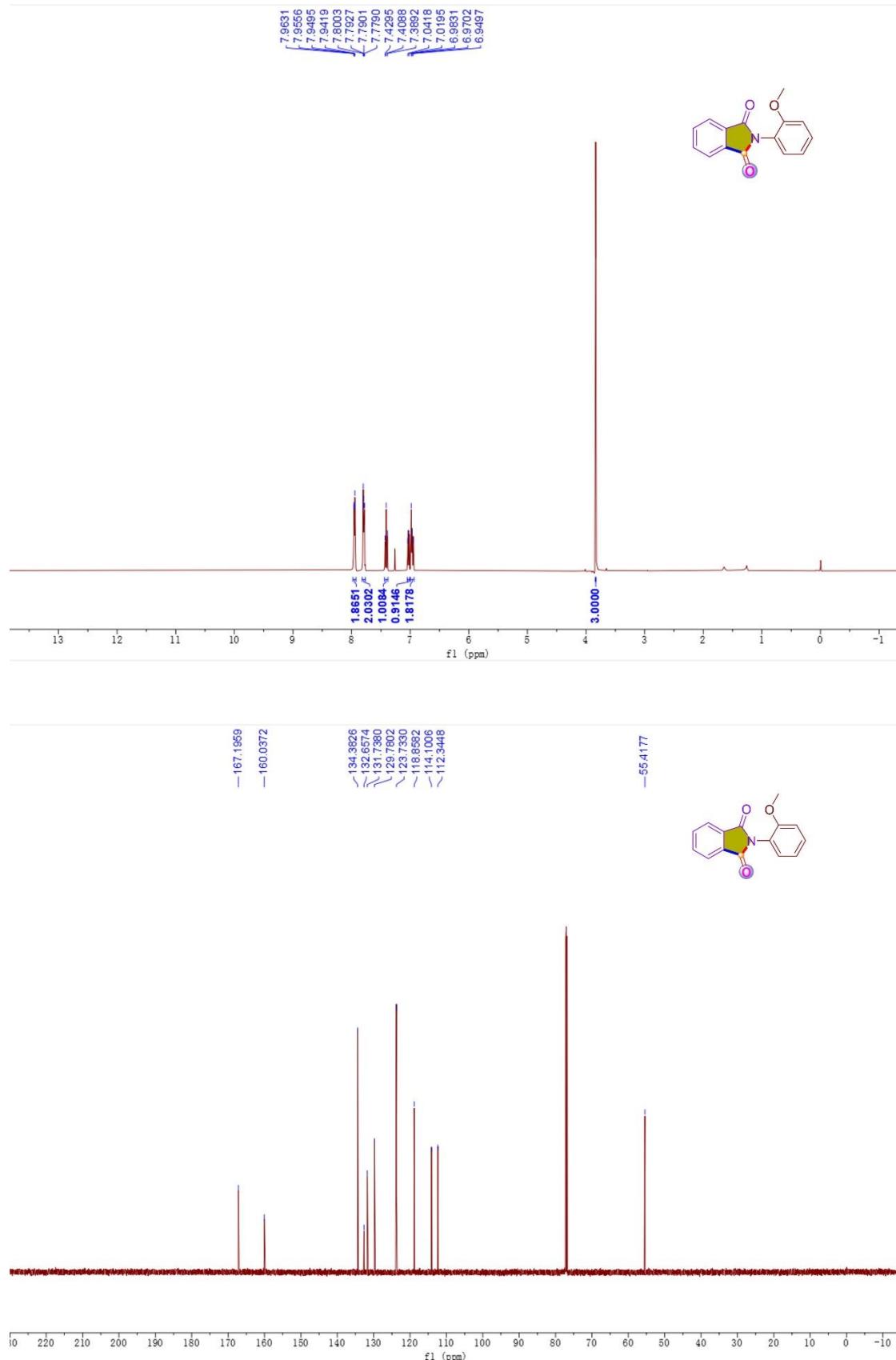
- (1) X. Cai, J. Fu, L. Gu and X. Xu, *Asian J. Org. Chem.*, 2022, **11**, e202200318.
- (2) X. X. Liu, J. Z. Qin, W. Dai and Z. H. Zhang, *ACS Catal.*, 2022, **12**, 21, 13300-13311.
- (3) S. L. Liu, Q. Y. Deng, W. W. Fang and Tao. Tu, *Org. Chem. Front.*, 2014, **1**, 1261-1265.
- (4) M. Halaczkiewicz, H. Kelm and G. Manolikakes, *Eur. J. Org. Chem.*, 2023, **26**, e202201318.
- (5) N. Sharma and G. Sekar, *Adv. Synth. Catal.*, 2016, **358**, 314 -320.
- (6) K. Nagarajua, N. Rajesh and P. R. Krishn, *SynOpen* 2018, **2**, 145-149.

## 8. NMR Spectra Copies of Product 3

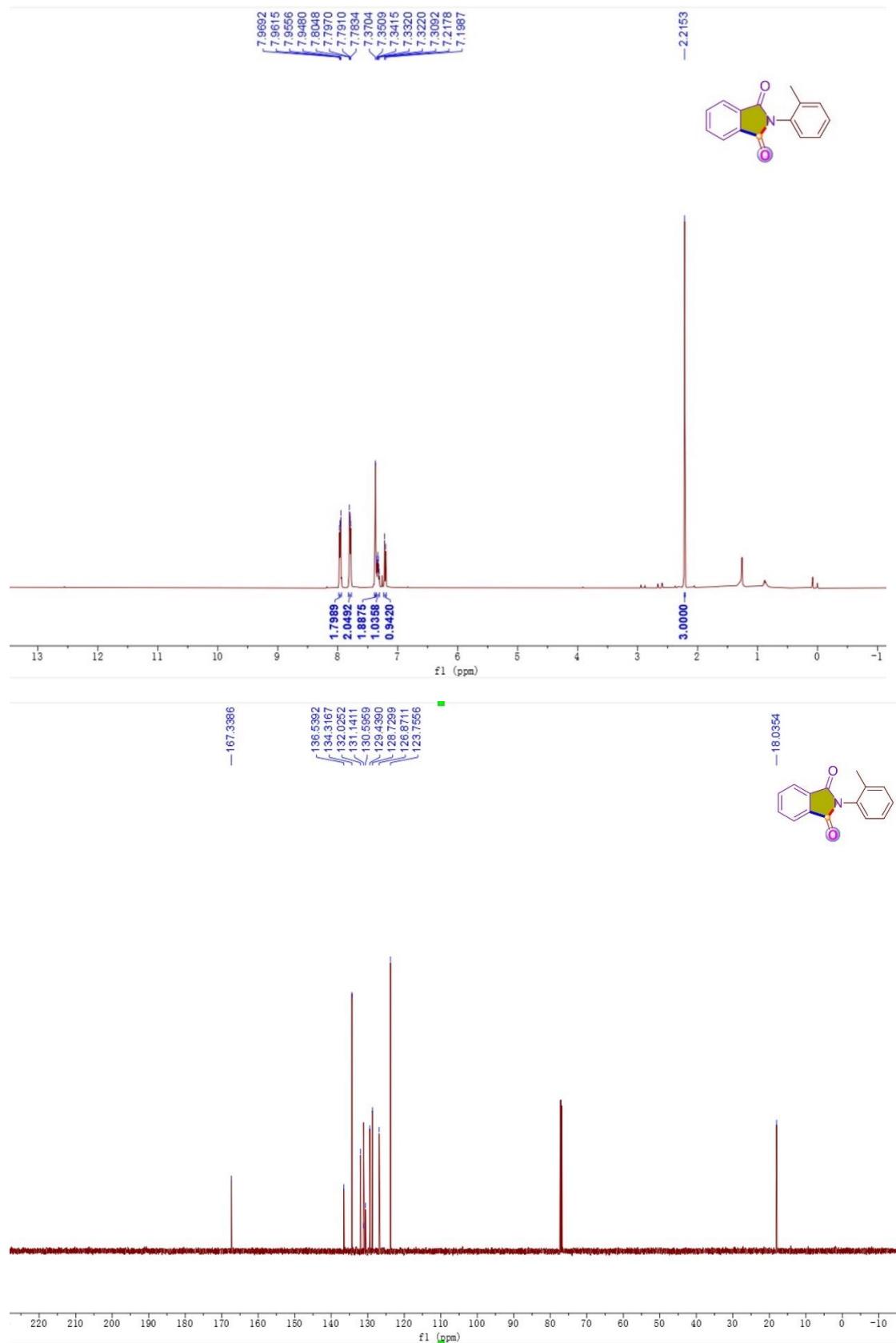
### N-Phenylphthalimide (3aa)



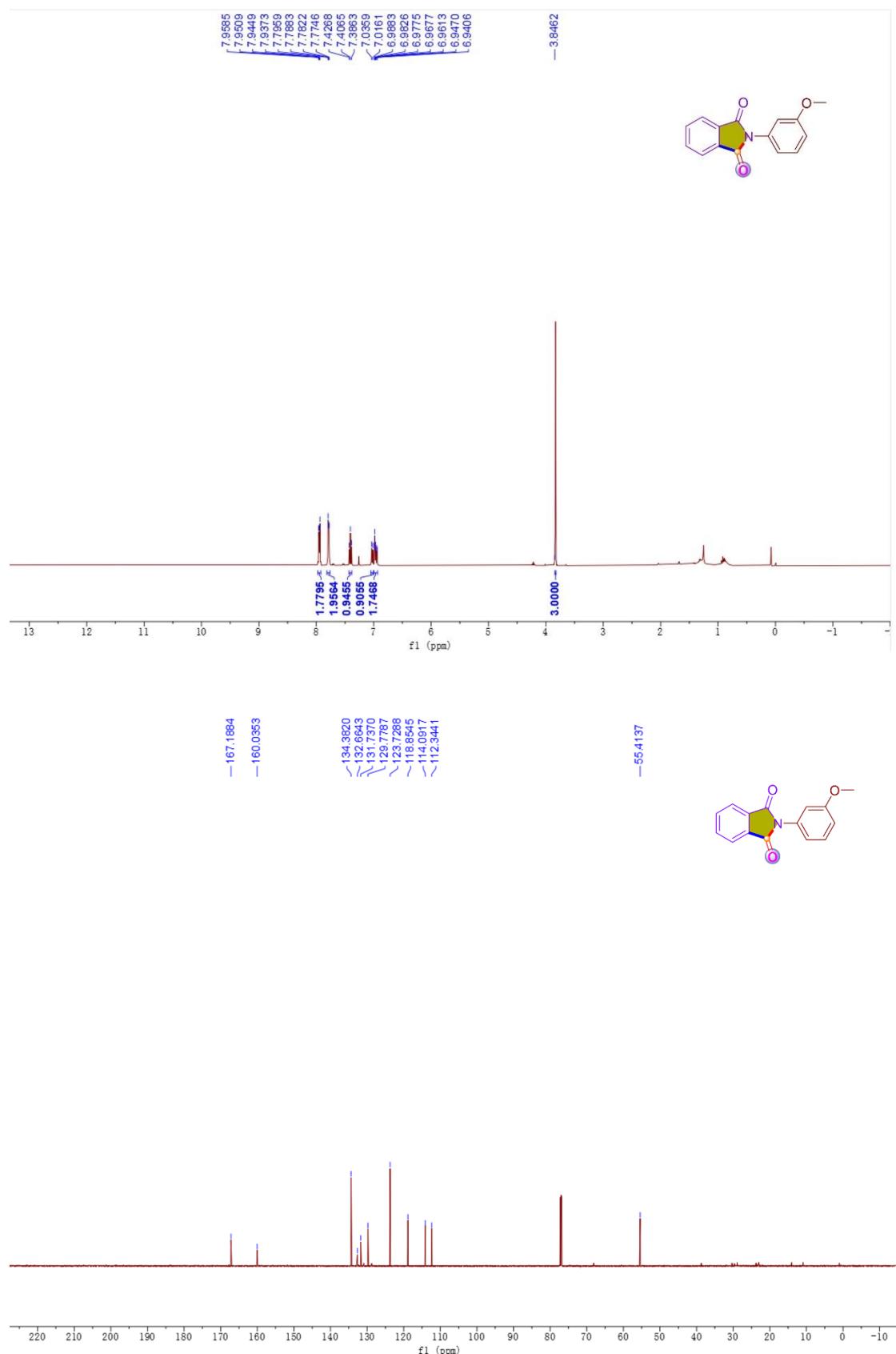
**N-(2- Methoxyphenyl)phthalimide (3ab)**



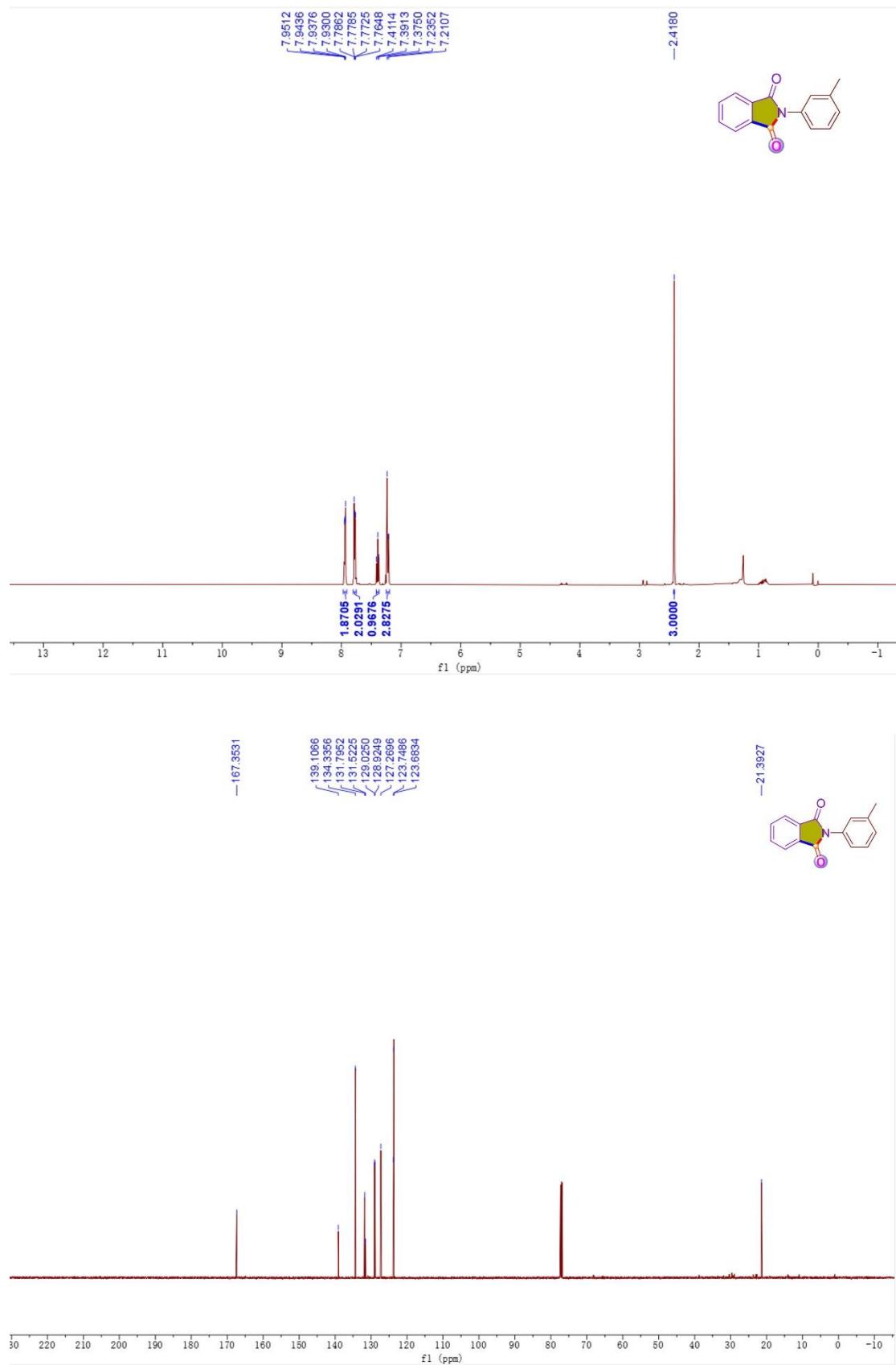
**N-(2-Methylphenyl)phthalimide (3ac)**



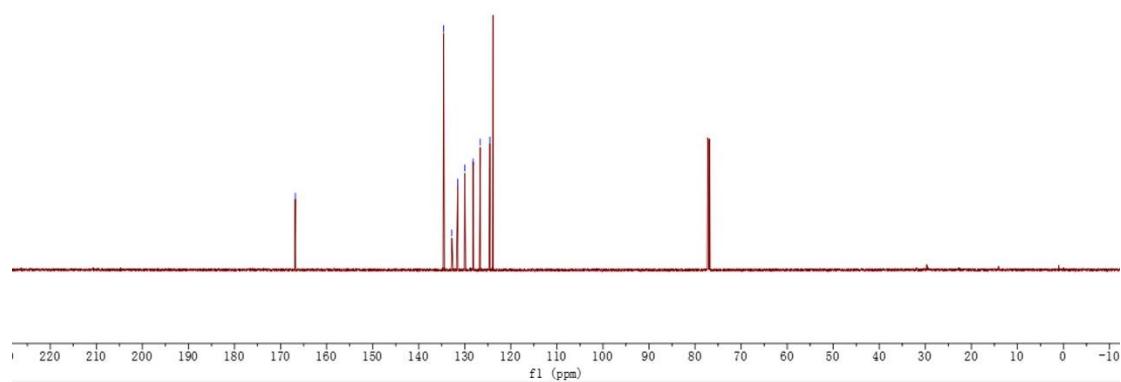
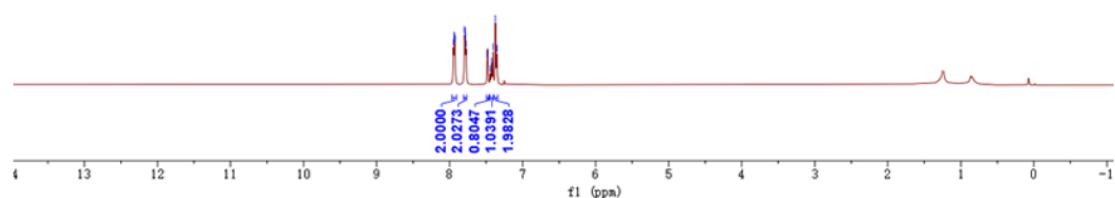
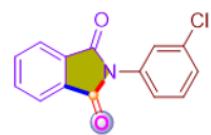
**N-(3- Methoxyphenyl)phthalimide (3ad)**



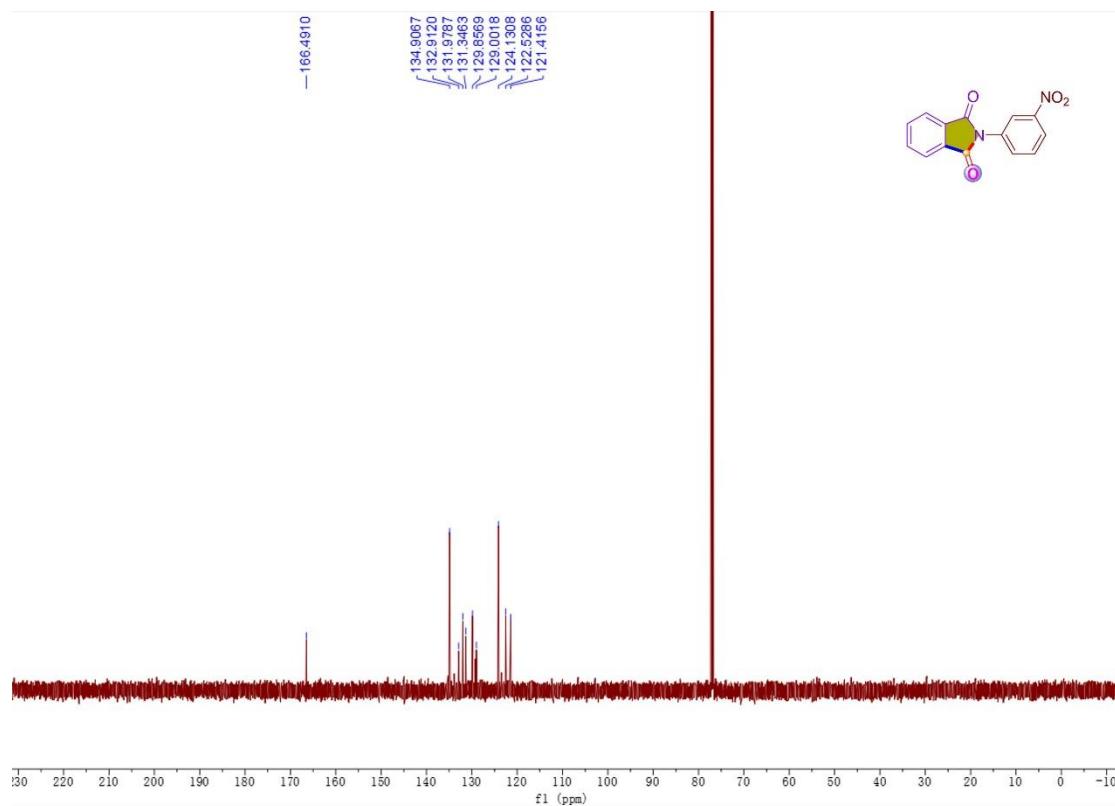
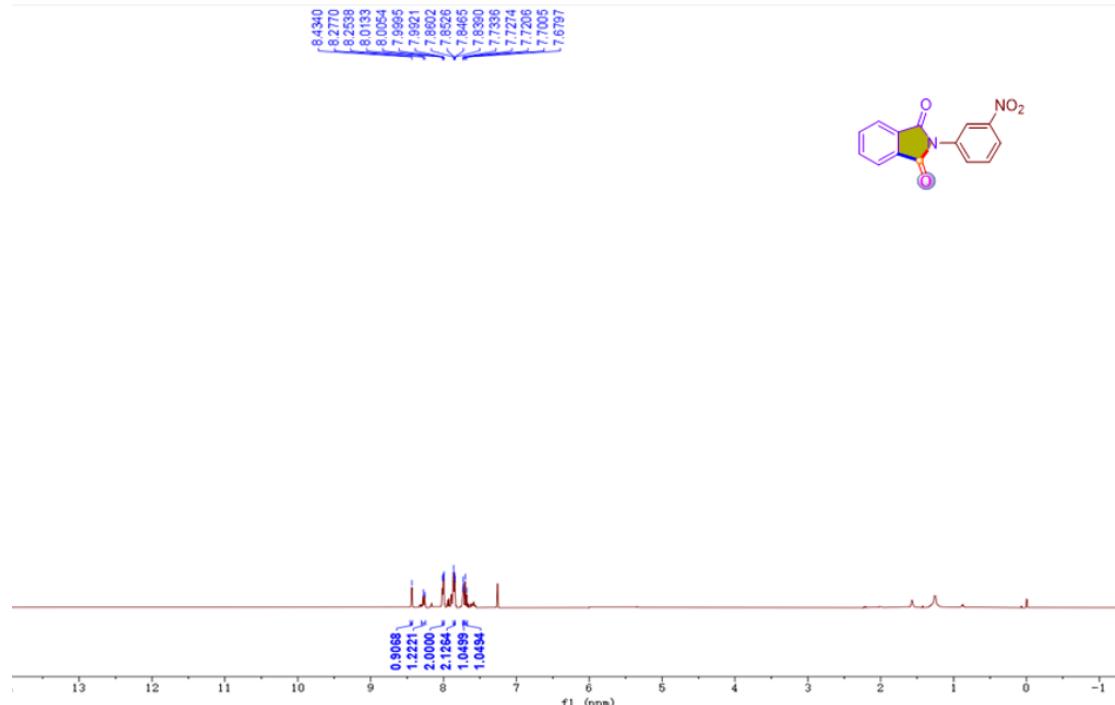
**N-(3- Methylphenyl)phthalimide (3ae)**



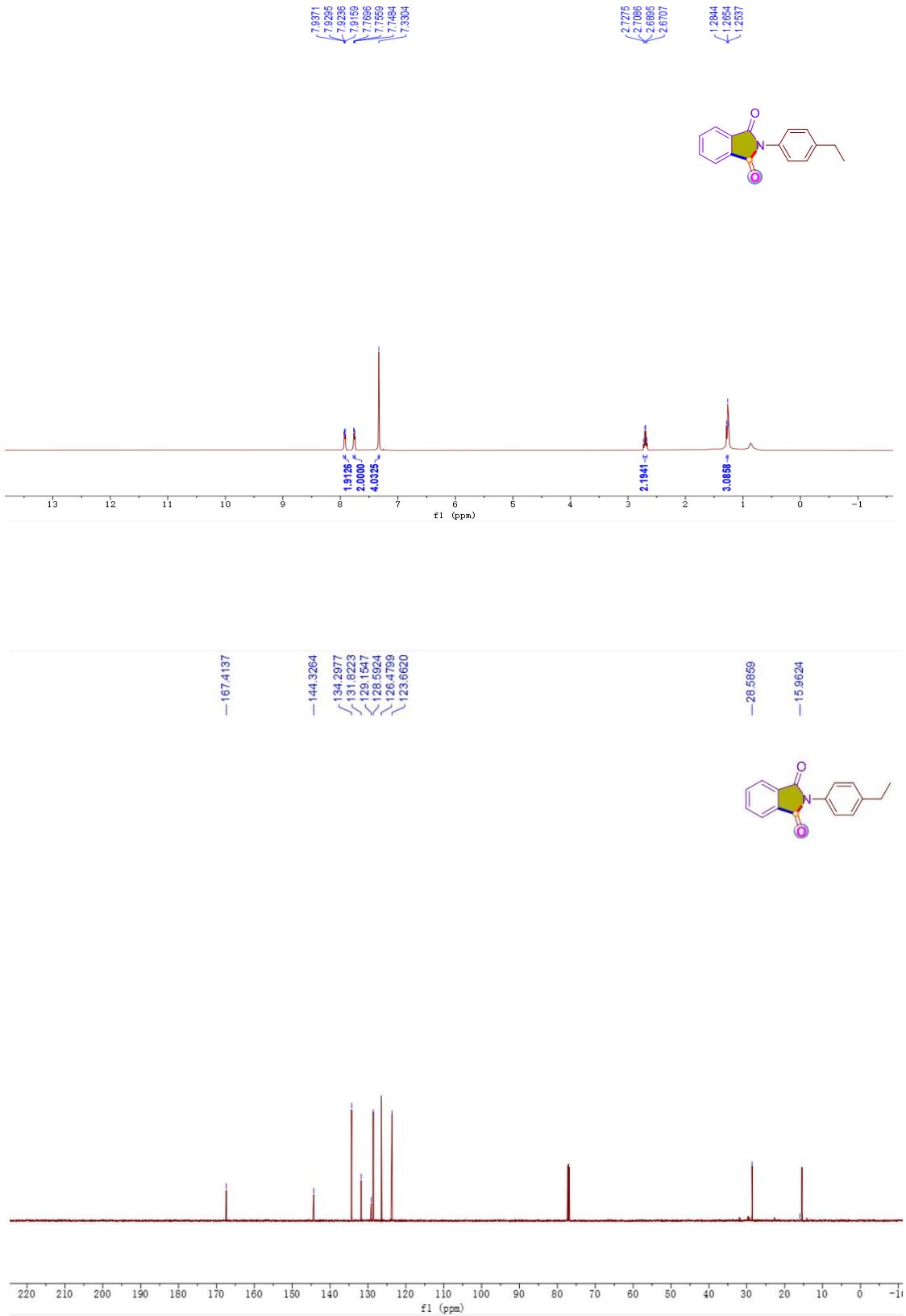
**N-(3-Chlorophenyl)phthalimide (3af)**



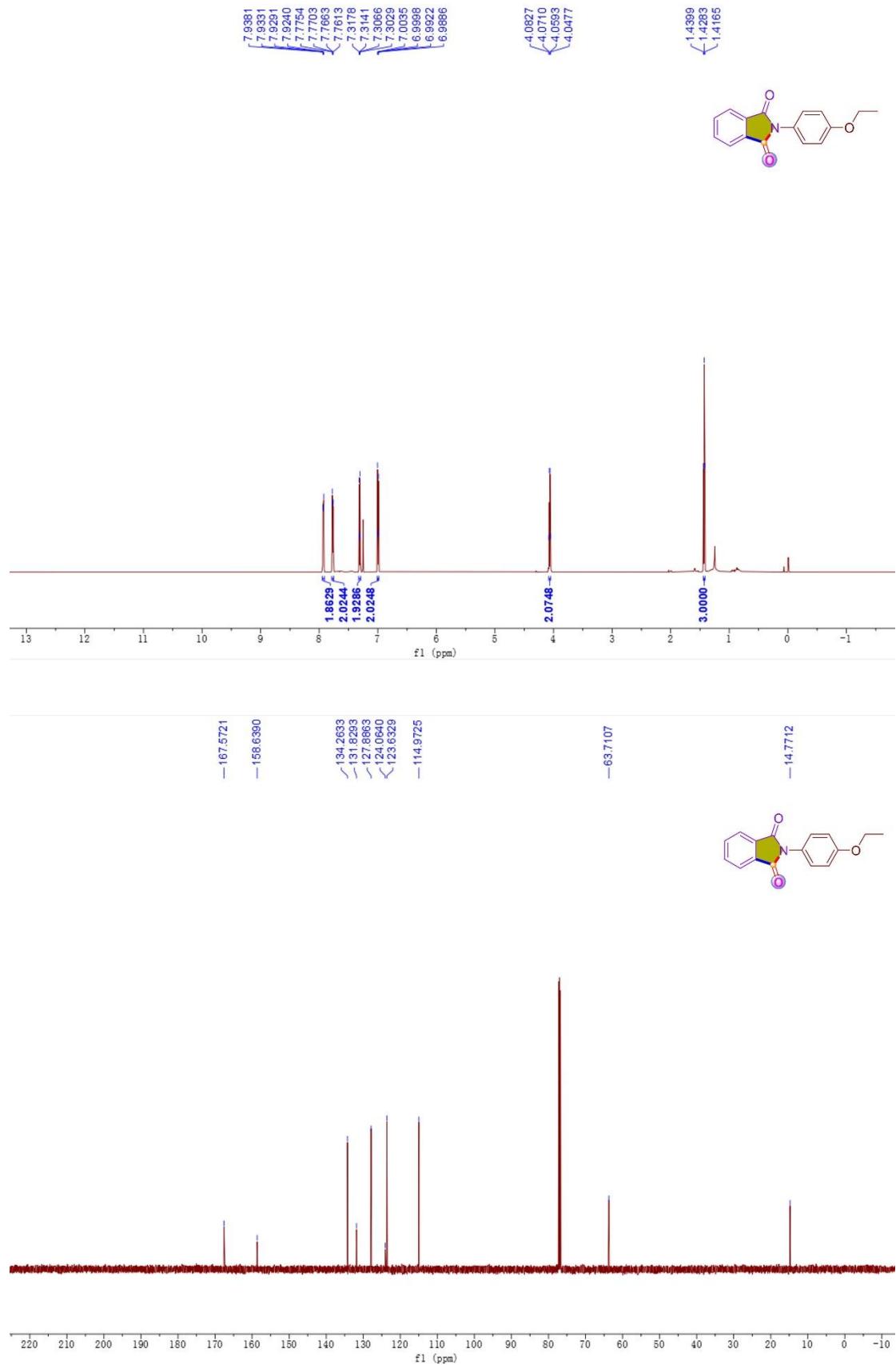
**N-(3-Nitrophenyl)phthalimide (3ag)**



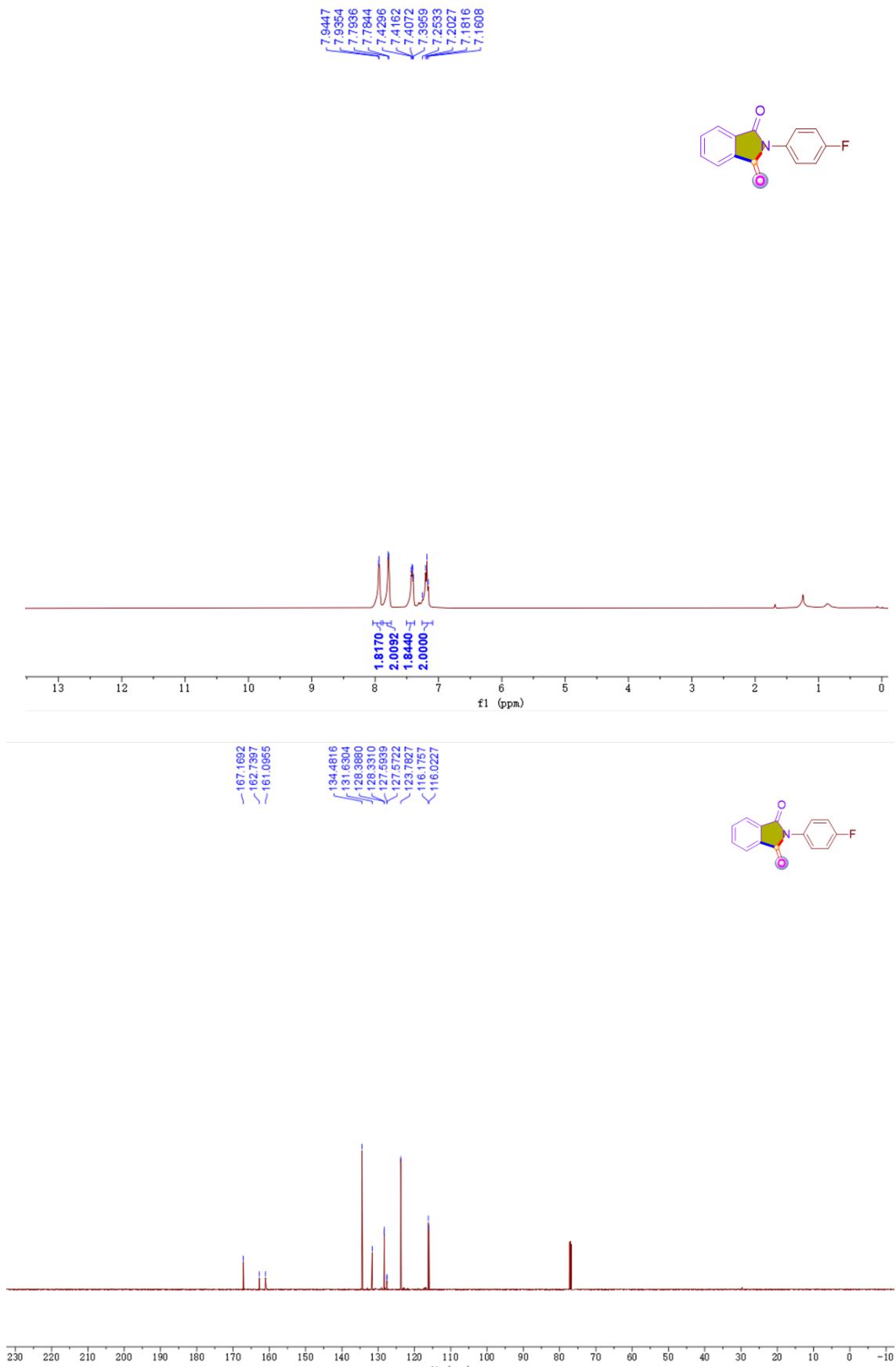
**N-(4-Ethylphenyl)phthalimide (3ah)**

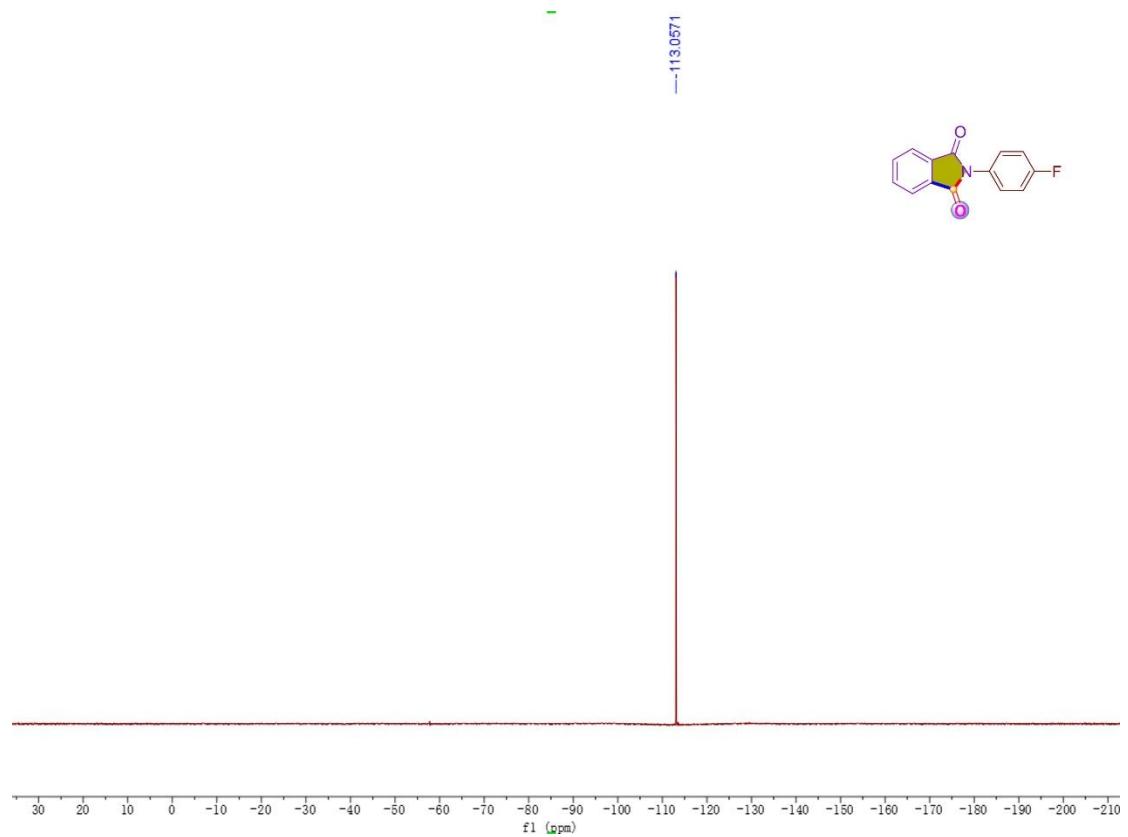


***N*-(4-Ethoxyphenyl) phthalimide (3ai)**

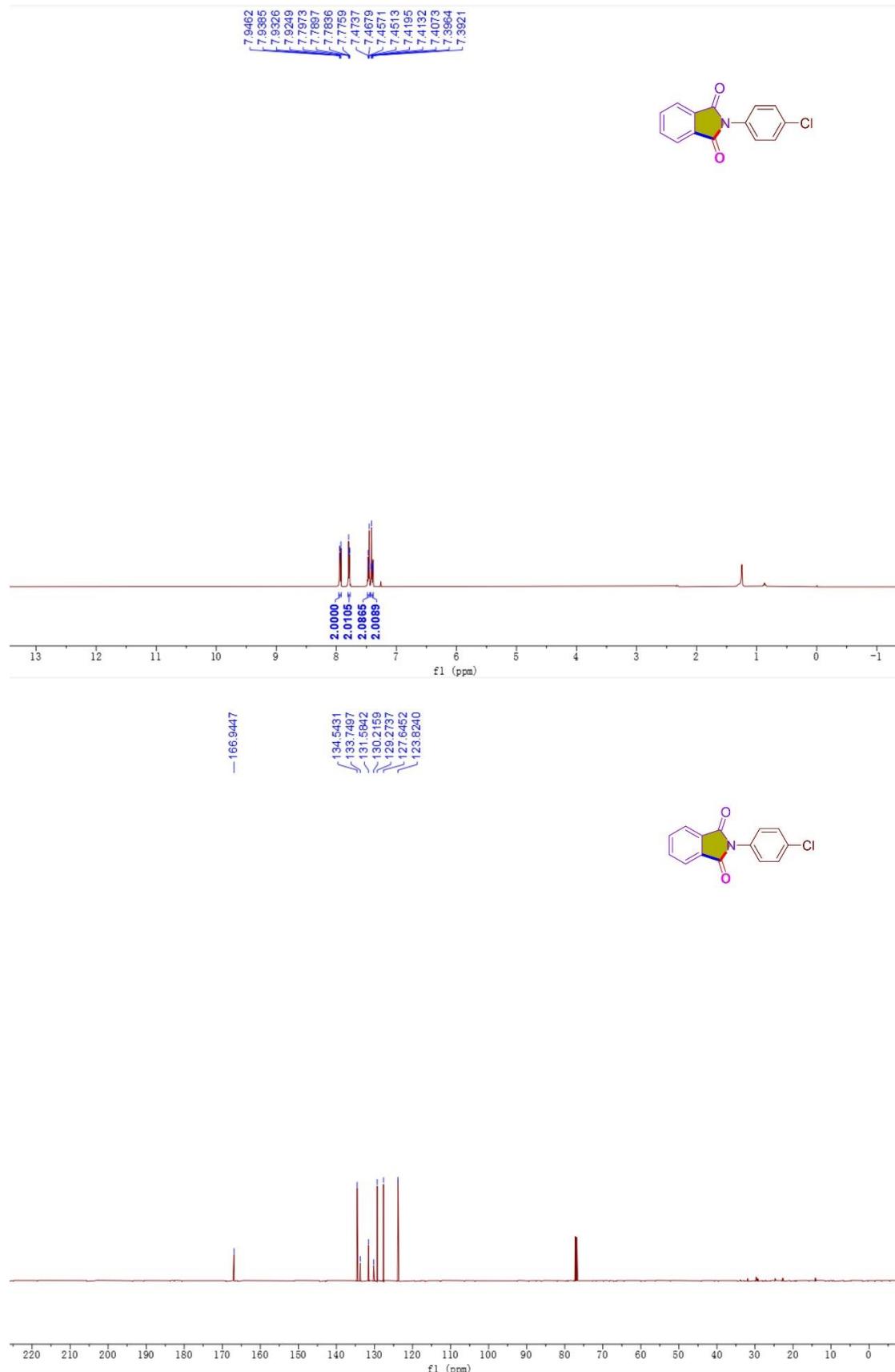


***N*-(4-Fluorophenyl)phthalimide (3aj)**

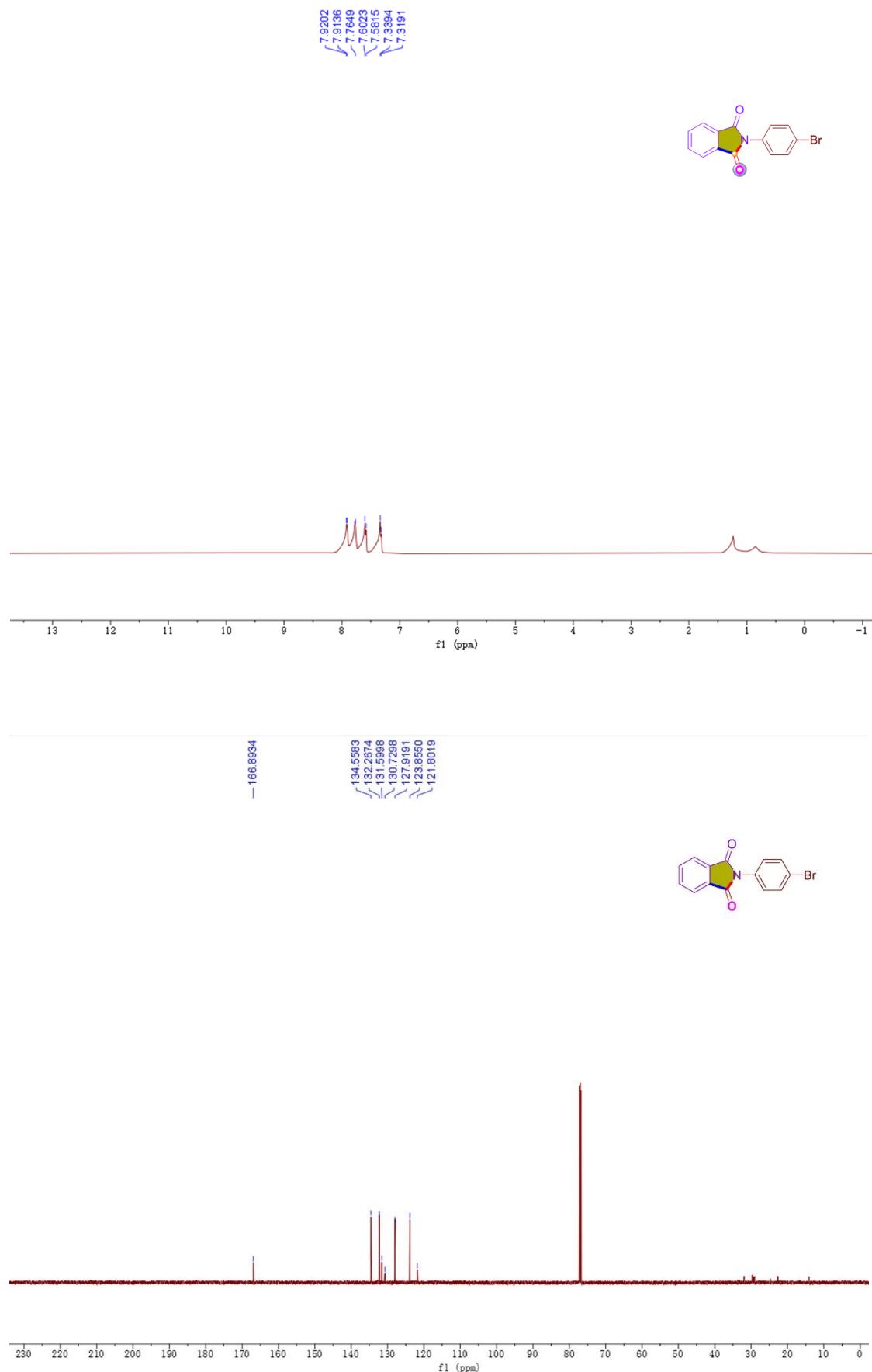




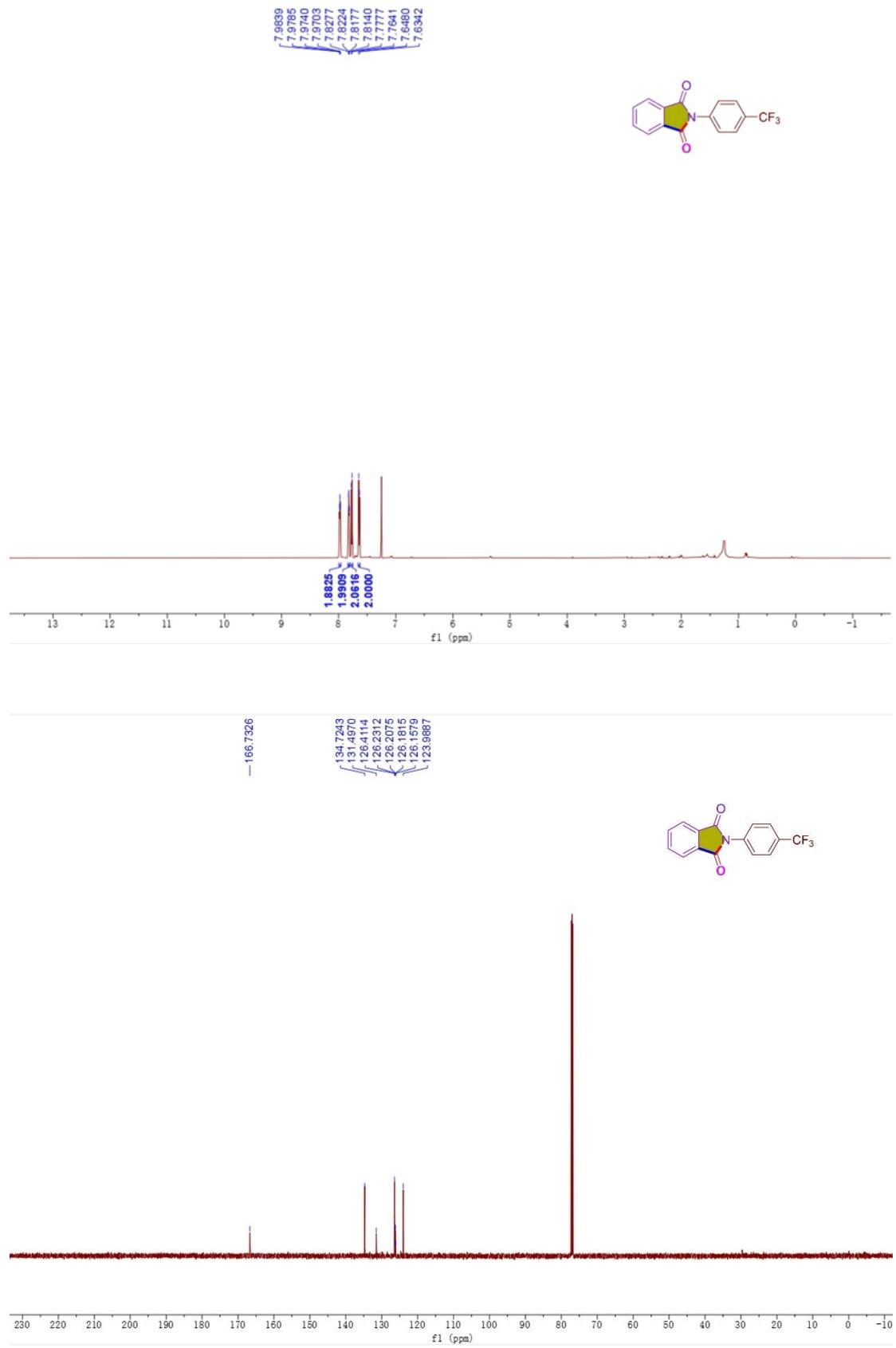
**N-(4-Chlorophenyl)phthalimide (3ak)**

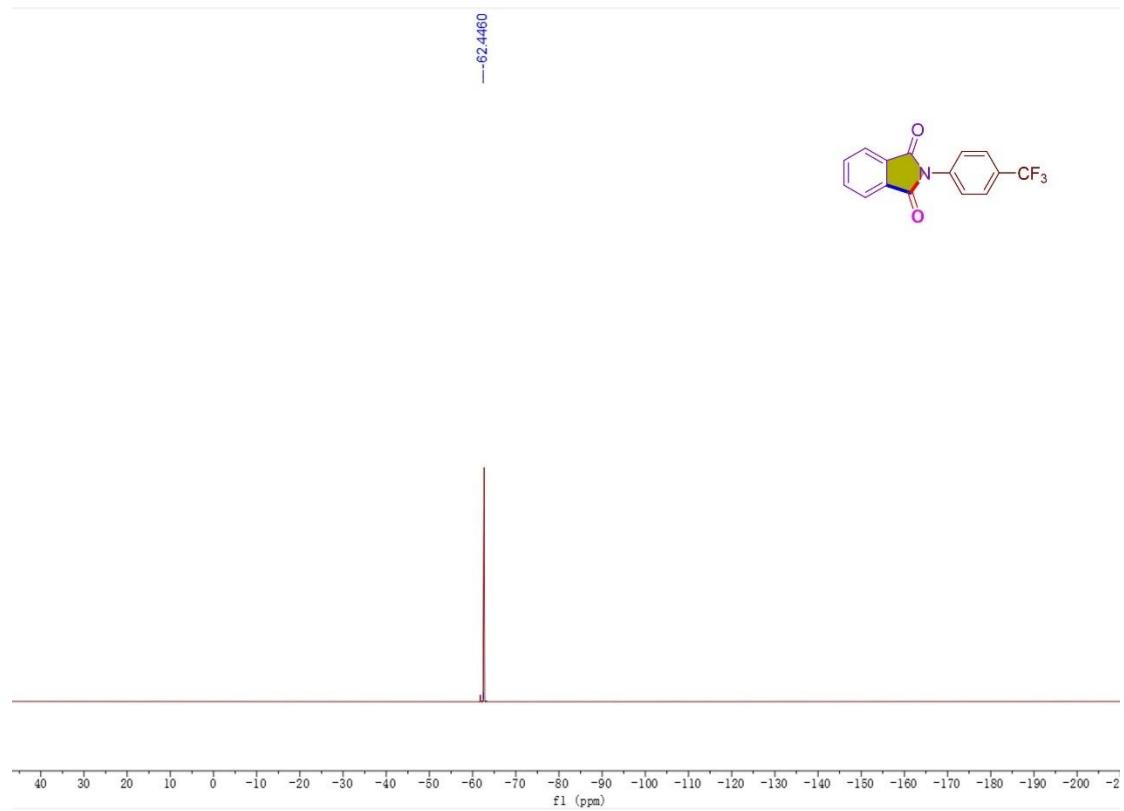


**N-(4-Bromophenyl)phthalimide (3al)**

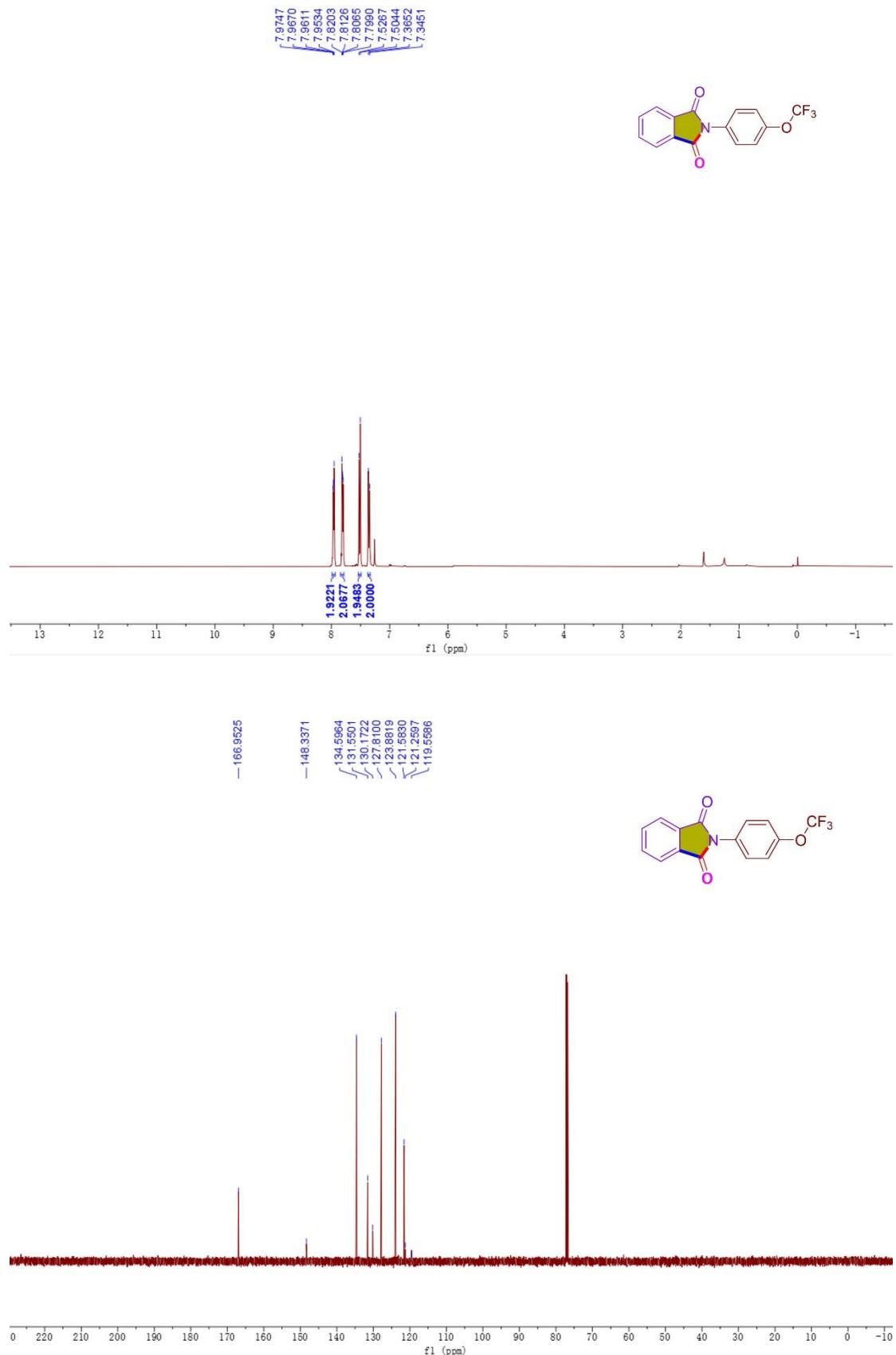


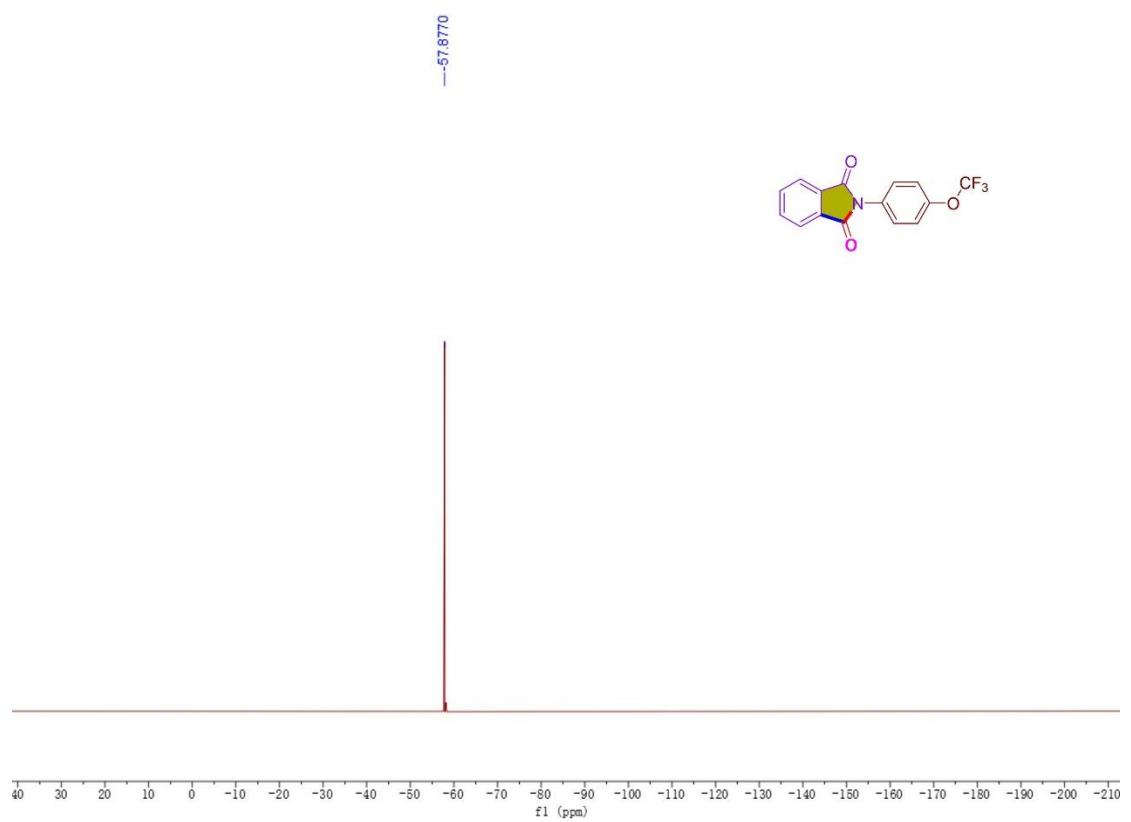
**N-(4- (Trifluoromethyl)phenyl) phthalimide (3am)**



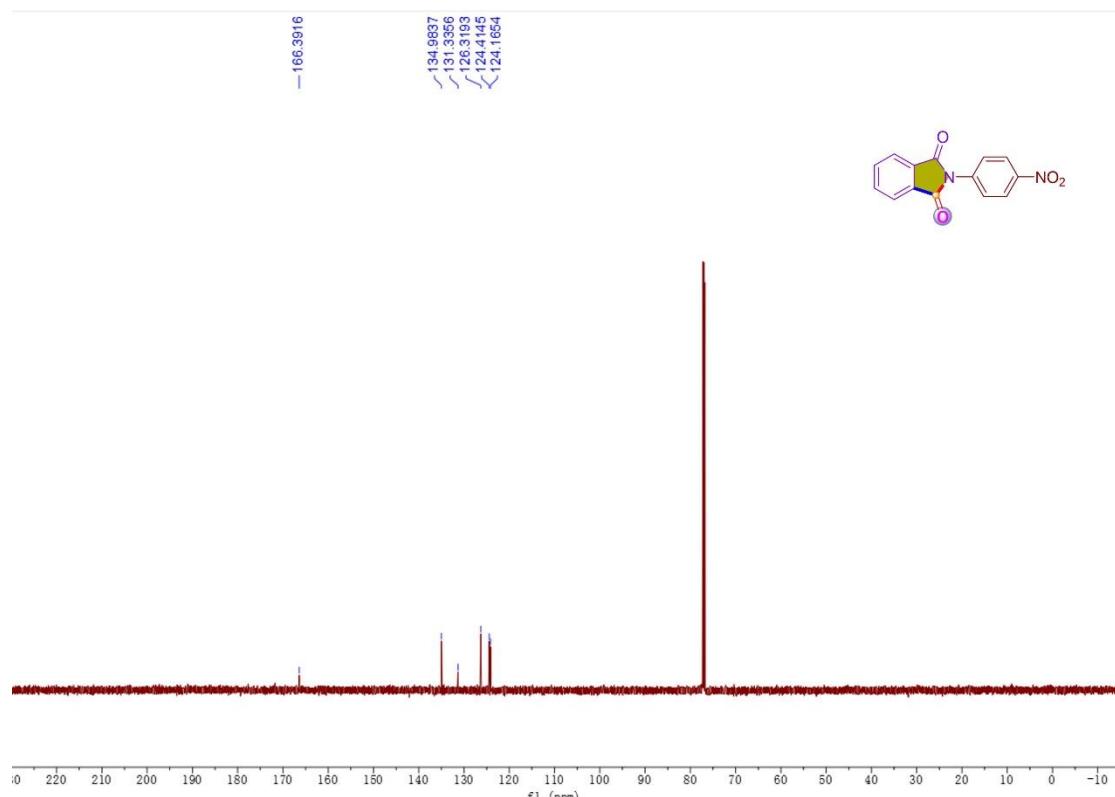
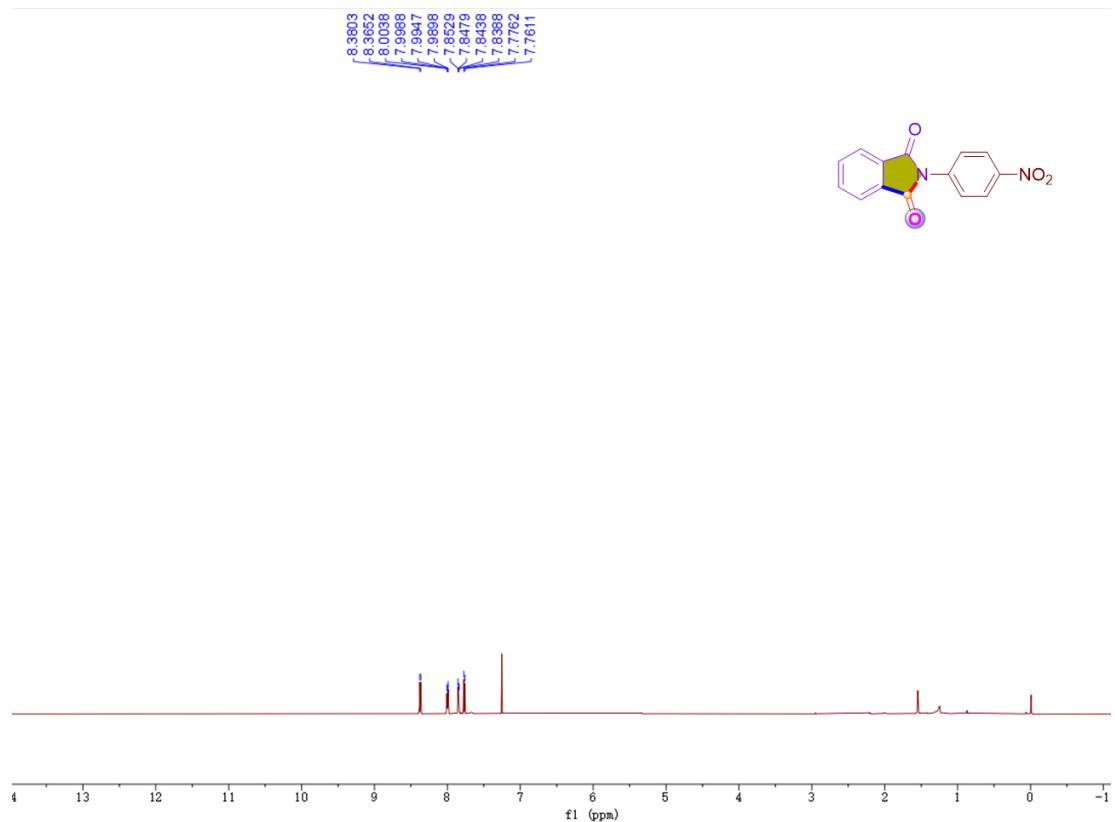


**N-(4-(Trifluoromethoxy)phenyl)phthalimide (3an)**

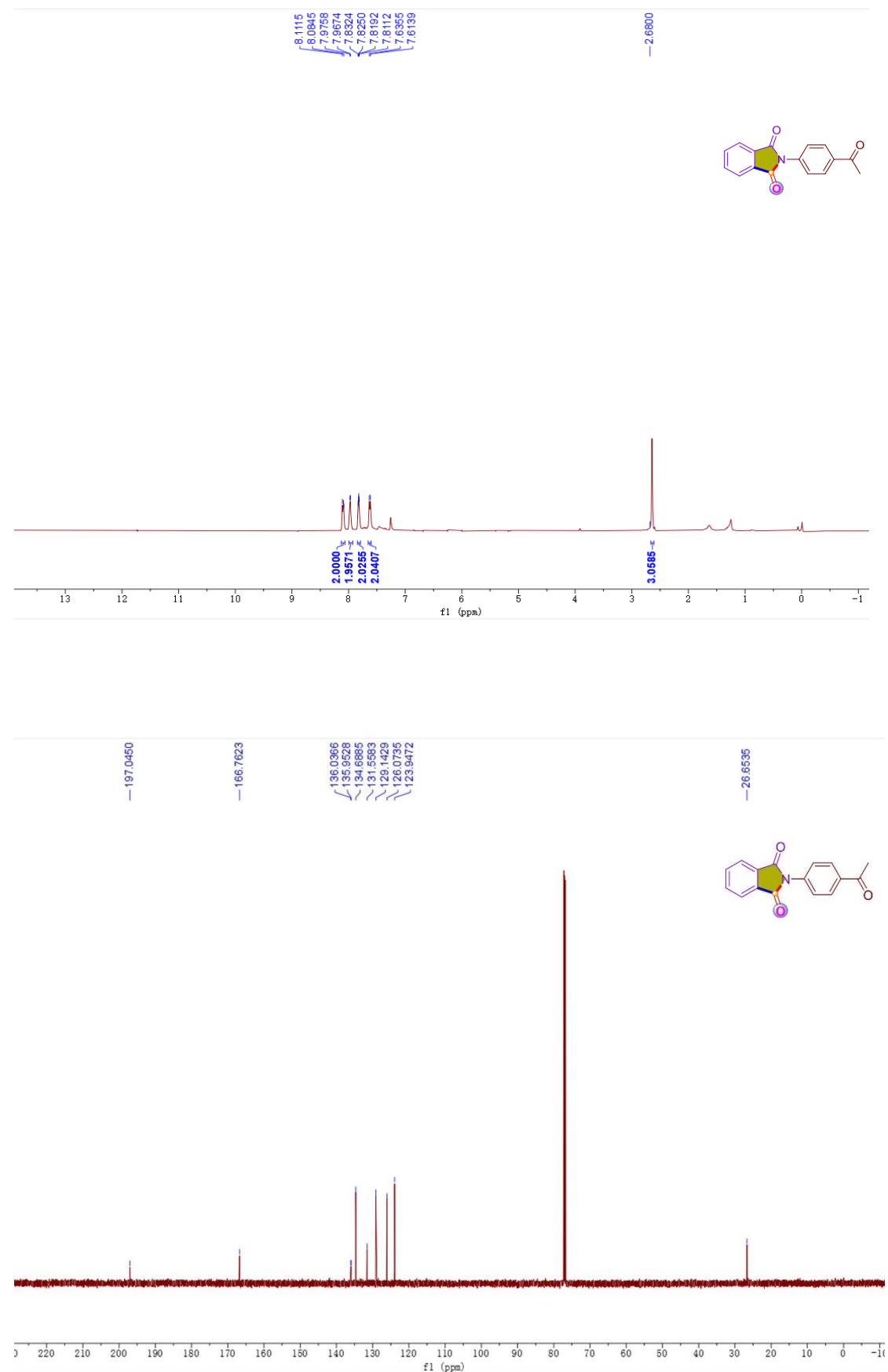




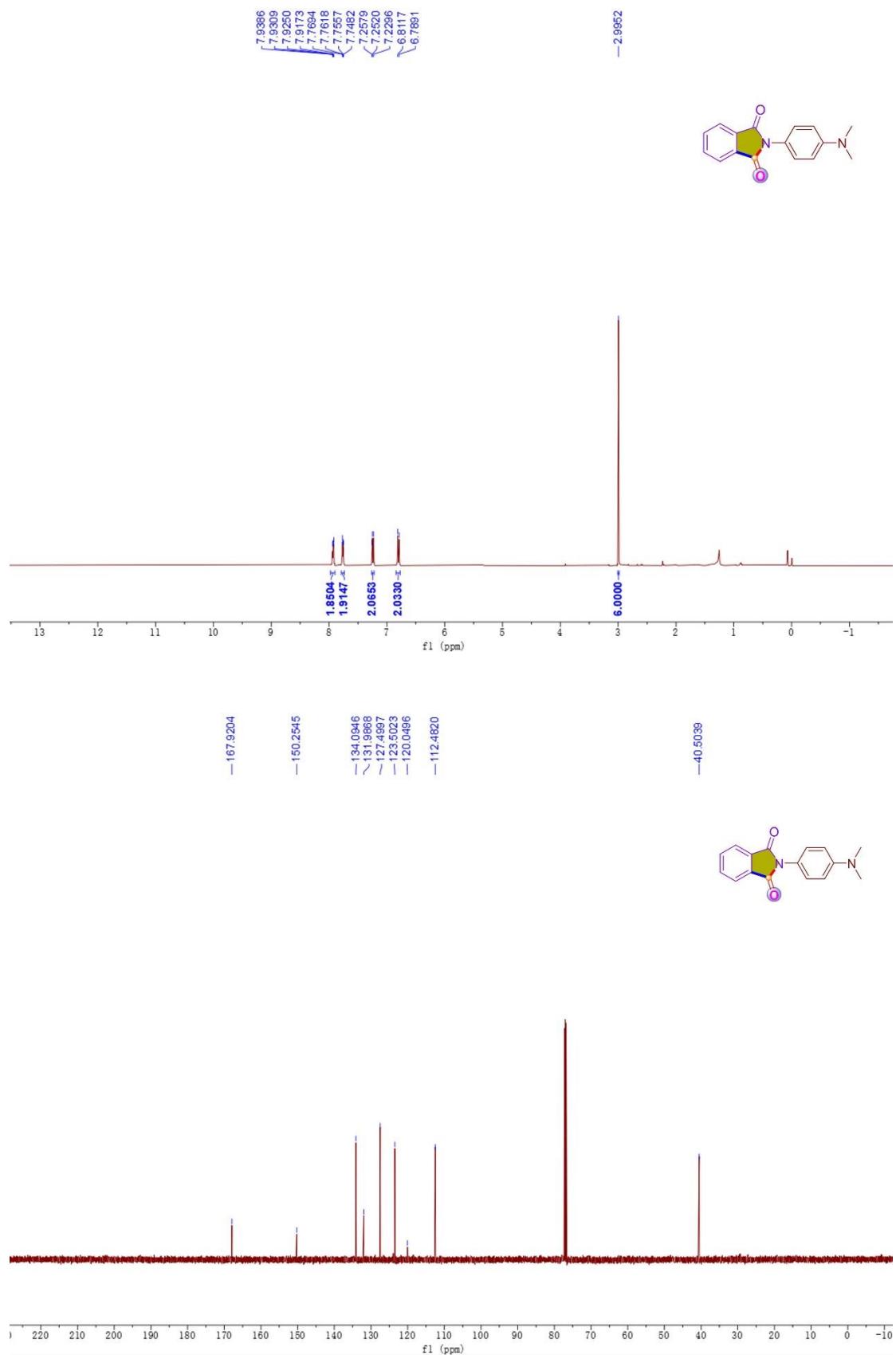
**N-(4-Nitrophenyl)phenylphthalimide (3ao)**



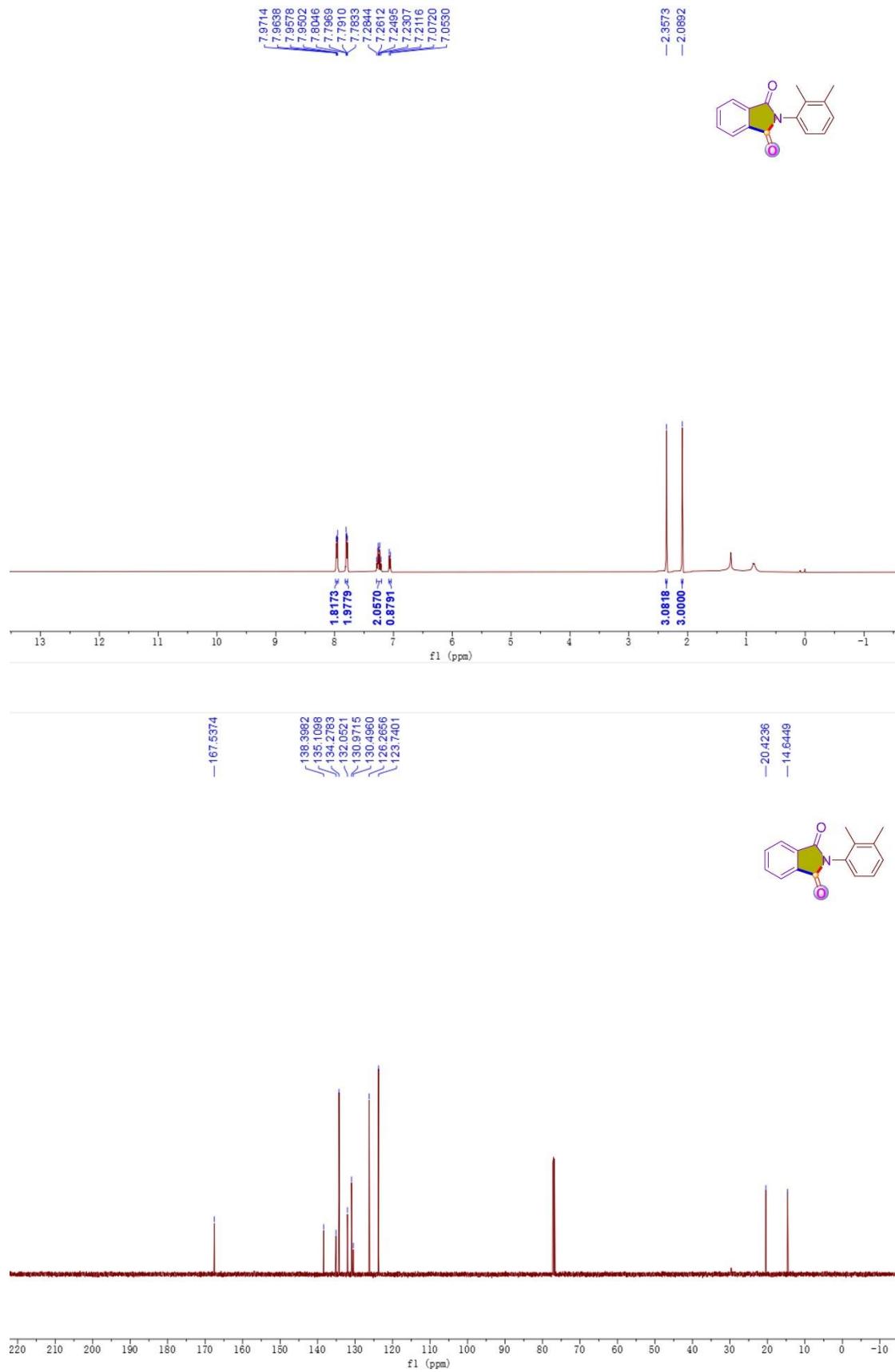
**N-(4-Acetylphenyl)phthalimide (3ap)**



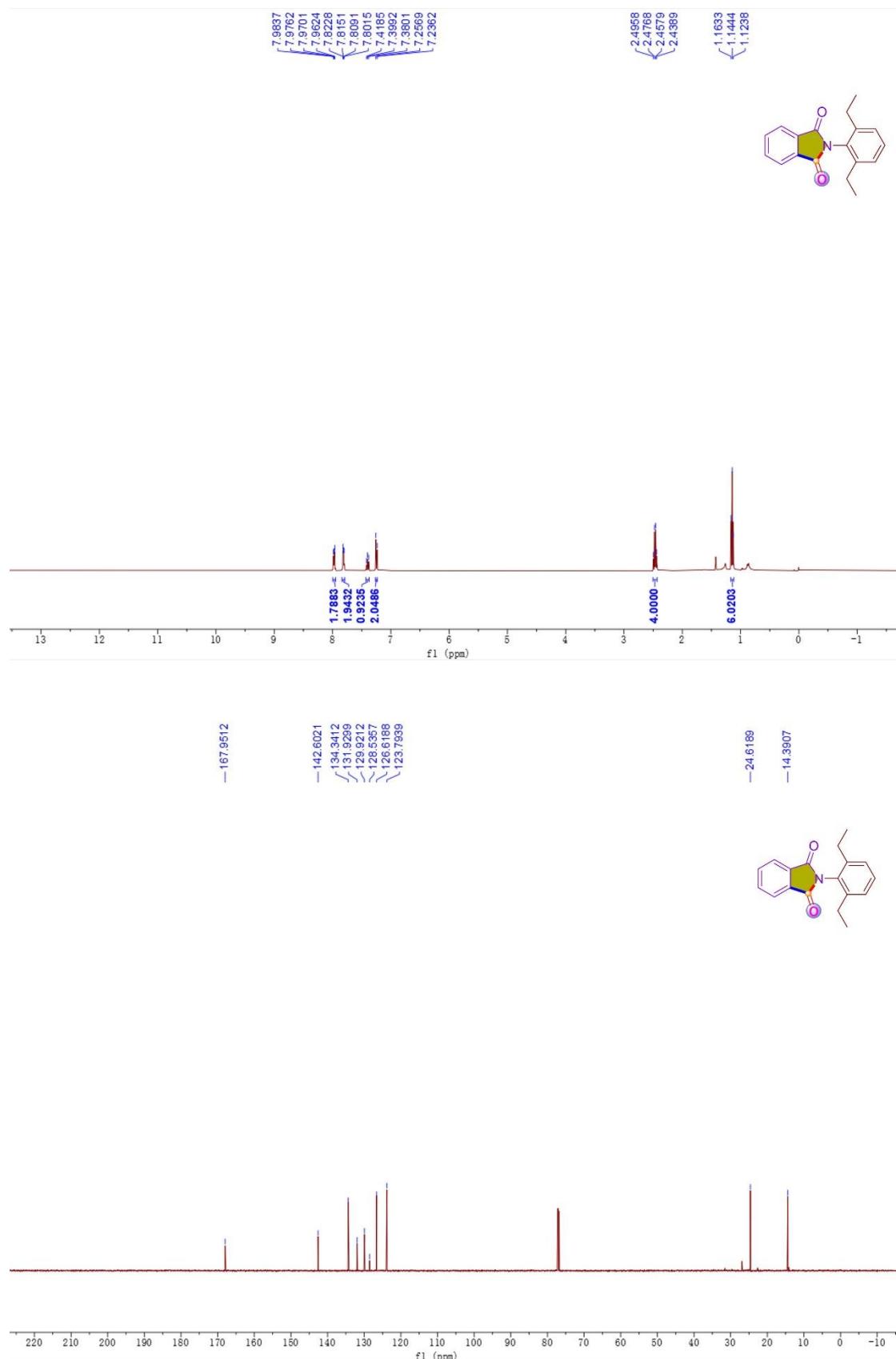
**N-(4-(Dimethylamino)phenyl) phthalimide (3aq)**



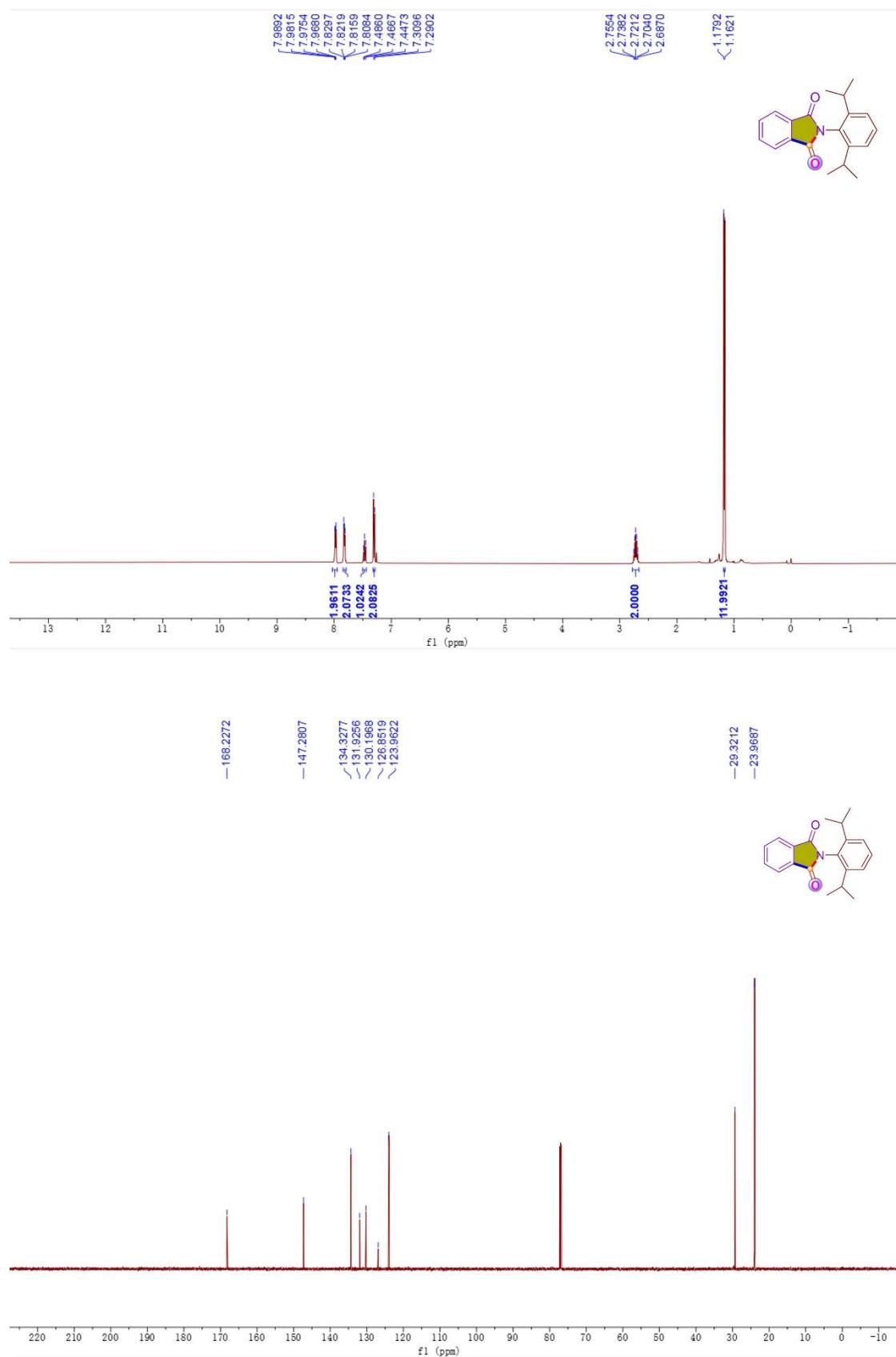
**N-( 2,3- Dimethylphenyl)phthalimide (3ar)**



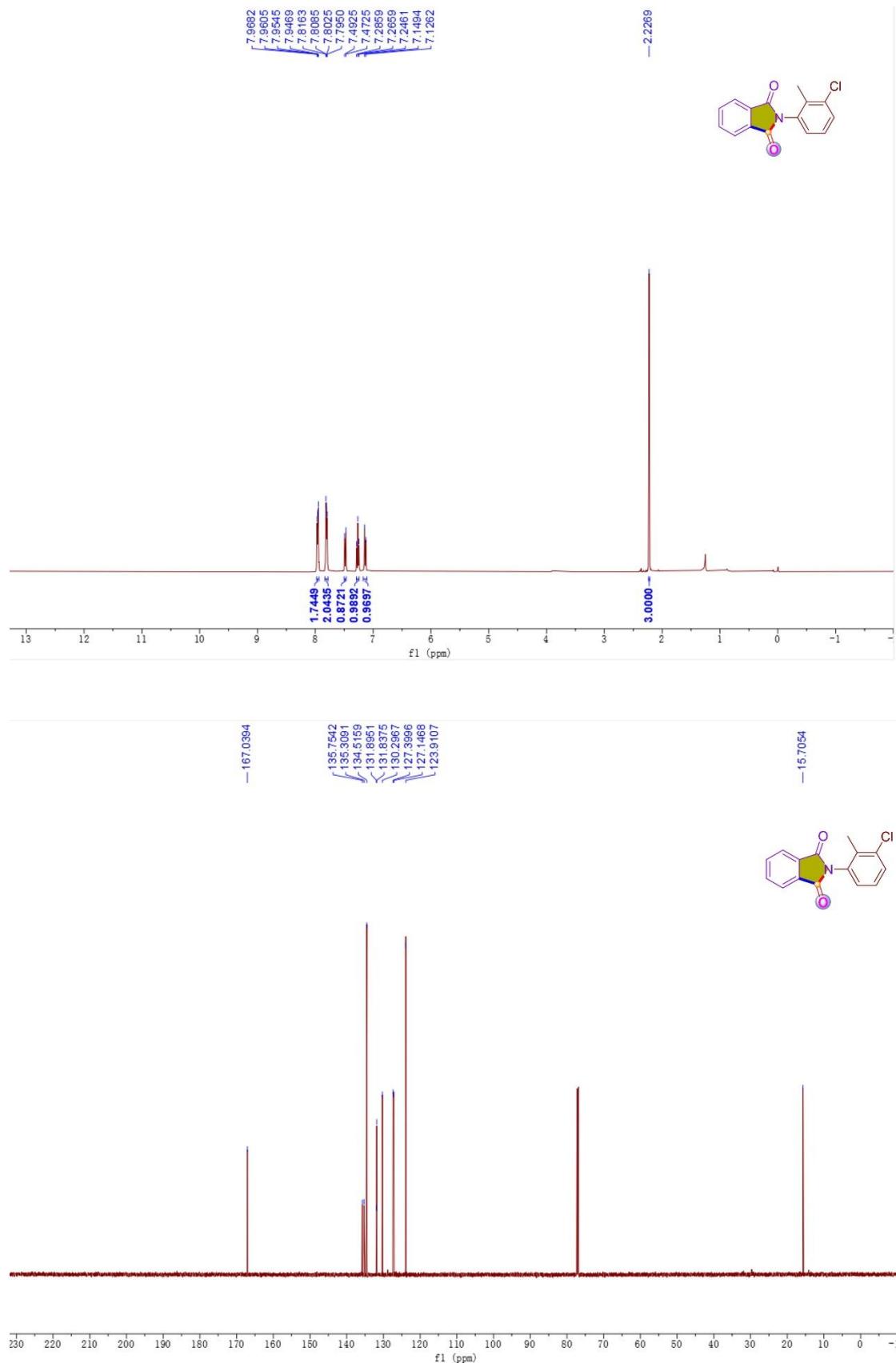
**N-( 2,6- Diethylphenyl)phthalimide (3as)**



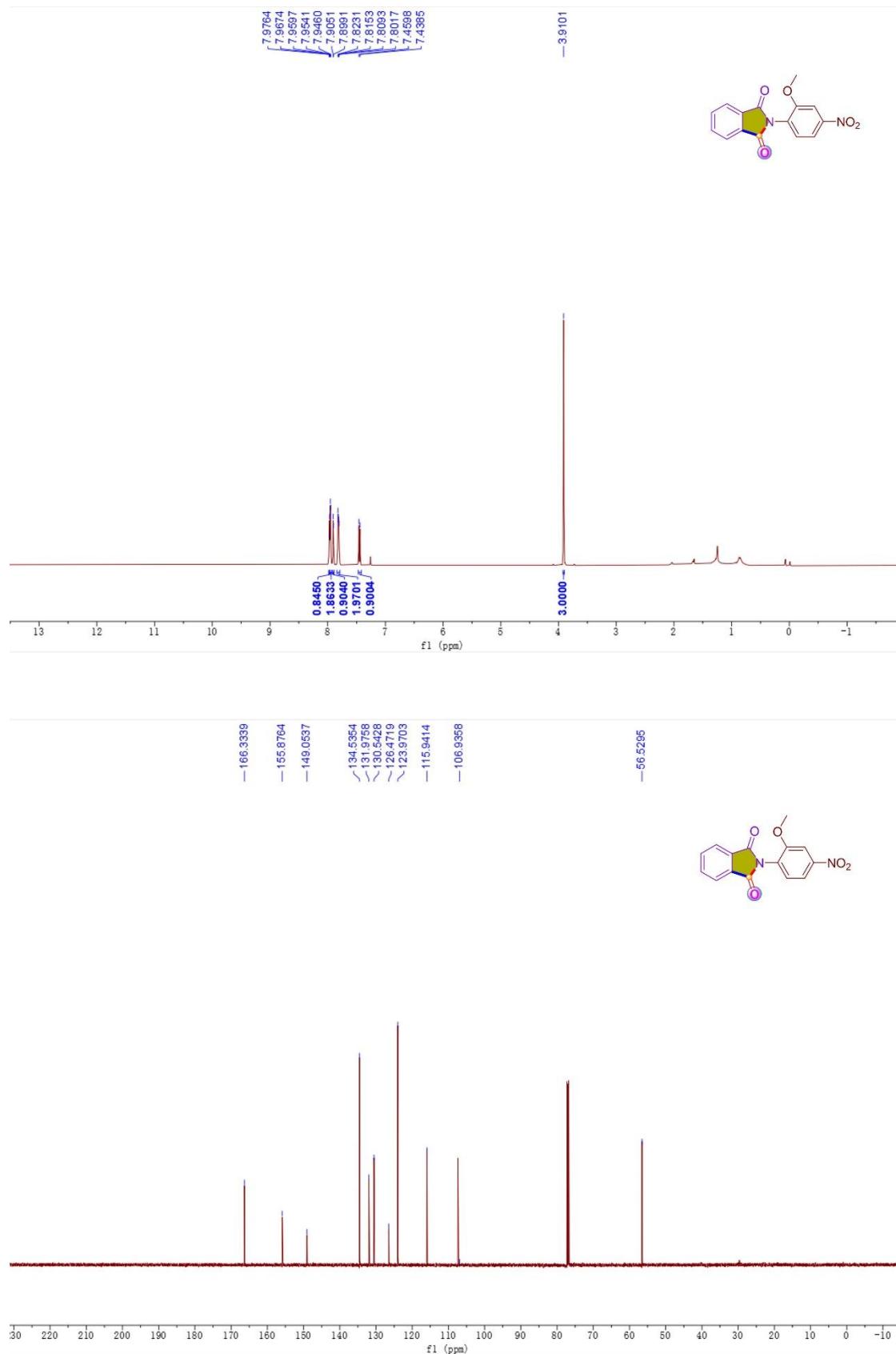
**N-( 2,6- Diisopropylphenyl)phthalimide (3at)**



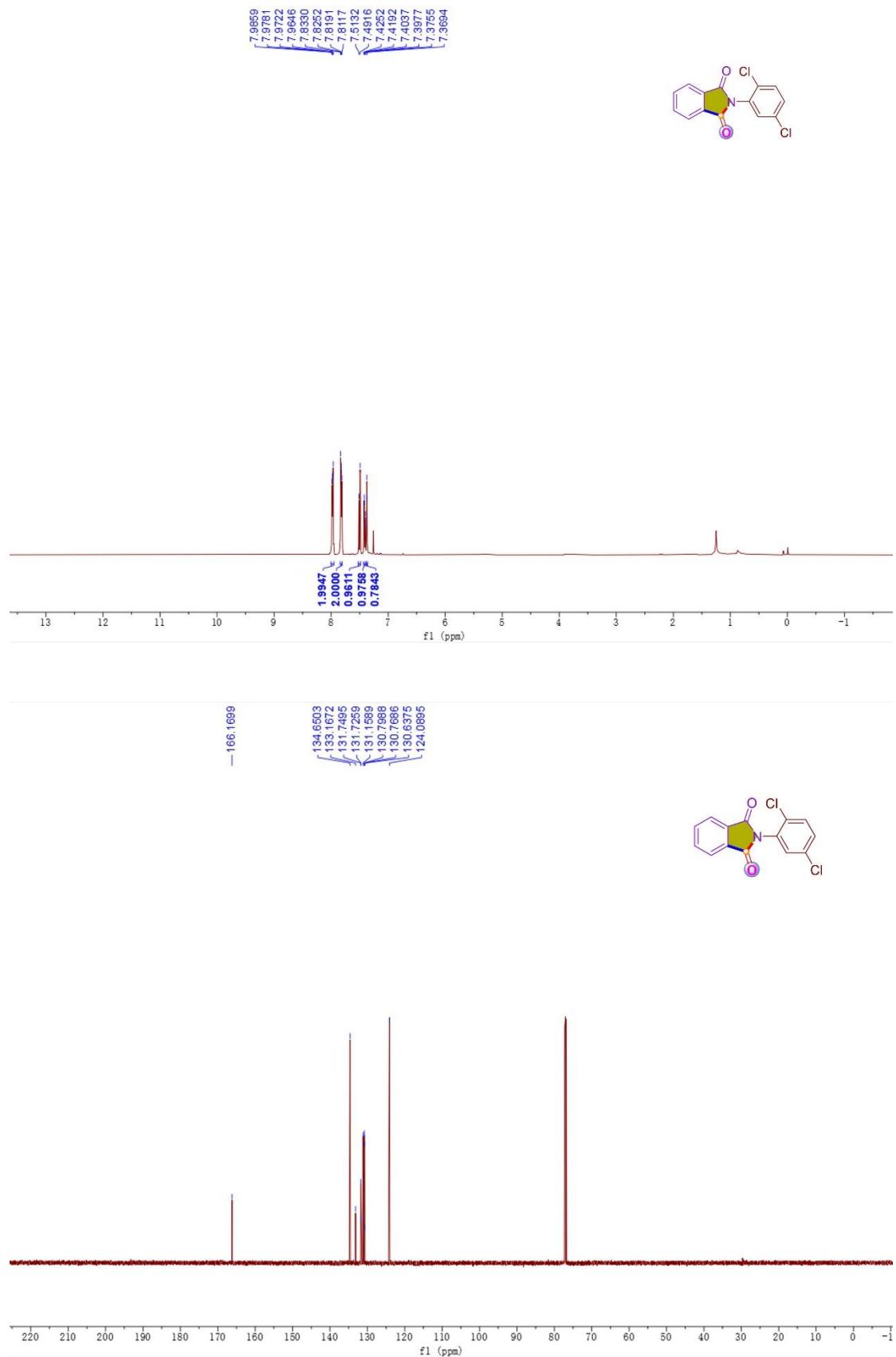
**N-( 3-Chloro-2-methylphenyl)phthalimide (3au)**



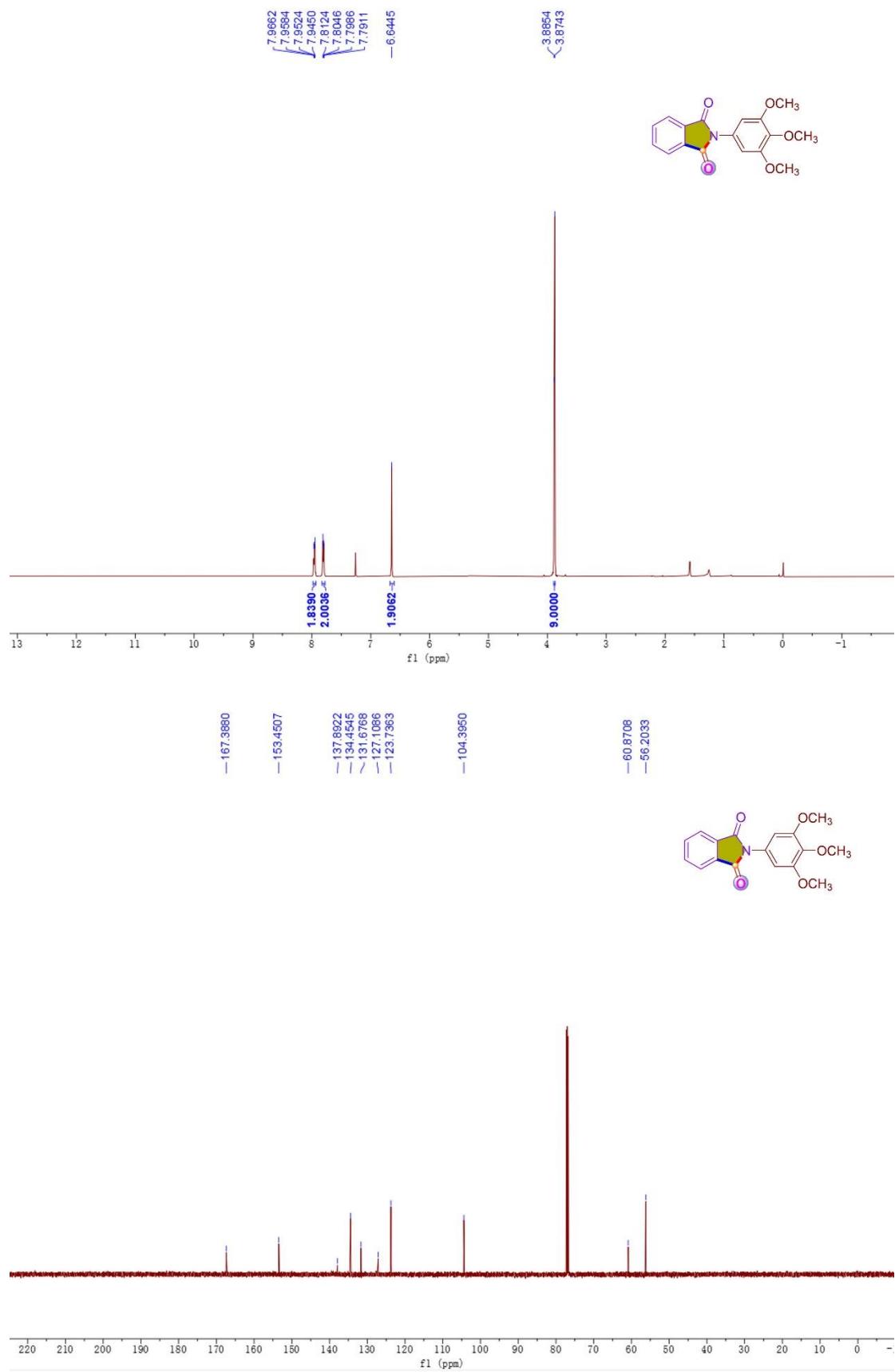
**N-(2-Methoxy-4-nitrophenyl)phthalimide (3av)**



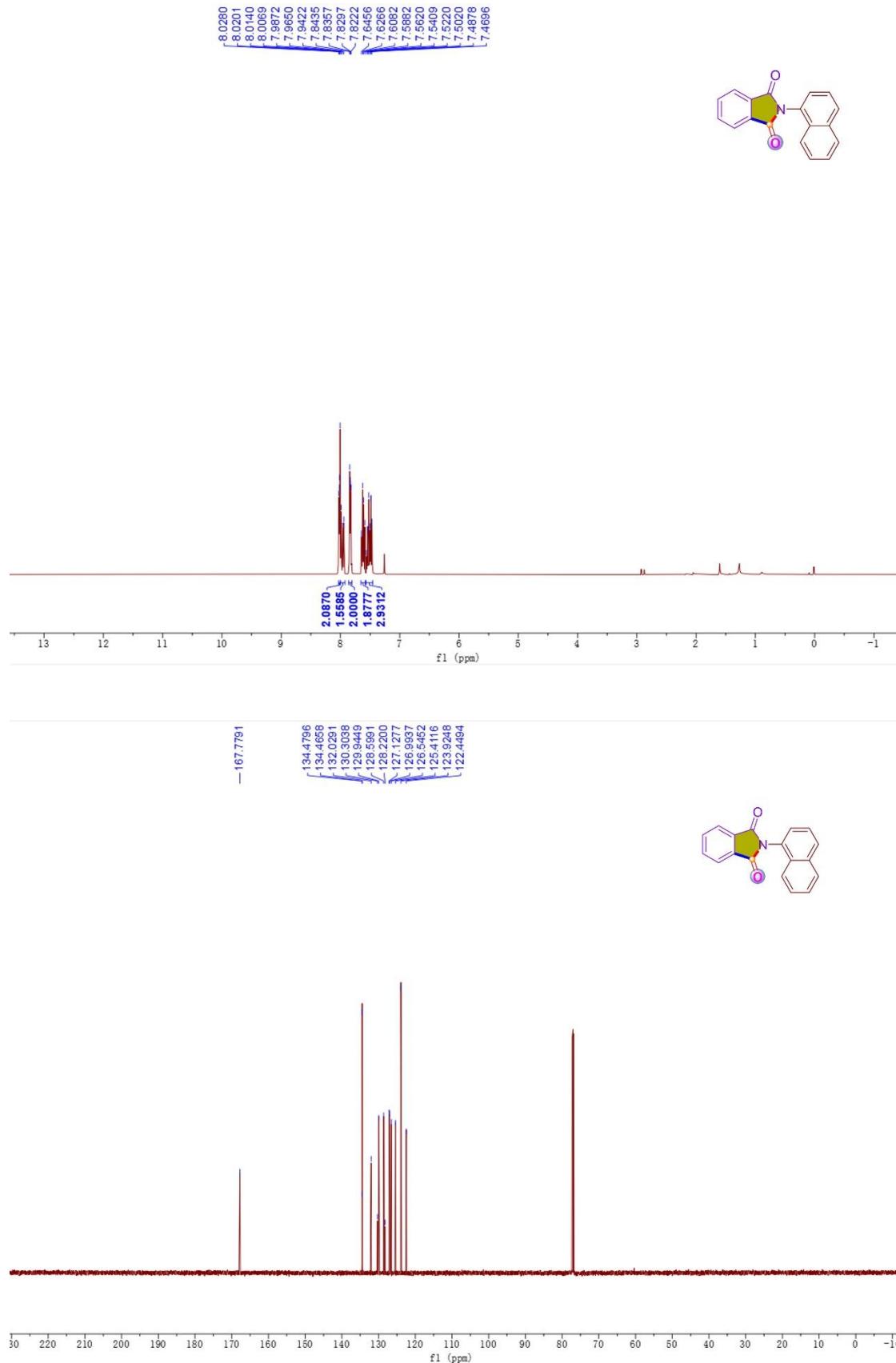
**N-(2,5-Dichlorophenyl)phthalimide (3aw)**



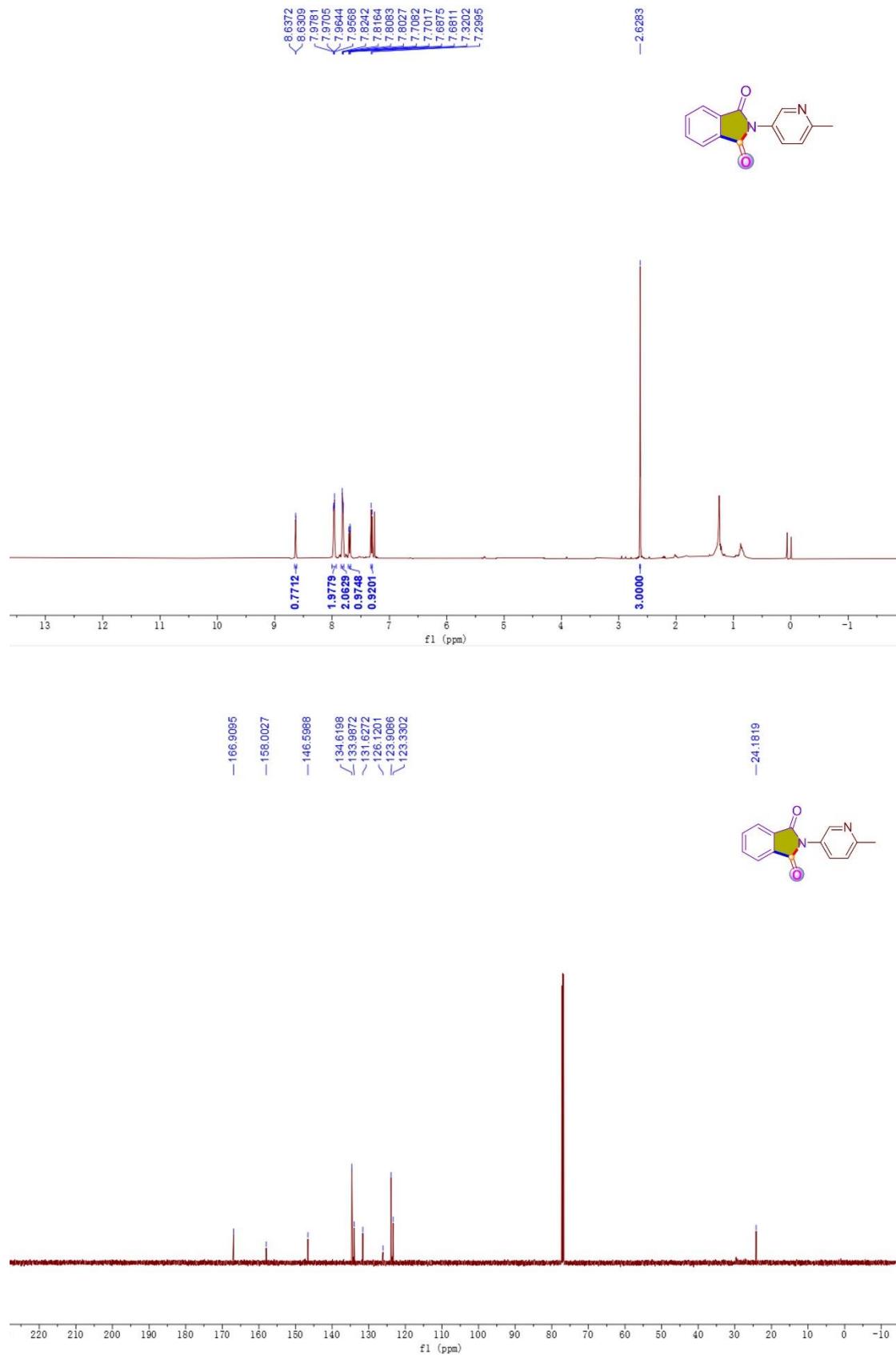
**N-(3,4,5-Trimethoxyphenyl)phthalimide (3ax)**



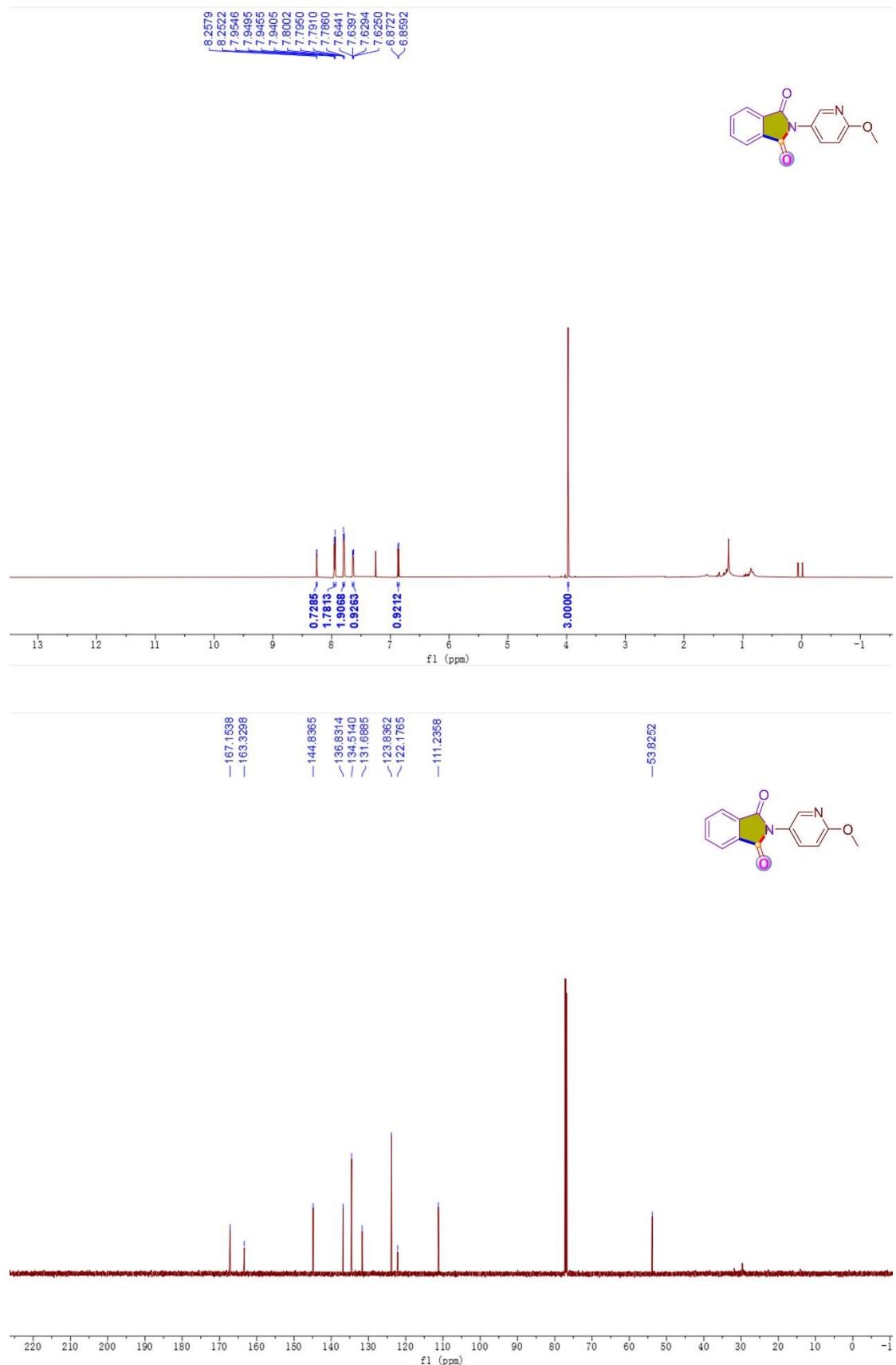
**N-(Naphthalen-1-yl)phthalimide (3az)**



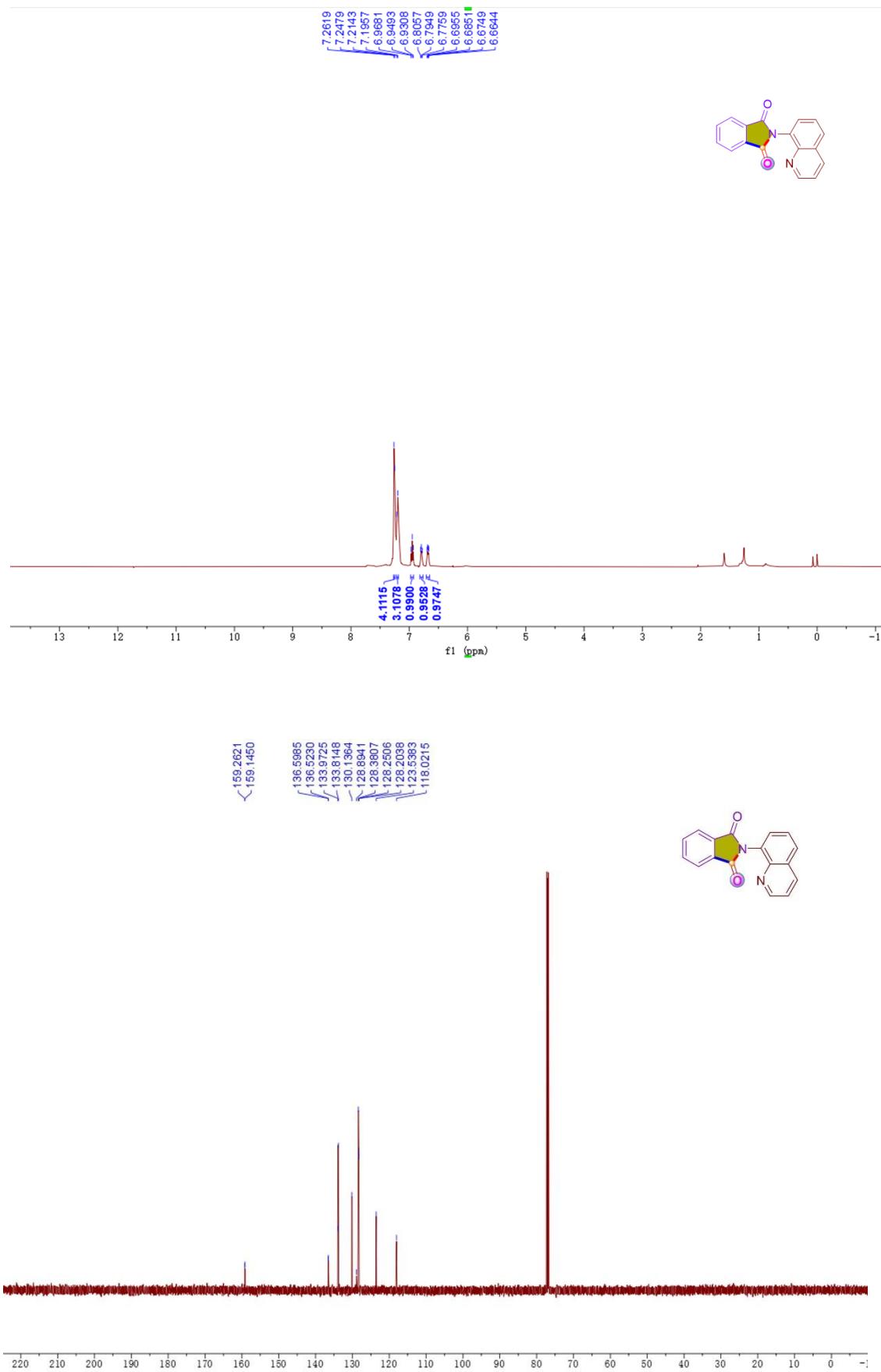
**N-(6-Methylpyridin-3-yl)phthalimide (3bb)**



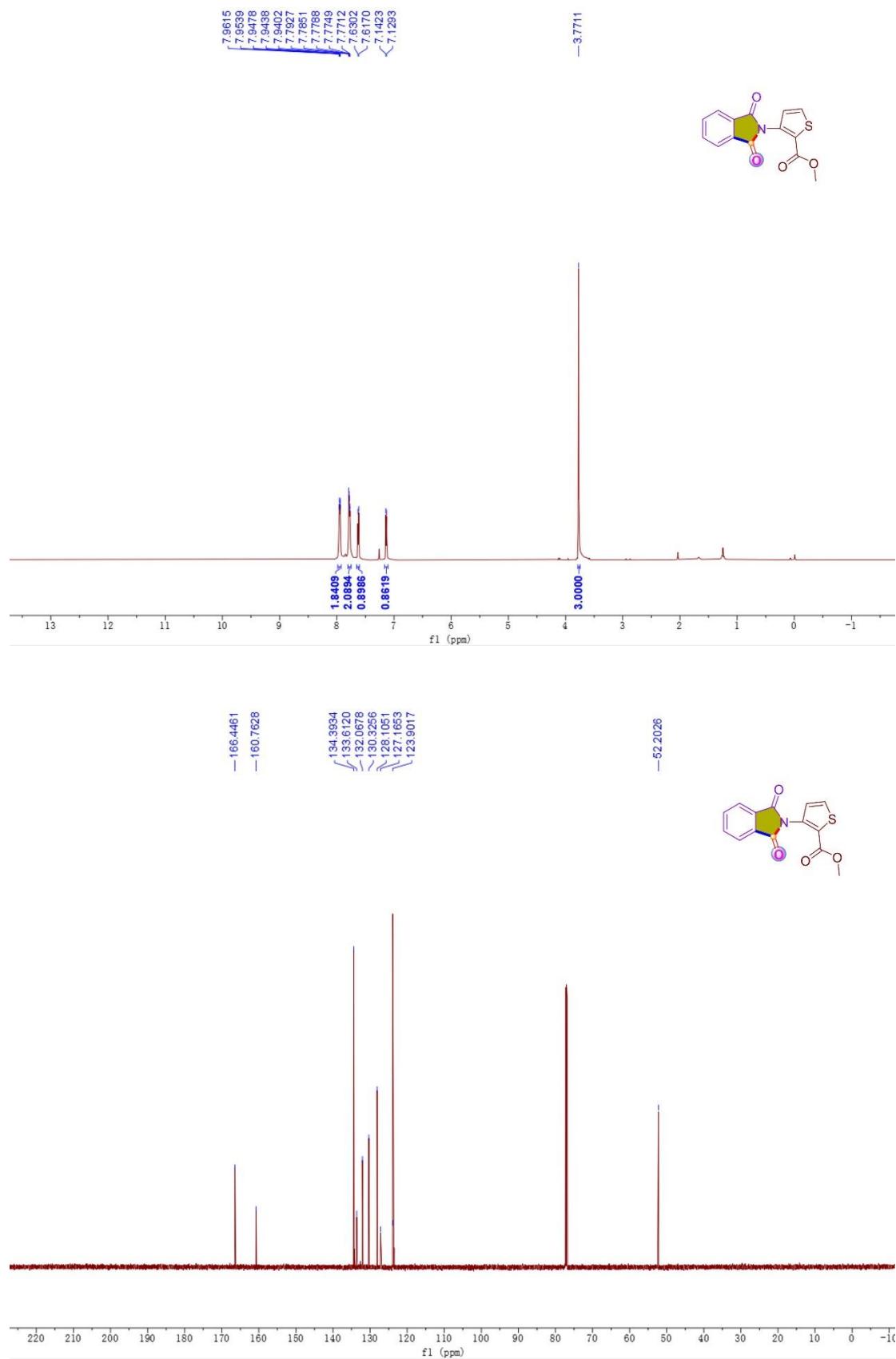
**N-( 6-Methoxypyridin-3-yl)phthalimide (3bc)**



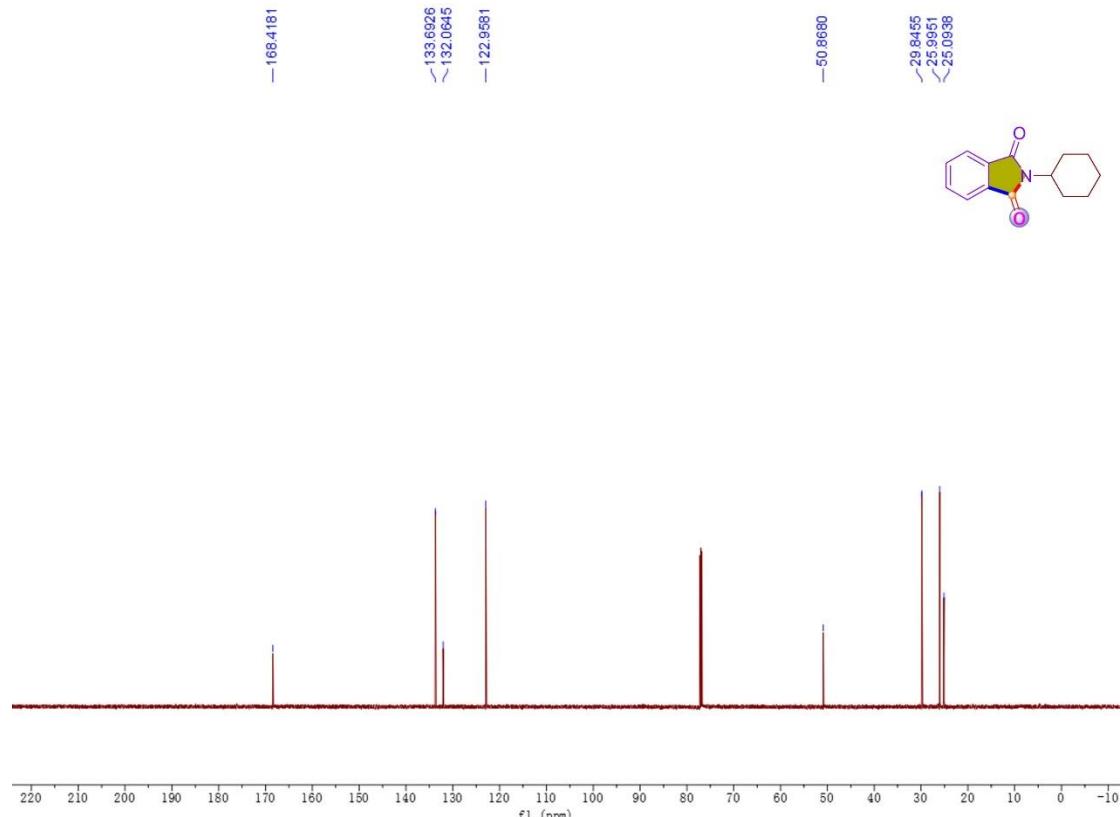
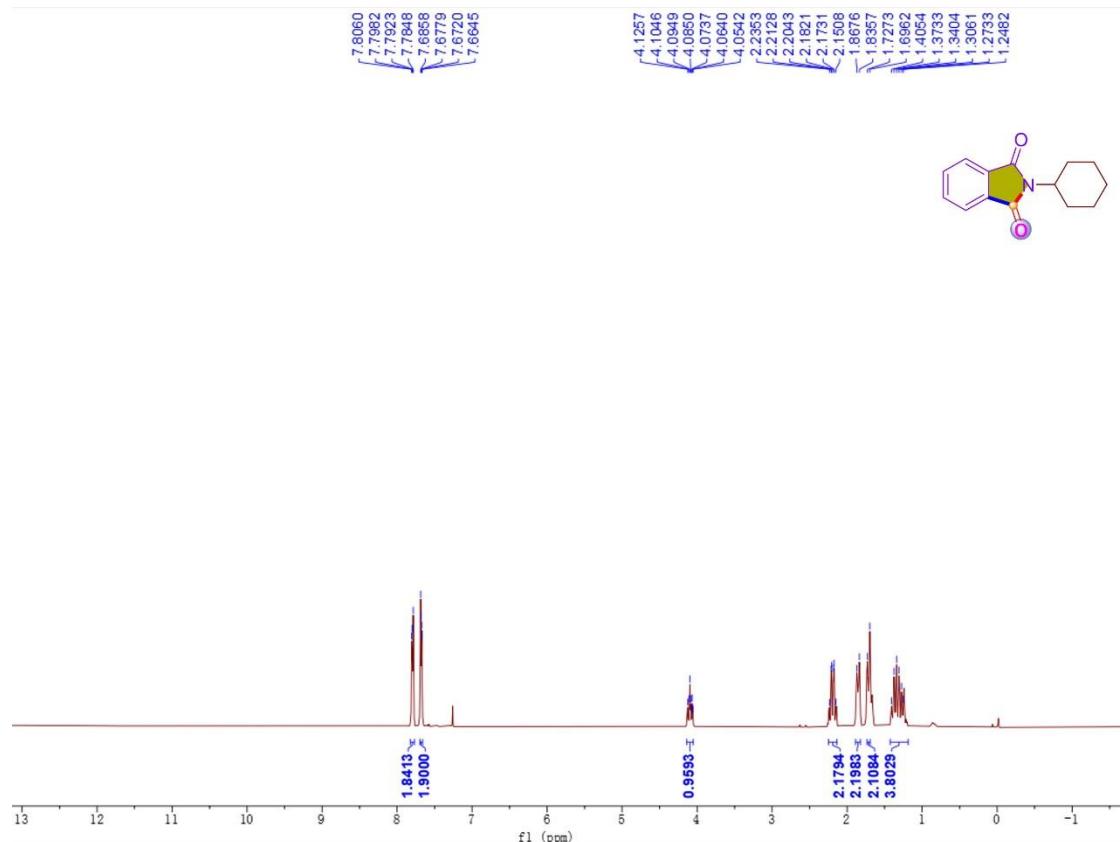
**N-(Quinolin-8-yl)phthalimide (3bd)**



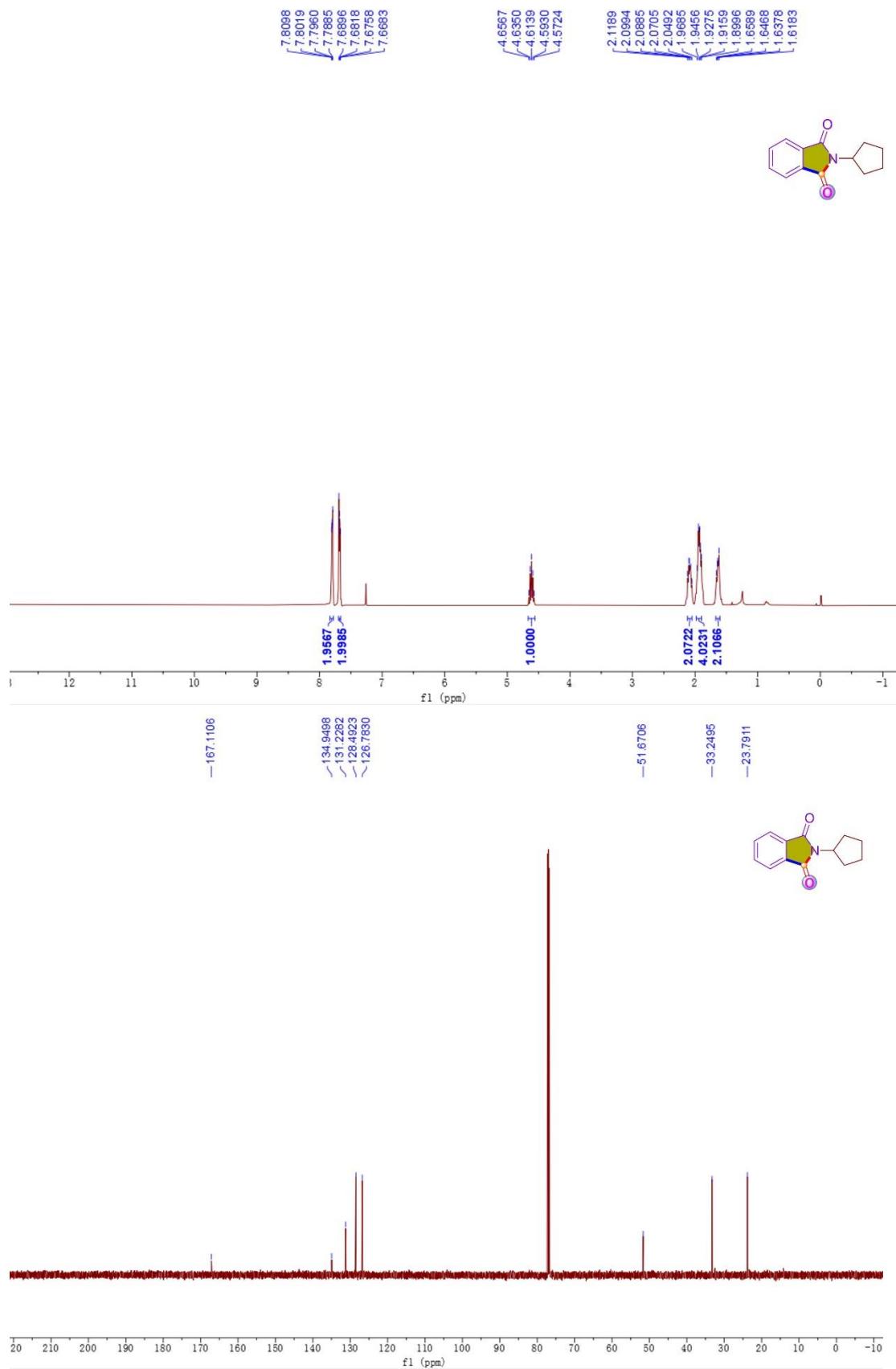
**Methyl 3-(1,3-dioxoisindolin-2-yl)thiophene-2-carboxylate (3be)**



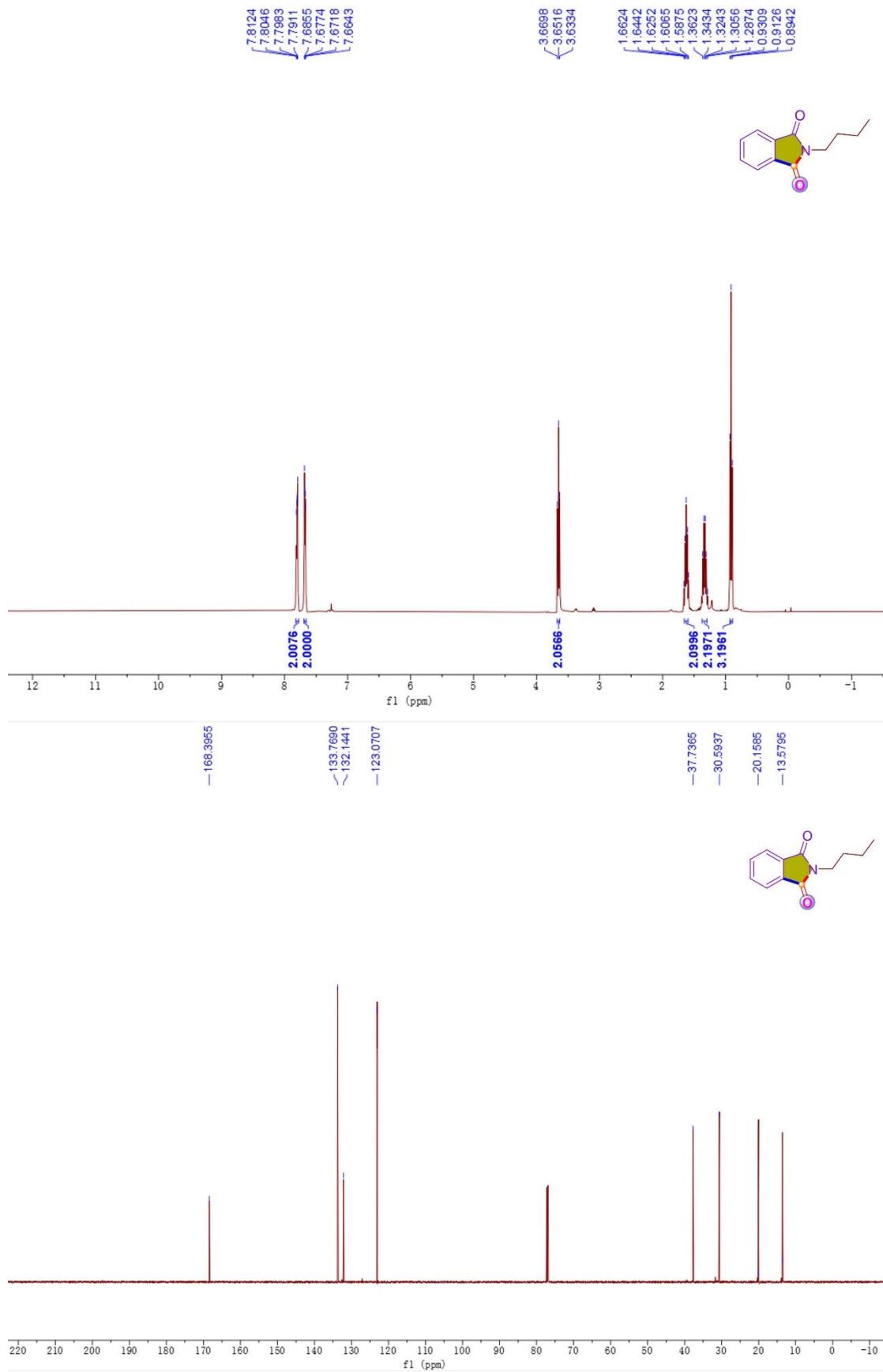
**N-Cyclohexylphthalimide (3bf)**



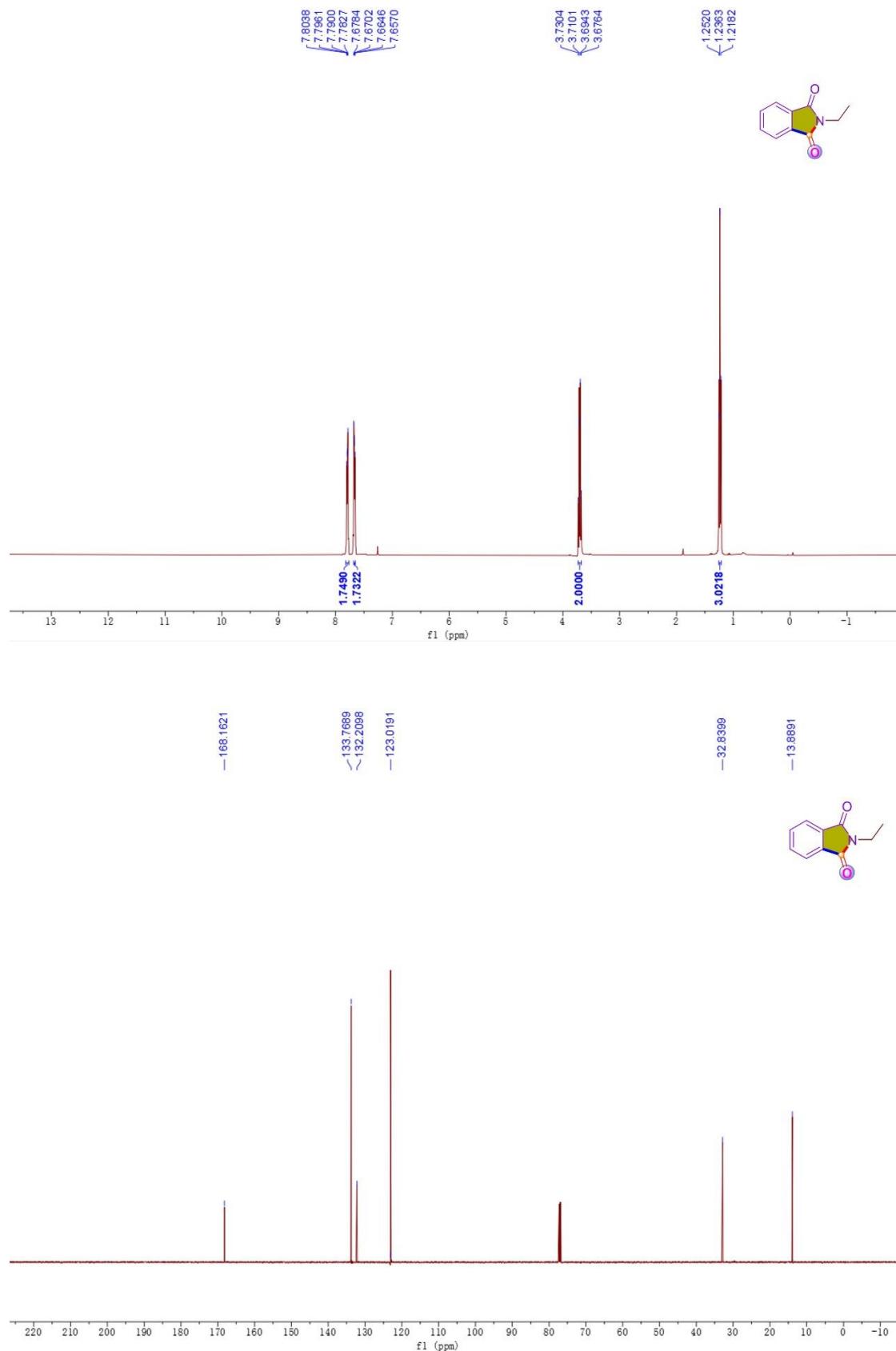
**N-Cyclopentylphthalimide (3bg)**



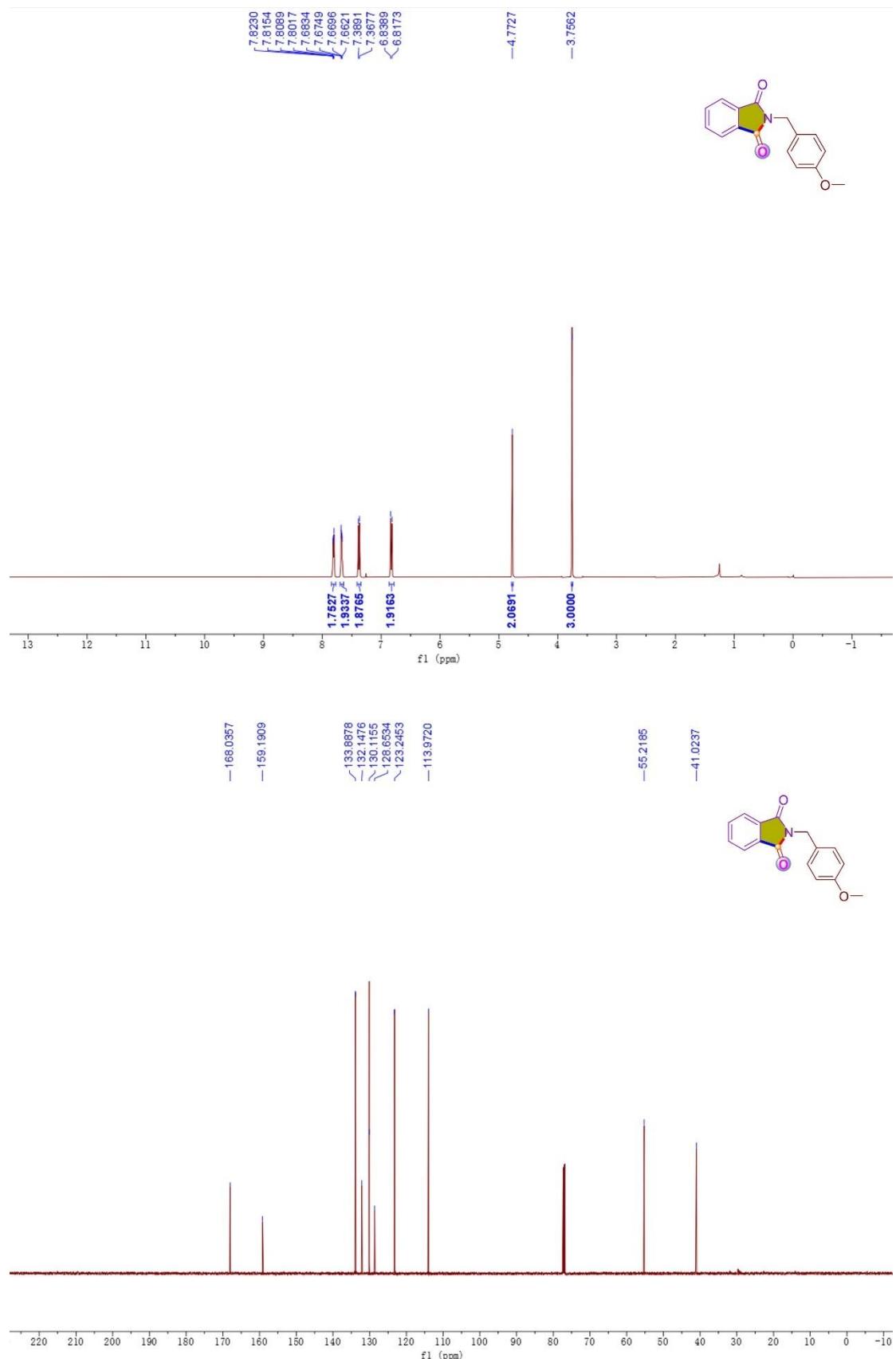
### **N-Butylphthalimide (3bh)**



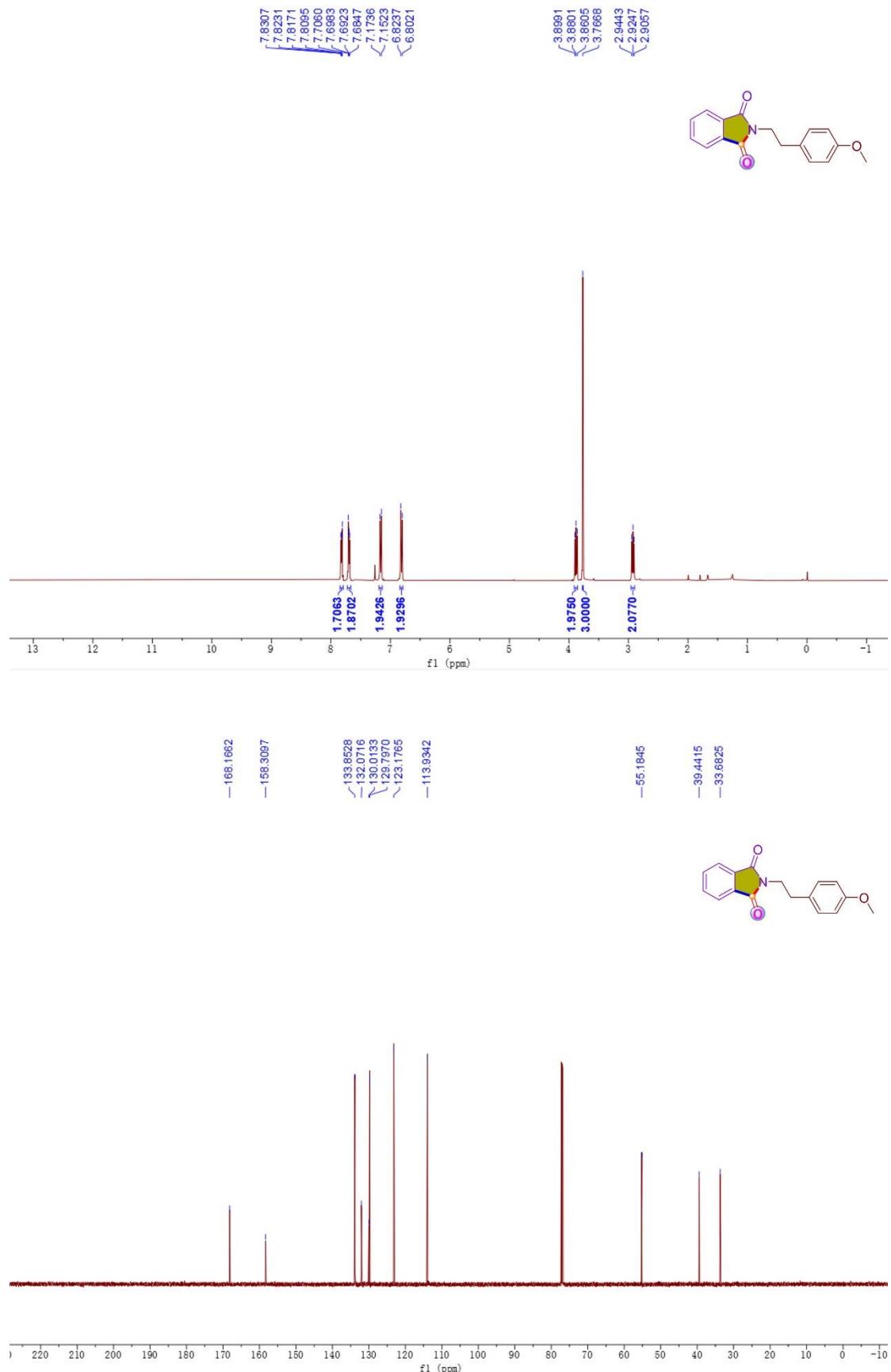
**N-Ethylphthalimide (3bi)**



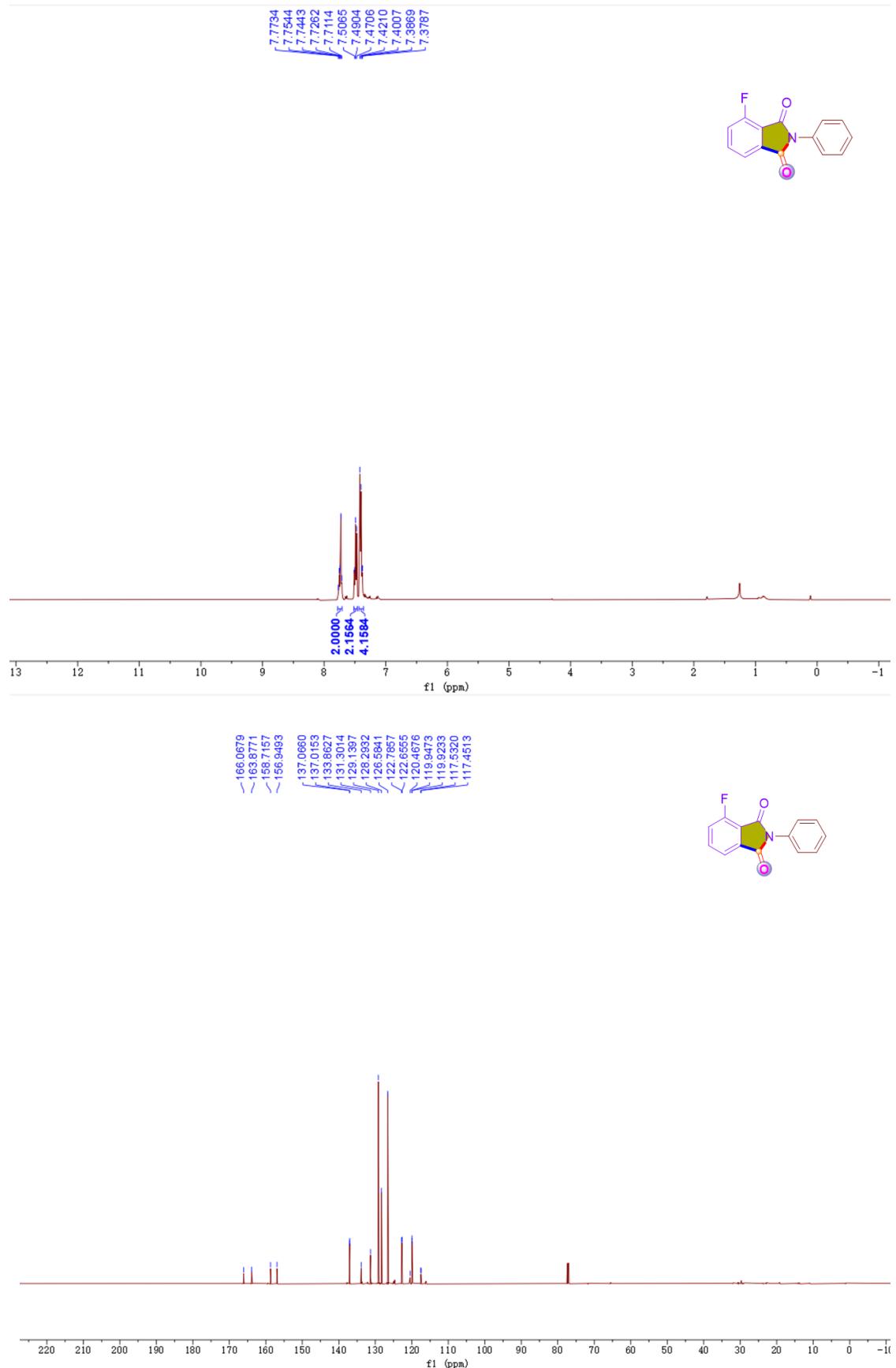
***N*-(4-Methoxybenzyl)phthalimide (3bj)**



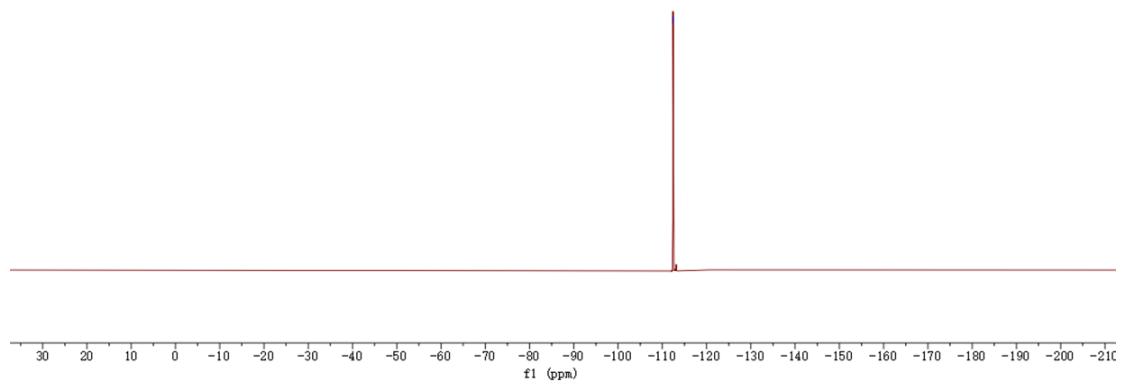
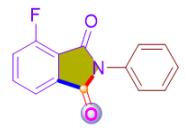
**N-(4-Methoxyphenethyl)phthalimide (3bk)**



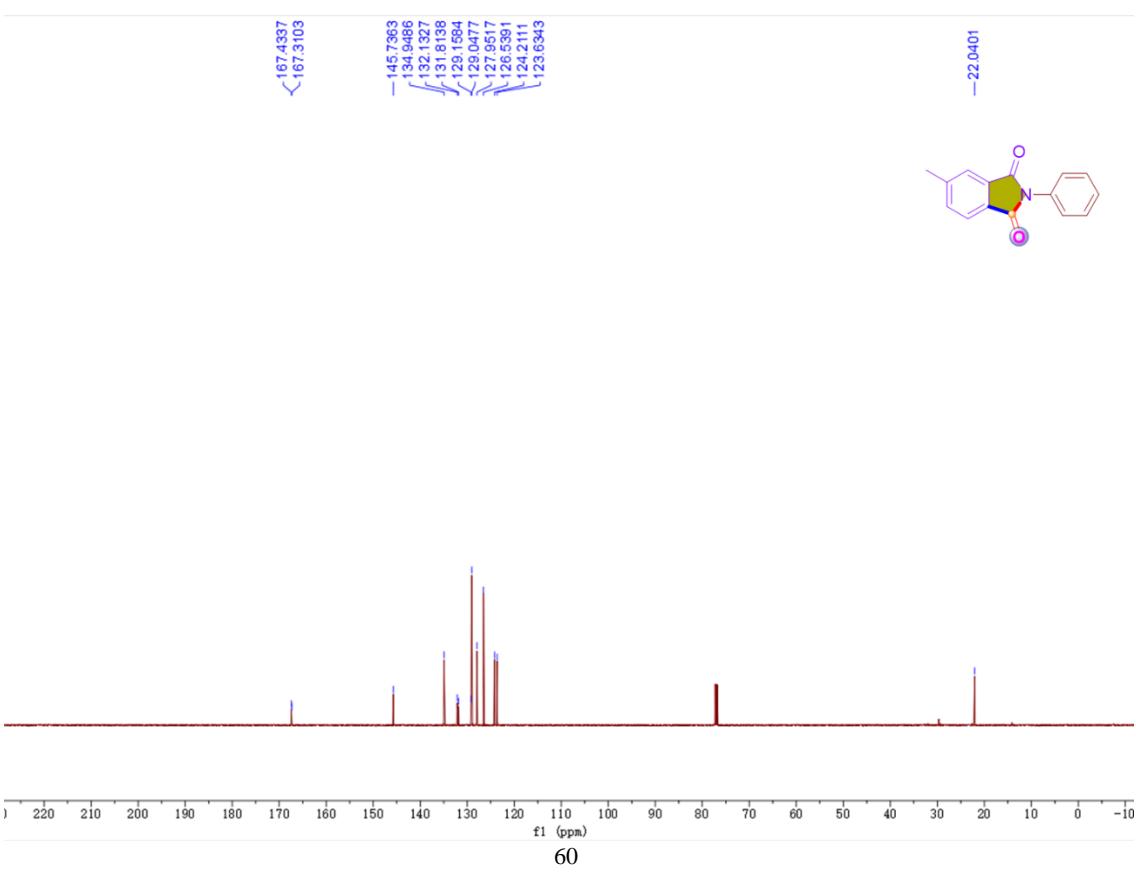
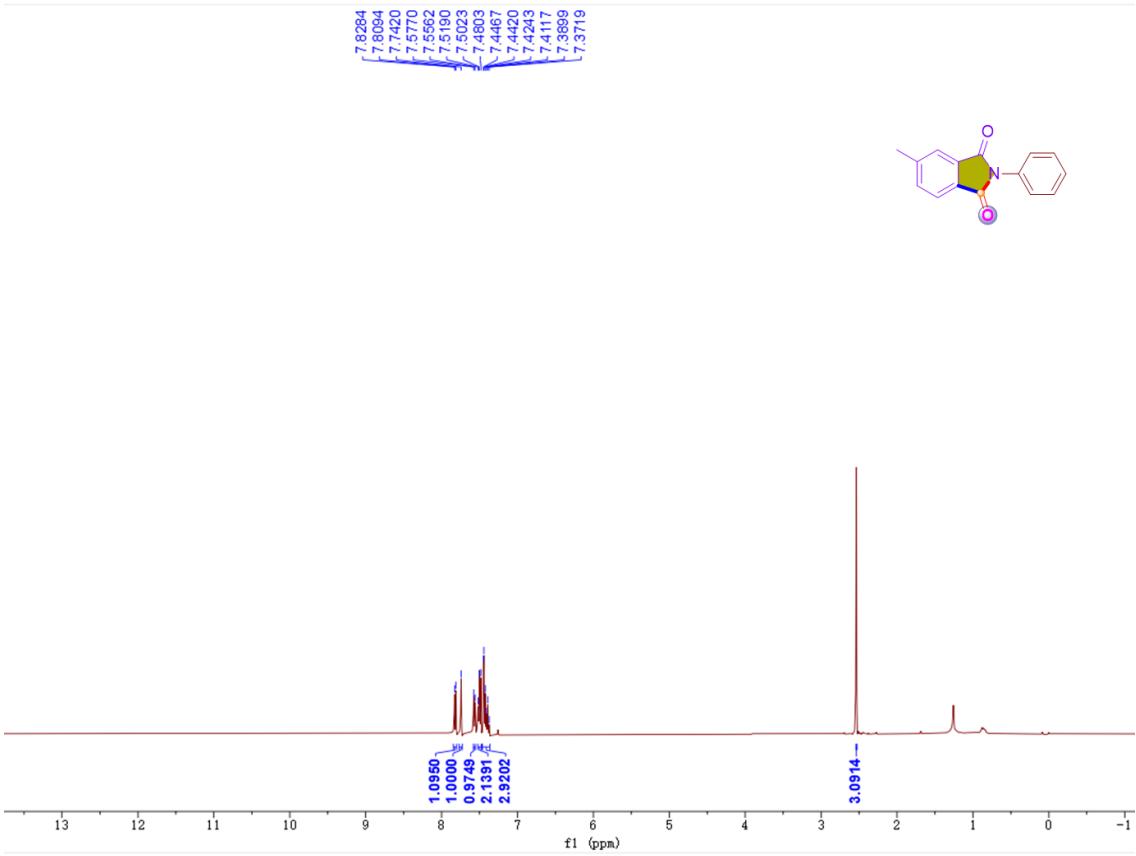
**4-Fluoro-2-phenylisoindoline-1,3-dione (3bo)**



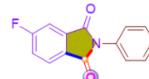
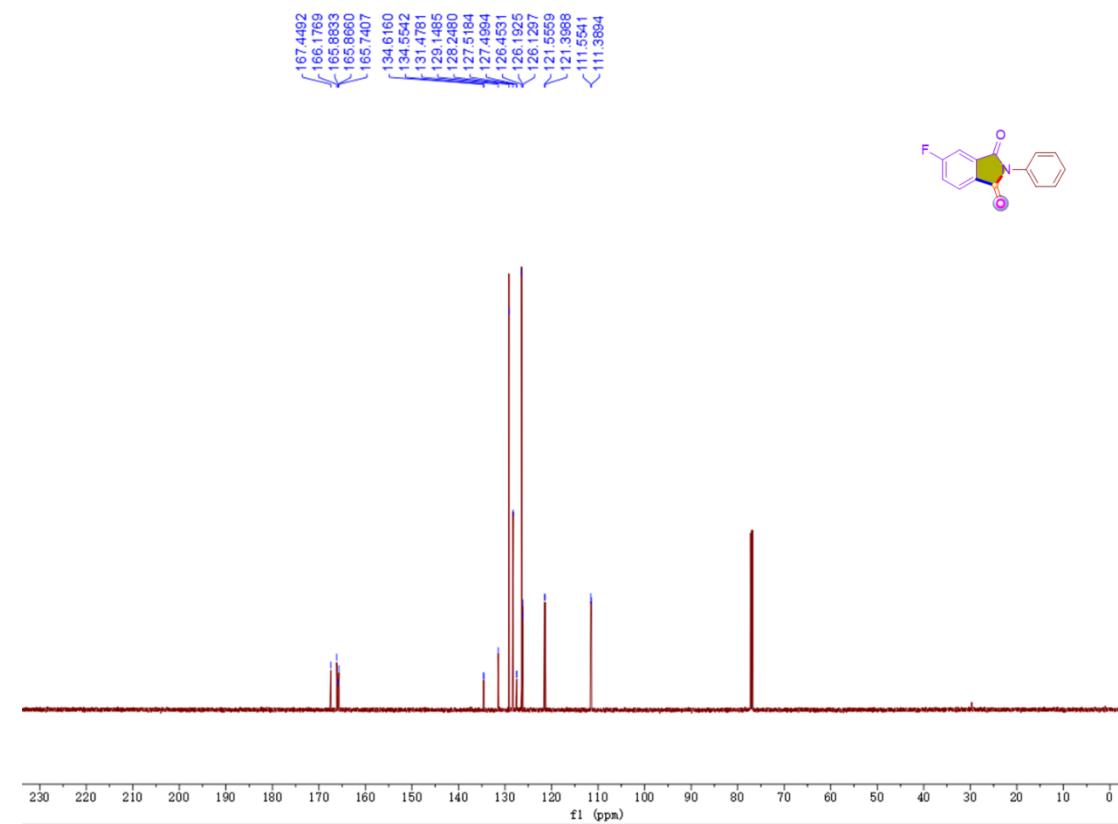
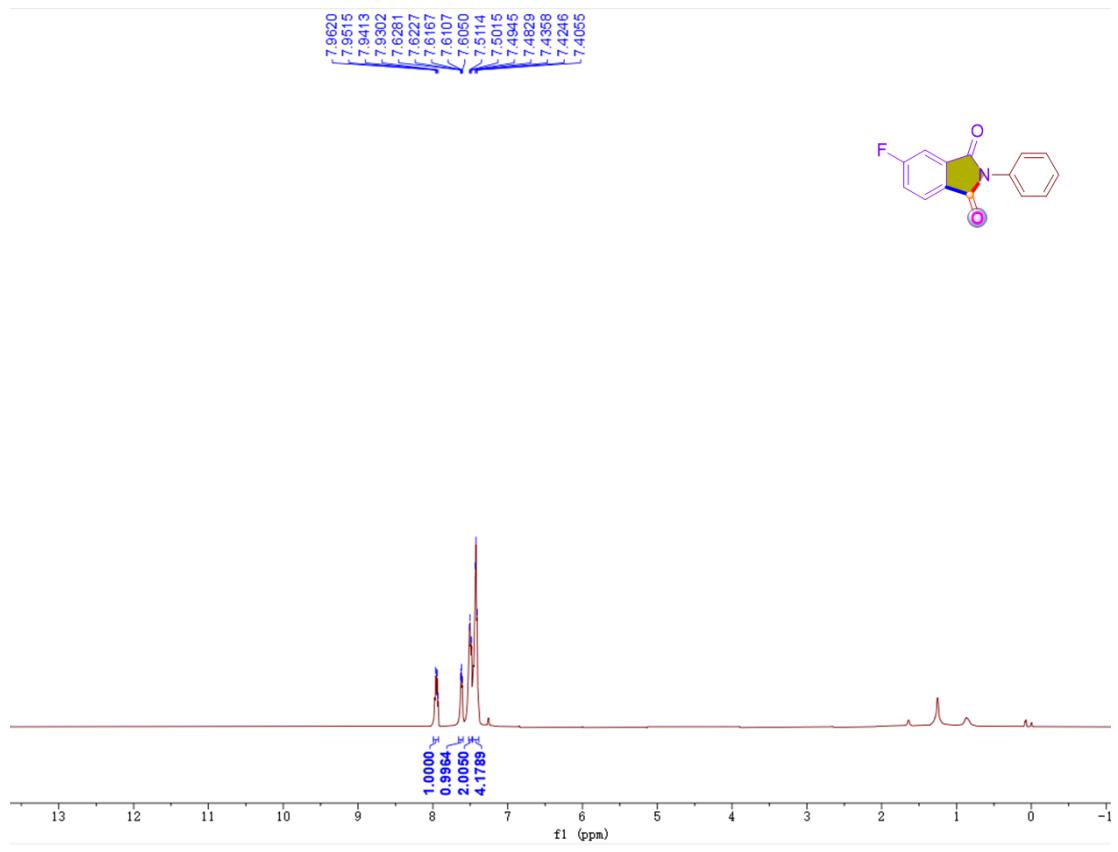
—112.4142

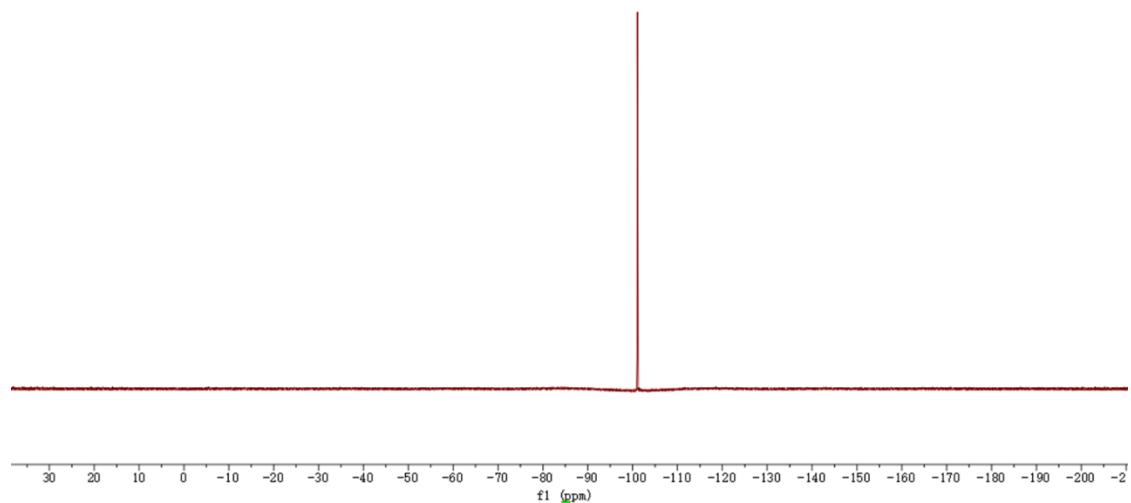
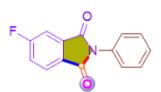


**5-Methyl-2-phenylisoindoline-1,3-dione (3bp)**

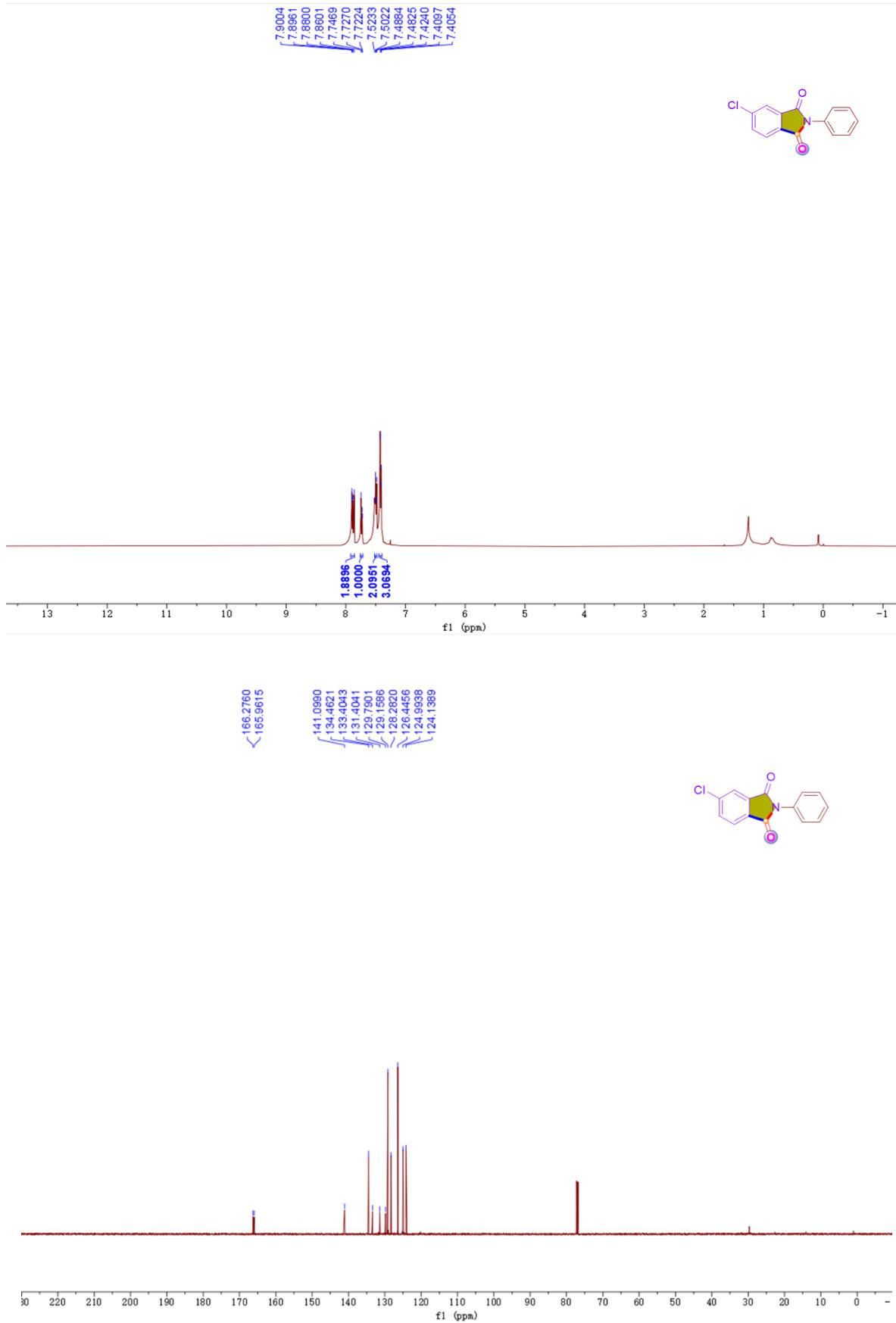


### **5-Fluoro-2-phenylisoindoline-1,3-dione (3bq)**

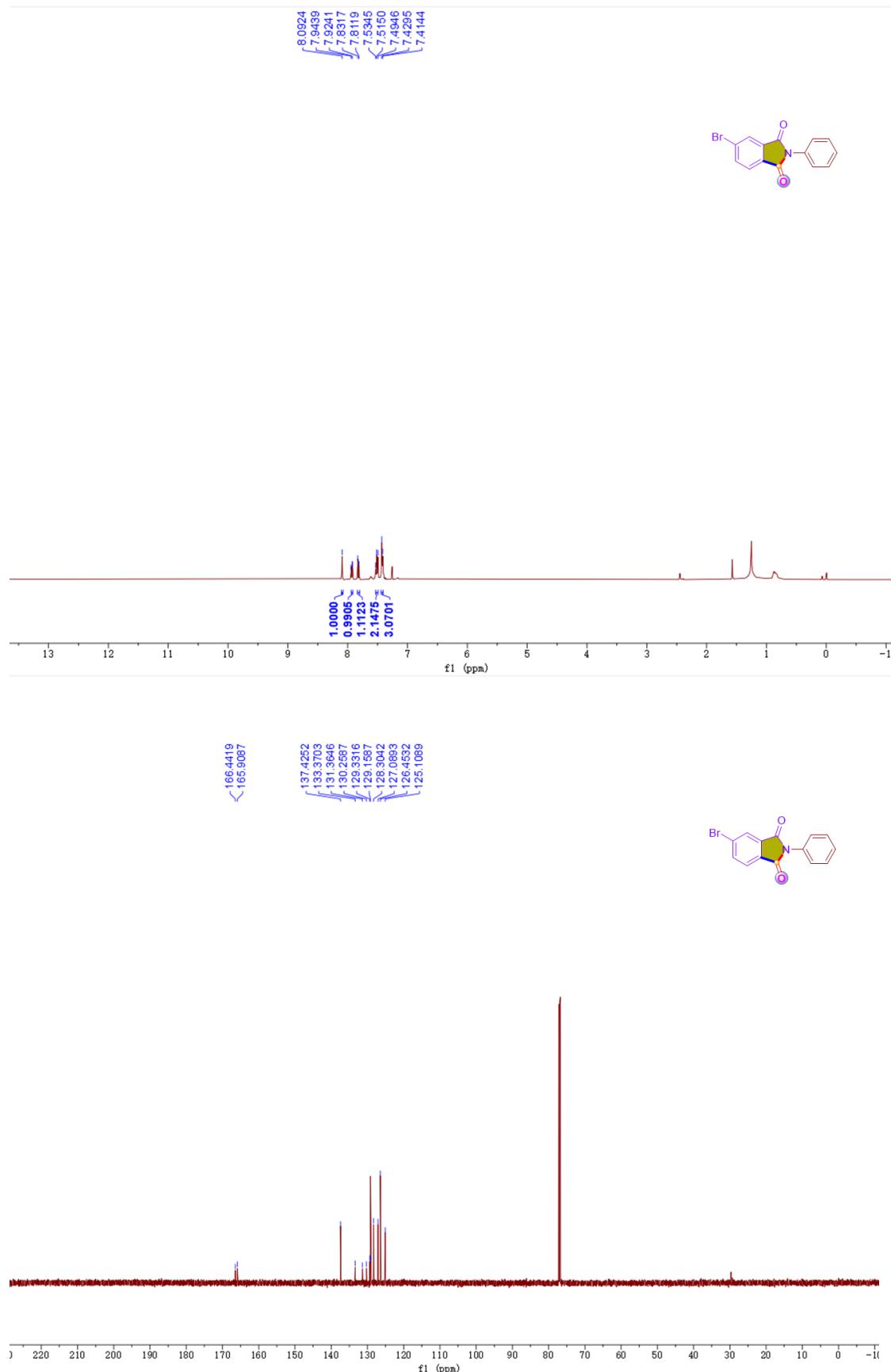




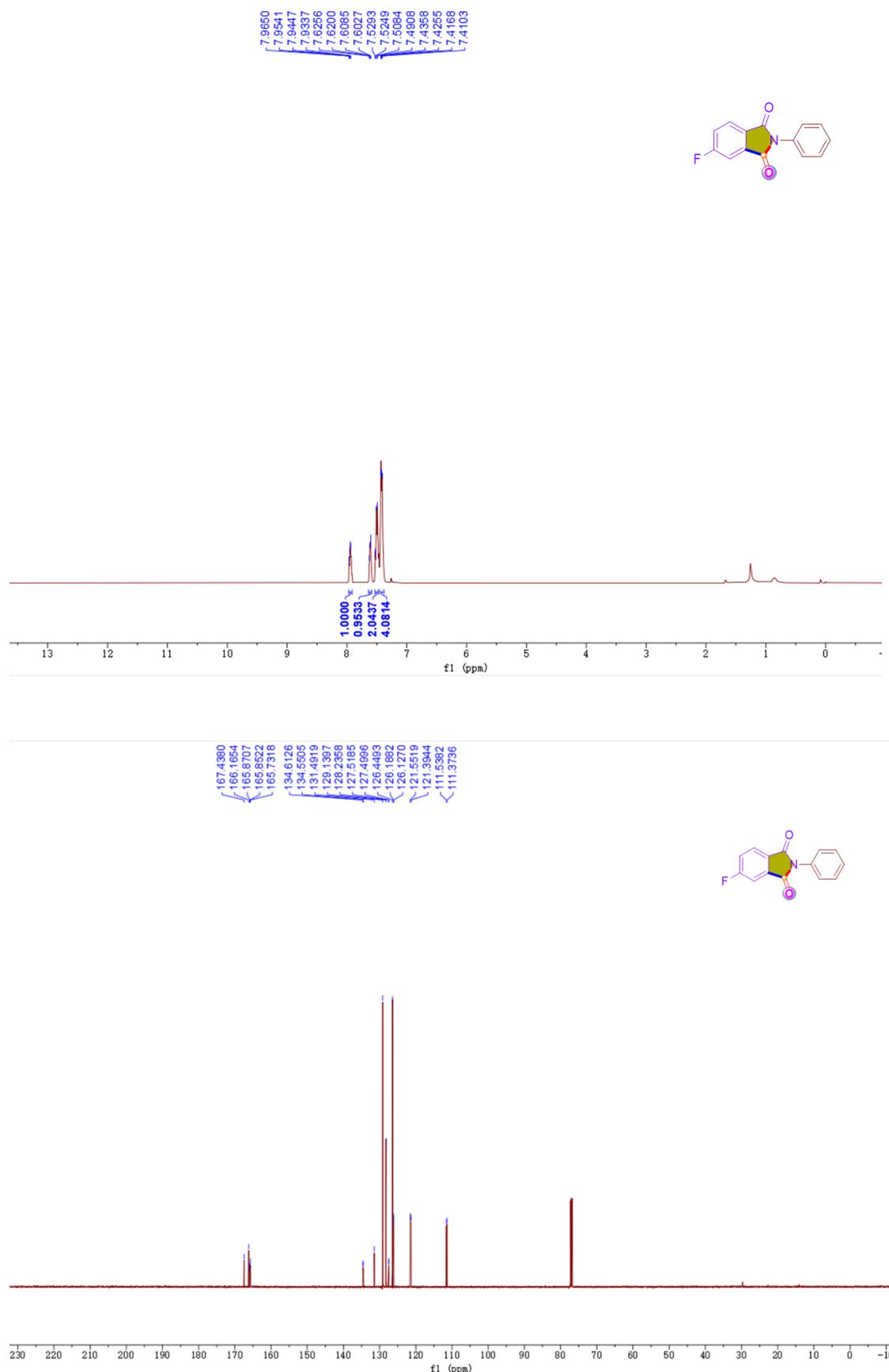
**5-Chloro-2-phenylisoindoline-1,3-dione (3br)**

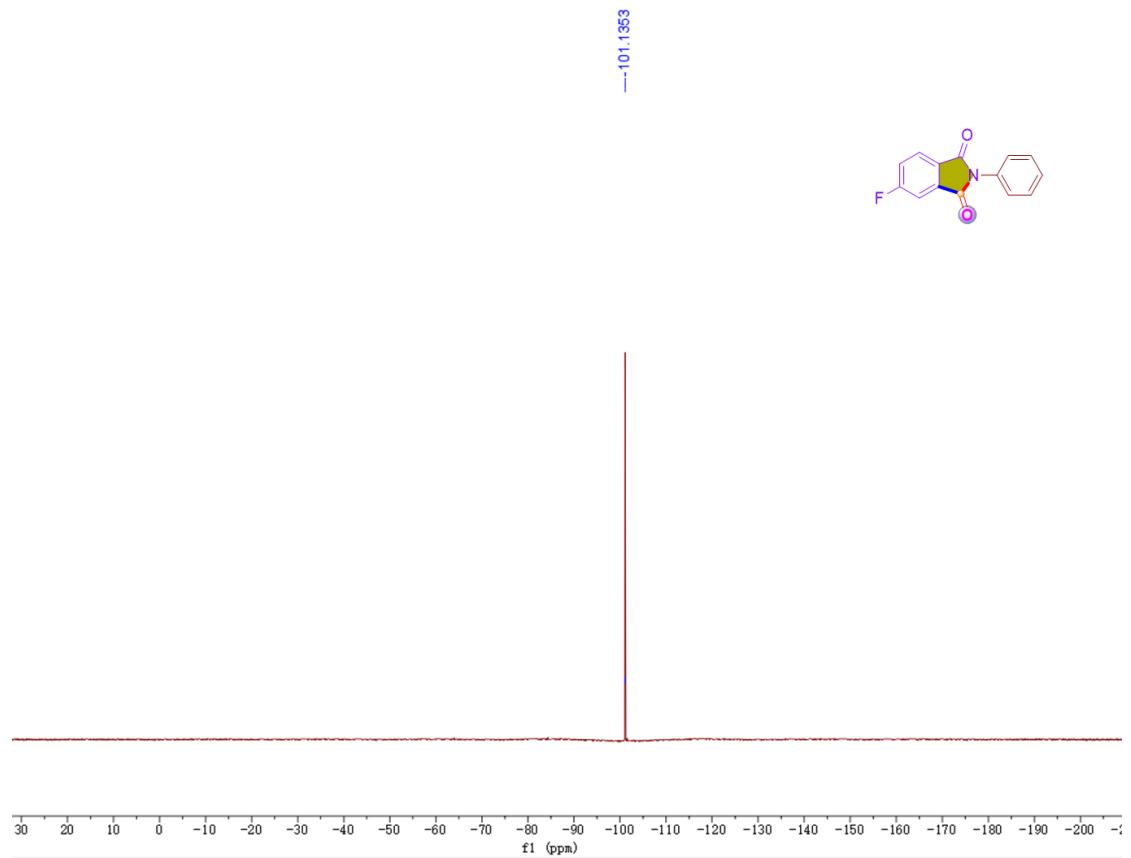


**5-Bromo-2-phenylisoindoline-1,3-dione (3bs)**

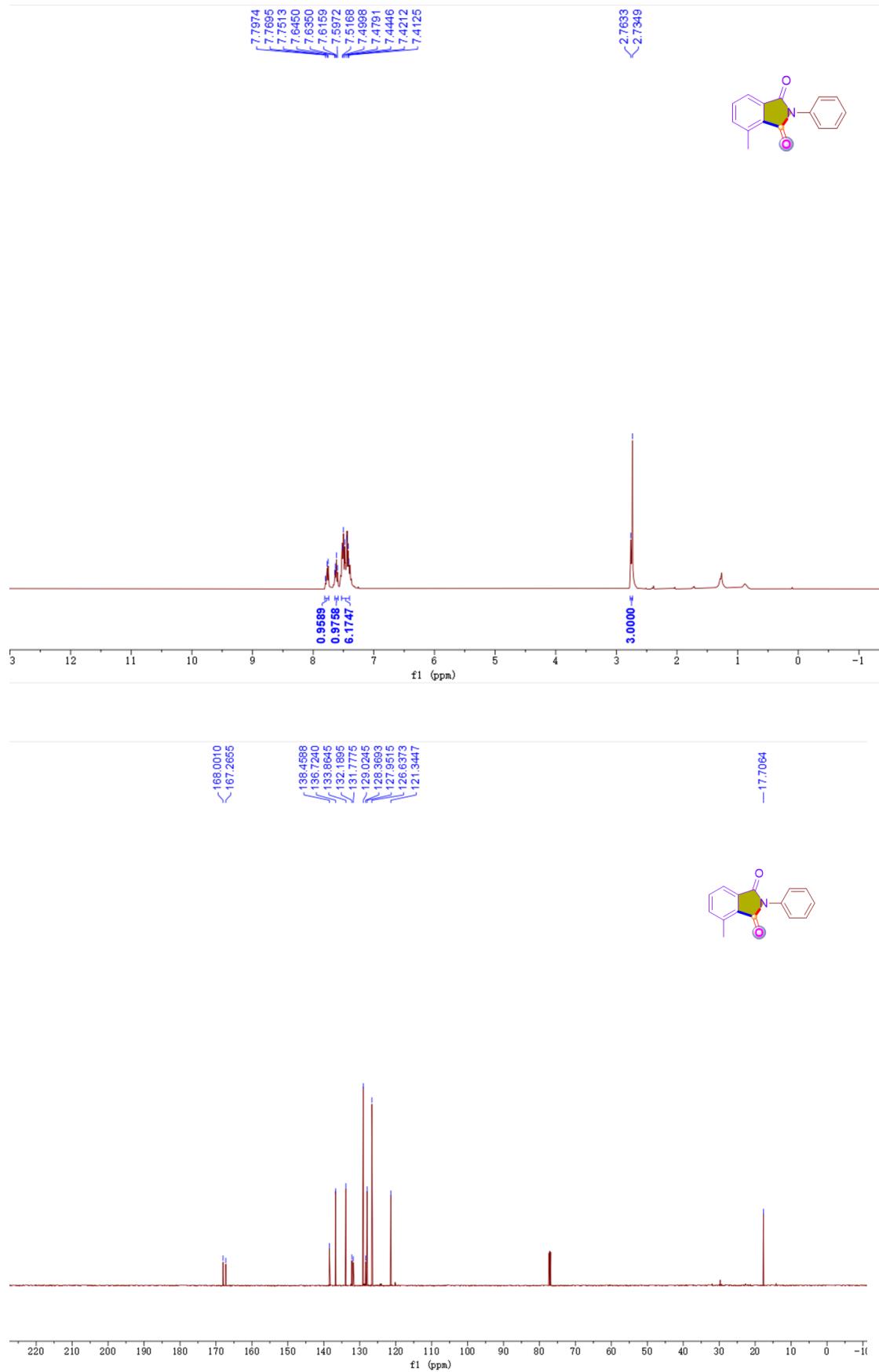


**5-Fluoro-2-phenylisoindoline-1,3-dione (3bt)**

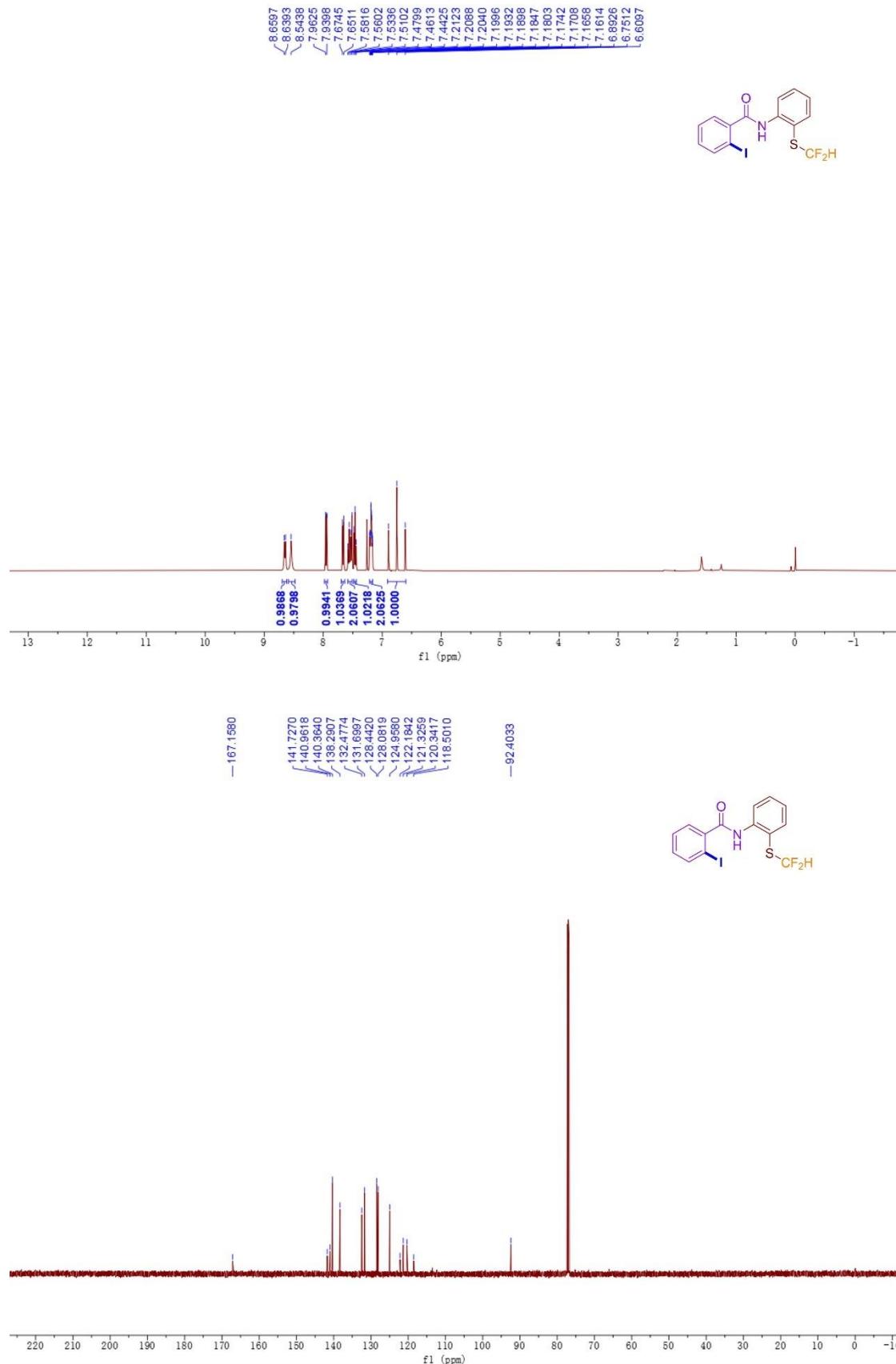




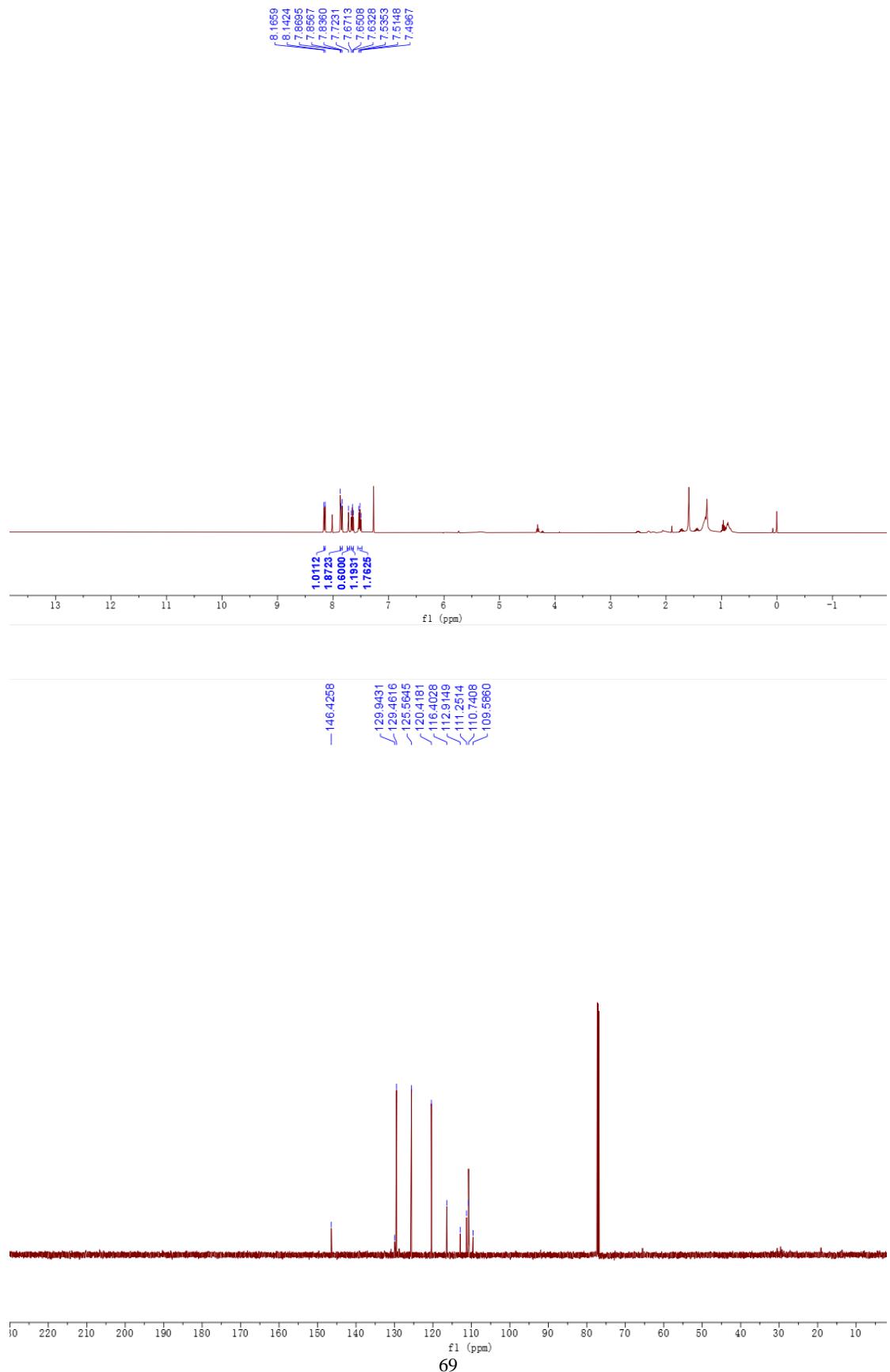
**4-Methyl-2-phenylisoindoline-1,3-dione (3bu)**



**N-(2-((difluoromethyl)thio)phenyl)-2-iodobenzamide (4a)**



**2-(4-methoxyphenethyl)isoindoline-1,3-dione (6c)**

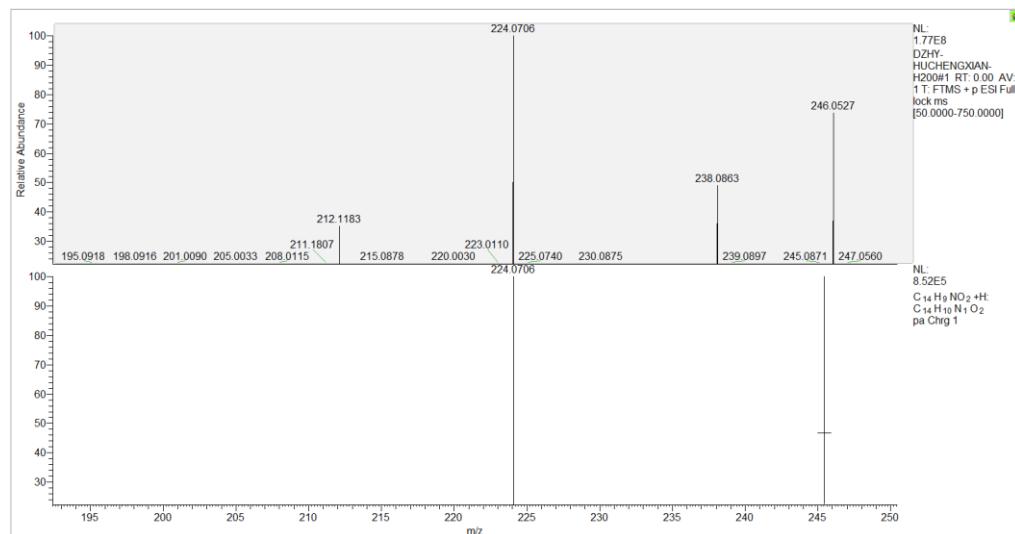


## 9. HRMS Spectra Copies of Products

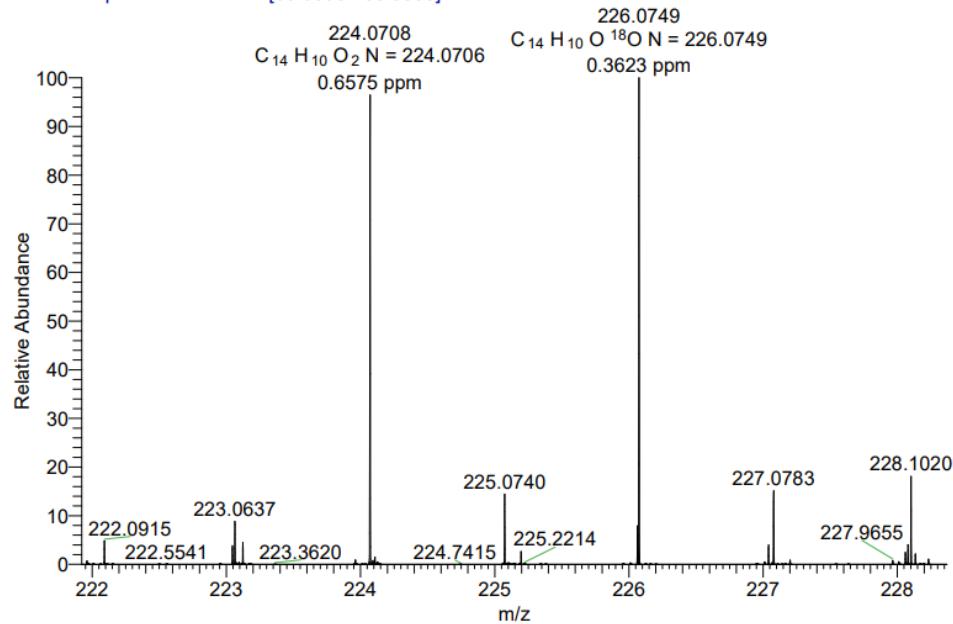
### Product 3aa and O<sup>18</sup>

HRMS (ESI) m/z calcd for C<sub>14</sub>H<sub>9</sub>N<sup>16</sup>O<sub>2</sub><sup>+</sup>, (M+H)<sup>+</sup> 224.0706, found 224.0706.

HRMS (ESI) m/z calcd for C<sub>15</sub>H<sub>8</sub>NO<sup>18</sup>O<sup>+</sup>, (M+H)<sup>+</sup> 226.0749, found 226.0749.



DZHY-HUCHENGXIAN-O18 #16-63 RT: 0.07-0.28 AV: 48 NL: 5.21E6  
T: FTMS + p ESI Full lock ms [60.0000-750.0000]

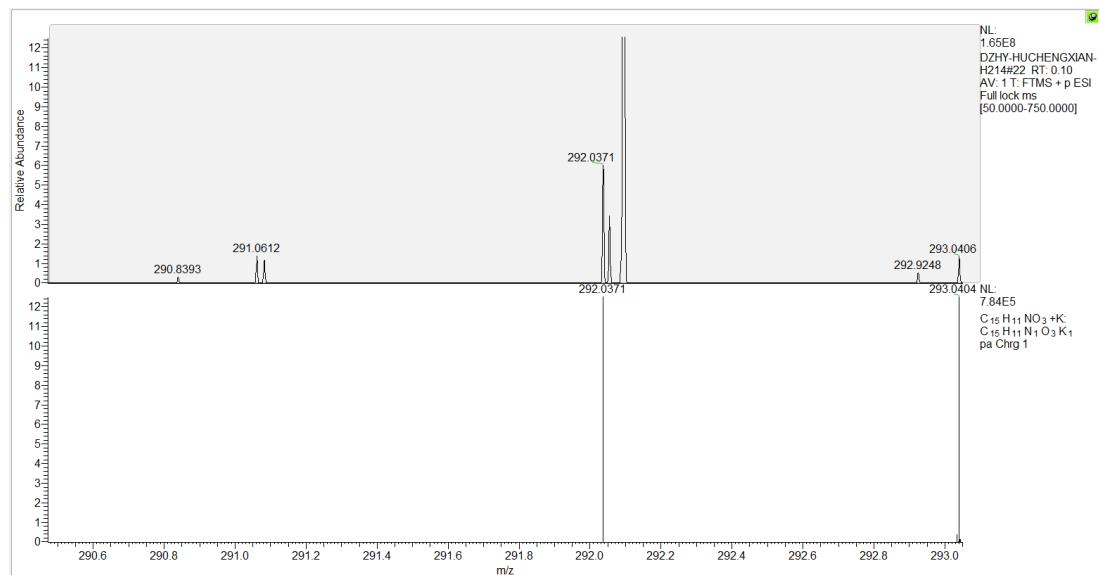


DZHY-HUCHENGXIAN-O18 #16-63 RT: 0.07-0.28 AV: 48  
T: FTMS + p ESI Full lock ms [60.0000-750.0000]  
m/z= 221.9219-228.3685

m/z	Intensity	Relative	Charge	Theo. Mass	Delta (ppm)	Composition
224.0708	5059857.5	96.98	1.00	224.0706	0.66	C <sub>14</sub> H <sub>10</sub> O <sub>2</sub> N
225.0740	755117.8	14.47	1.00			
226.0749	5217652.5	100.00	1.00	226.0749	0.36	C <sub>14</sub> H <sub>10</sub> O <sup>18</sup> N
227.0783	789955.8	15.14	1.00			
228.1020	963080.9	18.46	1.00			

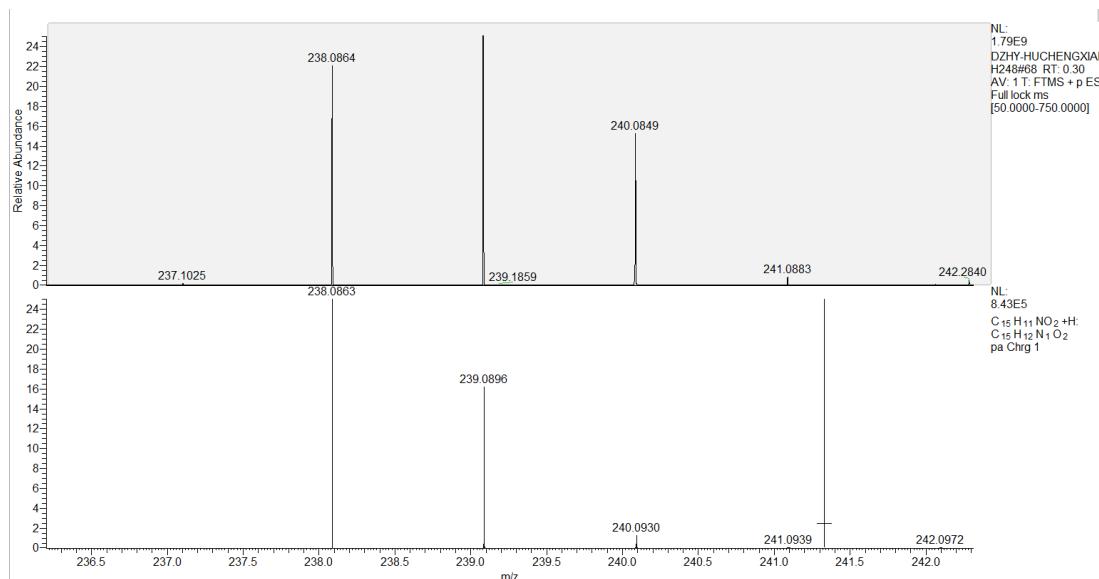
### Product 3ab

HRMS (ESI) m/z calcd for  $C_{15}H_{11}NO_3^+$ , ( $M+K$ )  $^{+}292.0371$ , found 292.0371.



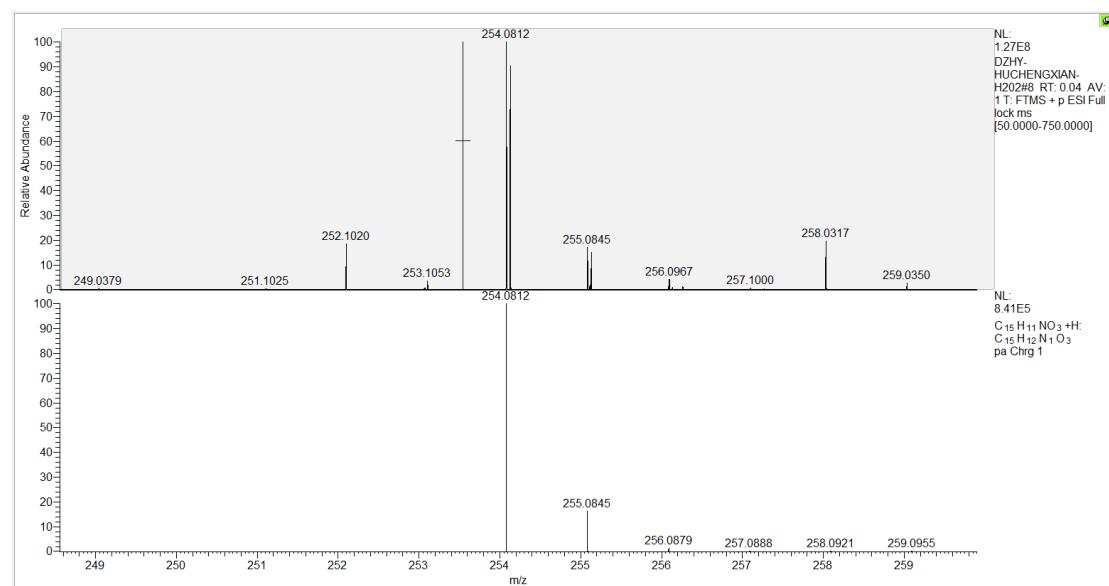
### Product 3ac

HRMS (ESI) m/z calcd for  $C_{15}H_{11}NO_2^+$ , ( $M+H$ )  $^{+}238.0863$ , found 238.0863.



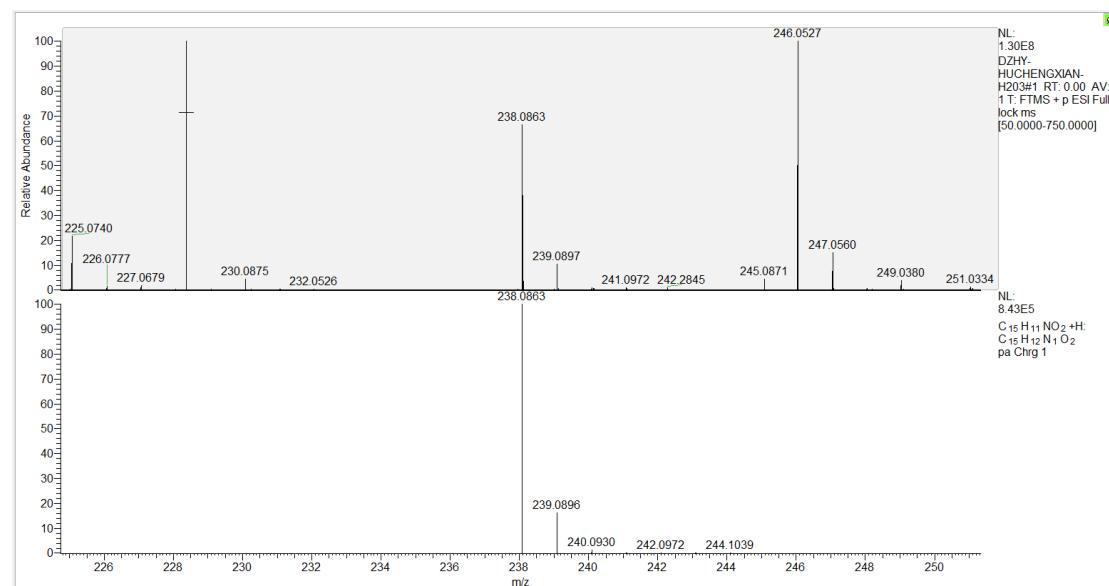
### Product 3ad

HRMS (ESI) m/z calcd for  $C_{15}H_{11}NO_3^+$ , ( $M+H$ )  $^{+}254.0812$ , found 254.0812.



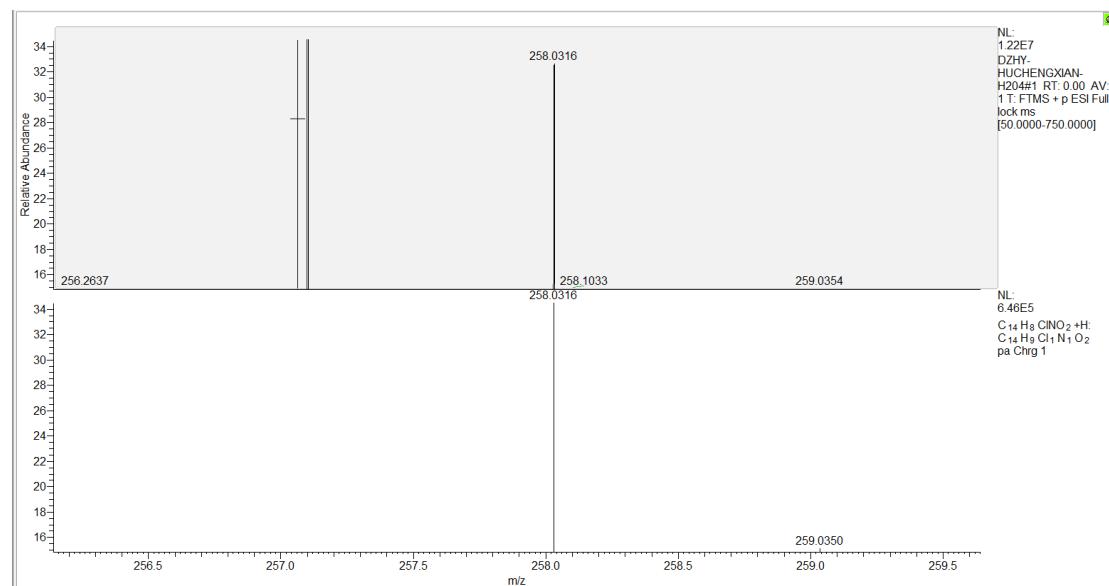
### Product 3ae

HRMS (ESI) m/z calcd for  $C_{15}H_{11}NO_2^+$ , ( $M+H$ )  $^{+}238.0863$ , found 238.0863.



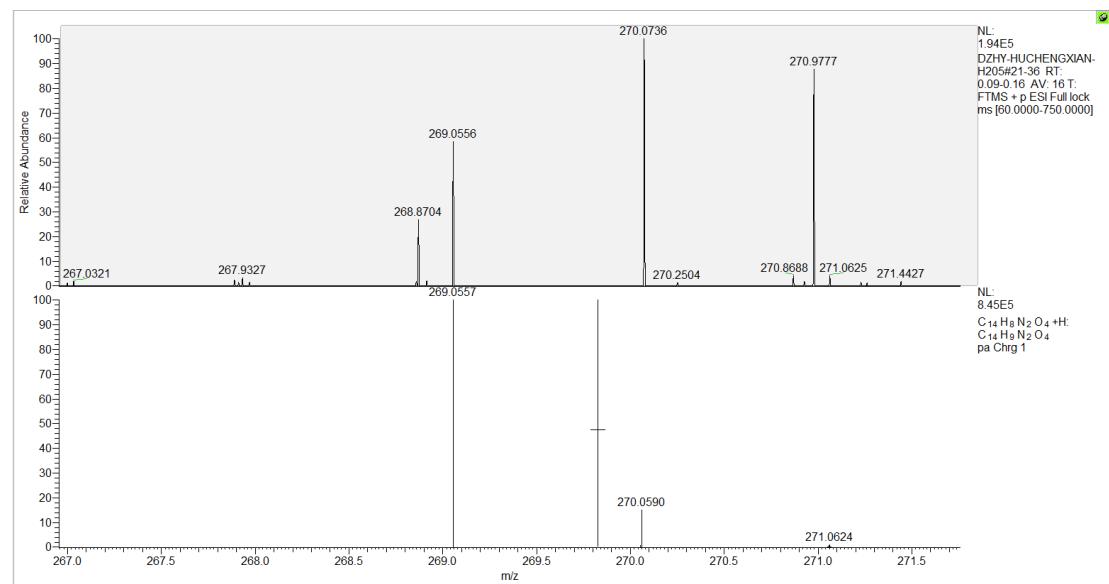
### Product 3af

HRMS (ESI) m/z calcd for  $C_{14}H_8ClNO_2^+$ , ( $M+H$ )<sup>+</sup> 258.0316, found 258.0316.



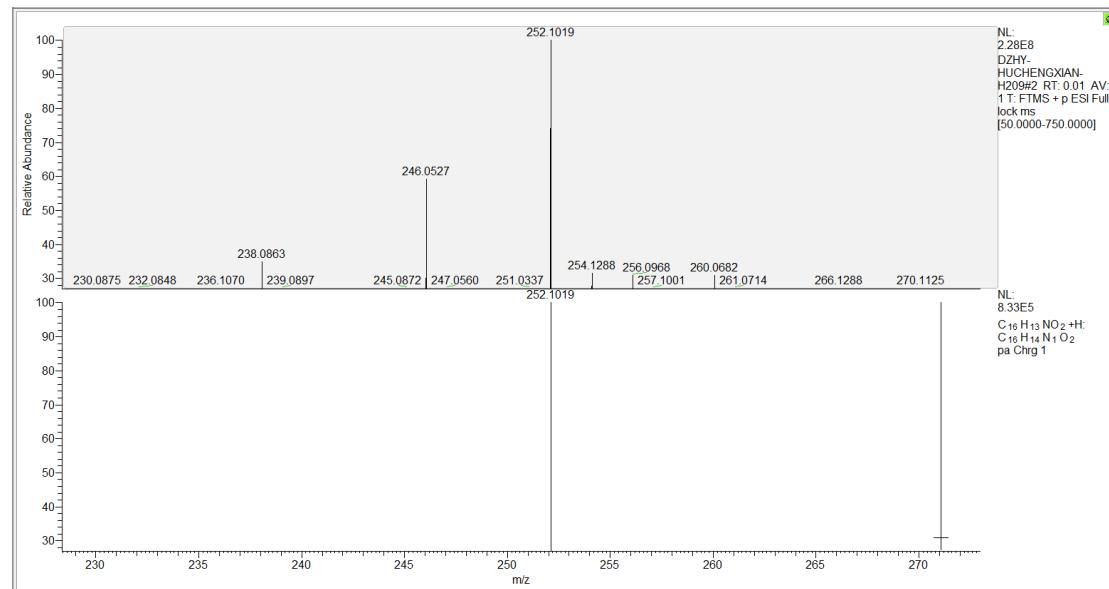
### Product 3ag

HRMS (ESI) m/z calcd for  $C_{14}H_8N_2O_4^+$ , ( $M+H$ )<sup>+</sup> 269.0557, found 269.0557.



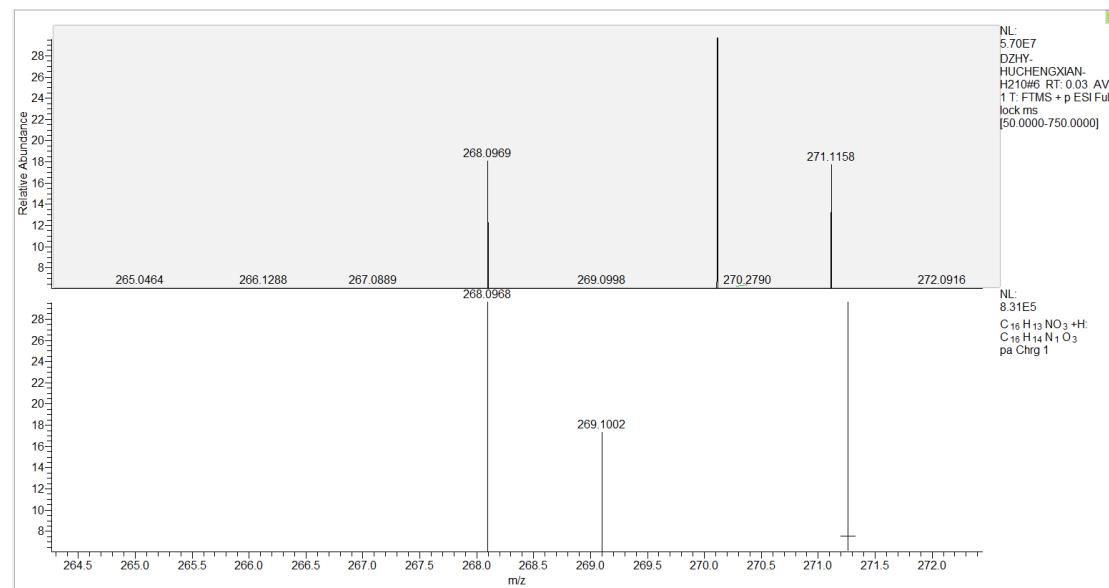
### Product 3ah

HRMS (ESI) m/z calcd for  $C_{16}H_{13}NO_2^+$ , ( $M+H$ )<sup>+</sup> 252.1019, found 252.1019.



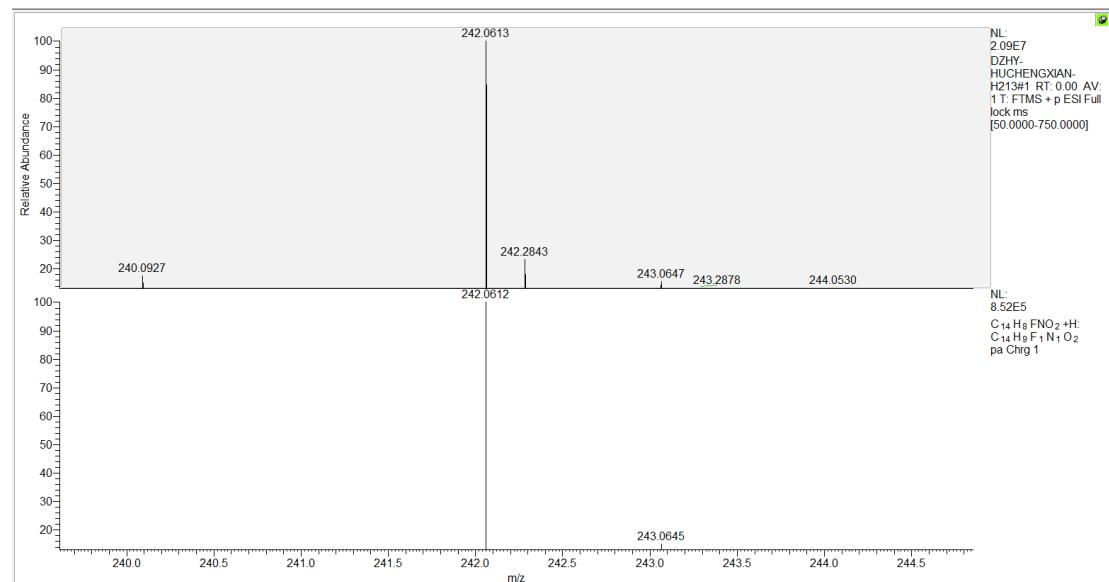
### Product 3ai

HRMS (ESI) m/z calcd for  $C_{16}H_{13}NO_3^+$ , ( $M+H$ )<sup>+</sup> 268.0968, found 268.0969.



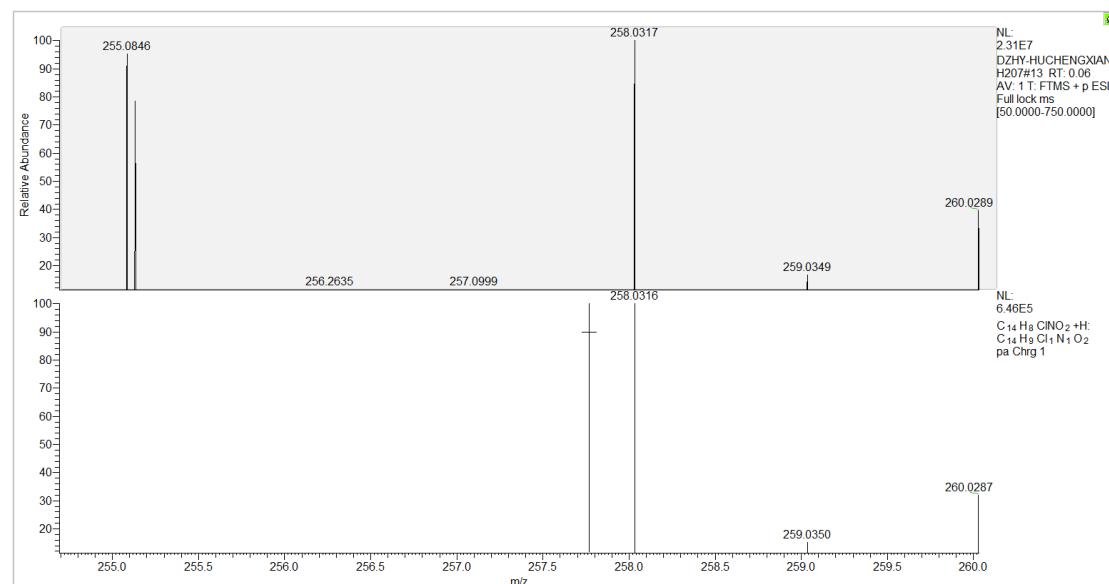
### Product 3aj

HRMS (ESI) m/z calcd for  $C_{14}H_8FNO_2^+$ , ( $M+H$ )<sup>+</sup> 242.0612, found 242.0613.



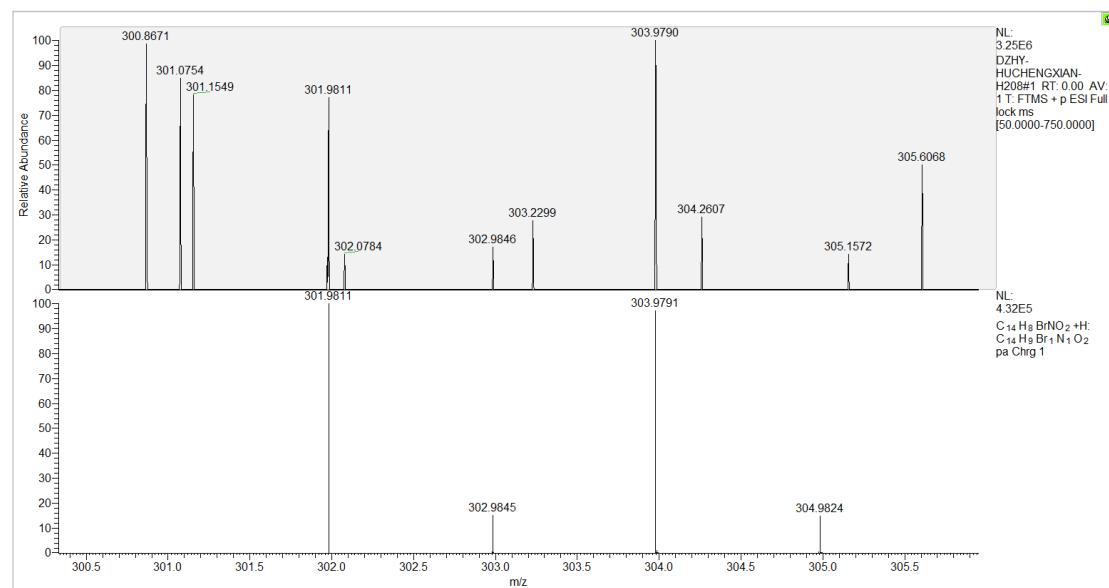
### Product 3ak

HRMS (ESI) m/z calcd for  $C_{14}H_8ClNO_2^+$ , ( $M+H$ )<sup>+</sup> 258.0316, found 258.0317.



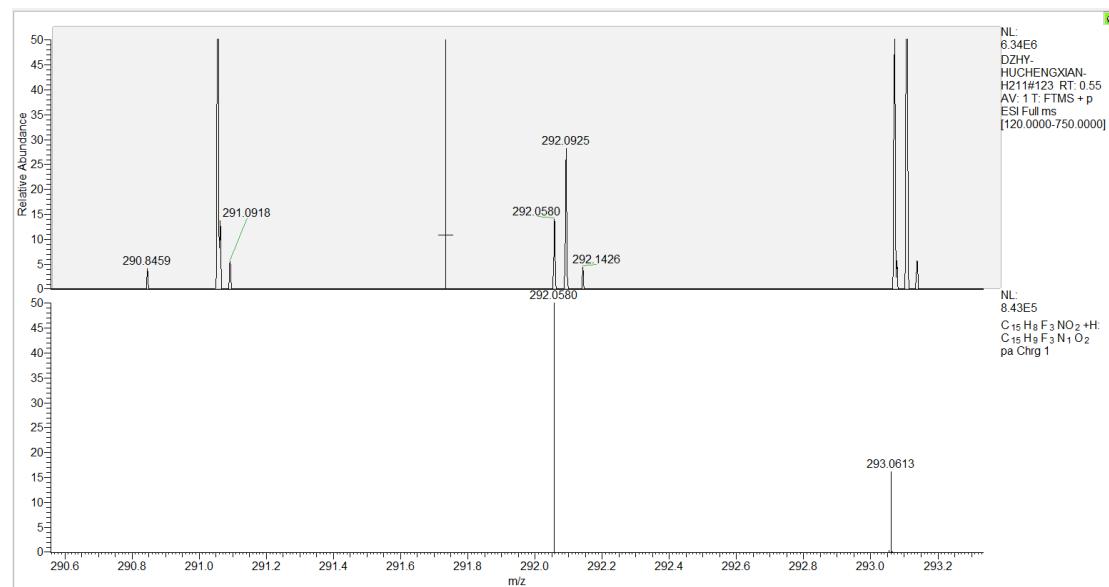
### Product 3al

HRMS (ESI) m/z calcd for  $C_{14}H_8BrNO_2^+$ , ( $M+H$ )<sup>+</sup> 301.9811, found 301.9811.



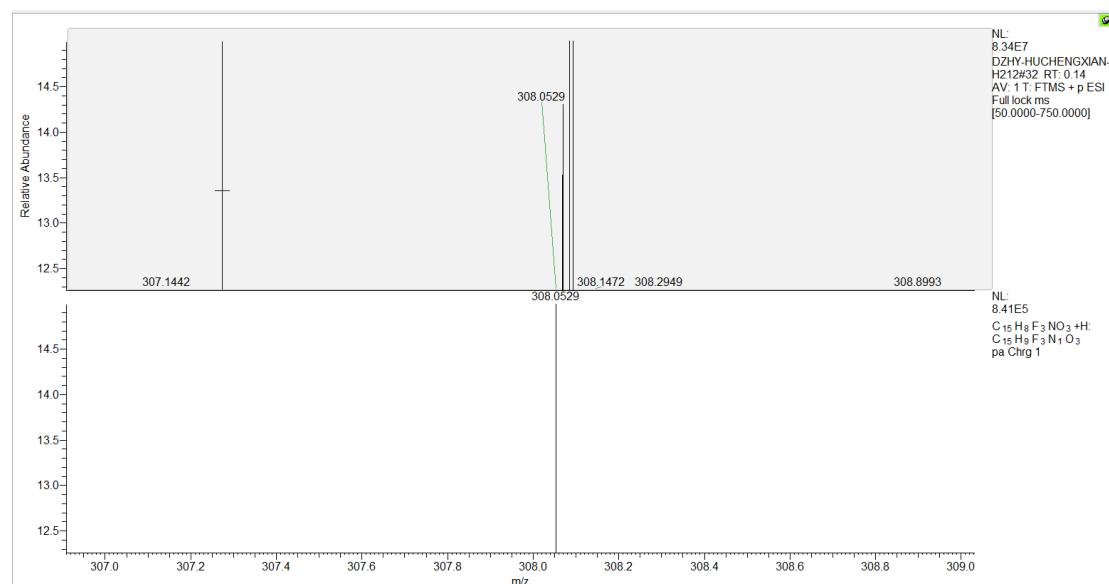
### Product 3am

HRMS (ESI) m/z calcd for  $C_{15}H_8F_3NO_2^+$ , ( $M+H$ )<sup>+</sup> 292.0580, found 292.0580.



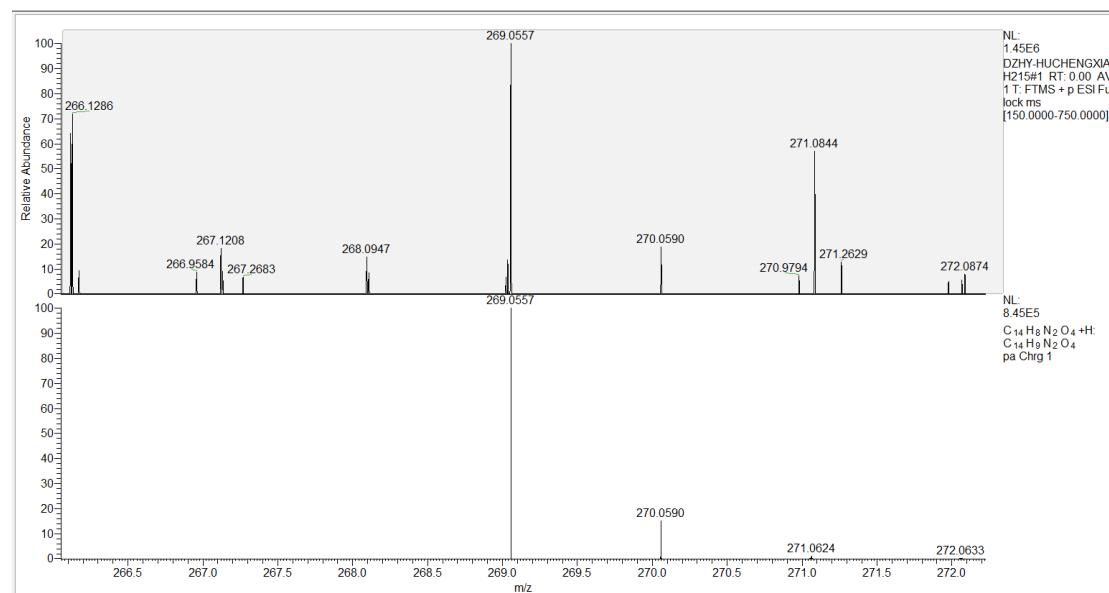
### Product 3an

HRMS (ESI) m/z calcd for  $C_{15}H_8F_3NO_2^+$ , ( $M+H$ )<sup>+</sup> 308.0529, found 308.0529.



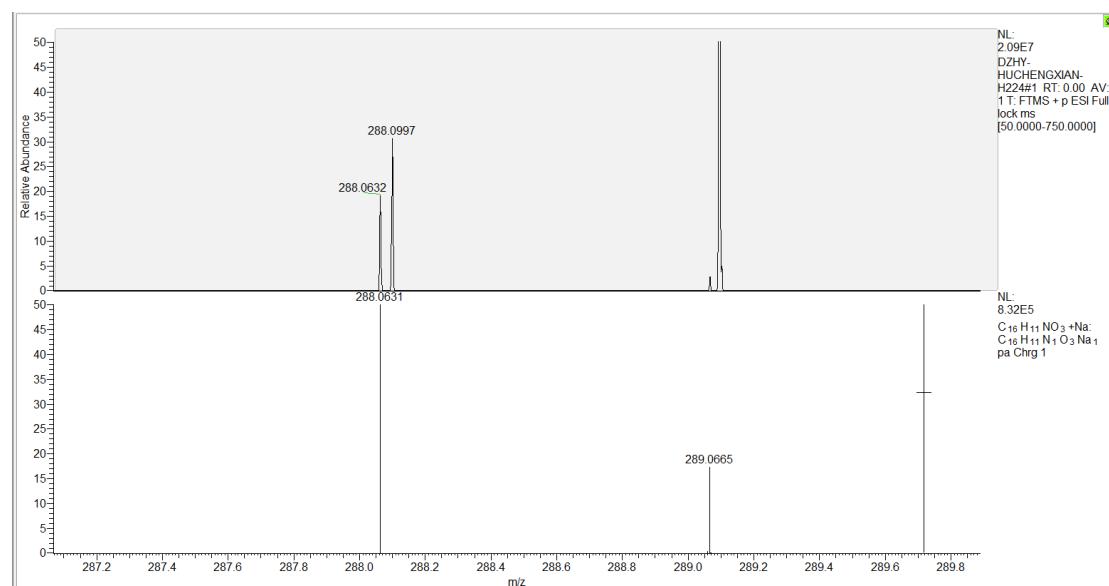
### Product 3ao

HRMS (ESI) m/z calcd for  $C_{14}H_8N_2O_4^+$ , ( $M+H$ )<sup>+</sup> 269.0557, found 269.0557.



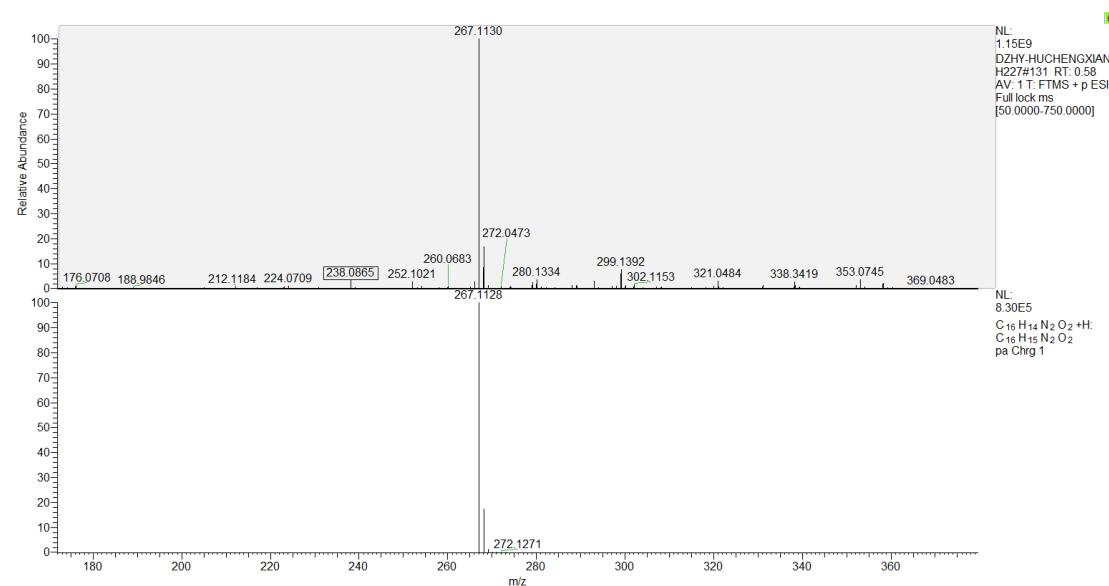
### Product 3ap

HRMS (ESI) m/z calcd for  $C_{16}H_{11}NO_3^+$ , ( $M+Na$ )  $^{+}$  288.0631, found 288.0632.



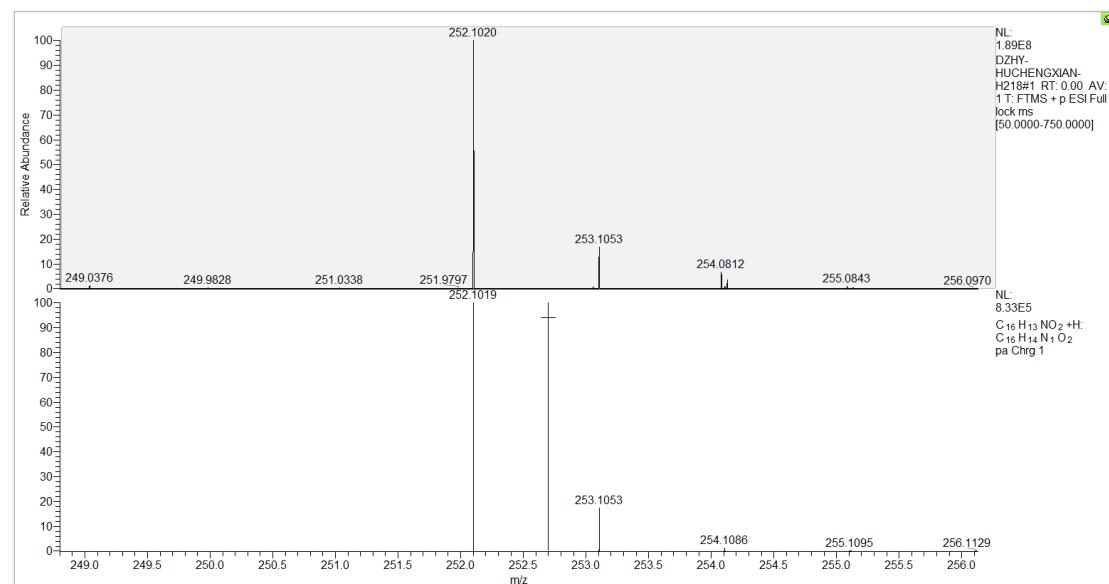
### Product 3aq

HRMS (ESI) m/z calcd for  $C_{16}H_{14}N_2O_2^+$ , ( $M+H$ )  $^{+}$  267.1128, found 267.1130.



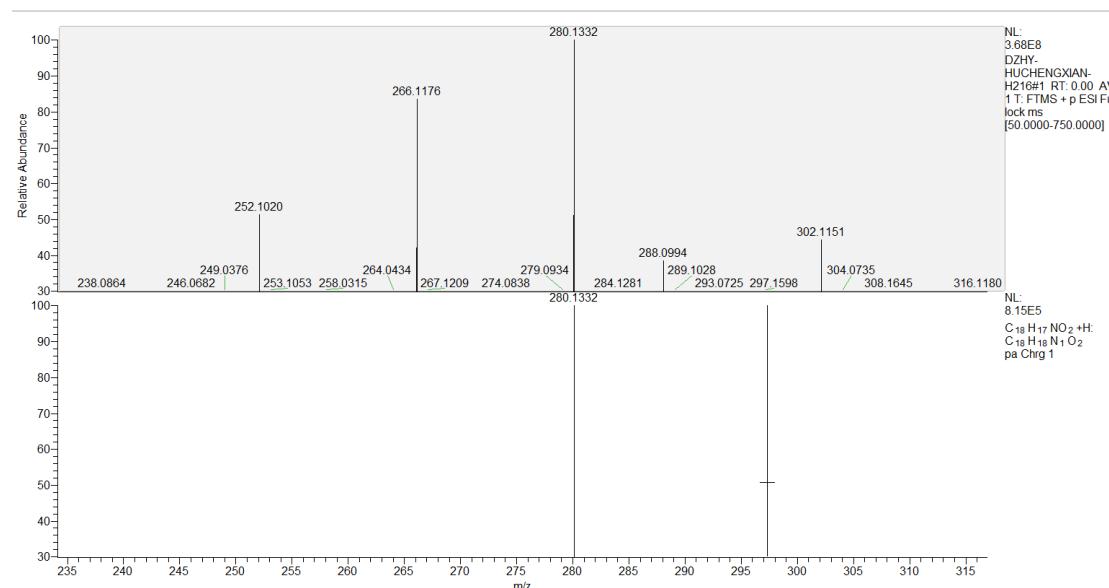
### Product 3ar

HRMS (ESI) m/z calcd for  $C_{16}H_{13}NO_2^+$ , ( $M+H$ )<sup>+</sup> 252.1019, found 252.1019.



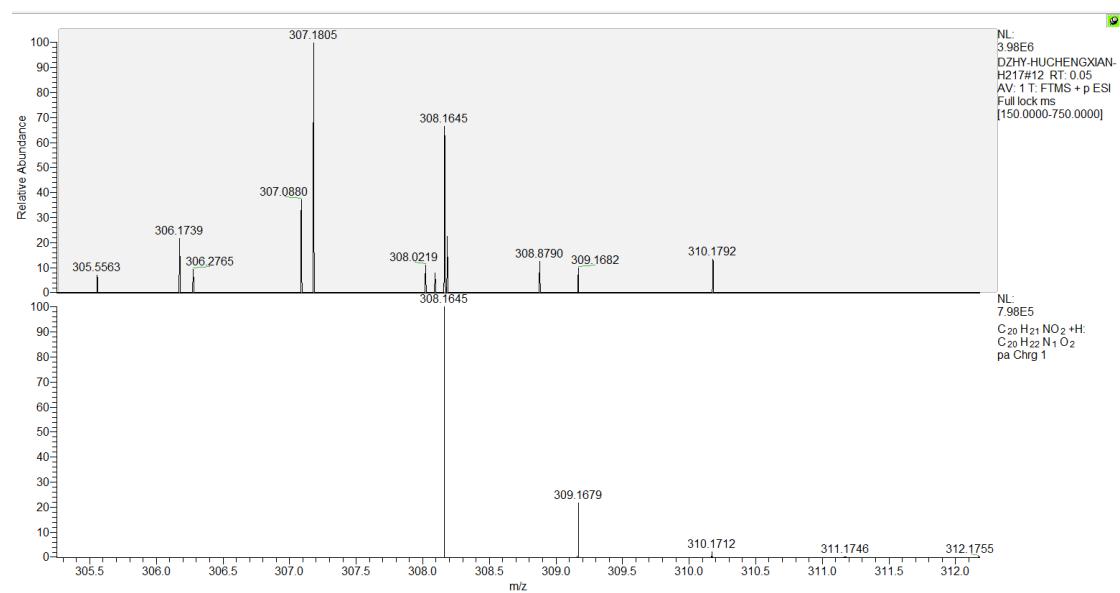
### Product 3as

HRMS (ESI) m/z calcd for  $C_{18}H_{17}NO_2^+$ , ( $M+H$ )<sup>+</sup> 280.1332, found 280.1332.



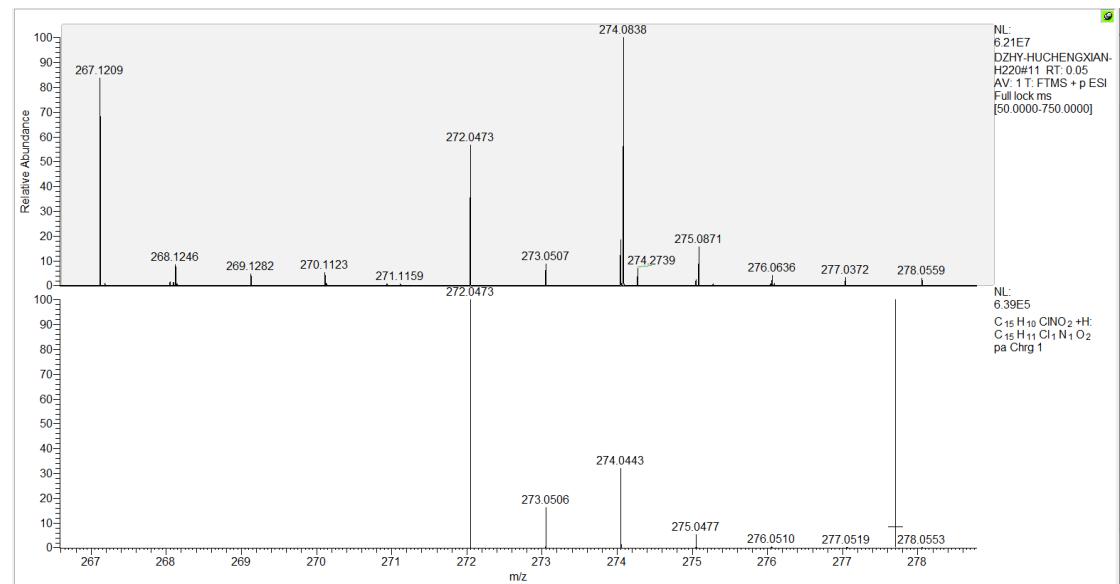
### Product 3at

HRMS (ESI) m/z calcd for  $C_{20}H_{21}NO_2^+$ , ( $M+H$ )<sup>+</sup> 308.1645, found 308.1645.



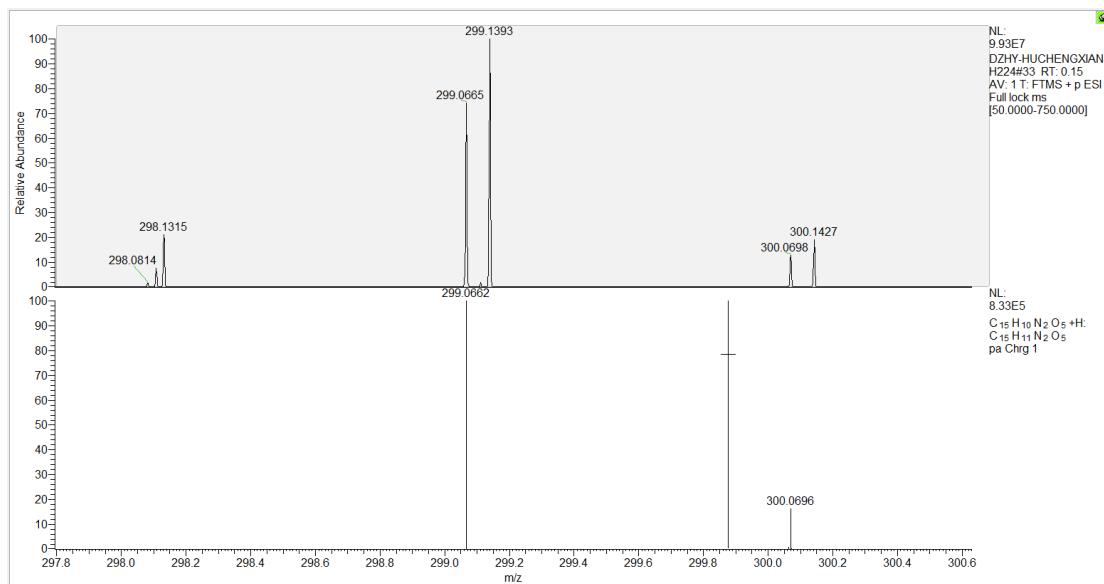
### Product 3au

HRMS (ESI) m/z calcd for  $C_{15}H_{10}ClNO_2^+$ , ( $M+H$ )<sup>+</sup> 272.0473, found 272.0473.



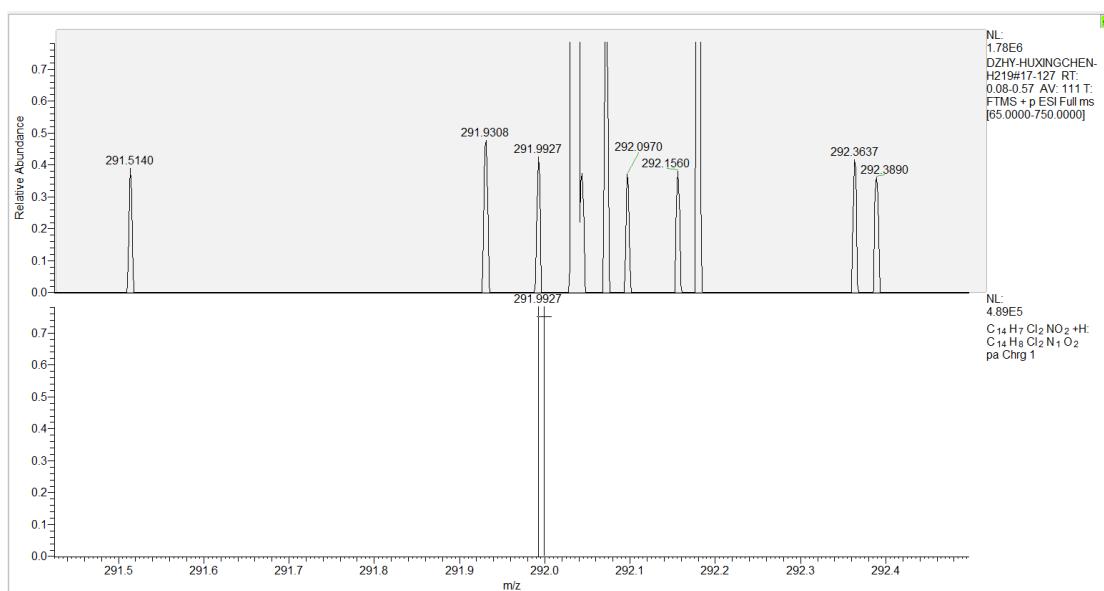
### Product 3av

HRMS (ESI) m/z calcd for  $C_{15}H_{10}N_2O_5^+$ ,  $(M+H)^+$  299.0662, found 299.0665.



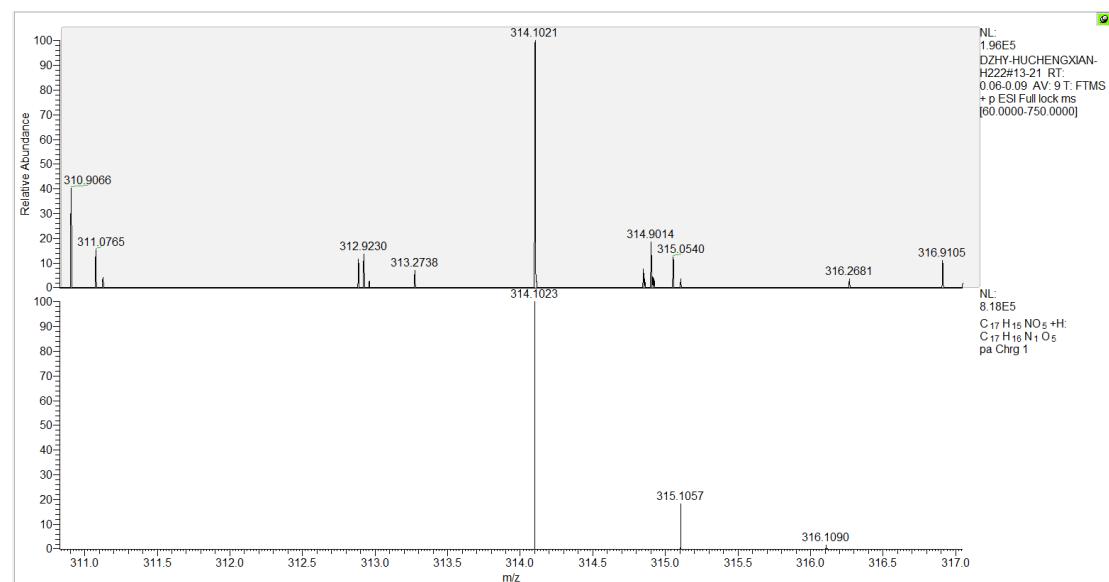
### Product 3aw

HRMS (ESI) m/z calcd for  $C_{14}H_7Cl_2NO_2^+$ ,  $(M+H)^+$  291.9927, found 291.9927.



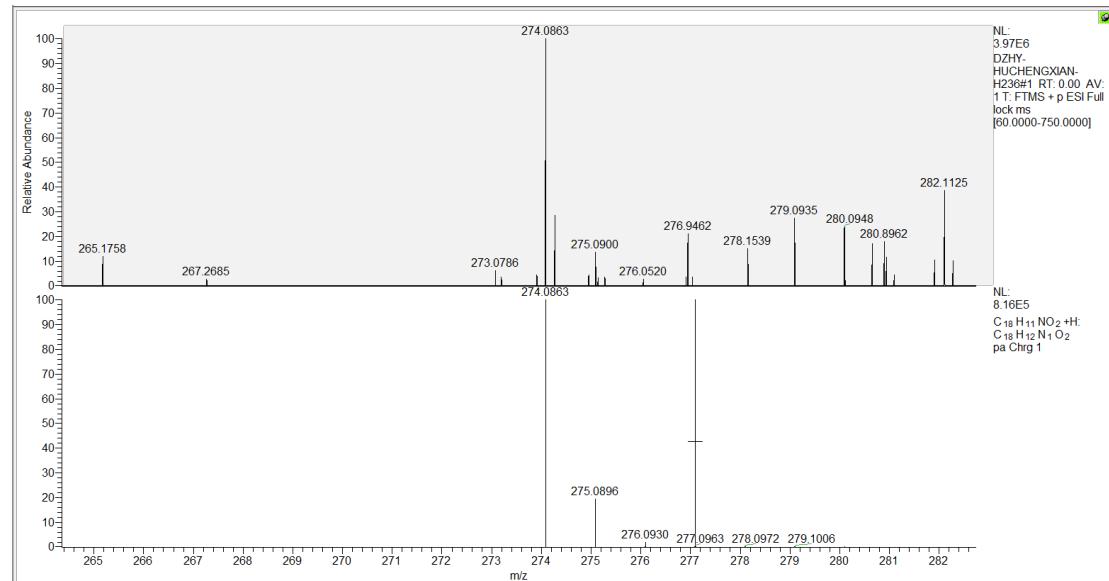
### Product 3ax

HRMS (ESI) m/z calcd for  $C_{17}H_{15}NO_5^+$ , ( $M+H$ )<sup>+</sup> 314.1023, found 314.1021.



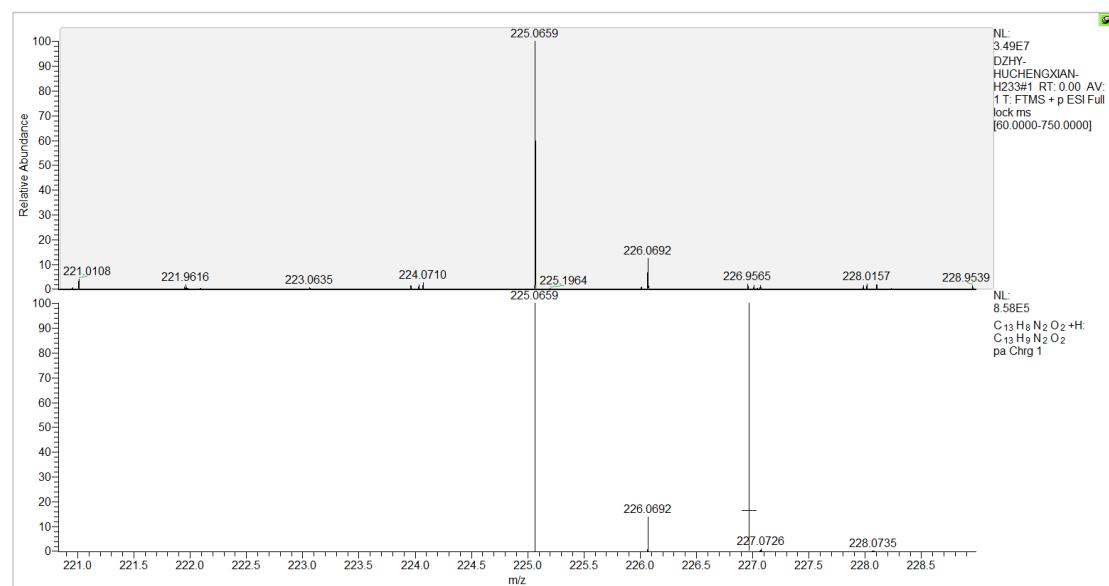
### Product 3az

HRMS (ESI) m/z calcd for  $C_{18}H_{11}NO_2^+$ , ( $M+H$ )<sup>+</sup> 274.0863, found 274.0863.



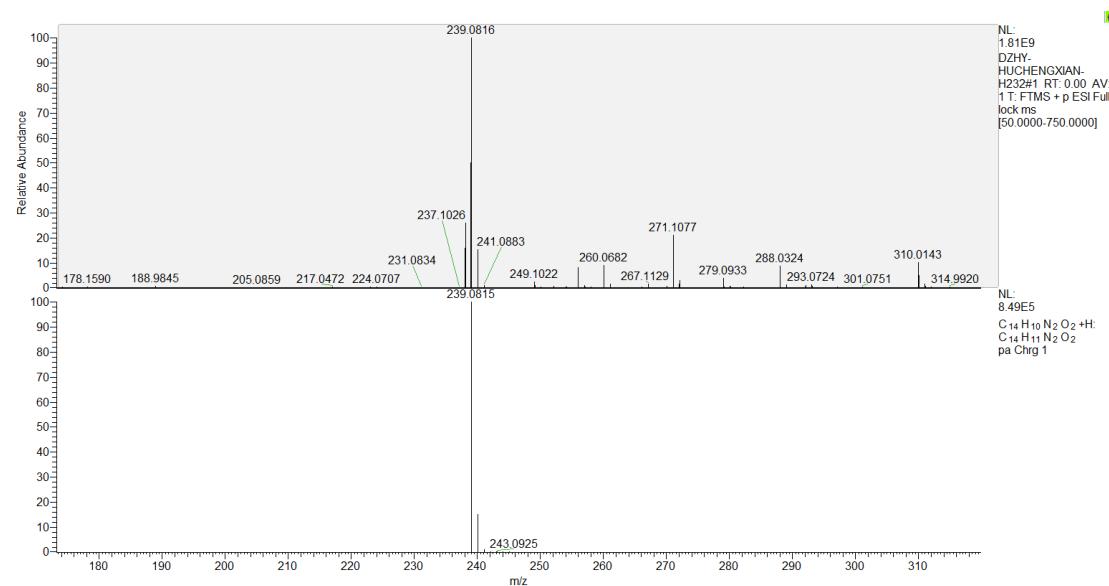
### Product 3ba

HRMS (ESI) m/z calcd for  $C_{13}H_8N_2O_2^+$ , ( $M+H$ )<sup>+</sup> 225.0659, found 225.0659.



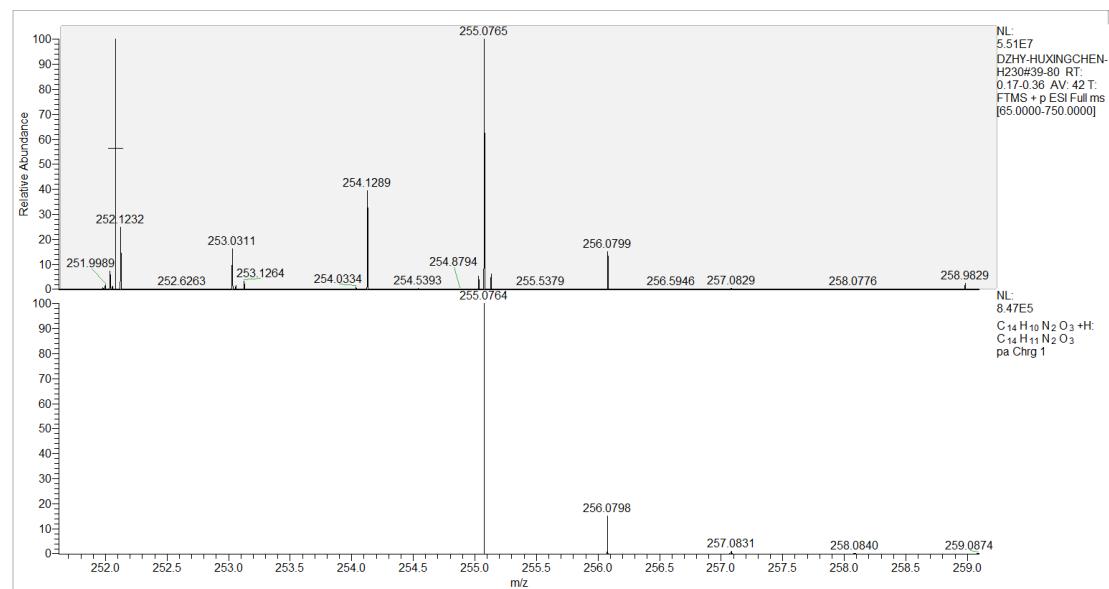
### Product 3bb

HRMS (ESI) m/z calcd for  $C_{14}H_{10}N_2O_2^+$ , ( $M+H$ )<sup>+</sup> 239.0815, found 239.0816.



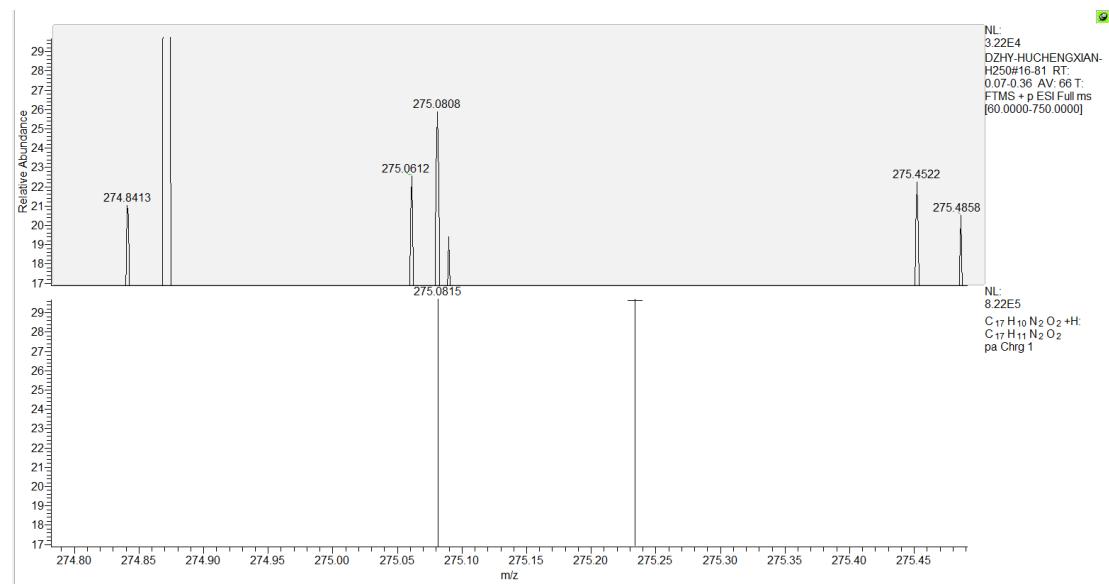
### Product 3bc

HRMS (ESI) m/z calcd for  $C_{14}H_{10}N_2O_3^+$ , ( $M+H$ )  $^{+}$  255.0764, found 255.0765.



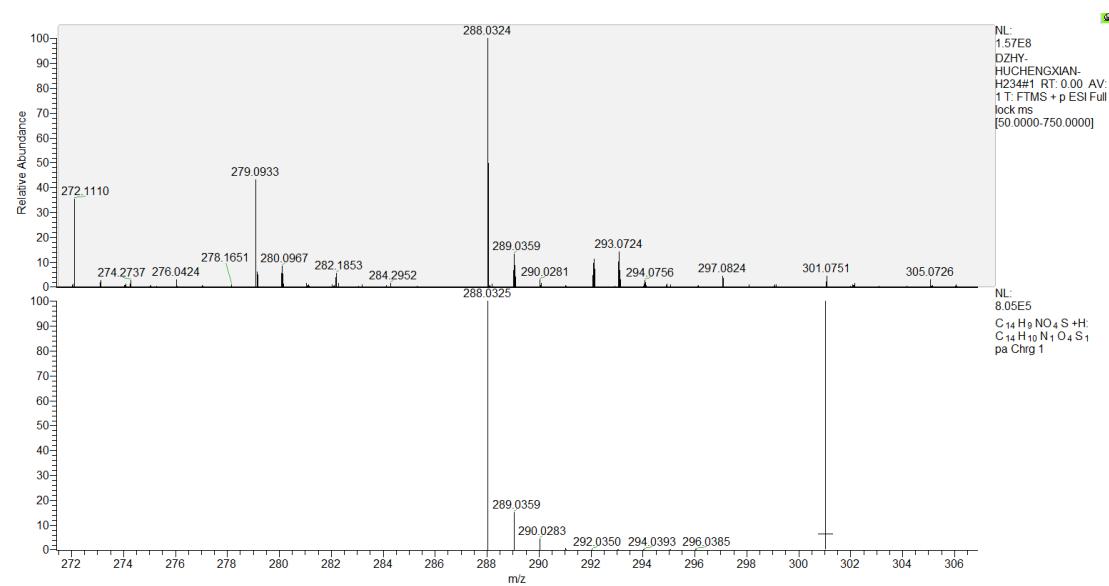
### Product 3bd

HRMS (ESI) m/z calcd for  $C_{17}H_{10}N_2O_2^+$ , ( $M+H$ )  $^{+}$  275.0815, found 275.0808.



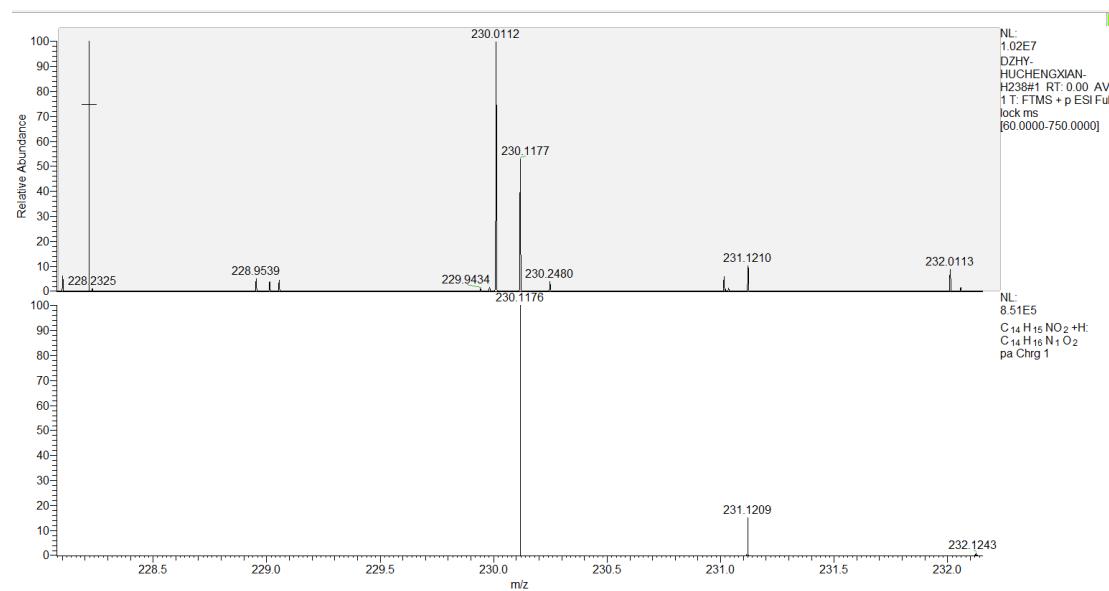
### Product 3be

HRMS (ESI) m/z calcd for  $C_{14}H_9NO_4S^+$ , ( $M+H$ )<sup>+</sup> 288.0325, found 288.0324.



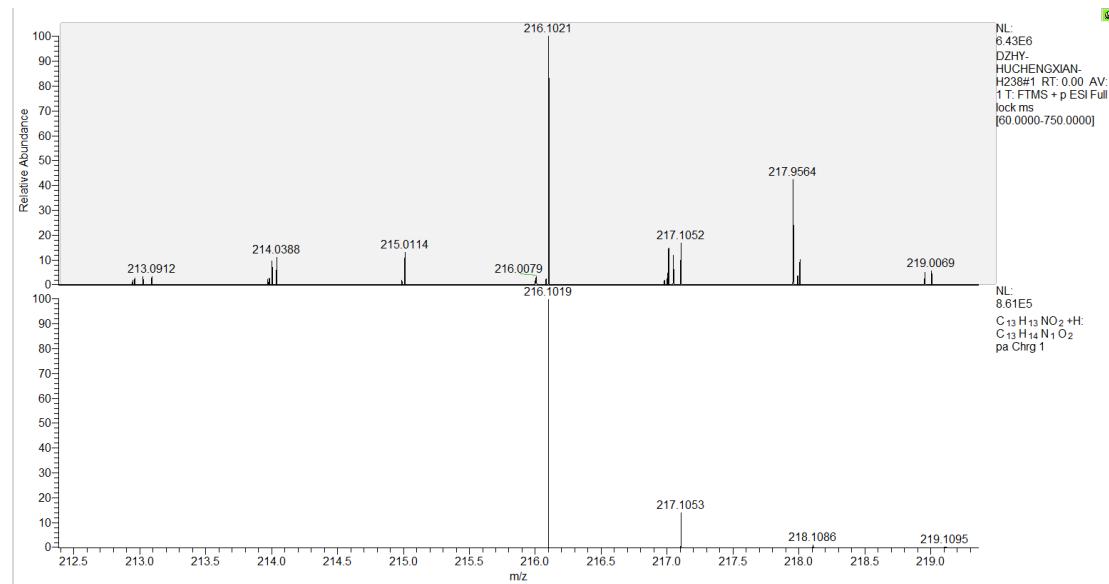
### Product 3bf

HRMS (ESI) m/z calcd for  $C_{14}H_{15}NO_2^+$ , ( $M+H$ )<sup>+</sup> 230.1176, found 2230.1177.



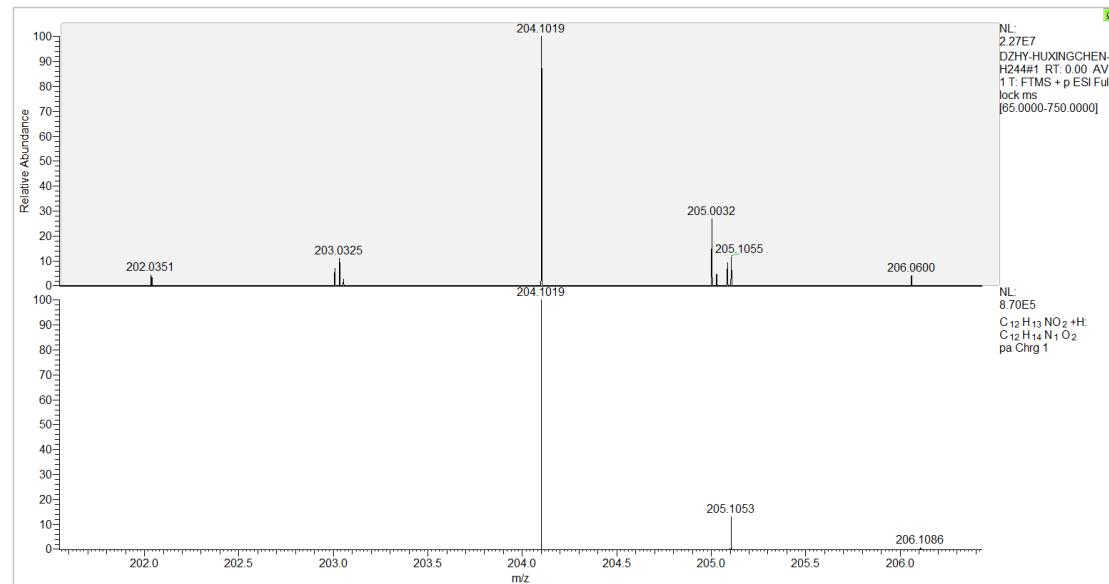
### Product 3bg

HRMS (ESI) m/z calcd for  $C_{13}H_{13}NO_2^+$ , ( $M+H$ )<sup>+</sup> 216.1019, found 216.1021.



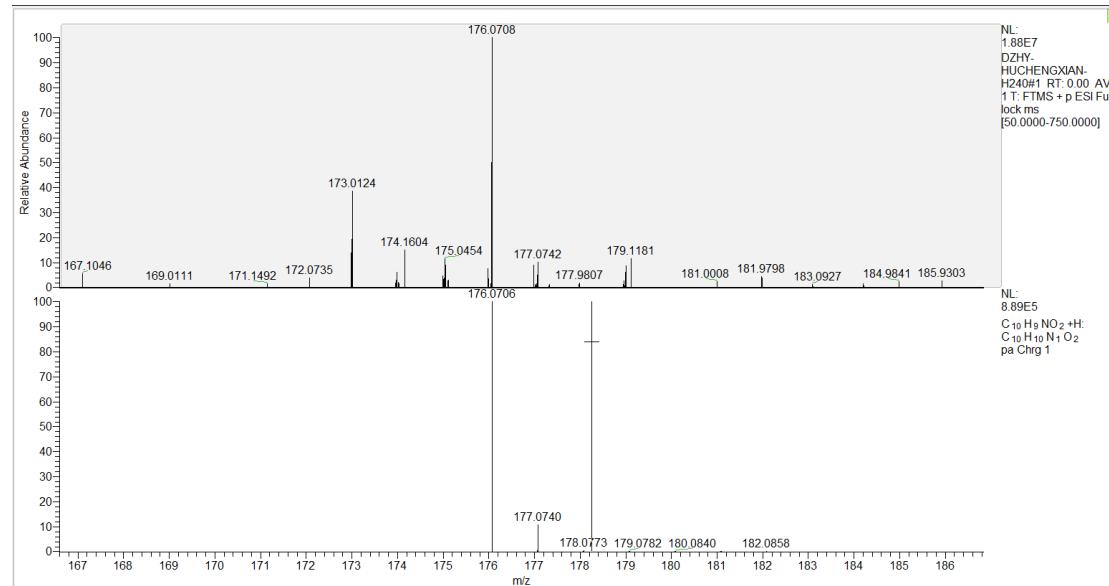
### Product 3bh

HRMS (ESI) m/z calcd for  $C_{12}H_{13}NO_2^+$ , ( $M+H$ )<sup>+</sup> 204.1019, found 204.1019.



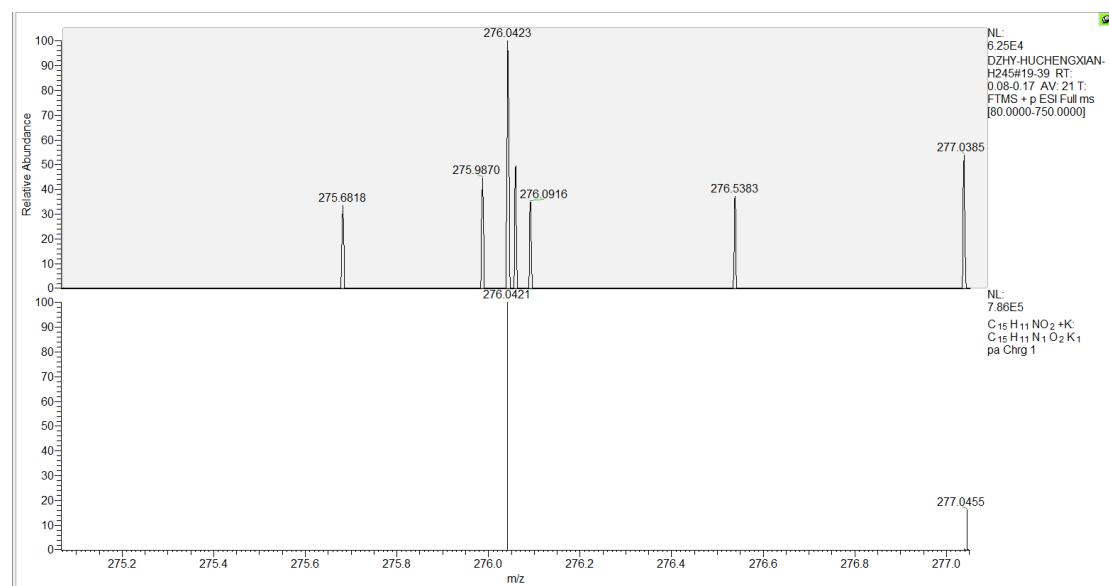
### Product 3bi

HRMS (ESI) m/z calcd for  $C_{10}H_9NO_2^+$ , ( $M+H$ )<sup>+</sup> 176.0706, found 176.0708.



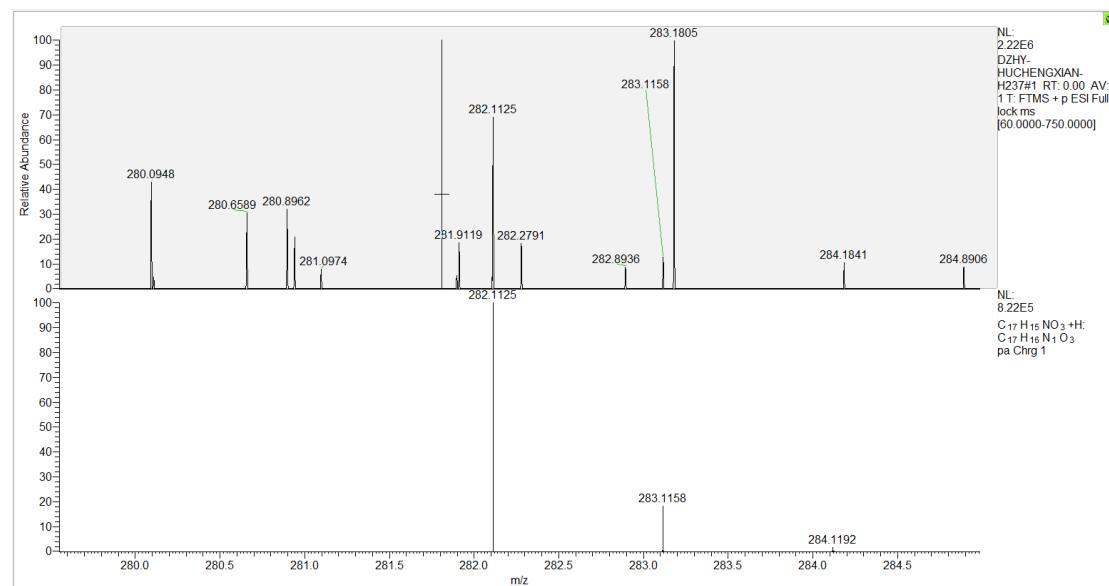
### Product 3bj

HRMS (ESI) m/z calcd for  $C_{15}H_{11}NO_2^+$ , ( $M+K$ )<sup>+</sup> 276.0421, found 276.0423.



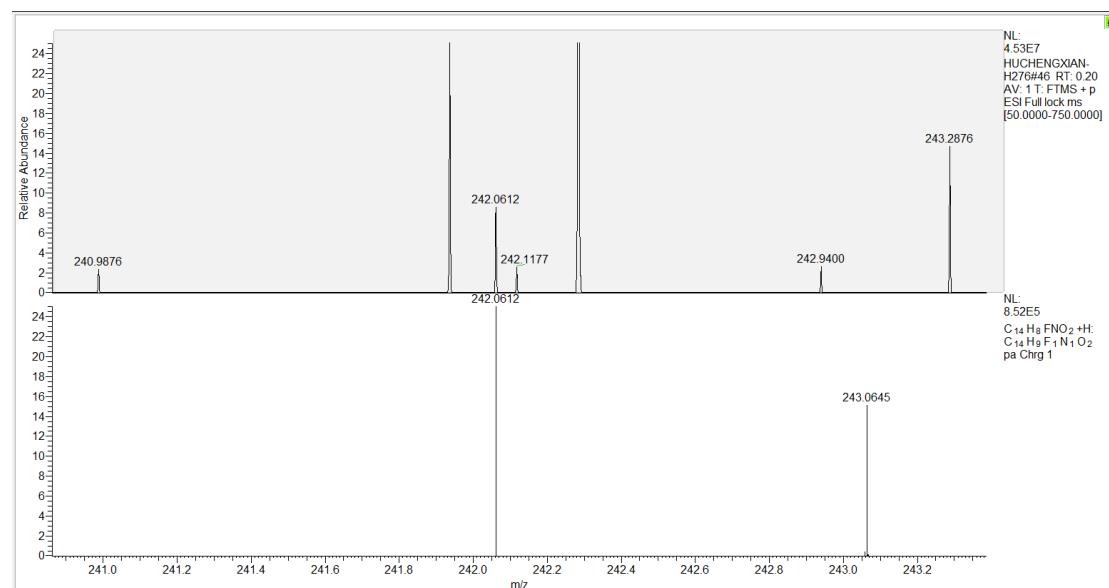
### Product 3bk

HRMS (ESI) m/z calcd for  $C_{17}H_{15}NO_3^+$ , ( $M+H$ )<sup>+</sup> 282.1125, found 282.1125.



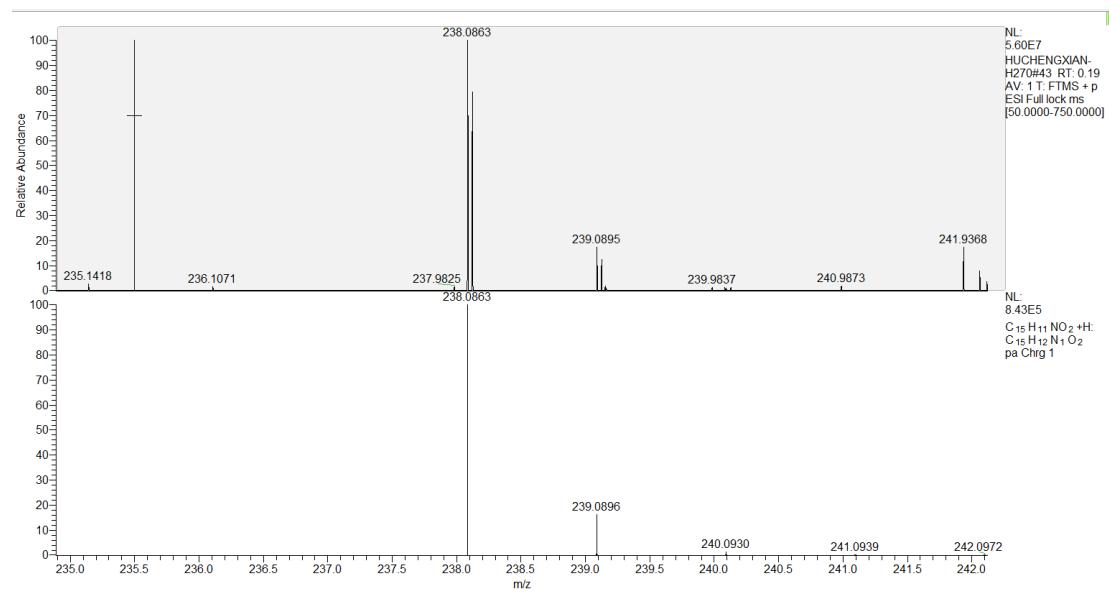
### Product 3bo

HRMS (ESI) m/z calcd for  $C_{14}H_8FNO_2^+$ , ( $M+H$ )<sup>+</sup> 242.0612, found 242.0612.



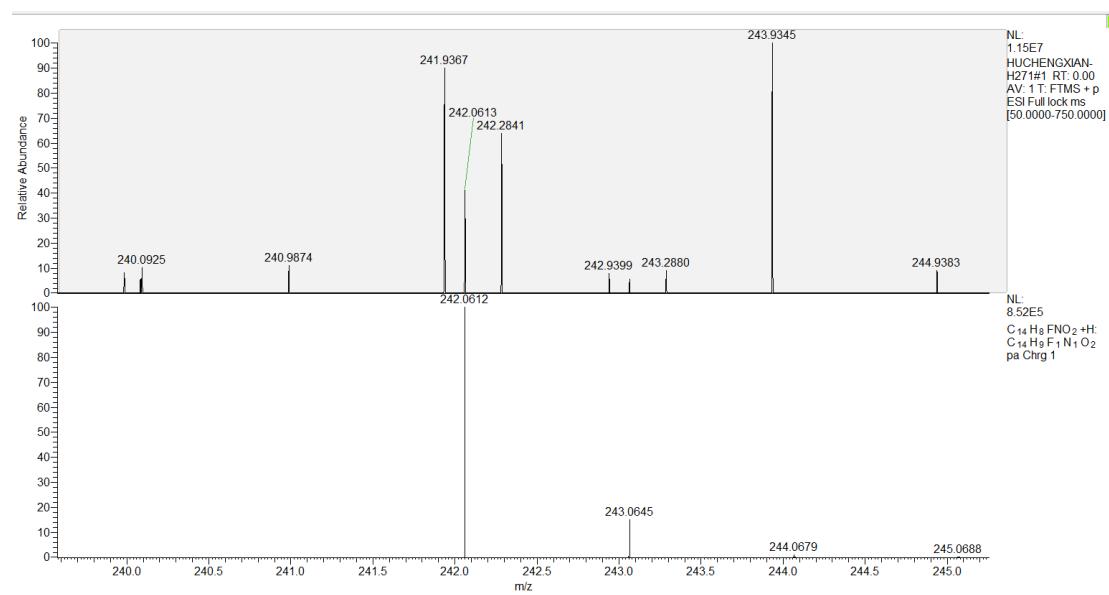
### Product 3bp

HRMS (ESI) m/z calcd for  $C_{15}H_{11}NO_2^+$ , ( $M+H$ )<sup>+</sup> 238.0863, found 238.0863.



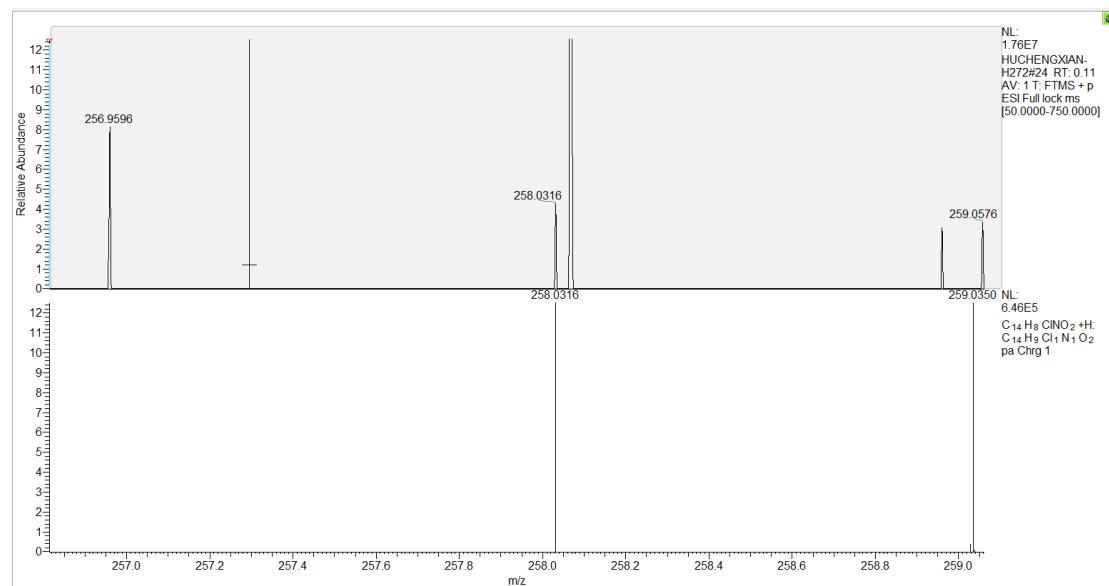
### Product 3bq

HRMS (ESI) m/z calcd for  $C_{14}H_8FNO_2^+$ , ( $M+H$ )<sup>+</sup> 242.0612, found 242.0613.



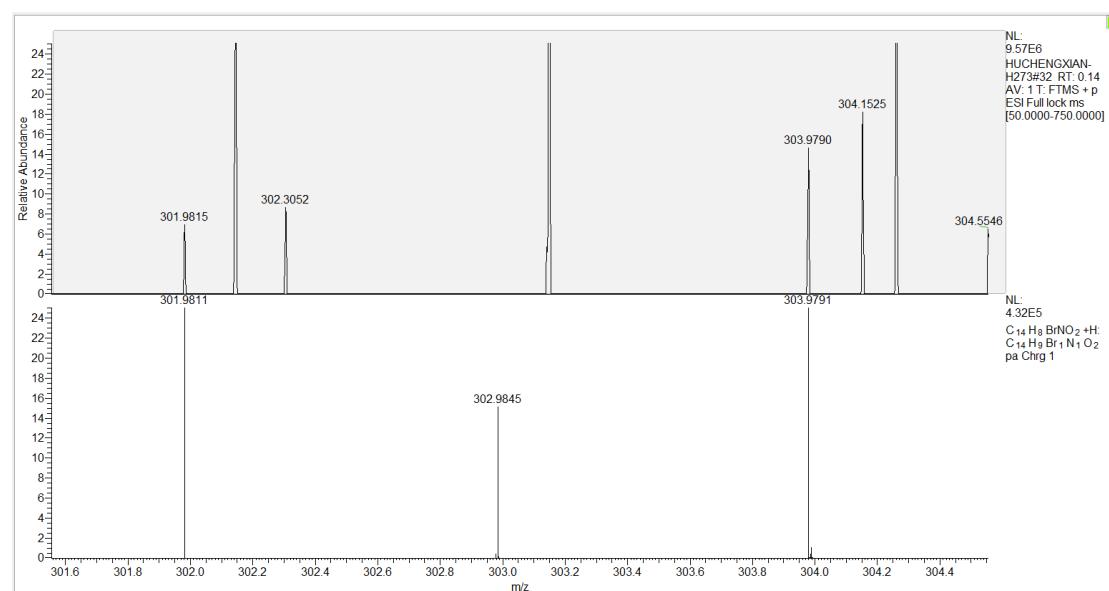
### Product 3br

HRMS (ESI) m/z calcd for  $C_{14}H_8ClNO_2^+$ , ( $M+H$ )<sup>+</sup> 258.0316, found 258.0316.



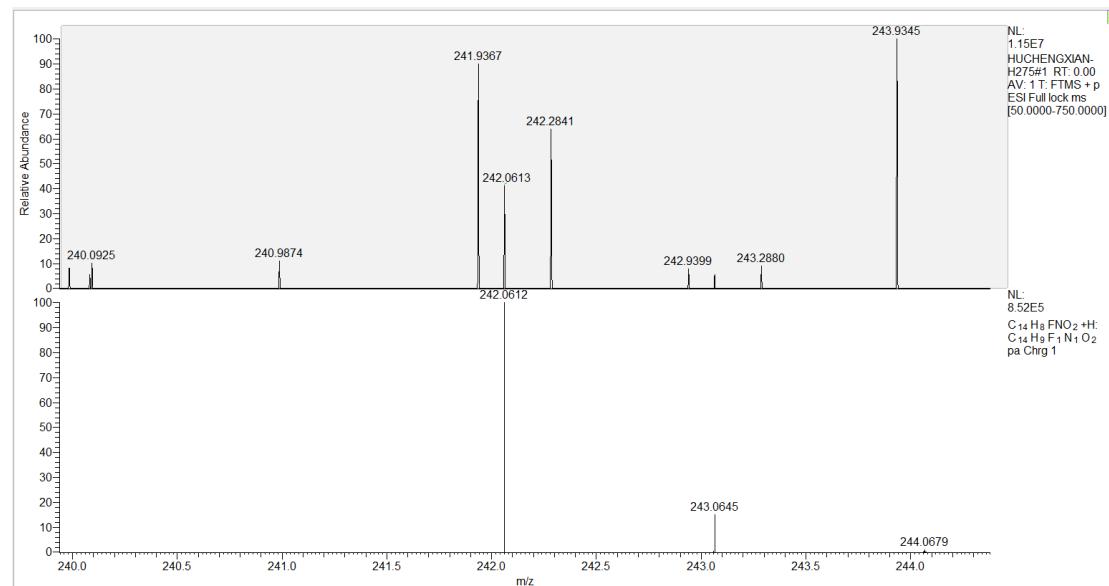
### Product 3bs

HRMS (ESI) m/z calcd for  $C_{14}H_8BrNO_2^+$ , ( $M+H$ )<sup>+</sup> 301.9811, found 301.9815.



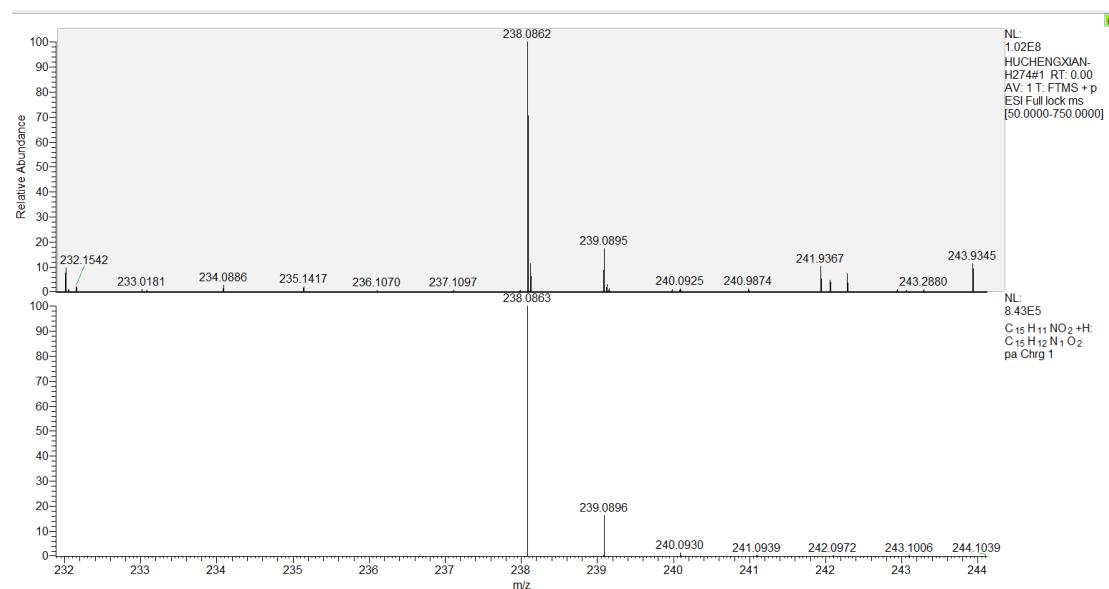
### Product 3bt

HRMS (ESI) m/z calcd for  $C_{14}H_8FNO_2^+$ ,  $(M+H)^+$  342.0612, found 342.0613.



### Product 3bu

HRMS (ESI) m/z calcd for  $C_{15}H_{11}NO_2^+$ ,  $(M+H)^+$  238.0863, found 238.0862.



### Product 4a

HRMS (ESI) m/z calcd for  $C_{14}H_{10}F_2INOS^+$ ,  $(M+H)^+$  405.9569, found 405.9554.

