Electronic Supplementary Material (ESI) for Organic Chemistry Frontiers.

Supporting information

Carbene-Catalyzed Tandem Isomerization/Cyclisation Strategy: Efficient Assembly of Benzoxazinones

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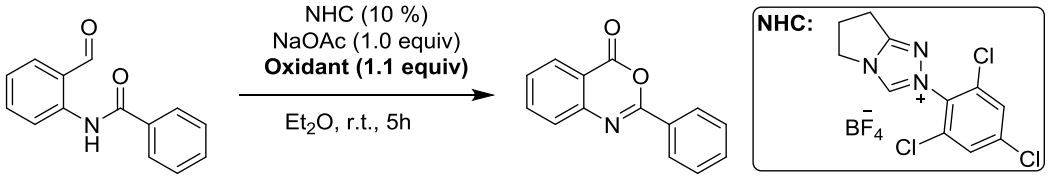
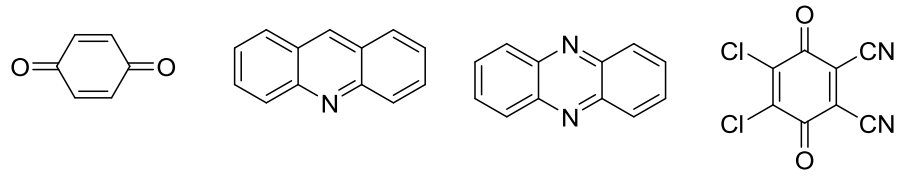
1. General information

Unless otherwise stated, all commercial reagents were used as received. Reactions were conducted in dry glassware using anhydrous solvents (pass through activated alumina columns). Reaction temperatures were controlled using IKAmag temperature modulator. Thin-layer chromatography (TLC) was conducted on plates (GF254) supplied by Yantai Chemicals (China) and visualized using a combination of UV, anisaldehyde, iodine, and potassium permanganate staining. Silica gel (300-400 mesh) supplied by Tsingdao Haiyang Chemicals (China) was used for flash column chromatography. ^{1}H, ^{13}C and ^{19}F NMR, spectra were recorded on Bruker spectrometers (400 MHz). Chemical shifts were reported in parts per million (ppm), and the residual solvent peak was used as an internal reference: proton (chloroform δ 7.26), carbon (chloroform δ 77.16) or tetramethylsilane (TMS δ 0.00) was used as a reference. Multiplicity was indicated as follows: s (singlet), d (doublet), t (triplet), m (multiplet), dd (doublet of doublet), bs (broad singlet). Coupling constants were reported in Hertz (Hz).

2. Oxidant screening



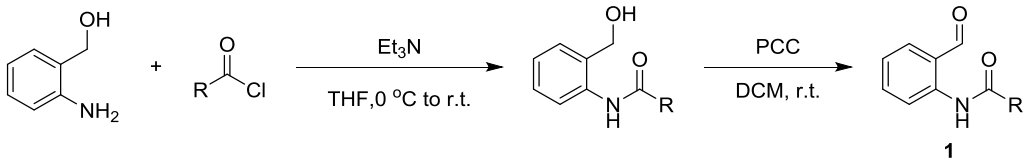
Entry	Oxidant	Time (h)	Yield (%)
1	MnO_2	5	9
2	p-Benzoquinone	5	45
3	Acridine	5	trace
4	Dibenzopyrazine	5	67
5	DDQ	5	trace



p-Benzoquinone **Acridine** **Dibenzopyrazine** **DDQ**

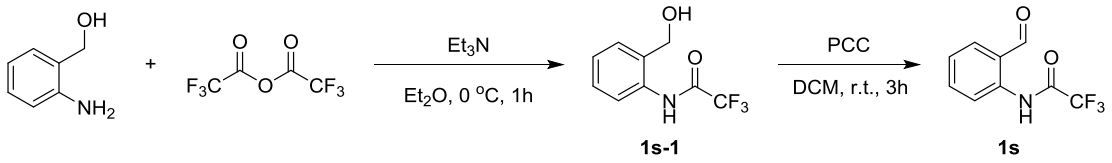
3. Substrate synthesis

3.1 General procedure (A)



Following a procedure described by Mancheno[1]. To a solution of 2-aminobenzyl alcohol (10 mmol, 1.0 equiv.uiv.) in anhydrous THF (50 mL) at 0 °C was added Et_3N (20 mmol, 2.0 equiv.uiv.) and acyl chloride (10 mmol, 1.0 equiv.uiv.), the reaction mixture was stirred at 0 °C for 0.5-5h. Concentrated and the residue was dissolved in DCM (50 mL), pyridinium chlorochromate (15 mmol, 1.5 equiv.) was added and the reaction mixture was stirred at r.t. for 5-10h. After reaction completion, the reaction mixture was filtrated over a celite pad and concentrated. The residue was purified by column chromatography using ethyl acetate: petroleum ether as an eluent to give titled compound as a white solid or colorless oil.

3.2 Synthesis of compound 1s

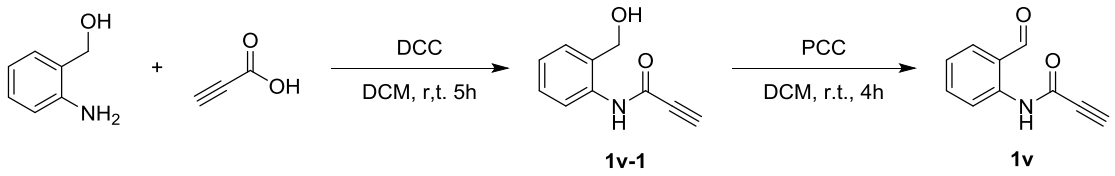


1s-1: To a solution of 2-aminobenzyl alcohol (10 mmol, 1.0 equiv.uiv.) in anhydrous Et_2O (50 mL) at 0 °C was added Et_3N (20 mmol, 2.0 equiv.uiv.), followed by dropwise addition of TFAA (11 mmol, 1.1 equiv.uiv.). After the addition was complete, the reaction mixture was stirred at 0 °C for 1h. Water (50 mL) was added to the reaction mixture and extracted with ethyl acetate (100 mL). The organic layer was collected, dried over anhydrous Na_2SO_4, concentrated and purified by column chromatography to give compound **1s-1** as a white solid.

1s: To a solution of **1s-1** (5 mmol, 1.0 equiv.) in DCM (25 mL) was added pyridinium chlorochromate (7.5 mmol, 1.5 equiv.), the reaction mixture was stirred at r.t. for 3h.

After reaction completion, the reaction mixture was filtrated over a celite pad and concentrated, the residue was purified by column chromatography using ethyl acetate : petroleum ether (1 : 20) as an eluent to give compound **1s** as a white solid.

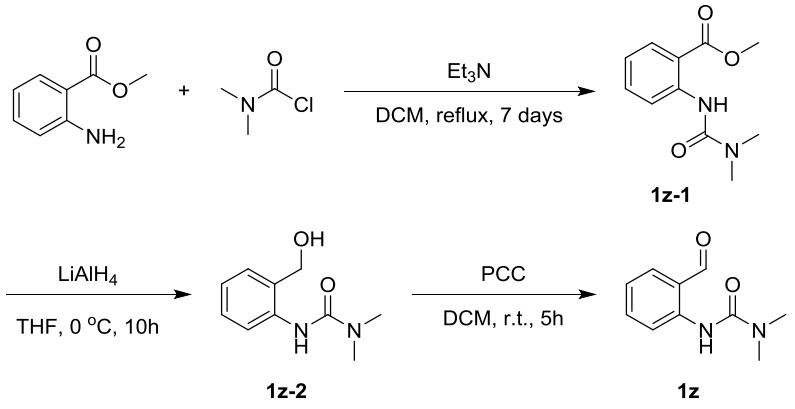
3.3 Synthesis of compound 1v



1v-1: To a solution of propiolic acid (12 mmol, 1.2 equiv.) in anhydrous DCM (50 mL) at 0 ^{o}C was added DCC (12 mmol, 1.2 equiv.). After the reaction mixture was stirred at 0 ^{o}C for 0.5h, 2-aminobenzyl alcohol (10 mmol, 1.0 equiv.) was added and the reaction mixture was stirred at r.t. for another 5h. Filtered and concentrated. The residue was purified by column chromatography to give compound **1v-1** as a white solid.

1v: To a solution of **1v-1** (5 mmol, 1.0 equiv.) in DCM (25 mL) was added pyridinium chlorochromate (7.5 mmol, 1.5 equiv.), the reaction mixture was stirred at r.t. for 5h. After reaction completion, the reaction mixture was filtrated over a celite pad and concentrated, the residue was purified by column chromatography using ethyl acetate: petroleum ether (1: 20) as an eluent to give compound **1s** as a white solid.

3.4 Synthesis of compound 1z

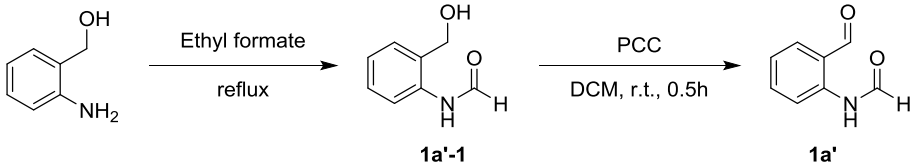


1z-1: To a solution of Methyl anthranilate (40 mmol, 1.0 equiv.) in anhydrous DCM (50 mL) was added Et_3N (80 mmol, 2.0 equiv.) and dimethylcarbamoyl chloride (80 mmol, 2.0 equiv.), the reaction mixture was stirred under reflux for 7 days. Filtered and concentrated, the residue was purified by column chromatography to give compound **1z-1** as a white solid.

1z-2: To a solution of **1z-1** (30 mmol, 1.0 equiv.) in anhydrous THF (100 mL) at 0 ^oC was added $LiAlH_4$ (30 mmol, 1.0 equiv.), the reaction mixture was stirred at 0 ^oC for 10h. After reaction completion, quenched with water and extracted with ethyl acetate (100 mL × 3). The combined organic layer was dried over anhydrous Na_2SO_4, concentrated and purified by column chromatography to give compound **1z-2** as a white solid.

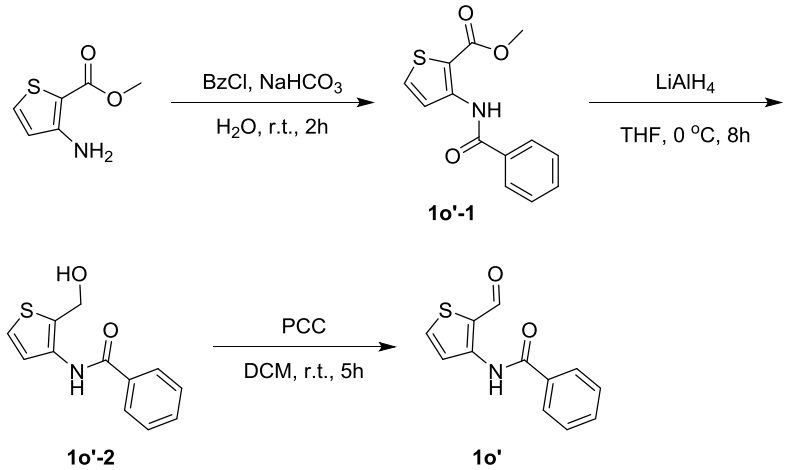
1z: To a solution of **1z-2** (10 mmol, 1.0 equiv.) in DCM (50 mL) was added pyridinium chlorochromate (15 mmol, 1.5 equiv.), the reaction mixture was stirred at r.t. for 5h. After reaction completion, the reaction mixture was filtrated over a celite pad and concentrated, the residue was purified by column chromatography using ethyl acetate: petroleum ether (1 : 10) as an eluent to give compound **1z** as a white solid.

3.5 Synthesis of compound 1a'



Compound **1a'** was synthesized according to literature reported procedure.[2]

3.6 Synthesis of compound 1o'

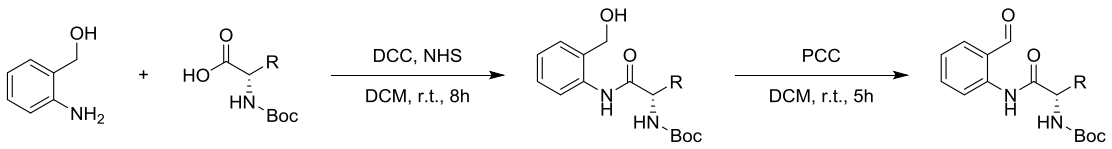


1o'-1: To a solution of 3-Aminothiophene-2-carboxylic acid methyl ester (20 mmol, 1.0 equiv.) in THF (25 mL) and sat. $NaHCO_3$ (aq.) (25 mL) was added benzoyl chloride (22 mmol, 1.1 equiv.), the reaction mixture was stirred at r.t. for 2h. Water (100 mL) was added to the reaction mixture and extracted with ethyl acetate (200 mL), the organic layer was dried over anhydrous Na_2SO_4, concentrated and purified by column chromatography to give compound **1o'-1** as a white solid.

1o'-2: To a solution of **1o'-1** (10 mmol, 1.0 equiv.) in anhydrous THF (100 mL) at 0 ^oC was added $LiAlH_4$ (10 mmol, 1.0 equiv.), the reaction mixture was stirred at 0 ^oC for 18h. After reaction completion, quenched with water and extracted with ethyl acetate (100 mL × 3). The combined organic layer was dried over anhydrous Na_2SO_4, concentrated and purified by column chromatography to give compound **1o'-2** as a white solid.

1o': To a solution of **1o'-2** (8 mmol, 1.0 equiv.) in DCM (50 mL) was added pyridinium chlorochromate (12 mmol, 1.5 equiv.), the reaction mixture was stirred at r.t. for 5h. After reaction completion, the reaction mixture was filtrated over a celite pad and concentrated, the residue was purified by column chromatography using ethyl acetate: petroleum ether (1 : 10) as an eluent to give compound **1o'** as a white solid.

3.7 General procedure (B)



1b'-1 to 1d'-1 **1b' to 1d'**

1b'-1 to **1d'-1:** To a solution of Boc-protected amino acid (11 mmol, 1.1 equiv.) in anhydrous DCM (50 mL) at 0 ^{o}C was added NHS (11 mmol, 1.1 equiv.) and DCC (11 mmol, 1.1 equiv.), the reaction mixture was stirred at 0 ^{o}C for 30 min, 2-aminobenzyl alcohol (10 mmol, 1.0 equiv.) was added and stirred at r.t. for another 8h. Filtered and concentrated, the residue was purified by column chromatography to give the intermediate **1b'-1** to **1d'-1** as a white solid.

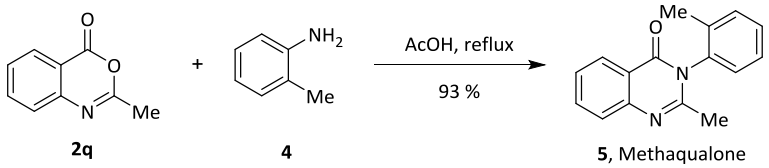
1b': To a solution of **1b'-1** (5 mmol, 1.0 equiv.) in DCM (50 mL) was added pyridinium chlorochromate (7.5 mmol, 1.5 equiv.), the reaction mixture was stirred at r.t. for 5h. After reaction completion, the reaction mixture was filtrated over a celite pad and concentrated, the residue was purified by column chromatography using ethyl acetate : petroleum ether (1 : 4) as an eluent to give compound **1b'** as a white solid.

1c' and **1d'** were synthesized as described above.

4. General procedure for the synthesis of compound 2 and 3

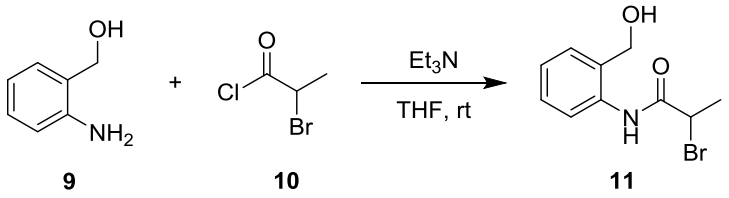
A mixture of **1** (0.2 mmol), cat. **C** (5 mol %), NaOAC (5 mol %), DQ (0.22 mmol) and 4Å MS (50 mg) in anhydrous Et_2O (2 mL) was stirred at r.t. for 5h. After reaction completion, solvent was removed under reduced pressure and purified by column chromatography to give the product as a white solid or colorless oil.

5. Synthesis of Methaqualone



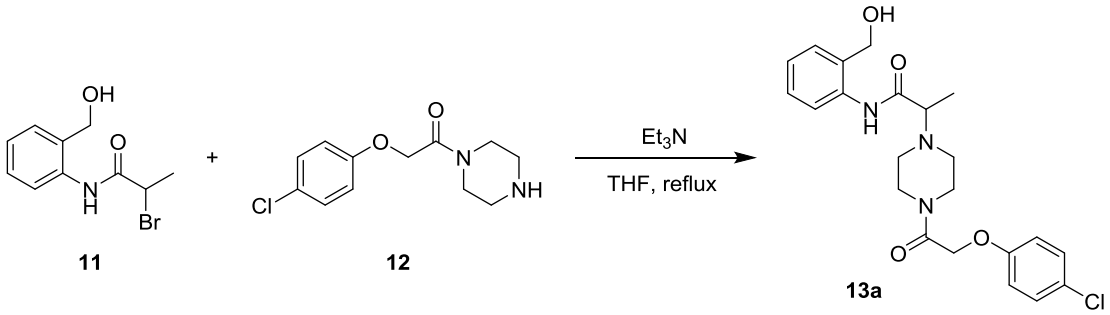
A mixture of **2q** (200 mg, 1.24 mmol) and **4** (146 mg, 1.36 mmol) in AcOH (1 mL) was stirred at 120 ^{o}C for 4h. The reaction mixture was poured into water (10 mL) and extracted with ethyl acetate (50 mL), concentrated and purified by column chromatography to give compound **5** (Methaqualone) as a colorless oil. 290 mg, 93% yield.

6. Synthesis of Erastin



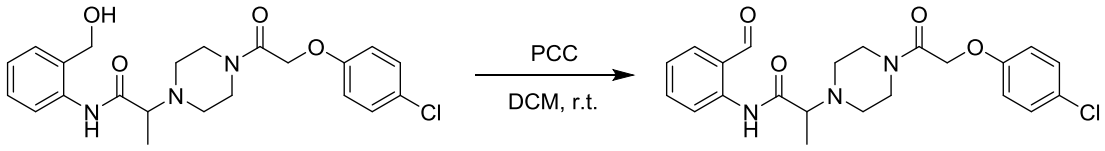
9 **10** **11**

(11): To a solution of compound **9** (1.23 g, 10 mmol) in THF (50 mL) at 0 ^{o}C was added Et_3N (1.21 g, 12 mmol), followed by addition of compound **10** (1.71 g, 10 mmol), the reaction mixture was stirred at r.t. for 1h. Water (100 mL) was added and extracted with ethyl acetate (100 mL), the organic layer was dried over anhydrous Na_2SO_4, concentrated and purified by column chromatography to give compound **11** as a white solid. 2.5 g, 97 % yield.



11 **12** **13a**

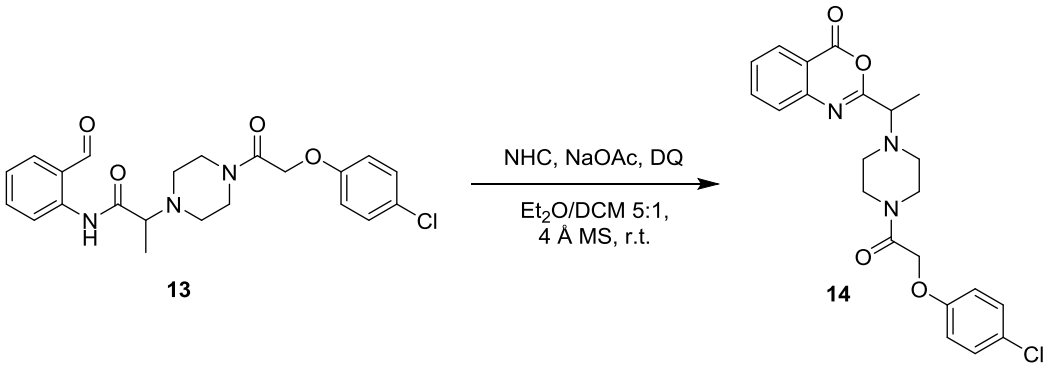
(13a): A mixture of compound **11** (4.0 g, 15.6 mmol), compound **12** (4.1 g, 16.1 mmol) and Et_3N (3.2 g, 31.6 mmol) in THF (50 mL) was stirred under reflux for 7h. After reaction completion, solvent was removed under reduced pressure and purified by column chromatography to give the product (**13a**) as a white solid. 5.5 g, 82 % yield.



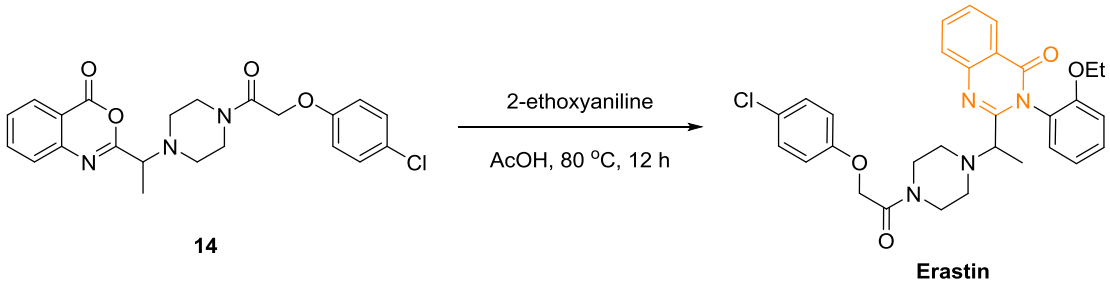
13a **13**

(13): To a suspension of compound **13a** (4.32 g, 10 mmol) in DCM (50 mL) was added PCC (2.58 g, 12 mmol), the reaction mixture was stirred at r.t. for 2h. After reaction completion, solvent was removed under reduced pressure and purified by

column chromatography to give compound **13** as a white solid. 3.8g, 88% yield.

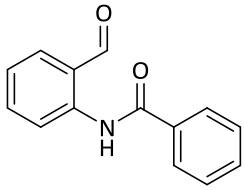


(14): A mixture of compound **13** (2.0 g, 4.7 mmol), cat. **C** (88 mg, 5 mmol %), NaOAc (19 mg, 5 mmol %), DQ (2.1 g, 5.1 mmol) and 4Å MS (1.0 g) in Et_2O : DCM (5: 1, v/v, 20 mL) was stirred at r.t. for 20h. After reaction completion, solvent was removed under reduced pressure and purified by column chromatography to give the product as a white solid. 1.9 g, 96% yield.



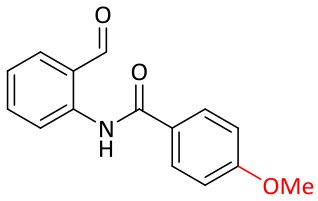
Erastin: To a solution of compound **14** (1.5 g, 3.5 mmol) in AcOH (10 mL) was added 2-ethoxyaniline (529 mg, 3.9 mmol), the reaction mixture was stirred at 80 °C for 12h. After reaction completion, water (100 mL) was added and extracted with DCM (50 mL × 3), the combined organic layers was dried over anhydrous Na_2SO_4, concentrated and purified by column chromatography to give the product as a white solid. 1.0 g, 53% yield.

7. Analytical data



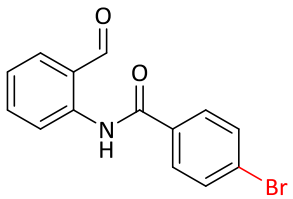
1a

(1a): Following general procedure (A). The titled compound was isolated in 96% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.07 (s, 1H), 9.97 (s, 1H), 8.95 (d, *J* = 8.4 Hz, 1H), 8.12 – 8.02 (m, 2H), 7.75 – 7.62 (m, 2H), 7.61 – 7.48 (m, 3H), 7.25 (td, *J* = 7.5, 1.1 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.9, 166.2, 141.3, 136.4, 136.3, 134.4, 132.3, 129.0, 127.6, 123.1, 122.1, 120.0.



1b

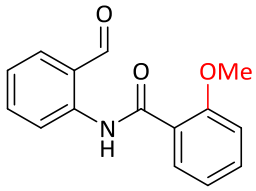
(1b): Following general procedure (A). The titled compound was isolated in 90% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.00 (s, 1H), 9.97 (s, 1H), 8.93 (d, *J* = 8.5 Hz, 1H), 8.03 (d, *J* = 8.9 Hz, 2H), 7.84 – 7.53 (m, 2H), 7.40 – 7.15 (m, 1H), 7.01 (d, *J* = 8.9 Hz, 2H), 3.87 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.0, 165.8, 162.9, 141.6, 136.5, 136.3, 129.6, 126.7, 122.8, 122.0, 119.9, 114.2, 55.6.



1c

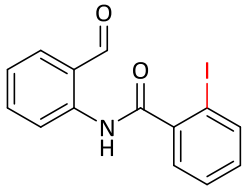
(1c): Following general procedure (A). The titled compound was isolated in 90% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.08 (s, 1H), 9.99 (s, 1H), 8.92 (d, *J* = 8.4 Hz, 1H), 8.07 – 7.85 (m, 2H), 7.78 – 7.57 (m, 4H), 7.35 – 7.23 (m, 1H).

^{13}C NMR (101 MHz, $CDCl_3$) δ 196.1, 165.2, 141.2, 136.6, 136.3, 133.3, 132.3, 129.2, 127.3, 123.4, 122.1, 120.1.



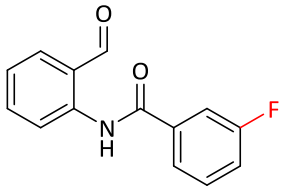
1d

(1d): Following general procedure (A). The titled compound was isolated in 88% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.37 (s, 1H), 9.97 (s, 1H), 9.05 (d, *J* = 8.5 Hz, 1H), 8.20 (dd, *J* = 7.9, 1.8 Hz, 1H), 7.69 (d, *J* = 7.6 Hz, 1H), 7.63 (t, *J* = 7.9 Hz, 1H), 7.50 (t, *J* = 7.8 Hz, 1H), 7.29 – 7.20 (m, 1H), 7.09 (t, *J* = 7.5 Hz, 1H), 7.04 (d, *J* = 8.3 Hz, 1H), 4.14 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 194.4, 165.2, 157.7, 140.6, 136.3, 135.9, 133.6, 132.6, 123.1, 123.0, 122.3, 121.4, 121.1, 111.4, 55.6.



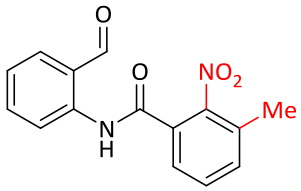
1e

(1e): Following general procedure (A). The titled compound was isolated in 76% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.45 (s, 1H), 9.92 (s, 1H), 8.90 (d, *J* = 8.4 Hz, 1H), 7.94 (dd, *J* = 8.0, 1.1 Hz, 1H), 7.78 – 7.63 (m, 2H), 7.55 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.45 (td, *J* = 7.5, 1.2 Hz, 1H), 7.29 (td, *J* = 7.5, 1.1 Hz, 1H), 7.16 (td, *J* = 7.7, 1.7 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.6, 168.1, 141.5, 140.6, 140.6, 136.4, 136.2, 131.8, 128.5, 128.3, 123.7, 122.1, 120.2, 92.8.



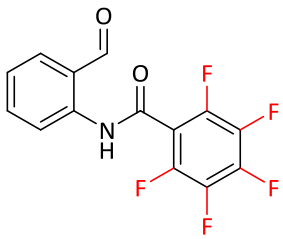
1f

(1f): Following general procedure (A). The titled compound was isolated in 91% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.09 (s, 1H), 9.99 (s, 1H), 8.91 (d, *J* = 8.4 Hz, 1H), 7.84 (dt, *J* = 7.8, 1.2 Hz, 1H), 7.77 (dt, *J* = 9.4, 2.4 Hz, 1H), 7.73 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.71 – 7.65 (m, 1H), 7.51 (td, *J* = 8.0, 5.6 Hz, 1H), 7.34 – 7.21 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.06, 164.84 (d, *J* = 2.7 Hz), 163.06 (d, *J* = 247.8 Hz), 141.03, 136.74 (d, *J* = 6.8 Hz), 136.53, 136.31, 130.65 (d, *J* = 7.9 Hz), 123.45, 122.90 (d, *J* = 3.0 Hz), 122.12, 120.09, 119.36 (d, *J* = 21.3 Hz), 115.10 (d, *J* = 23.1 Hz). **^{19}F NMR** (376 MHz, $CDCl_3$) δ -111.3.



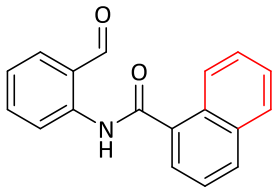
1g

(1g): Following general procedure (A). The titled compound was isolated in 86% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.87 (s, 1H), 9.95 (s, 1H), 8.78 (d, *J* = 8.4 Hz, 1H), 7.73 (dd, *J* = 7.6, 1.6 Hz, 1H), 7.71 – 7.61 (m, 2H), 7.58 – 7.46 (m, 2H), 7.29 (td, *J* = 7.5, 1.0 Hz, 1H), 2.40 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.1, 163.7, 150.0, 140.4, 136.5, 136.2, 134.6, 131.8, 130.7, 129.4, 125.5, 124.0, 122.1, 120.3, 17.6.



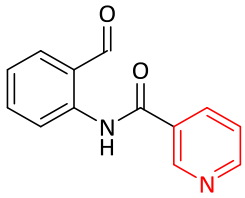
1h

(1h): Following general procedure (A). The titled compound was isolated in 81% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.73 (s, 1H), 9.93 (s, 1H), 8.82 (d, *J* = 8.4 Hz, 1H), 7.75 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.70 (td, *J* = 8.7, 1.7 Hz, 1H), 7.36 (td, *J* = 7.5, 1.0 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.7, 156.3, 139.7, 136.5, 136.3, 124.6, 122.1, 120.5. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -140.0 – -140.2 (m), -149.66 (tt, *J* = 20.6, 3.4 Hz), -159.3 – -159.9 (m).



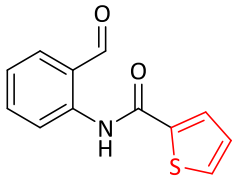
1i

(1i): Following general procedure (A). The titled compound was isolated in 93% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.77 (s, 1H), 9.94 (s, 1H), 9.06 (d, *J* = 8.8 Hz, 1H), 8.54 (d, *J* = 8.5 Hz, 1H), 8.01 (d, *J* = 8.3 Hz, 1H), 7.93 – 7.86 (m, 2H), 7.76 – 7.69 (m, 2H), 7.63 – 7.52 (m, 3H), 7.30 (td, *J* = 7.5, 1.0 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.7, 168.5, 141.2, 136.4, 136.3, 134.0, 133.9, 131.8, 130.5, 128.5, 127.5, 126.7, 125.9, 125.6, 125.0, 123.4, 122.1, 120.1.



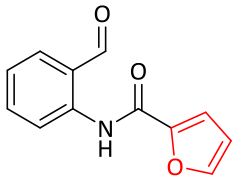
1j

(1j): Following general procedure (A). The titled compound was isolated in 18% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.14 (s, 1H), 9.97 (s, 1H), 9.29 (s, 1H), 8.90 (t, *J* = 7.4 Hz, 1H), 8.85 – 8.76 (m, 1H), 8.38 – 8.27 (m, 1H), 7.82 – 7.61 (m, 2H), 7.52 – 7.40 (m, 1H), 7.35 – 7.27 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.1, 152.9, 149.2, 140.9, 136.6, 136.6, 136.3, 135.1, 130.0, 123.7, 122.1, 120.2, 120.1.



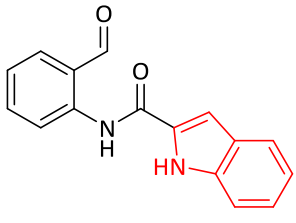
1k

(1k): Following general procedure (A). The titled compound was isolated in 86% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.02 (s, 1H), 9.94 (s, 1H), 8.81 (d, *J* = 8.4 Hz, 1H), 7.98 – 7.74 (m, 1H), 7.73 – 7.55 (m, 3H), 7.28 – 7.11 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.9, 160.7, 141.0, 139.8, 136.3, 136.2, 131.8, 128.9, 128.1, 123.0, 121.6, 119.7.



1l

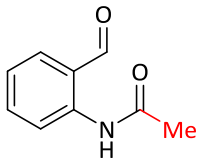
(1l): Following general procedure (A). The titled compound was isolated in 80% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.06 (s, 1H), 9.99 (s, 1H), 8.87 (d, *J* = 8.4 Hz, 1H), 7.78 – 7.58 (m, 3H), 7.37 – 7.17 (m, 2H), 6.57 (dt, *J* = 3.8, 1.9 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.6, 157.1, 147.9, 145.3, 140.6, 136.2, 136.2, 123.2, 122.0, 120.1, 115.8, 112.5.



1m

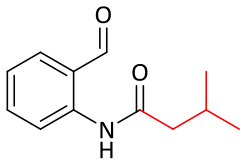
(1m): Following general procedure (A). The titled compound was isolated in 73% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.22 (s, 1H), 10.02 (s, 1H), 9.56 (s, 1H), 8.92 (d, *J* = 8.4 Hz, 1H), 7.75 (td, *J* = 7.4, 1.3 Hz, 2H), 7.71 – 7.65 (m,

1H), 7.50 – 7.44 (m, 1H), 7.39 – 7.24 (m, 3H), 7.22 – 7.14 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.0, 160.7, 141.2, 137.0, 136.5, 136.4, 131.0, 128.0, 125.3, 123.2, 122.7, 121.8, 121.0, 119.9, 112.1, 104.6.



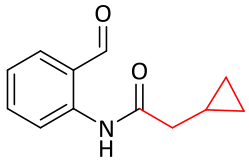
1n

(1n): Following general procedure (A). The titled compound was isolated in 65% yield as a white solid. **^{1}H NMR** (400 MHz, Chloroform-*d*) δ 11.10 (s, 1H), 9.90 (s, 1H), 8.71 (d, *J* = 8.0 Hz, 1H), 7.83 – 7.49 (m, 2H), 7.20 (td, *J* = 7.7, 2.6 Hz, 1H), 2.24 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.6, 169.7, 141.1, 136.3, 136.1, 122.9, 121.6, 119.9, 25.5.



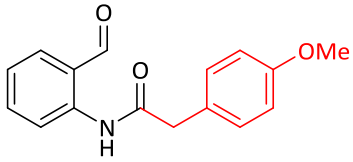
1o

(1o): Following general procedure (A). The titled compound was isolated in 93% yield as a colorless oil. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.11 (s, 1H), 9.91 (s, 1H), 8.76 (d, *J* = 8.4 Hz, 1H), 7.66 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.59 (td, *J* = 8.7, 8.1, 1.7 Hz, 1H), 7.20 (td, *J* = 7.5, 1.1 Hz, 1H), 2.31 (d, *J* = 6.8 Hz, 2H), 2.29 – 2.14 (m, 1H), 1.01 (d, *J* = 6.5 Hz, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.7, 172.4, 141.1, 136.3, 136.2, 122.9, 121.6, 119.9, 47.9, 26.3, 22.6.



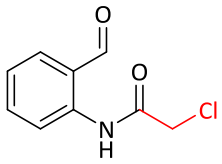
1p

(1p): Following general procedure (A). The titled compound was isolated in 21% yield as a colorless oil. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.38 (s, 1H), 9.92 (s, 1H), 8.80 (d, *J* = 8.5 Hz, 1H), 7.67 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.61 (td, *J* = 7.9, 7.3, 1.5 Hz, 1H), 7.22 (td, *J* = 7.5, 1.0 Hz, 1H), 2.38 (d, *J* = 7.2 Hz, 2H), 1.21 – 1.02 (m, 1H), 0.80 – 0.67 (m, 2H), 0.40 – 0.21 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.5, 172.5, 141.0, 136.2, 136.1, 123.0, 122.0, 120.1, 43.7, 7.1, 5.1.



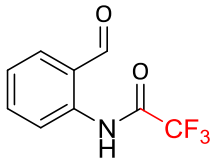
1q

(1q): Following general procedure (A). The titled compound was isolated in 63% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.09 (s, 1H), 9.82 (s, 1H), 8.74 (d, *J* = 8.4 Hz, 1H), 7.65 – 7.52 (m, 2H), 7.36 – 7.28 (m, 2H), 7.19 (td, *J* = 7.5, 1.1 Hz, 1H), 6.98 – 6.89 (m, 2H), 3.81 (s, 3H), 3.71 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.3, 171.2, 159.1, 140.9, 136.1, 136.0, 130.8, 126.1, 123.0, 121.9, 119.9, 114.5, 55.4, 45.0.



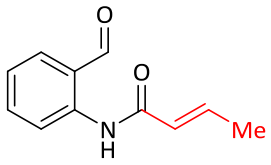
1r

(1r): Following general procedure (A). The titled compound was isolated in 86% yield as a colorless oil. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.90 (s, 1H), 9.92 (s, 1H), 8.70 (d, *J* = 8.4 Hz, 1H), 7.69 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.61 (td, *J* = 8.7, 8.1, 1.7 Hz, 1H), 7.27 (td, *J* = 7.5, 1.0 Hz, 1H), 4.18 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.2, 165.9, 139.6, 136.1, 136.0, 123.9, 122.4, 120.0, 43.2.



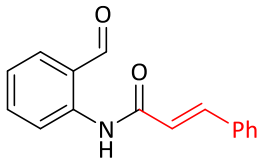
1s

(1s): white solid. 79% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.18 (s, 1H), 9.97 (s, 1H), 8.66 (d, *J* = 8.3 Hz, 1H), 7.78 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.73 – 7.66 (m, 1H), 7.40 (td, *J* = 7.6, 1.1 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.7, 155.9 (q, *J* = 37.9 Hz), 138.2, 136.5, 136.2, 125.4, 122.7, 120.5, 115.6 (q, *J* = 288.6 Hz).



1t

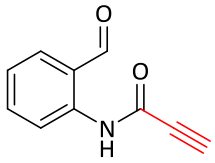
(1t): Following general procedure (A). The titled compound was isolated in 59% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.18 (s, 1H), 9.89 (s, 1H), 8.79 (d, *J* = 8.4 Hz, 1H), 7.64 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.58 (td, *J* = 8.9, 8.2, 1.7 Hz, 1H), 7.18 (td, *J* = 7.4, 1.0 Hz, 1H), 6.98 (dq, *J* = 15.3, 6.8 Hz, 1H), 6.02 (dd, *J* = 15.2, 1.7 Hz, 1H), 1.92 (dd, *J* = 6.9, 1.7 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.6, 165.0, 142.0, 141.3, 136.2, 136.1, 126.4, 122.8, 121.7, 120.0, 18.0.



1u

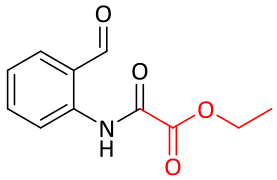
(1u): Following general procedure (A). The titled compound was isolated in 90% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.40 (s, 1H), 9.94 (s, 1H), 8.88 (d, *J* = 8.4 Hz, 1H), 7.76 (d, *J* = 15.6 Hz, 1H), 7.71 – 7.54 (m, 4H), 7.46 – 7.34 (m, 3H), 7.23 (td, *J* = 7.5, 1.1 Hz, 1H), 6.62 (d, *J* = 15.6 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.7, 165.0, 142.9, 141.3, 136.3, 136.2, 134.6, 130.2, 129.0, 128.2, 123.0,

121.7, 121.5, 120.2.



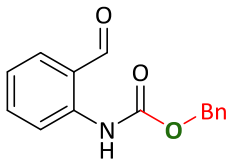
1v

(1v): White silid. 92% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.45 (s, 1H), 9.91 (s, 1H), 8.62 (d, *J* = 8.3 Hz, 1H), 7.69 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.66 – 7.56 (m, 1H), 7.27 (t, *J* = 7.5 Hz, 1H), 3.02 (s, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.4, 150.4, 139.8, 136.3, 136.1, 124.0, 121.7, 120.6, 77.7, 74.5.



1w

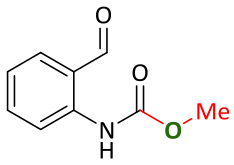
(1w): Following general procedure (A). The titled compound was isolated in 83% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.52 (s, 1H), 9.97 (s, 1H), 8.74 (d, *J* = 8.4 Hz, 1H), 7.74 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.65 (t, *J* = 7.8 Hz, 1H), 7.32 (t, *J* = 7.5 Hz, 1H), 4.44 (q, *J* = 7.1 Hz, 2H), 1.44 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.1, 160.1, 155.3, 138.8, 136.2, 136.1, 124.6, 122.7, 120.3, 120.3, 63.8, 14.1.



1x

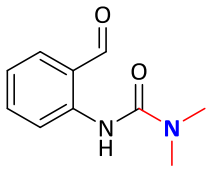
(1x): Following general procedure (A). The titled compound was isolated in 88% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.69 (s, 1H), 9.88 (s, 1H), 8.49 (d, *J* = 8.5 Hz, 1H), 7.67 – 7.54 (m, 2H), 7.50 – 7.30 (m, 5H), 7.16 (td, *J* = 7.5, 1.2 Hz,

1H), 5.24 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.1, 153.5, 141.2, 136.1, 136.0, 136.0, 128.6, 128.4, 128.3, 122.1, 121.4, 118.4, 67.1.



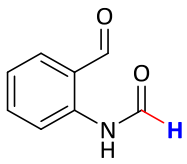
1y

(1y): Following general procedure (A). The titled compound was isolated in 34% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.59 (s, 1H), 9.87 (s, 1H), 8.42 (d, *J* = 8.5 Hz, 1H), 7.61 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.59 – 7.52 (m, 1H), 7.14 (t, *J* = 7.5 Hz, 1H), 3.77 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.1, 154.1, 141.3, 136.1, 122.0, 121.4, 118.3, 52.5.



1z

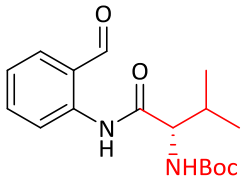
(1z): White solid. 80% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.77 (s, 1H), 9.86 (s, 1H), 8.61 (d, *J* = 8.6 Hz, 1H), 7.57 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.55 – 7.49 (m, 1H), 7.05 (td, *J* = 7.5, 1.0 Hz, 1H), 3.07 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.7, 155.4, 143.2, 136.2, 136.0, 121.0, 120.9, 118.7, 36.4.



1a'

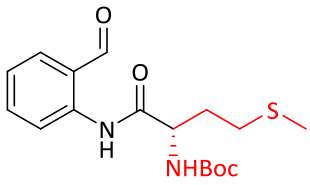
(1a'): White solid. 83% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.06 (s, 1H), 9.96 (s, 1H), 8.75 (d, *J* = 8.4 Hz, 1H), 8.55 (d, *J* = 1.7 Hz, 1H), 7.73 (dd, *J* = 7.7, 1.6 Hz, 1H), 7.69 – 7.59 (m, 1H), 7.37 – 7.25 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.6,

160.0, 139.8, 136.3, 136.1, 123.8, 121.9, 120.9.



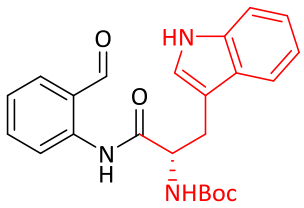
1b'

(1b'): Following general procedure (B). The titled compound was isolated in 79% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.53 (s, 1H), 9.91 (s, 1H), 8.75 (d, *J* = 8.4 Hz, 1H), 7.67 (dd, *J* = 7.6, 1.7 Hz, 1H), 7.63 – 7.56 (m, 1H), 7.23 (t, *J* = 7.5 Hz, 1H), 5.17 (d, *J* = 8.3 Hz, 1H), 4.33 – 4.13 (m, 1H), 2.52 – 2.15 (m, 1H), 1.46 (s, 9H), 1.03 (d, *J* = 6.8 Hz, 3H), 0.94 (d, *J* = 6.9 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.4, 171.7, 155.9, 140.4, 136.2, 136.1, 123.3, 122.1, 120.0, 80.2, 61.1, 31.1, 28.4, 19.5, 17.5.



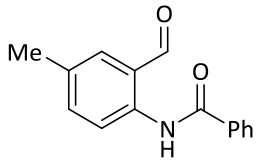
1c'

(1c'): Following general procedure (B). The titled compound was isolated in 73% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.65 (s, 1H), 9.92 (s, 1H), 8.73 (d, *J* = 8.3 Hz, 1H), 7.68 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.65 – 7.58 (m, 1H), 7.25 (td, *J* = 7.5, 1.0 Hz, 1H), 5.42 (d, *J* = 7.8 Hz, 1H), 4.65 – 4.33 (m, 1H), 2.62 (t, *J* = 7.4 Hz, 2H), 2.42 – 2.21 (m, 1H), 2.13 (s, 3H), 2.09 – 1.95 (m, 1H), 1.48 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.3, 171.6, 155.5, 140.3, 136.2, 136.0, 123.4, 122.2, 120.0, 80.5, 55.4, 32.1, 30.4, 28.4, 15.5.



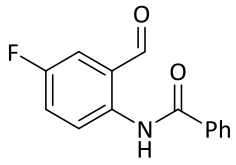
1d'

(1d'): Following general procedure (B). The titled compound was isolated in 68% yield as a white solid. **^{1}H NMR** (400 MHz, Acetone-d_6) δ 11.67 (s, 1H), 10.09 (s, 1H), 9.95 (s, 1H), 8.81 (d, *J* = 8.4 Hz, 1H), 7.85 (d, *J* = 7.6 Hz, 1H), 7.77 – 7.62 (m, 2H), 7.39 (d, *J* = 8.0 Hz, 1H), 7.36 – 7.24 (m, 2H), 7.10 (t, *J* = 7.5 Hz, 1H), 7.02 (t, *J* = 7.4 Hz, 1H), 6.37 (d, *J* = 7.2 Hz, 1H), 4.68 – 4.44 (m, 1H), 3.51 (dd, *J* = 14.8, 4.8 Hz, 1H), 3.40 – 3.23 (m, 1H), 1.40 (s, 9H). **^{13}C NMR** (101 MHz, Acetone-d_6) δ 196.2, 173.0, 156.5, 141.2, 137.6, 136.9, 136.4, 128.5, 124.4, 123.9, 123.3, 122.2, 120.2, 119.6, 119.2, 112.2, 111.4, 79.7, 58.0, 28.5, 28.3.



1e'

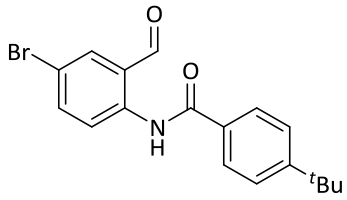
(1e'): Following general procedure (A). The titled compound was isolated in 91% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.98 (s, 1H), 9.94 (s, 1H), 8.84 (d, *J* = 8.3 Hz, 1H), 8.11 – 7.95 (m, 2H), 7.66 – 7.42 (m, 5H), 2.40 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 196.0, 166.1, 139.0, 137.2, 136.4, 134.6, 132.8, 132.2, 129.0, 127.6, 122.1, 120.1, 20.6.



1f'

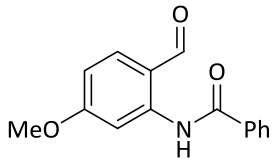
(1f'): Following general procedure (A). The titled compound was isolated in 85%

yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.90 (s, 1H), 9.93 (s, 1H), 8.98 (dd, *J* = 9.0, 4.7 Hz, 1H), 8.14 – 7.92 (m, 2H), 7.64 – 7.46 (m, 3H), 7.47 – 7.31 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 194.6 (d, *J* = 2.1 Hz), 166.1, 157.9 (d, *J* = 245.2 Hz), 137.8 (d, *J* = 2.5 Hz), 134.2, 132.4, 129.0, 127.6, 123.5 (d, *J* = 21.9 Hz), 122.9 (d, *J* = 5.3 Hz), 122.2 (d, *J* = 6.8 Hz), 121.3 (d, *J* = 22.5 Hz). **^{19}F NMR** (376 MHz, $CDCl_3$) δ -118.6.



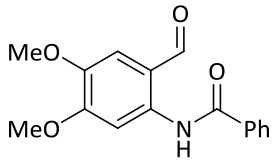
1g'

(1g'): Following general procedure (A). The titled compound was isolated in 89% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.94 (s, 1H), 9.91 (s, 1H), 8.89 (d, *J* = 9.0 Hz, 1H), 7.98 (d, *J* = 8.5 Hz, 2H), 7.81 (d, *J* = 2.4 Hz, 1H), 7.73 (dd, *J* = 9.0, 2.4 Hz, 1H), 7.55 (d, *J* = 8.5 Hz, 2H), 1.36 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 194.7, 166.1, 156.2, 140.5, 139.1, 138.2, 131.2, 127.5, 126.0, 123.4, 122.0, 115.1, 35.2, 31.3.



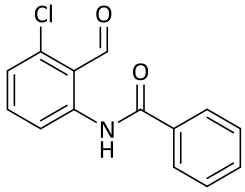
1h'

(1h'): Following general procedure (A). The titled compound was isolated in 88% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.37 (s, 1H), 9.81 (s, 1H), 8.59 (d, *J* = 2.4 Hz, 1H), 8.18 – 7.97 (m, 2H), 7.71 – 7.44 (m, 4H), 6.74 (dd, *J* = 8.6, 2.4 Hz, 1H), 3.94 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 193.9, 166.5, 166.2, 143.9, 138.1, 134.4, 132.4, 129.0, 127.6, 116.2, 110.6, 103.9, 56.0.



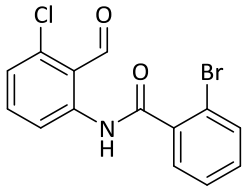
1i'

(1i'): Following general procedure (A). The titled compound was isolated in 80% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.31 (s, 1H), 9.82 (s, 1H), 8.71 (s, 1H), 8.12 – 8.00 (m, 2H), 7.63 – 7.46 (m, 3H), 7.09 (s, 1H), 4.05 (s, 3H), 3.93 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 193.7, 166.3, 155.8, 144.8, 138.1, 134.4, 132.3, 129.0, 127.6, 116.7, 115.1, 103.3, 56.6, 56.4.



1j'

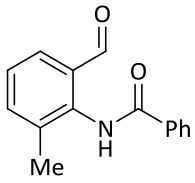
(1j'): Following general procedure (A). The titled compound was isolated in 93% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.48 (s, 1H), 10.64 (s, 1H), 8.91 (d, *J* = 8.6 Hz, 1H), 8.17 – 7.97 (m, 2H), 7.72 – 7.44 (m, 4H), 7.18 (dd, *J* = 8.0, 1.1 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 194.8, 166.3, 143.6, 140.4, 137.1, 134.3, 132.5, 129.1, 127.7, 124.8, 119.2, 117.9.



1k'

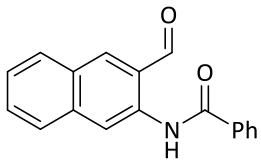
(1k'): Following general procedure (A). The titled compound was isolated in 90% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.91 (s, 1H), 10.57 (s, 1H), 8.86 (d, *J* = 8.6 Hz, 1H), 7.71 – 7.62 (m, 1H), 7.61 – 7.51 (m, 2H), 7.45 – 7.38 (m, 1H), 7.34 (td, *J* = 7.7, 1.8 Hz, 1H), 7.21 (d, *J* = 7.9 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 194.3, 166.9, 142.7, 140.3, 137.8, 137.0, 134.0, 131.9, 129.1, 127.8, 125.3,

119.9, 119.3, 118.0.



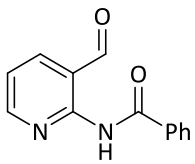
1l'

(1l'): Following general procedure (A). The titled compound was isolated in 81% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 10.19 (s, 1H), 9.97 (s, 1H), 8.12 – 7.94 (m, 2H), 7.68 – 7.44 (m, 5H), 7.34 (t, *J* = 7.6 Hz, 1H), 2.34 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 194.4, 165.8, 137.6, 137.5, 135.6, 134.1, 132.5, 132.2, 128.9, 128.3, 127.8, 125.8, 19.4.



1m'

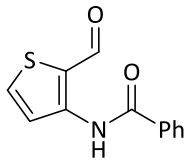
(1m'): Following general procedure (A). The titled compound was isolated in 76% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.86 (s, 1H), 10.07 (s, 1H), 9.31 (s, 1H), 8.18 (s, 1H), 8.13 – 8.04 (m, 2H), 7.86 (dd, *J* = 8.3, 4.3 Hz, 2H), 7.68 – 7.49 (m, 4H), 7.49 – 7.39 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 195.8, 166.0, 140.4, 137.3, 135.8, 134.6, 132.1, 130.5, 129.2, 129.0, 128.8, 128.2, 127.5, 126.0, 123.1, 117.4.



1n'

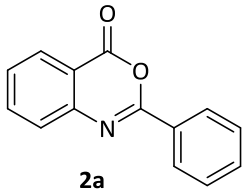
(1n'): Following general procedure (A). The titled compound was isolated in 71% yield as a white solid. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.64 (s, 1H), 10.01 (s, 1H),

8.76 (dd, *J* = 4.9, 2.0 Hz, 1H), 8.23 – 7.99 (m, 3H), 7.68 – 7.47 (m, 3H), 7.35 – 7.18 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 193.7, 164.7, 154.7, 152.3, 143.8, 134.2, 132.6, 129.0, 127.8, 119.0, 117.7.



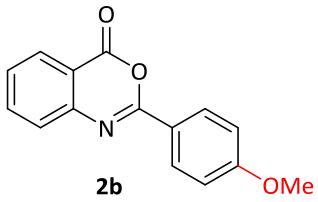
1o'

(1o'): White solid. 43% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 11.61 (s, 1H), 9.77 (d, *J* = 0.8 Hz, 1H), 8.34 (dd, *J* = 5.3, 0.8 Hz, 1H), 8.11 – 7.97 (m, 2H), 7.75 (d, *J* = 5.3 Hz, 1H), 7.66 – 7.47 (m, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 184.6, 164.8, 145.3, 136.6, 133.2, 132.7, 129.1, 127.7, 123.2, 121.5.



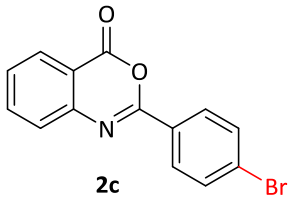
2a

(2a): White solid. 44 mg, 99% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.28 (d, *J* = 7.5 Hz, 2H), 8.21 (d, *J* = 7.7 Hz, 1H), 7.80 (t, *J* = 7.5 Hz, 1H), 7.66 (d, *J* = 8.1 Hz, 1H), 7.62 – 7.43 (m, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.6, 157.2, 147.0, 136.6, 132.7, 130.3, 128.8, 128.6, 128.4, 128.3, 127.3, 117.1. **HRMS** (ESI): *m/z*: calculated for $C_{14}H_{10}NO_2$: $[M + H]^+$ 224.0712, found: 224.0717.



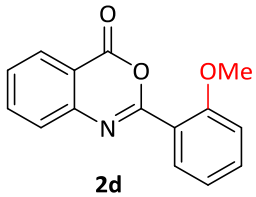
2b

(2b): White solid. 50 mg, 98% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.22 (d, *J* = 8.5 Hz, 2H), 8.18 (d, *J* = 7.8 Hz, 1H), 7.77 (t, *J* = 7.5 Hz, 1H), 7.61 (d, *J* = 8.1 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 1H), 6.96 (d, *J* = 8.5 Hz, 2H), 3.86 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.3, 159.8, 157.1, 147.4, 136.5, 130.3, 128.6, 127.7, 127.0, 122.6, 116.8, 114.2, 55.6. **HRMS** (ESI): *m/z*: calculated for $C_{15}H_{12}NO_3$: $[M + H]^+$ 254.0817, found: 254.0816.



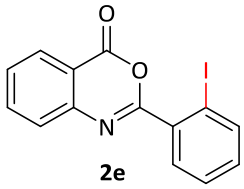
2c

(2c): White solid. 60 mg, 99% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.22 (d, *J* = 7.7 Hz, 1H), 8.15 (d, *J* = 8.3 Hz, 2H), 7.82 (t, *J* = 7.3 Hz, 1H), 7.66 (d, *J* = 8.1 Hz, 1H), 7.63 (d, *J* = 8.3 Hz, 2H), 7.52 (t, *J* = 7.6 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.3, 156.4, 146.9, 136.8, 132.2, 129.8, 129.3, 128.8, 128.6, 127.8, 127.4, 117.1. **HRMS** (ESI): *m/z*: calculated for $C_{14}H_9BrNO_2$: $[M + H]^+$ 301.9817, found: 301.9821.



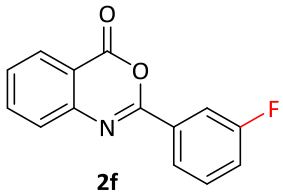
2d

(2d): White solid. 44 mg, 87% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.24 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.85 (dd, *J* = 7.7, 1.8 Hz, 1H), 7.84 – 7.78 (m, 1H), 7.69 (dd, *J* = 8.2, 1.2 Hz, 1H), 7.58 – 7.43 (m, 2H), 7.13 – 6.98 (m, 2H), 3.92 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.9, 158.7, 157.8, 147.1, 136.5, 133.3, 131.4, 128.5, 128.5, 127.3, 120.7, 120.6, 117.0, 112.2, 56.2. **HRMS** (ESI): *m/z*: calculated for $C_{15}H_{12}NO_3$: $[M + H]^+$ 254.0817, found: 254.0818.



2e

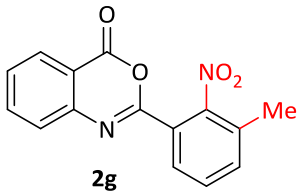
(2e): White solid. 69 mg, 99% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.27 (d, *J* = 7.9 Hz, 1H), 8.04 (d, *J* = 8.0 Hz, 1H), 7.94 – 7.81 (m, 2H), 7.74 (d, *J* = 8.0 Hz, 1H), 7.58 (t, *J* = 7.6 Hz, 1H), 7.48 (t, *J* = 7.6 Hz, 1H), 7.19 (td, *J* = 7.7, 1.7 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.4, 157.9, 146.3, 141.3, 136.8, 135.6, 132.4, 131.0, 129.1, 128.7, 128.3, 127.5, 117.1, 94.7. **HRMS** (ESI): *m/z*: calculated for $C_{14}H_9INO_2$: $[M + H]^+$ 349.9678, found: 349.9680.



2f

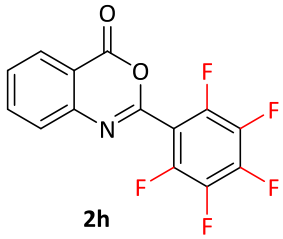
(2f): White solid. 46 mg, 95% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 7.8 Hz, 1H), 8.09 (d, *J* = 7.8 Hz, 1H), 8.00 (d, *J* = 9.6 Hz, 1H), 7.91 – 7.79 (m, 1H), 7.69

(d, *J* = 8.0 Hz, 1H), 7.60 – 7.42 (m, 2H), 7.27 (td, *J* = 7.7, 3.3 Hz, 1H). **^{13}C NMR** (101 MHz, CDCl3) δ 163.0 (d, *J* = 246.9 Hz), 159.3, 156.0, 146.8, 136.8, 132.6 (d, *J* = 8.4 Hz), 130.5 (d, *J* = 8.0 Hz), 128.8, 128.8, 127.5, 124.1 (d, *J* = 3.0 Hz), 119.8 (d, *J* = 21.3 Hz), 117.2, 115.4 (d, *J* = 24.0 Hz). **^{19}F NMR** (376 MHz, CDCl3) δ -111.8. **HRMS** (ESI): *m/z*: calculated for $C_{14}H_9FNO_2$: $[M + H]^+$ 242.0617, found: 242.0618.



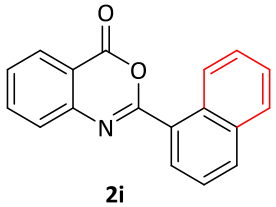
2g

(2g): White solid. 47 mg, 83% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (dd, *J* = 7.8, 1.6 Hz, 1H), 8.04 (dd, *J* = 6.2, 3.1 Hz, 1H), 7.82 (td, *J* = 7.7, 1.6 Hz, 1H), 7.62 (d, *J* = 8.0 Hz, 1H), 7.59 – 7.48 (m, 3H), 2.39 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.6, 153.0, 150.0, 146.0, 136.9, 135.2, 131.2, 130.2, 129.3, 128.7, 128.0, 127.8, 122.6, 117.1, 17.5. **HRMS** (ESI): *m/z*: calculated for $C_{15}H_{11}N_2O_4$: $[M + H]^+$ 283.0719, found: 283.0723.



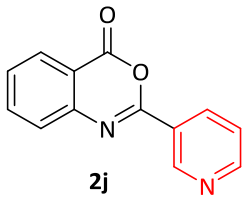
2h

(2h): White solid. 58 mg, 93% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.29 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.91 (td, *J* = 8.1, 1.5 Hz, 1H), 7.73 (d, *J* = 8.1 Hz, 1H), 7.65 (td, *J* = 7.6, 1.2 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.3, 145.9, 137.1, 130.2, 129.0, 127.8, 117.4. **^{19}F NMR** (376 MHz, CDCl3) δ -134.7 – -141.3 (m), -145.4 – -155.5 (m), -157.1 – -169.2 (m). **HRMS** (ESI): *m/z*: calculated for $C_{14}H_5F_5NO_2$: $[M + H]^+$ 314.0240, found: 314.0244.



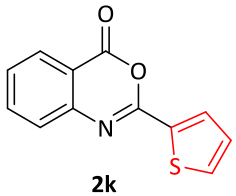
2i

(2i): White solid. 43 mg, 79% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.15 (d, *J* = 8.7 Hz, 1H), 8.39 – 8.22 (m, 2H), 8.04 (d, *J* = 8.2 Hz, 1H), 7.92 (d, *J* = 8.1 Hz, 1H), 7.86 (td, *J* = 7.7, 1.5 Hz, 1H), 7.79 (d, *J* = 7.9 Hz, 1H), 7.71 – 7.62 (m, 1H), 7.61 – 7.53 (m, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.8, 157.7, 146.9, 136.7, 134.2, 133.3, 130.8, 130.1, 128.9, 128.7, 128.6, 128.0, 127.5, 127.0, 126.5, 125.9, 124.9, 117.1. **HRMS** (ESI): *m/z*: calculated for $C_{18}H_{12}NO_2$: $[M + H]^+$ 274.0868, found: 274.0871.



2j

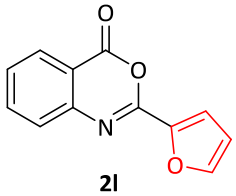
(2j): White solid. 41 mg, 92% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.49 (s, 1H), 8.78 (d, *J* = 4.4 Hz, 1H), 8.53 (dt, *J* = 8.1, 2.0 Hz, 1H), 8.24 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.90 – 7.81 (m, 1H), 7.70 (d, *J* = 8.1 Hz, 1H), 7.59 – 7.51 (m, 1H), 7.45 (dd, *J* = 8.0, 4.8 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.0, 155.5, 153.1, 149.8, 146.6, 136.9, 135.6, 128.9, 128.8, 127.5, 126.5, 123.6, 117.3. **HRMS** (ESI): *m/z*: calculated for $C_{13}H_9N_2O_2$: $[M + H]^+$ 225.0664, found: 225.0665.



2k

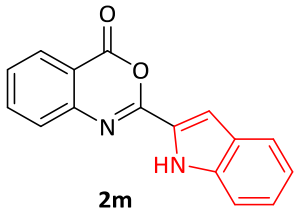
(2k): White solid. 45 mg, 99% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.95 (dd, *J* = 3.7, 1.3 Hz, 1H), 7.79 (td, *J* = 7.9, 1.6 Hz, 1H), 7.65 – 7.56 (m, 2H), 7.53 – 7.42 (m, 1H), 7.21 – 7.12 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ

159.2, 153.8, 147.2, 136.7, 134.3, 132.5, 131.9, 128.8, 128.4, 128.1, 127.0, 116.8. **HRMS** (ESI): *m/z*: calculated for $C_{12}H_8NO_2S$: $[M + H]^+$ 230.0276, found: 230.0277.



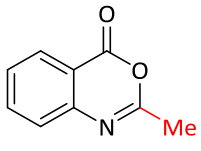
2l

(2l): White solid. 38 mg, 89% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.87 – 7.77 (m, 1H), 7.75 – 7.66 (m, 2H), 7.50 (td, *J* = 7.6, 1.2 Hz, 1H), 7.36 (dd, *J* = 3.5, 0.8 Hz, 1H), 6.62 (dd, *J* = 3.6, 1.7 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.7, 149.9, 147.2, 146.8, 144.6, 136.9, 128.9, 128.4, 127.3, 117.3, 117.1, 112.7. **HRMS** (ESI): *m/z*: calculated for $C_{12}H_8NO_3$: $[M + H]^+$ 214.0504, found: 214.0508.



2m

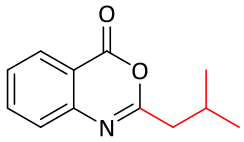
(2m): White solid. 45 mg, 86% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.18 (s, 1H), 8.23 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.90 – 7.76 (m, 1H), 7.75 – 7.67 (m, 1H), 7.61 (dd, *J* = 8.1, 1.1 Hz, 1H), 7.53 – 7.40 (m, 3H), 7.38 – 7.30 (m, 1H), 7.22 – 7.10 (m, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.1, 152.4, 147.0, 137.8, 136.8, 129.0, 128.2, 128.1, 127.7, 126.7, 125.8, 122.7, 121.2, 117.0, 111.8, 108.8. **HRMS** (ESI): *m/z*: calculated for $C_{16}H_{11}N_2O_2$: $[M + H]^+$ 263.0821, found: 263.0826.



2n

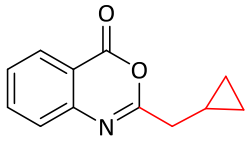
(2n): White solid. 24 mg, 75% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.18 (dd, *J* = 7.8,

1.5 Hz, 1H), 7.84 – 7.73 (m, 1H), 7.58 – 7.43 (m, 2H), 2.46 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.3, 159.8, 146.6, 136.7, 128.6, 128.3, 126.5, 116.8, 21.5. **HRMS** (ESI): *m/z*: calculated for $C_9H_8NO_2$: $[M + H]^+$ 162.0555, found: 162.0553.



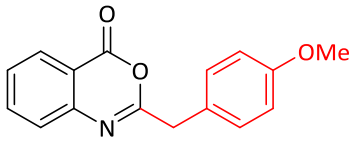
2o

(2o): Colorless oil. 37 mg, 91% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.17 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.82 – 7.73 (m, 1H), 7.55 (dd, *J* = 7.8, 1.0 Hz, 1H), 7.48 (td, *J* = 7.6, 1.1 Hz, 1H), 2.54 (d, *J* = 7.3 Hz, 2H), 2.41 – 2.15 (m, 1H), 1.02 (d, *J* = 6.7 Hz, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 162.6, 160.0, 146.5, 136.5, 128.5, 128.2, 126.7, 116.9, 43.8, 26.8, 22.5. **HRMS** (ESI): *m/z*: calculated for $C_{12}H_{14}NO_2$: $[M + H]^+$ 204.1025, found: 204.1024.



2p

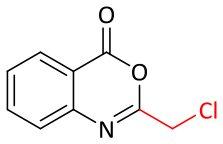
(2p): Colorless oil. 29 mg, 72% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.18 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.84 – 7.73 (m, 1H), 7.61 – 7.53 (m, 1H), 7.49 (td, *J* = 7.6, 1.2 Hz, 1H), 2.56 (d, *J* = 7.2 Hz, 2H), 1.43 – 1.13 (m, 1H), 0.68 – 0.53 (m, 2H), 0.39 – 0.23 (m, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 162.9, 160.0, 146.6, 136.5, 128.5, 128.2, 126.7, 117.1, 39.8, 8.2, 4.7. **HRMS** (ESI): *m/z*: calculated for $C_{12}H_{12}NO_2$: $[M + H]^+$ 202.0868, found: 202.0865.



2q

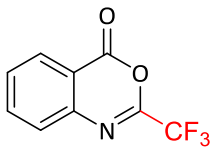
(2q): White solid. 45 mg, 84% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 7.9

Hz, 1H), 7.77 (t, *J* = 7.7 Hz, 1H), 7.57 (d, *J* = 8.1 Hz, 1H), 7.47 (t, *J* = 7.6 Hz, 1H), 7.33 (d, *J* = 8.3 Hz, 2H), 6.87 (d, *J* = 8.7 Hz, 2H), 3.91 (s, 2H), 3.78 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.6, 159.7, 159.1, 146.5, 136.5, 130.4, 128.5, 128.4, 126.8, 126.3, 116.9, 114.3, 55.4, 40.8. **HRMS** (ESI): *m/z*: calculated for $C_{16}H_{14}NO_3$: $[M + H]^+$ 268.0974, found: 268.0975.



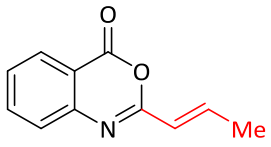
2r

(2r): Colorless oil. 38 mg, 95% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (dd, *J* = 7.8, 1.5 Hz, 1H), 7.83 (td, *J* = 7.7, 1.5 Hz, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.57 (t, *J* = 7.6 Hz, 1H), 4.41 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.7, 157.0, 145.8, 136.9, 129.5, 128.8, 127.4, 117.1, 41.9. **HRMS** (ESI): *m/z*: calculated for $C_9H_7ClNO_2$: $[M + H]^+$ 196.0165, found: 196.0163.



2s

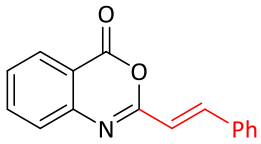
(2s): White solid. 25 mg, 58% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.26 (d, *J* = 7.8 Hz, 1H), 7.93 (t, *J* = 7.6 Hz, 1H), 7.77 (d, *J* = 8.0 Hz, 1H), 7.70 (t, *J* = 7.6 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 156.6, 147.5 (q, *J* = 42.2 Hz), 144.1, 137.5, 131.1, 129.3, 128.4, 117.9, 116.2 (q, *J* = 276.0 Hz). **^{19}F NMR** (376 MHz, $CDCl_3$) δ -72.6. **HRMS** (ESI): *m/z*: calculated for $C_9H_5F_3NO_2$: $[M + H]^+$ 216.0272, found: 216.0273.



2t

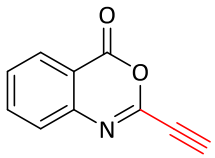
(2t): White solid. 34 mg, 91% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.15 (d, *J* = 7.8

Hz, 1H), 7.79 – 7.70 (m, 1H), 7.53 (d, *J* = 8.1 Hz, 1H), 7.44 (t, *J* = 7.6 Hz, 1H), 7.11 (dq, *J* = 14.2, 6.9 Hz, 1H), 6.15 (d, *J* = 15.5 Hz, 1H), 1.98 (d, *J* = 6.5 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.5, 156.9, 147.2, 142.3, 136.5, 128.6, 128.0, 126.9, 123.4, 117.1, 18.7. **HRMS** (ESI): *m/z*: calculated for $C_{11}H_{10}NO_2$: $[M + H]^+$ 188.0712, found: 188.0710.



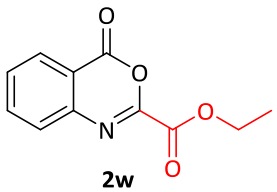
2u

(2u): White solid. 47 mg, 94% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.17 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.85 – 7.71 (m, 2H), 7.61 – 7.51 (m, 3H), 7.45 (t, *J* = 7.6 Hz, 1H), 7.41 – 7.32 (m, 3H), 6.75 (d, *J* = 16.1 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.3, 157.4, 147.2, 142.0, 136.6, 134.7, 130.4, 129.1, 128.7, 128.2, 128.1, 127.0, 118.9, 117.0. **HRMS** (ESI): *m/z*: calculated for $C_{16}H_{12}NO_2$: $[M + H]^+$ 250.0868, found: 250.0869.



2v

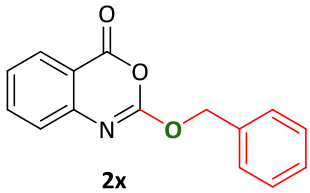
(2v): White solid. 30 mg, 88% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 7.8 Hz, 1H), 7.83 (td, *J* = 7.8, 1.6 Hz, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.60 – 7.53 (m, 1H), 3.27 (s, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.2, 145.9, 142.5, 136.9, 129.8, 128.9, 127.5, 118.2, 79.3, 75.1. **HRMS** (ESI): *m/z*: calculated for $C_{10}H_6NO_2$: $[M + H]^+$ 172.0399, found: 172.0396.



2w

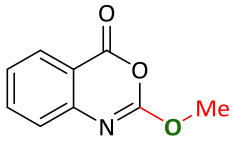
(2w): White solid. 36 mg, 82% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.25 (d, *J* = 7.8

Hz, 1H), 7.93 – 7.78 (m, 2H), 7.70 – 7.60 (m, 1H), 4.49 (q, *J* = 7.1 Hz, 2H), 1.43 (t, *J* = 7.1 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 157.9, 157.8, 147.8, 145.0, 137.1, 130.9, 129.0, 128.7, 118.3, 63.8, 14.2. **HRMS** (ESI): *m/z*: calculated for $C_{11}H_{10}NO_4$: $[M + H]^+$ 220.0610, found: 220.0611.



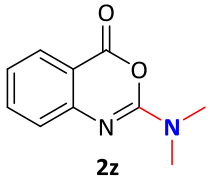
2x

(2x): White solid. 43 mg, 85% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.12 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.73 (t, *J* = 7.7 Hz, 1H), 7.58 – 7.30 (m, 7H), 5.49 (s, 2H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.5, 154.7, 148.2, 136.9, 134.6, 129.1, 128.9, 128.7, 128.6, 126.1, 125.5, 114.6, 71.3. **HRMS** (ESI): *m/z*: calculated for $C_{15}H_{12}NO_3$: $[M + H]^+$ 254.0817, found: 254.0819.



2y

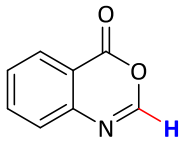
(2y): White solid. 28 mg, 79% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.10 (dd, *J* = 7.9, 1.6 Hz, 1H), 7.72 (ddd, *J* = 8.6, 7.3, 1.6 Hz, 1H), 7.42 (d, *J* = 8.1 Hz, 1H), 7.39 – 7.30 (m, 1H), 4.07 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.5, 155.5, 148.3, 136.9, 129.1, 126.1, 125.5, 114.5, 56.7. **HRMS** (ESI): *m/z*: calculated for $C_9H_8NO_3$: $[M + H]^+$ 178.0504, found: 178.0503.



2z

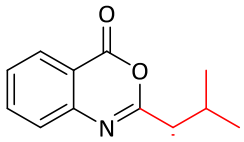
(2z): White solid. 37 mg, 97% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.98 (d, *J* = 7.9 Hz, 1H), 7.58 (t, *J* = 7.7 Hz, 1H), 7.22 (d, *J* = 8.3 Hz, 1H), 7.10 (t, *J* = 7.5 Hz, 1H), 3.16 (s, 6H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.2, 154.5, 151.2, 136.7, 128.8, 124.2,

123.1, 112.1, 37.0. **HRMS** (ESI): *m/z*: calculated for $C_{10}H_{11}N_2O_2$: $[M + H]^+$ 191.0821, found: 191.0825.



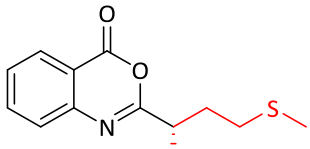
2a'

(2a'): White solid. 26 mg, 88% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.21 (dd, *J* = 7.9, 1.5 Hz, 1H), 7.91 – 7.74 (m, 2H), 7.62 (dd, *J* = 8.0, 1.1 Hz, 1H), 7.56 (td, *J* = 7.7, 1.2 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.5, 149.7, 145.6, 136.7, 129.3, 128.7, 127.2, 118.9. **HRMS** (ESI): *m/z*: calculated for $C_8H_6NO_2$: $[M + H]^+$ 148.0399, found: 148.0402.



2b'

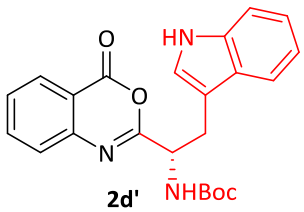
(2b'): White solid. 52 mg, 82% yield. **^{1}H NMR** (400 MHz, Acetone-d_6) δ 8.14 (dd, *J* = 8.0, 1.6 Hz, 1H), 7.92 (td, *J* = 7.7, 1.6 Hz, 1H), 7.68 – 7.57 (m, 2H), 6.43 (d, *J* = 8.8 Hz, 1H), 4.42 (t, *J* = 7.8 Hz, 1H), 2.48 – 2.18 (m, 1H), 1.41 (s, 9H), 1.14 – 0.91 (m, 6H). **^{13}C NMR** (101 MHz, Acetone-d_6) δ 162.9, 159.5, 156.5, 146.9, 137.5, 129.4, 128.9, 127.6, 118.0, 79.4, 60.1, 32.1, 28.5, 19.7, 18.4. **HRMS** (ESI): *m/z*: calculated for $C_{17}H_{23}N_2O_4$: $[M + H]^+$ 319.1658, found: 319.1660.



2c'

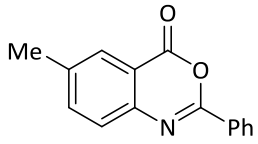
(2c'): White solid. 61 mg, 87% yield. **^{1}H NMR** (400 MHz, Acetone-d_6) δ 8.13 (dd, *J* = 8.1, 1.6 Hz, 1H), 7.96 – 7.86 (m, 1H), 7.66 – 7.56 (m, 2H), 6.60 (d, *J* = 8.2 Hz, 1H),

4.89 – 4.63 (m, 1H), 2.79 – 2.60 (m, 2H), 2.39 – 2.24 (m, 1H), 2.23 – 2.14 (m, 1H), 2.10 (s, 3H), 1.41 (s, 9H). **^{13}C NMR** (101 MHz, Acetone-d_6) δ 163.0, 159.6, 156.4, 147.0, 137.4, 129.3, 128.9, 127.6, 118.1, 79.5, 53.7, 32.9, 30.7, 28.5, 15.2. **HRMS** (ESI): *m/z*: calculated for $C_{17}H_{23}N_2O_4S$: $[M + H]^+$ 351.1379, found: 351.1380.



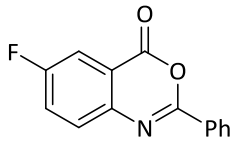
2d'

(2d'): White solid. 73 mg, 90% yield. **^{1}H NMR** (400 MHz, Acetone-d_6) δ 10.05 (s, 1H), 8.13 (d, *J* = 7.8 Hz, 1H), 7.87 (t, *J* = 7.7 Hz, 1H), 7.66 – 7.51 (m, 3H), 7.36 (d, *J* = 8.1 Hz, 1H), 7.26 (s, 1H), 7.08 (t, *J* = 7.5 Hz, 1H), 6.99 (t, *J* = 7.4 Hz, 1H), 6.37 (d, *J* = 8.0 Hz, 1H), 5.10 – 4.69 (m, 1H), 3.80 – 3.28 (m, 2H), 1.39 (s, 9H). **^{13}C NMR** (101 MHz, Acetone-d_6) δ 163.0, 159.6, 156.1, 146.9, 137.5, 137.4, 129.3, 128.9, 128.6, 127.6, 124.6, 122.2, 119.6, 119.0, 117.9, 112.2, 110.7, 79.5, 55.5, 29.2, 28.5. **HRMS** (ESI): *m/z*: calculated for $C_{23}H_{24}N_3O_4$: $[M + H]^+$ 406.1767, found: 406.1768.



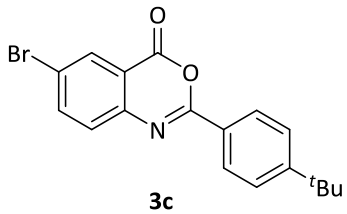
3a

(3a): White solid. 46 mg, 97% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.33 – 8.23 (m, 2H), 8.06 – 7.98 (m, 1H), 7.68 – 7.44 (m, 5H), 2.47 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.8, 156.5, 144.9, 138.8, 137.9, 132.5, 130.5, 128.8, 128.3, 127.1, 116.8, 21.4. **HRMS** (ESI): *m/z*: calculated for $C_{15}H_{12}NO_2$: $[M + H]^+$ 238.0868, found: 238.0869.



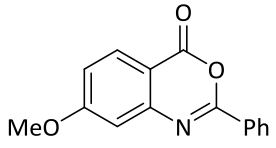
3b

(3b): White solid. 45 mg, 93% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.24 (d, *J* = 7.3 Hz, 2H), 7.83 (dd, *J* = 7.8, 3.0 Hz, 1H), 7.66 (dd, *J* = 8.9, 4.8 Hz, 1H), 7.60 – 7.42 (m, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.4 (d, *J* = 250.8 Hz), 158.8 (d, *J* = 3.4 Hz), 156.6 (d, *J* = 2.3 Hz), 143.6 (d, *J* = 2.4 Hz), 132.8, 130.0, 129.6 (d, *J* = 8.1 Hz), 128.8, 128.3, 124.8 (d, *J* = 23.6 Hz), 118.3 (d, *J* = 8.9 Hz), 114.0 (d, *J* = 24.1 Hz). **^{19}F NMR** (376 MHz, $CDCl_3$) δ -110.0. **HRMS** (ESI): *m/z*: calculated for $C_{14}H_9FNO_2$: $[M + H]^+$ 242.0617, found: 242.0619.



3c

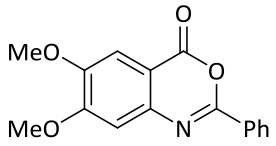
(3c): White solid. 61 mg, 85% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.32 (d, *J* = 2.3 Hz, 1H), 8.18 (d, *J* = 8.6 Hz, 2H), 7.86 (dd, *J* = 8.6, 2.3 Hz, 1H), 7.58 – 7.48 (m, 3H), 1.37 (s, 9H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 158.5, 157.7, 156.9, 146.2, 139.7, 131.1, 128.9, 128.4, 127.1, 125.9, 121.2, 118.4, 35.3, 31.2. **HRMS** (ESI): *m/z*: calculated for $C_{18}H_{17}BrNO_2$: $[M + H]^+$ 358.0443, found: 358.0446.



3d

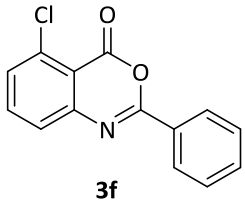
(3d): White solid. 45 mg, 89% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.26 (d, *J* = 7.6 Hz, 2H), 8.09 (d, *J* = 8.8 Hz, 1H), 7.61 – 7.41 (m, 3H), 7.10 – 6.95 (m, 2H), 3.91 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.3, 159.2, 158.0, 149.5, 132.7, 130.4, 130.3, 128.8, 128.4, 117.4, 109.9, 109.0, 55.9. **HRMS** (ESI): *m/z*: calculated for $C_{15}H_{12}NO_3$:

$[M + H]^+$ 254.0817, found: 254.0820.



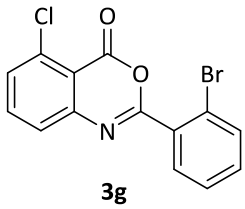
3e

(3e): White solid. 53 mg, 94% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.18 (d, *J* = 7.3 Hz, 2H), 7.64 – 7.35 (m, 4H), 7.00 (s, 1H), 3.96 (s, 3H), 3.93 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.4, 156.5, 156.4, 149.7, 143.2, 132.2, 130.4, 128.7, 128.0, 109.6, 108.1, 107.5, 56.5, 56.4. **HRMS** (ESI): *m/z*: calculated for $C_{16}H_{14}NO_4$: $[M + H]^+$ 284.0923, found: 284.0927.



3f

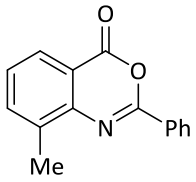
(3f): White solid. 49 mg, 95% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.25 (d, *J* = 7.4 Hz, 2H), 7.65 (t, *J* = 8.0 Hz, 1H), 7.60 – 7.52 (m, 2H), 7.52 – 7.43 (m, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 157.8, 156.1, 149.4, 136.0, 136.0, 133.0, 130.7, 129.8, 128.8, 128.5, 126.3, 114.8. **HRMS** (ESI): *m/z*: calculated for $C_{14}H_9ClNO_2$: $[M + H]^+$ 258.0322, found: 258.0323.



3g

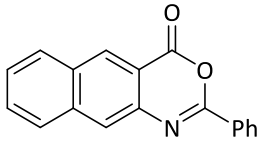
(3g): White solid. 66 mg, 98% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.85 (dd, *J* = 7.7, 1.8 Hz, 1H), 7.71 (t, *J* = 8.0 Hz, 2H), 7.61 (d, *J* = 17.0 Hz, 1H), 7.59 (d, *J* = 17.0 Hz, 1H), 7.45 (t, *J* = 7.5 Hz, 1H), 7.38 (td, *J* = 7.7, 1.8 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 157.9, 155.9, 148.8, 136.2, 136.2, 134.5, 132.7, 131.9, 131.6, 131.5, 127.6,

126.6, 121.9, 114.9. **HRMS** (ESI): *m/z*: calculated for $C_{14}H_8BrClNO_2$: $[M + H]^+$ 335.9427, found: 335.9430.



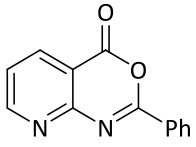
3h

(3h): White solid. 43 mg, 91% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.30 (d, *J* = 7.4 Hz, 2H), 8.05 (d, *J* = 7.8 Hz, 1H), 7.64 (d, *J* = 7.3 Hz, 1H), 7.58 – 7.45 (m, 3H), 7.36 (t, *J* = 7.6 Hz, 1H), 2.63 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.1, 155.9, 145.3, 137.4, 136.3, 132.5, 130.7, 128.8, 128.3, 127.8, 126.2, 117.0, 17.2. **HRMS** (ESI): *m/z*: calculated for $C_{15}H_{12}NO_2$: $[M + H]^+$ 238.0868, found: 238.0870.



3i

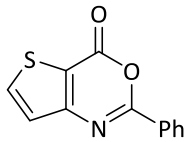
(3i): White solid. 48 mg, 88% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.85 (s, 1H), 8.33 (dd, *J* = 8.1, 1.6 Hz, 2H), 8.13 (s, 1H), 8.02 (d, *J* = 8.3 Hz, 1H), 7.97 (d, *J* = 8.3 Hz, 1H), 7.73 – 7.62 (m, 1H), 7.61 – 7.47 (m, 4H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.1, 155.4, 141.4, 137.7, 132.6, 132.3, 131.2, 130.5, 129.8, 129.7, 128.9, 128.4, 128.4, 127.2, 125.4, 115.8. **HRMS** (ESI): *m/z*: calculated for $C_{18}H_{12}NO_2$: $[M + H]^+$ 274.0868, found: 274.0869.



3j

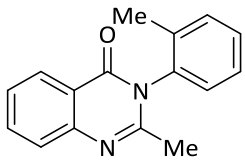
(3j): White solid. 32 mg, 71% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 9.01 (dd, *J* = 4.6, 2.0 Hz, 1H), 8.56 (dd, *J* = 7.8, 2.0 Hz, 1H), 8.41 (d, *J* = 7.6 Hz, 2H), 7.71 – 7.58 (m, 1H), 7.57 – 7.44 (m, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.7, 159.5, 157.9, 157.7,

138.0, 133.7, 129.5, 129.1, 129.0, 123.7, 112.9. **HRMS** (ESI): *m/z*: calculated for $C_{13}H_9N_2O_2$: $[M + H]^+$ 225.0664, found: 225.0666.



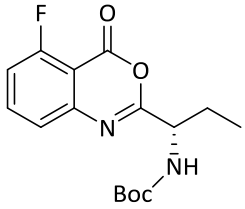
3k

(3k): White solid. 42 mg, 92% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.26 (d, *J* = 7.5 Hz, 2H), 7.90 (d, *J* = 5.2 Hz, 1H), 7.62 – 7.52 (m, 1H), 7.52 – 7.45 (m, 2H), 7.33 (d, *J* = 5.1 Hz, 1H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 160.6, 157.1, 155.4, 137.2, 132.9, 130.0, 128.9, 128.4, 125.3, 116.7. **HRMS** (ESI): *m/z*: calculated for $C_{12}H_8NO_2S$: $[M + H]^+$ 230.0276, found: 230.0278.



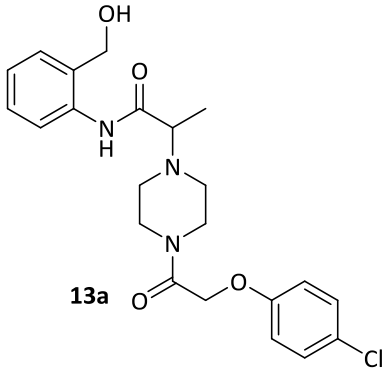
Methaqualone

Methaqualone: colorless oil, 290 mg, 93% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.26 (d, *J* = 7.9 Hz, 1H), 7.80 – 7.70 (m, 1H), 7.69 – 7.61 (m, 1H), 7.52 – 7.39 (m, 1H), 7.39 – 7.30 (m, 3H), 7.14 (d, *J* = 7.3 Hz, 1H), 2.15 (s, 3H), 2.10 (s, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 161.6, 154.3, 147.6, 136.8, 135.3, 134.5, 131.5, 129.5, 127.9, 127.6, 127.1, 126.8, 126.5, 120.7, 23.9, 17.4.



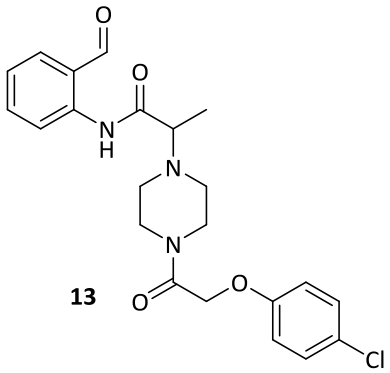
8

(8): White solid, 52 mg, 81% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.74 (td, *J* = 8.2, 5.4 Hz, 1H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.22 – 7.12 (m, 1H), 5.26 (d, *J* = 8.4 Hz, 1H), 4.55 (d, *J* = 7.1 Hz, 1H), 2.12 – 1.92 (m, 1H), 1.89 – 1.71 (m, 1H), 1.42 (s, 9H), 0.97 (t, *J* = 7.4 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 163.1 (d, *J* = 14.6 Hz), 160.5, 155.3, 154.7 (d, *J* = 5.0 Hz), 147.6, 137.5 (d, *J* = 10.5 Hz), 122.9 (d, *J* = 4.1 Hz), 115.6 (d, *J* = 20.3 Hz), 106.4 (d, *J* = 7.6 Hz), 80.2, 54.5, 28.4, 26.7, 9.8. **^{19}F NMR** (376 MHz, $CDCl_3$) δ -106.3.



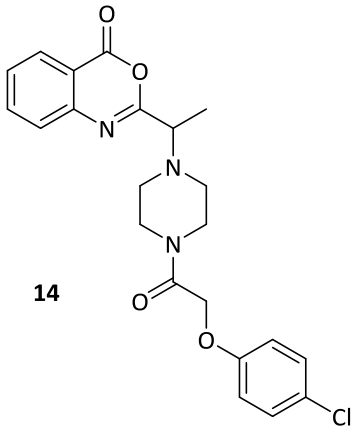
13a

(13a): White solid, 5.5 g, 97% yield. **^{1}H NMR** (400 MHz, DMSO-d_6) δ 10.36 (s, 1H), 8.08 (d, *J* = 8.0 Hz, 1H), 7.46 – 7.19 (m, 4H), 7.13 – 6.99 (m, 1H), 7.00 – 6.86 (m, 2H), 5.56 (t, *J* = 4.9 Hz, 1H), 4.86 (s, 2H), 4.55 (d, *J* = 5.0 Hz, 2H), 3.57 (q, *J* = 5.4 Hz, 4H), 3.37 (d, *J* = 7.0 Hz, 1H), 2.81 – 2.52 (m, 4H), 1.19 (d, *J* = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, DMSO-d_6) δ 171.3, 165.4, 156.9, 137.2, 130.9, 129.1, 128.4, 127.8, 124.5, 123.3, 120.9, 116.4, 66.0, 63.4, 62.0, 49.4, 49.0, 44.3, 41.4, 10.7.



13

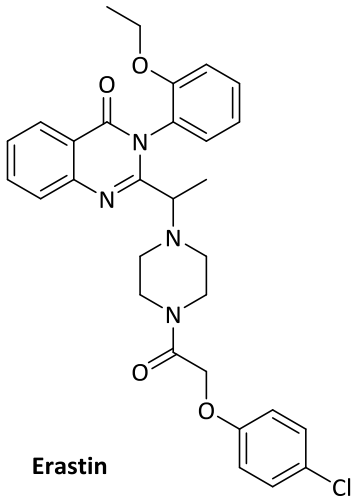
(13): White solid, 3.8 g, 88% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 12.34 (s, 1H), 9.94 (s, 1H), 8.83 (d, *J* = 8.4 Hz, 1H), 7.70 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.67 – 7.55 (m, 1H), 7.32 – 7.20 (m, 3H), 6.97 – 6.85 (m, 2H), 4.70 (s, 2H), 4.01 – 3.60 (m, 4H), 3.33 (q, *J* = 7.0 Hz, 1H), 2.78 – 2.42 (m, 4H), 1.31 (d, *J* = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 194.8, 173.6, 166.0, 156.6, 140.2, 136.2, 136.1, 129.6, 126.7, 123.1, 122.4, 119.9, 116.1, 67.9, 65.0, 50.1, 49.6, 45.3, 42.1, 11.0.



14

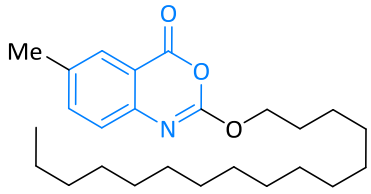
(14): White solid, 1.9 g, 96 % yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.20 (d, *J* = 7.8

Hz, 1H), 7.82 (t, *J* = 7.7 Hz, 1H), 7.64 – 7.47 (m, 2H), 7.17 (d, *J* = 8.4 Hz, 2H), 6.84 (d, *J* = 8.5 Hz, 2H), 4.64 (s, 2H), 3.76 – 3.53 (m, 5H), 2.96 – 2.53 (m, 4H), 1.48 (d, *J* = 7.0 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 166.0, 161.5, 159.4, 156.5, 145.8, 136.7, 129.5, 128.8, 128.6, 127.2, 126.6, 117.2, 116.0, 67.9, 62.6, 49.8, 49.2, 45.8, 42.5, 15.1. **HRMS** (ESI): *m/z*: calculated for $C_{22}H_{23}ClN_3O_4$: $[M + H]^+$ 428.1377, found: 428.1379.



Erastin

(Erastin): White solid, 1.0 g, 53% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 8.27 (d, *J* = 7.9 Hz, 1H), 7.84 – 7.68 (m, 2H), 7.54 – 7.36 (m, 2H), 7.25 – 7.17 (m, 2H), 7.13 (dd, *J* = 7.7, 1.7 Hz, 1H), 7.09 – 6.97 (m, 2H), 6.88 – 6.78 (m, 2H), 4.60 (s, 2H), 4.00 (q, *J* = 7.0 Hz, 2H), 3.57 (q, *J* = 6.7 Hz, 1H), 3.52 – 3.23 (m, 4H), 2.74 – 2.53 (m, 1H), 2.53 – 2.38 (m, 1H), 2.33 – 2.11 (m, 2H), 1.31 (d, *J* = 6.7 Hz, 3H), 1.18 (t, *J* = 6.9 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 165.9, 161.9, 156.6, 156.5, 154.9, 147.1, 134.3, 130.6, 129.5, 128.7, 127.7, 127.1, 126.9, 126.6, 126.3, 121.2, 120.8, 116.0, 113.1, 67.9, 64.2, 60.3, 48.9, 45.3, 42.1, 14.9, 13.0.



Cetilistat

(Cetilistat): White solid, 72 mg, 90% yield. **^{1}H NMR** (400 MHz, $CDCl_3$) δ 7.90 (s, 1H), 7.52 (dd, *J* = 8.3, 2.1 Hz, 1H), 7.31 (d, *J* = 8.3 Hz, 1H), 4.42 (t, *J* = 6.6 Hz, 2H), 2.42 (s, 3H), 1.90 – 1.71 (m, 2H), 1.26 (s, 26H), 0.88 (t, *J* = 6.7 Hz, 3H). **^{13}C NMR** (101 MHz, $CDCl_3$) δ 159.9, 154.6, 146.3, 138.1, 135.9, 128.6, 125.2, 114.3, 70.1, 32.1, 29.8, 29.8, 29.8, 29.7, 29.6, 29.5, 29.3, 28.5, 25.8, 22.8, 21.1, 14.3.

8. References

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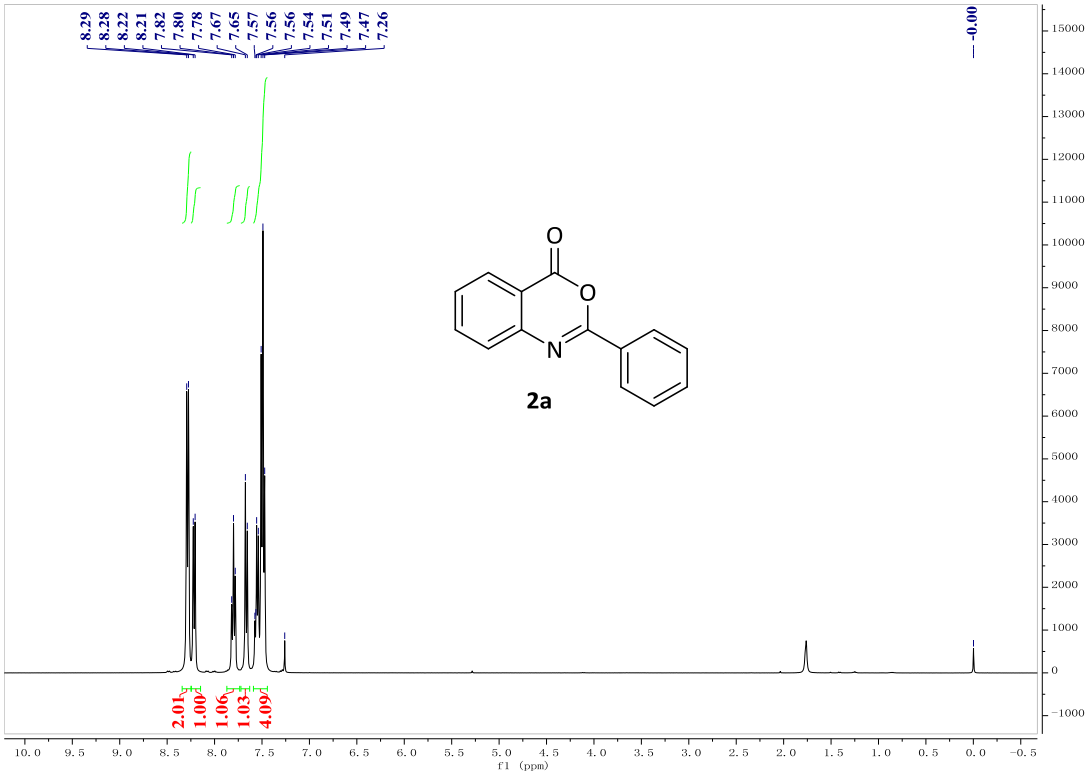
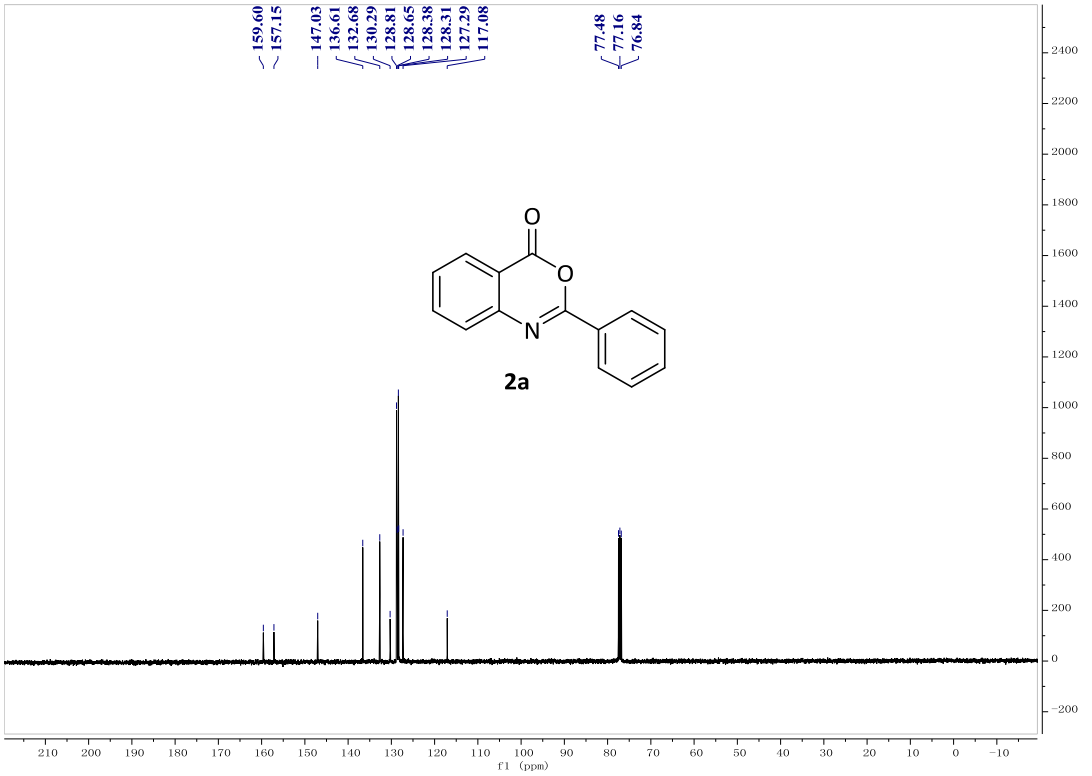
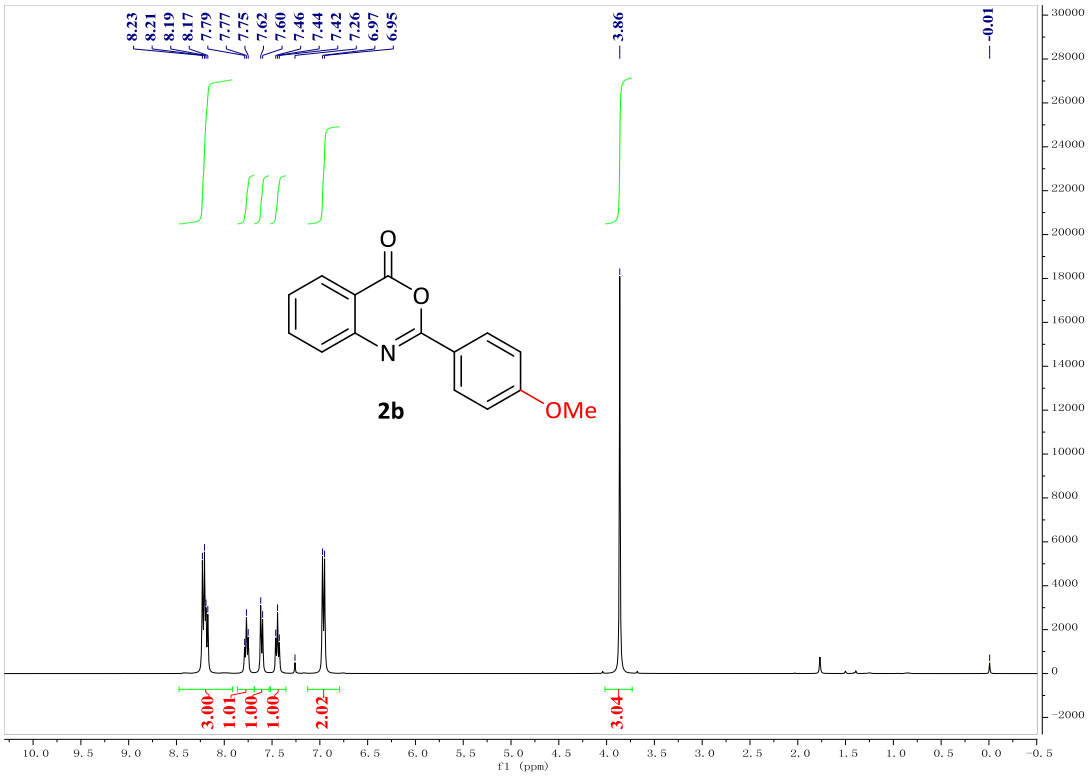
9. NMR spectra



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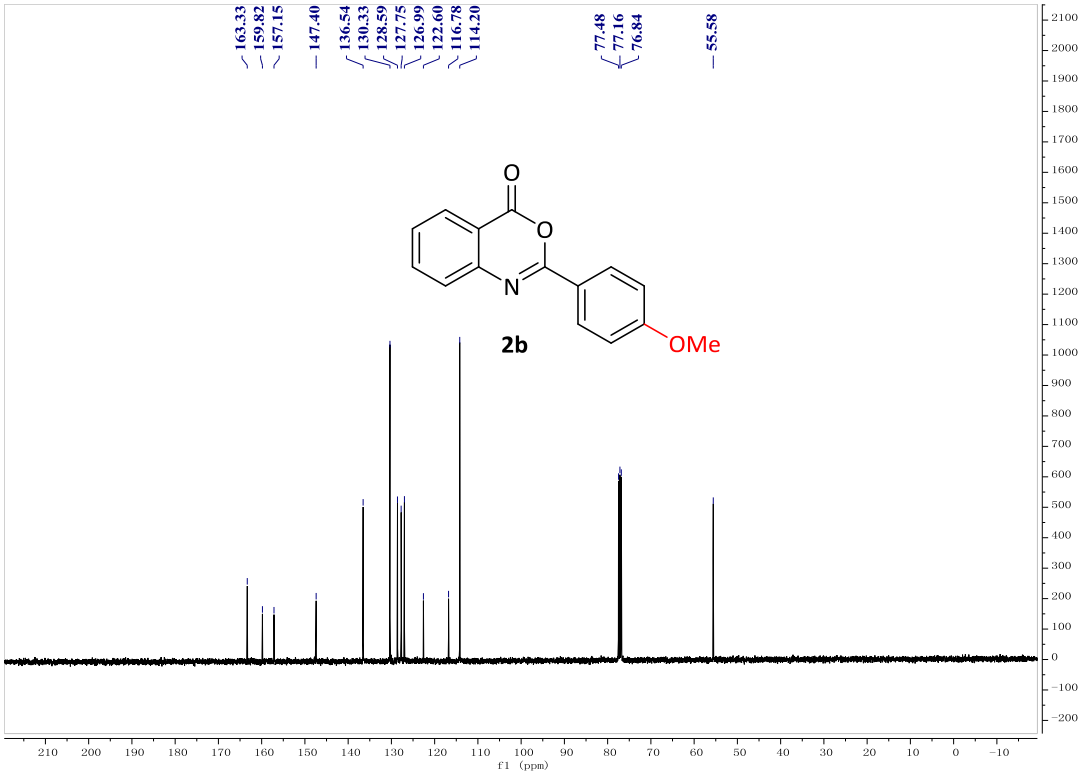
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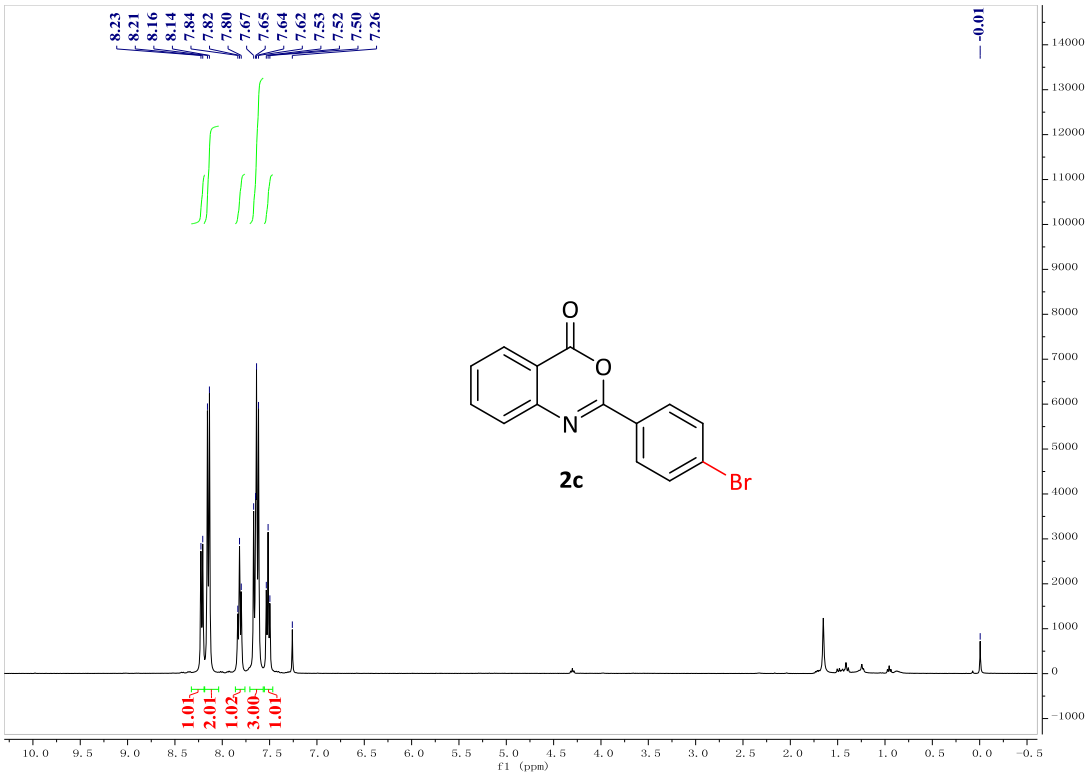
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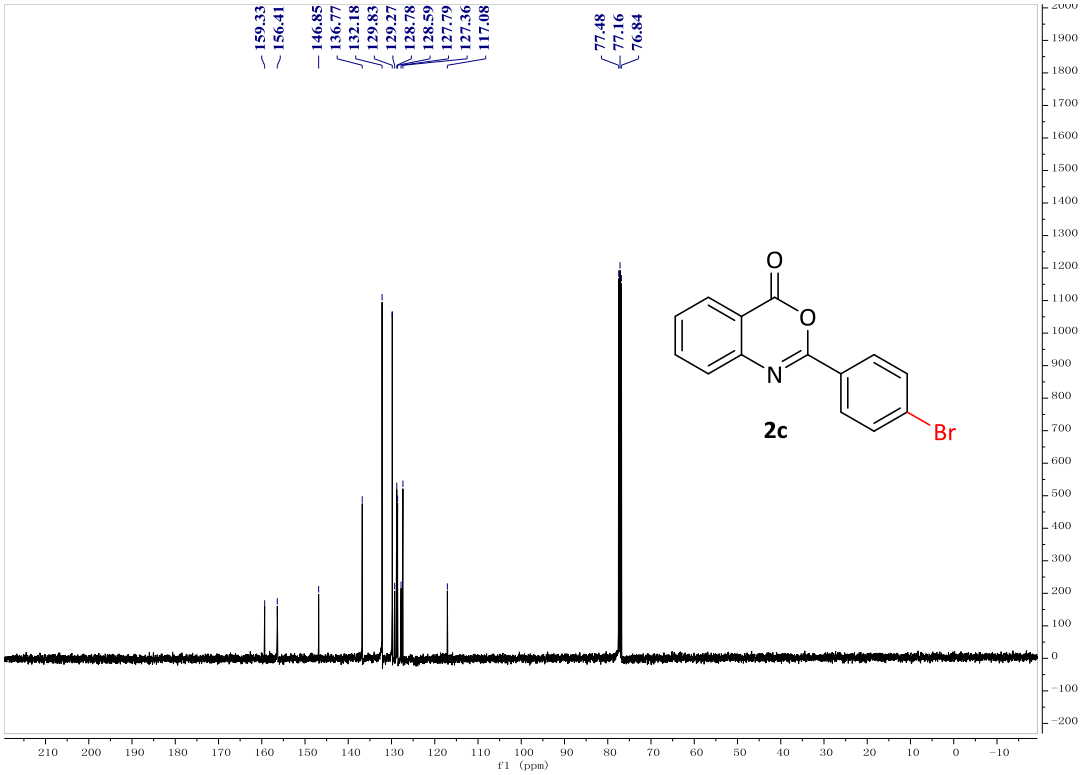
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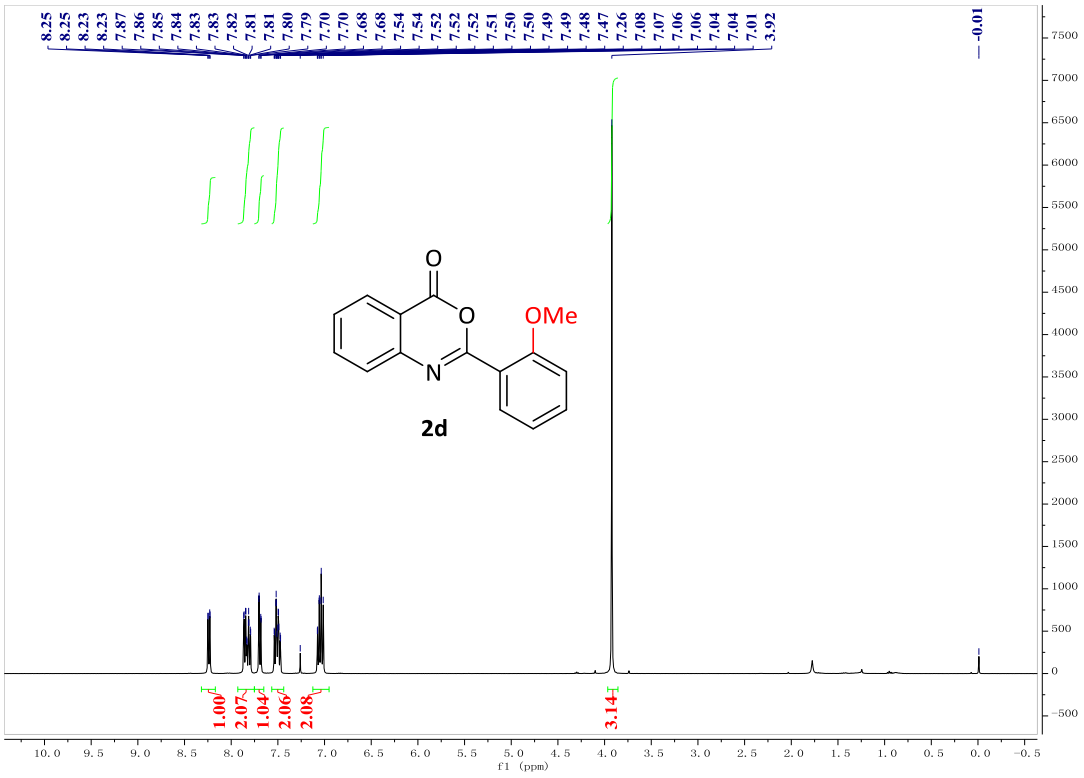
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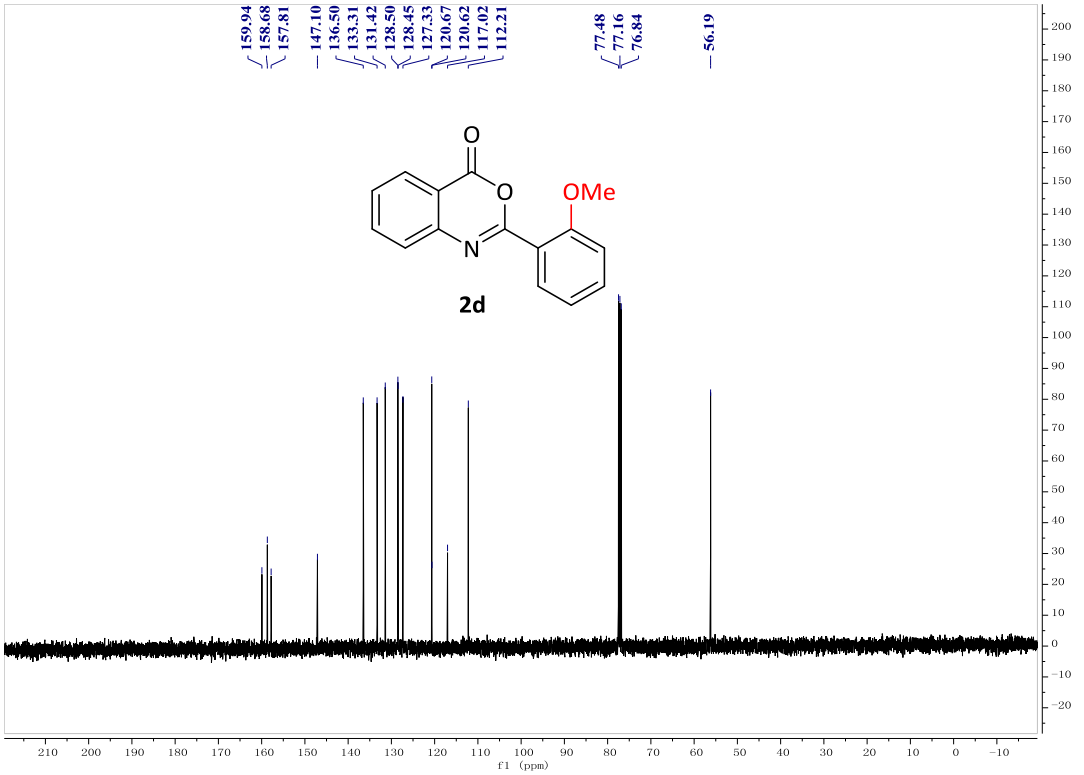
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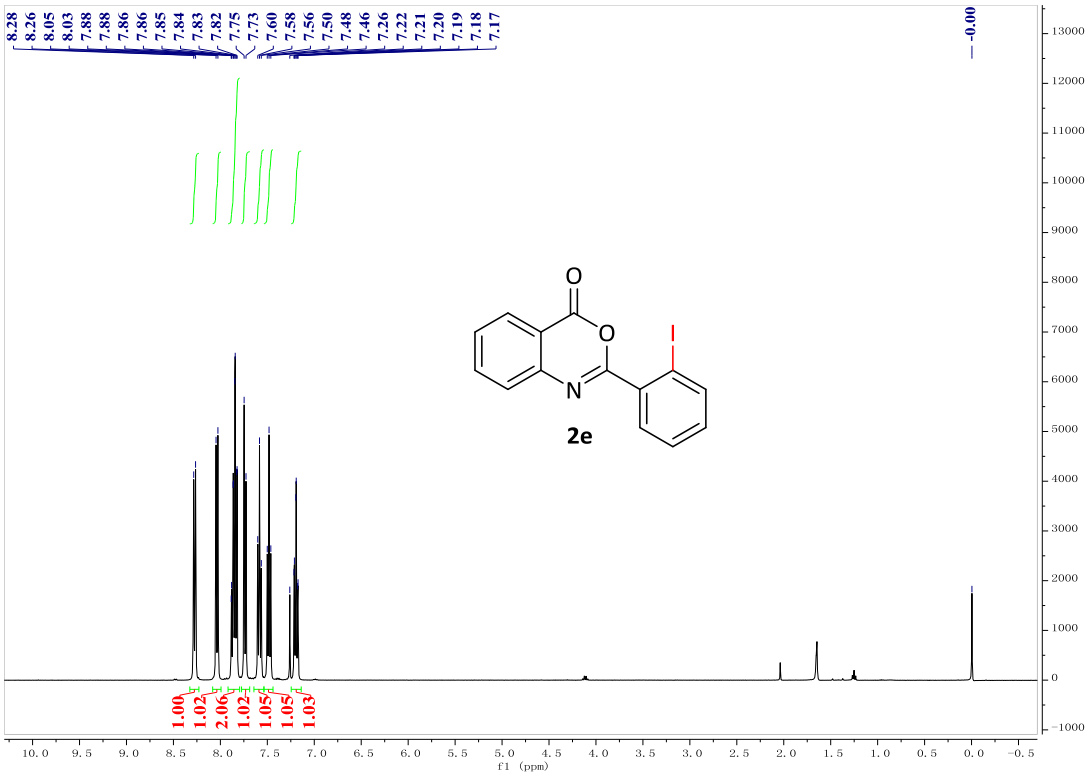
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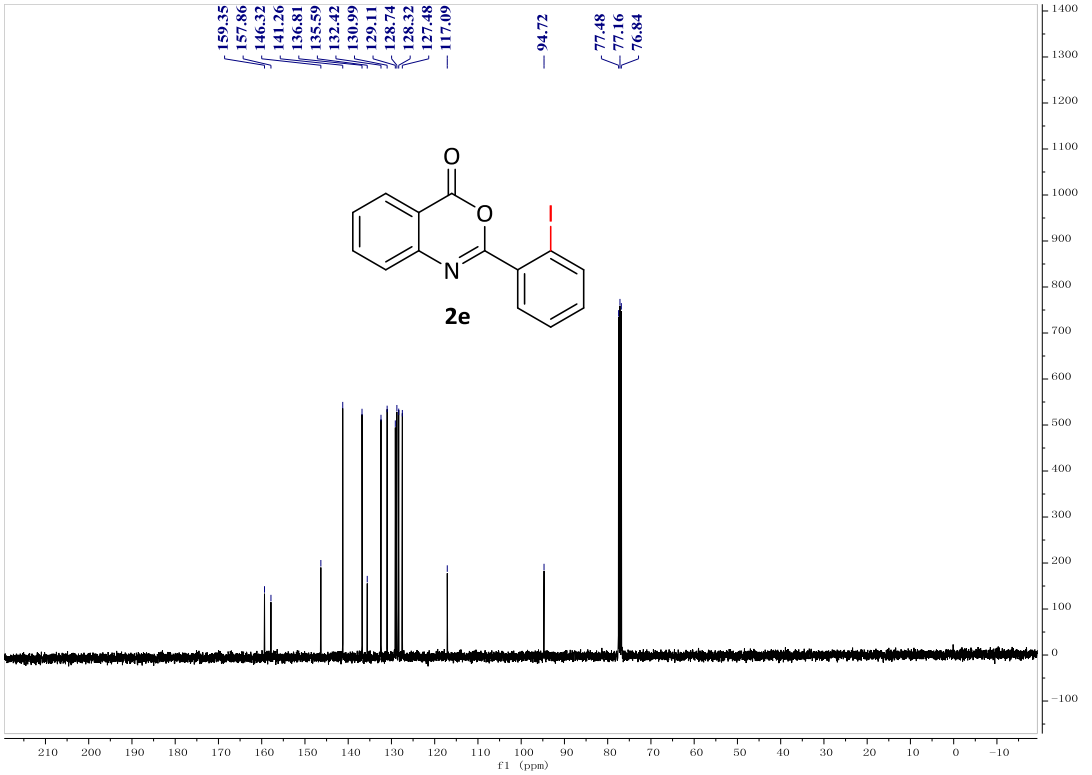
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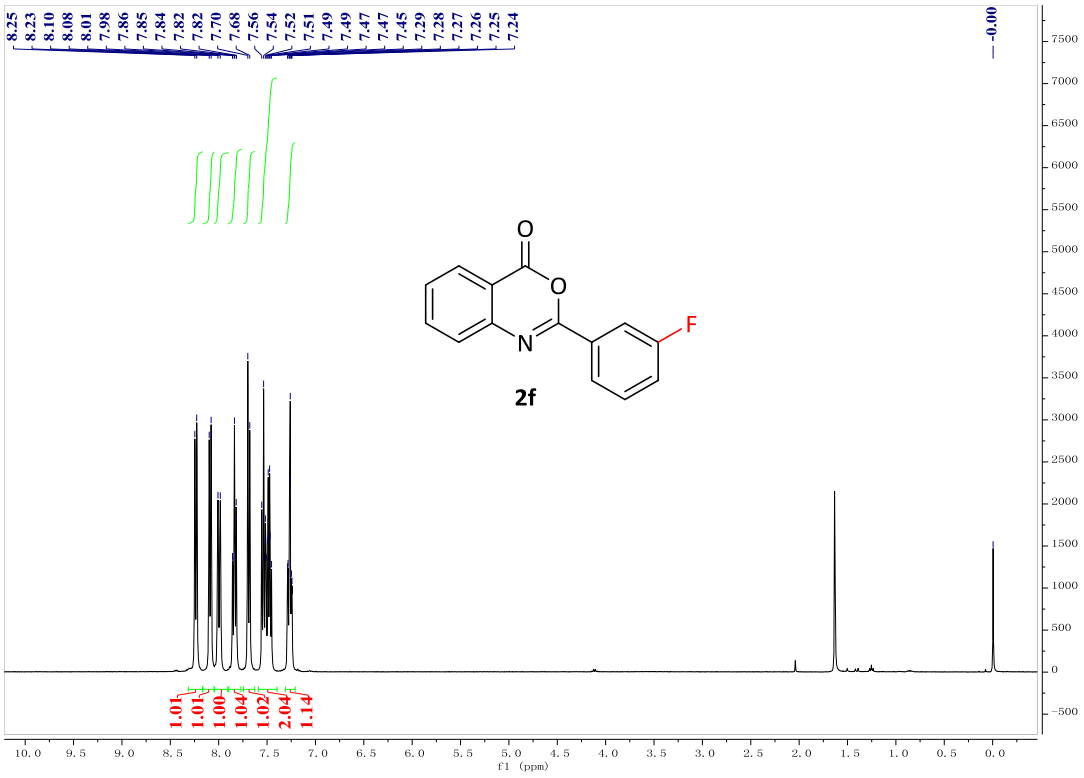
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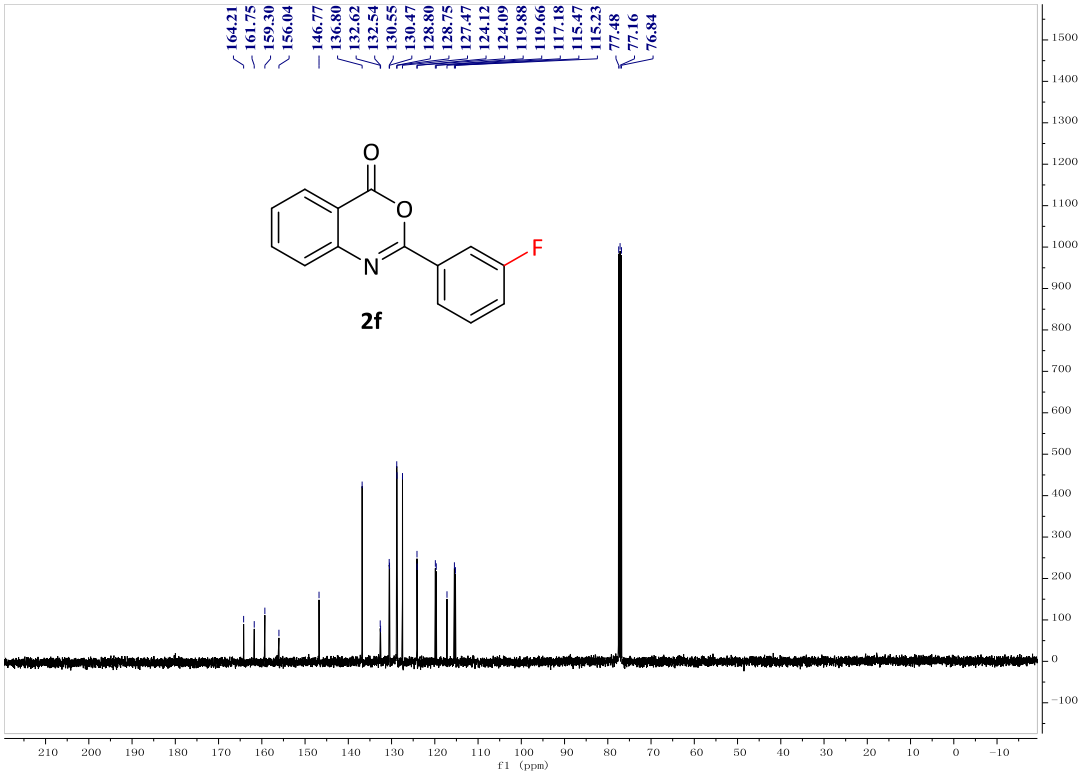
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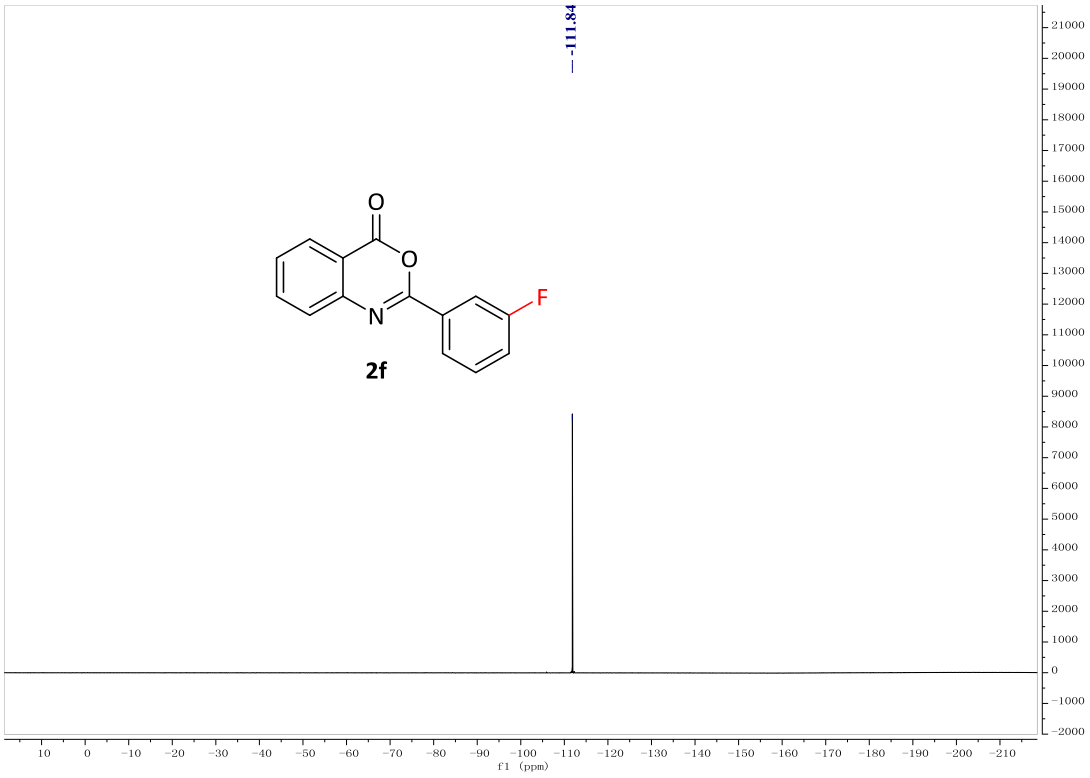
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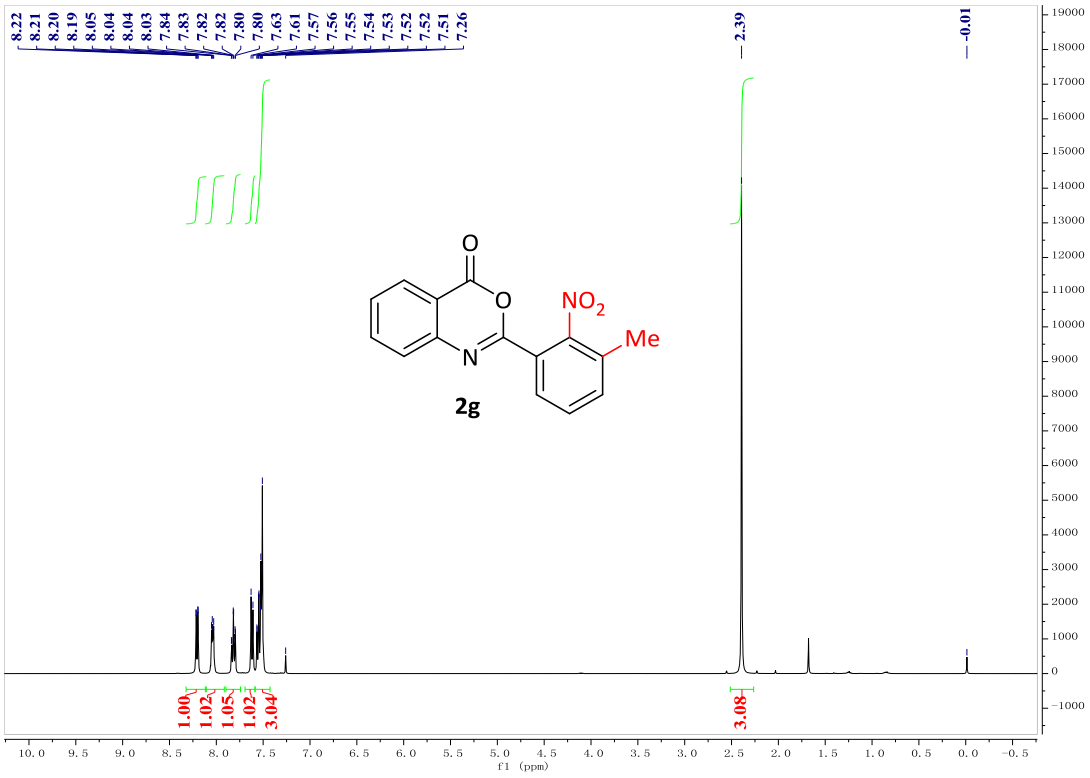
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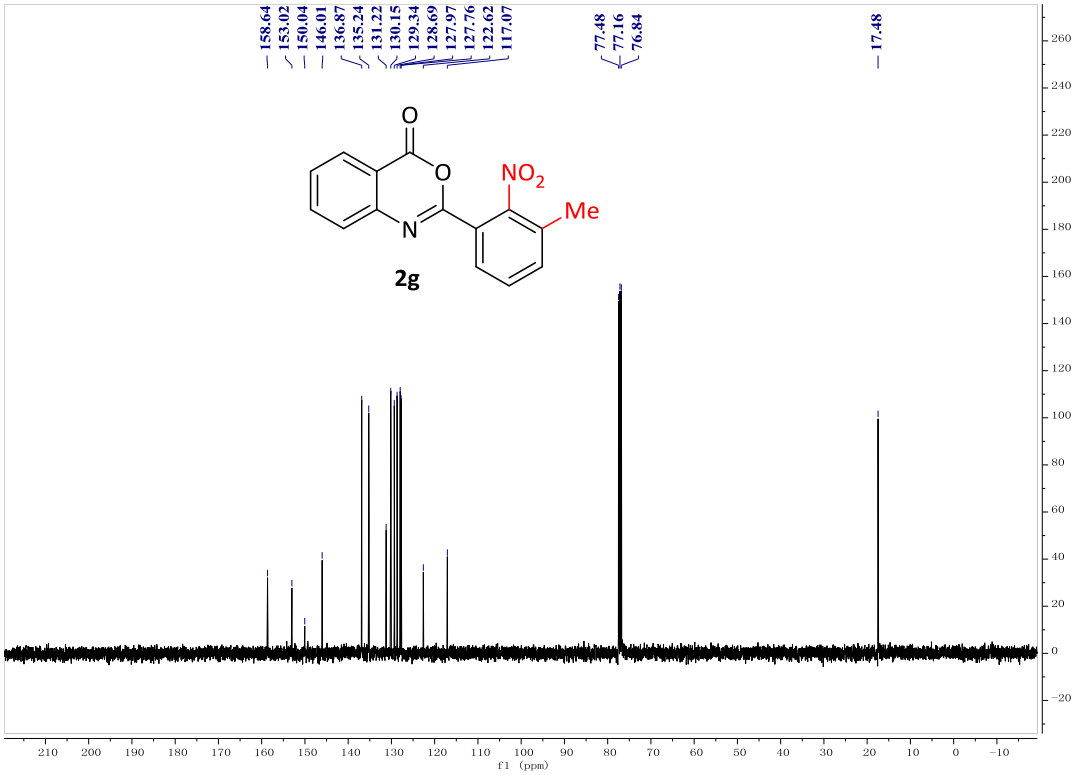
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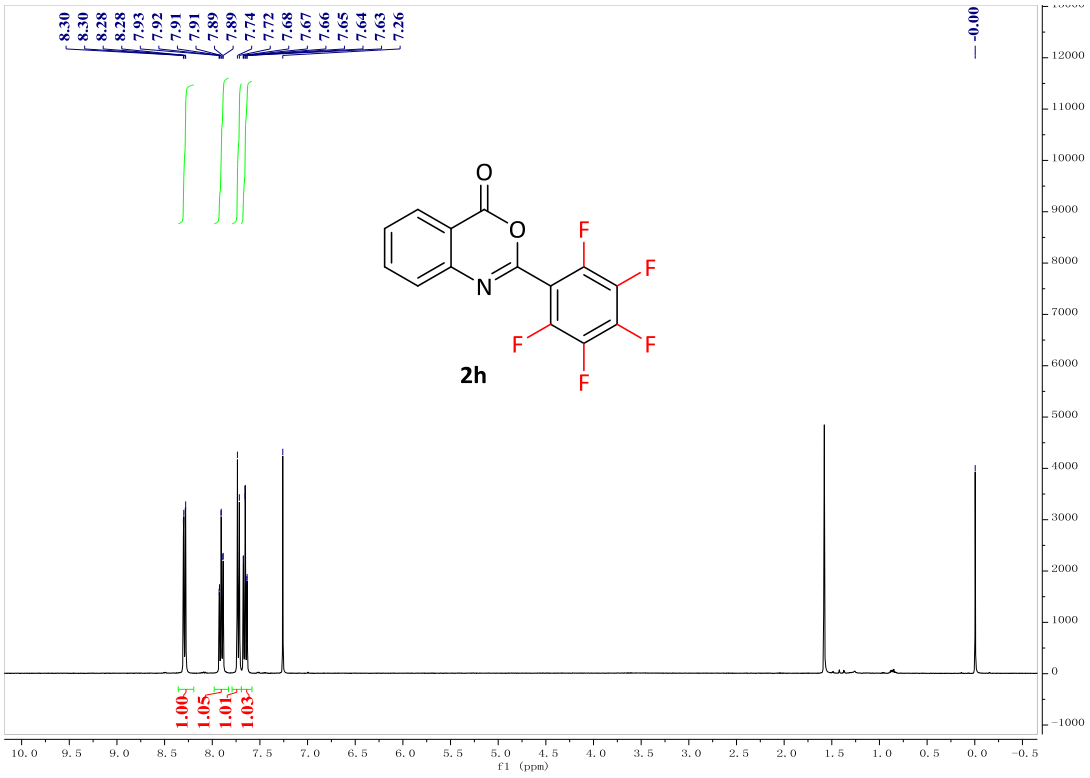
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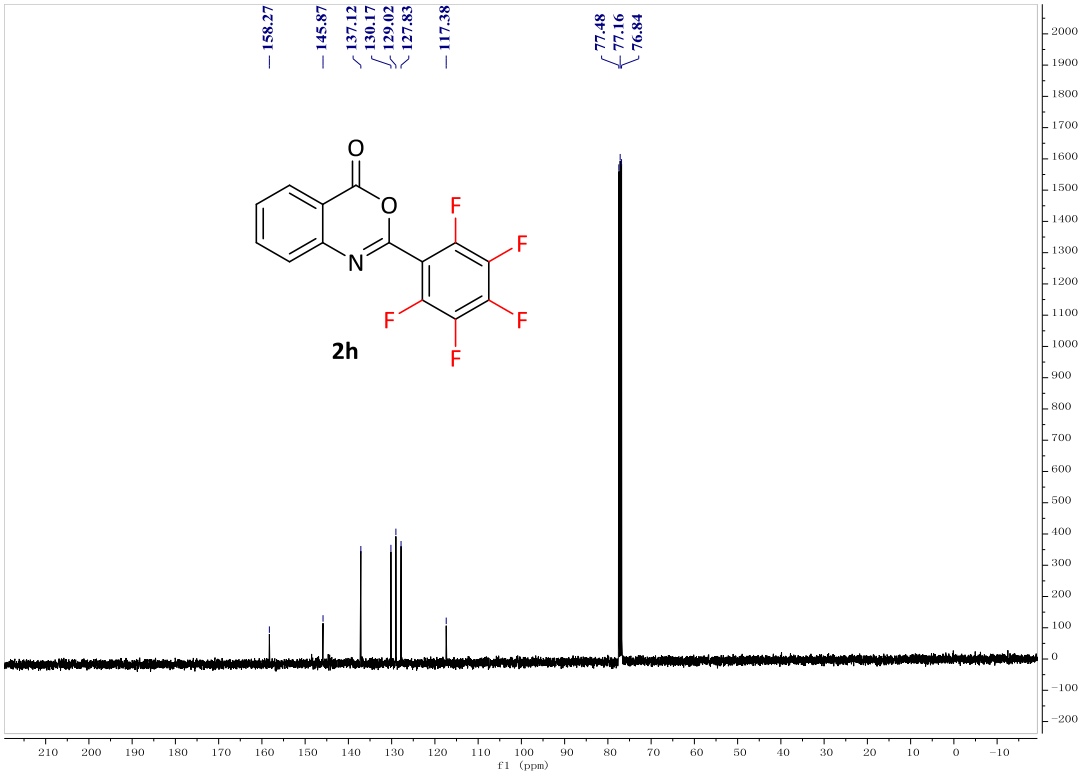
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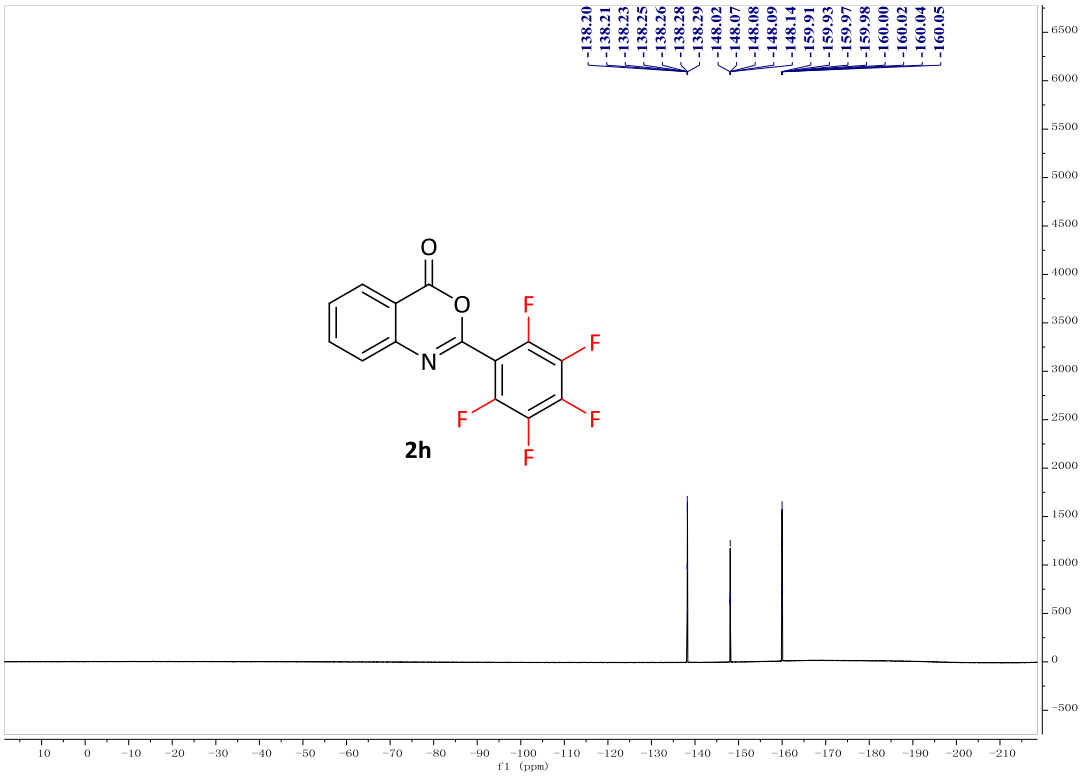
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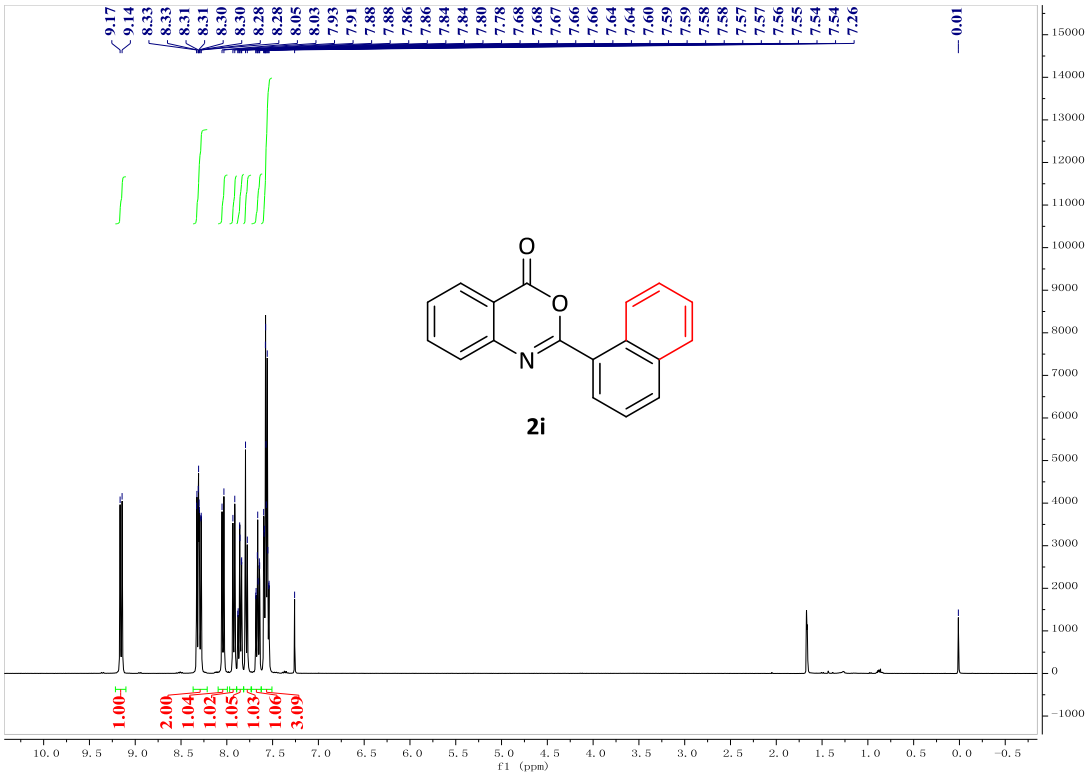
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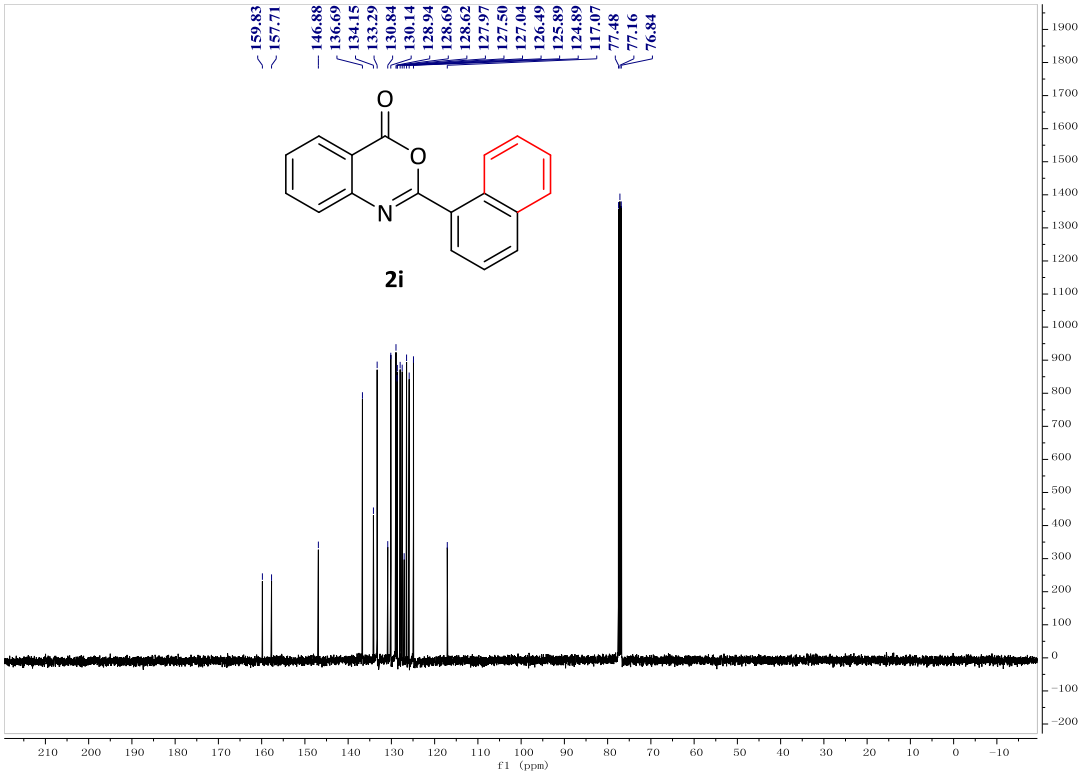
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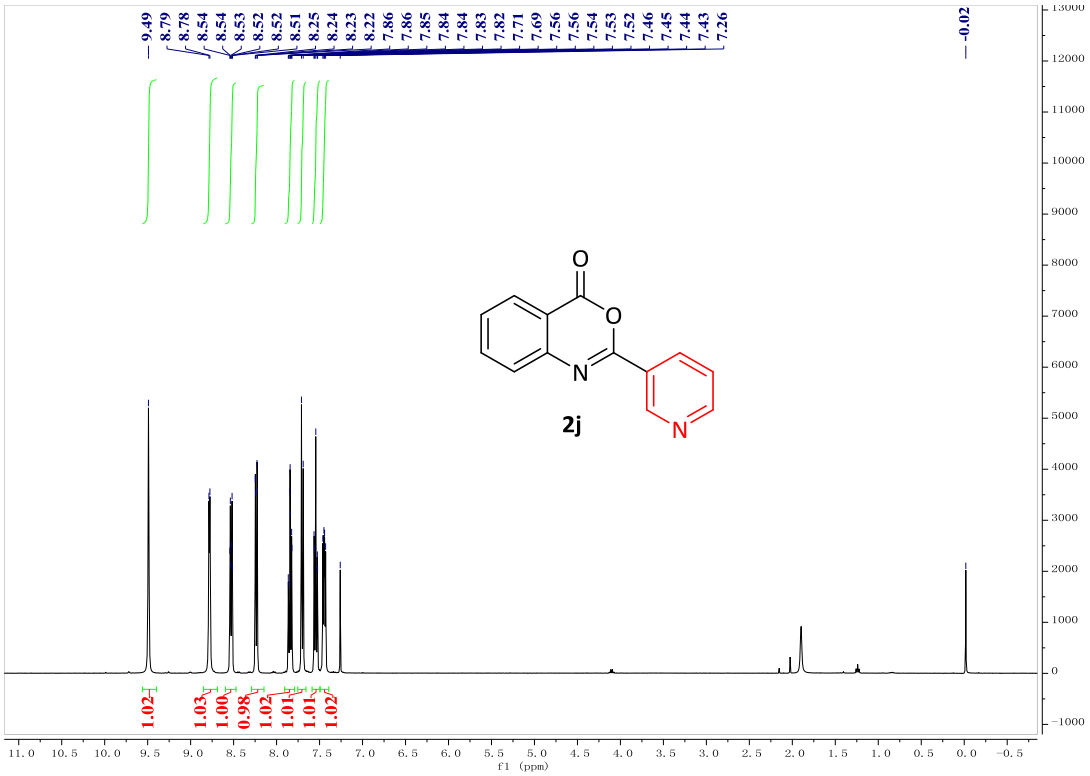
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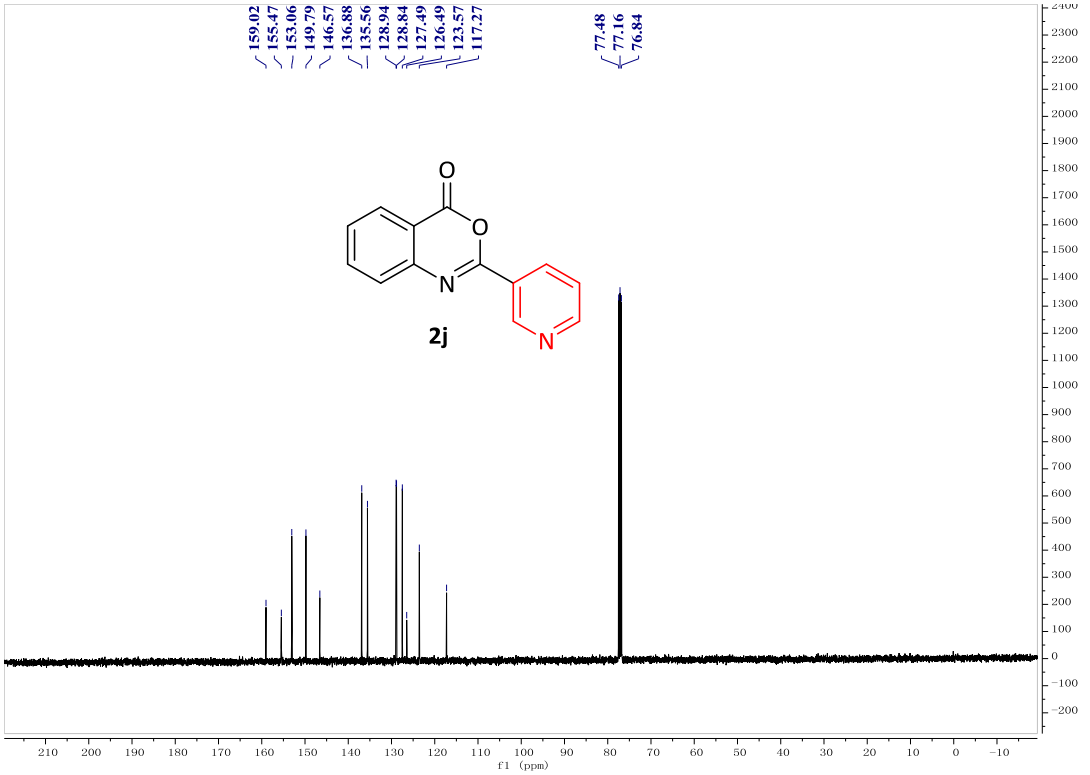
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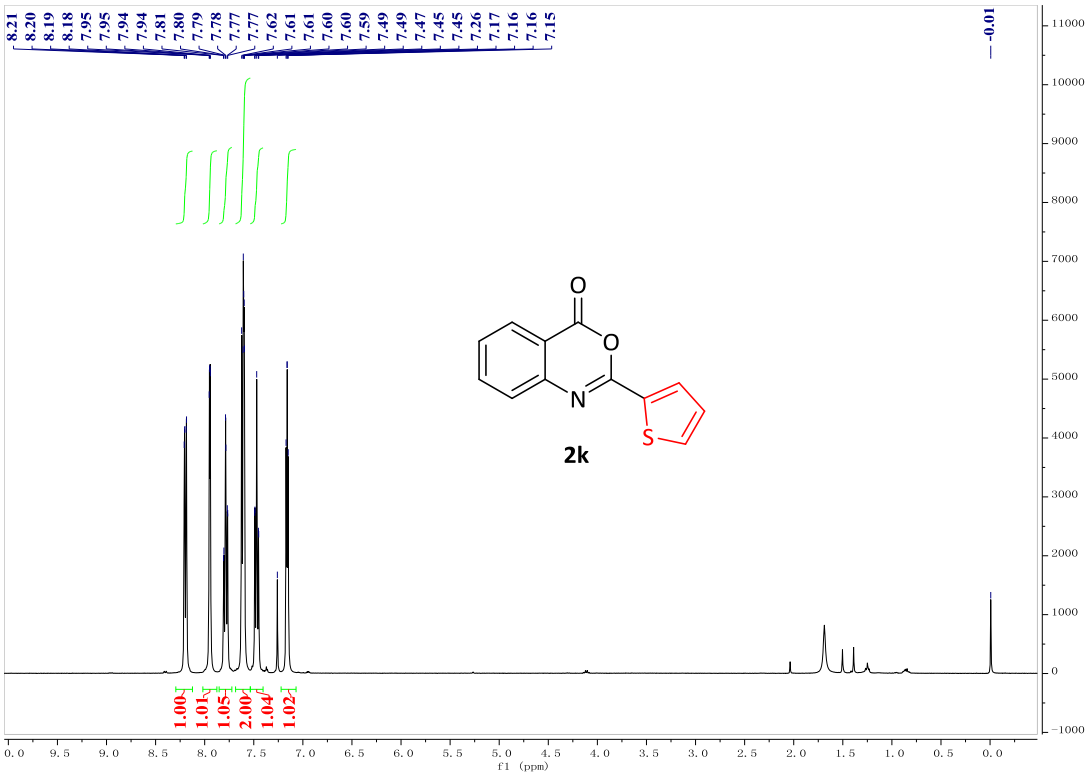
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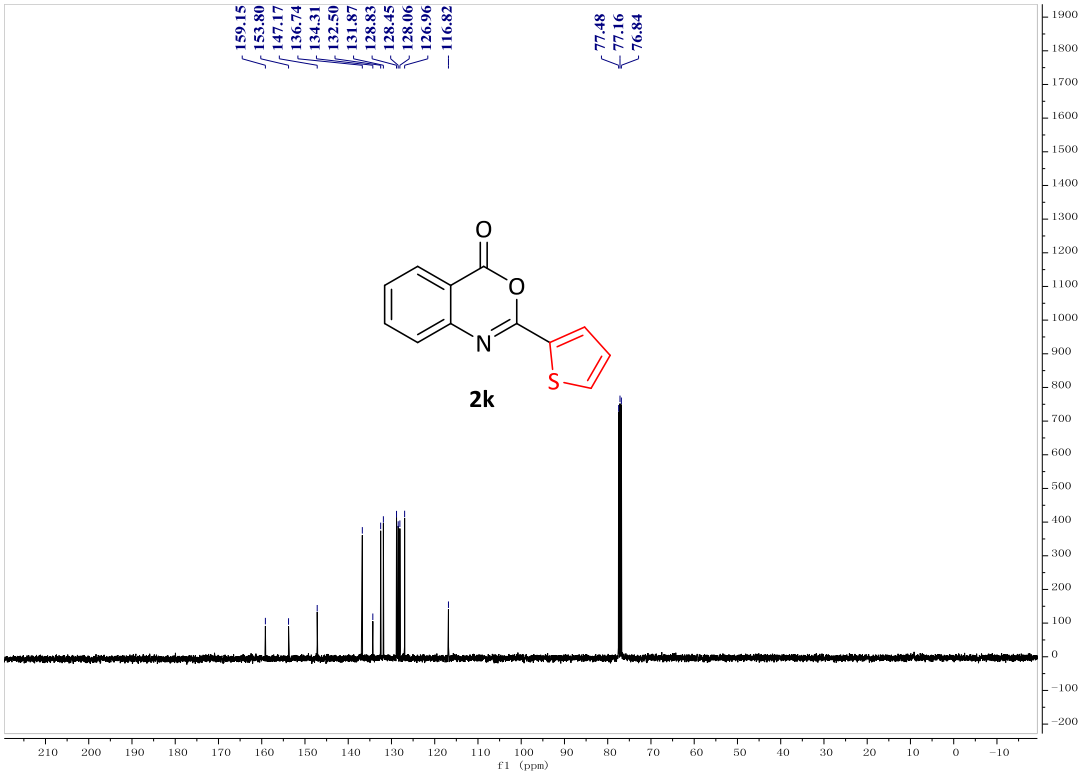
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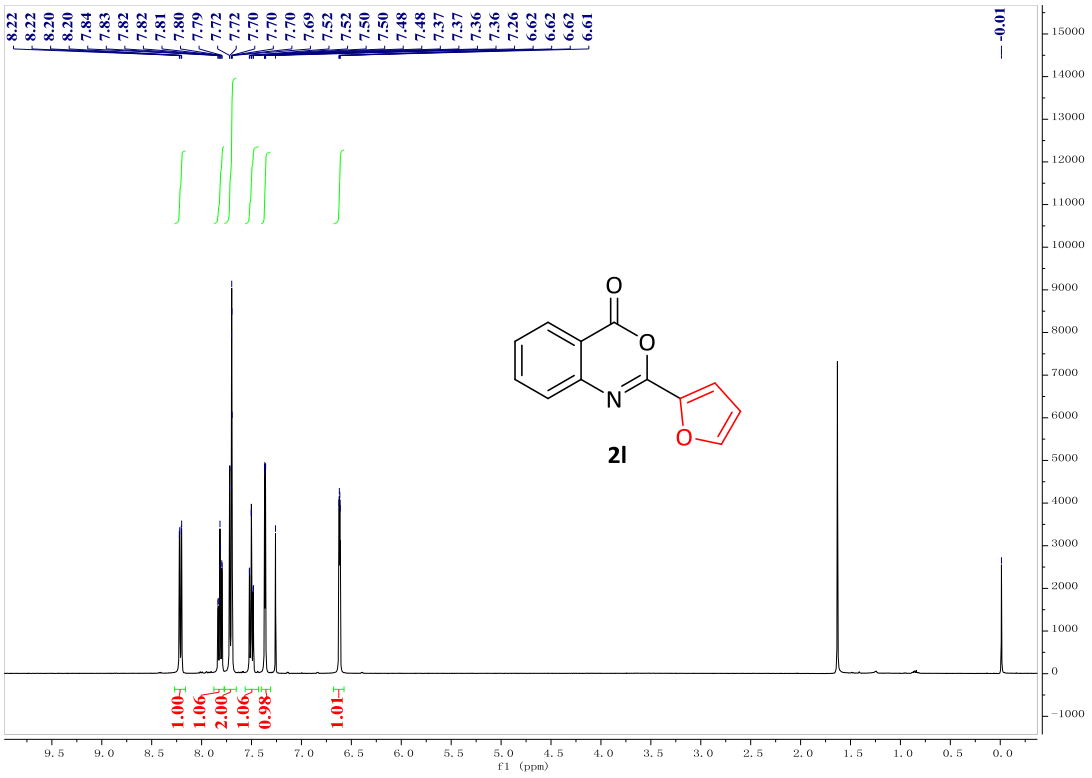
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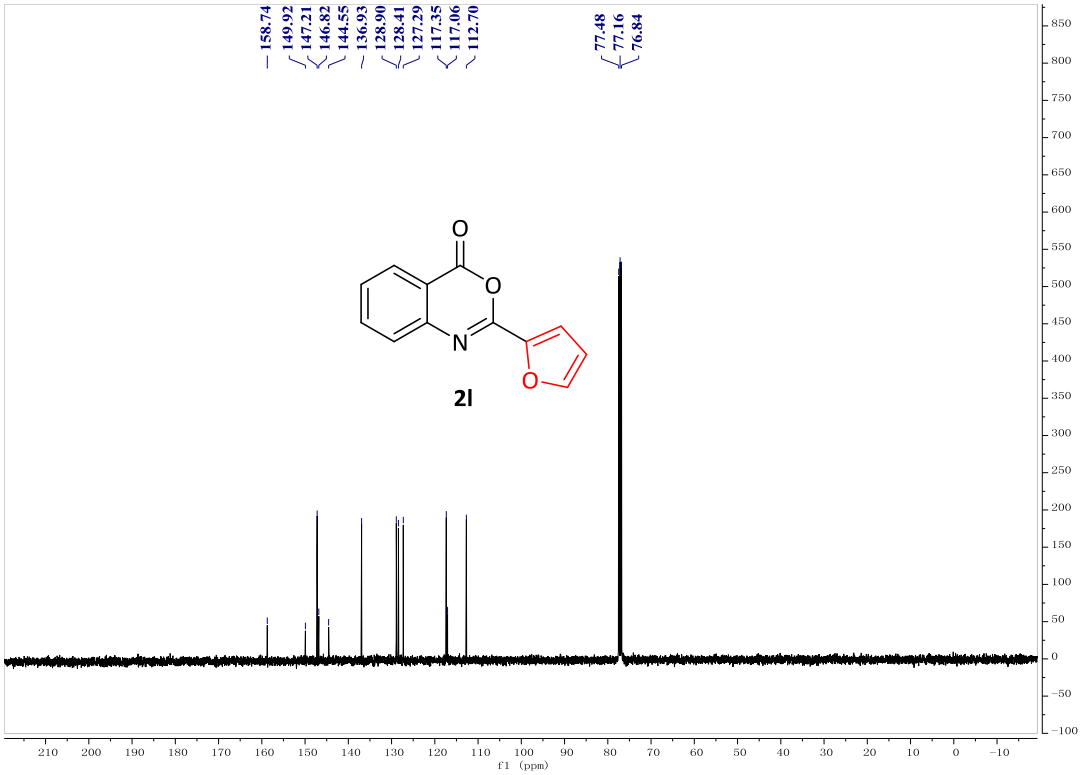
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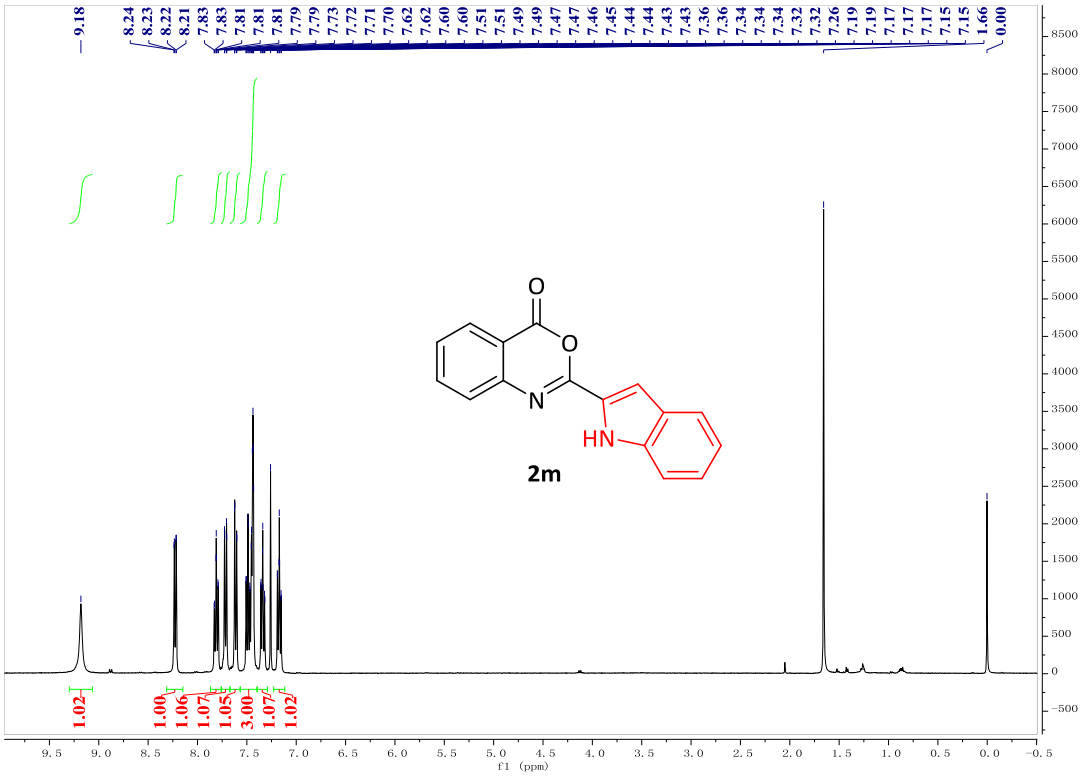
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8.22
8.21
7.83
7.83
7.81
7.81
7.81
7.79
7.79
7.73
7.72
7.71
7.70
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7.62
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7.60
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7.51
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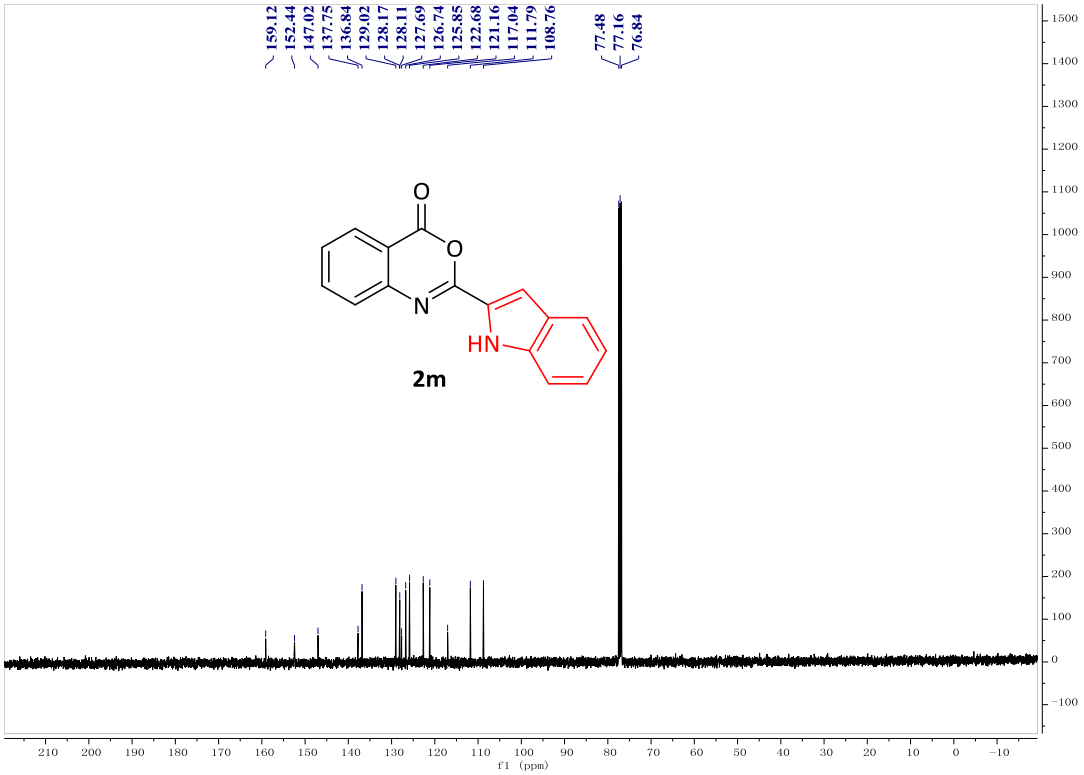
7.49
7.47
7.47
7.46
7.45
7.44
7.44
7.43
7.43
7.36
7.36
7.34
7.34
7.34
7.32
7.32
7.26
7.19
7.19
7.17
7.17
7.17
7.15
7.15
1.66
0.00
O
O
N
HN
2m
1.02
1.00
1.06
1.07
1.05
3.00
1.07
1.02
f1 (ppm)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125.85
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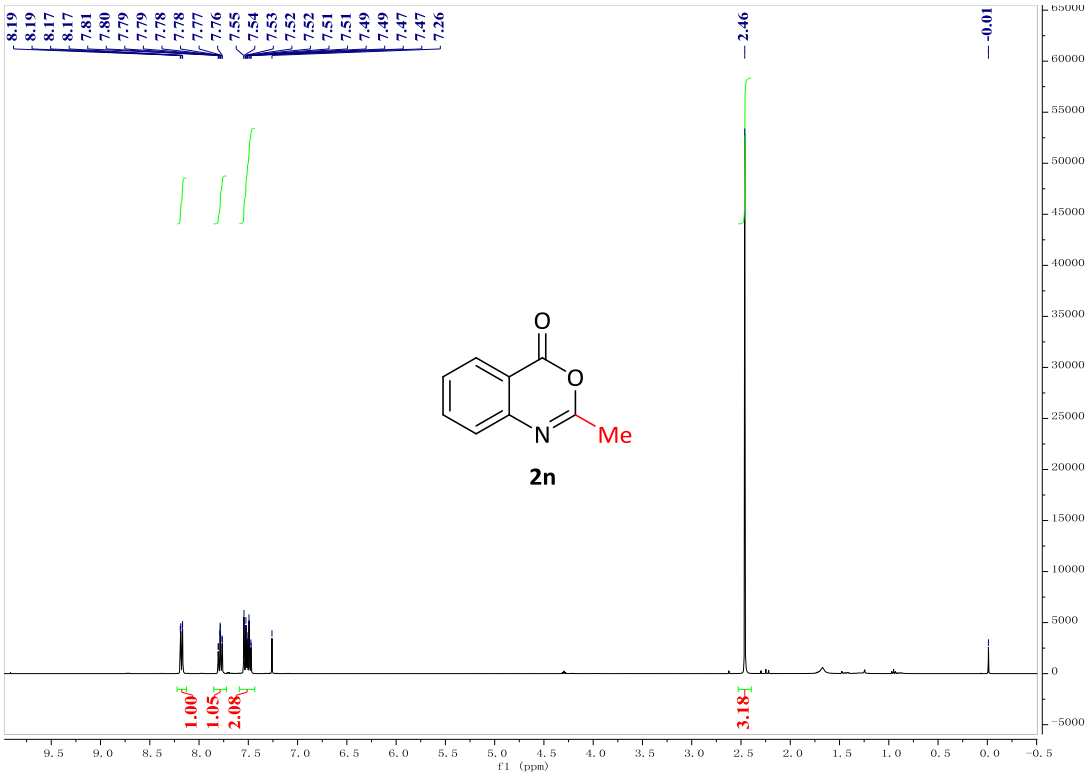
111.79
108.76
77.48
77.16
76.84
O
O
N
HN
2m
f1 (ppm)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7.76
7.55
7.54
7.53
7.52
7.52
7.51
7.51
7.49
7.47
7.47
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O
O
N
Me
2n
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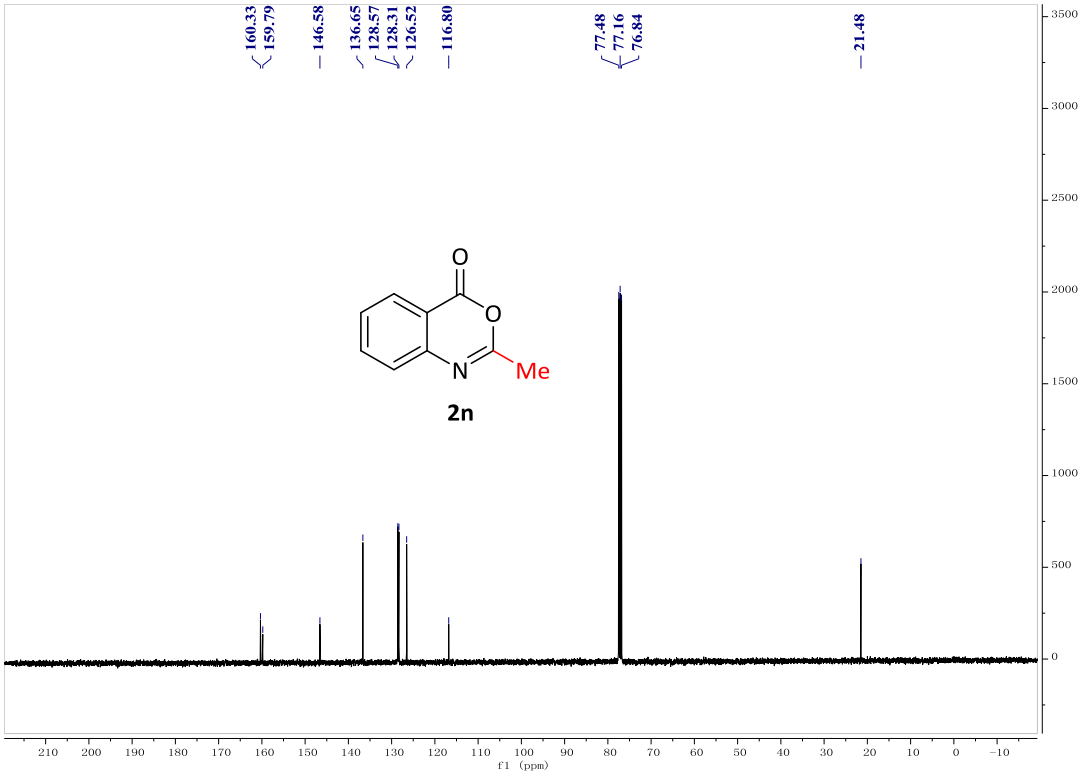
1.05
2.08
3.18
f1 (ppm)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77.16
76.84
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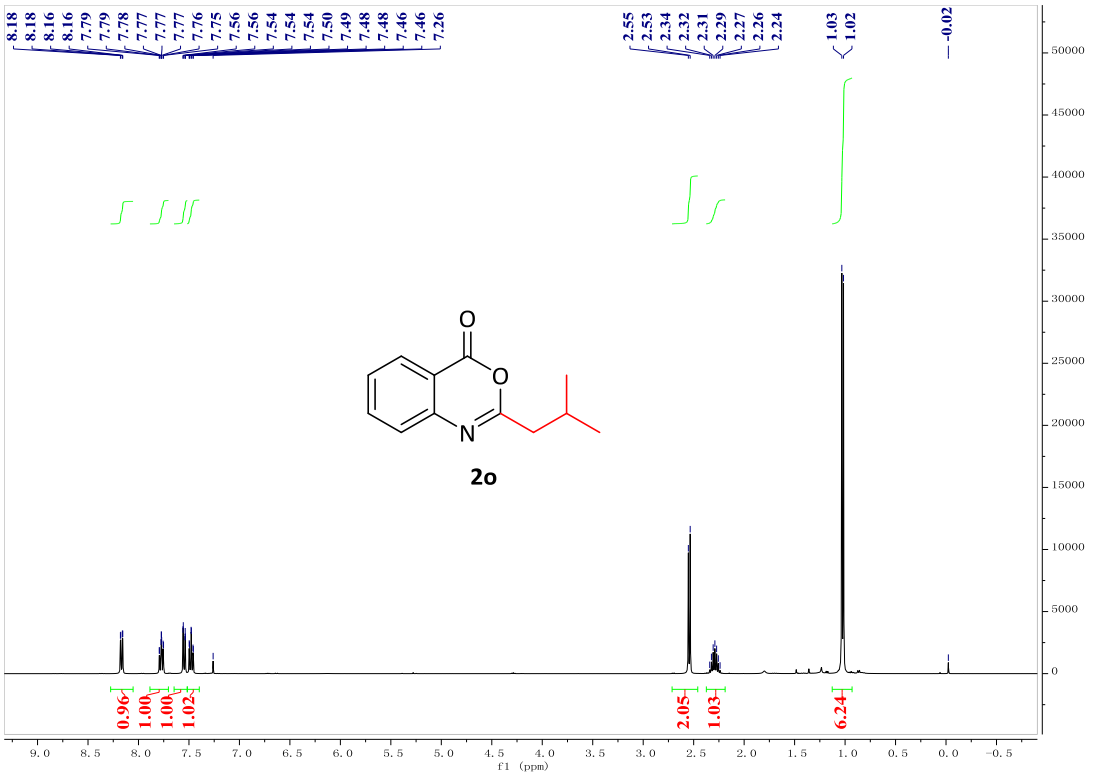
O
O
N
Me
2n
f1 (ppm)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7.76
7.75
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7.56
7.54
7.54
7.54
7.50
7.49
7.48
7.48
7.46
7.46
7.26
2.55
2.53
2.34
2.32
2.31
2.29
2.27
2.26
2.24
1.03
1.02
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O
N
2o
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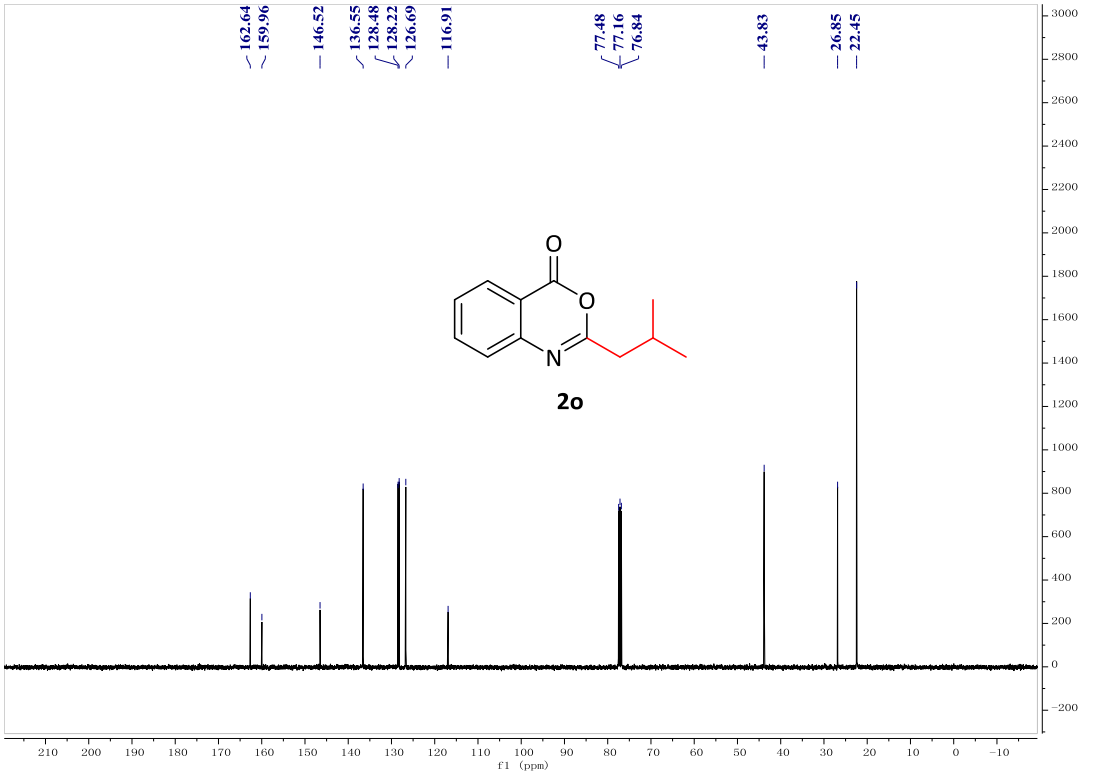
1.00
1.02
2.05
1.03
6.24
f1 (ppm)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76.84
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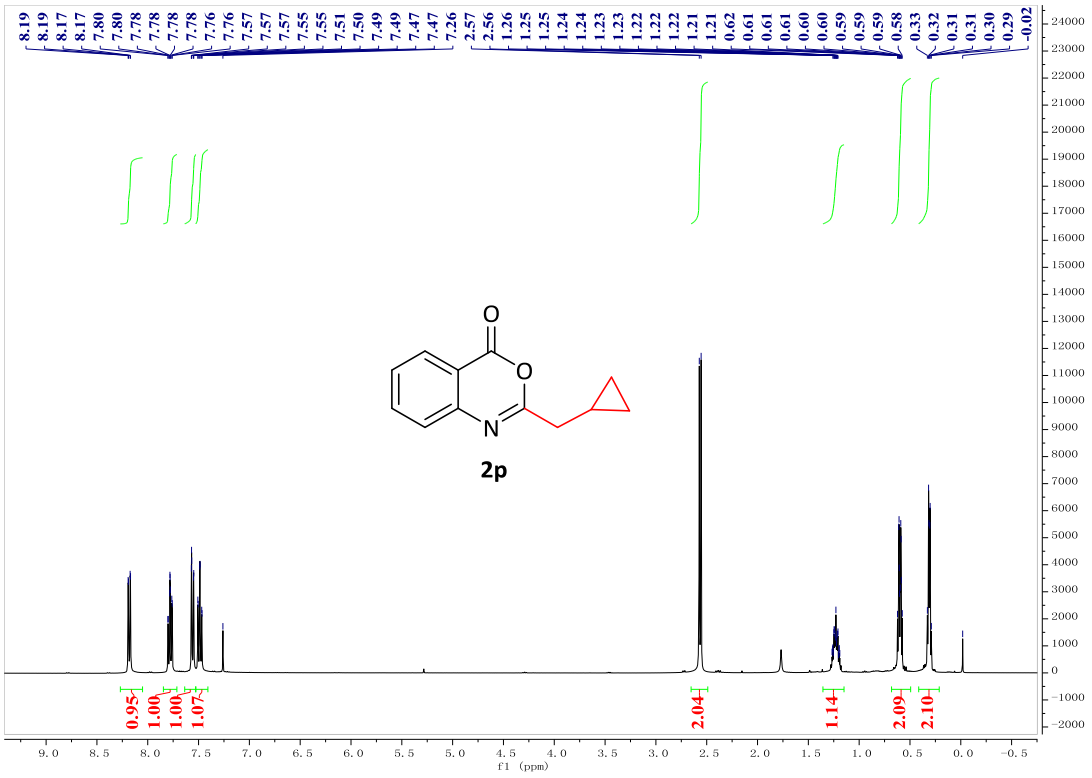
26.85
22.45
O
O
N
2o
f1 (ppm)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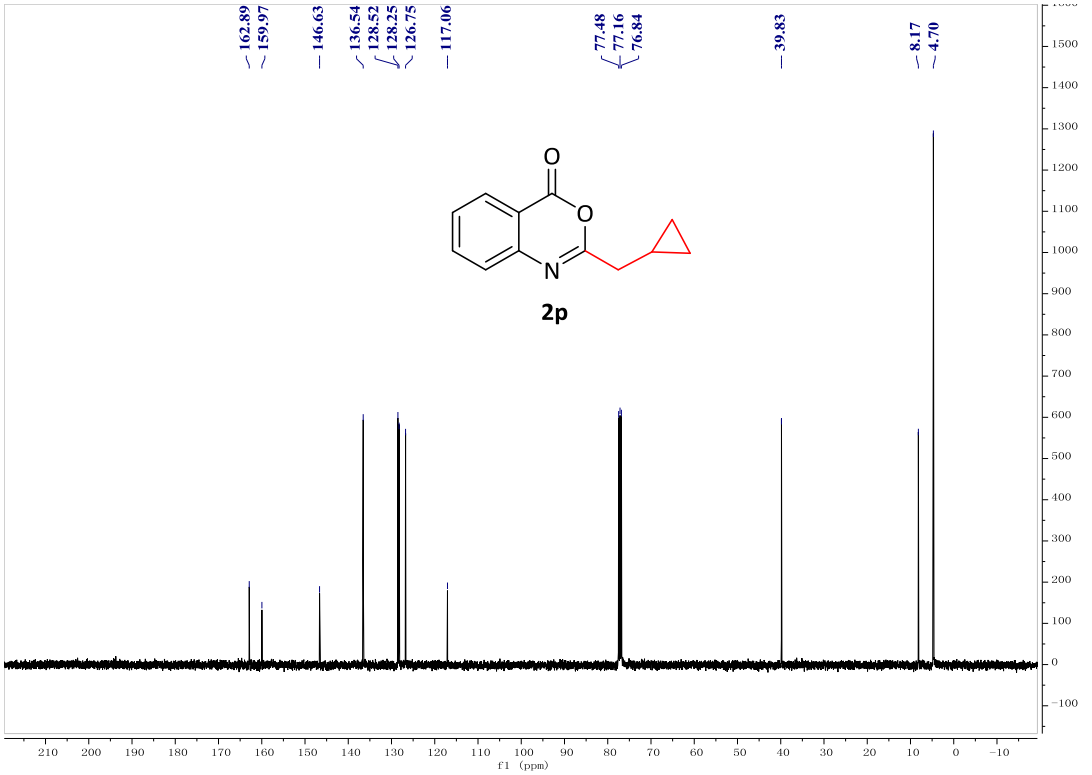
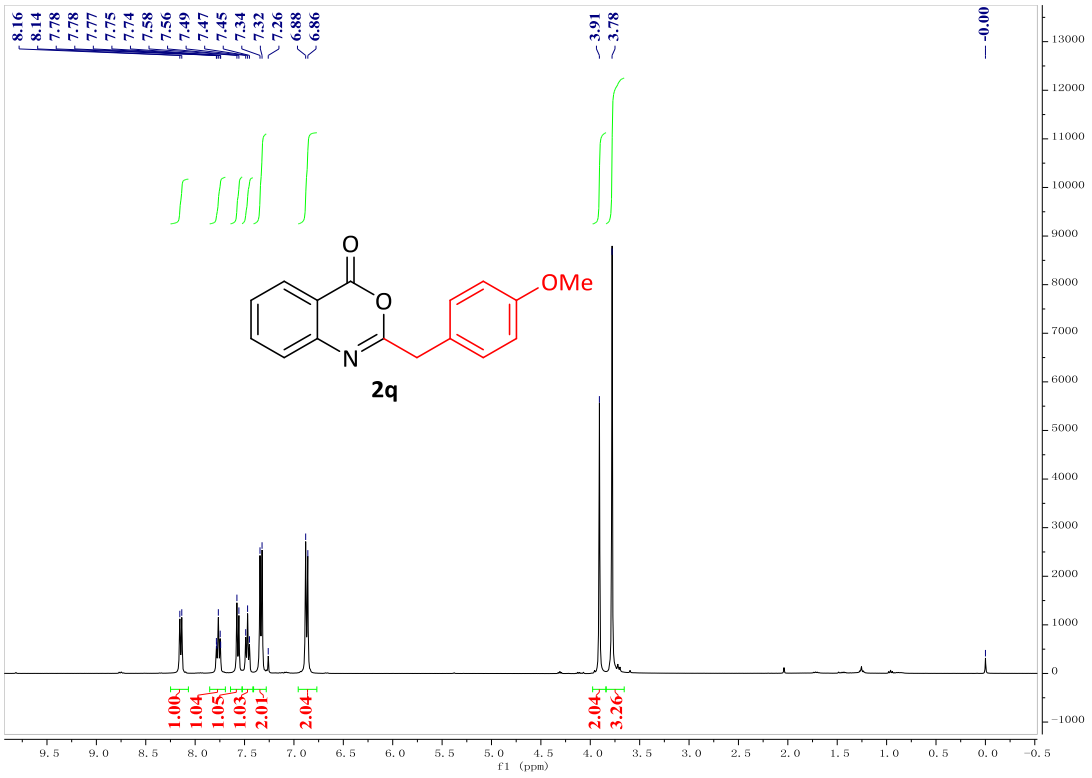
O
O
N
2p
0.95 1.00 1.00 1.07 2.04 1.14 2.09 2.10
f1 (ppm)


162.89 159.97 146.63 136.54 128.52 128.25 126.75 117.06 77.48 77.16 76.84 39.83 8.17 4.70
O
O
N
2p
f1 (ppm)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7.49
7.47
7.45
7.34
7.32
7.26
6.88
6.86
3.91
3.78
-0.00
O
O
N
OMe
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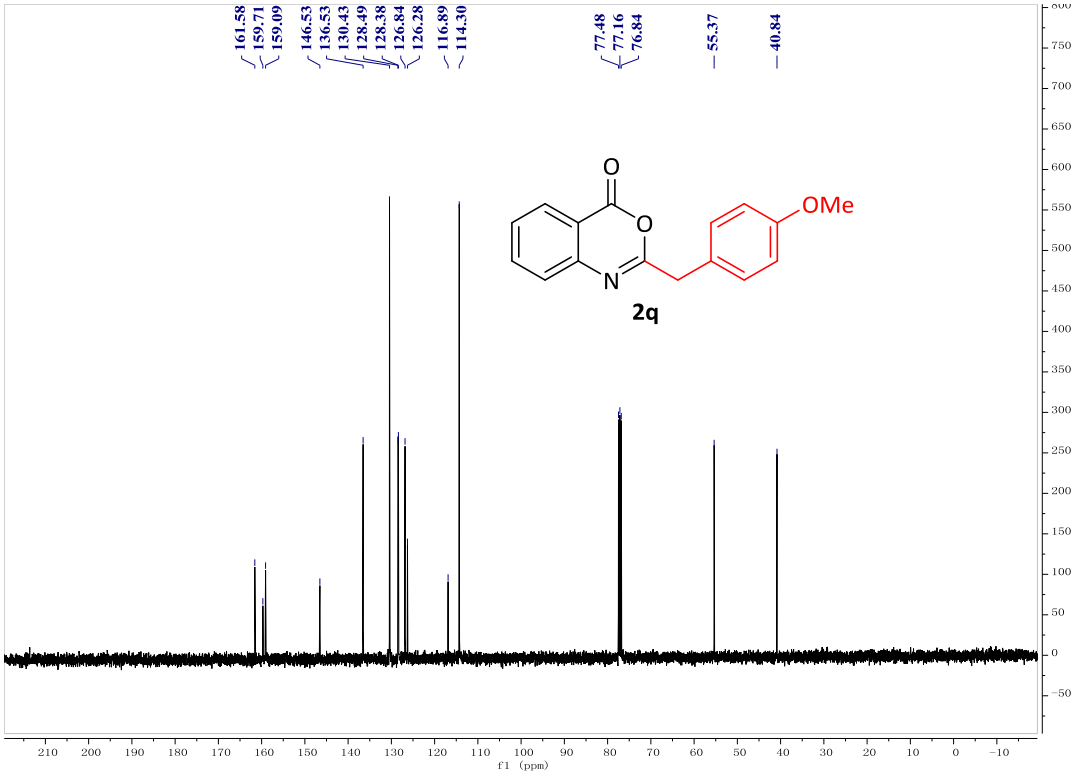
1.00
1.04
1.05
1.03
2.01
2.04
2.04
3.26
f1 (ppm)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126.28
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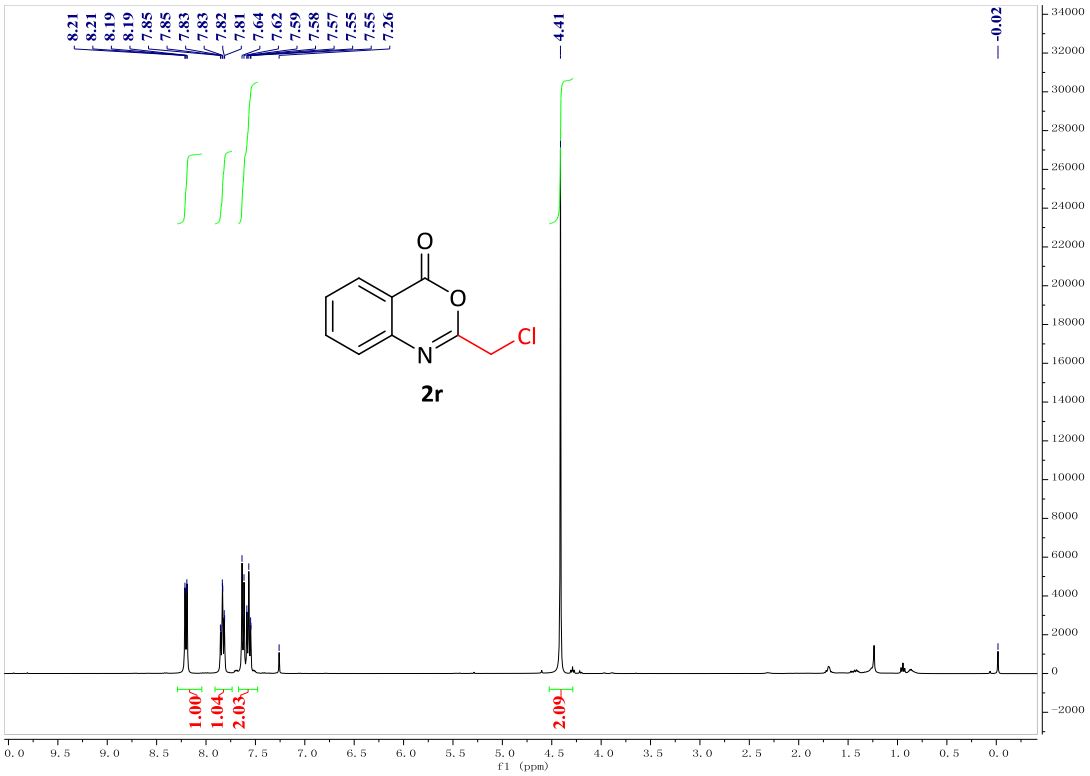
40.84
O
O
N
OMe
2q
f1 (ppm)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8.21
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8.19
7.85
7.85
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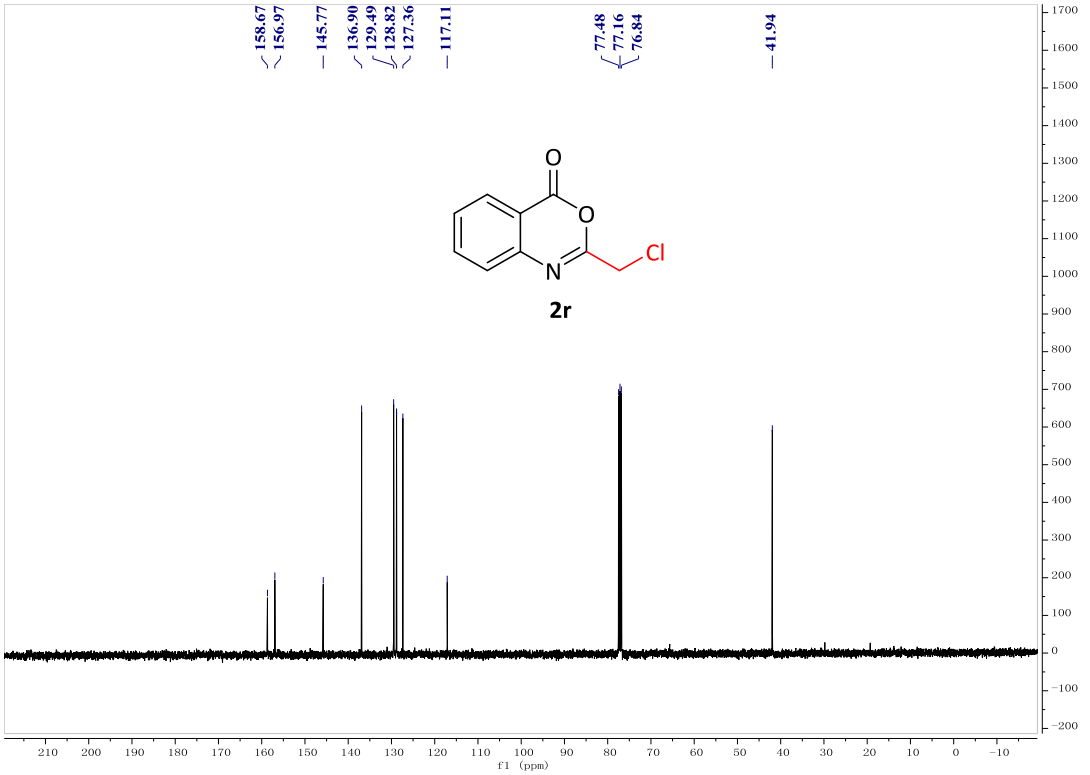
7.83
7.82
7.81
7.64
7.62
7.59
7.58
7.57
7.55
7.55
7.26
4.41
-0.02
O
O
N
Cl
2r
1.00
1.04
2.03
2.09
f1 (ppm)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77.16
76.84
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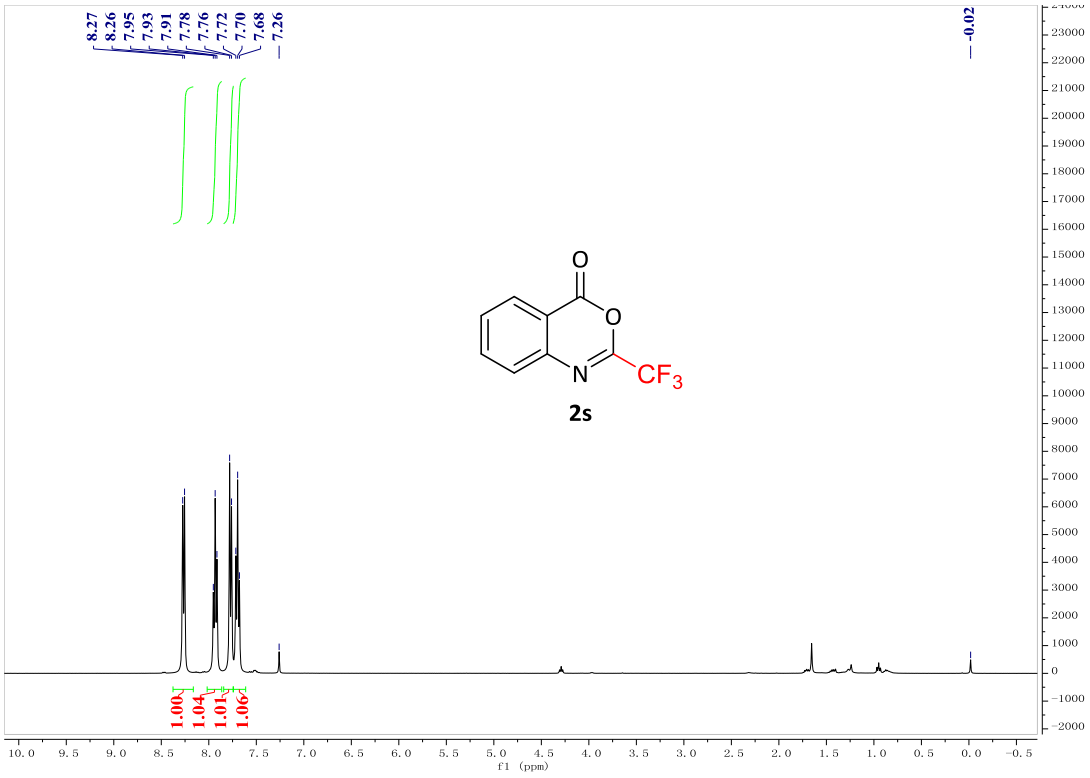
O
O
N
Cl
2r
f1 (ppm)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8.26
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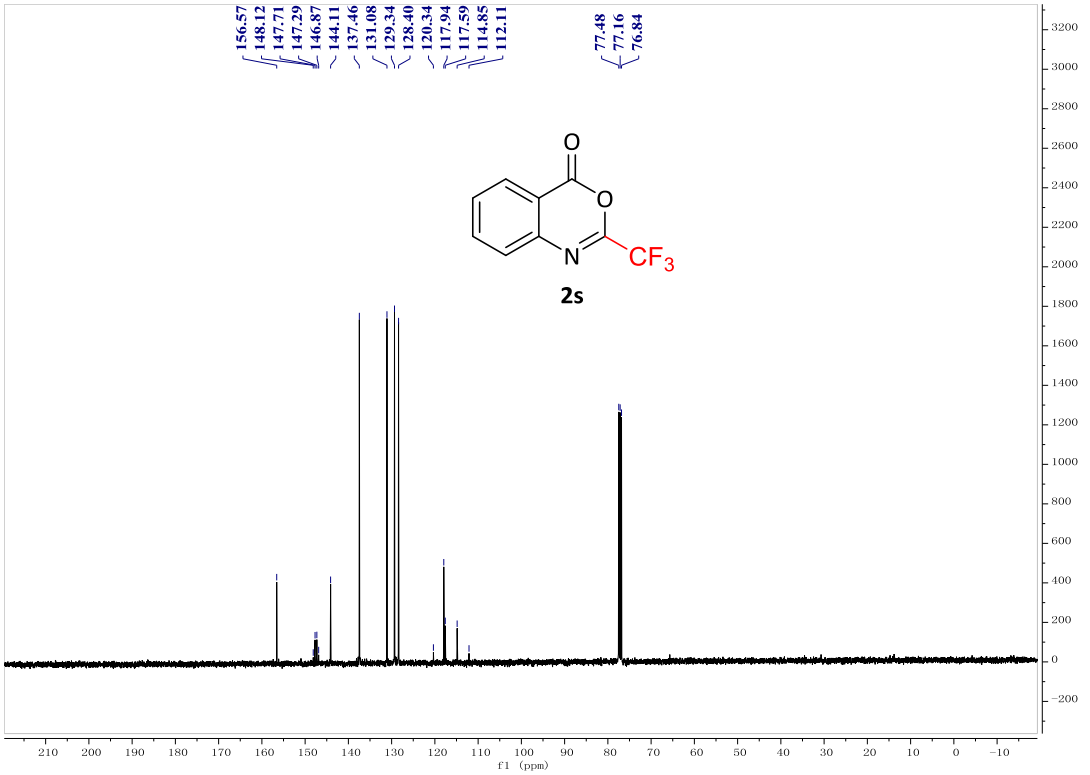
7.78
7.76
7.72
7.70
7.68
7.26
-0.02
O
O
N
CF3
2s
1.00
1.04
1.01
1.06
f1 (ppm)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144.11
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128.40
120.34
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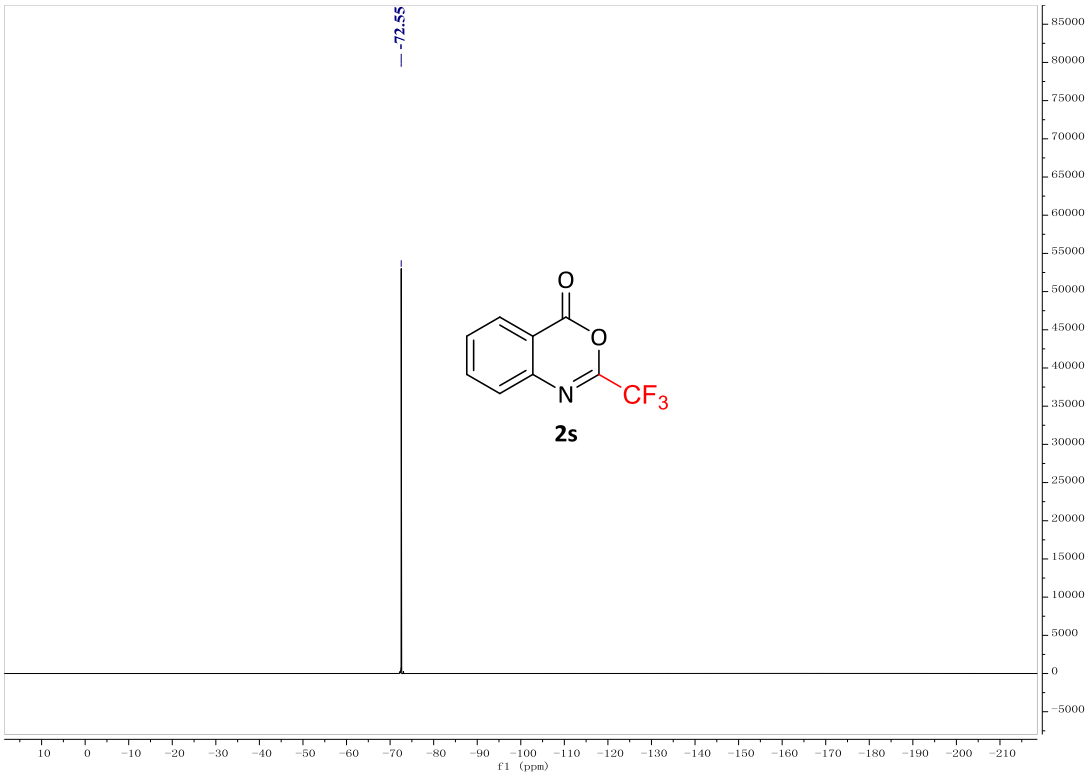
76.84
O
O
N
CF3
2s
f1 (ppm)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O
N
CF3
2s
85000
80000
75000
70000
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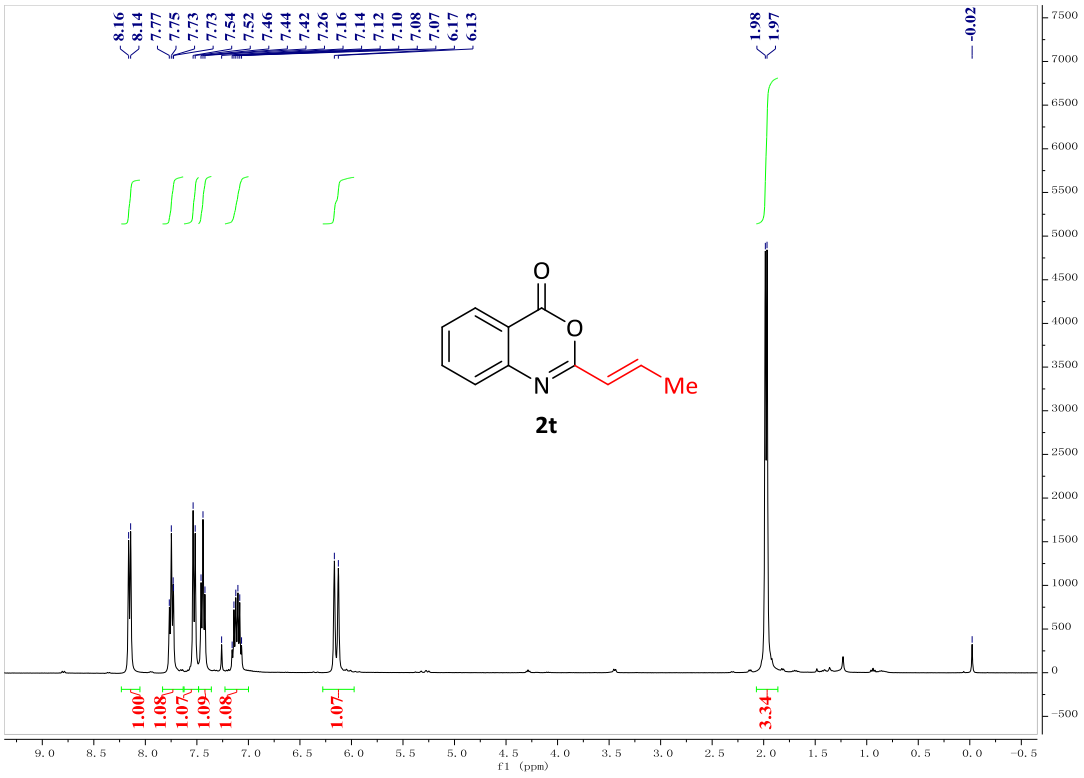
60000
55000
50000
45000
40000
35000
30000
25000
20000
15000
10000
5000
0
−5000
10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210
f1 (ppm)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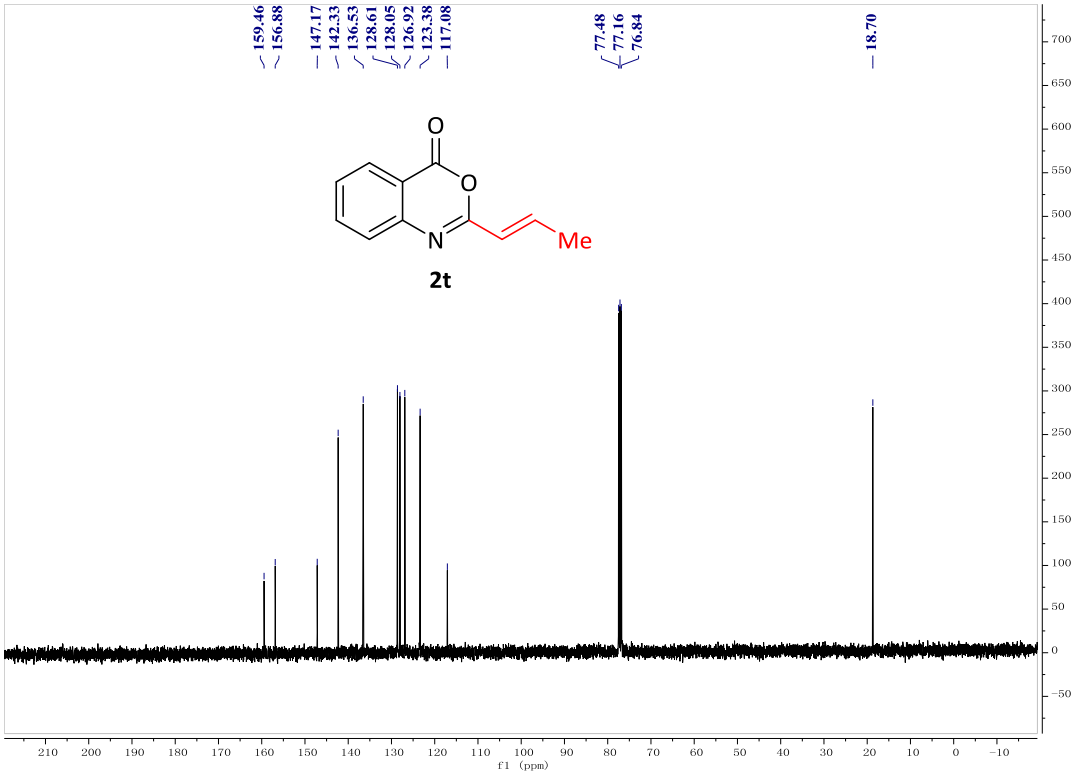
7.44
7.42
7.26
7.16
7.14
7.12
7.10
7.08
7.07
6.17
6.13
1.98
1.97
-0.02
O
O
N
Me
2t
1.00
1.08
1.07
1.09
1.08
1.07
3.34
f1 (ppm)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77.48
77.16
76.84
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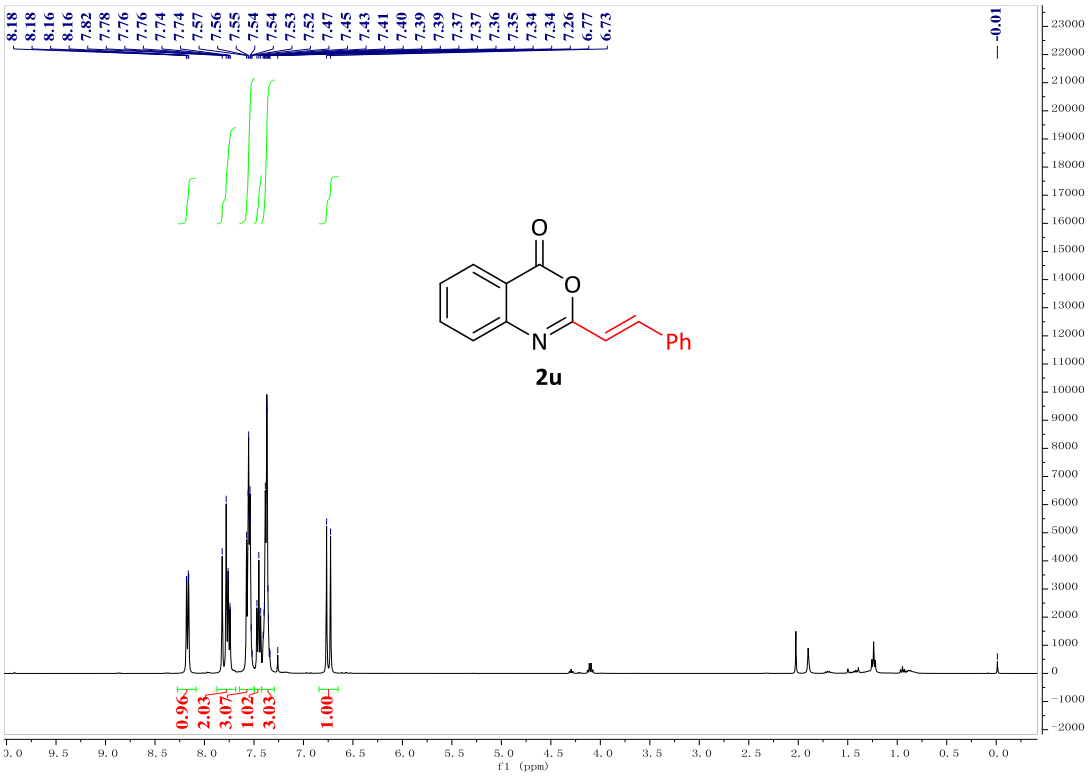
O
O
N
Me
2t
f1 (ppm)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7.76
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7.74
7.74
7.57
7.56
7.55
7.54
7.54
7.53
7.52
7.47
7.45
7.43
7.41
7.40
7.39
7.39
7.37
7.37
7.36
7.35
7.34
7.34
7.26
6.77
6.73
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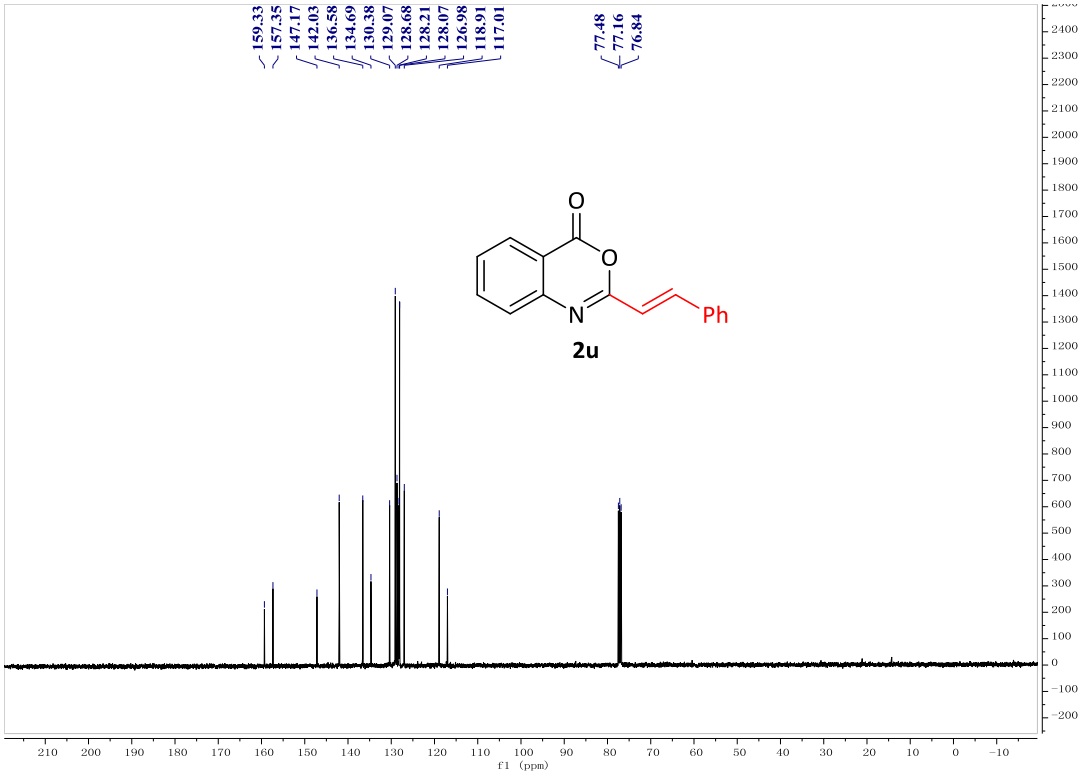
O
N
Ph
2u
0.96
2.03
3.07
1.02
3.03
1.00
f1 (ppm)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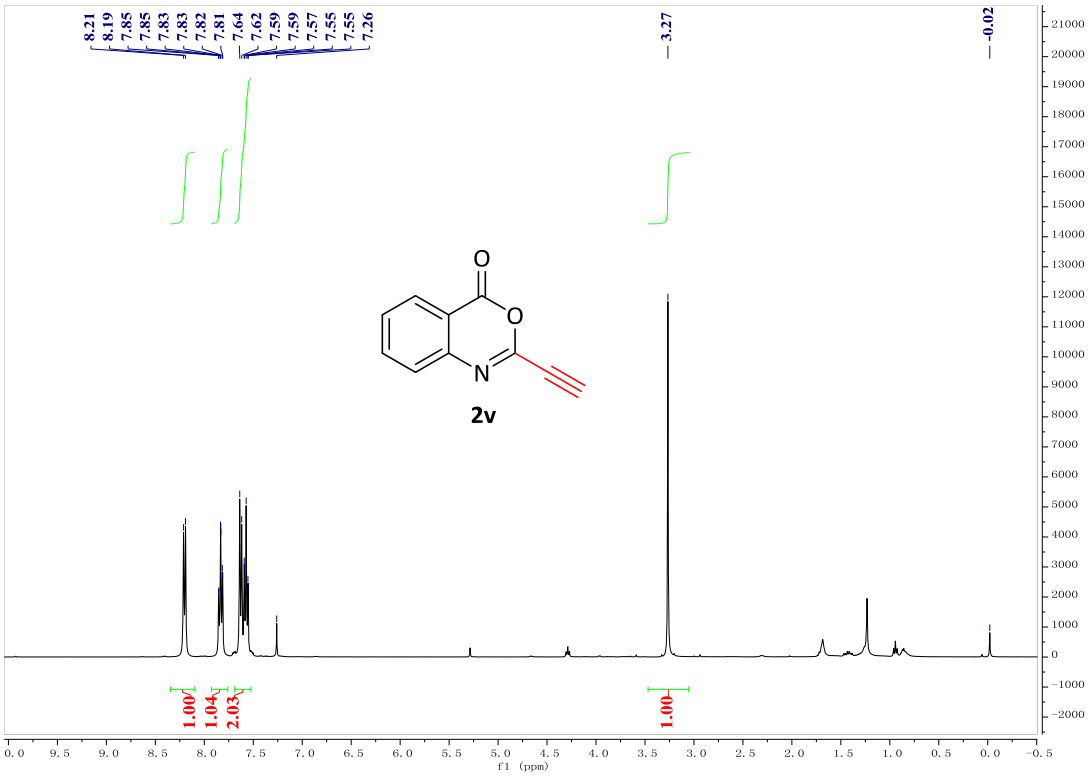
117.01
77.48
77.16
76.84
O
O
N
Ph
2u
f1 (ppm)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7.85
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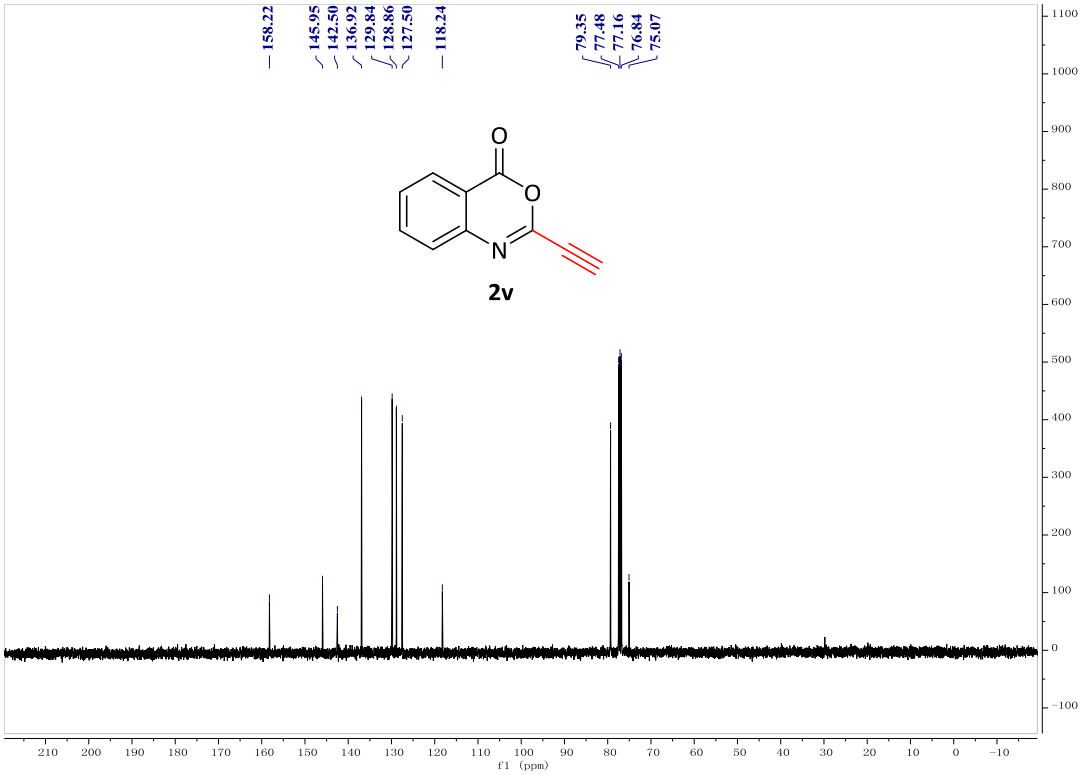
7.83
7.82
7.81
7.64
7.62
7.59
7.59
7.57
7.55
7.55
7.26
3.27
-0.02
O
O
N
2v
1.00
1.04
2.03
1.00
f1 (ppm)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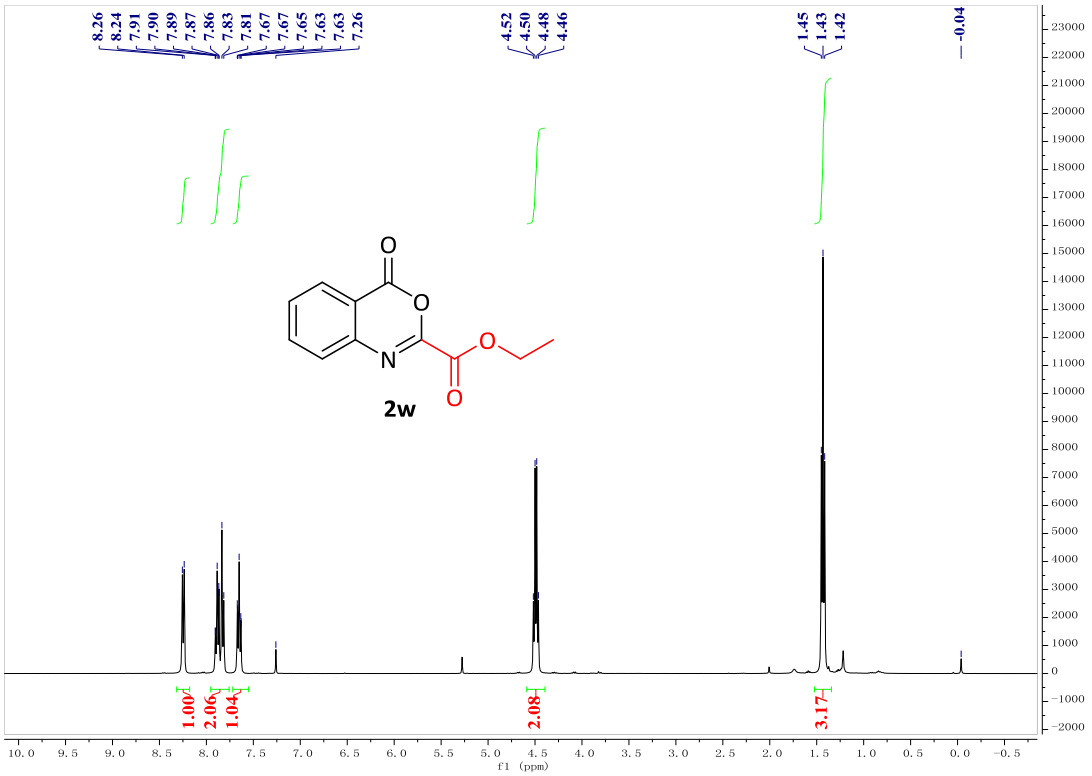
79.35
77.48
77.16
76.84
75.07
O
O
N
2v
f1 (ppm)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7.87
7.86
7.83
7.81
7.67
7.67
7.65
7.63
7.63
7.26
4.52
4.50
4.48
4.46
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1.42
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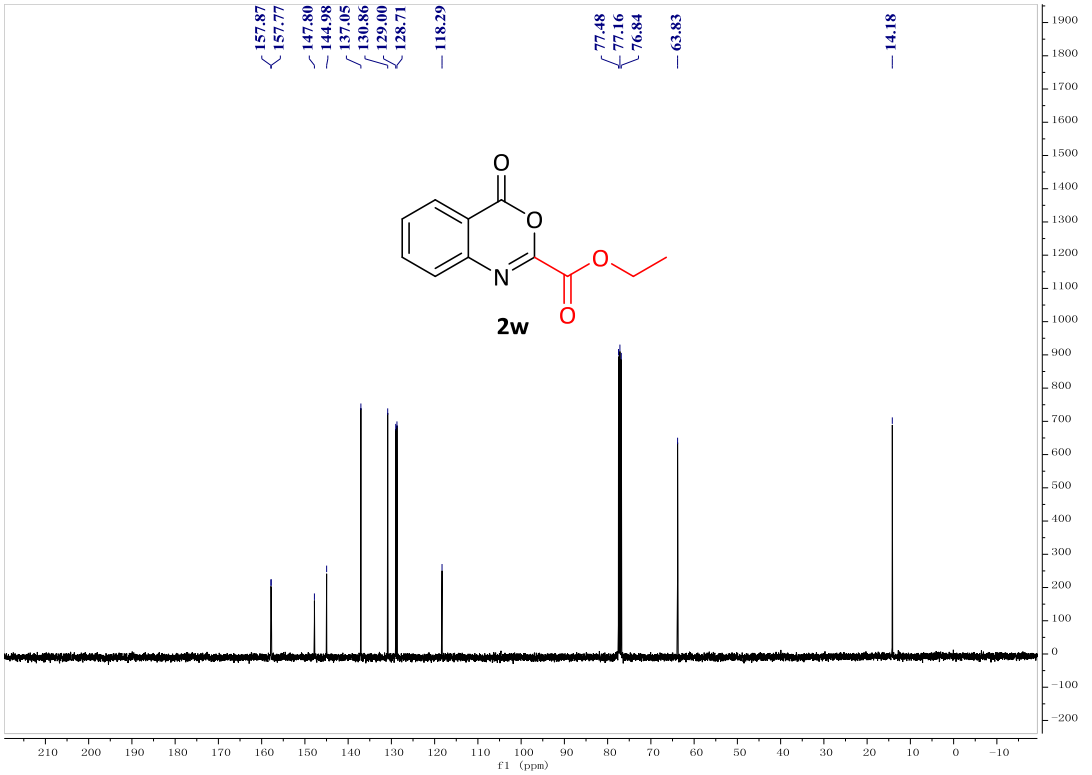
2w
1.00
2.06
1.04
2.08
3.17
f1 (ppm)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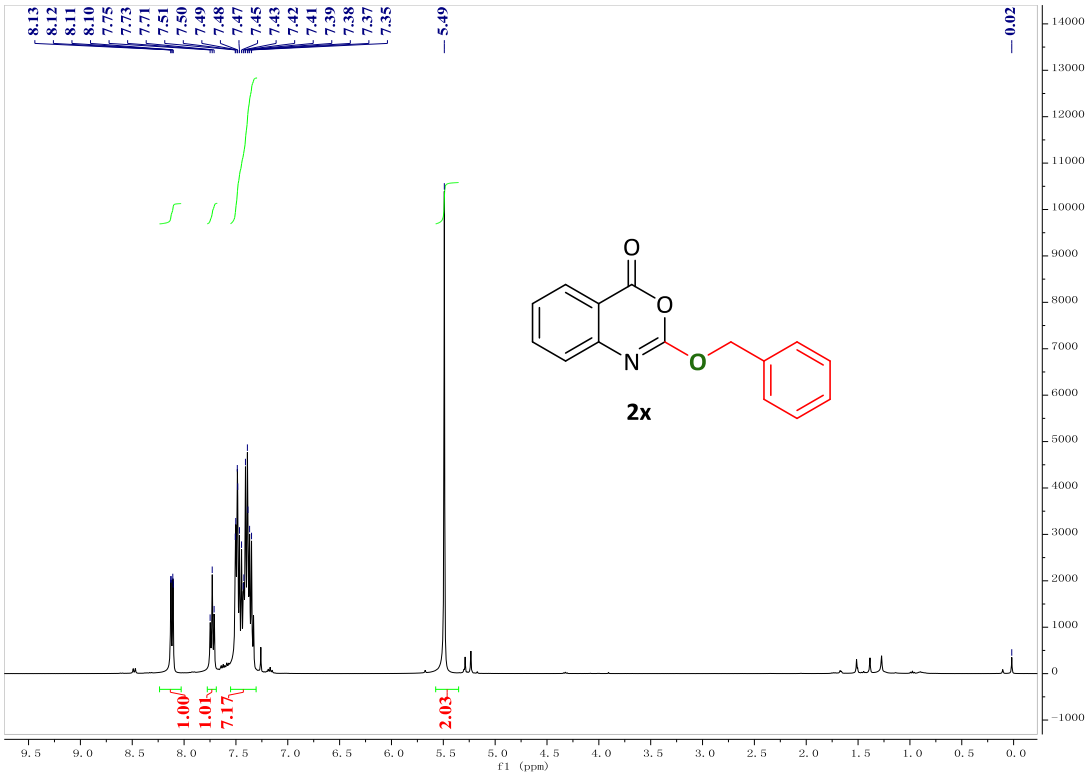
77.16
76.84
63.83
14.18
2w
f1 (ppm)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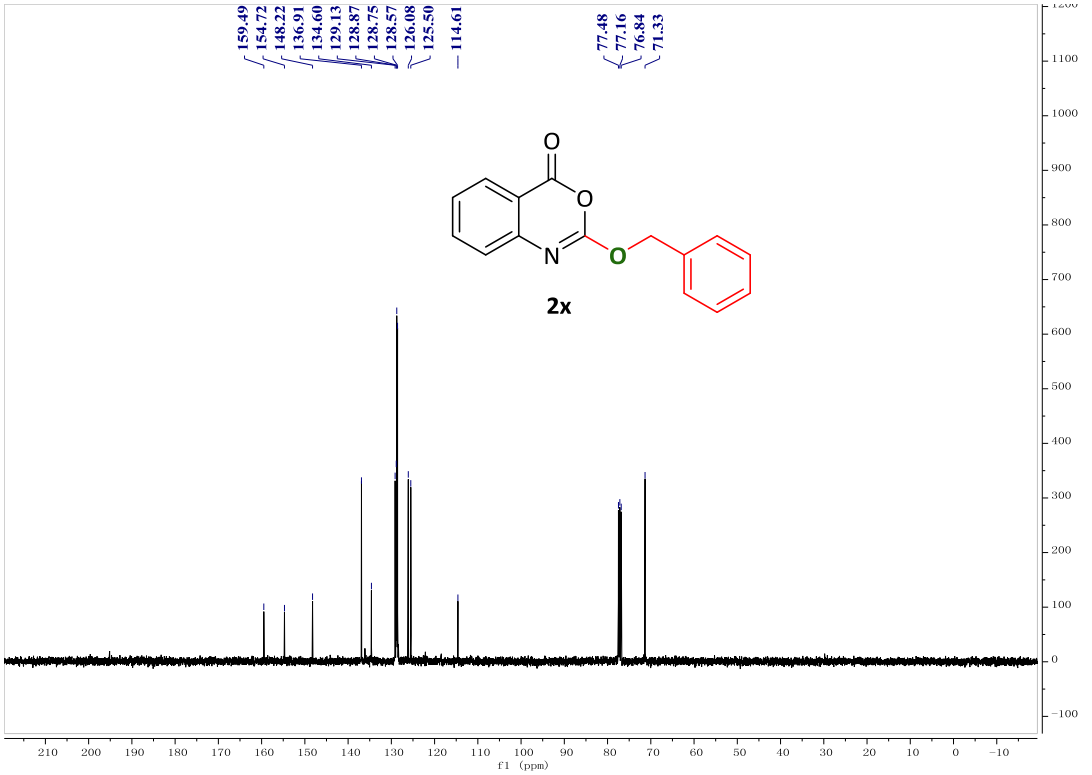
7.71
7.51
7.50
7.49
7.48
7.47
7.45
7.43
7.42
7.41
7.39
7.38
7.37
7.35
5.49
0.02
1.00
1.01
7.17
2.03
2x
f1 (ppm)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114.61
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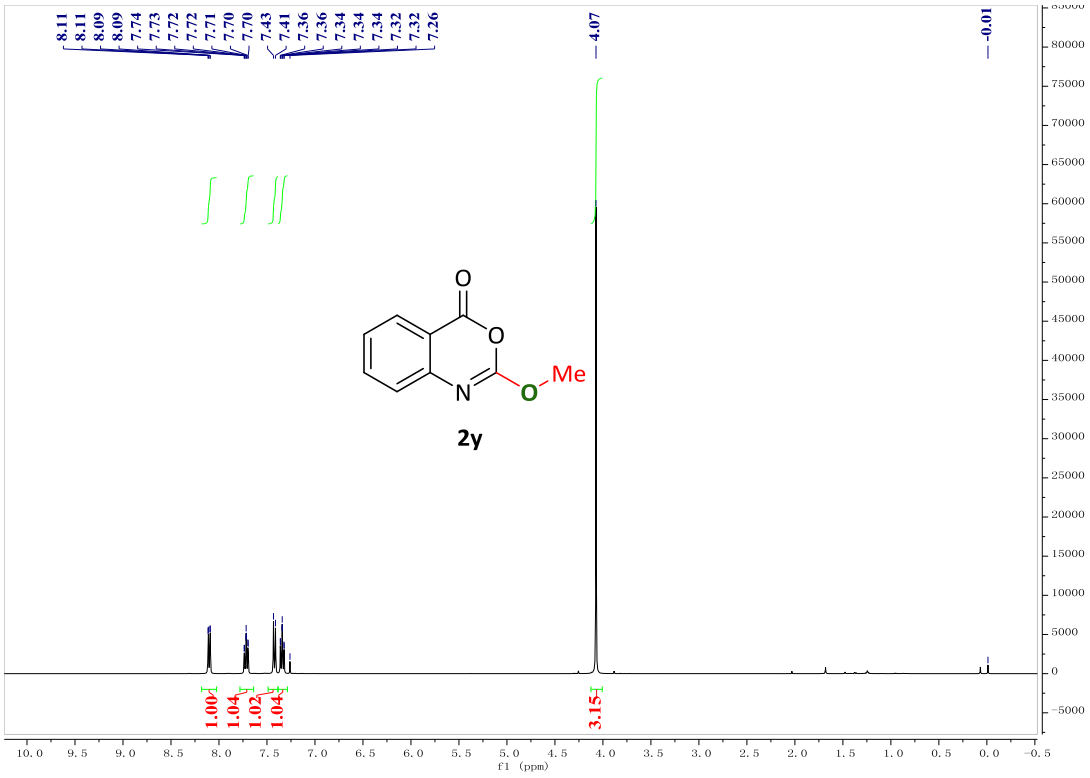
77.16
76.84
71.33
2x
f1 (ppm)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7.70
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7.36
7.36
7.34
7.34
7.34
7.32
7.26
4.07
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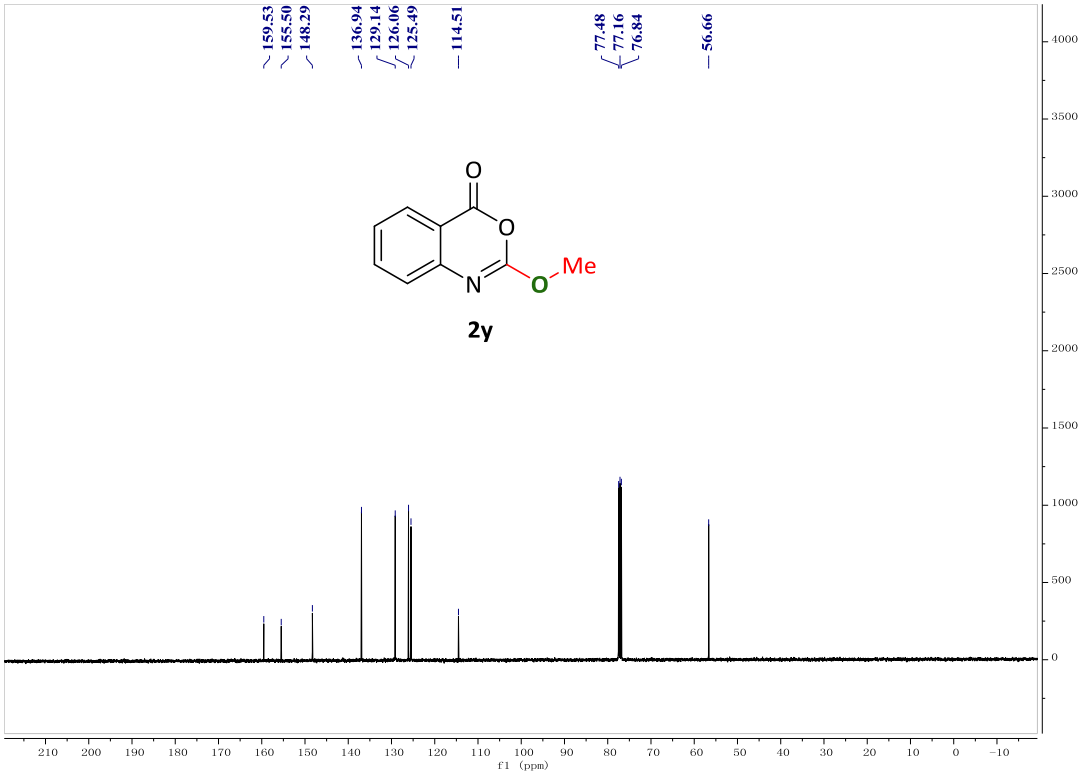
1.04
1.02
1.04
3.15
O
O
N
O
Me
2y
f1 (ppm)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76.84
56.66
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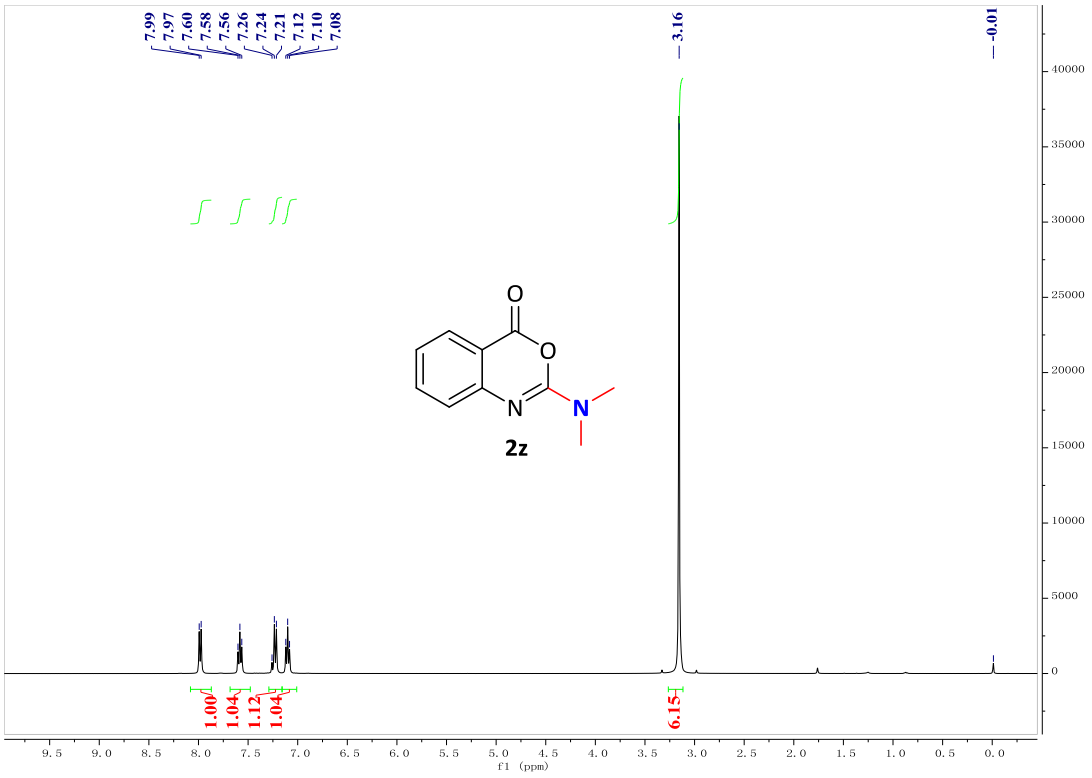
O
N
O
Me
2y
f1 (ppm)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7.24
7.21
7.12
7.10
7.08
3.16
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O
N
N
2z
1.00
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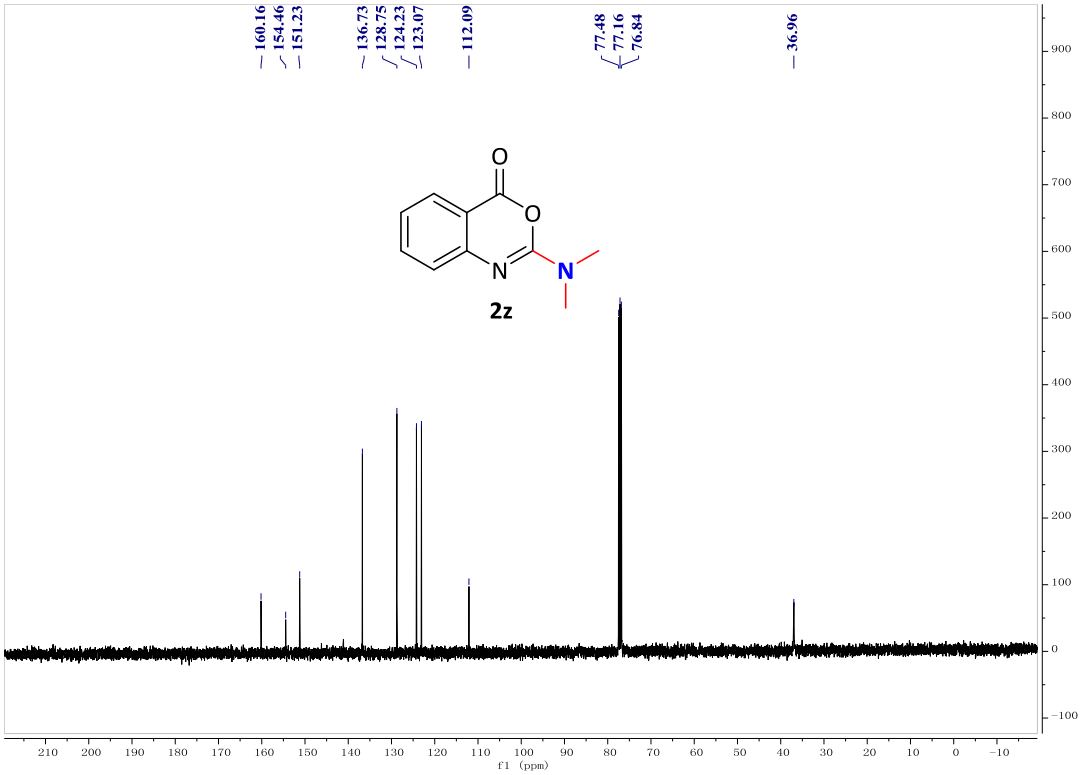
1.12
1.04
6.15
f1 (ppm)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77.48
77.16
76.84
36.96
O
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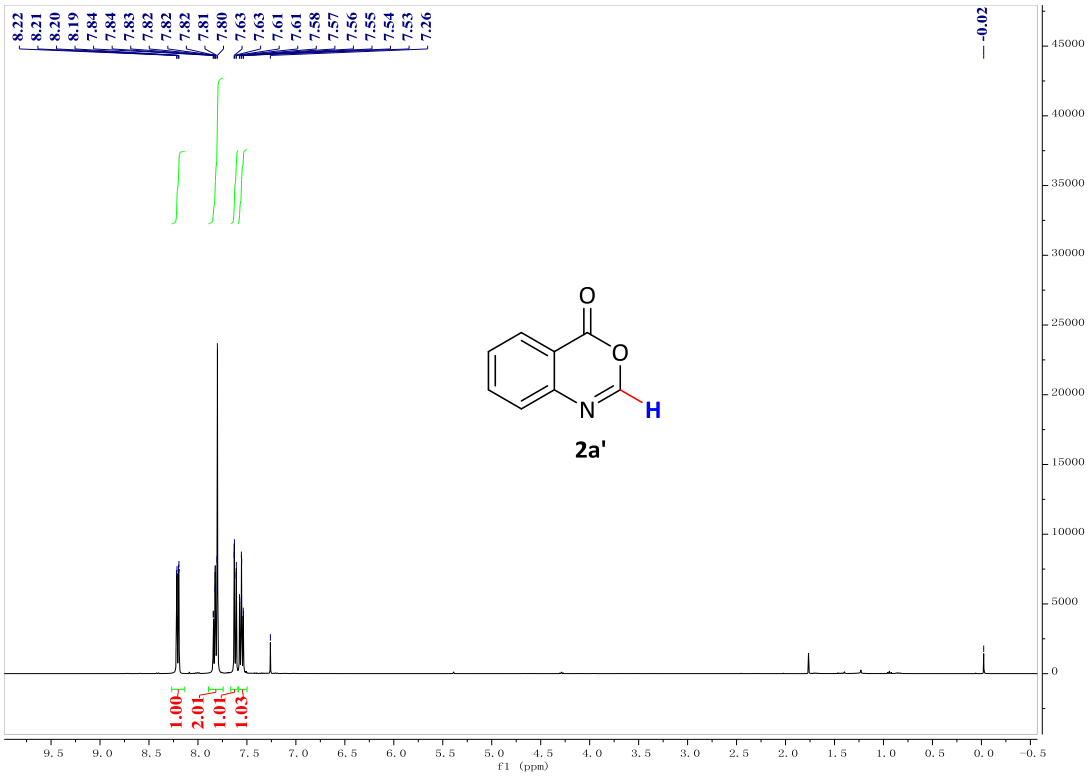
N
N
2z
f1 (ppm)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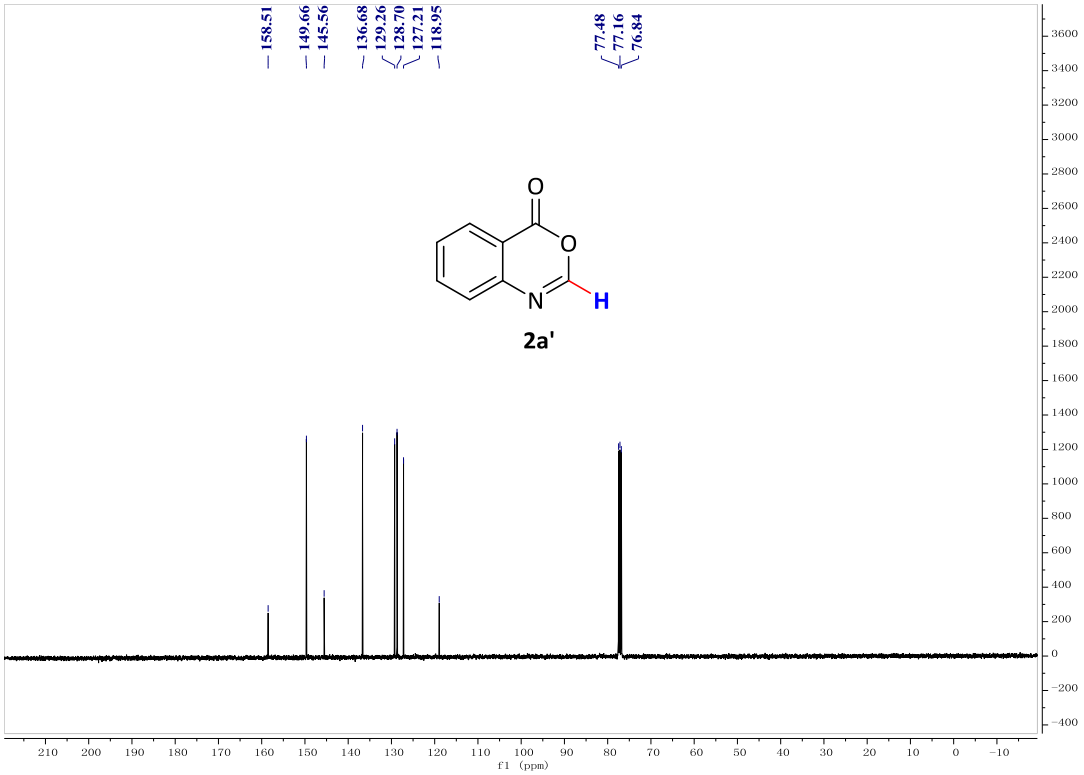
7.63
7.61
7.61
7.58
7.57
7.56
7.55
7.54
7.53
7.26
-0.02
1.00
2.01
1.01
1.03
f1 (ppm)
O
O
N
H
2a'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145.56
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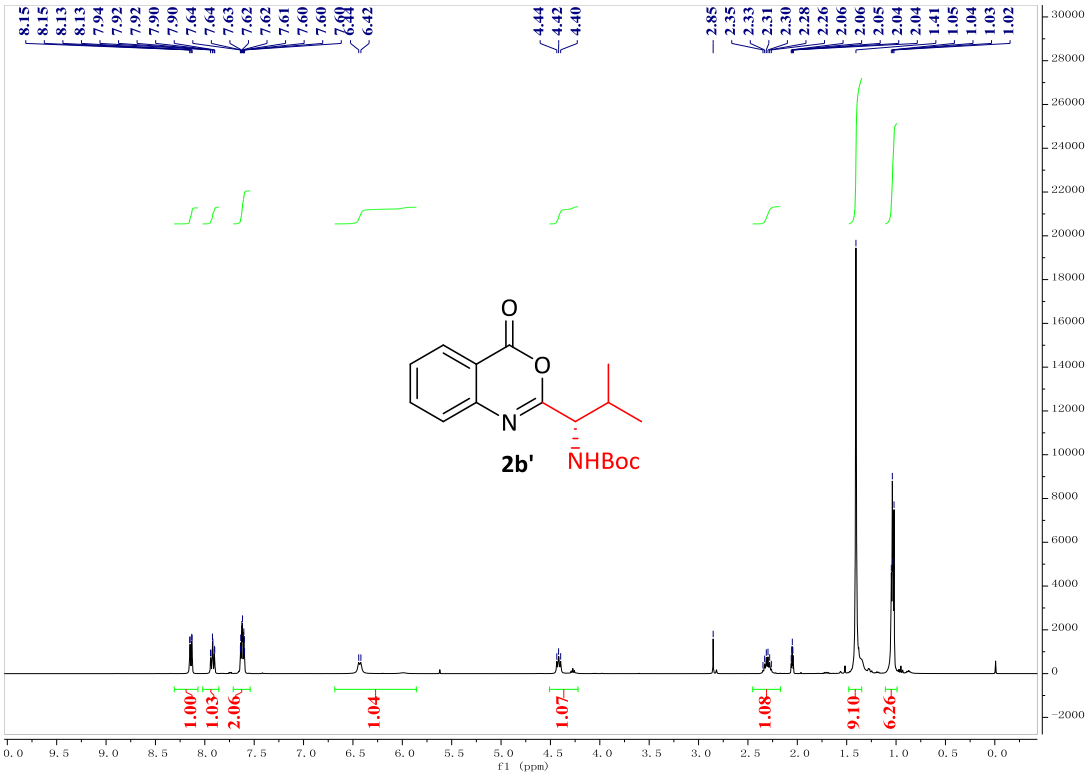
127.21
118.95
77.48
77.16
76.84
f1 (ppm)
O
O
N
H
2a'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7.61
7.60
7.60
7.60
7.44
6.42
4.44
4.42
4.40
2.85
2.35
2.33
2.31
2.30
2.28
2.26
2.06
2.06
2.05
2.04
2.04
1.41
1.05
1.04
1.03
1.02
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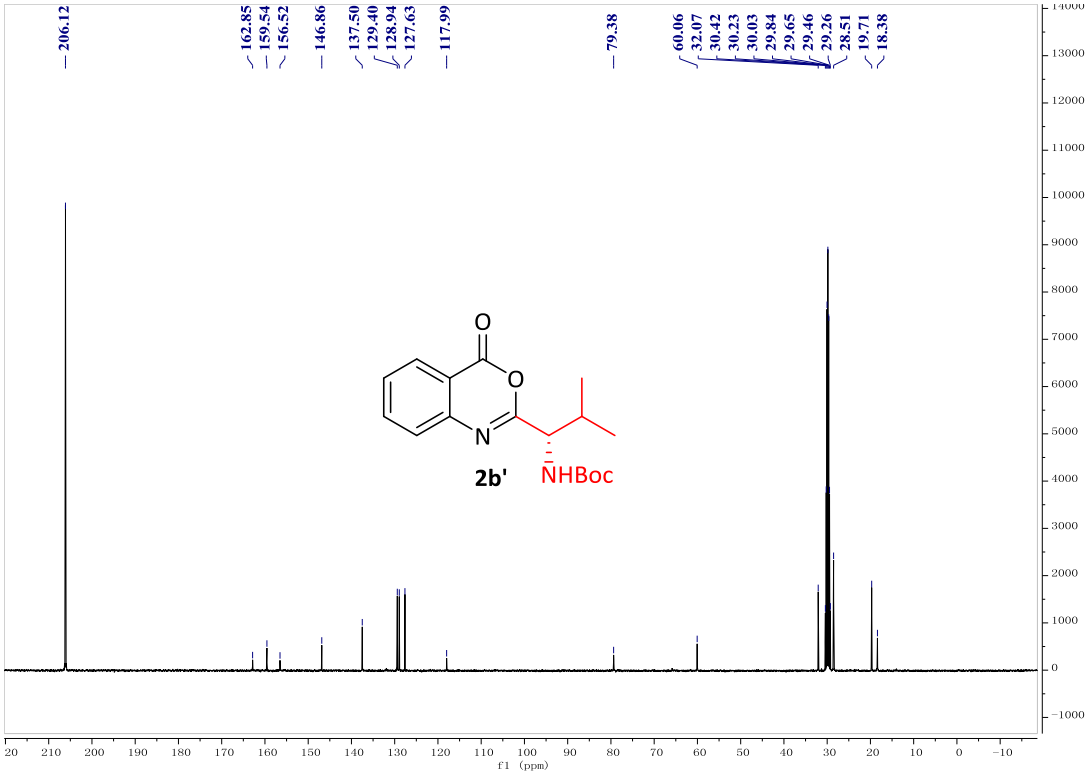
1.03
2.06
1.04
1.07
1.08
9.10
6.26
f1 (ppm)
2b'
NHBoc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156.52
146.86
137.50
129.40
128.94
127.63
117.99
79.38
60.06
32.07
30.42
30.23
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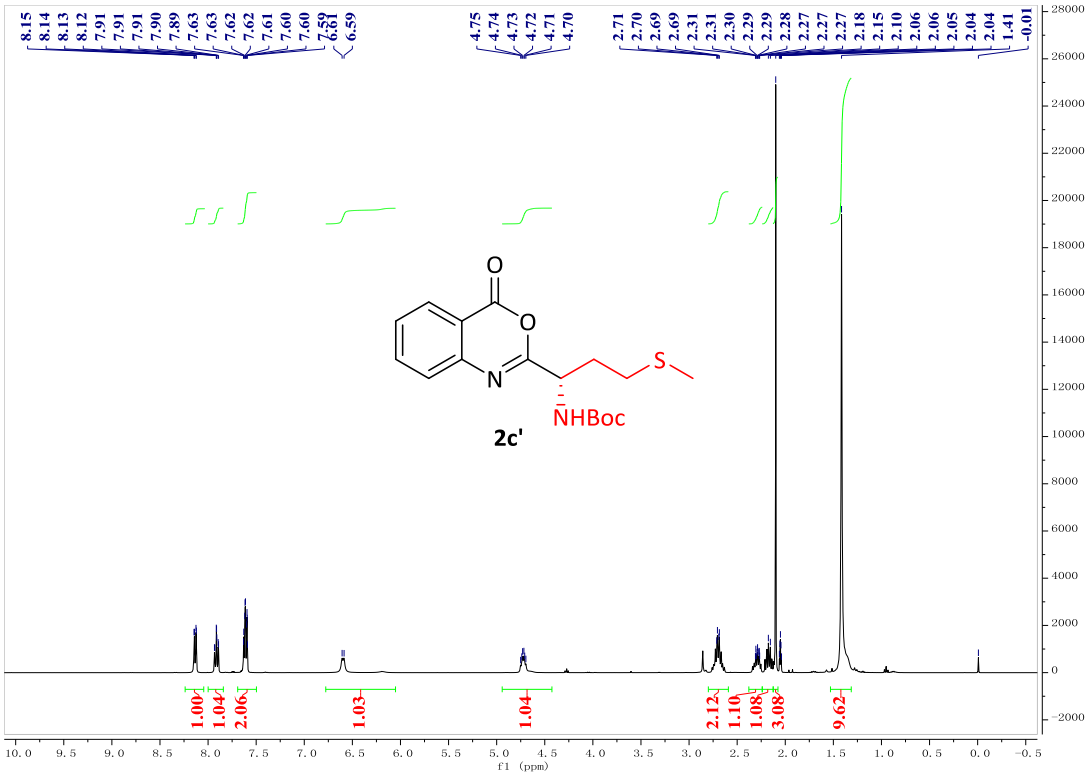
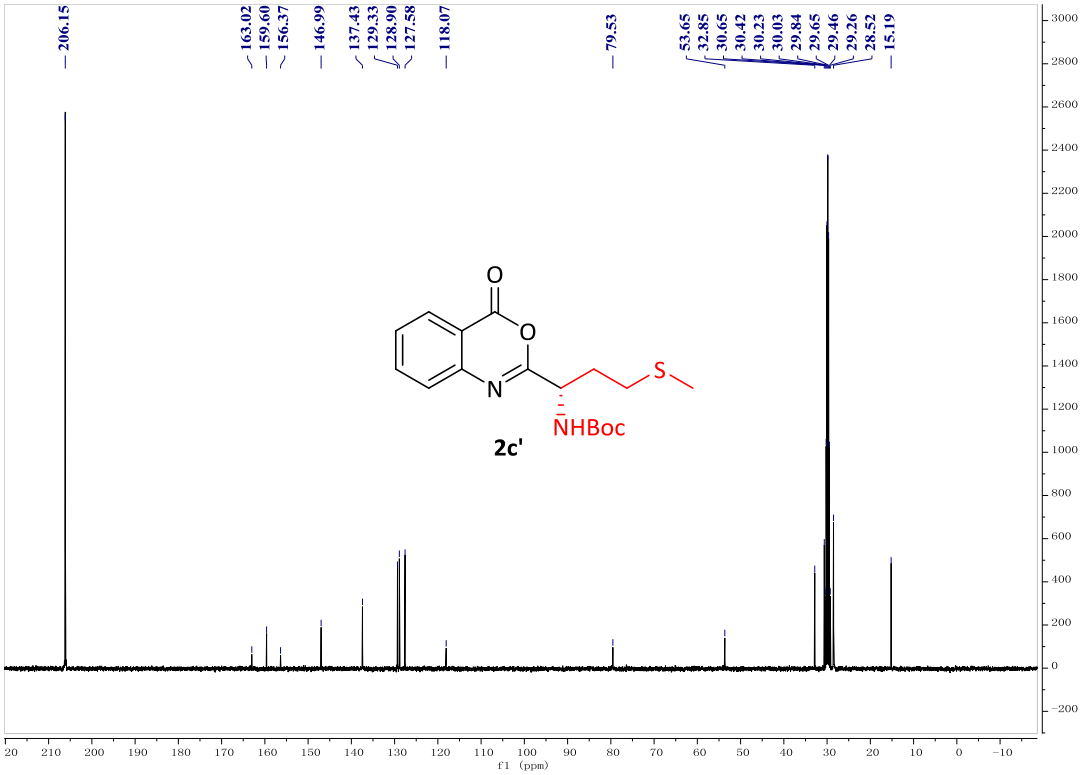
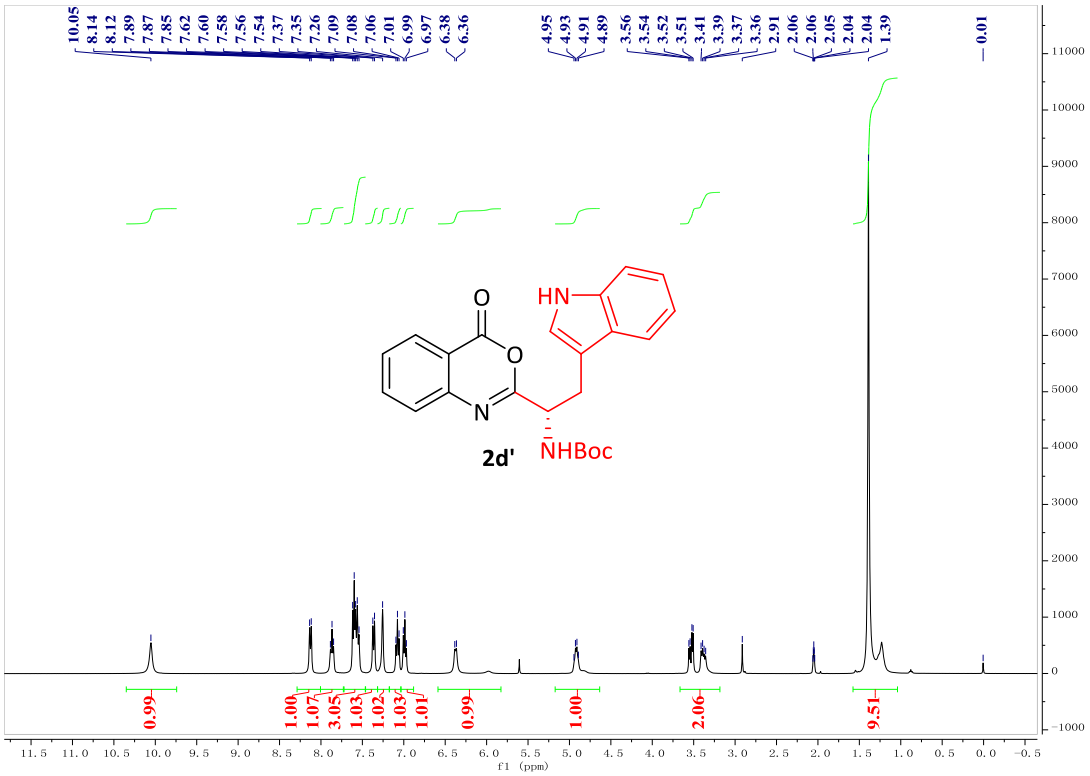
29.65
29.46
29.26
28.51
19.71
18.38
f1 (ppm)
2b'
NHBoc


8.15 8.14 8.13 8.12 7.91 7.91 7.91 7.90 7.89 7.63 7.63 7.62 7.62 7.61 7.60 7.60 7.59 6.61 6.59
4.75 4.74 4.73 4.72 4.71 4.70
2.71 2.70 2.69 2.69 2.31 2.31 2.30 2.29 2.29 2.28 2.27 2.27 2.27 2.18 2.15 2.10 2.06 2.06 2.05 2.04 2.04 1.41 -0.01
1.00 1.04 2.06 1.03 1.04 2.12 1.10 1.08 3.08 9.62
f1 (ppm)
O
O
N
S
NHBoc
2c'


206.15
163.02 159.60 156.37 146.99 137.43 129.33 128.90 127.58 118.07
79.53
53.65 32.85 30.65 30.42 30.23 30.03 29.84 29.65 29.46 29.26 28.52 15.19
f1 (ppm)
O
O
N
S
NHBoc
2c'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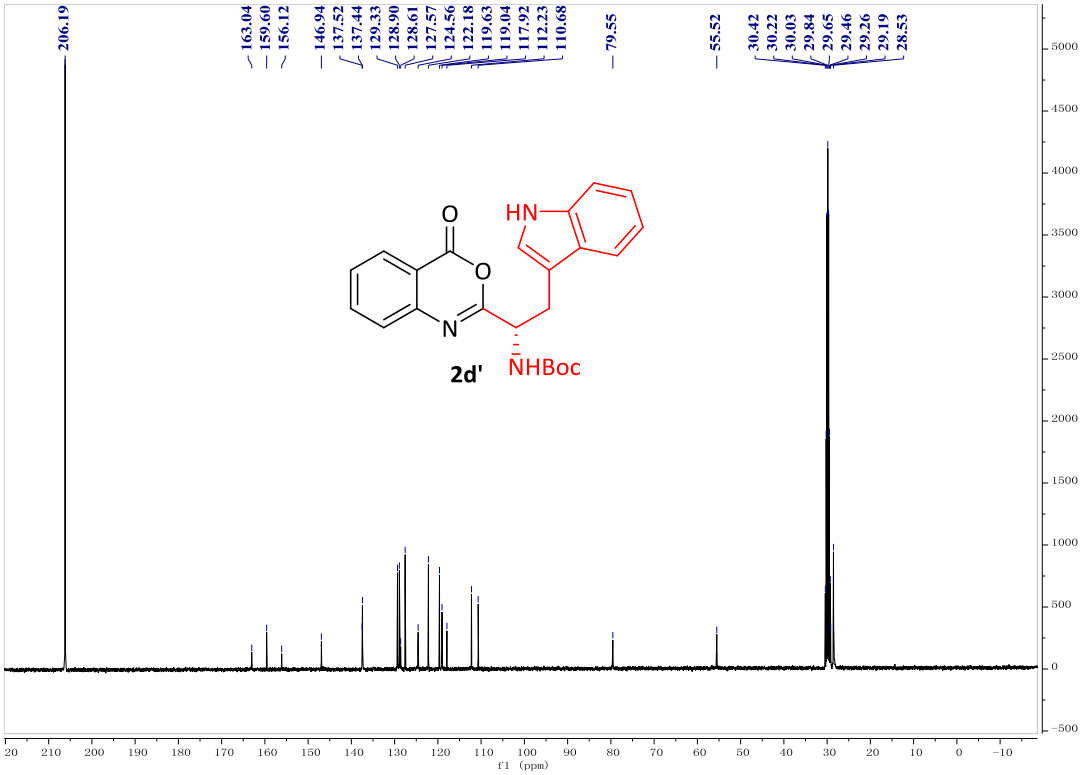
7.85
7.62
7.60
7.58
7.56
7.54
7.37
7.35
7.26
7.09
7.08
7.06
7.01
6.99
6.97
6.38
6.36
4.95
4.93
4.91
4.89
3.56
3.54
3.52
3.51
3.41
3.39
3.37
3.36
2.91
2.06
2.06
2.05
2.04
2.04
1.39
0.01
HN
O
O
N
NHBoc
2d'
0.99
1.00
1.07
3.05
1.03
1.02
1.03
1.01
0.99
1.00
2.06
9.51
f1 (ppm)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129.33
128.90
128.61
127.57
124.56
122.18
119.63
119.04
117.92
112.23
110.68
79.55
55.52
30.42
30.22
30.03
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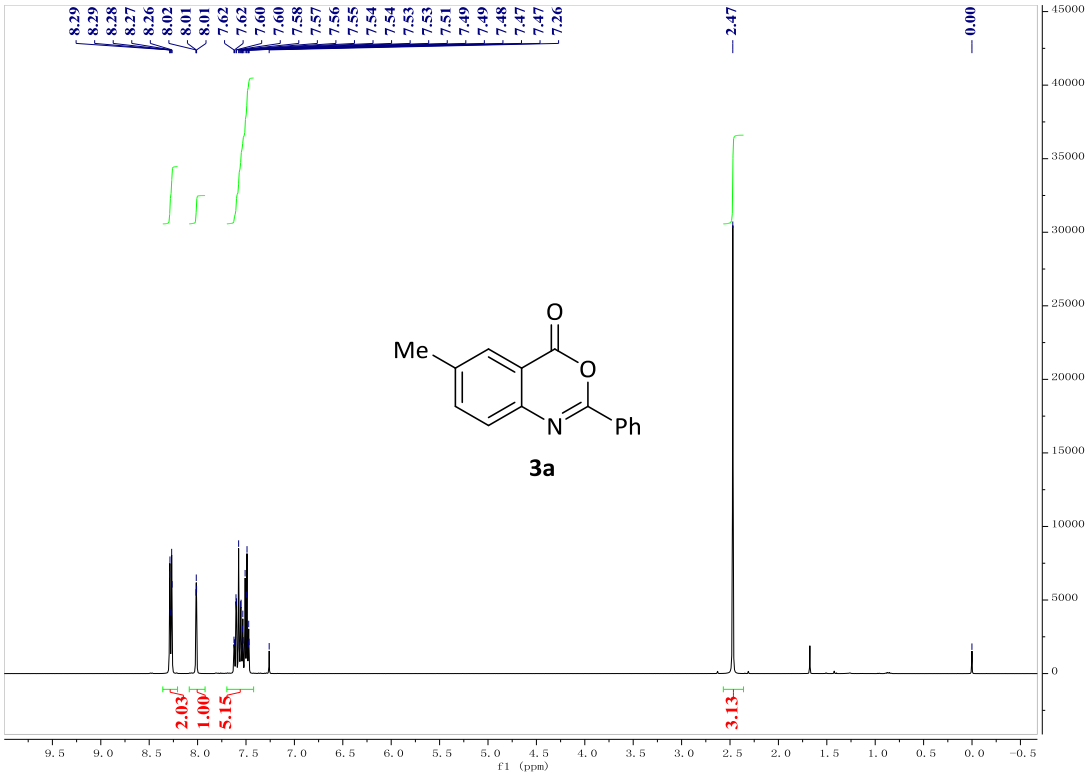
29.46
29.26
29.19
28.53
HN
O
O
N
NHBoc
2d'
f1 (ppm)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8.01
8.01
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7.62
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7.58
7.57
7.56
7.55
7.54
7.54
7.53
7.53
7.51
7.49
7.49
7.48
7.47
7.47
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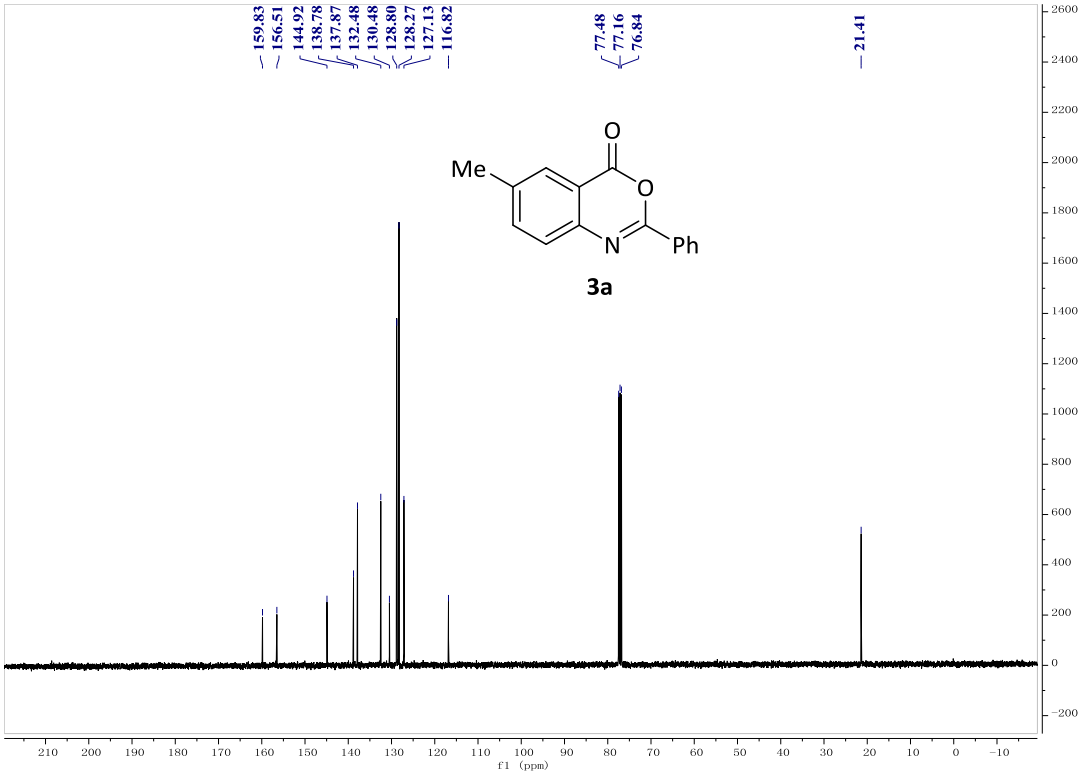
Me
O
O
N
Ph
3a
2.03
1.00
5.15
3.13
f1 (ppm)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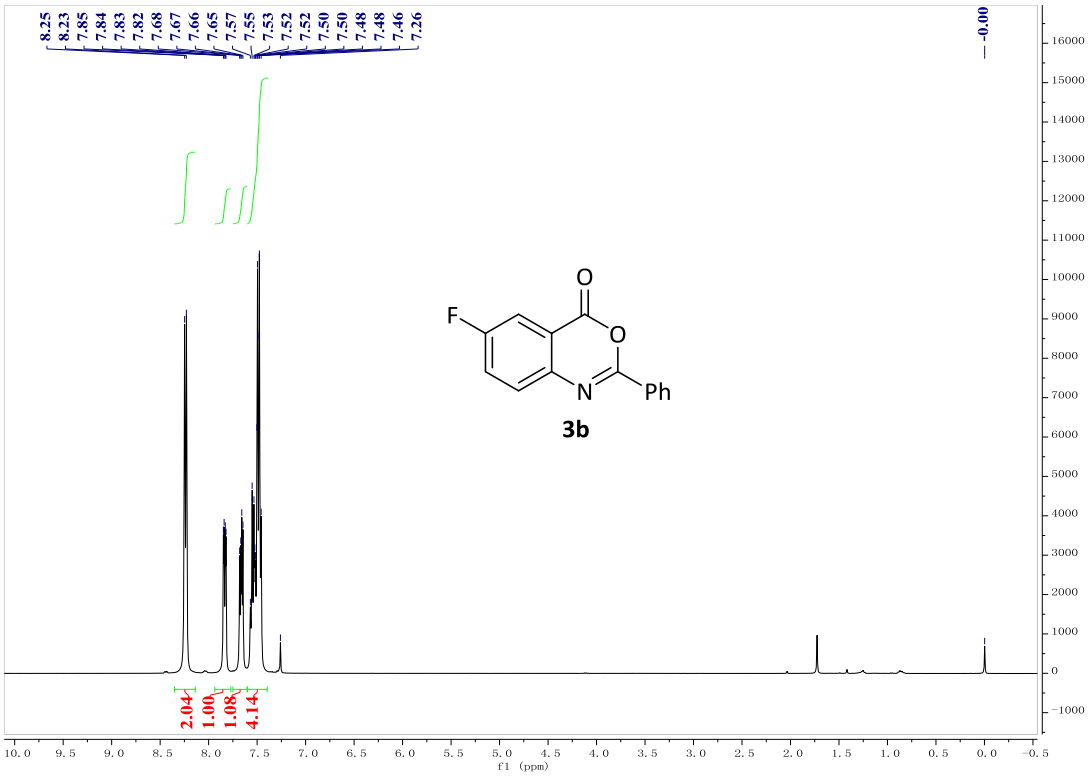
76.84
21.41
Me
O
O
N
Ph
3a
f1 (ppm)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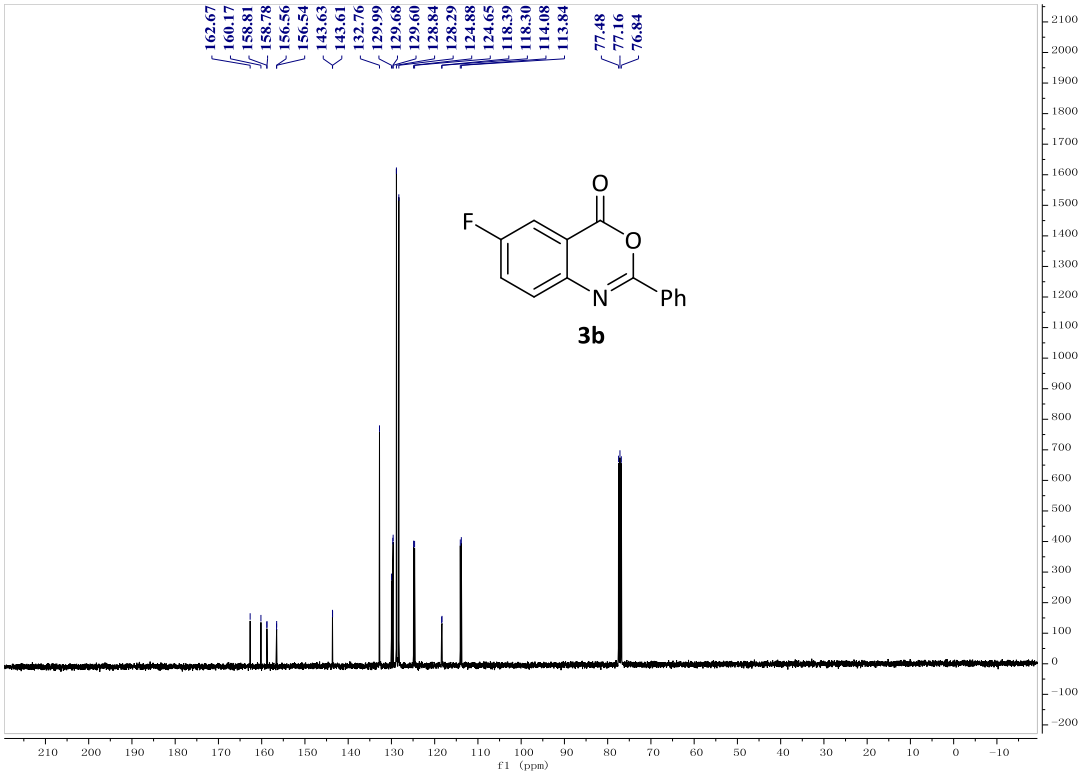
7.83
7.82
7.68
7.67
7.66
7.65
7.57
7.55
7.53
7.52
7.52
7.50
7.50
7.48
7.48
7.46
7.26
-0.00
2.04
1.00
1.08
4.14
F
O
O
N
Ph
3b
f1 (ppm)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129.99
129.68
129.60
128.84
128.29
124.88
124.65
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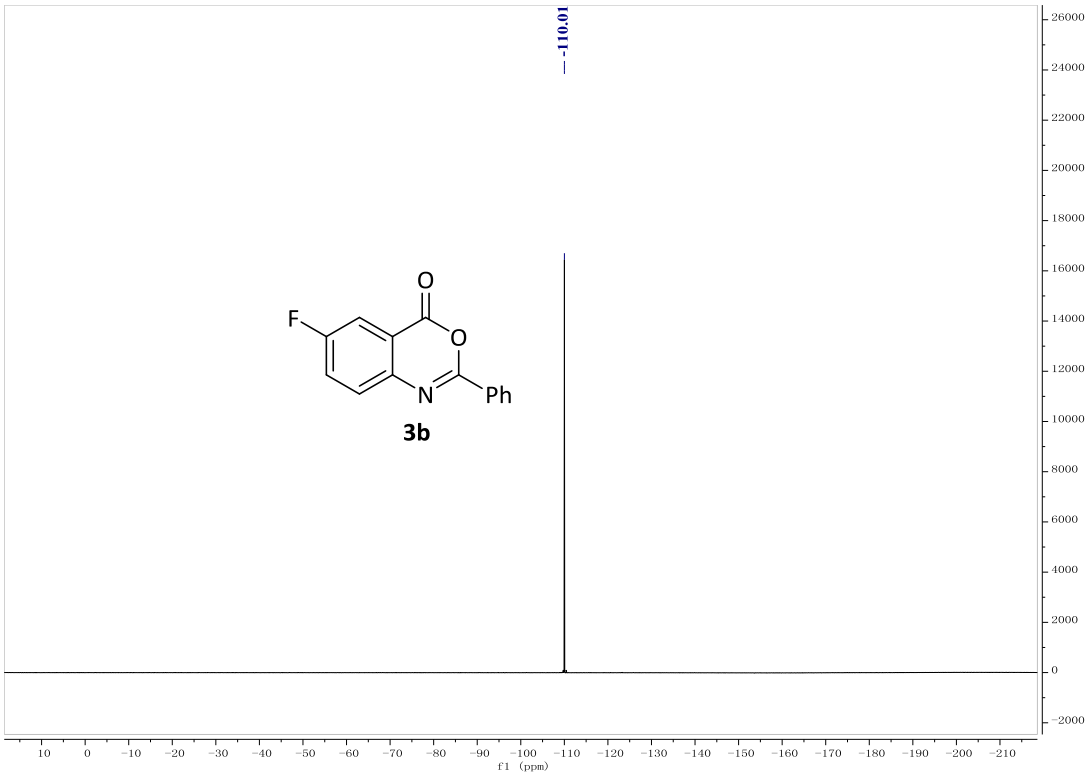
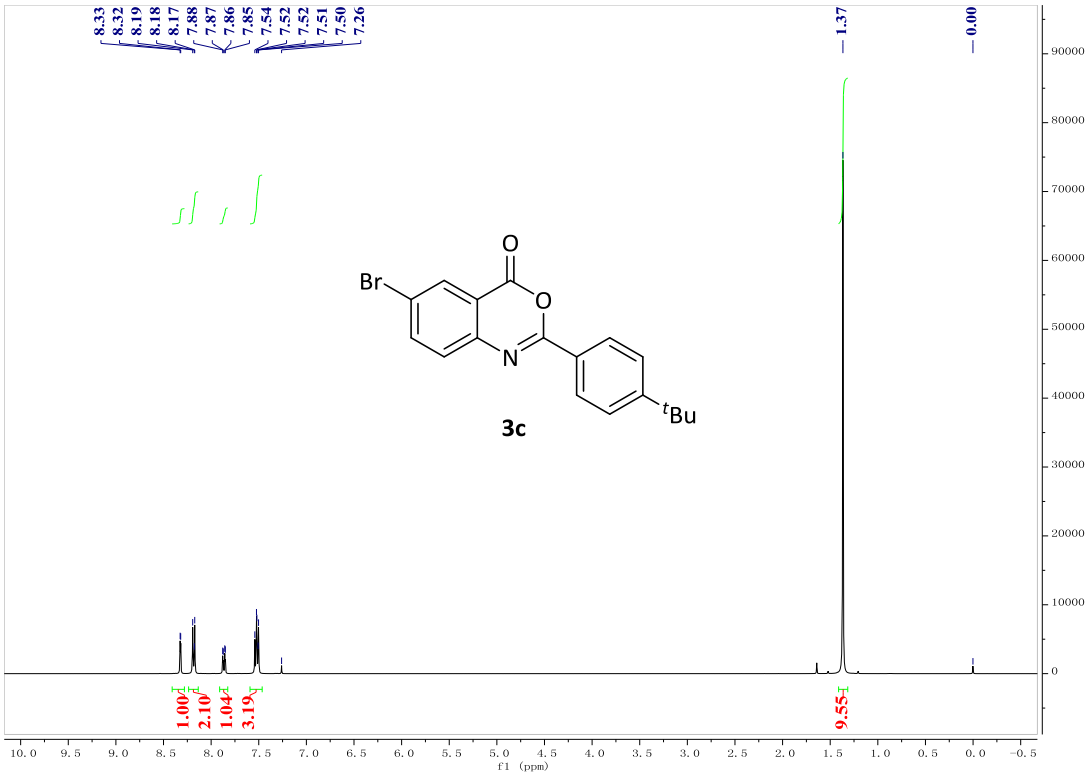
118.30
114.08
113.84
77.48
77.16
76.84
F
O
O
N
Ph
3b
f1 (ppm)


-110.01
O
F
O
N
Ph
3b
26000
24000
22000
20000
18000
16000
14000
12000
10000
8000
6000
4000
2000
0
-2000
10 0 -10 -20 -30 -40 -50 -60 -70 -80 -90 -100 -110 -120 -130 -140 -150 -160 -170 -180 -190 -200 -210
f1 (ppm)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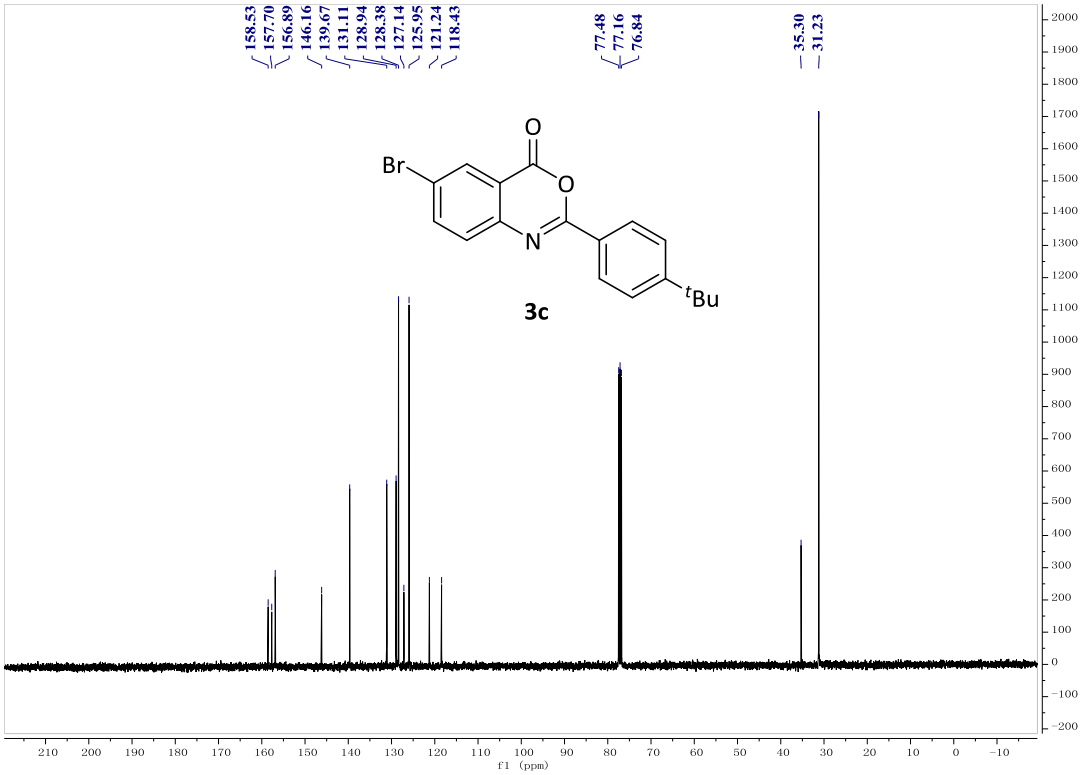
8.18
8.17
7.88
7.87
7.86
7.85
7.54
7.52
7.52
7.51
7.50
7.26
1.37
0.00
Br
O
O
N
tBu
3c
1.00
2.10
1.04
3.19
9.55
f1 (ppm)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127.14
125.95
121.24
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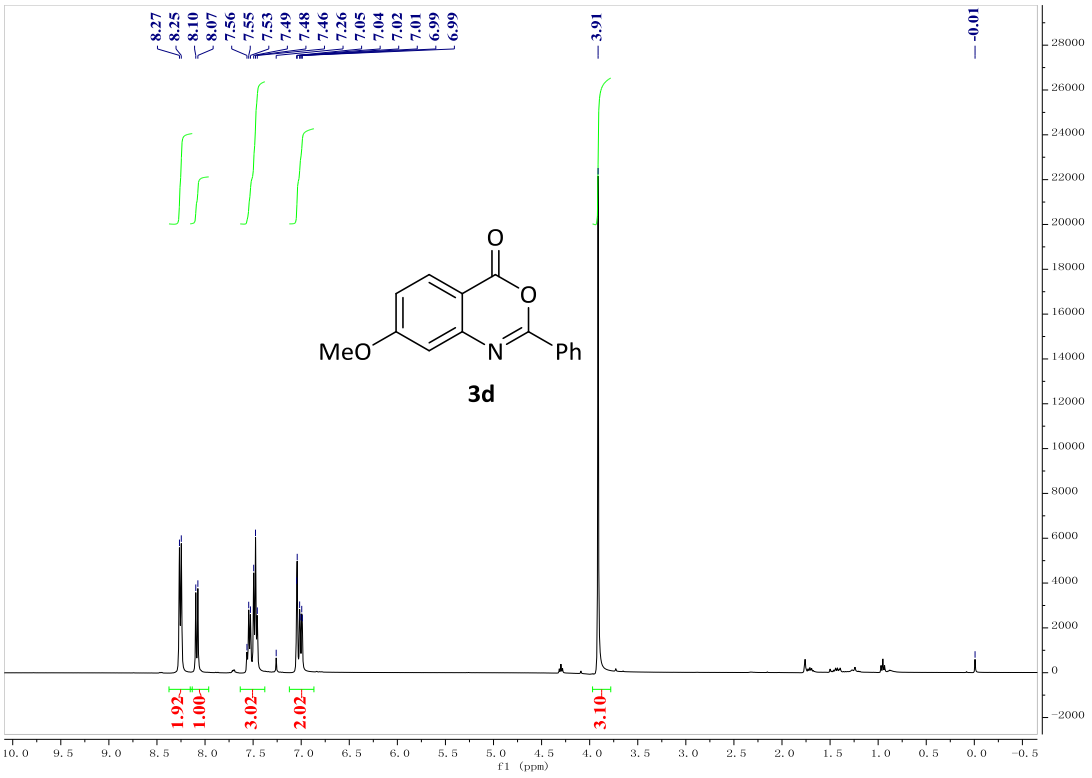
77.16
76.84
35.30
31.23
Br
O
O
N
tBu
3c
f1 (ppm)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8.07
7.56
7.55
7.53
7.49
7.48
7.46
7.26
7.05
7.04
7.02
7.01
6.99
6.99
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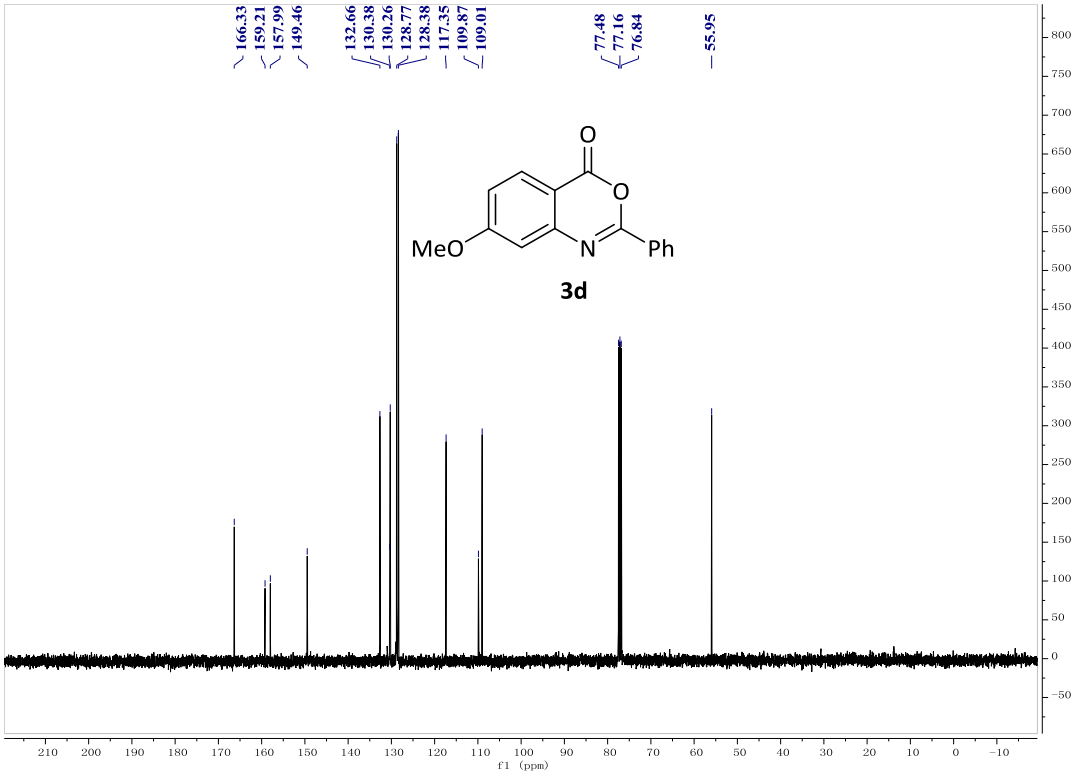
MeO
N
Ph
3d
1.92
1.00
3.02
2.02
3.10
f1 (ppm)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109.01
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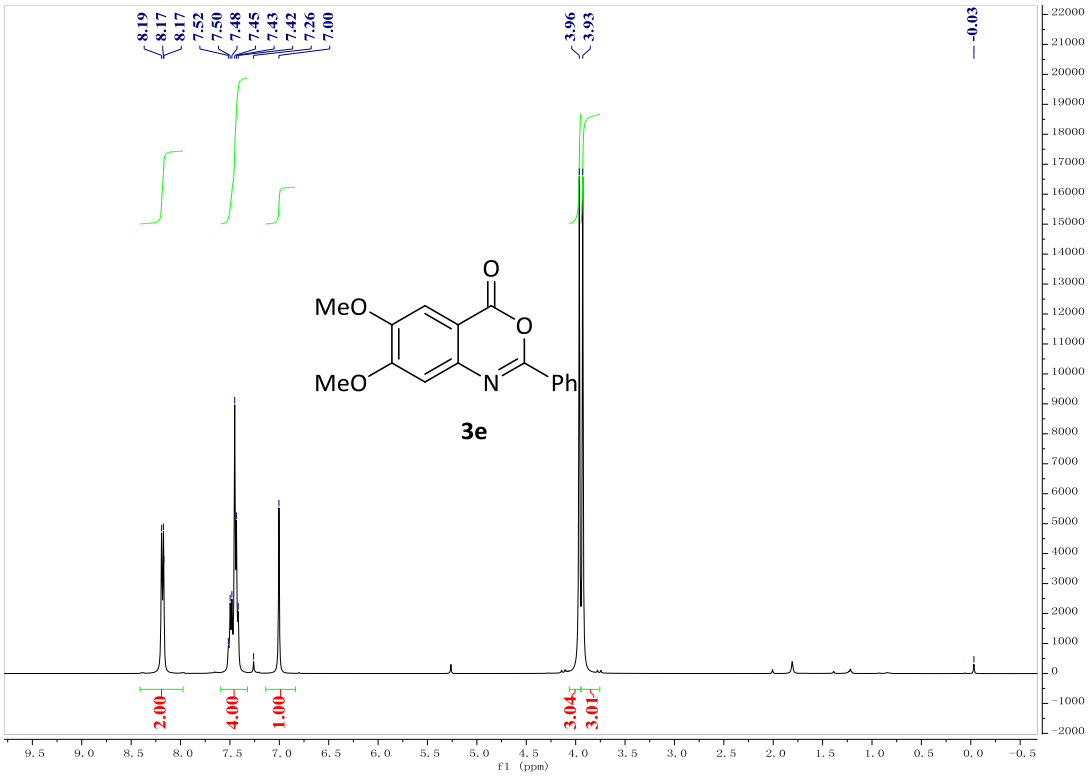
77.16
76.84
55.95
MeO
N
Ph
3d
f1 (ppm)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7.48
7.45
7.43
7.42
7.26
7.00
3.96
3.93
-0.03
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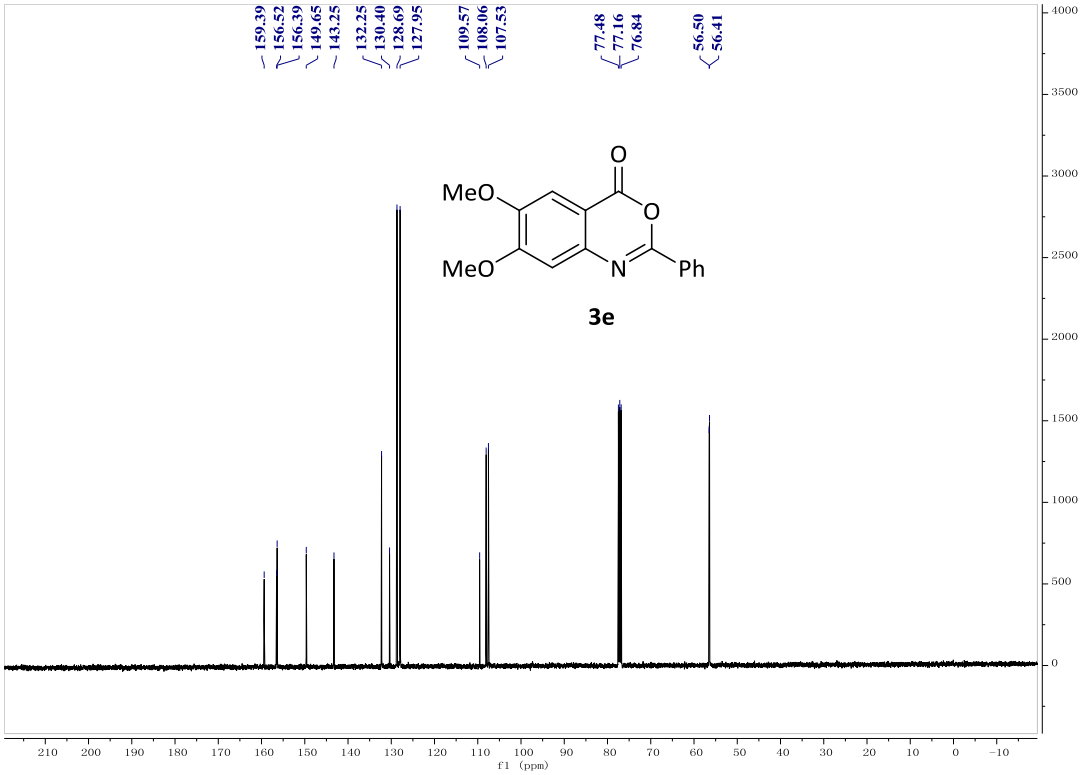
MeO
O
O
N
Ph
3e
2.00
4.00
1.00
3.04
3.01
f1 (ppm)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132.25
130.40
128.69
127.95
109.57
108.06
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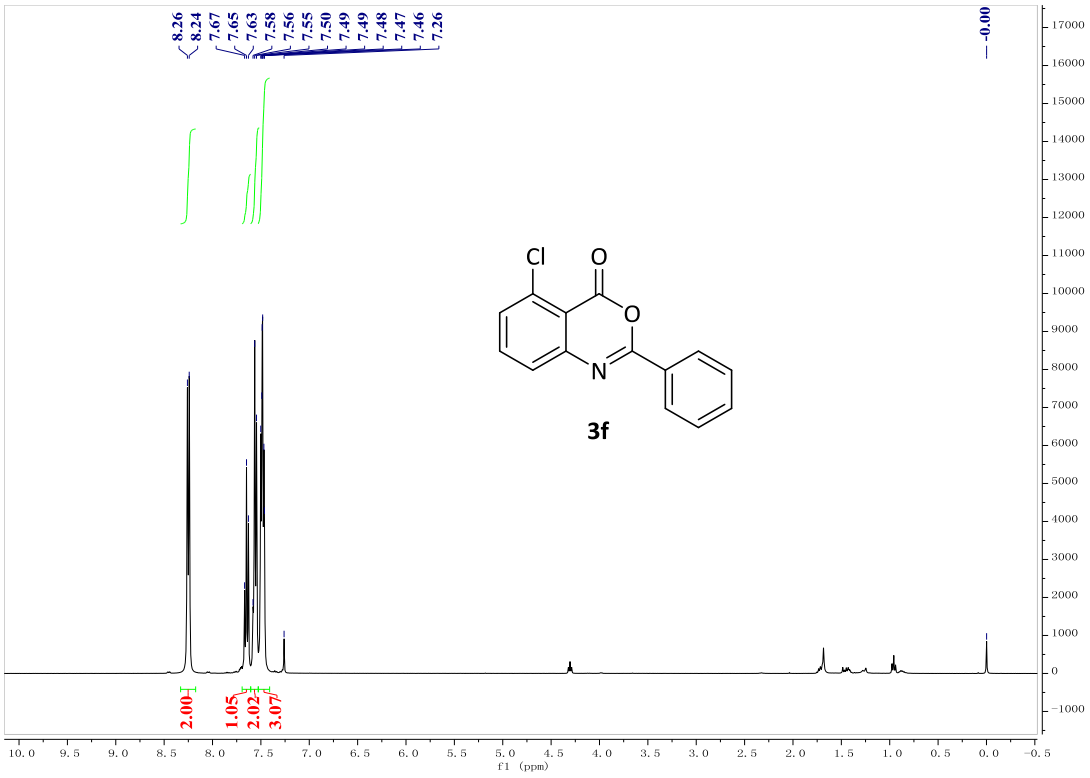
77.48
77.16
76.84
56.50
56.41
MeO
MeO
O
O
N
Ph
3e
f1 (ppm)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8.24
7.67
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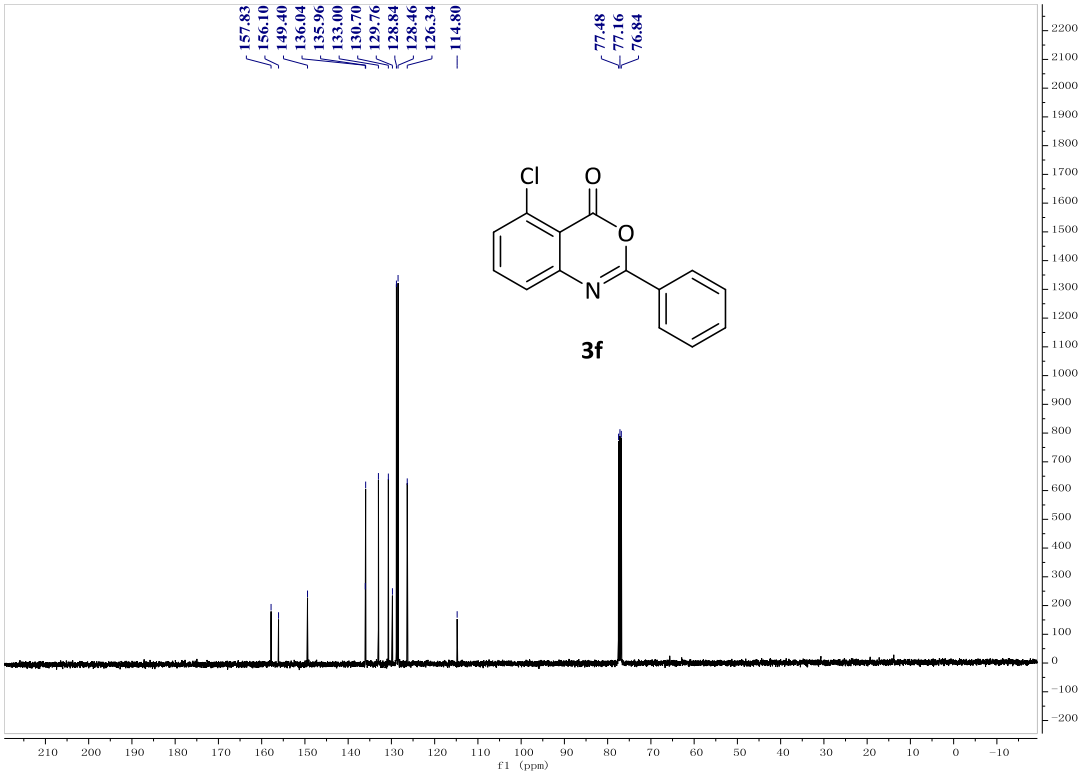
7.58
7.56
7.55
7.50
7.49
7.49
7.48
7.47
7.46
7.26
-0.00
Cl
O
O
N
3f
2.00
1.05
2.02
3.07
f1 (ppm)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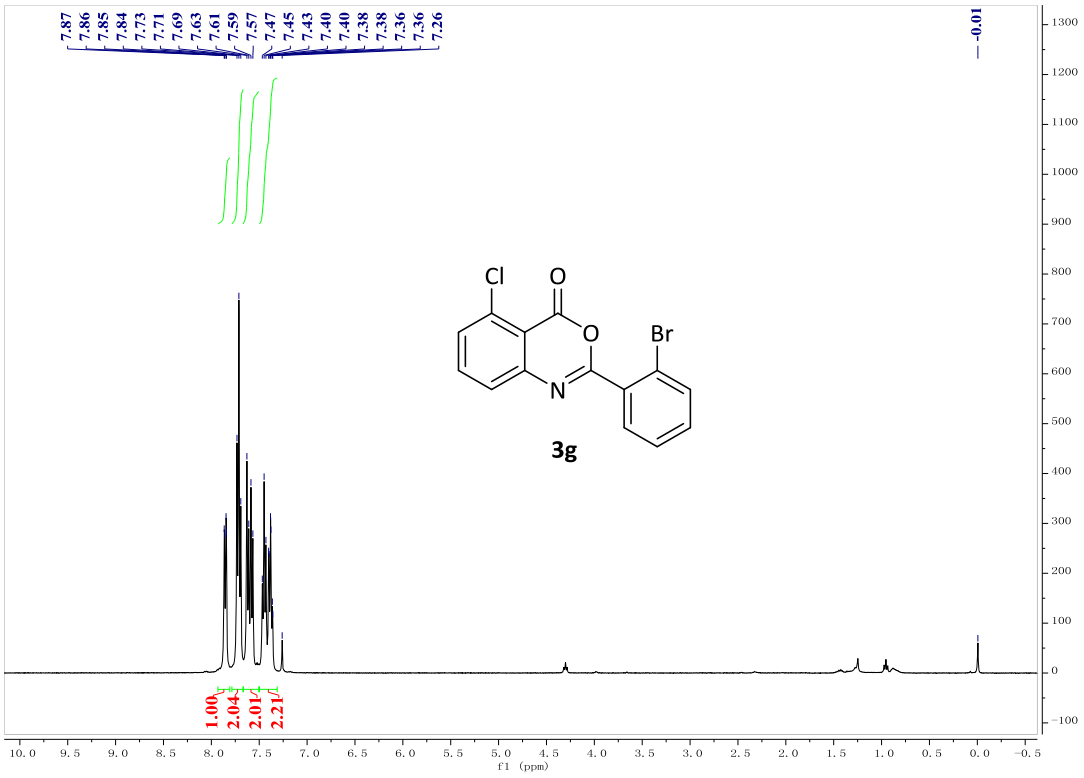
126.34
114.80
77.48
77.16
76.84
Cl
O
O
N
3f
f1 (ppm)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7.63
7.61
7.59
7.57
7.47
7.45
7.43
7.40
7.40
7.38
7.36
7.36
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O
Br
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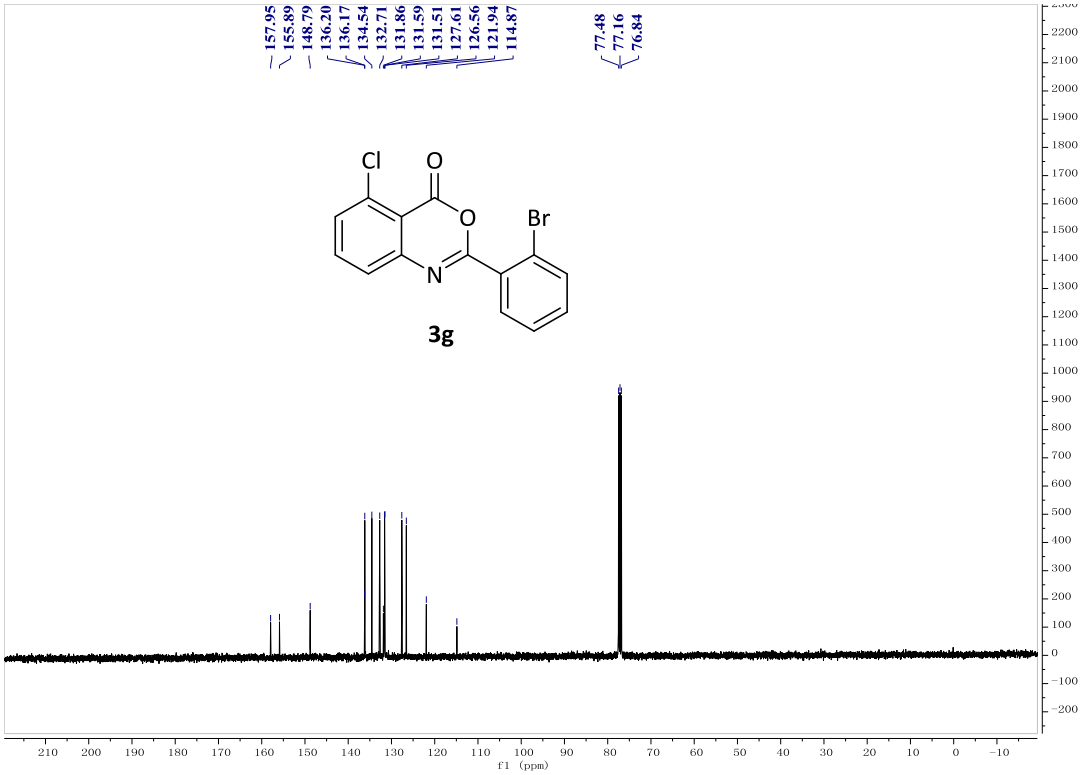
2.04
2.01
2.21
f1 (ppm)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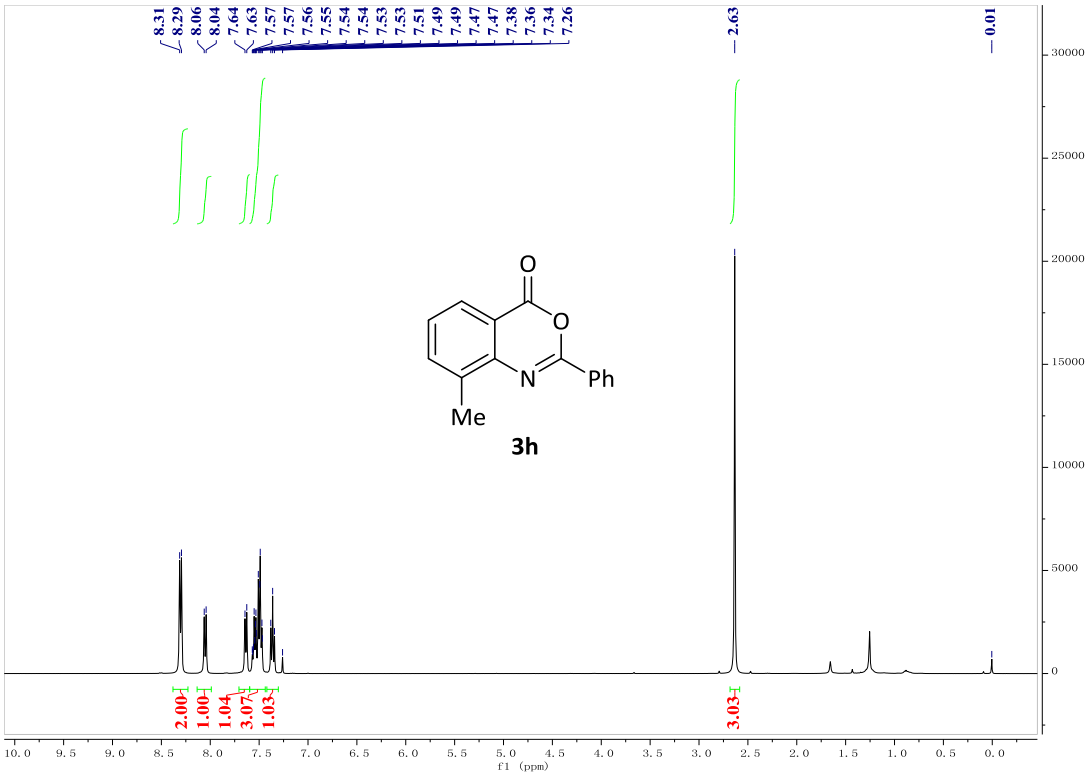
114.87
77.48
77.16
76.84
Cl
O
O
Br
N
3g
f1 (ppm)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7.53
7.53
7.51
7.49
7.49
7.47
7.47
7.38
7.36
7.34
7.26
2.63
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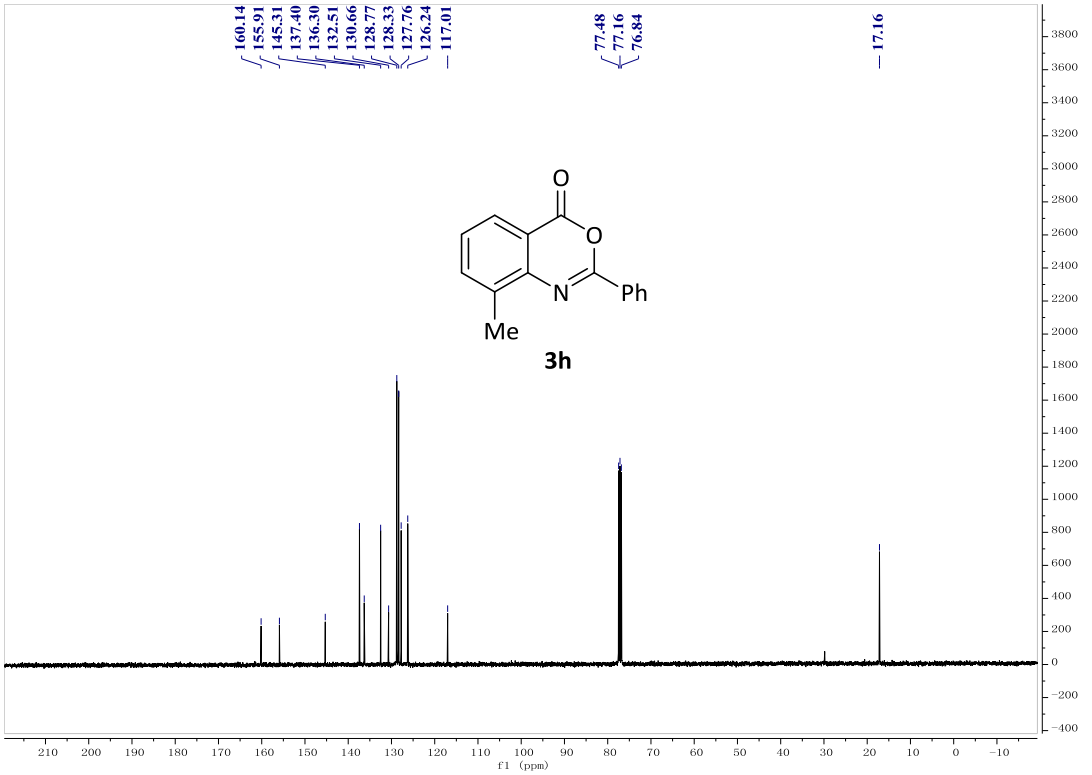
O
N
Ph
Me
3h
2.00
1.00
1.04
3.07
1.03
3.03
f1 (ppm)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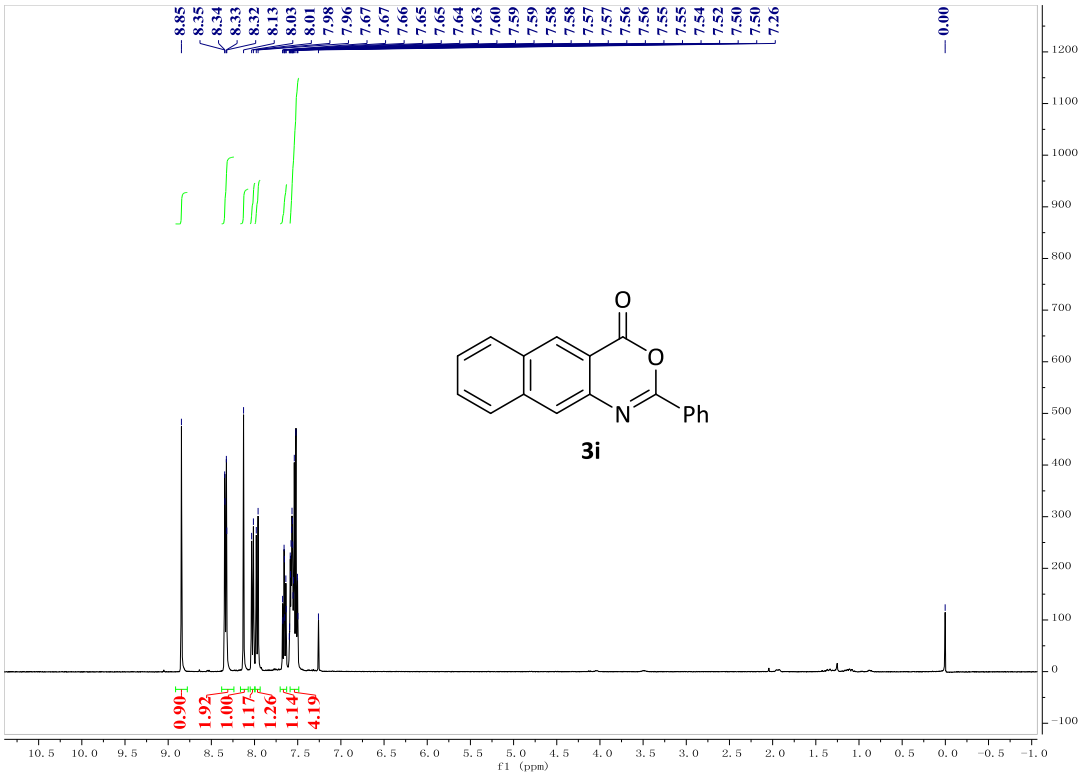
77.48
77.16
76.84
17.16
O
O
N
Ph
Me
3h
f1 (ppm)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7.50
7.26
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O
O
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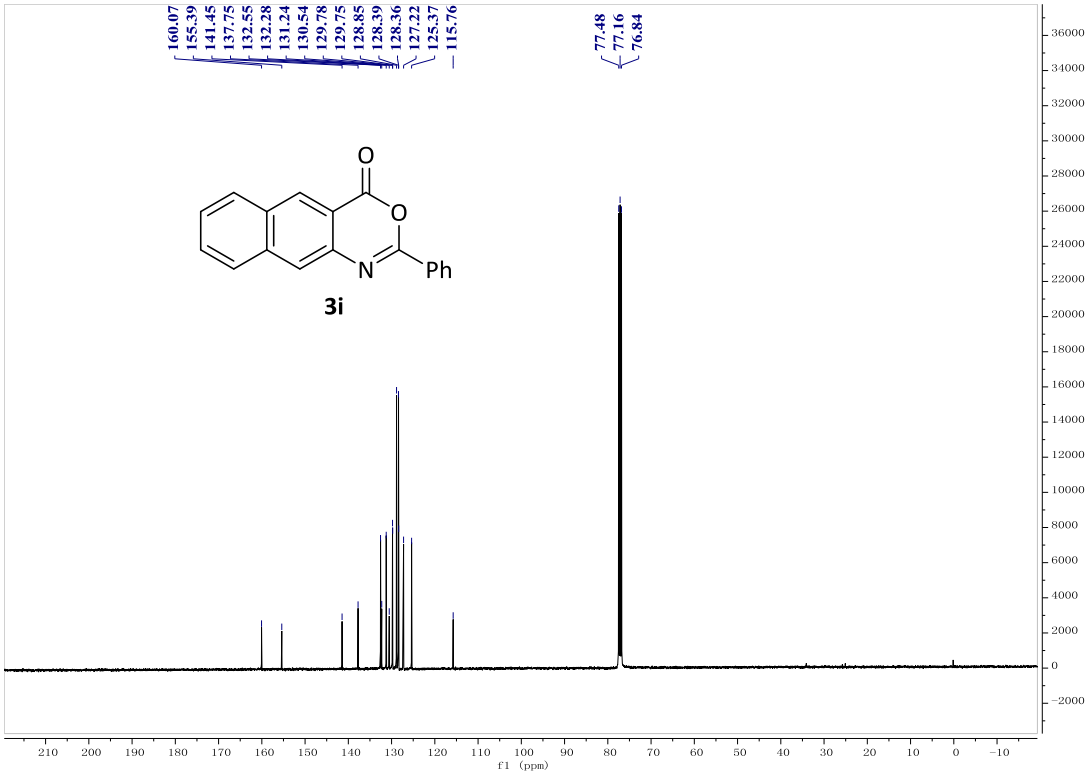
Ph
3i
f1 (ppm)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128.85
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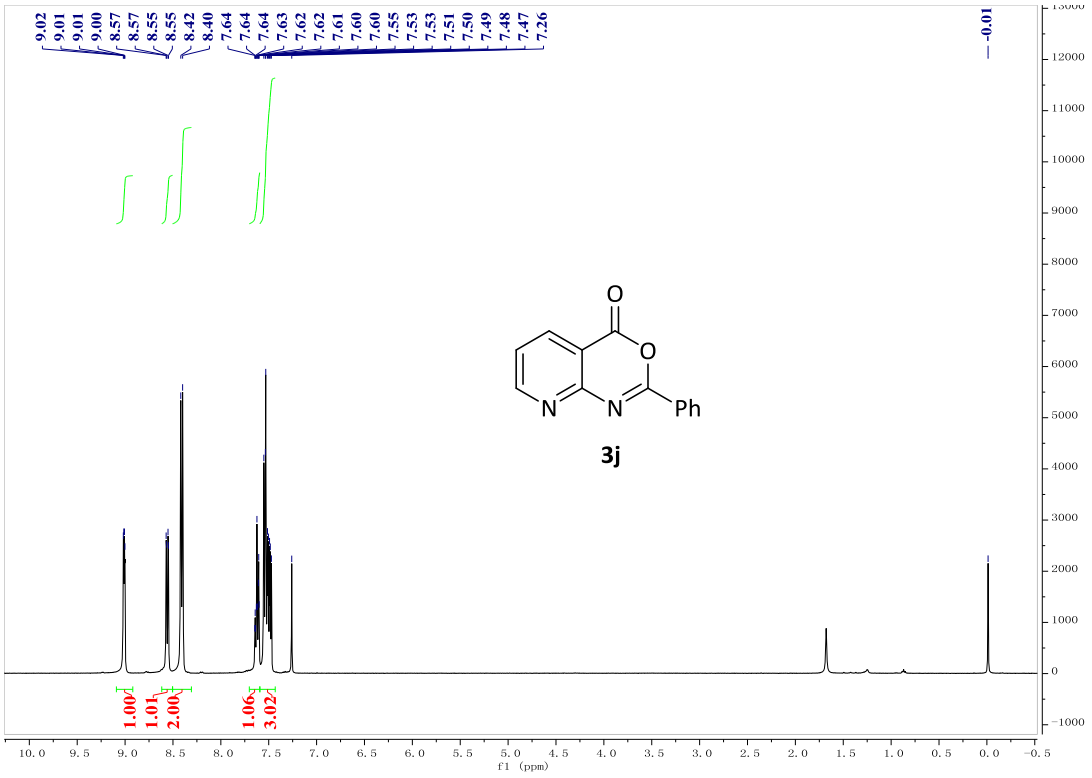
125.37
115.76
77.48
77.16
76.84
O
O
N
Ph
3i
f1 (ppm)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7.49
7.48
7.47
7.26
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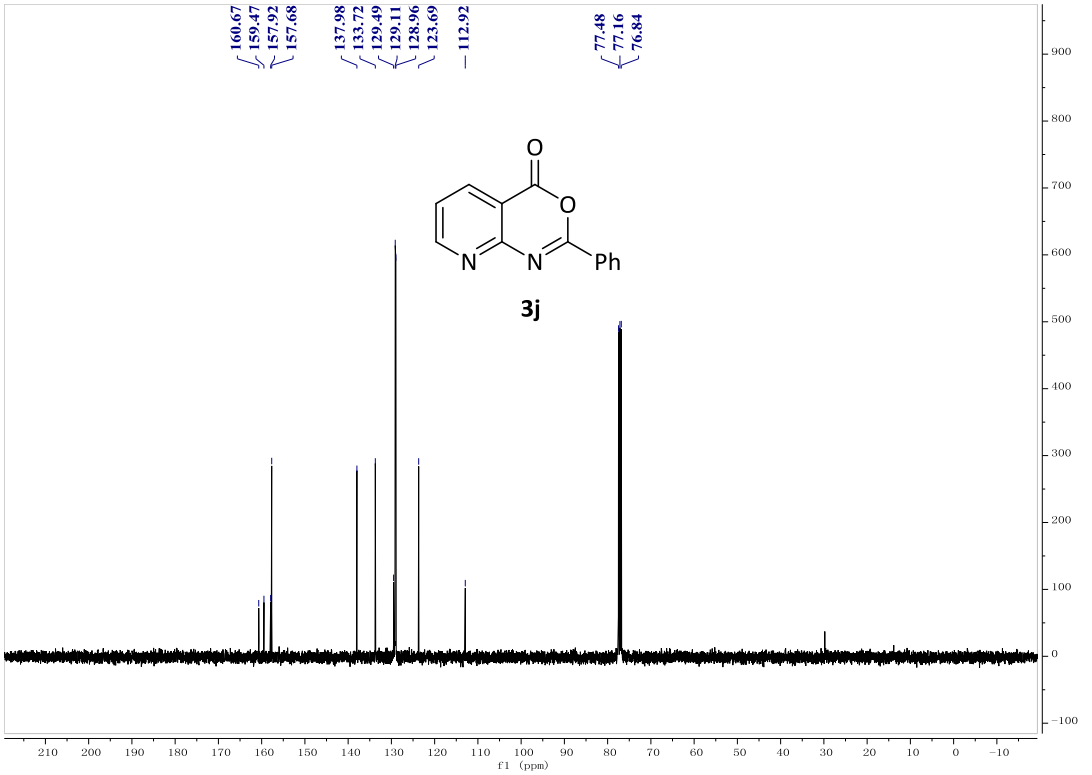
1.01
2.00
1.06
3.02
O
O
N
N
Ph
3j
f1 (ppm)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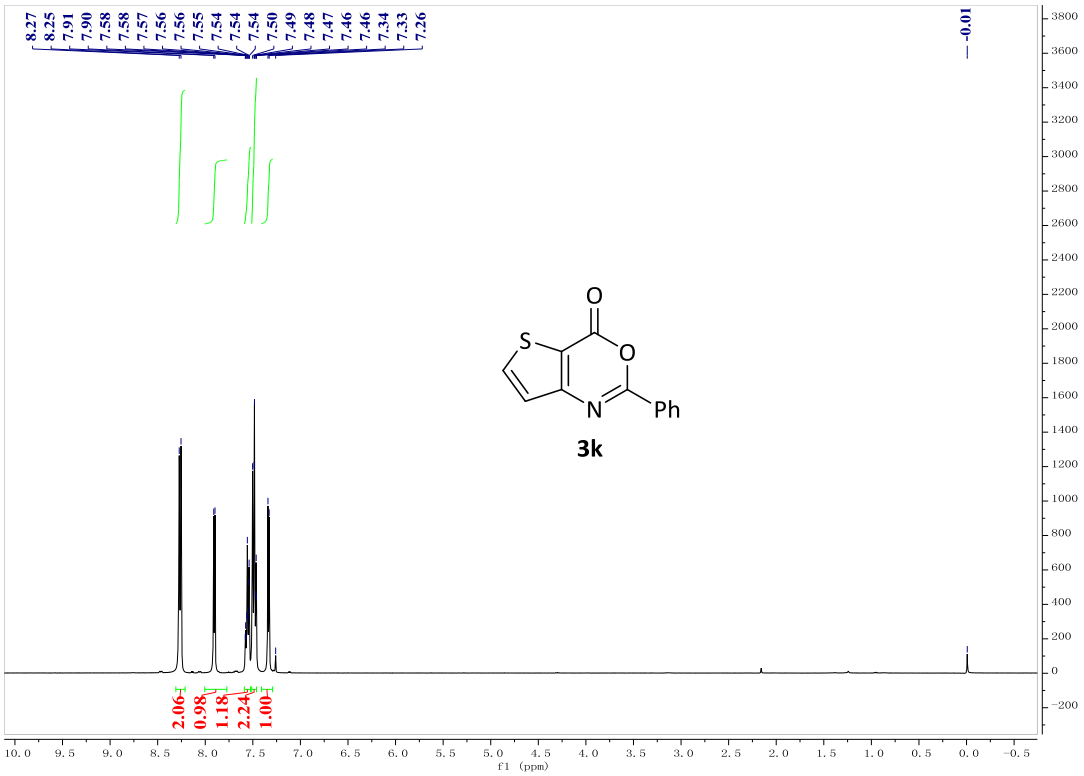
O
N
N
Ph
3j
f1 (ppm)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7.50
7.49
7.48
7.47
7.46
7.46
7.34
7.33
7.26
-0.01
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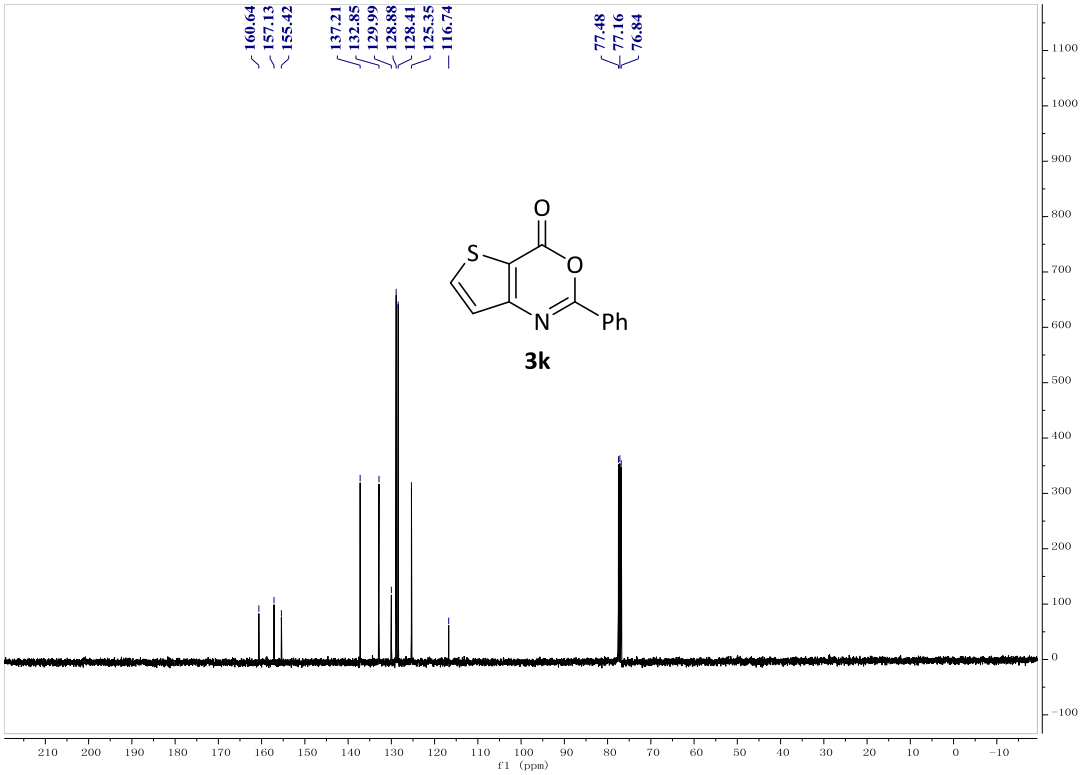
0.98
1.18
2.24
1.00
f1 (ppm)
O
S
O
N
Ph
3k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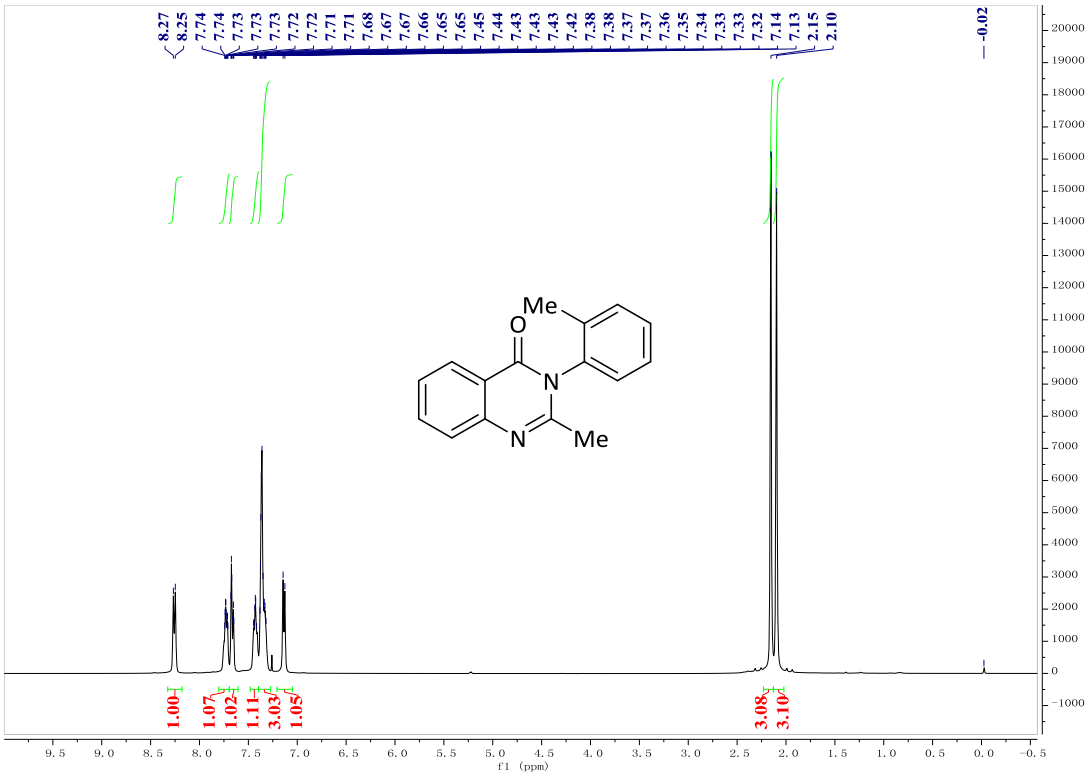
77.16
76.84
f1 (ppm)
O
S
O
N
Ph
3k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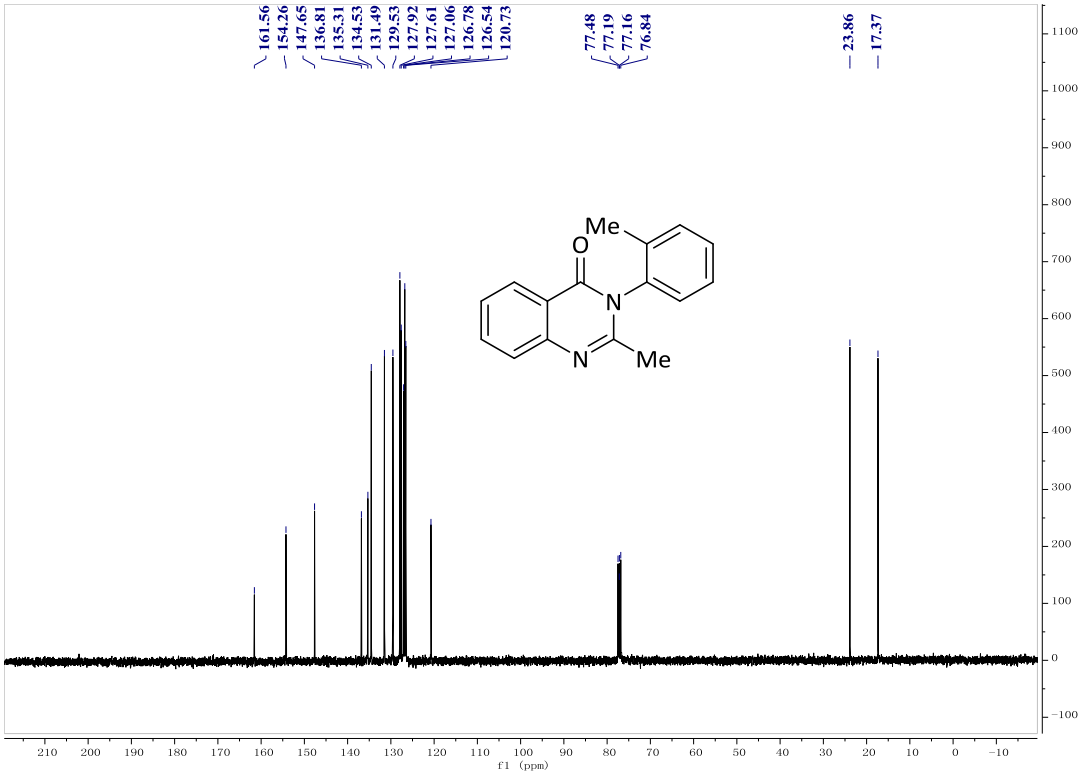
2.10
-0.02
Me
O
N
N
Me
1.00
1.07
1.02
1.11
3.03
1.05
3.08
3.10
f1 (ppm)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154.26
147.65
136.81
135.31
134.53
131.49
129.53
127.92
127.61
127.06
126.78
126.54
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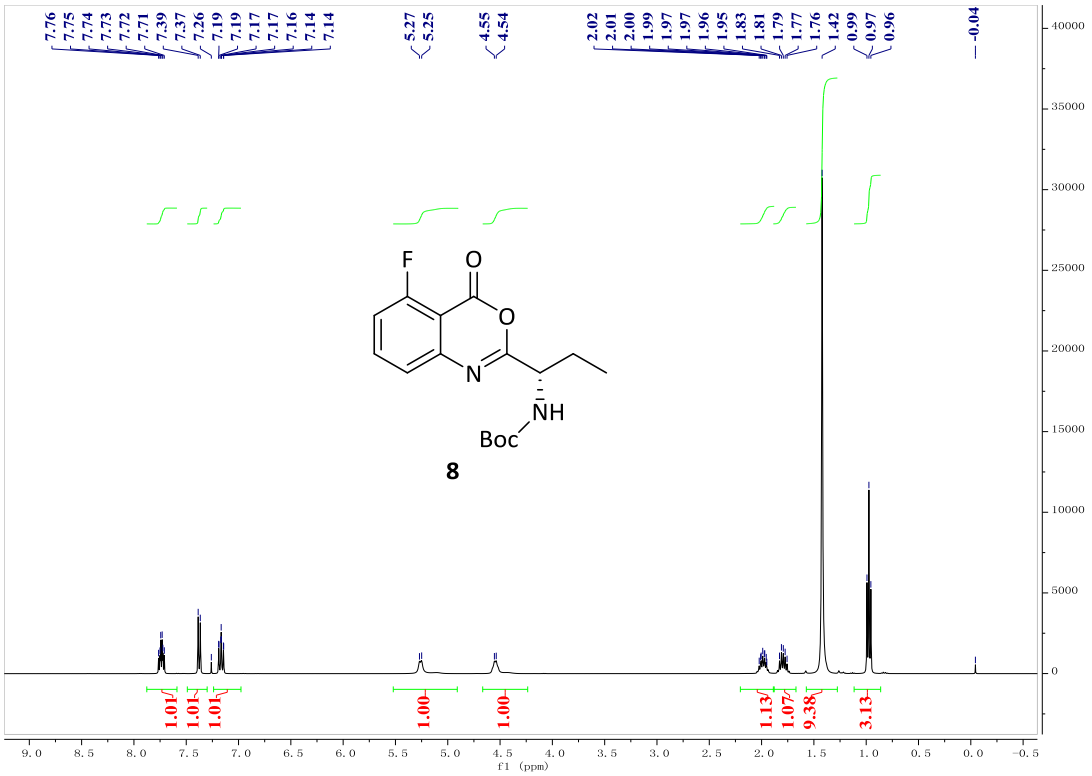
77.48
77.19
77.16
76.84
23.86
17.37
Me
O
N
N
Me
f1 (ppm)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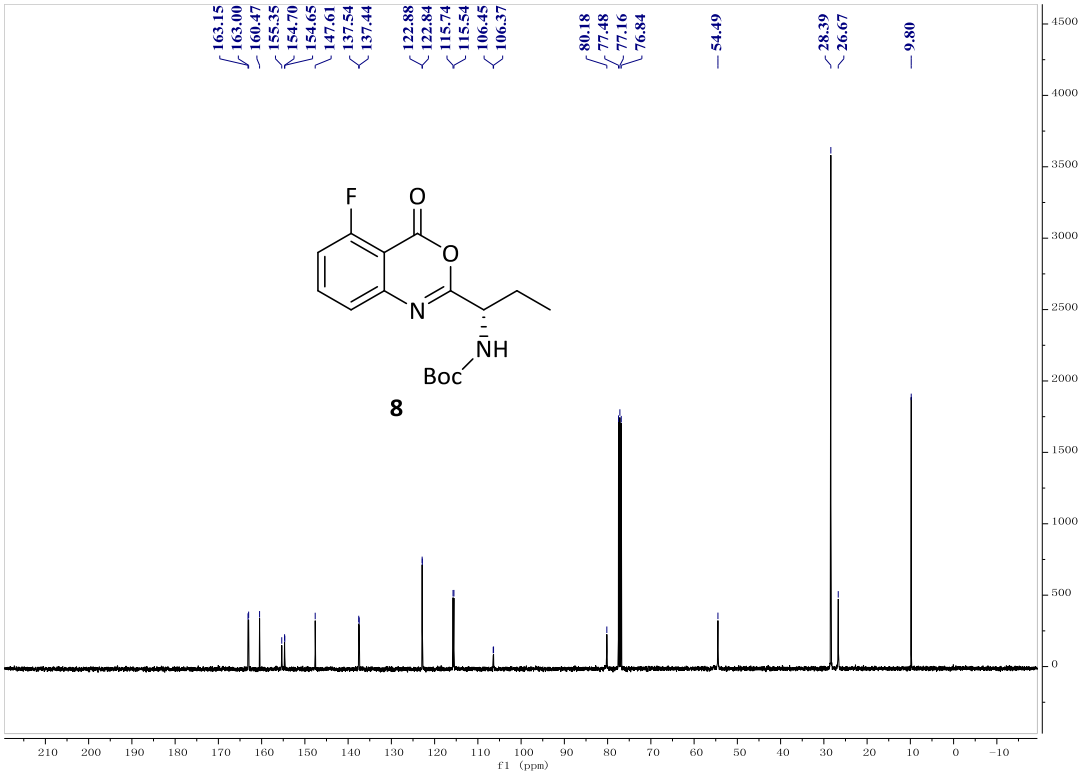
7.75
7.74
7.73
7.72
7.71
7.39
7.37
7.26
7.19
7.19
7.17
7.17
7.16
7.14
7.14
5.27
5.25
4.55
4.54
2.02
2.01
2.00
1.99
1.97
1.97
1.96
1.95
1.83
1.81
1.79
1.77
1.76
1.42
0.99
0.97
0.96
-0.04
F
O
O
N
NH
Boc
8
1.01
1.01
1.01
1.00
1.00
1.13
1.07
9.38
3.13
f1 (ppm)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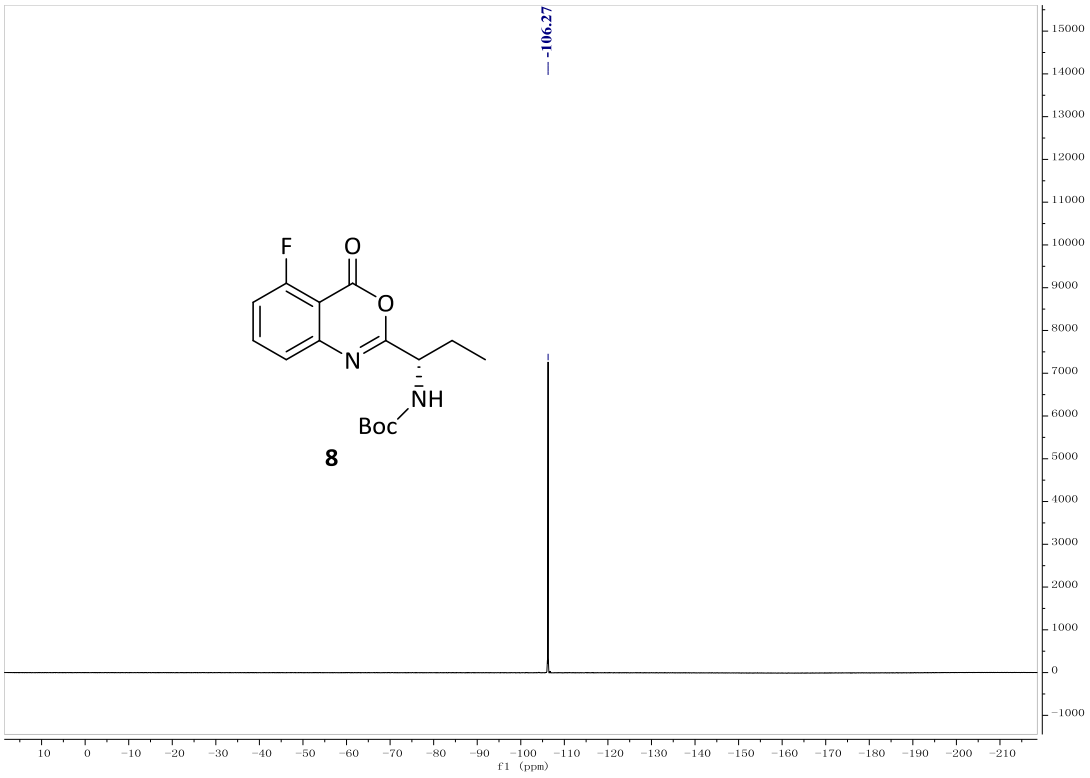
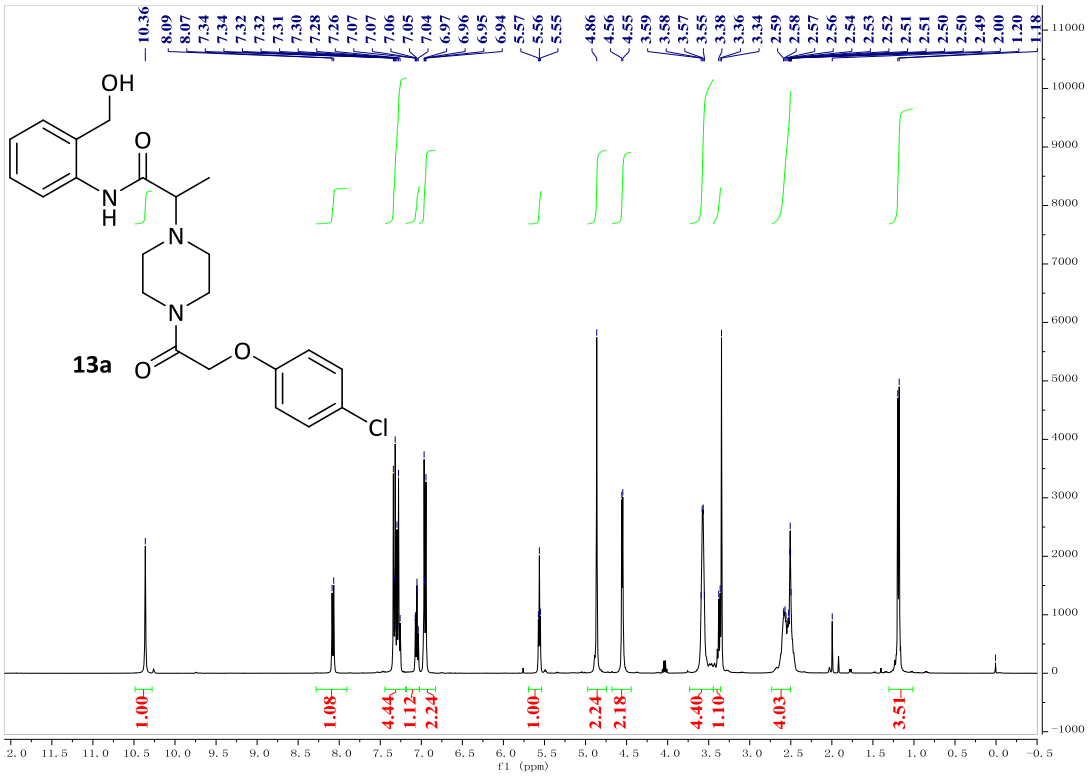
163.00
160.47
155.35
154.70
154.65
147.61
137.54
137.44
122.88
122.84
115.74
115.54
106.45
106.37
80.18
77.48
77.16
76.84
54.49
28.39
26.67
9.80
F
O
O
N
NH
Boc
8
f1 (ppm)


-106.27
F
O
O
N
NH
Boc
8
15000
14000
13000
12000
11000
10000
9000
8000
7000
6000
5000
4000
3000
2000
1000
0
−1000
10 0 −10 −20 −30 −40 −50 −60 −70 −80 −90 −100 −110 −120 −130 −140 −150 −160 −170 −180 −190 −200 −210
f1 (ppm)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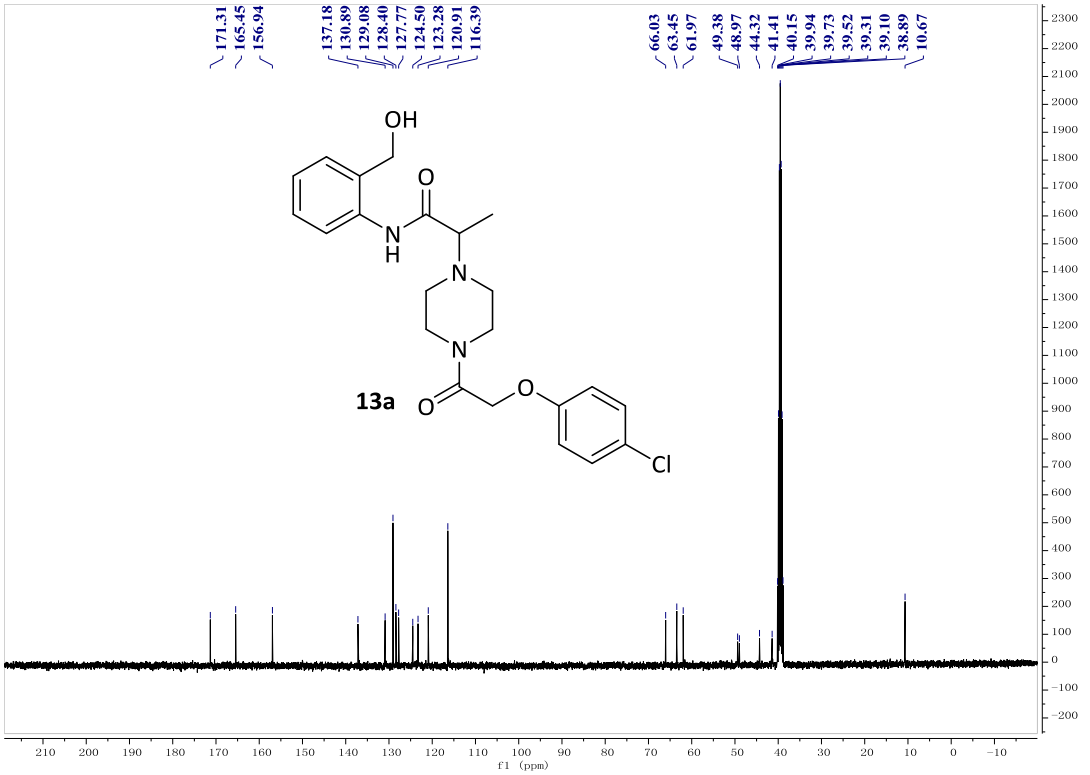
8.09
8.07
7.34
7.34
7.32
7.32
7.31
7.30
7.28
7.26
7.07
7.07
7.06
7.05
7.04
6.97
6.96
6.95
6.94
5.57
5.56
5.55
4.86
4.56
4.55
3.59
3.58
3.57
3.55
3.38
3.36
3.34
2.59
2.58
2.57
2.56
2.54
2.53
2.52
2.51
2.51
2.50
2.50
2.49
2.00
1.20
1.18
OH
O
N
H
N
N
O
O
Cl
13a
1.00
1.08
4.44
1.12
2.24
1.00
2.24
2.18
4.40
1.10
4.03
3.51
f1 (ppm)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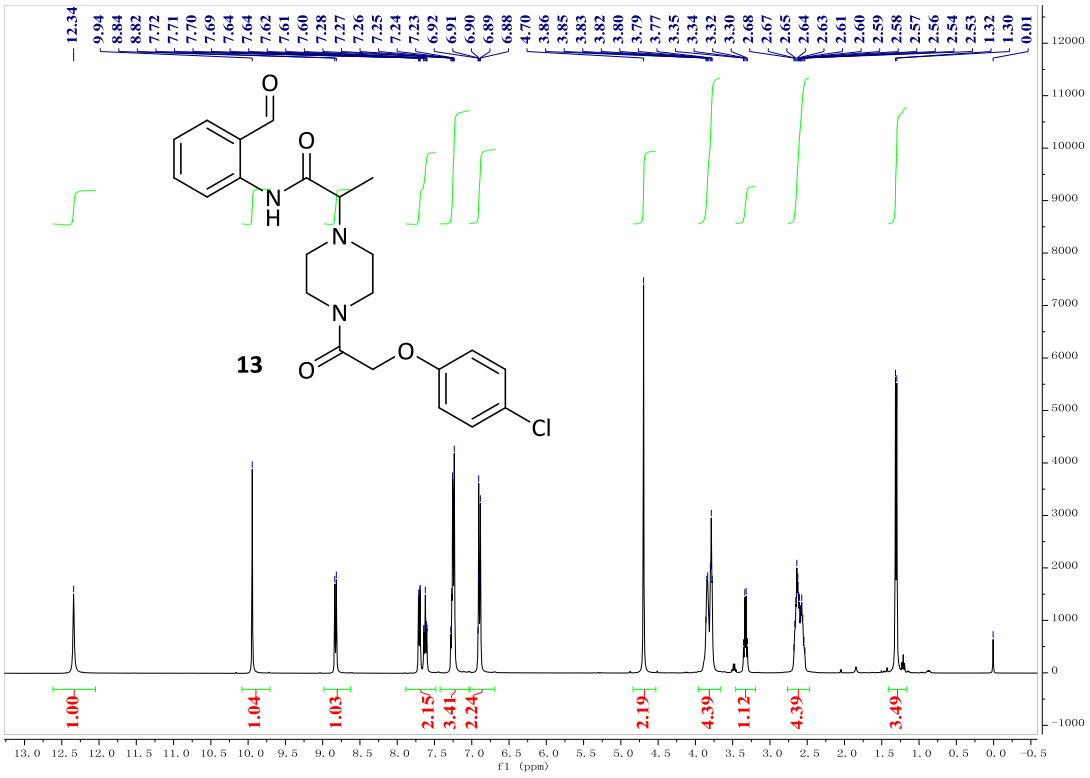
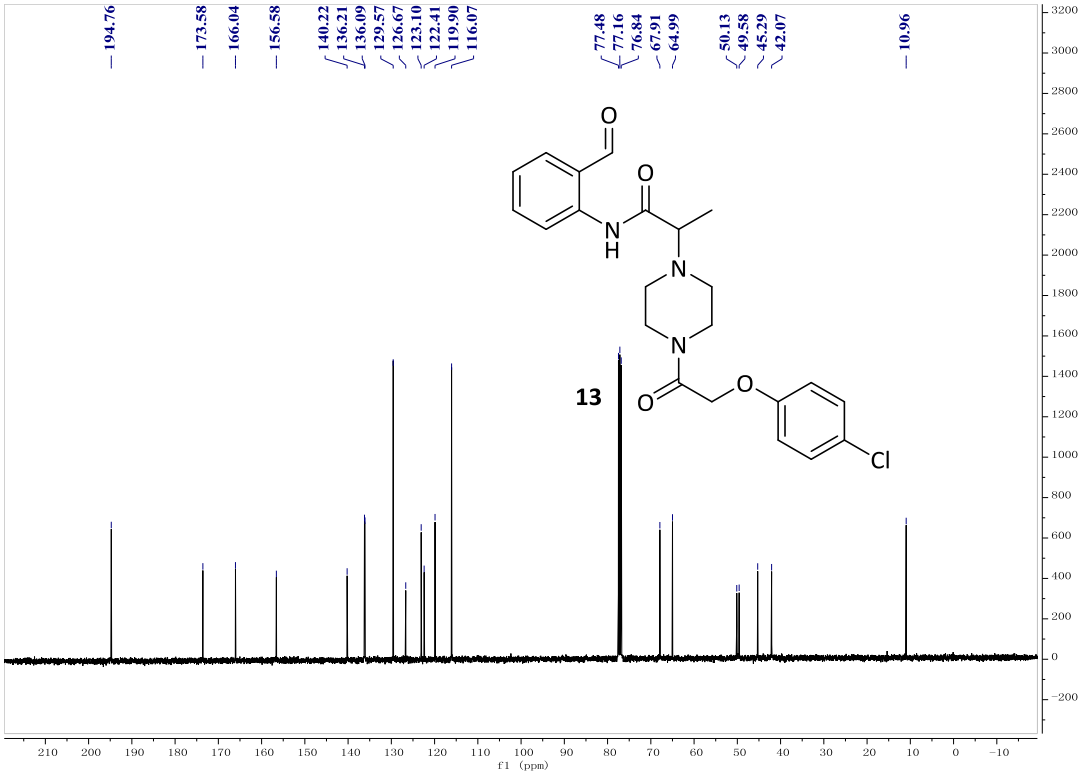
165.45
156.94
137.18
130.89
129.08
128.40
127.77
124.50
123.28
120.91
116.39
66.03
63.45
61.97
49.38
48.97
44.32
41.41
40.15
39.94
39.73
39.52
39.31
39.10
38.89
10.67
OH
O
N
H
N
N
O
O
Cl
13a
f1 (ppm)


12.34
9.94
8.84
8.82
7.72
7.71
7.70
7.69
7.64
7.64
7.62
7.61
7.60
7.28
7.27
7.26
7.25
7.24
7.23
6.92
6.91
6.90
6.89
6.88
4.70
3.86
3.85
3.83
3.82
3.80
3.79
3.77
3.35
3.34
3.32
3.30
2.68
2.67
2.65
2.64
2.63
2.61
2.60
2.59
2.58
2.57
2.56
2.54
2.53
1.32
1.30
0.01
13
1.00
1.04
1.03
2.15
3.41
2.24
2.19
4.39
1.12
4.39
3.49
f1 (ppm)


194.76
173.58
166.04
156.58
140.22
136.21
136.09
129.57
126.67
123.10
122.41
119.90
116.07
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67.91
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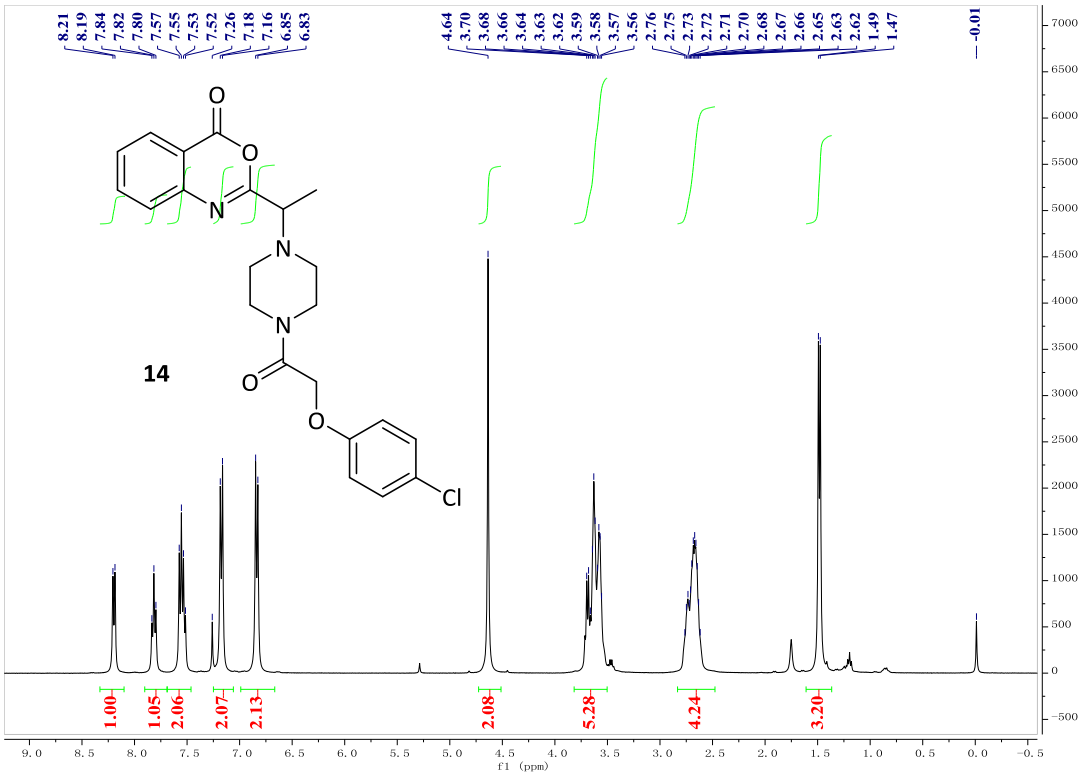
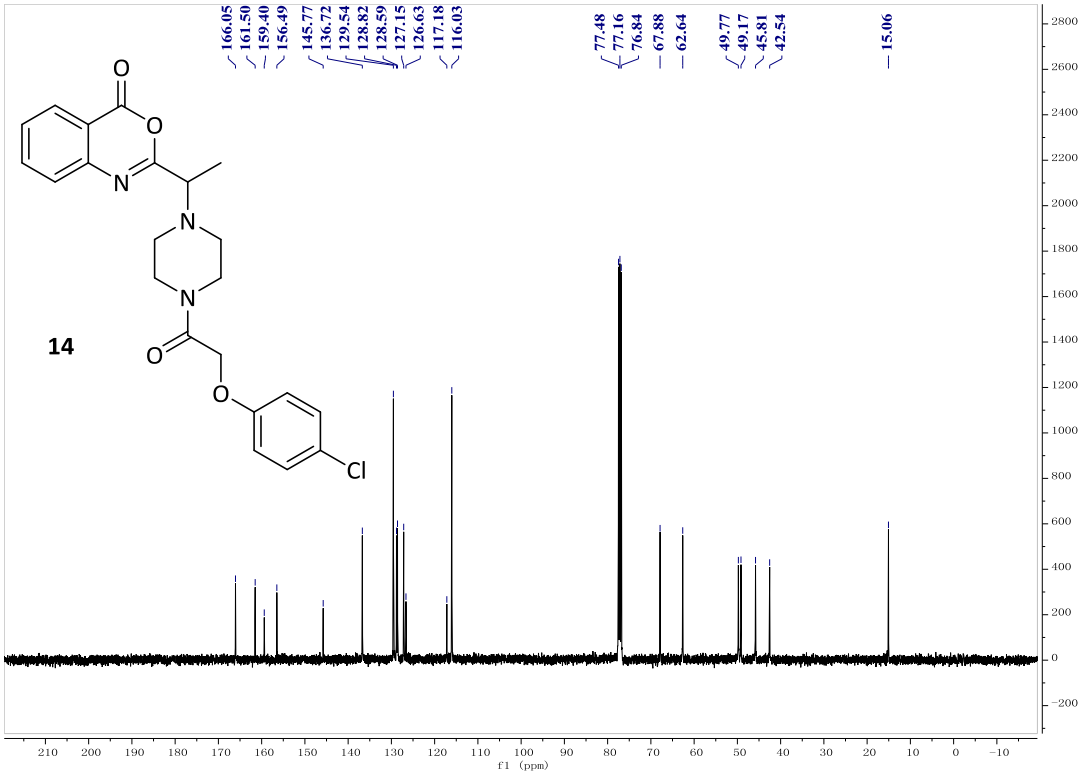
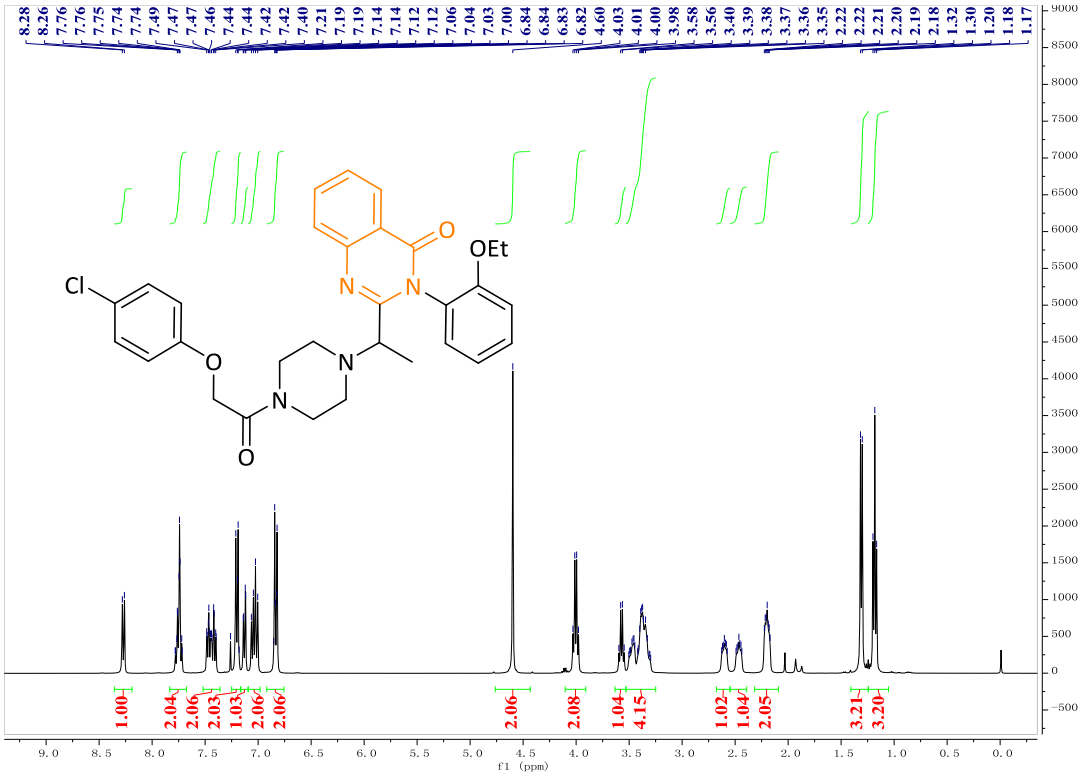
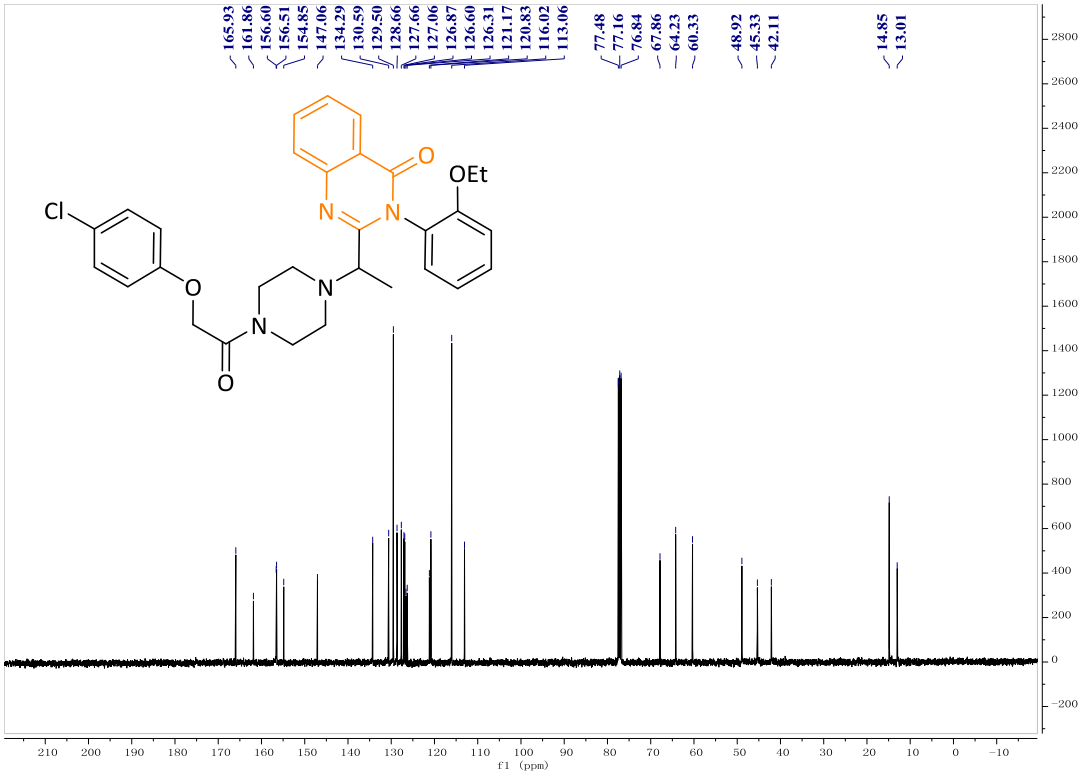
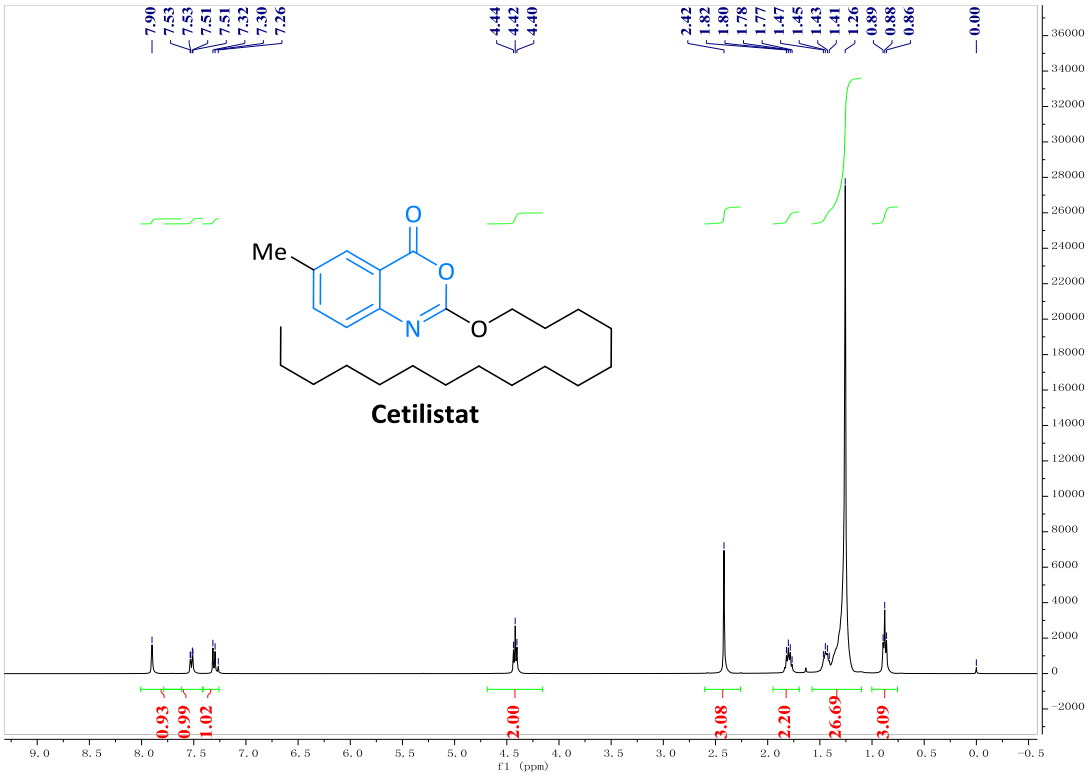
49.58
45.29
42.07
10.96
13
f1 (ppm)

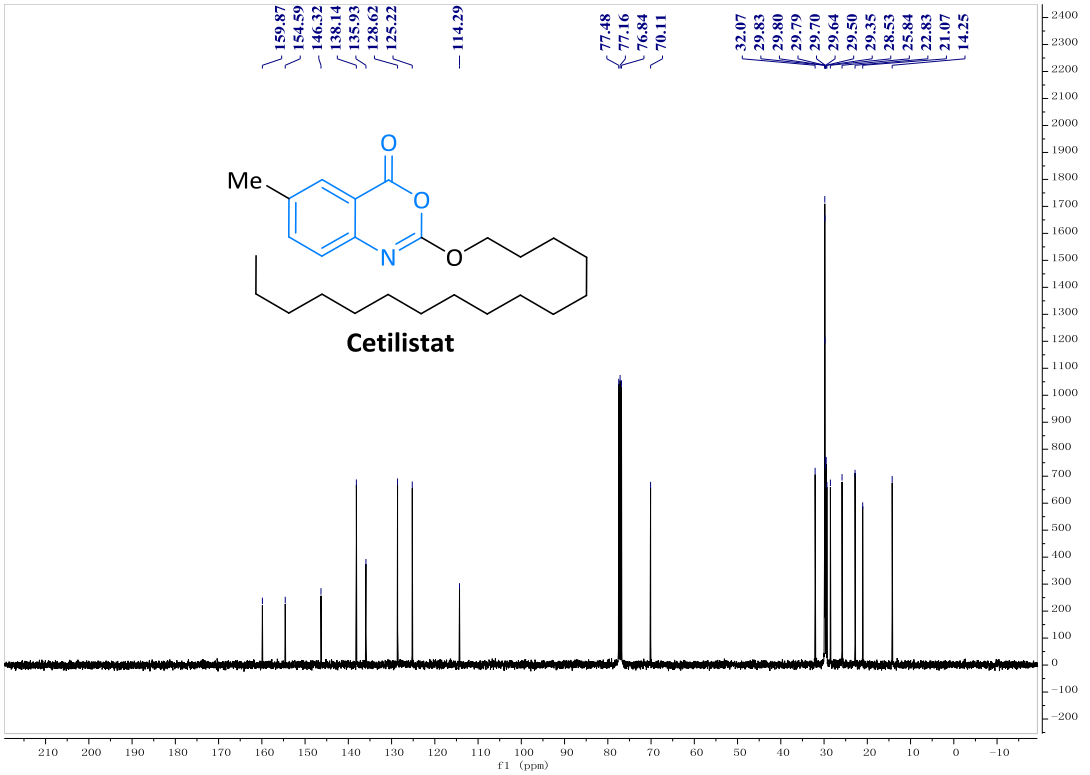

8.21
8.19
7.84
7.82
7.80
7.57
7.55
7.53
7.52
7.26
7.18
7.16
6.85
6.83
4.64
3.70
3.68
3.66
3.64
3.63
3.62
3.59
3.58
3.57
3.56
2.76
2.75
2.73
2.72
2.71
2.70
2.68
2.67
2.66
2.65
2.63
2.62
1.49
1.47
-0.01
14
1.00
1.05
2.06
2.07
2.13
2.08
5.28
4.24
3.20
f1 (ppm)


166.05
161.50
159.40
156.49
145.77
136.72
129.54
128.82
128.59
127.15
126.63
117.18
116.03
77.48
77.16
76.84
67.88
62.64
49.77
49.17
45.81
42.54
15.06
14
f1 (ppm)


8.28 8.26 7.76 7.76 7.75 7.74 7.74 7.49 7.47 7.47 7.46 7.44 7.44 7.42 7.42 7.40 7.21 7.19 7.19 7.14 7.14 7.12 7.12 7.06 7.04 7.03 7.00 6.84 6.84 6.83 6.82 4.60 4.03 4.01 4.00 3.98 3.58 3.56 3.40 3.39 3.38 3.37 3.36 3.35 2.22 2.22 2.21 2.20 2.19 2.18 1.32 1.30 1.20 1.18 1.17
Cl
O
N
N
OEt
1.00 2.04 2.06 2.03 1.03 2.06 2.06 2.06 2.08 1.04 4.15 1.02 1.04 2.05 3.21 3.20
f1 (ppm)


165.93 161.86 156.60 156.51 154.85 147.06 134.29 130.59 129.50 128.66 127.66 127.06 126.87 126.60 126.31 121.17 120.83 116.02 113.06
77.48 77.16 76.84 67.86 64.23 60.33 48.92 45.33 42.11 14.85 13.01
Cl
O
N
N
OEt
f1 (ppm)


7.90
7.53
7.53
7.51
7.51
7.32
7.30
7.26
4.44
4.42
4.40
2.42
1.82
1.80
1.78
1.77
1.47
1.45
1.43
1.41
1.26
0.89
0.88
0.86
0.00
Me
O
O
N
O
Cetilistat
0.93
0.99
1.02
2.00
3.08
2.20
26.69
3.09
f1 (ppm)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154.59
146.32
138.14
135.93
128.62
125.22
114.29
77.48
77.16
76.84
70.11
32.07
29.83
29.80
29.79
29.70
29.64
29.50
29.35
28.53
25.84
22.83
21.07
14.25
Me
O
O
N
O
Cetilistat
f1 (ppm)