

# Silver-catalyzed cascade cyclization and functionalization of *N*-aryl-4-pentenamides: an efficient route to $\gamma$ -lactam-substituted quinone derivatives

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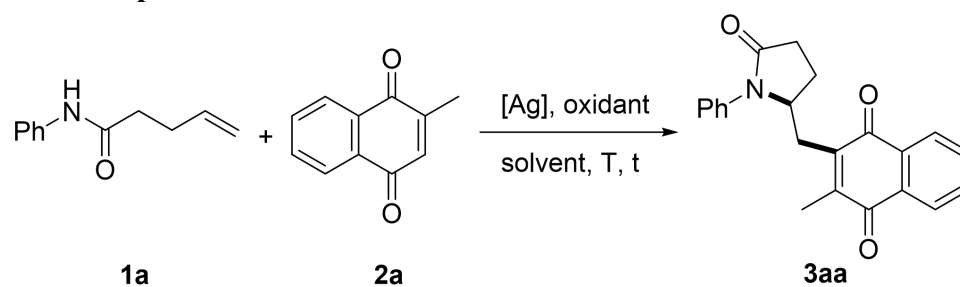
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### Detailed Data for Optimization of Reaction Conditions<sup>a</sup>



entry	1a:2a	catalyst (20 mol%)	oxidant (1.5 equiv)	solvent	T (°C)	time (h)	Yield 3aa <sup>b</sup> (%)
1	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN	100	10	54
2	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	H <sub>2</sub> O	100	10	0
3	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	DCM	100	10	33
4	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	DCE	100	10	12
5	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	DMSO	100	10	34
6	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	THF	100	10	trace
7	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:3)	100	10	70
8	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (3:1)	100	10	62
9	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>2</sub> Cl <sub>2</sub> /H <sub>2</sub> O (1:1)	100	10	65
10	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	PhBr/H <sub>2</sub> O (1:1)	100	10	35
11	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	PhCl/H <sub>2</sub> O (1:1)	100	10	42
12	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	78
13	1:3	AgOAc	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	75
14	1:3	AgNO <sub>3</sub>	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	77
15	1:3	AgTFA	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	76
16	1:3	AgOTf	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	75
17	1:3	AgO	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	77
18	1:3	AgSbF <sub>6</sub>	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	75
19	1:3	AgF	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	72
20	1:3	AgBF <sub>4</sub>	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	76
21	1:3	-	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	0
22 <sup>c</sup>	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	73
23 <sup>d</sup>	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	72
24 <sup>e</sup>	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	70
25 <sup>f</sup>	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	74
26 <sup>g</sup>	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	75
27 <sup>h</sup>	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	71
28 <sup>i</sup>	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	68

29	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	4	72
30	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	6	72
<b>31</b>	<b>1:3</b>	<b>Ag<sub>2</sub>O</b>	<b>K<sub>2</sub>S<sub>2</sub>O<sub>8</sub></b>	<b>CH<sub>3</sub>CN/H<sub>2</sub>O (1:1)</b>	<b>100</b>	<b>10</b>	<b>80</b>
32	1:1.5	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	66
33	1:2	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	70
34	1:2.5	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	73
35	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	40	10	15
36	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	60	10	32
37	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	80	10	69
38	1:3	Ag <sub>2</sub> O	K <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	120	10	70
39	1:3	Ag <sub>2</sub> O	Na <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	74
40	1:3	Ag <sub>2</sub> O	(NH <sub>4</sub> ) <sub>2</sub> S <sub>2</sub> O <sub>8</sub>	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	76
41	1:3	Ag <sub>2</sub> O	Oxone	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	5
42	1:3	Ag <sub>2</sub> O	<i>m</i> -CPBA	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	0
43	1:3	Ag <sub>2</sub> O	DTBP	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	0
44	1:3	Ag <sub>2</sub> O	TBHP	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	0
45	1:3	Ag <sub>2</sub> O	PIDA	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	0
46	1:3	Ag <sub>2</sub> O	PIFA	CH <sub>3</sub> CN/H <sub>2</sub> O (1:1)	100	10	0

<sup>a</sup>Reaction conditions: **1a** (0.2 mmol), **2a** (0.6 mmol, 3 equiv), Ag catalyst (20 mol%), oxidant (0.3 mmol, 1.5 equiv) in solvent (2.0 mL) for 10 hours. <sup>b</sup>Isolated yield. <sup>c</sup>5 mol% Ag<sub>2</sub>O. <sup>d</sup>10 mol% Ag<sub>2</sub>O. <sup>e</sup>15 mol% Ag<sub>2</sub>O. <sup>f</sup>25 mol% Ag<sub>2</sub>O. <sup>g</sup>1.2 equiv K<sub>2</sub>S<sub>2</sub>O<sub>8</sub>. <sup>h</sup>2 equiv K<sub>2</sub>S<sub>2</sub>O<sub>8</sub>. <sup>i</sup>2.5 equiv K<sub>2</sub>S<sub>2</sub>O<sub>8</sub>.

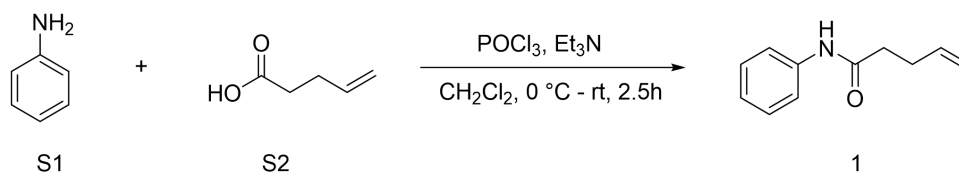
## Experimental Section

### Instrumentation and chemicals.

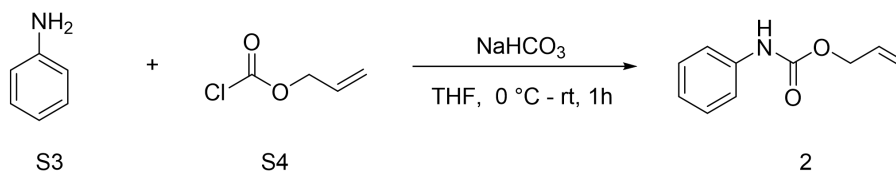
$^1\text{H}$  NMR,  $^{13}\text{C}$  NMR spectra were recorded on a Bruker DPX-400 spectrometer with  $\text{CDCl}_3$  as the solvent and TMS as an internal standard, operating at 400 MHz for  $^1\text{H}$  NMR and 100 MHz for  $^{13}\text{C}$  NMR. Melting points were measured by SGW X-4A microscopic apparatus. The X-ray crystallography was measured on Bruker D8 Venture Photon instrument. HRMS was measured by Q Exactive Hybrid Quadrupole-Orbitrap LC/MS spectrometer.

Ethyl acetate and petroleum ether were used for column chromatography without further purification. Other chemicals were obtained from commercial sources such as aladdin, macklin, alfa aesar, ourchem and used as received unless otherwise noted.

### Preparation of Substrates:



Into a 250 mL round-bottom flask equipped with a magnetic stir-bar was added a solution of aniline **S1** (1.0 g, 1 equiv) in DCM (60 mL) and triethylamine (2 equiv). The mixture was stirred at  $0\text{ }^\circ\text{C}$ . Then 4-pentenoic acid **S2** (1.2 equiv) was added. Phosphorus oxychloride (2 equiv) was added dropwise. The resulting solution was warmed up to room temperature and stirred for 2 hours followed by the addition of  $\text{H}_2\text{O}$  (50 mL). The aqueous layer was extracted by ethyl acetate ( $2 \times 50\text{ mL}$ ) and the combined organic phases were dried over  $\text{Na}_2\text{SO}_4$ . The solvent was removed in vacuo and the crude product was purified by column chromatography.



The substrates **2** were synthesized according to the literature<sup>1</sup>. To an oven dried round bottom flask equipped with magnetic stir-bar and purged with argon was added a solution of amine **S3** (1 equiv) in anhydrous THF (0.5 M).  $\text{NaHCO}_3$  (1.1 equiv) was added in one portion. At  $0\text{ }^\circ\text{C}$ , allyl chloroformate **S4** (1.1 equiv) was added dropwise and the mixture stirred for a further 15 minutes at  $0\text{ }^\circ\text{C}$  then at room temperature for 45 minutes. The reaction quenched with water and the aqueous layers washed with EtOAc ( $3 \times 10\text{ mL}$ ). The combined organic layers were washed with  $\text{NaHCO}_3$  and brine. The solvent was dried over anhydrous  $\text{Na}_2\text{SO}_4$  and removed in vacuo to give crude product which was purified by flash column chromatography.

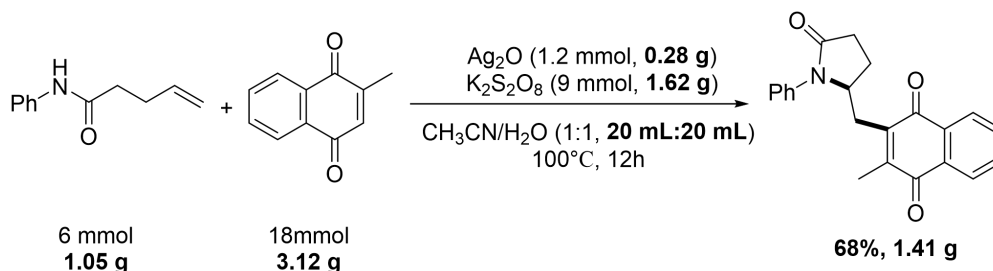
### Experimental procedures

**General procedure for the silver-catalyzed reaction between *N*-aryl-4-pentenamides and quinones.** A mixture of *N*-aryl-4-pentenamide (**1**, 0.2 mmol), quinone (**2**, 0.6 mmol),  $\text{Ag}_2\text{O}$  (0.04 mmol),  $\text{K}_2\text{S}_2\text{O}_8$  (0.3 mmol) in  $\text{CH}_3\text{CN}$  (1 mL) and  $\text{H}_2\text{O}$  (1 mL) was added into a round-bottomed vial. The resulting mixture was stirred at  $100\text{ }^\circ\text{C}$  for 10 h. After reaction, the mixture was added into  $\text{H}_2\text{O}$  (25 mL) and extracted with ethyl acetate (10 mL) for three times. The

combined organic layer was dried over anhydrous MgSO<sub>4</sub> and filtered. After removal of the solvent *in vacuo*, column chromatography (ethyl acetate/petroleum ether = 1:1) of the residue afforded the pure product.

## Large scale experiments

A mixture of 4-pentenyl arylamine (**1**, 6 mmol), menaphthoquinone (**2**, 18 mmol), Ag<sub>2</sub>O (1.2 mmol), K<sub>2</sub>S<sub>2</sub>O<sub>8</sub> (9 mmol), CH<sub>3</sub>CN (20 mL) and H<sub>2</sub>O (20 mL). The resulting mixture was stirred at 100 °C for 10 h. After reaction, the mixture was added into H<sub>2</sub>O (50 mL) and extracted with ethyl acetate (50 mL) for three times. The combined organic layer was dried over anhydrous MgSO<sub>4</sub> and filtered. After removal of the solvent *in vacuo*, column chromatography (ethyl acetate/petroleum ether = 1:1) of the residue afforded the pure product. The isolated yield is 68%.



## Characterization Data

### 2-methyl-3-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (**3aa**)

Yellow solid, 54.4 mg, 80%, mp 158.4–158.8 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.03–7.95 (m, 2H), 7.70–7.63 (m, 2H), 7.47–7.44 (m, 2H), 7.25–7.20 (m, 2H), 7.01 (t, *J* = 7.4 Hz, 1H), 4.67–4.59 (m, 1H), 2.99 (dd, *J*<sub>1</sub> = 6.0 Hz, *J*<sub>2</sub> = 12.9 Hz, 1H), 2.78–2.67 (m, 2H), 2.54–2.46 (m, 1H), 2.28–2.18 (m, 1H), 2.09 (s, 3H), 1.87–1.79 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.8, 183.4, 172.7, 144.0, 141.6, 136.6, 132.5, 130.8, 130.7, 127.9, 125.2, 125.2, 124.7, 122.4, 57.6, 31.3, 30.0, 23.1, 12.2. HRMS-ESI(*m/z*): calcd for C<sub>22</sub>H<sub>20</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 346.1438, found 346.1442.

### 2-methyl-3-((4-methyl-5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (**3ba**)

Silica gel purification using an automated system gave two diastereoisomers with a ratio of 1.7:1. Diastereoisomer 1 is a yellow solid, 31.7 mg; diastereoisomer 2 is a yellow solid, 18.6 mg. 70% yield in total. Diastereoisomer 1 : mp 162.2–162.5 °C. <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.05–7.96 (m, 2H), 7.71–7.65 (m, 2H), 7.54–7.50 (m, 2H), 7.23–7.17 (m, 2H), 6.99–6.94 (m, 1H), 4.65–4.58 (m, 1H), 3.00 (dd, *J*<sub>1</sub> = 6.0 Hz, *J*<sub>2</sub> = 12.9 Hz, 1H), 2.92–2.77 (m, 2H), 2.10 (s, 3H), 2.10–2.05 (m, 1H), 1.92–1.84 (m, 1H), 1.26 (d, *J* = 7.1 Hz, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.9, 183.4, 175.0, 144.0, 141.8, 137.0, 132.6, 132.5, 130.9, 130.8, 127.9, 125.2, 125.2, 124.3, 121.6, 55.4, 35.2, 31.8, 30.7, 14.9, 12.2. HRMS-ESI(*m/z*): calcd for C<sub>23</sub>H<sub>22</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 360.1594, found 360.1590. Diastereoisomer 2 : <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.09–8.02 (m, 2H), 7.75–7.67 (m, 2H), 7.43–7.40 (m, 2H), 7.33–7.30 (m, 2H), 7.16–7.11 (m, 1H), 4.53–4.45 (m, 1H), 3.08 (dd, *J*<sub>1</sub> = 5.3 Hz, *J*<sub>2</sub> = 13.1 Hz, 1H), 2.69–2.52 (m, 2H), 2.47–2.39 (m, 1H), 2.13 (s, 3H), 1.53–1.44 (m, 1H), 1.35 (d, *J* = 7.1 Hz, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.8, 183.5, 175.5, 144.1, 141.8, 136.5, 132.7, 132.6, 130.9, 130.8, 127.9, 125.3, 125.2, 123.6, 55.3, 36.0, 32.9, 32.7, 15.8, 12.4. HRMS-ESI(*m/z*): calcd for C<sub>23</sub>H<sub>22</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 360.1594, found 360.1596.

### 2-((4,4-dimethyl-5-oxo-1-phenylpyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (**3ca**)

Yellow solid, 56.0 mg, 75%, mp 173.9–174.2 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.07–8.01 (m, 2H), 7.71–7.68 (m, 2H), 7.32–7.30 (m, 2H), 7.32–7.27 (m, 2H), 7.09 (t, *J* = 7.3 Hz, 1H), 4.58–4.48 (m, 1H), 3.08 (dd, *J*<sub>1</sub> = 5.4 Hz, *J*<sub>2</sub> = 12.8 Hz, 1H), 2.70–2.65 (m, 1H), 2.12 (s, 3H), 2.10–2.02 (m, 1H), 1.73 (dd, *J*<sub>1</sub> = 7.1, *J*<sub>2</sub> = 12.7 Hz, 1H), 1.35 (s, 3H), 1.16 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.9, 184.5, 178.8, 145.1, 142.9, 137.7, 133.6, 132.0, 132.0, 128.9, 126.3, 126.3, 126.0, 124.4, 54.8, 40.9, 40.2, 33.6, 29.7, 26.1, 25.2, 13.5. HRMS-ESI(*m/z*): calcd for C<sub>24</sub>H<sub>24</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 374.1751, found 374.1748.

### **2-methyl-3-((5-oxo-1-(*p*-tolyl)pyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3da)**

Yellow solid, 51.0 mg, 71%, mp 132.3–132.5 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.03–8.00(m, 2H), 7.70–7.67 (m, 2H), 7.29–7.25 (m, 2H), 7.00–6.97 (m, 2H), 4.64–4.60(m, 1H), 2.98 (dd, *J*<sub>1</sub> = 6.4 Hz, *J*<sub>2</sub> = 12.8 Hz, 1H), 2.81–2.68 (m, 2H), 2.55–2.47 (m, 1H), 2.32–2.21 (m, 1H), 2.14 (s, 3H), 2.10 (s, 3H), 1.88–1.82 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.8, 184.4, 173.7, 144.8, 142.9, 135.7, 135.1, 133.5, 131.9, 129.6, 126.3, 123.7, 58.8, 32.6, 30.9, 24.3, 20.8, 13.3. HRMS-ESI(*m/z*): calcd for C<sub>23</sub>H<sub>22</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 360.1594, found 360.1599.

### **2-((1-(4-methoxyphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ea)**

Yellow solid, 32.0 mg, 43%, mp 161.3–161.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.02–7.97 (m, 2H), 7.70–7.64 (m, 2H), 7.31–7.25 (m, 2H), 6.75–6.70 (m, 2H), 4.56–4.51 (m, 1H), 3.64 (s, 3H), 2.96 (dd, *J*<sub>1</sub> = 6.2, *J*<sub>2</sub> = 12.9 Hz, 1H), 2.80–2.66 (m, 2H), 2.55–2.46 (m, 1H), 2.28–2.19 (m, 1H), 2.09 (s, 3H), 1.88–1.81 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.7, 183.4, 172.8, 156.5, 143.8, 141.8, 132.5, 132.4, 130.8, 129.4, 125.2, 125.2, 124.4, 113.2, 58.1, 54.3, 31.5, 39.8, 23.3, 12.2. HRMS-ESI(*m/z*): calcd for C<sub>23</sub>H<sub>22</sub>NO<sub>4</sub> (M+H)<sup>+</sup>: 376.1543, found 376.1547.

### **2-((1-(4-ethylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3fa)**

Yellow solid, 53.0 mg, 66%, mp 135.2–135.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.05–7.98 (m, 2H), 7.72–7.65 (m, 2H), 7.32–7.28 (m, 2H), 7.03–7.00 (m, 2H), 4.68–4.61 (m, 1H), 3.00 (dd, *J*<sub>1</sub> = 6.7 Hz, *J*<sub>2</sub> = 13.0 Hz, 1H), 2.81–2.70 (m, 2H), 2.57–2.49(m, 1H), 2.44 (q, *J* = 7.6 Hz, 2H), 2.34–2.23 (m, 1H), 2.10 (s, 3H), 1.90–1.82 (m, 1H), 1.06 (t, *J* = 7.6 Hz, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.7, 183.2, 172.7, 143.9, 141.6, 135.5, 132.4, 130.8, 130.7, 129.9, 125.4, 125.1, 123.0, 120.6, 57.6, 31.5, 29.8, 28.7, 23.2, 18.3, 12.2. HRMS-ESI(*m/z*): calcd for C<sub>24</sub>H<sub>24</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 374.1751, found 374.1755.

### **2-((1-(4-fluorophenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ga)**

Yellow solid, 53.1 mg, 73%, mp 155.9–156.4 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.06–8.00 (m, 2H), 7.73–7.68 (m, 2H), 7.48–7.43 (m, 2H), 6.99–6.94 (m, 2H), 4.62–4.54 (m, 1H), 3.01 (dd, *J*<sub>1</sub> = 5.7 Hz, *J*<sub>2</sub> = 12.8 Hz, 1H), 2.77–2.68 (m, 2H), 2.56–2.48 (m, 1H), 2.30–2.19 (m, 1H), 2.13 (s, 3H), 1.89–1.80 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): 184.9, 184.4, 173.8, 161.4, 159.0, 145.2, 142.5, 133.8, 133.6, 131.9, 131.7, 126.4, 126.3, 125.4, 125.3, 115.9, 115.7, 58.9, 32.3, 30.8, 24.1, 13.3; <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>): δ –115.7~ –115.9 (m); HRMS-ESI(*m/z*): calcd for C<sub>22</sub>H<sub>19</sub>FNO<sub>3</sub> (M+H)<sup>+</sup>: 364.1343, found 364.1346.

### **2-((1-(4-chlorophenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ha)**

Yellow solid, 54.0 mg, 71%, mp 133.9–134.2 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.05–8.02 (m, 2H), 7.73–7.70 (m, 2H), 7.51–7.47 (m, 2H), 7.24–7.20 (m, 2H), 4.66–4.59 (m, 1H), 3.05 (dd, *J*<sub>1</sub> = 5.8 Hz, *J*<sub>2</sub> = 12.8 Hz, 1H), 2.79–2.69

(m, 2H), 2.57–2.48 (m, 1H), 2.30–2.20 (m, 1H), 2.15 (s, 3H), 1.89–1.80 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.9, 184.4, 173.8, 145.3, 142.5, 136.3, 136.3, 133.8, 133.6, 131.9, 131.7, 130.9, 129.1, 126.4, 126.3, 124.4, 58.5, 32.2, 30.9, 23.9, 13.4. HRMS-ESI(m/z): calcd for C<sub>22</sub>H<sub>19</sub>ClNO<sub>3</sub> (M+H)<sup>+</sup>: 380.1048, found 380.1052.

### **2-((1-(4-bromophenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ia)**

Yellow solid, 70.4 mg, 83%, mp 145.2–145.7 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.06–8.02 (m, 2H), 7.74–7.70 (m, 2H), 7.46–7.42 (m, 2H), 7.38–7.35 (m, 2H), 4.67–4.59 (m, 1H), 3.05 (dd, *J*<sub>1</sub> = 5.9 Hz, *J*<sub>2</sub> = 12.9 Hz, 1H), 2.79–2.69 (m, 2H), 2.57–2.48 (m, 1H), 2.30–2.20 (m, 1H), 2.15 (s, 3H), 1.89–1.80 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.9, 184.4, 173.7, 145.7, 142.5, 136.8, 133.8, 133.7, 132.0, 131.9, 131.7, 126.4, 126.3, 124.6, 118.7, 58.5, 32.2, 31.0, 23.9, 13.4. HRMS-ESI(m/z): calcd for C<sub>22</sub>H<sub>19</sub>BrNO<sub>3</sub> (M+H)<sup>+</sup>: 424.0543, found 424.0538.

### **2-methyl-3-((5-oxo-1-(m-tolyl)pyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3ja)**

Yellow solid, 53.2 mg, 74%, mp 133.3–133.5 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.03–7.95 (m, 2H), 7.70–7.64 (m, 2H), 7.21–7.18 (m, 2H), 7.06 (t, *J* = 7.7 Hz, 1H), 6.76 (d, *J* = 7.5 Hz, 1H), 4.68–4.60 (m, 1H), 2.97 (dd, *J*<sub>1</sub> = 6.8 Hz, *J*<sub>2</sub> = 12.9 Hz, 1H), 2.82–2.69 (m, 2H), 2.54–2.47 (m, 1H), 2.33–2.22 (m, 1H), 2.14 (s, 3H), 2.08 (s, 3H), 1.89–1.81 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.8, 184.4, 173.8, 144.8, 142.8, 138.8, 137.6, 133.4, 131.9, 131.8, 128.8, 126.2, 124.5, 120.7, 58.7, 32.7, 31.0, 24.4, 21.2, 13.2. HRMS-ESI(m/z): calcd for C<sub>23</sub>H<sub>22</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 360.1594, found 360.1598.

### **2-((1-(2-methoxyphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ka)**

Yellow solid, 63.8 mg, 85%, mp 174.1–174.5 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 7.98–7.94 (m, 2H), 7.67–7.62 (m, 2H), 7.19 (dd, *J*<sub>1</sub> = 1.7 Hz, *J*<sub>2</sub> = 7.7 Hz, 1H), 7.11–7.06 (m, 1H), 6.87–6.82 (m, 1H), 6.74 (dd, *J*<sub>1</sub> = 1.0 Hz, *J*<sub>2</sub> = 8.3 Hz, 1H), 4.55–4.51 (m, 1H), 3.70 (s, 3H), 2.84 (dd, *J*<sub>1</sub> = 8.1 Hz, *J*<sub>2</sub> = 13.0 Hz, 1H), 2.74–2.58 (m, 2H), 2.52–2.43 (m, 1H), 2.27–2.20 (m, 1H), 1.99 (s, 3H), 1.88–1.83 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.6, 183.4, 173.8, 153.8, 143.5, 142.1, 132.5, 132.4, 130.8, 127.9, 125.2, 125.1, 124.4, 119.8, 110.7, 57.5, 54.4, 31.3, 29.4, 24.4, 11.9. HRMS-ESI(m/z): calcd for C<sub>23</sub>H<sub>22</sub>NO<sub>4</sub> (M+H)<sup>+</sup>: 376.1543, found 376.1546.

### **2-((1-(3-fluoro-4-methylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3la)**

Yellow solid, 50.3 mg, 67%, mp 166.2–166.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.05–7.98 (m, 2H), 7.70–7.68 (m, 2H), 7.26–7.21 (m, 1H), 7.17–7.14 (m, 1H), 7.00 (t, *J* = 8.3 Hz, 1H), 4.64–4.57 (m, 1H), 3.03 (dd, *J*<sub>1</sub> = 6.2 Hz, *J*<sub>2</sub> = 12.9 Hz, 1H), 2.79–2.68 (m, 2H), 2.56–2.47 (m, 1H), 2.30–2.20 (m, 1H), 2.13 (s, 3H), 2.06 (s, 3H), 1.89–1.80 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.8, 184.4, 173.7, 162.1, 159.7, 145.0, 142.6, 136.8 (d, *J* = 10.0 Hz), 133.6 (d, *J* = 7.2 Hz), 131.8 (d, *J* = 9.1 Hz), 131.4 (d, *J* = 10.0 Hz), 131.4 (d, *J* = 6.2 Hz), 126.3 (d, *J* = 13.7 Hz), 122.2 (d, *J* = 17.2 Hz), 118.3 (d, *J* = 3.4 Hz), 110.5 (d, *J* = 25.6 Hz), 58.7, 32.3, 31.0, 24.0, 14.0 (d, *J* = 31.8 Hz), 13.3; <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>): δ –115.0~–115.1 (m); HRMS-ESI(m/z): calcd for C<sub>23</sub>H<sub>21</sub>FNO<sub>3</sub> (M+H)<sup>+</sup>: 378.1500, found 378.1504.

### **2-((1-(3-chloro-4-methylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ma)**

Yellow solid, 52.0 mg, 66%, mp 155.2–155.4 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.05–7.98 (m, 2H), 7.73–7.66 (m, 2H), 7.44 (d, *J* = 2.2 Hz, 1H), 7.27 (dd, *J*<sub>1</sub> = 1.8 Hz, *J*<sub>2</sub> = 8.2 Hz, 1H), 7.03 (d, *J* = 8.3 Hz, 1H), 4.65–4.59 (m, 1H), 3.01 (dd, *J*<sub>1</sub> = 6.7 Hz, *J*<sub>2</sub> = 13.0 Hz, 2H), 2.82–2.70 (m, 2H), 2.57–2.48 (m, 1H), 2.34–2.24 (m, 1H), 2.13 (d, *J* = 2.2

Hz, 6H), 1.91–1.82 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.7, 183.2, 172.7, 143.9, 141.6, 135.5, 132.6, 132.5, 132.4, 130.8, 130.7, 129.9, 125.4, 125.1, 123.0, 120.6, 57.6, 31.5, 29.8, 28.7, 23.2, 18.3, 12.2. HRMS-ESI(m/z): calcd for C<sub>23</sub>H<sub>21</sub>ClNO<sub>3</sub> (M+H)<sup>+</sup>: 394.1204, found 394.1200.

### **2-((1-(3-bromo-4-methylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3na)**

Yellow solid, 63.2 mg, 72%, mp 136.7–137.2 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.06–7.98 (m, 2H), 7.73–7.66 (m, 2H), 7.59 (d, *J* = 2.2 Hz, 1H), 7.31 (dd, *J*<sub>1</sub> = 2.2 Hz, *J*<sub>2</sub> = 8.2 Hz, 1H), 7.02 (d, *J* = 8.3 Hz, 1H), 4.66–4.58 (m, 1H), 3.01 (dd, *J*<sub>1</sub> = 6.8 Hz, *J*<sub>2</sub> = 13.0 Hz, 1H), 2.82–2.69 (m, 2H), 2.57–2.48 (m, 1H), 2.34–2.24 (m, 1H), 2.15 (s, 3H), 2.12 (s, 3H), 1.91–1.82 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.7, 184.3, 173.7, 144.9, 142.6, 136.6, 135.4, 133.6, 133.5, 131.8, 131.7, 130.7, 127.2, 126.5, 126.2, 124.8, 122.3, 58.6, 32.6, 30.9, 24.3, 22.2, 13.3. HRMS-ESI(m/z): calcd for C<sub>22</sub>H<sub>21</sub>BrNO<sub>3</sub> (M+H)<sup>+</sup>: 438.0699, found 438.0701.

### **2-((1-(3,5-dimethylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3oa)**

Yellow solid, 54.5 mg, 73%, mp 130.1–130.5 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.02–7.98 (m, 1H), 7.95–7.92 (m, 1H), 7.68–7.63 (m, 2H), 6.90 (s, 2H), 6.48 (s, 1H), 4.66–4.62 (m, 1H), 2.92 (dd, *J*<sub>1</sub> = 7.6 Hz, *J*<sub>2</sub> = 13.4 Hz, 1H), 2.84–2.68 (m, 2H), 2.55–2.46 (m, 1H), 2.33–2.27 (m, 1H), 2.05 (s, 3H), 2.04 (s, 6H), 1.89–1.83 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.7, 183.1, 172.7, 143.3, 141.8, 137.6, 136.6, 132.5, 132.3, 130.8, 130.7, 126.7, 125.1, 125.0, 120.7, 57.8, 32.2, 30.0, 28.7, 23.7, 20.0, 12.1. HRMS-ESI(m/z): calcd for C<sub>24</sub>H<sub>24</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 374.1751, found 374.1758.

### **2-((1-(2-fluoro-4-methylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3pa)**

Yellow solid, 63.0 mg, 84%, mp 171.0–171.7 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.02–7.97 (m, 2H), 7.70–7.64 (m, 2H), 7.13 (t, *J* = 7.9 Hz, 1H), 6.78 (dd, *J*<sub>1</sub> = 8.2 Hz, *J*<sub>2</sub> = 12.4 Hz, 2H), 4.52–4.44 (m, 1H), 2.85 (d, *J* = 7.2 Hz, 2H), 2.68–2.63 (m, 1H), 2.55–2.45 (m, 1H), 2.34–2.26 (m, 1H), 2.16 (s, 3H), 2.09 (s, 3H), 1.94–1.86 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.5 (d, *J* = 1.3 Hz), 174.5, 158.6, 156.1, 144.9, 142.6, 139.8 (d, *J* = 7.7 Hz), 133.5 (d, *J* = 5.4 Hz), 131.8 (d, *J* = 8.5 Hz), 128.9 (d, *J* = 2.1 Hz), 126.2 (d, *J* = 19.9 Hz), 125.2 (d, *J* = 3.0 Hz), 122.0 (d, *J* = 12.1 Hz), 117.0 (d, *J* = 19.6 Hz), 58.9 (d, *J* = 3.2 Hz), 32.4, 30.1, 25.2, 20.9, 13.1; <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>): δ –119.7 (dd, *J*<sub>1</sub> = 8.1 Hz, *J*<sub>2</sub> = 11.4 Hz); HRMS-ESI(m/z): calcd for C<sub>23</sub>H<sub>21</sub>FNO<sub>3</sub> (M+H)<sup>+</sup>: 378.1500, found 378.1502.

### **2-((1-(mesityl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3qa)**

Yellow solid, 57.0 mg, 74%, mp 162.7–163.2 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.05–7.99 (m, 2H), 7.70–7.67 (m, 2H), 6.90 (s, 1H), 6.82 (s, 1H), 4.25–4.18 (m, 1H), 2.92–2.86 (m, 1H), 2.69–2.60 (m, 2H), 2.56–2.46 (m, 1H), 2.25 (s, 3H), 2.20 (s, 3H), 2.17 (s, 3H), 2.04 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.6, 184.4, 174.2, 144.9, 142.8, 138.0, 137.1, 135.2, 133.6, 131.6, 129.6, 126.4, 126.3, 59.4, 31.1, 30.5, 29.7, 26.2, 21.0, 18.8, 18.2, 13.1. HRMS-ESI(m/z): calcd for C<sub>25</sub>H<sub>26</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 388.1907, found 388.1900.

### **2-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3ab)**

Yellow solid, 36.2 mg, 54%, mp 145.2–145.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.07–7.99 (m, 2H), 7.74–7.71 (m, 2H), 7.50–7.47 (m, 2H), 7.34–7.29 (m, 2H), 7.11–7.06 (m, 1H), 6.70 (s, 1H), 4.68–4.61 (m, 1H), 3.09–3.03 (m, 1H), 2.72–2.63 (m, 1H), 2.53–2.46 (m, 2H), 2.34–2.24 (m, 1H), 1.90–1.81 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.1,



183.3, 172.8, 146.0, 136.4, 136.1, 133.0, 132.8, 130.9, 128.1, 128.0, 125.6, 125.1, 124.9, 122.8, 57.1, 34.6, 29.8, 23.0. HRMS-ESI(m/z): calcd for C<sub>21</sub>H<sub>18</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 332.1281, found 332.1285.

**2-chloro-3-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3ac)**

Yellow solid, 58.8 mg, 80%, mp 150.3–150.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.06–8.01 (m, 2H), 7.77–7.69 (m, 2H), 7.46–7.43 (m, 2H), 7.20–7.15 (m, 2H), 6.94 (t, *J* = 7.40 Hz, 1H), 4.81–4.74 (m, 1H), 3.21 (dd, *J*<sub>1</sub> = 6.8 Hz, *J*<sub>2</sub> = 12.6 Hz, 1H), 2.94 (dd, *J*<sub>1</sub> = 7.9 Hz, *J*<sub>2</sub> = 12.6 Hz, 1H), 2.85–2.74 (m, 1H), 2.58–2.49 (m, 1H), 2.35–2.24 (m, 1H), 1.97–1.89 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 181.5, 175.9, 172.7, 143.6, 142.9, 136.5, 133.2, 133.1, 130.3, 130.1, 127.9, 126.0, 124.7, 122.4, 56.9, 32.6, 29.9, 23.2. HRMS-ESI(m/z): calcd for C<sub>21</sub>H<sub>17</sub>ClNO<sub>3</sub> (M+H)<sup>+</sup>: 366.0891, found 366.0893.

**2-bromo-3-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3ad)**

Yellow solid, 64.2 mg, 78%, mp 133.5–133.8 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.05–8.01 (m, 2H), 7.72–7.67 (m, 2H), 7.47–7.44 (m, 2H), 7.19–7.14 (m, 2H), 6.92 (t, *J* = 7.40 Hz, 1H), 4.84–4.77 (m, 1H), 3.26 (dd, *J*<sub>1</sub> = 6.8 Hz, *J*<sub>2</sub> = 12.5 Hz, 1H), 3.00 (dd, *J*<sub>1</sub> = 7.9 Hz, *J*<sub>2</sub> = 12.5 Hz, 1H), 2.89–2.77 (m, 1H), 2.58–2.49 (m, 1H), 2.34–2.23 (m, 1H), 1.98–1.90 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 180.8, 175.9, 172.7, 146.4, 139.8, 136.5, 133.2, 133.1, 130.2, 129.8, 127.8, 126.0, 124.7, 122.4, 56.9, 35.6, 29.9, 23.1. HRMS-ESI(m/z): calcd for C<sub>21</sub>H<sub>17</sub>BrNO<sub>3</sub> (M+H)<sup>+</sup>: 410.0386, found 410.0390.

**2-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3ae)**

Yellow solid, 17.0 mg, 31%, mp 120.4–120.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 7.45–7.42 (m, 2H), 7.39–7.34 (m, 2H), 7.19–7.14 (m, 1H), 6.72–6.63 (m, 2H), 6.48–6.46 (m, 1H), 4.59–4.52 (m, 1H), 2.92–2.86 (m, 1H), 2.71–2.62 (m, 1H), 2.58–2.49 (m, 1H), 2.45–2.38 (m, 1H), 2.35–2.24 (m, 1H), 1.85–1.76 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 186.2, 185.8, 172.8, 143.7, 136.3, 135.5, 135.4, 133.8, 128.2, 125.0, 122.9, 57.0, 34.1, 29.8, 23.0. HRMS-ESI(m/z): calcd for C<sub>17</sub>H<sub>16</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 282.1125, found 282.1123.

**3,5-dimethyl-2-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3af)**

Yellow solid, 34.4 mg, 57%, mp 131.5–131.9 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 7.45–7.41 (m, 2H), 7.33–7.28 (m, 2H), 7.14–7.09 (m, 1H), 6.45–6.44 (m, 1H), 4.55–4.49 (m, 1H), 2.82 (dd, *J*<sub>1</sub> = 6.1 Hz, *J*<sub>2</sub> = 13.0 Hz, 1H), 2.74–2.66 (m, 1H), 2.65–2.55 (m, 1H), 2.51–2.44 (m, 1H), 2.26–2.16 (m, 1H), 1.94 (d, *J* = 2.2 Hz, 6H), 1.81–1.74 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 186.3, 186.3, 172.7, 144.6, 141.6, 139.1, 136.7, 131.9, 128.0, 124.6, 122.4, 57.5, 30.7, 29.9, 23.1, 14.8, 11.7. HRMS-ESI(m/z): calcd for C<sub>19</sub>H<sub>20</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 310.1438, found 310.1435.

**2,3,5-trimethyl-6-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3ag)**

Yellow solid, 45.9 mg, 71%, mp 147.5–147.9 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 7.46–7.42 (m, 2H), 7.34–7.28 (m, 2H), 7.14–7.08 (m, 1H), 4.56–4.51 (m, 1H), 2.87 (dd, *J*<sub>1</sub> = 6.1 Hz, *J*<sub>2</sub> = 12.9 Hz, 1H), 2.75–2.65 (m, 1H), 2.61–2.45 (m, 2H), 2.25–2.18 (m, 1H), 1.95 (s, 6H), 1.92 (d, *J* = 1.1 Hz, 3H), 1.82–1.74 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 186.2, 185.8, 172.8, 141.1, 139.7, 139.3, 138.7, 136.7, 127.9, 124.6, 122.4, 57.6, 30.9, 30.0, 23.1, 11.7, 11.3, 11.3. HRMS-ESI(m/z): calcd for C<sub>20</sub>H<sub>22</sub>NO<sub>3</sub> (M+H)<sup>+</sup>: 324.1594, found 324.1592.

**2,3-dimethoxy-5-methyl-6-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3ah)**

Yellow solid, 26.3 mg, 37%, mp 144.1–144.5 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 7.46–7.43 (m, 2H), 7.36–7.31 (m, 2H), 7.16–7.11 (m, 1H), 4.59–4.53 (m, 1H), 3.97 (s, 3H), 3.91 (s, 3H), 2.83 (dd, *J*<sub>1</sub> = 6.4 Hz, *J*<sub>2</sub> = 13.0 Hz, 1H), 2.76–2.66 (m, 1H), 2.62–2.47 (m, 2H), 2.31–2.23 (m, 1H), 1.94 (s, 3H), 1.83–1.75 (m, 2H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.2, 182.7, 172.7, 143.3, 143.1, 139.9, 137.2, 136.7, 128.0, 124.7, 122.3, 60.2, 60.1, 57.4, 30.9, 29.9, 23.2, 11.5. HRMS-ESI(*m/z*): calcd for C<sub>20</sub>H<sub>22</sub>NO<sub>5</sub> (M+H)<sup>+</sup>: 356.1492, found 356.1488.

**2,5-dichloro-3-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3ai)**

Yellow solid, 35.3 mg, 50%, mp 153.3–153.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 7.37–7.33 (m, 2H), 7.31–7.26 (m, 2H), 7.13–7.08 (m, 1H), 6.87 (s, 1H), 4.77–4.70 (m, 1H), 3.15 (dd, *J*<sub>1</sub> = 7.9 Hz, *J*<sub>2</sub> = 12.8 Hz, 1H), 2.88–2.75 (m, 2H), 2.60–2.51 (m, 1H), 2.42–2.31 (m, 1H), 1.94–1.86 (m, 1H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 176.4, 175.2, 172.5, 143.0, 141.2, 140.5, 136.6, 131.6, 128.1, 125.1, 122.5, 56.5, 33.0, 29.7, 23.4. HRMS-ESI(*m/z*): calcd for C<sub>17</sub>H<sub>14</sub>ClNO<sub>3</sub> (M+H)<sup>+</sup>: 350.0345, found 350.0342.

**2-methyl-3-((2-oxo-3-phenyloxazolidin-4-yl)methyl)naphthalene-1,4-dione (5aa)**

Yellow solid, 60.0 mg, 87%, mp 160.2–160.5 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.07–8.00 (m, 2H), 7.73–7.70 (m, 2H), 7.56 (d, *J* = 7.8 Hz, 2H), 7.28–7.23 (m, 2H), 7.03 (t, *J* = 7.4 Hz, 1H), 4.90–4.83 (m, 1H), 4.47 (t, *J* = 8.3 Hz, 1H), 4.16 (dd, *J*<sub>1</sub> = 3.7 Hz, *J*<sub>2</sub> = 8.9 Hz, 1H), 3.15 (dd, *J*<sub>1</sub> = 5.9, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.88 (dd, *J*<sub>1</sub> = 8.0, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.12 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 185.0, 184.2, 155.1, 146.0, 141.3, 136.8, 133.9, 133.7, 131.7, 129.2, 126.5, 126.3, 125.2, 121.2, 66.8, 55.5, 31.7, 13.4. HRMS-ESI(*m/z*): calcd for C<sub>21</sub>H<sub>18</sub>NO<sub>4</sub> (M+H)<sup>+</sup>: 348.1230, found 348.1236.

**2-methyl-3-((2-oxo-3-(*p*-tolyl)oxazolidin-4-yl)methyl)naphthalene-1,4-dione (5ba)**

Yellow solid, 40.2 mg, 56%, mp 149.5–149.8 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.04–7.98 (m, 2H), 7.73–7.67 (m, 2H), 7.37–7.33 (m, 2H), 6.98 (d, *J* = 8.1 Hz, 2H), 4.84–4.77 (m, 1H), 4.49–4.44 (m, 1H), 4.16 (dd, *J*<sub>1</sub> = 3.9 Hz, *J*<sub>2</sub> = 8.9 Hz, 1H), 3.10 (dd, *J*<sub>1</sub> = 6.3 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.87 (dd, *J*<sub>1</sub> = 7.6 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.15 (s, 3H), 2.10 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.8, 183.1, 154.2, 144.7, 140.4, 134.1, 133.2, 132.7, 132.6, 130.9, 130.7, 128.7, 125.2, 120.7, 65.9, 54.7, 30.8, 19.7, 12.3. HRMS-ESI(*m/z*): calcd for C<sub>22</sub>H<sub>20</sub>NO<sub>4</sub> (M+H)<sup>+</sup>: 362.1387, found 362.1389.

**2-((3-(4-fluorophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ca)**

Yellow solid, 46.1 mg, 63%, mp 155.4–155.8 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.07–8.00 (m, 2H), 7.75–7.69 (m, 2H), 7.57–7.51 (m, 2H), 7.01–6.94 (m, 2H), 4.83–4.75 (m, 1H), 4.48–4.43 (m, 1H), 4.15 (dd, *J*<sub>1</sub> = 3.9 Hz, *J*<sub>2</sub> = 8.9 Hz, 1H), 3.13 (dd, *J*<sub>1</sub> = 5.6 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.86 (dd, *J*<sub>1</sub> = 8.4 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.14 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.9, 183.1, 160.1, 157.6, 154.2, 145.1, 140.0, 132.9 (d, *J* = 24.1 Hz), 131.7 (d, *J* = 29.2 Hz), 130.7 (d, *J* = 26.7 Hz), 125.4 (d, *J* = 26.6 Hz), 122.2 (d, *J* = 8.1 Hz), 114.9 (d, *J* = 22.5 Hz), 65.7, 54.8, 30.5, 12.4; <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>): δ –116.5~ –116.6 (m); HRMS-ESI(*m/z*): calcd for C<sub>21</sub>H<sub>17</sub>FNO<sub>4</sub> (M+H)<sup>+</sup>: 366.1136, found 366.1139.

**2-((3-(4-chlorophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5da)**

Yellow solid, 49.4 mg, 65%, mp 167.6–170.1 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): 8.07–8.01 (m, 2H), 7.74–7.70 (m, 2H), 7.59–7.54 (m, 2H), 7.26–7.21 (m, 2H), 4.84–4.77 (m, 1H), 4.46–4.41 (m, 1H), 4.13 (dd, *J*<sub>1</sub> = 3.5 Hz, *J*<sub>2</sub> = 9.0 Hz, 1H), 3.16 (dd, *J*<sub>1</sub> = 5.5 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.84 (dd, *J*<sub>1</sub> = 8.5 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.16 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.9, 183.1, 153.8, 145.2, 140.0, 134.4, 132.8, 130.5, 128.2, 125.5, 125.2, 121.1, 65.6, 54.4, 30.3, 12.4. HRMS-ESI(*m/z*): calcd for C<sub>21</sub>H<sub>17</sub>ClNO<sub>4</sub> (M+H)<sup>+</sup>: 382.0841, found 382.0843.

**2-((3-(4-bromophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ea)**

Yellow solid, 46.0 mg, 54%, mp 185.2–185.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.07–8.01 (m, 2H), 7.75–7.70 (m, 2H), 7.53–7.49 (m, 2H), 7.39–7.35 (m, 2H), 4.84–4.76 (m, 1H), 4.46–4.41 (m, 1H), 4.13 (dd, *J*<sub>1</sub> = 3.4 Hz, *J*<sub>2</sub> = 9.0 Hz, 1H), 3.16 (dd, *J*<sub>1</sub> = 5.6 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.83 (dd, *J*<sub>1</sub> = 8.5 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.15 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.9, 183.1, 153.7, 145.2, 139.9, 134.9, 133.0, 132.8, 131.2, 130.8, 130.5, 125.6, 125.2, 121.3, 117.0, 65.6, 54.4, 30.3, 12.4. HRMS-ESI(*m/z*): calcd for C<sub>21</sub>H<sub>17</sub>BrNO<sub>4</sub> (M+H)<sup>+</sup>: 426.0335, found 426.0338.

**2-methyl-3-((2-oxo-3-(4-(trifluoromethyl)phenyl)oxazolidin-4-yl)methyl)naphthalene-1,4-dione (5fa)**

Yellow solid, 54.0 mg, 65%, mp 180.9–181.2 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.10–8.02 (m, 2H), 7.87–7.84 (m, 2H), 7.77–7.71 (m, 2H), 7.58–7.55 (m, 2H), 4.97–4.88 (m, 1H), 4.49–4.44 (m, 1H), 4.16 (dd, *J*<sub>1</sub> = 2.8 Hz, *J*<sub>2</sub> = 9.0 Hz, 1H), 3.25 (dd, *J*<sub>1</sub> = 5.4 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.86 (dd, *J*<sub>1</sub> = 8.7 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.20 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 185.1, 184.1, 154.5, 14.5, 140.8, 140.1, 134.0 (d, *J* = 26.3 Hz), 131.7 (d, *J* = 29.0 Hz), 126.5 (d, *J* = 37.6 Hz), 126.4 (d, *J* = 3.7 Hz), 119.9, 66.5, 55.2, 31.2, 29.7, 13.5; <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>): δ –62.4 (s); HRMS-ESI(*m/z*): calcd for C<sub>21</sub>H<sub>17</sub>FNO<sub>4</sub> (M+H)<sup>+</sup>: 416.1104, found 416.1106.

**2-((3-(3-chlorophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ga)**

Yellow solid, 26.0 mg, 34%, mp 161.4–161.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.10–8.02 (m, 2H), 7.75–7.69 (m, 2H), 7.64 (t, *J* = 2.1 Hz, 1H), 7.56–7.52 (m, 1H), 7.21 (t, *J* = 8.1 Hz, 1H), 7.02–6.98 (m, 1H), 4.87–4.81 (m, 1H), 4.49–4.44 (m, 1H), 4.16 (dd, *J*<sub>1</sub> = 3.3 Hz, *J*<sub>2</sub> = 9.0 Hz, 1H), 3.18 (dd, *J*<sub>1</sub> = 5.8 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.87 (dd, *J*<sub>1</sub> = 8.1 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.15 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.0, 183.1, 153.6, 145.2, 139.9, 137.1, 133.9, 133.0, 132.7, 130.8, 130.5, 129.2, 125.5, 125.4, 124.0, 119.9, 117.6, 65.7, 54.3, 30.6, 28.7, 12.4. HRMS-ESI(*m/z*): calcd for C<sub>21</sub>H<sub>17</sub>ClNO<sub>4</sub> (M+H)<sup>+</sup>: 382.0841, found 382.0843.

**2-methyl-3-((2-oxo-3-(*o*-tolyl)oxazolidin-4-yl)methyl)naphthalene-1,4-dione (5ha)**

Yellow solid, 46.1 mg, 64%, mp 149.6–149.8 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.03–7.96 (m, 2H), 7.71–7.66 (m, 2H), 7.22–7.17 (m, 2H), 7.14–7.09 (m, 2H), 4.58–4.49 (m, 2H), 4.29–4.25 (m, 1H), 2.93 (dd, *J*<sub>1</sub> = 8.0 Hz, *J*<sub>2</sub> = 13.1 Hz, 1H), 2.84 (dd, *J*<sub>1</sub> = 4.6 Hz, *J*<sub>2</sub> = 13.0 Hz, 1H), 2.32 (s, 3H), 2.01 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.5, 183.3, 155.0, 144.5, 140.4, 135.4, 133.4, 132.8, 132.6, 130.8, 130.6, 130.6, 127.3, 125.8, 125.4, 125.3, 66.9, 56.3, 30.3, 28.7, 17.1, 12.0. HRMS-ESI(*m/z*): calcd for C<sub>22</sub>H<sub>20</sub>NO<sub>4</sub> (M+H)<sup>+</sup>: 362.1387, found 362.1389.

**2-((3-(2-methoxyphenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ia)**

Yellow solid, 37.7 mg, 50%; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.00–7.95 (m, 2H), 7.70–7.65 (m, 2H), 7.31 (dd, *J*<sub>1</sub> = 1.6 Hz, *J*<sub>2</sub> = 7.8 Hz, 1H), 7.10–7.05 (m, 1H), 6.88–6.83 (m, 1H), 6.69 (dd, *J*<sub>1</sub> = 1.1 Hz, *J*<sub>2</sub> = 8.3 Hz, 1H), 4.86–4.80 (m, 1H), 4.55 (t, *J* = 8.5 Hz, 1H), 4.22 (dd, *J*<sub>1</sub> = 6.2 Hz, *J*<sub>2</sub> = 8.7 Hz, 1H), 3.70 (s, 3H), 2.93 (dd, *J*<sub>1</sub> = 7.1 Hz, *J*<sub>2</sub> = 13.3 Hz, 1H), 2.83 (dd, *J* = 5.4 Hz, *J*<sub>1</sub> = 13.4 Hz, 1H), 1.98 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.4, 183.3, 155.7, 153.6, 144.0, 140.8, 132.6, 132.5, 128.6, 128.0, 125.2, 123.3, 119.9, 110.6, 67.1, 54.6, 54.4, 30.8, 28.7, 11.9. HRMS-ESI(*m/z*): calcd for C<sub>22</sub>H<sub>20</sub>ClNO<sub>5</sub> (M+H)<sup>+</sup>: 378.1336, found 378.1339.

#### **2-((3-(2-chlorophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ja)**

Yellow solid, 60.3 mg, 79%, mp 165.4–165.7 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.03–7.99 (m, 2H), 7.72–7.67 (m, 2H), 7.41–7.37 (m, 2H), 7.28–7.17 (m, 2H), 4.79–4.73 (m, 1H), 4.55 (t, *J* = 8.6 Hz, 1H), 4.29 (dd, *J*<sub>1</sub> = 5.8 Hz, *J*<sub>2</sub> = 8.8 Hz, 1H), 3.00 (dd, *J*<sub>1</sub> = 8.5 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.84 (dd, *J*<sub>1</sub> = 7.6 Hz, *J*<sub>2</sub> = 15.6 Hz, 1H), 2.05 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.5, 183.3, 155.0, 144.7, 140.2, 132.7, 132.2, 131.6, 130.8, 130.6, 129.7, 129.4, 128.6, 126.8, 125.4, 125.3, 67.0, 55.4, 30.2, 12.1. HRMS-ESI(*m/z*): calcd for C<sub>21</sub>H<sub>17</sub>ClNO<sub>4</sub> (M+H)<sup>+</sup>: 382.0841, found 382.0843.

#### **2-((3-(2-fluoro-4-methylphenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ka)**

Yellow solid, 55.2 mg, 73%; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.00–7.95 (m, 2H), 7.70–7.65 (m, 2H), 7.24 (t, *J* = 8.5 Hz, 1H), 6.81 (d, *J* = 8.2 Hz, 1H), 6.68 (dd, *J*<sub>1</sub> = 1.2 Hz, *J*<sub>2</sub> = 11.9 Hz, 1H), 4.76–4.68 (m, 1H), 4.55 (t, *J* = 8.5 Hz, 1H), 4.24 (dd, *J*<sub>1</sub> = 5.4 Hz, *J*<sub>2</sub> = 8.8 Hz, 1H), 2.93 (d, *J* = 6.9 Hz, 2H), 2.13 (s, 3H), 2.06 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 184.4, 184.2, 158.4, 156.0, 145.4, 141.3, 139.9 (d, *J* = 7.8 Hz), 133.6 (d, *J* = 10.5 Hz), 131.7 (d, *J* = 17.2 Hz), 128.5 (d, *J* = 1.7 Hz), 126.3 (d, *J* = 14.7 Hz), 125.4 (d, *J* = 3.1 Hz), 121.0 (d, *J* = 11.2 Hz), 117.0 (d, *J* = 19.4 Hz), 68.0, 56.1 (d, *J* = 4.3 Hz), 31.8, 20.9 (d, *J* = 1.3 Hz), 13.1; <sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>): δ –120.2 (dd, *J*<sub>1</sub> = 8.2 Hz, *J*<sub>2</sub> = 11.8 Hz); HRMS-ESI(*m/z*): calcd for C<sub>22</sub>H<sub>19</sub>FNO<sub>4</sub> (M+H)<sup>+</sup>: 380.1293, found 380.1295.

#### **2-((3-(2,4-dimethylphenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5la)**

Yellow solid, 42.0 mg, 56%, mp 155.2–155.6 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.03–7.95 (m, 2H), 7.72–7.66 (m, 2H), 7.03 (d, *J* = 8.0 Hz, 1H), 6.95 (s, 1H), 6.87 (d, *J* = 7.9 Hz, 1H), 4.57–4.49 (m, 2H), 4.28–4.23 (m, 1H), 2.96–2.84 (m, 2H), 2.26 (s, 3H), 2.17 (s, 3H), 2.03 (s, 3H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.4, 183.3, 144.3, 140.5, 137.2, 132.7, 132.6, 131.2, 130.8, 130.7, 130.6, 126.5, 66.9, 56.2, 30.4, 20.0, 17.0, 12.1. HRMS-ESI(*m/z*): calcd for C<sub>23</sub>H<sub>22</sub>NO<sub>4</sub> (M+H)<sup>+</sup>: 376.1543, found 376.1546.

#### **2-((3-(3,5-dimethylphenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ma)**

Yellow solid, 42.1 mg, 56%, mp 162.2–162.5 °C; <sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>): δ 8.06–7.95 (m, 2H), 7.71–7.67 (m, 2H), 7.00 (s, 2H), 6.51 (s, 1H), 4.87–4.84 (m, 1H), 4.50 (t, *J* = 8.6 Hz, 1H), 4.17 (dd, *J*<sub>1</sub> = 3.8 Hz, *J*<sub>2</sub> = 8.9 Hz, 1H), 3.06 (dd, *J*<sub>1</sub> = 7.4 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.91 (dd, *J*<sub>1</sub> = 6.4 Hz, *J*<sub>2</sub> = 13.2 Hz, 1H), 2.07 (s, 3H), 2.05 (s, 6H); <sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>): δ 183.8, 182.9, 154.2, 144.2, 140.4, 137.8, 135.7, 132.7, 132.4, 130.8, 130.6, 126.2, 125.2, 125.1, 118.6, 66.2, 54.6, 31.6, 20.0, 12.2. HRMS-ESI(*m/z*): calcd for C<sub>23</sub>H<sub>22</sub>NO<sub>4</sub> (M+H)<sup>+</sup>: 376.1543, found 376.1545.

#### **References:**

[1] Alexander, J. R.; Cook, M. J. *Org. Lett.* **2017**, *19*, 5822.

## X-ray crystallography data

Crystals of **6ag** were obtained by recrystallization from DCM/methanol (1:1). The X-ray crystallography was measured on Bruker D8 Venture Photon instrument.

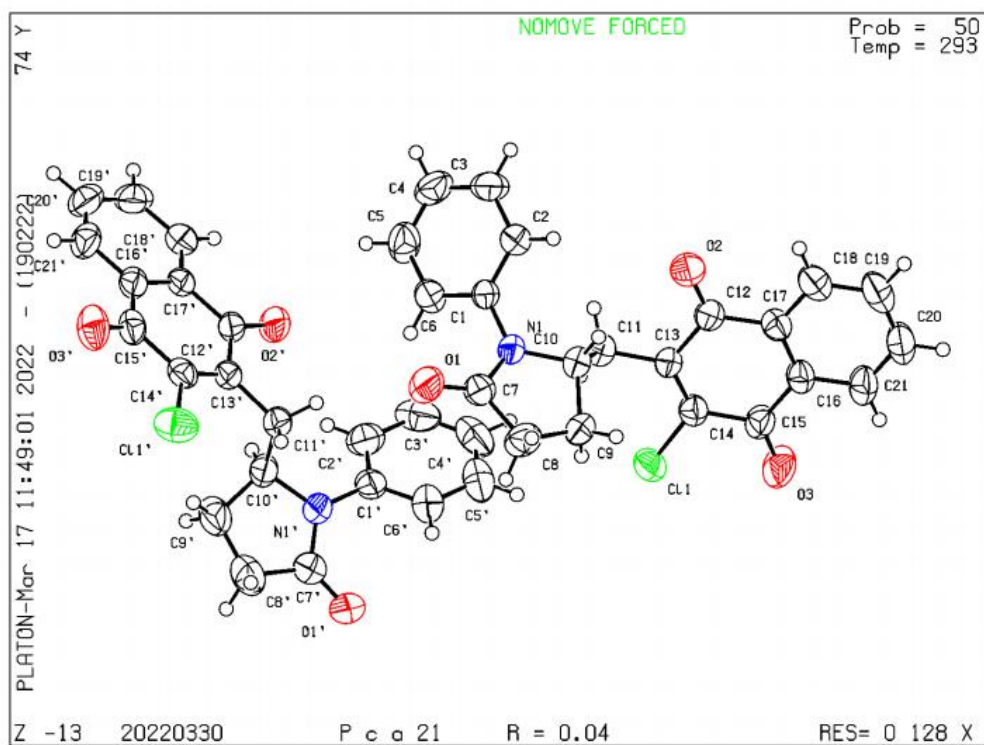


Figure 1. X-ray crystallography of **3ac**. Thermal ellipsoids are drawn at the 50% probability level

---

Bond precision: C-C = 0.0063 A Wavelength=1.54184  
Cell: a=8.9190(3) b=24.1190(8) c=16.1511(5)  
alpha=90 beta=90 gamma=90  
Temperature: 293 K

	Calculated	Reported
Volume	3474.4(2)	3474.39(19)
Space group	P c a 21	P c a 21
Hall group	P 2c -2ac	P 2c -2ac
Moiety formula	C21 H16 Cl N O3	C21 H16 Cl N O3
Sum formula	C21 H16 Cl N O3	C21 H16 Cl N O3
Mr	365.80	365.80
Dx, g cm-3	1.399	1.399
Z	8	8
Mu (mm-1)	2.124	2.124
F000	1520.0	1520.0
F000'	1527.21	
h, k, lmax	10, 29, 19	10, 28, 19
Nref	6733[ 3500]	5271
Tmin, Tmax	0.773, 0.809	0.950, 1.000
Tmin'	0.693	

Correction method= # Reported T Limits: Tmin=0.950 Tmax=1.000  
AbsCorr = MULTI-SCAN

Data completeness= 1.51/0.78 Theta (max)= 71.142

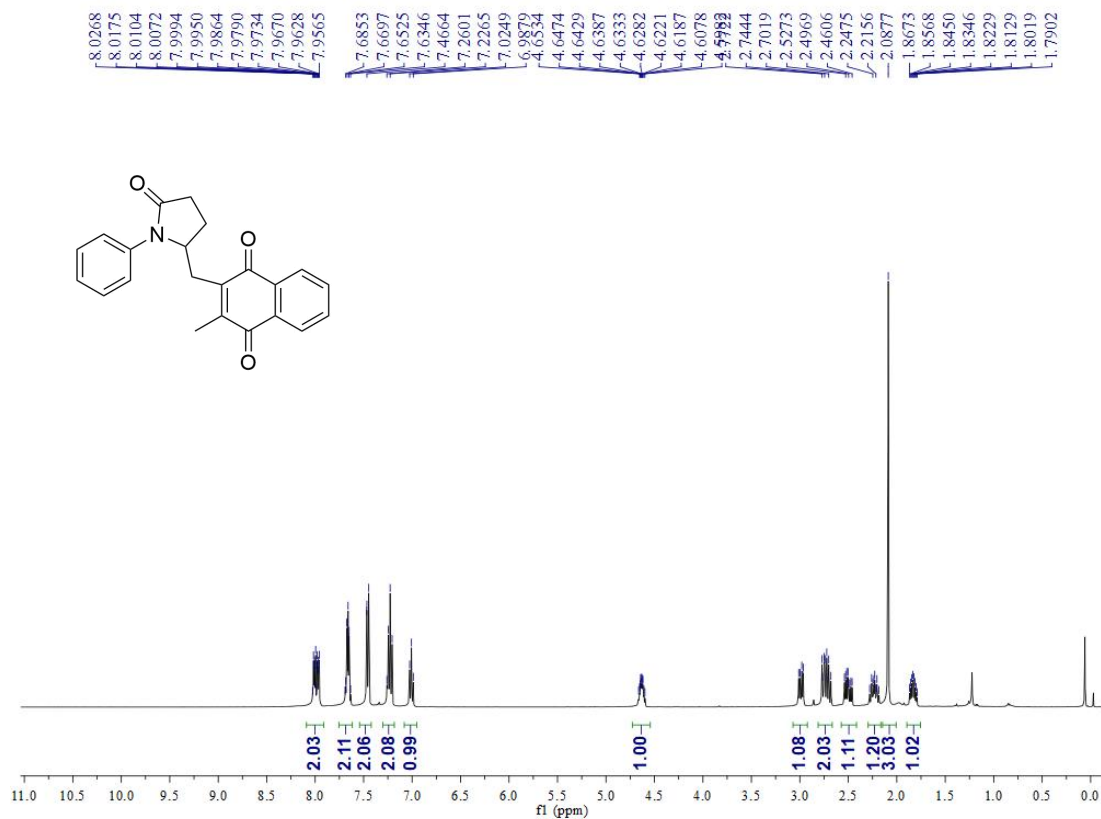
R(reflections)= 0.0425( 4602) wR2(reflections)=  
S = 1.038 Npar= 469 0.1125( 5271)

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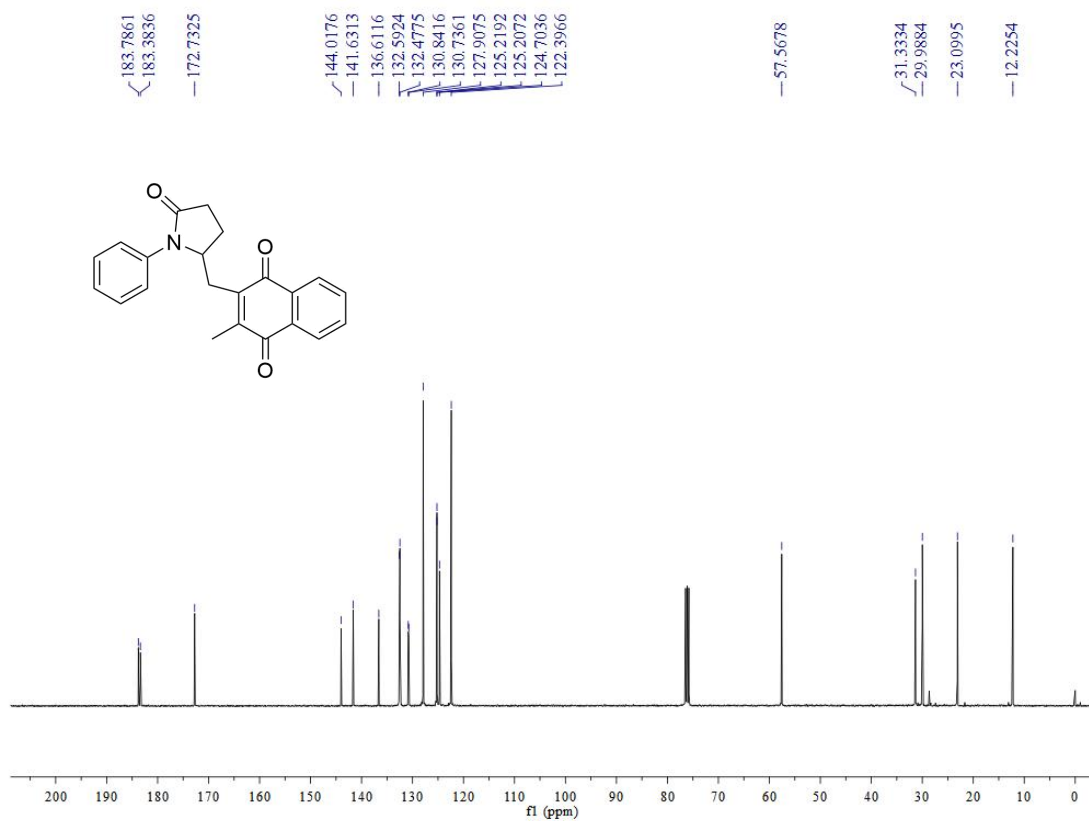
# Copies of $^1\text{H}$ and $^{13}\text{C}$ NMR spectra

## 2-methyl-3-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3aa)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )



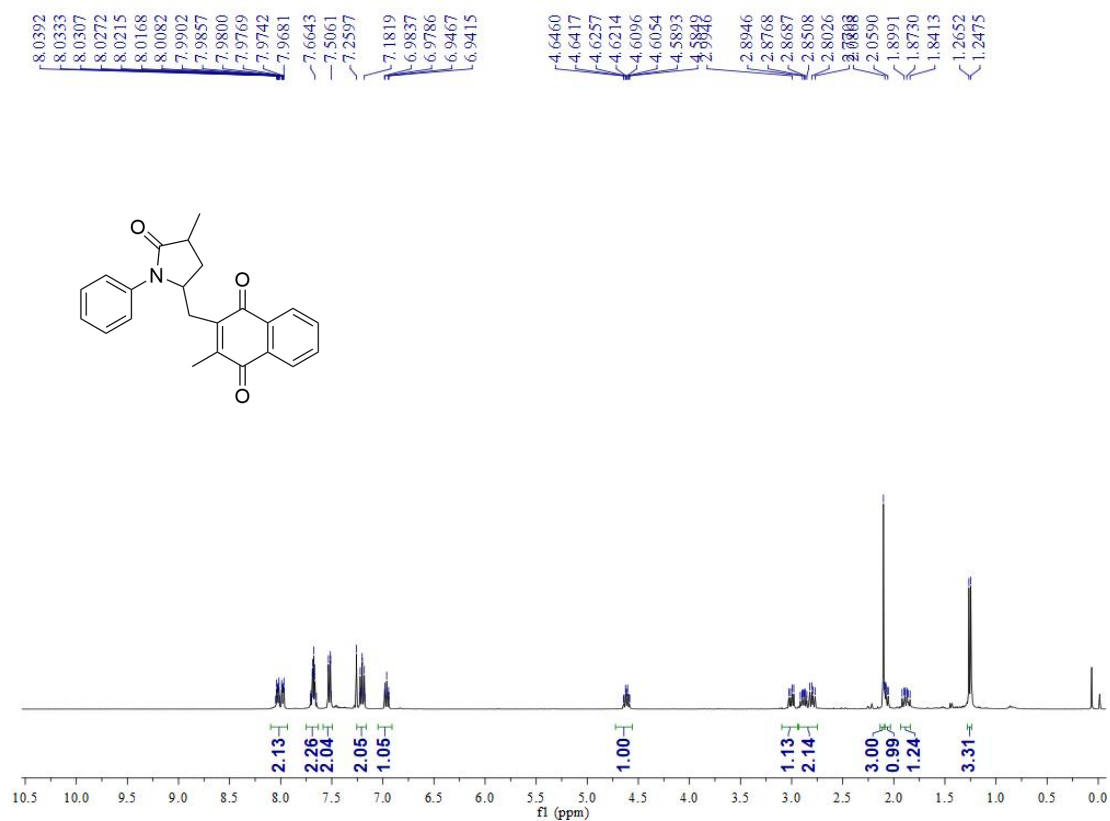
$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )



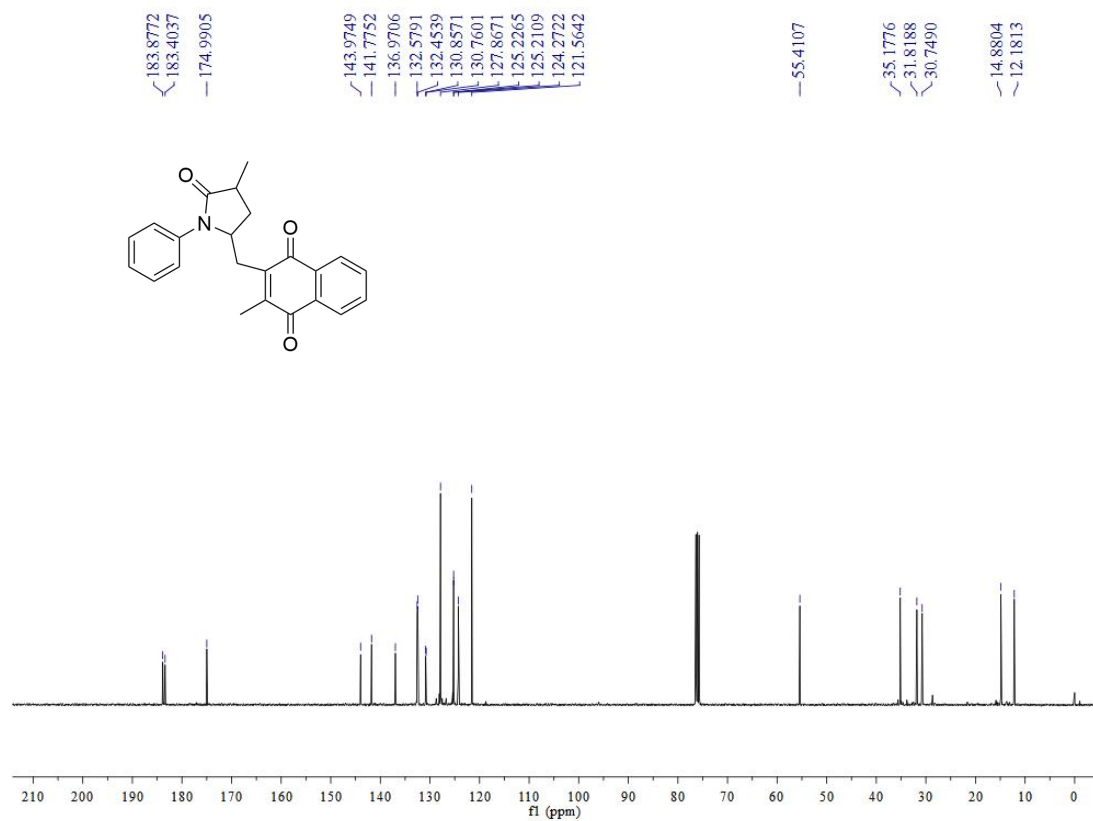
## 2-methyl-3-((4-methyl-5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3ba)

First eluting diastereomer

$^1\text{H}$  NMR of first diastereomer of 3ba(400 MHz,  $\text{CDCl}_3$ )



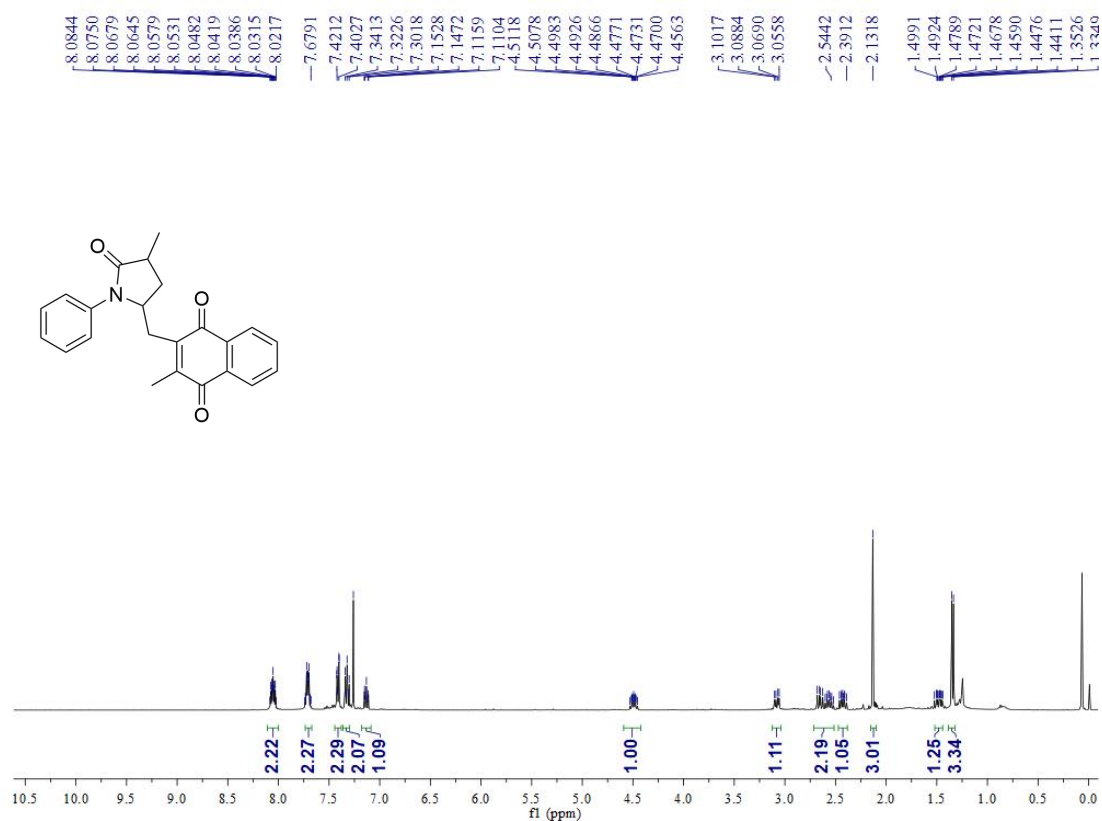
$^{13}\text{C}$  NMR of first diastereomer of 3ba(400 MHz,  $\text{CDCl}_3$ )



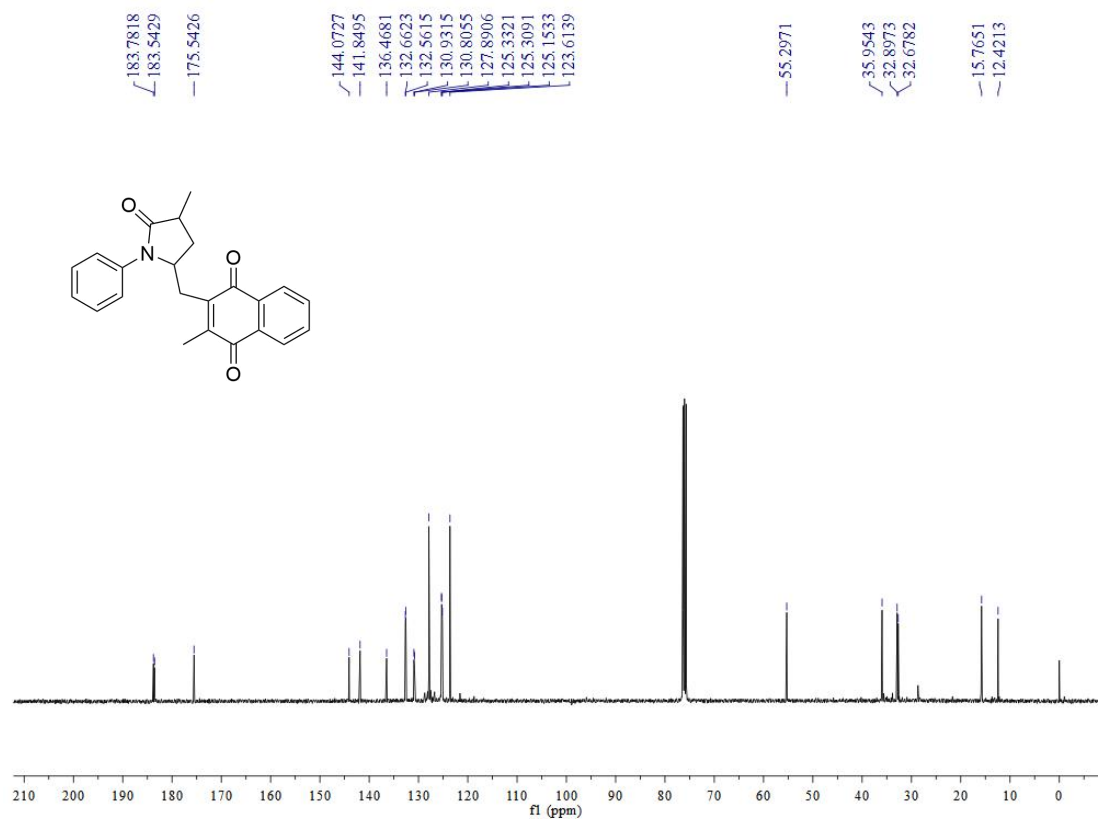


Second eluting diastereomer

$^1\text{H}$  NMR of second diastereomer of 3ba(400 MHz,  $\text{CDCl}_3$ )

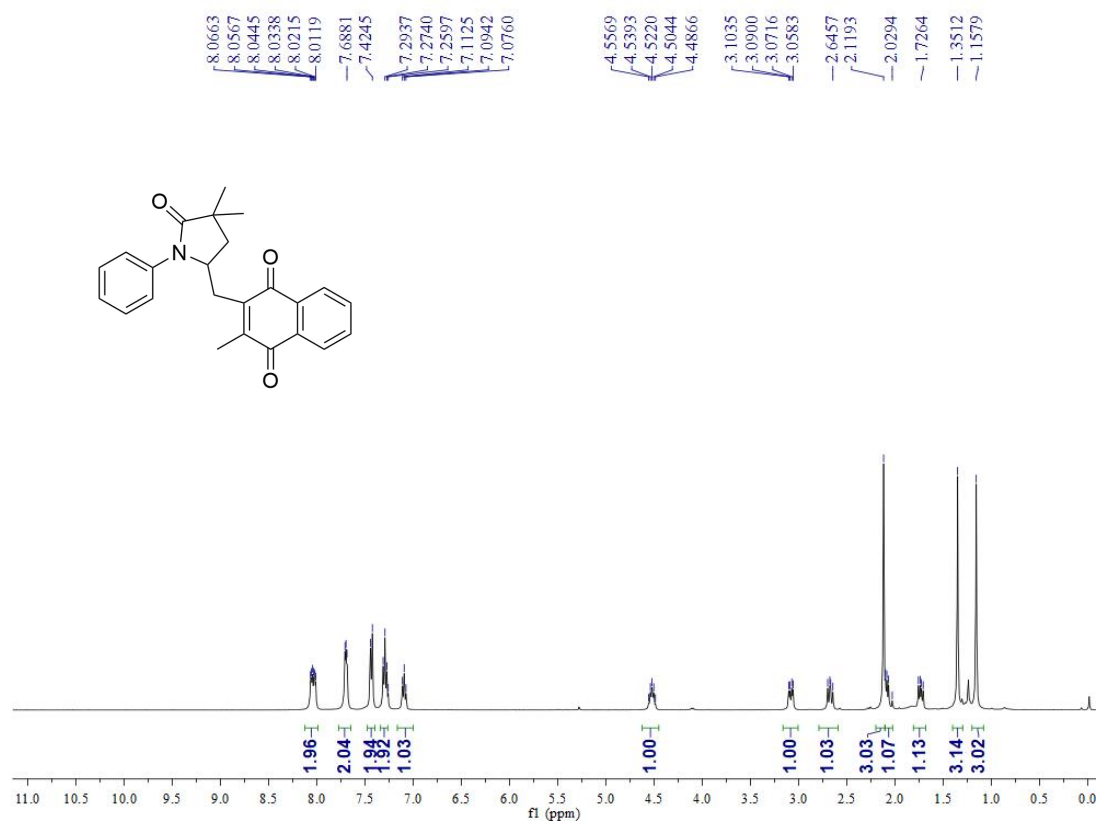


$^{13}\text{C}$  NMR of first diastereomer of 3ba(400 MHz,  $\text{CDCl}_3$ )

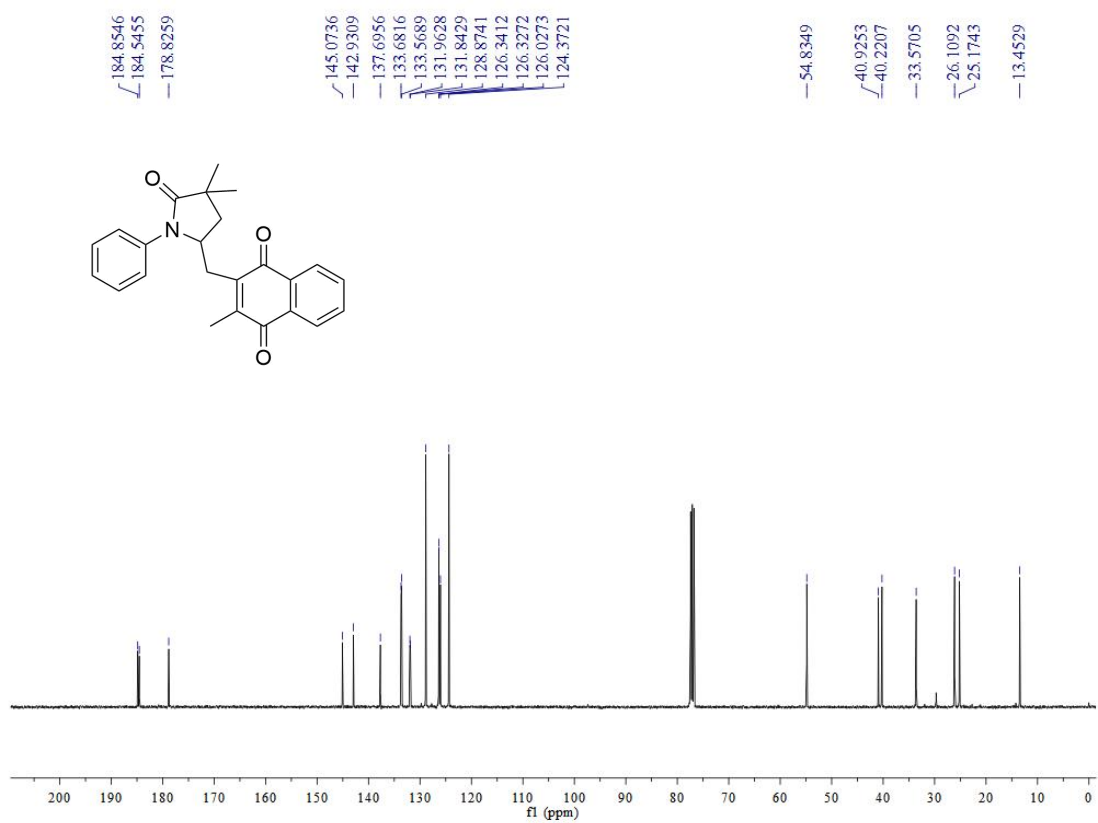


**2-((4,4-dimethyl-5-oxo-1-phenylpyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ca)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

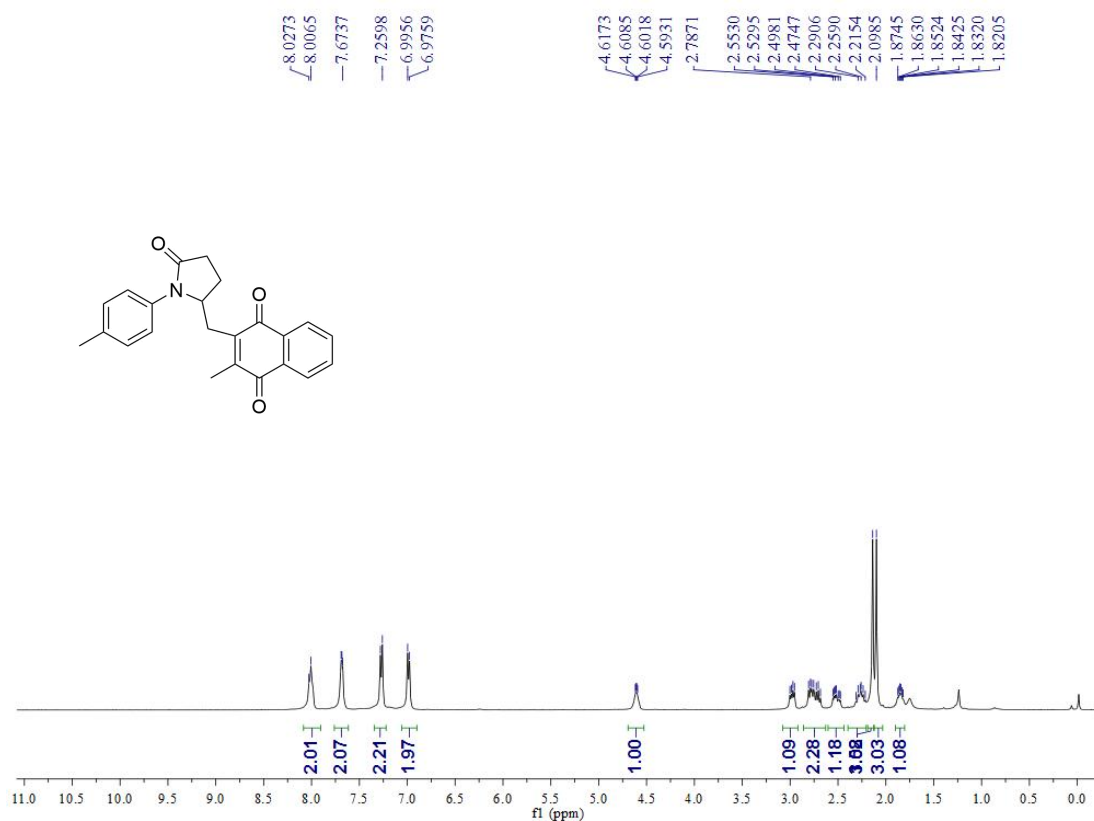


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

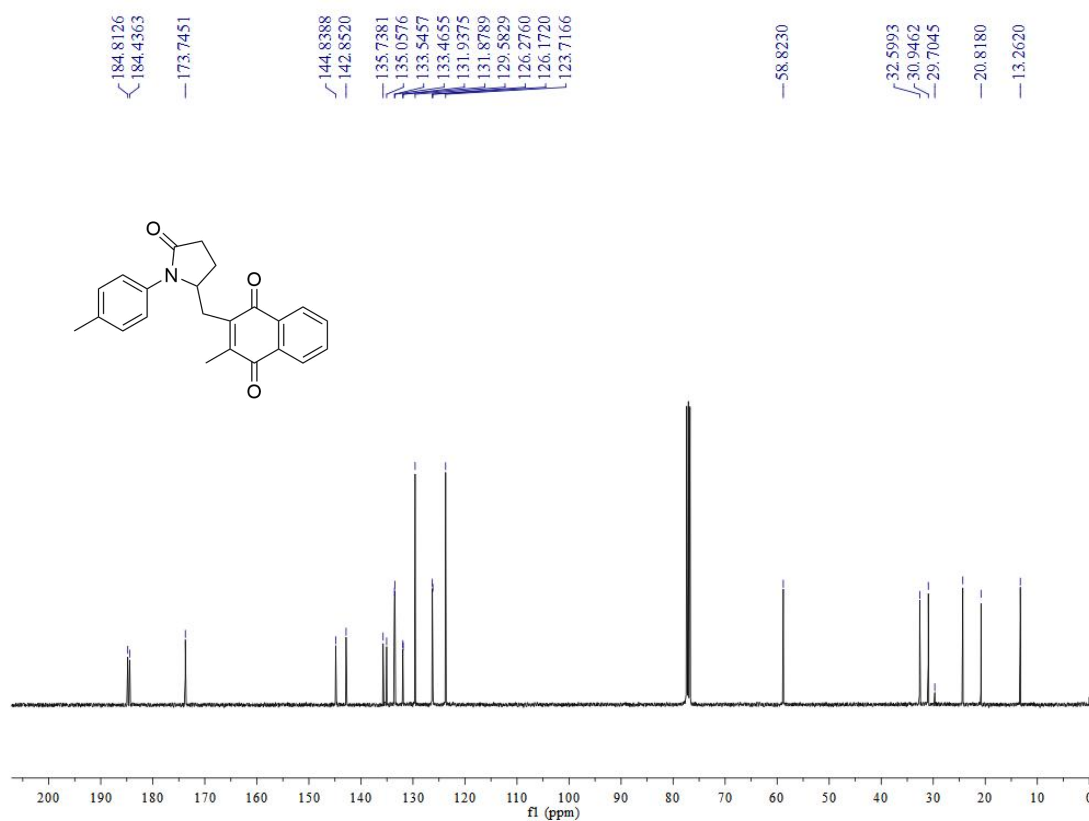


### 2-methyl-3-((5-oxo-1-(p-tolyl)pyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3da)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

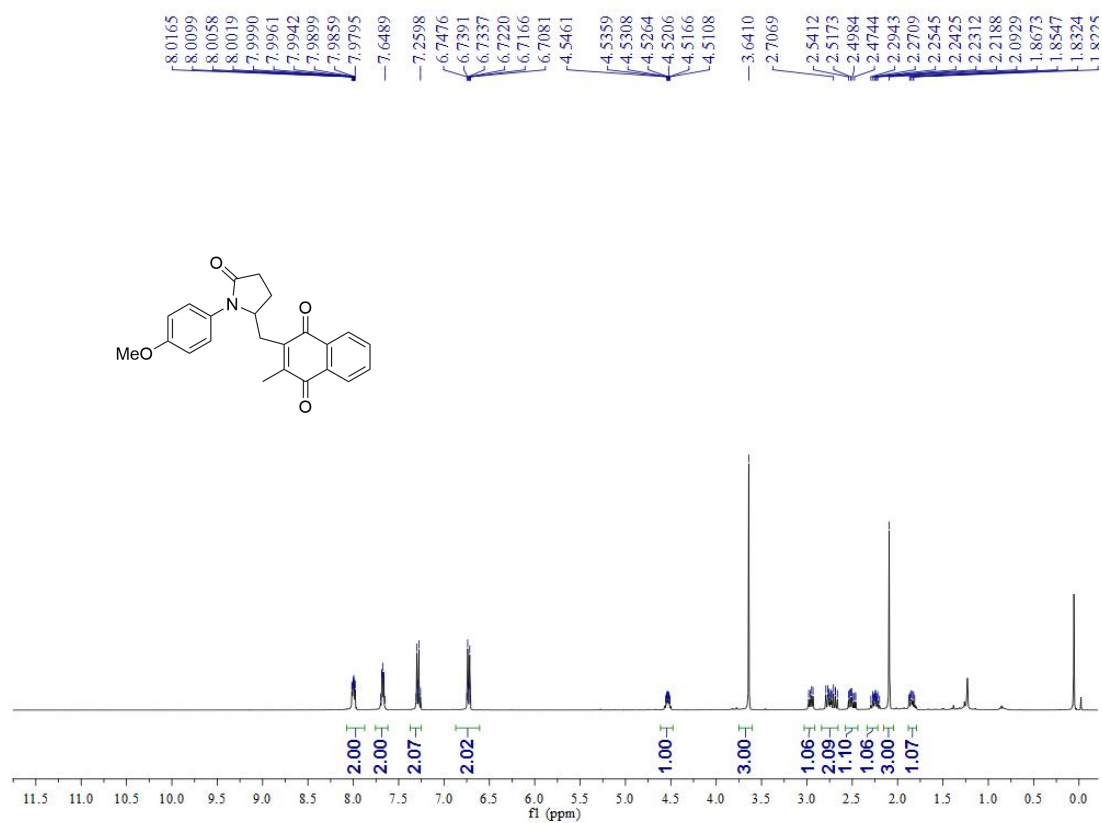


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

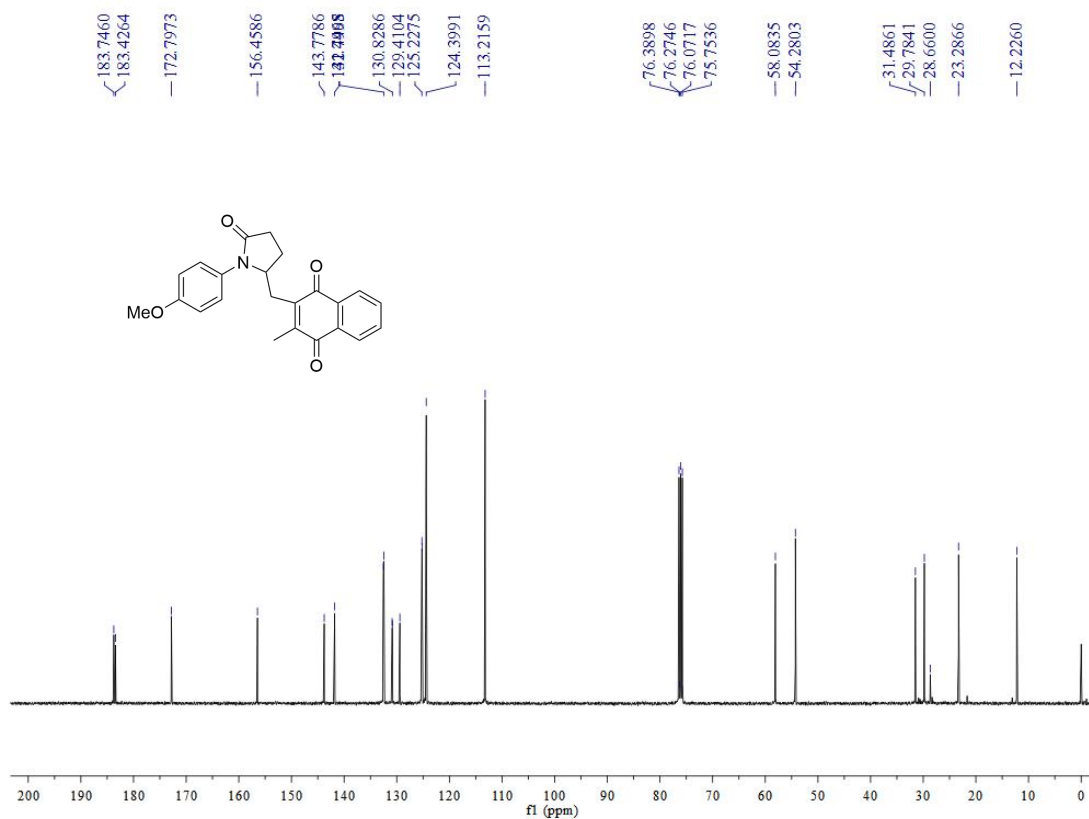


**2-((1-(4-methoxyphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ea)**

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)

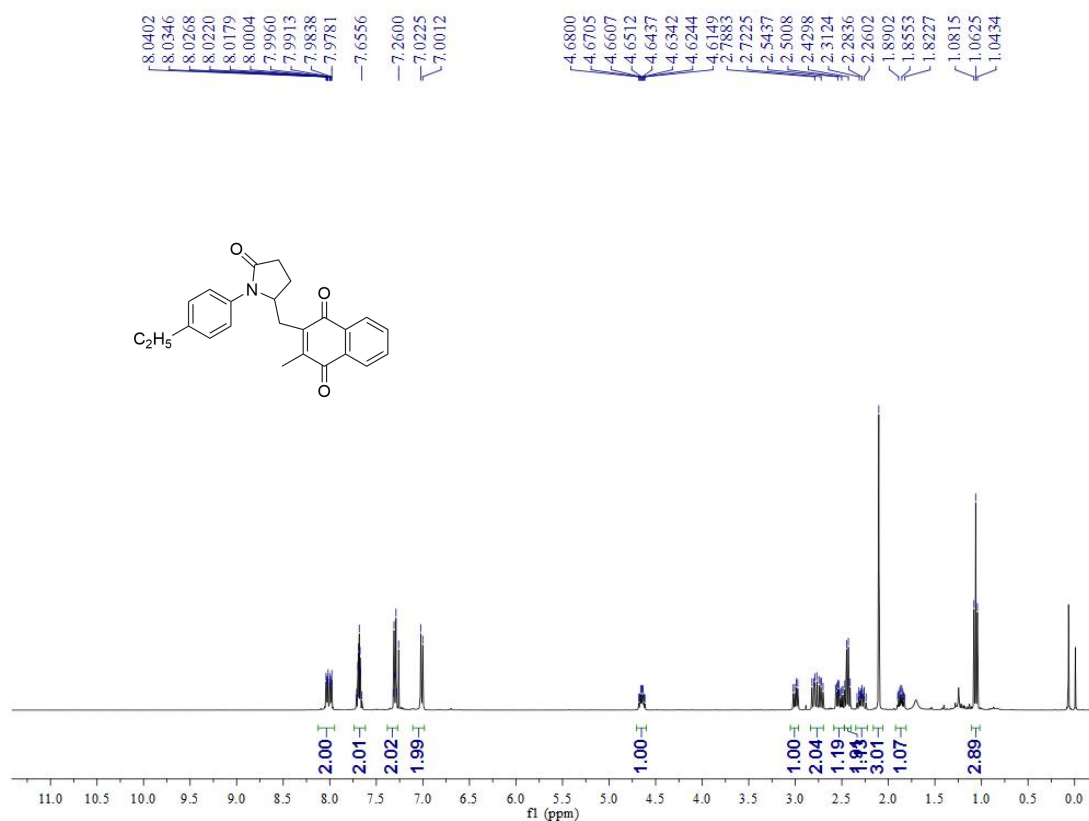


<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)

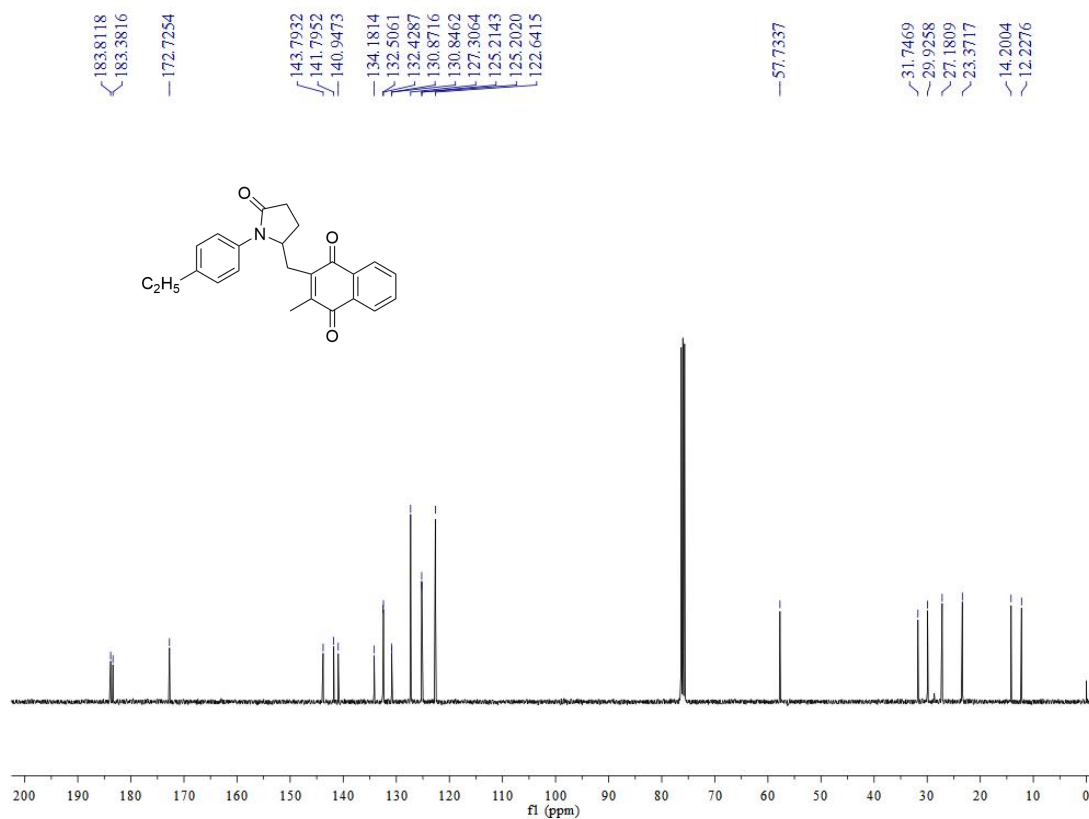


**2-((1-(4-ethylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3fa)**

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)

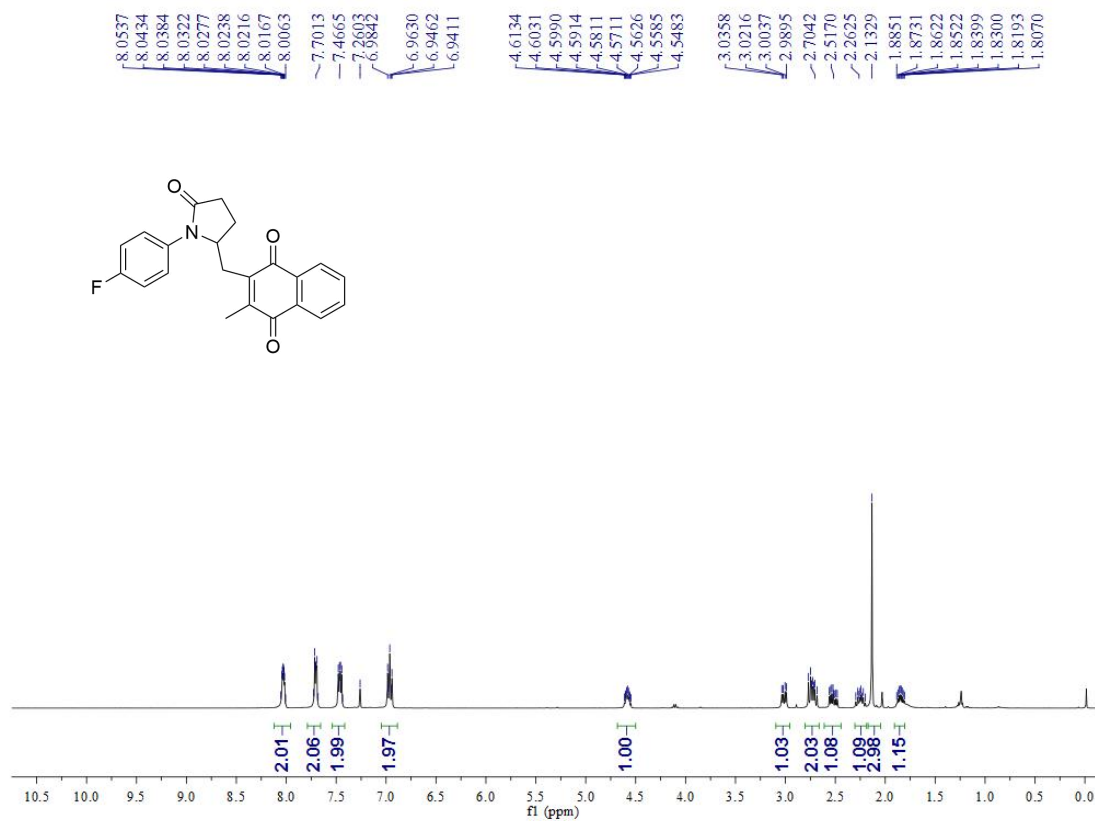


<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)

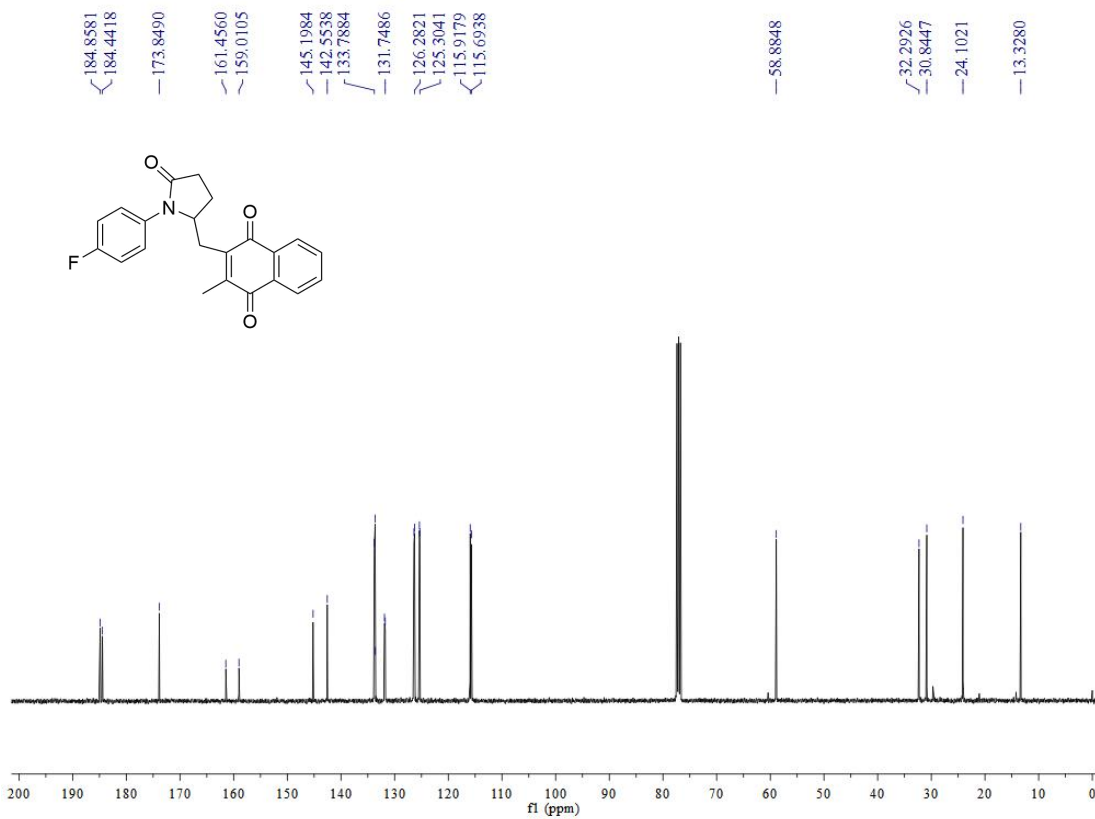


### 2-((1-(4-fluorophenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ga)

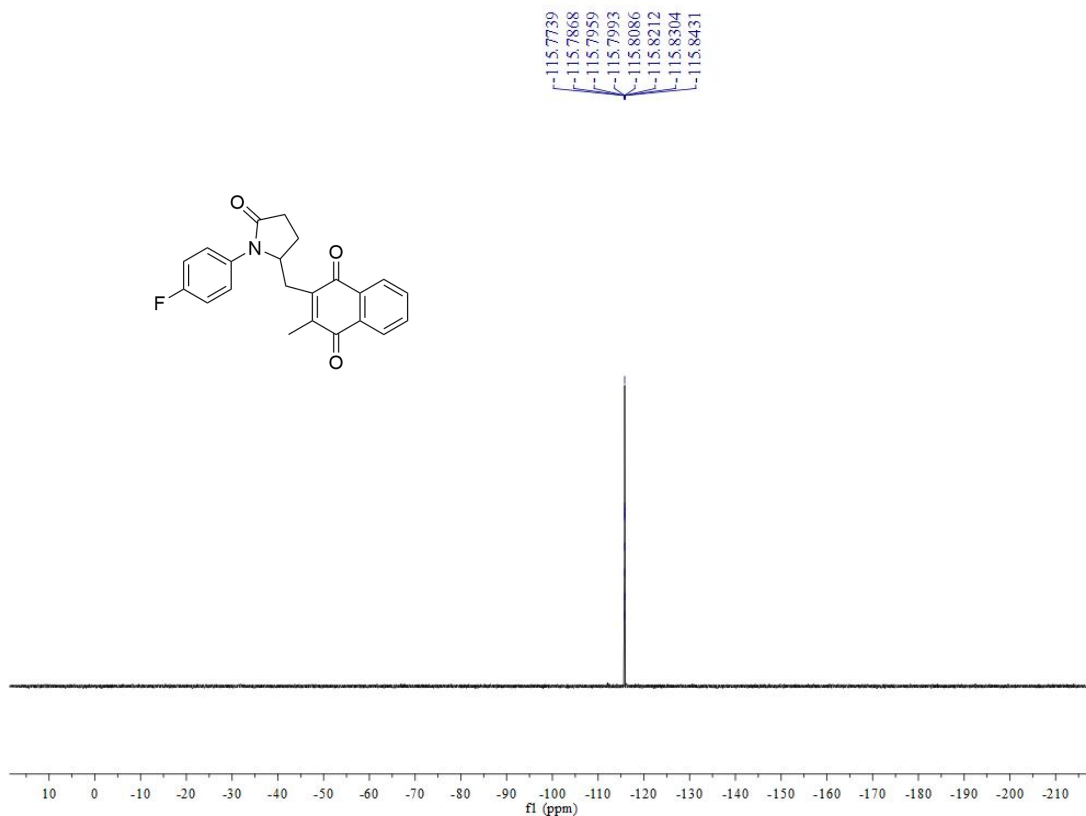
$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )



$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

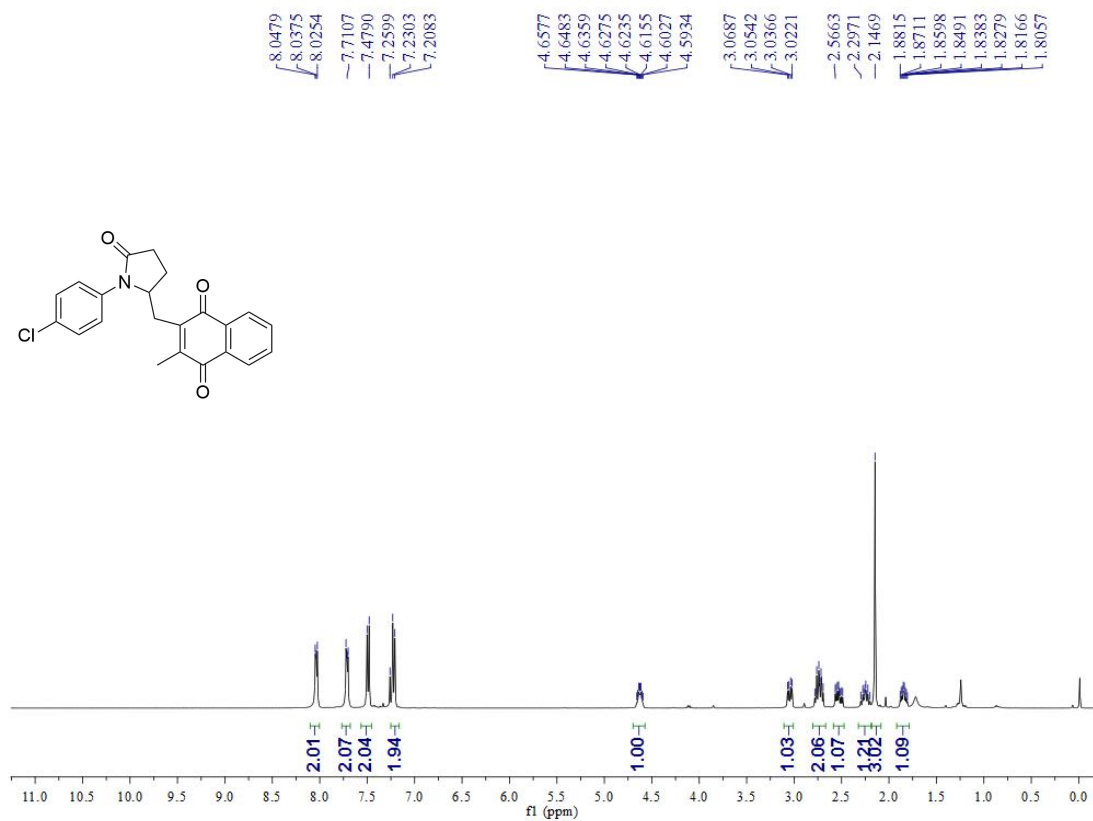


$^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )

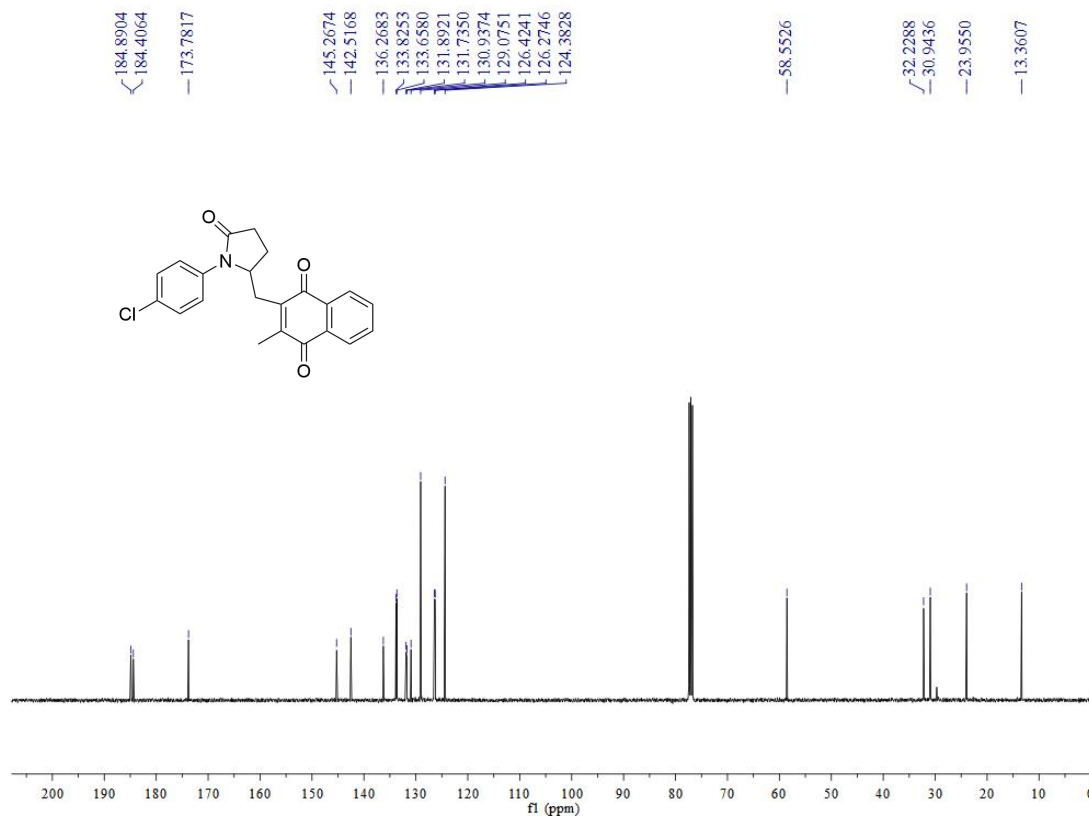


2-((1-(4-chlorophenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3a)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

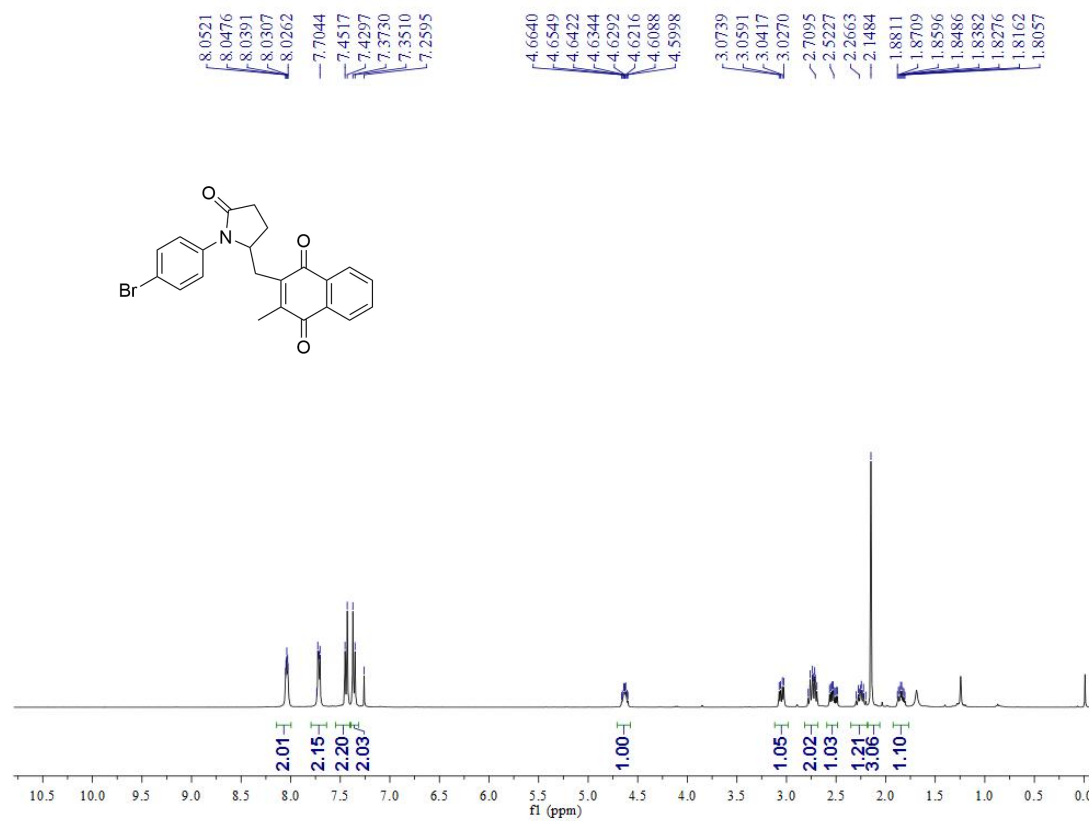


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )



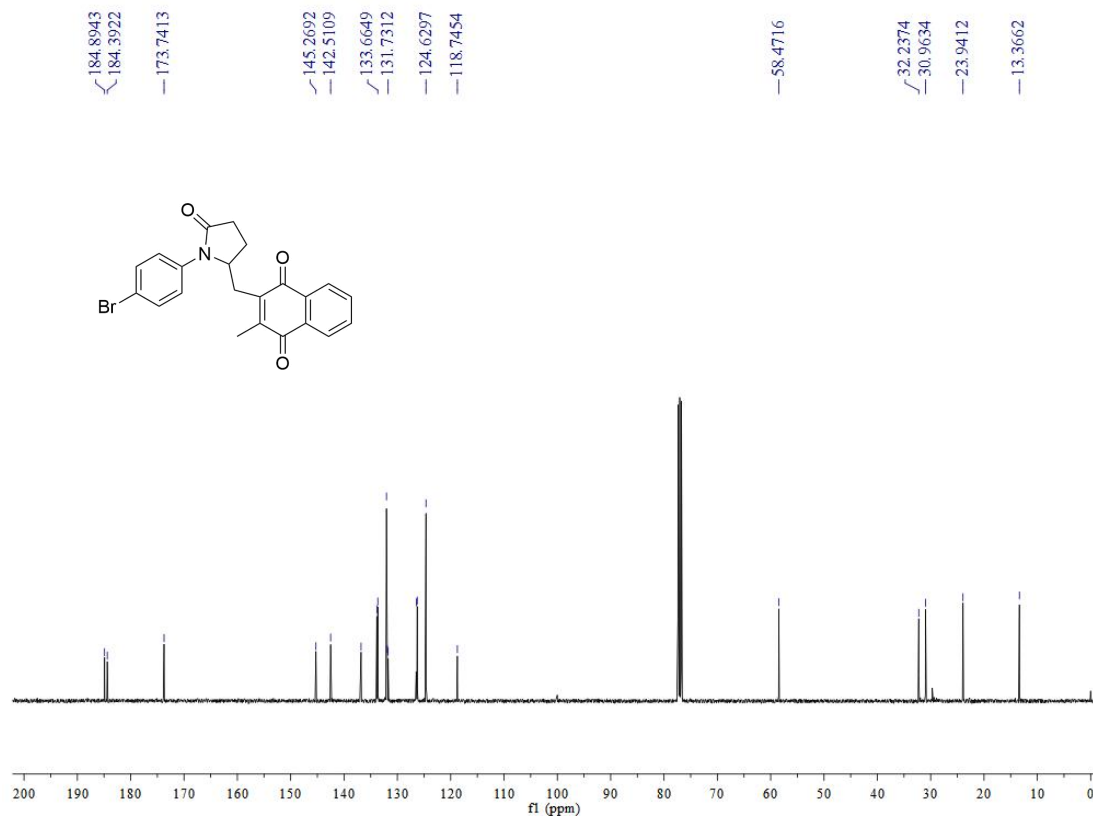
2-((1-(4-bromophenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ia)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )



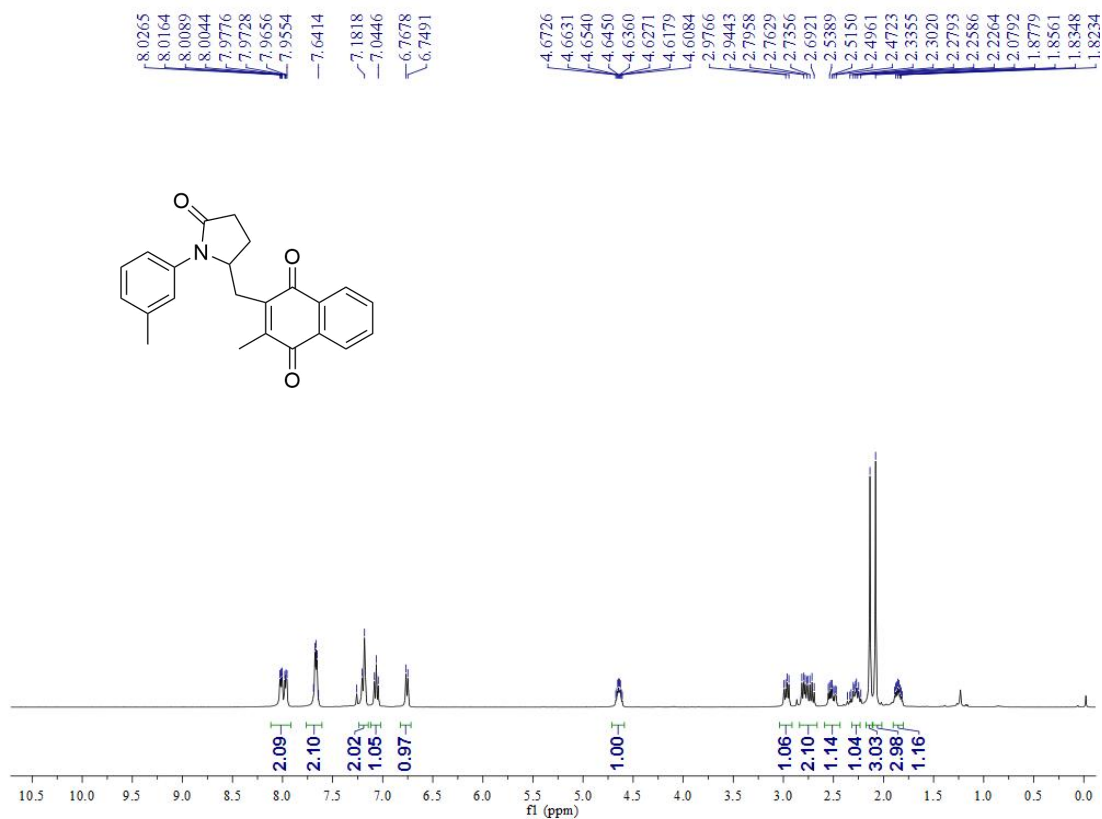


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

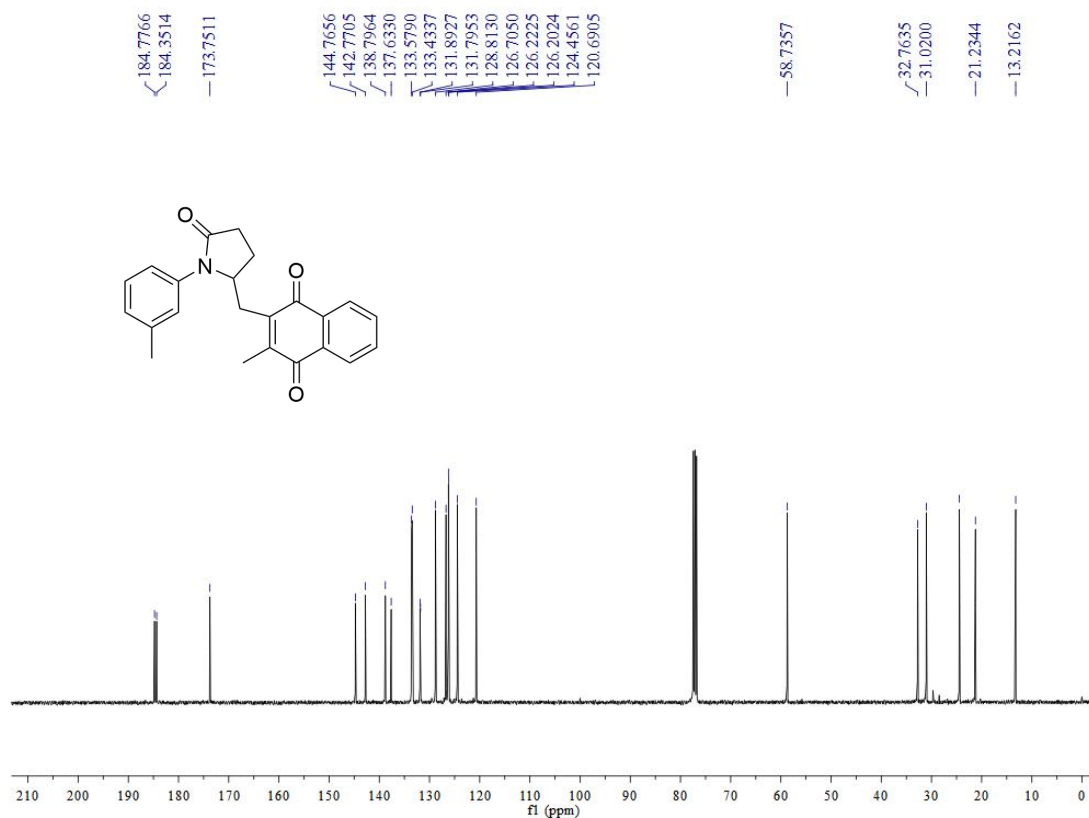


**2-methyl-3-((5-oxo-1-(m-tolyl)pyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3ja)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

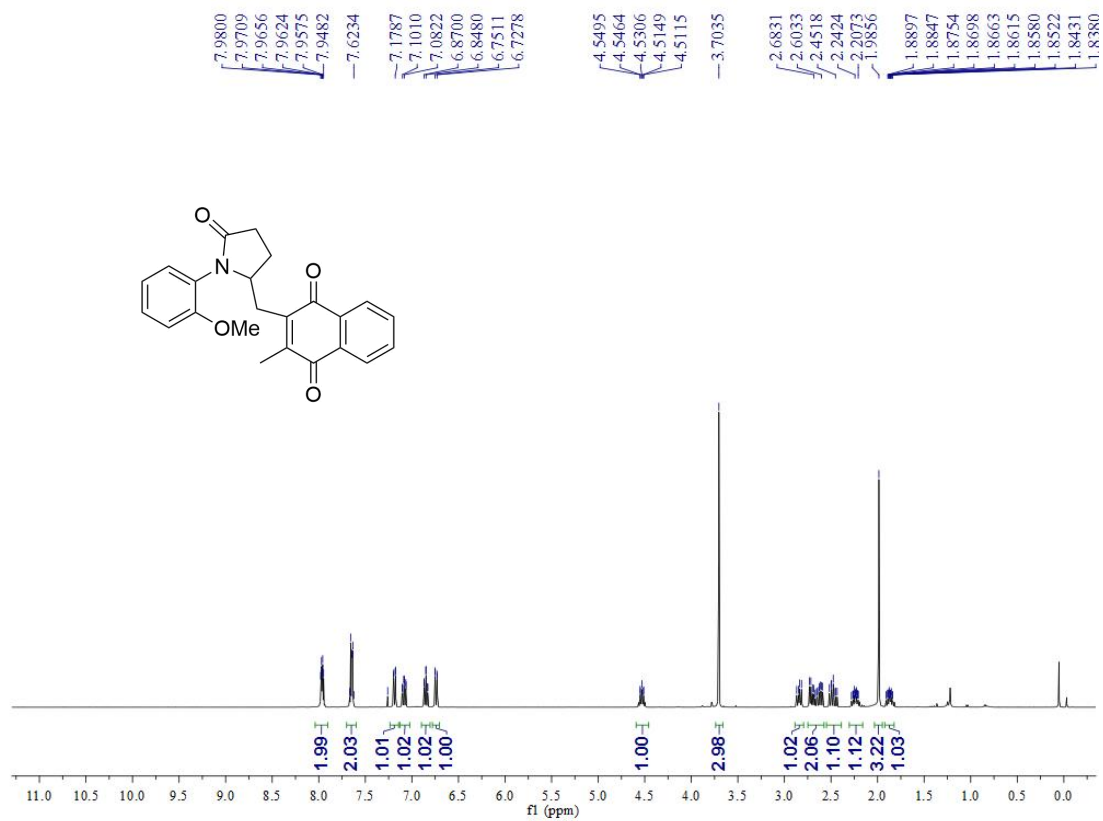


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

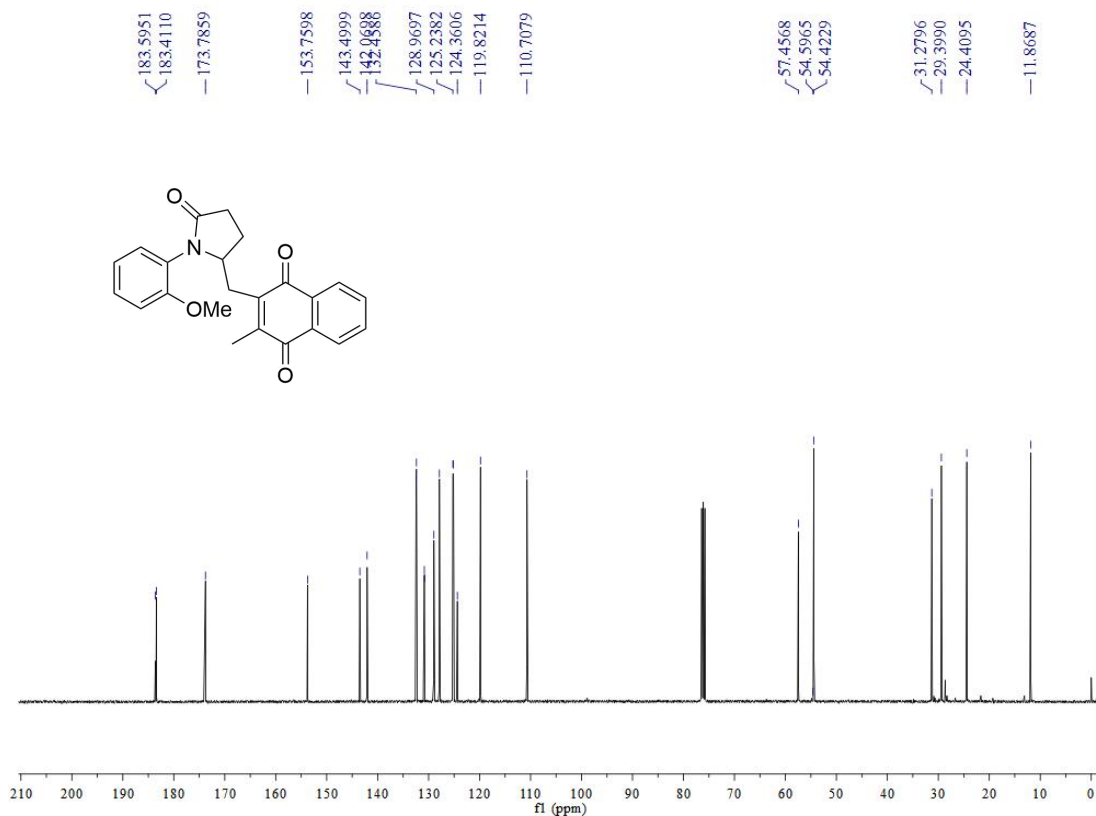


**2-((1-(2-methoxyphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ka)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

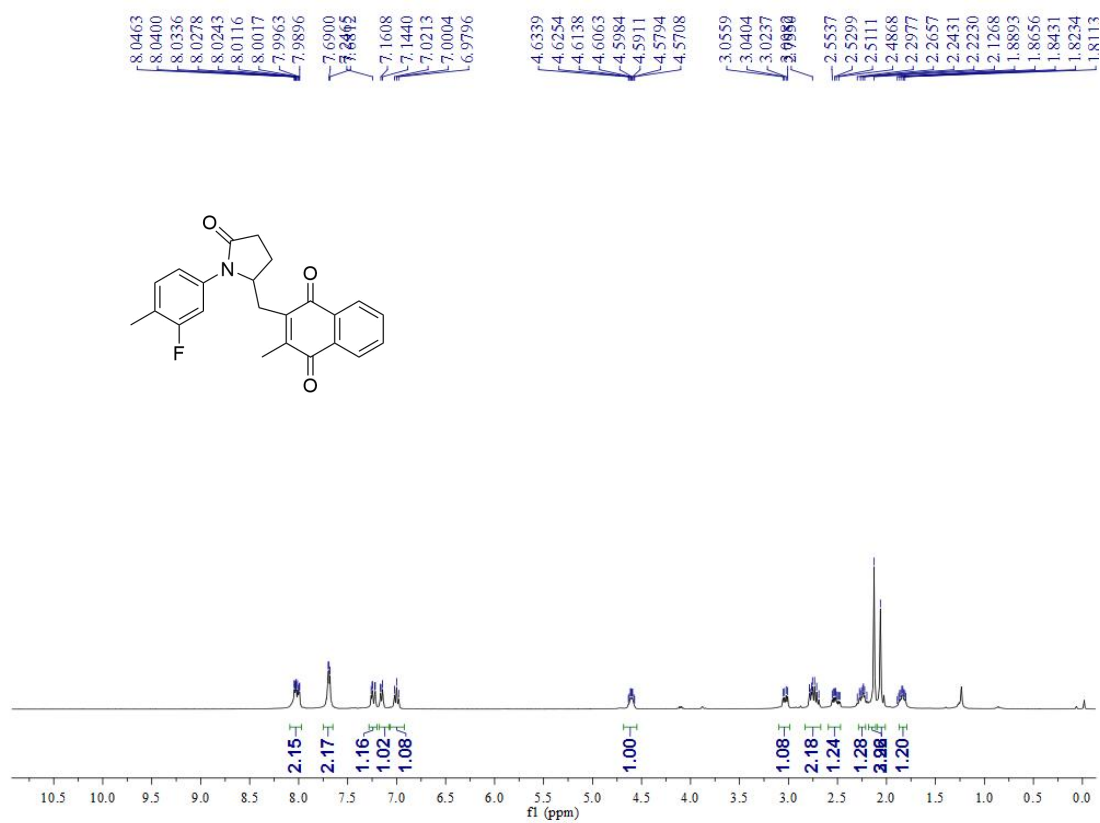


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )



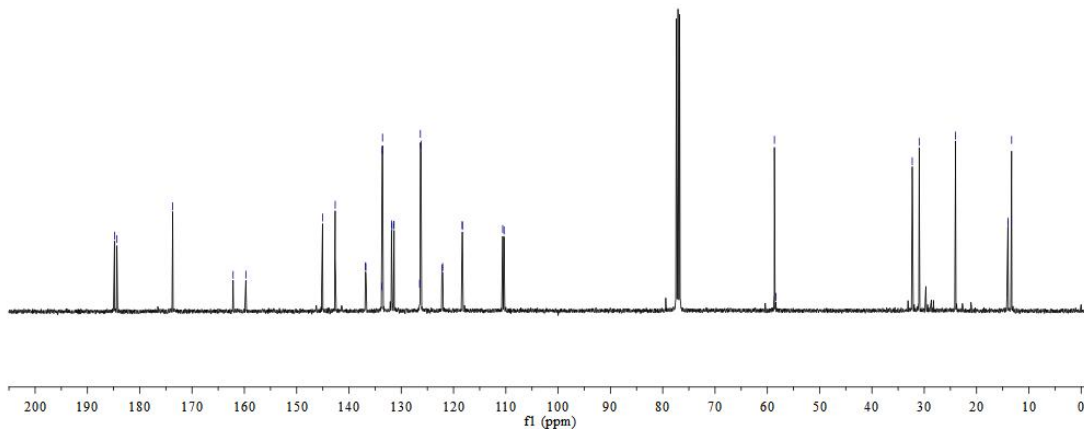
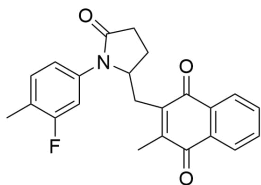
**2-((1-(3-fluoro-4-methylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3la)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )



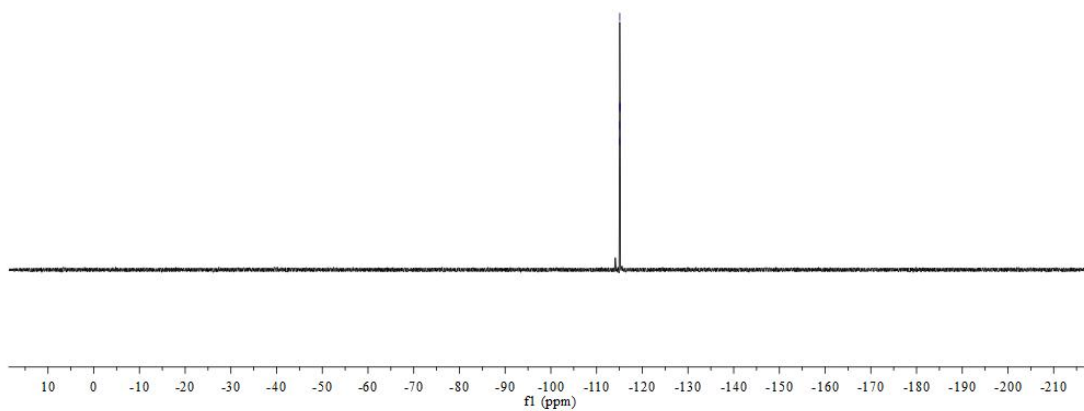
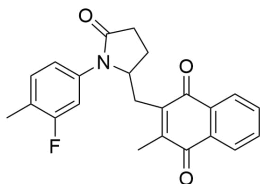
<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)

- 184.8285
- 184.3609
- 173.6984
- 162.1458
- 159.7089
- 145.0478
- 142.6292
- 133.5753
- 131.3677
- 126.2026
- 122.0680
- 118.3068
- 110.5935
- 110.3376
- 58.6465
- 58.3671
- 32.2962
- 30.9654
- 24.0175
- 14.0057
- 13.9739
- 13.2898



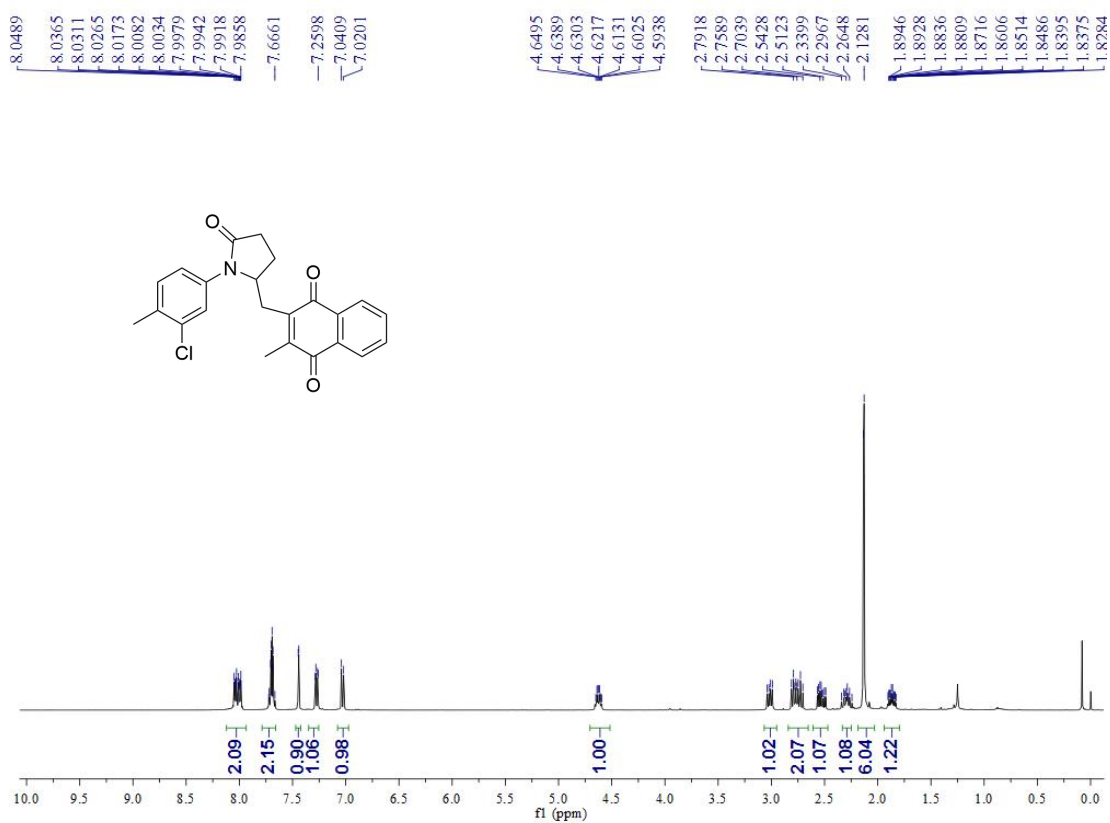
<sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>)

- 115.0443
- 115.0490
- 115.0731
- 115.0973
- 115.1014

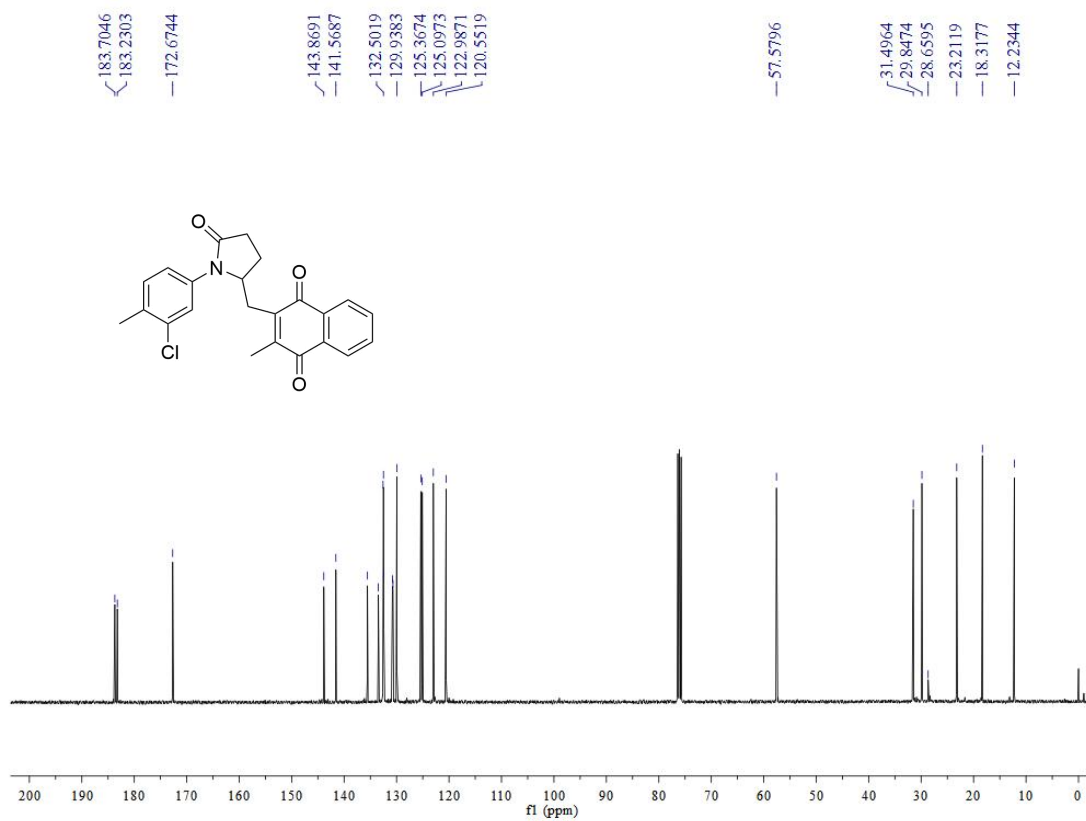


**2-((1-(3-chloro-4-methylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3ma)**

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)

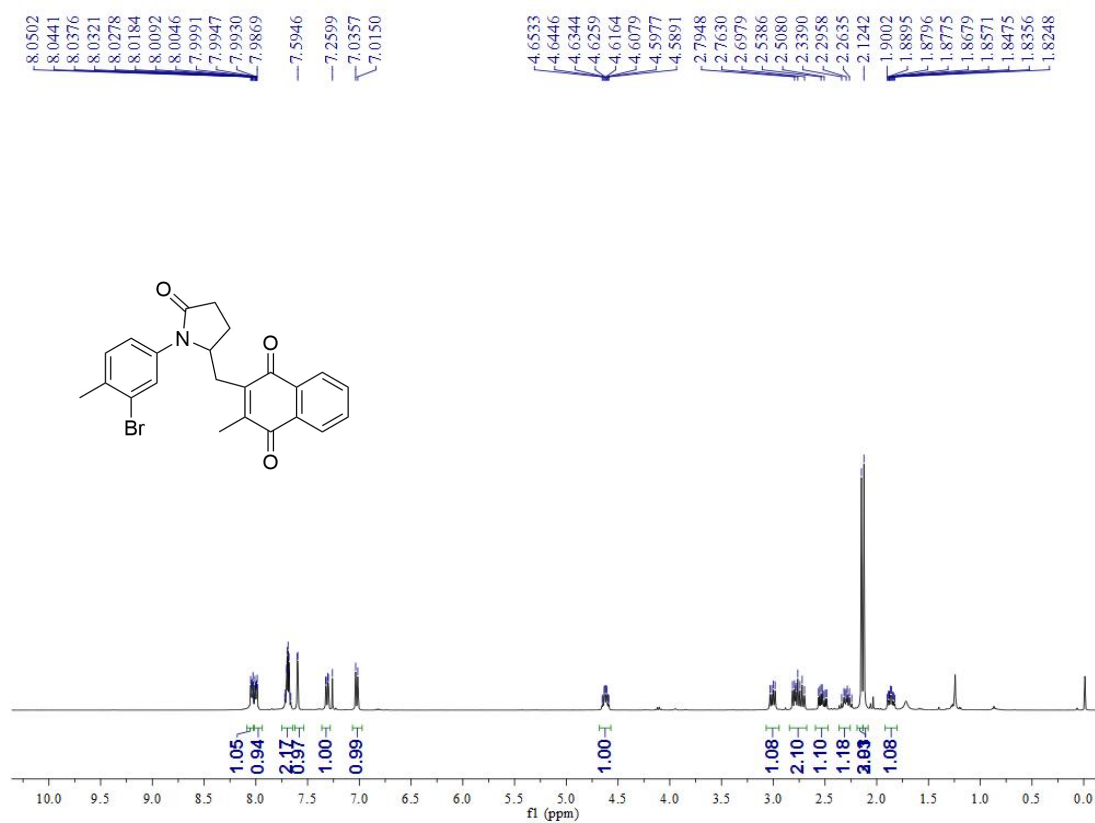


<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)

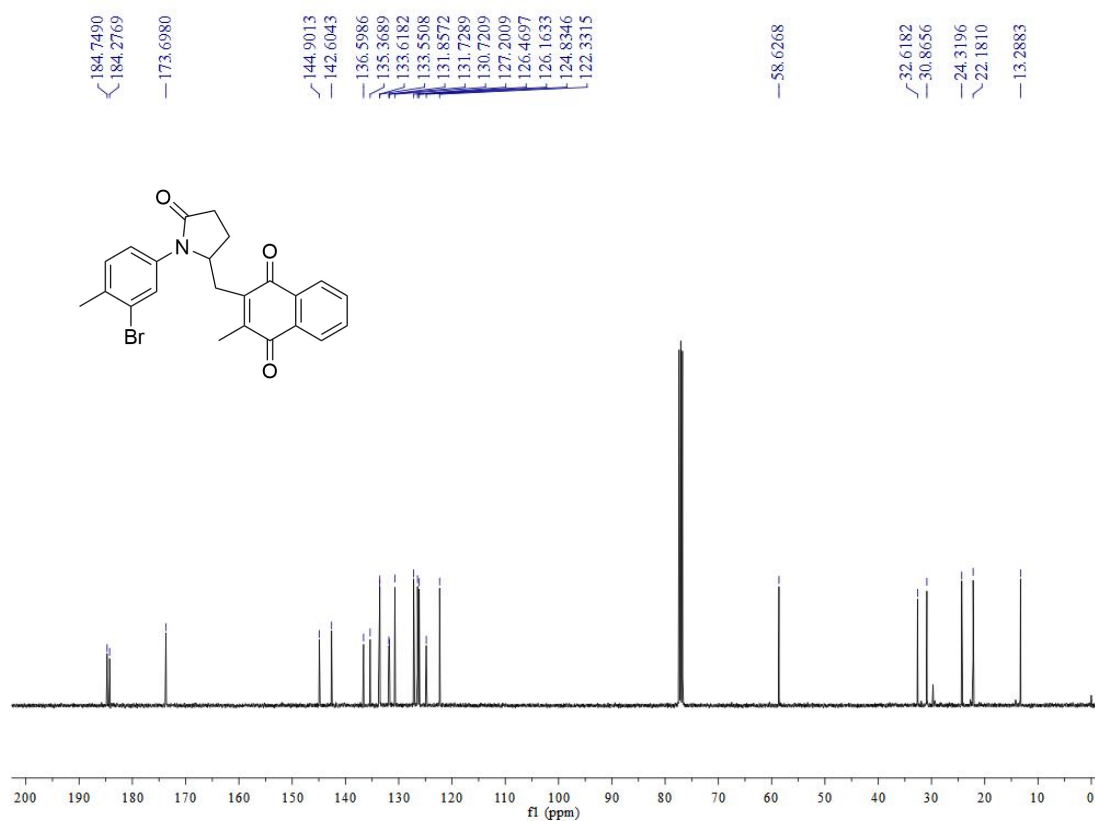


**2-((1-(3-bromo-4-methylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3na)**

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)

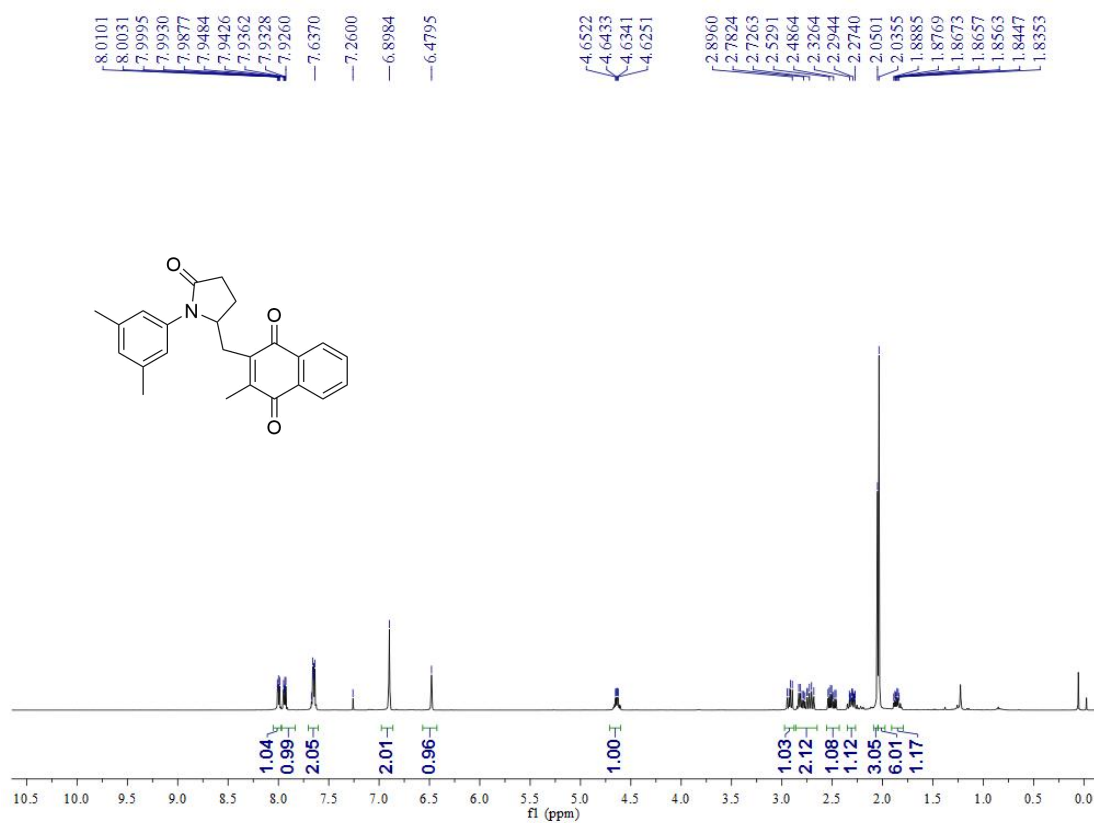


<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)

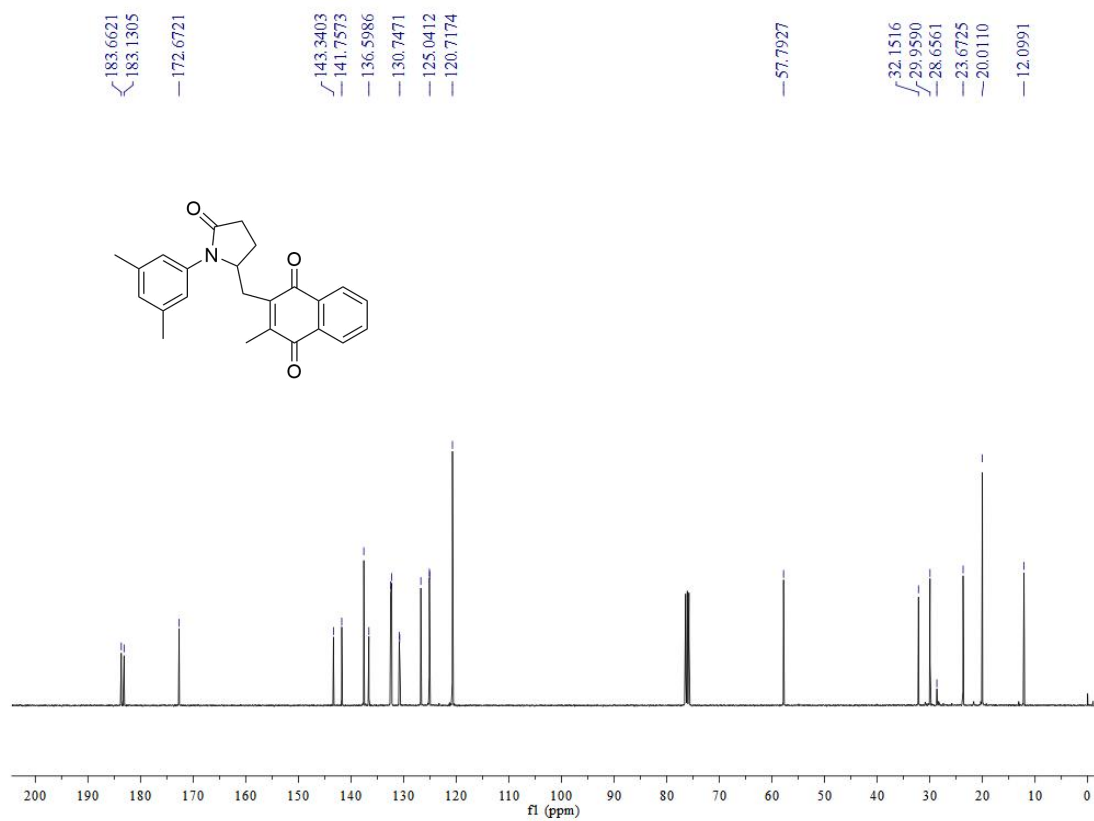


# 2-((1-(3,5-dimethylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (30a)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

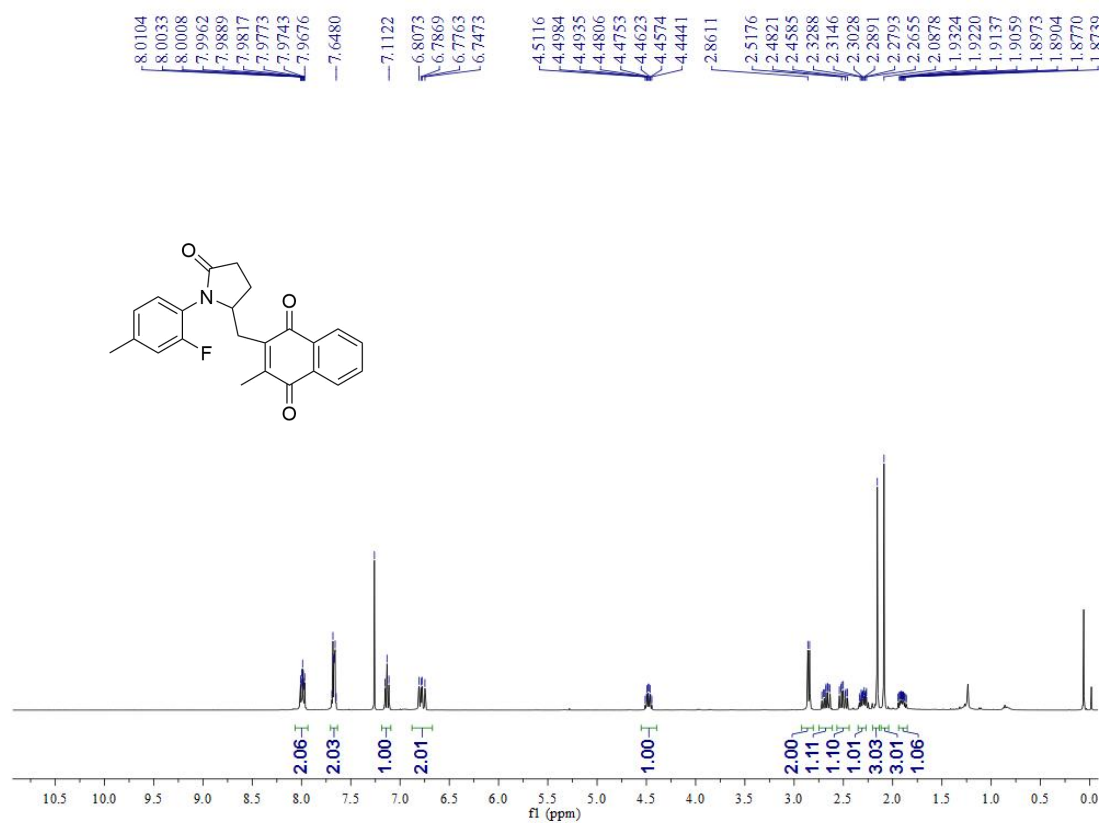


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

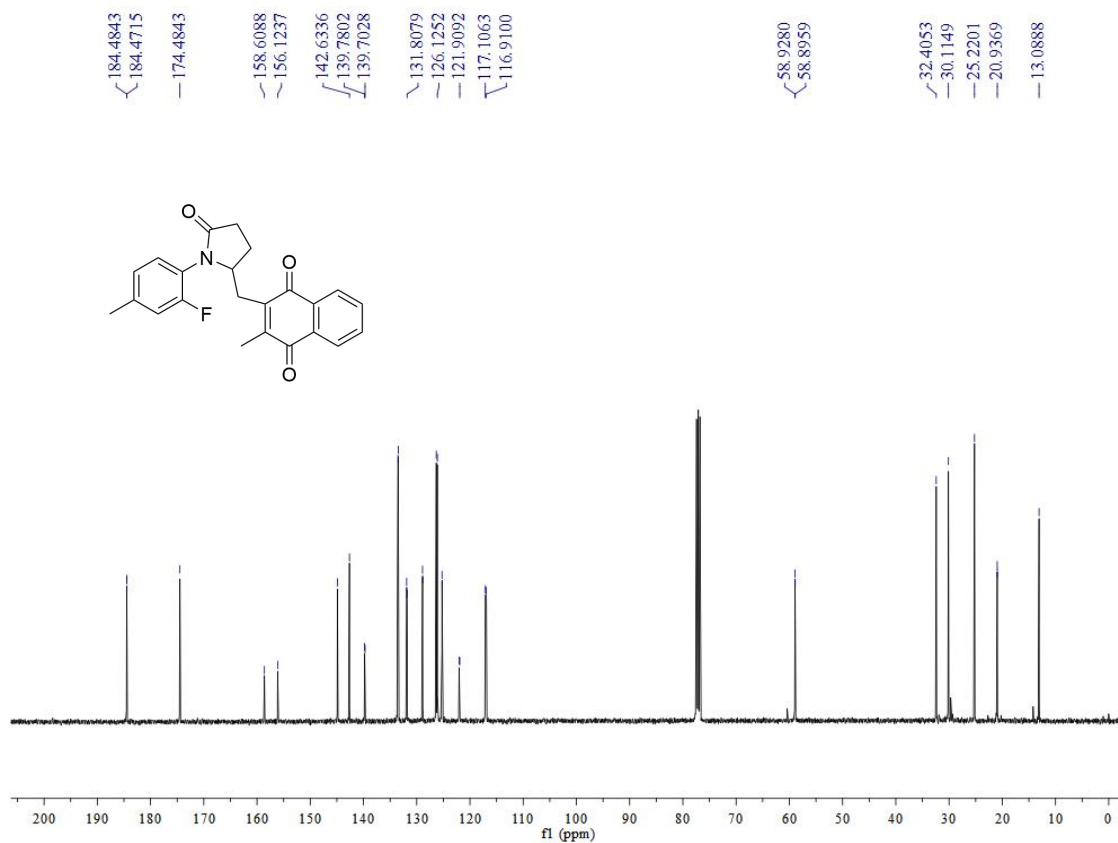


**2-((1-(2-fluoro-4-methylphenyl)-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3pa)**

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)

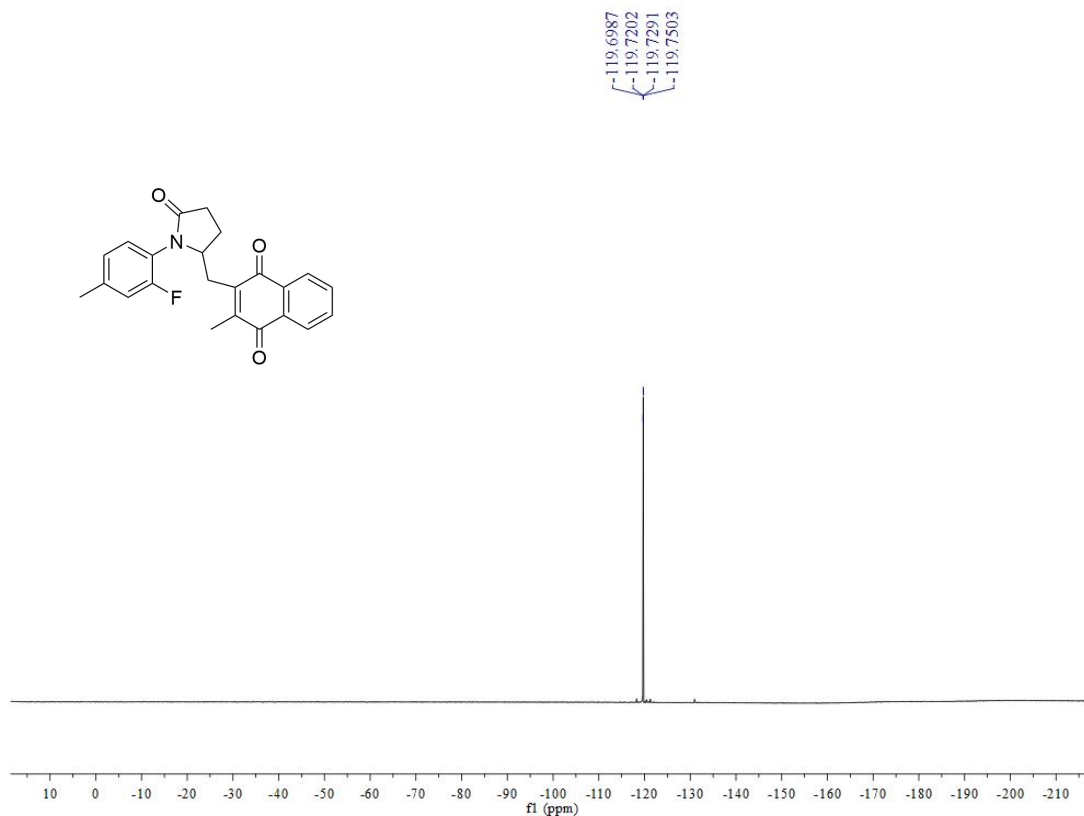


<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)



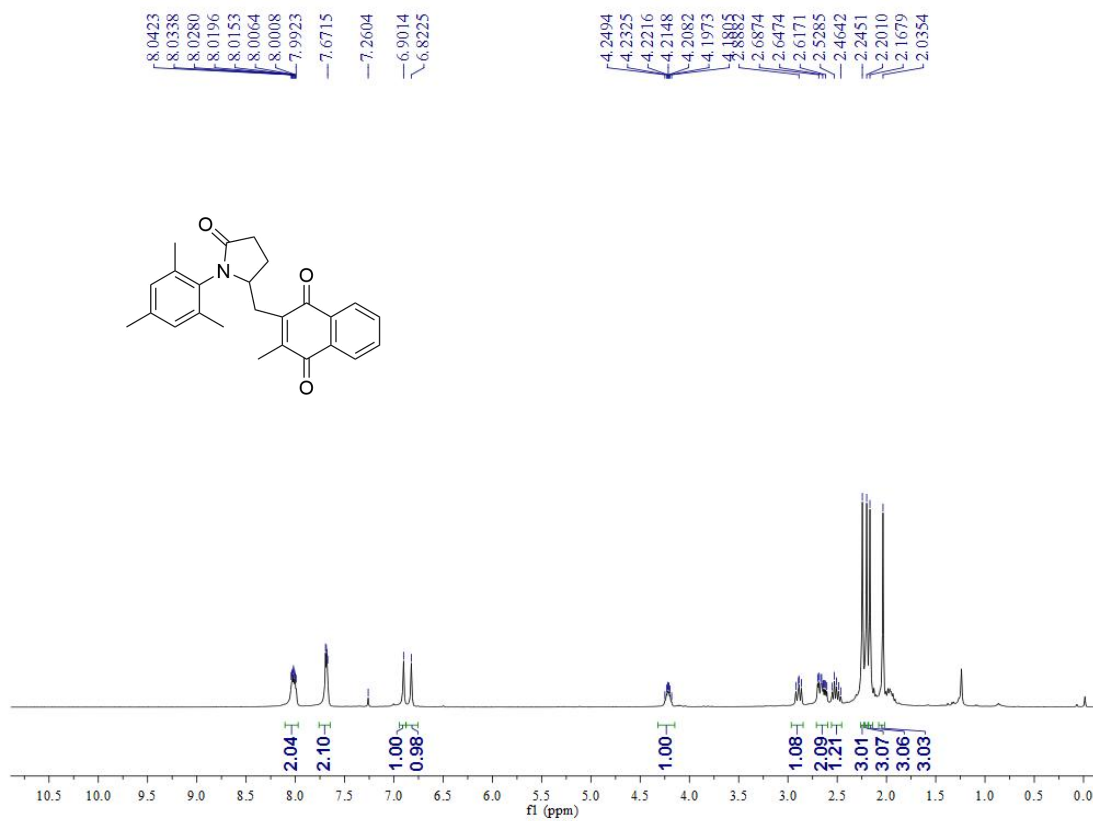


$^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )

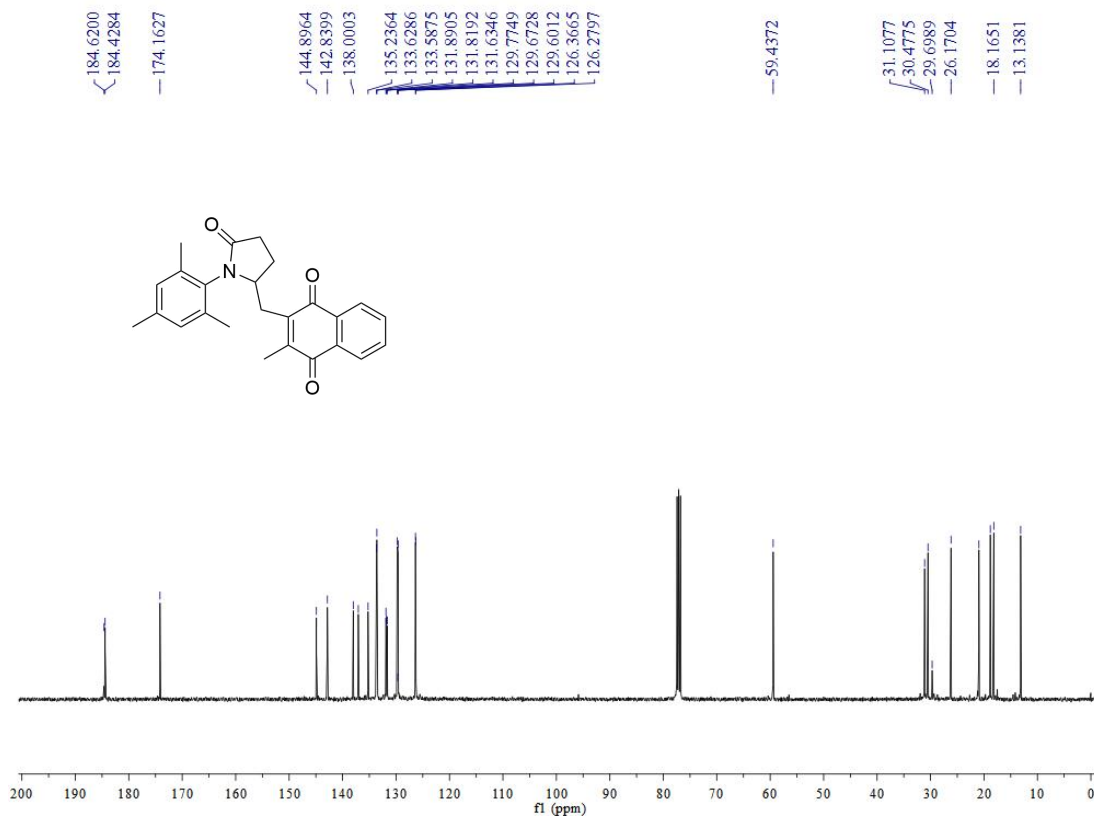


2-((1-mesityl-5-oxopyrrolidin-2-yl)methyl)-3-methylnaphthalene-1,4-dione (3qa)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

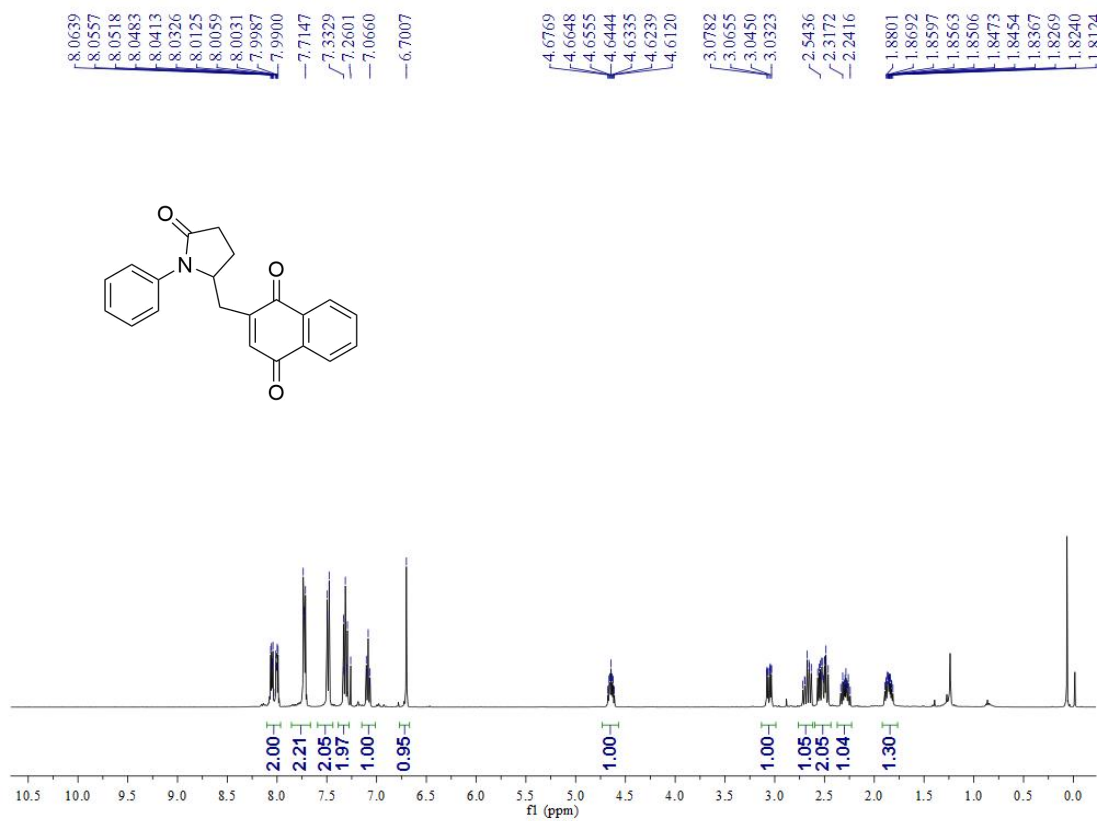


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

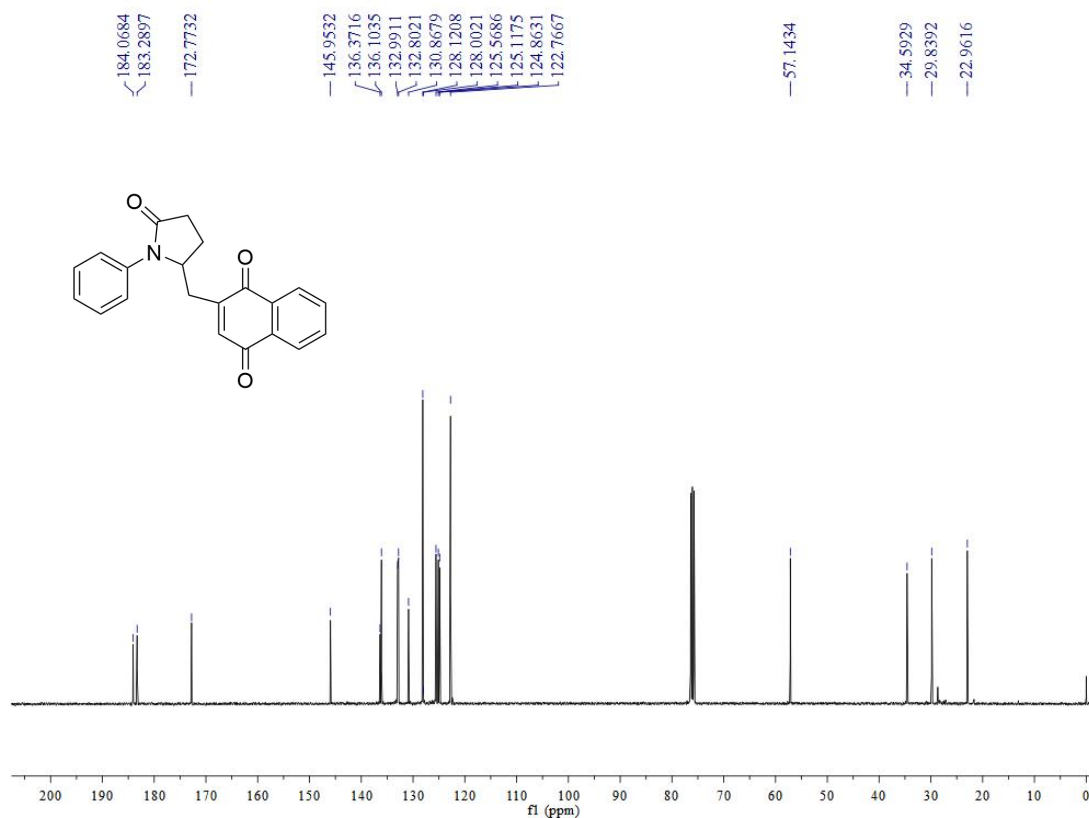


2-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3ab)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

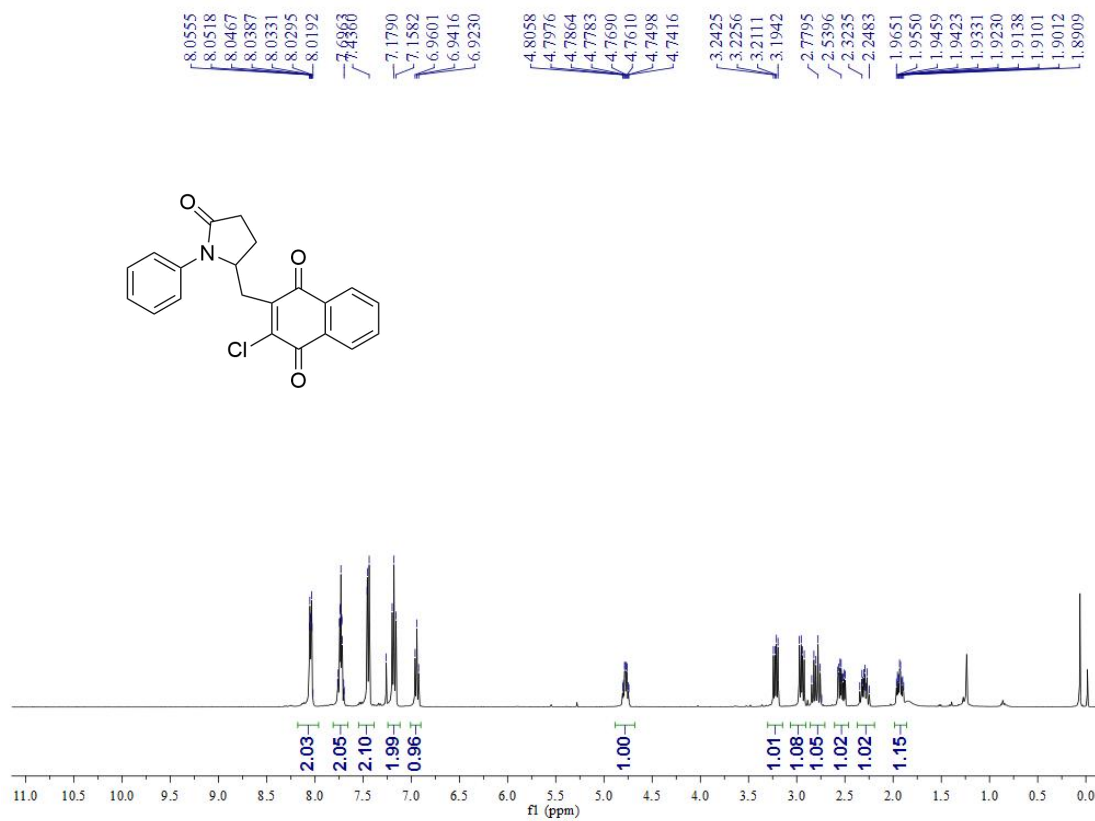


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

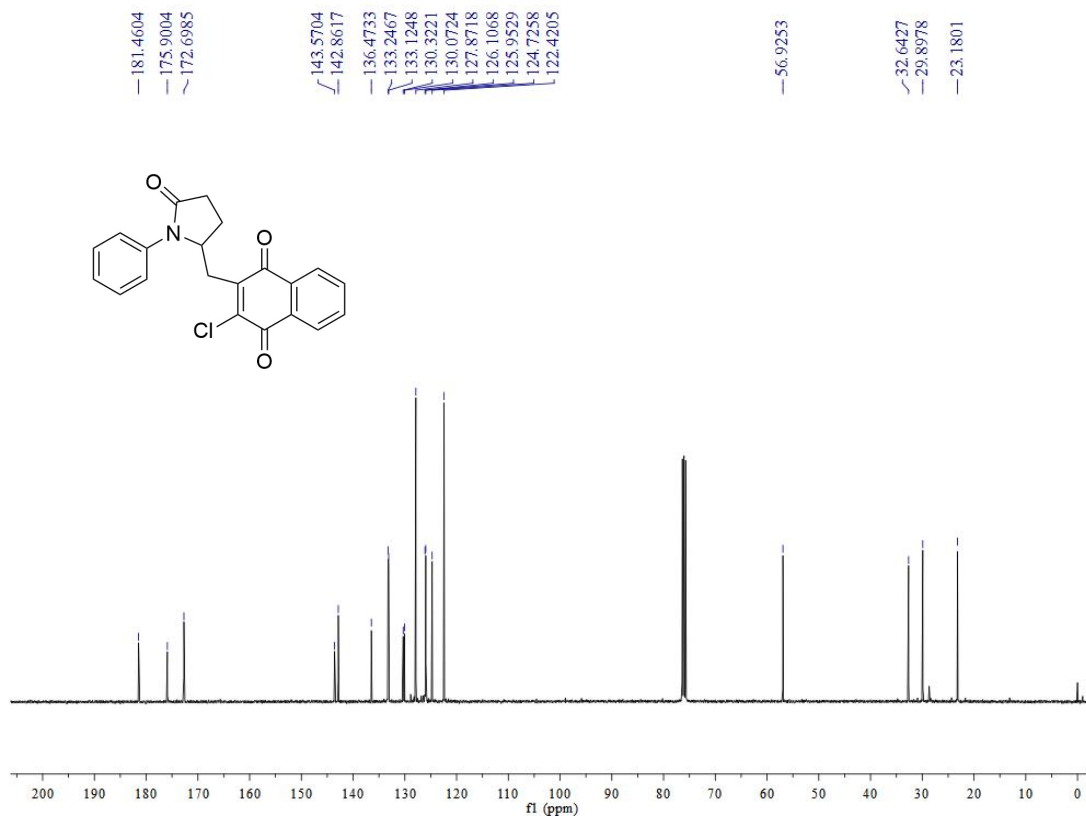


**2-chloro-3-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3ac)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

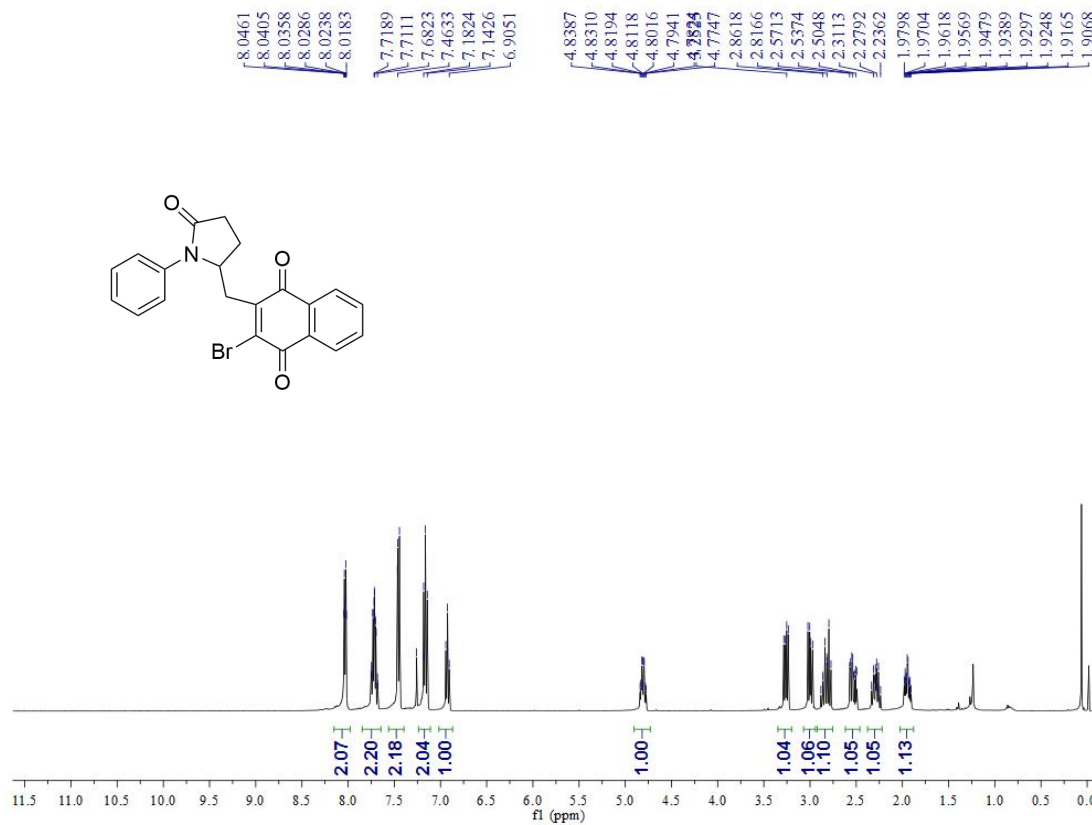


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

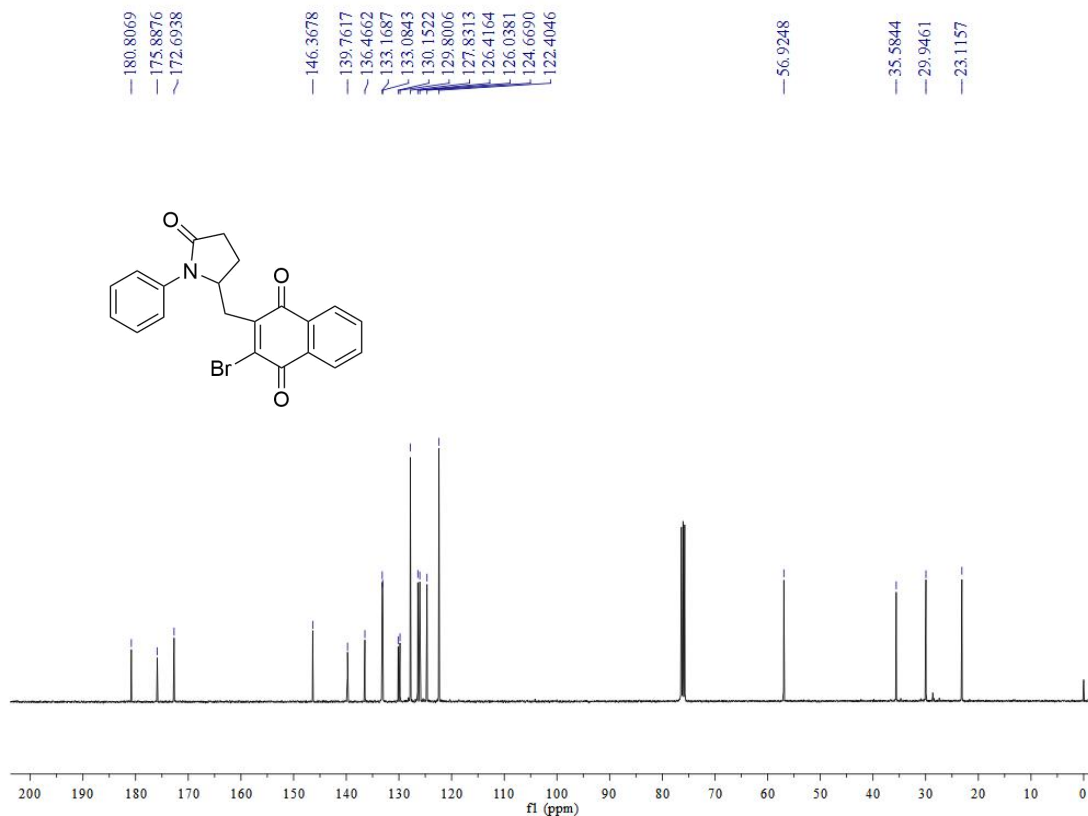


### 2-bromo-3-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)naphthalene-1,4-dione (3ad)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

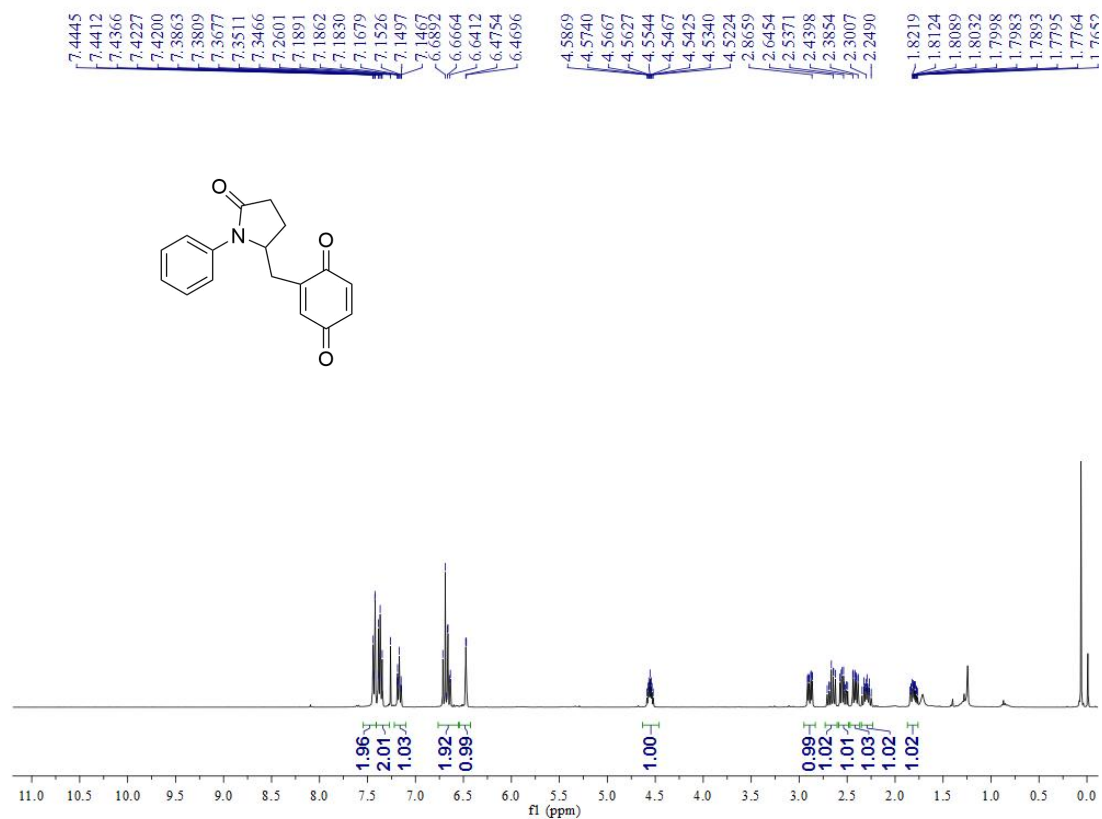


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

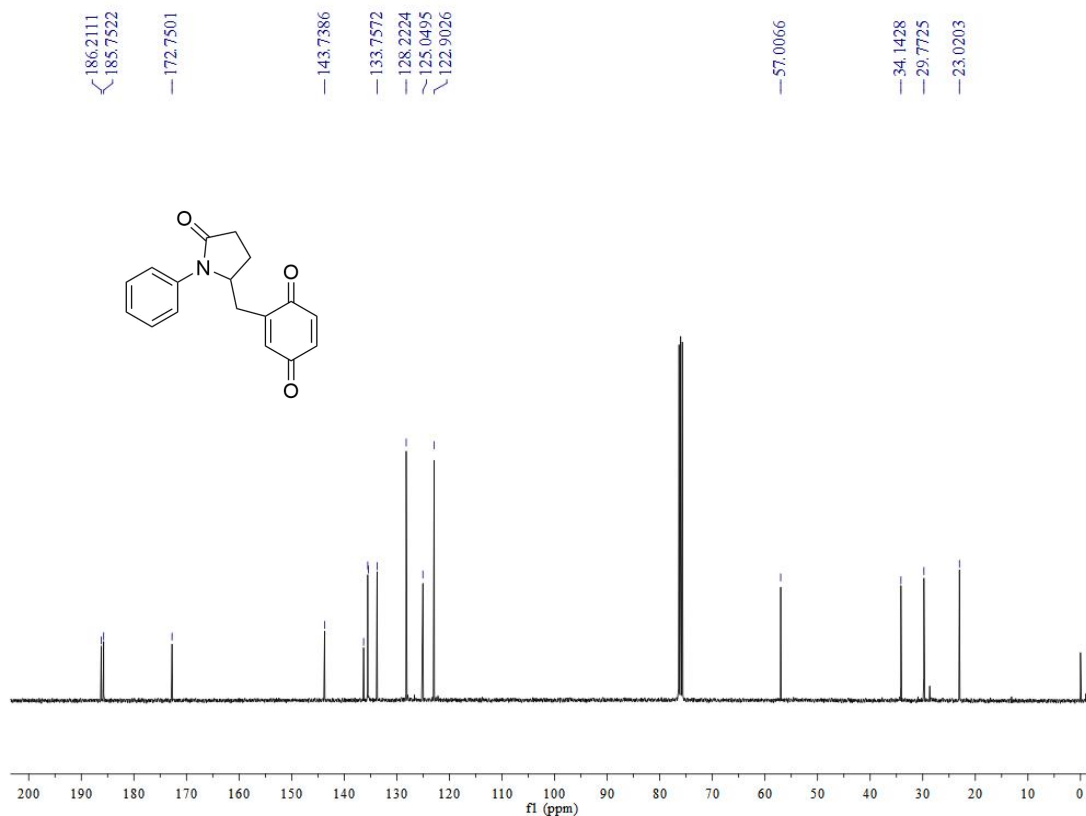


2-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3ae)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

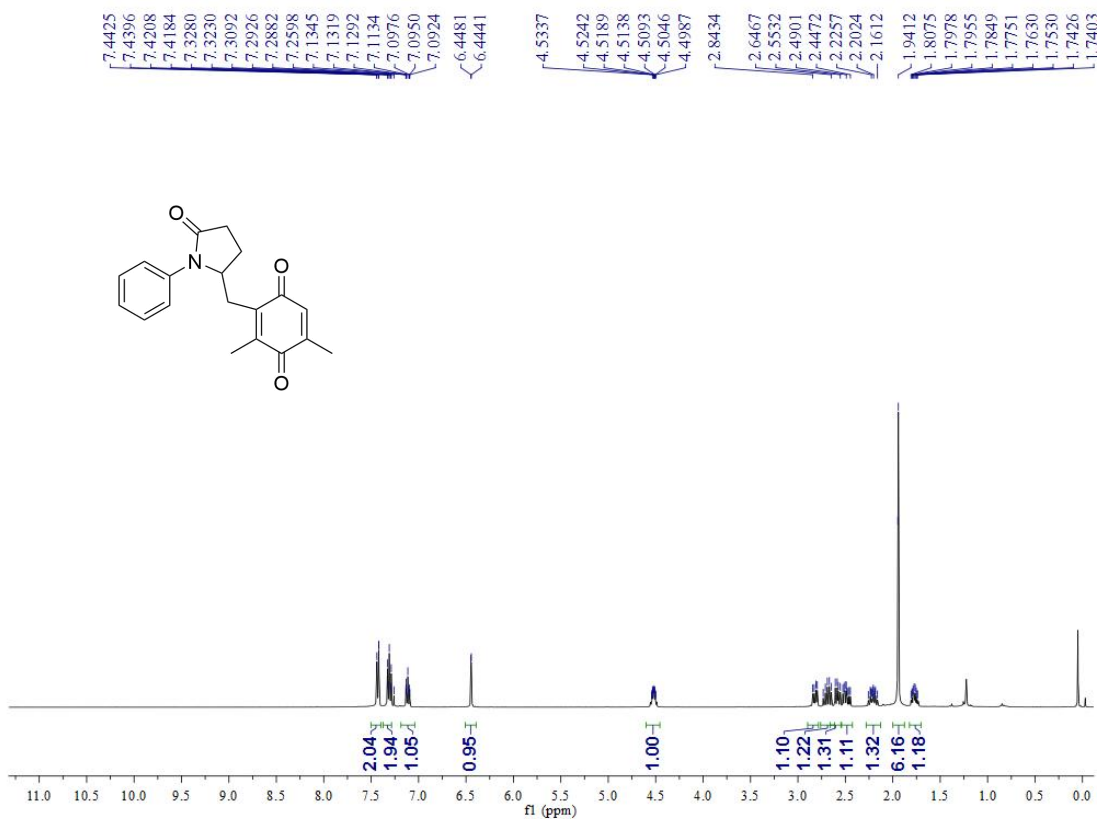


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

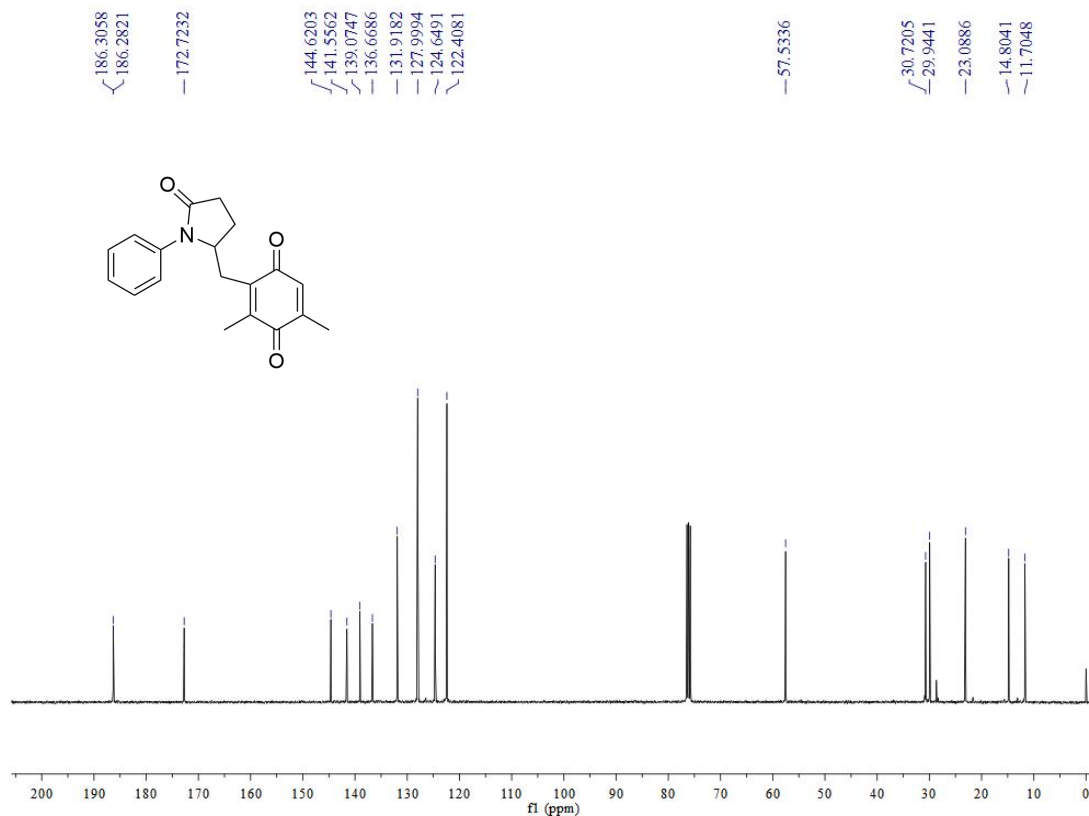


3,5-dimethyl-2-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3af)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

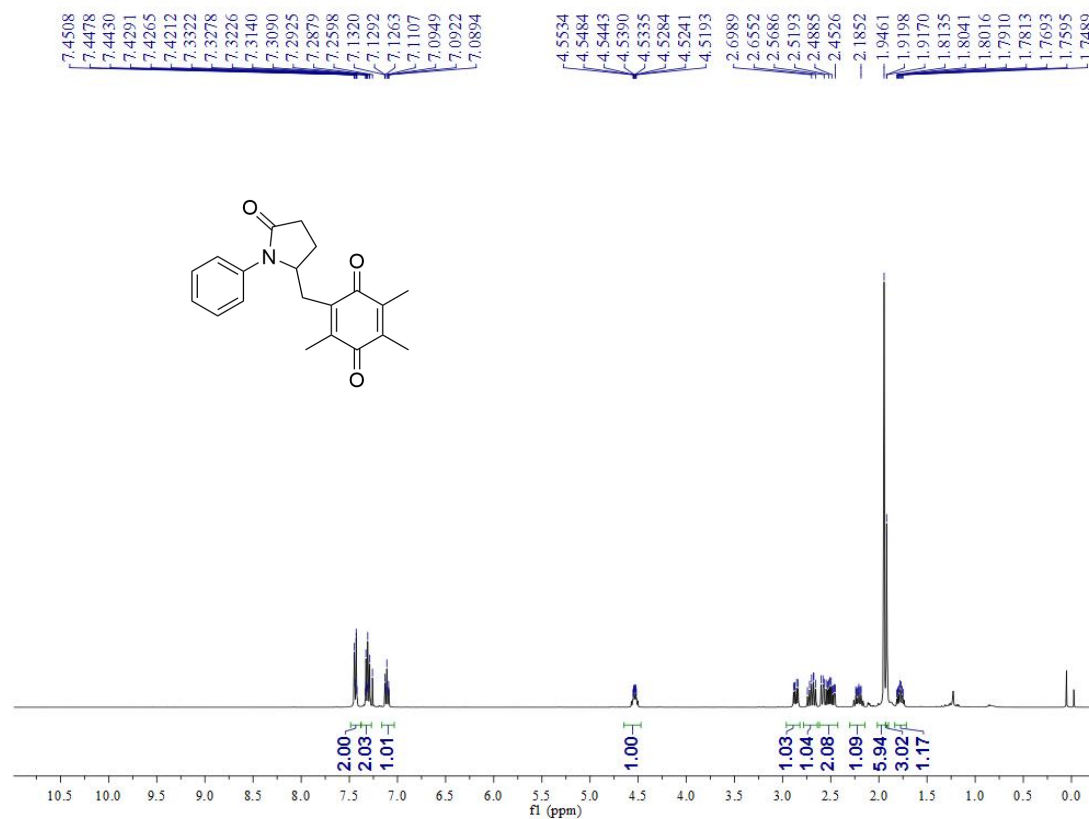


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

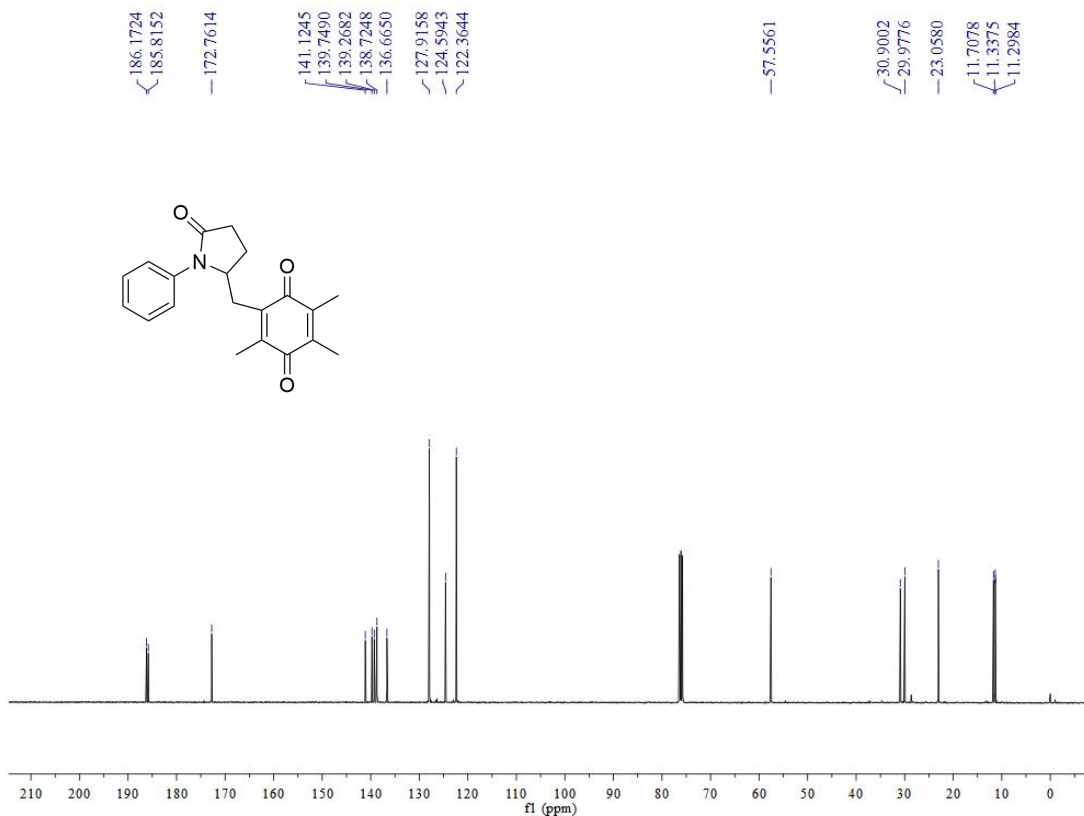


2,3,5-trimethyl-6-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3ag)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

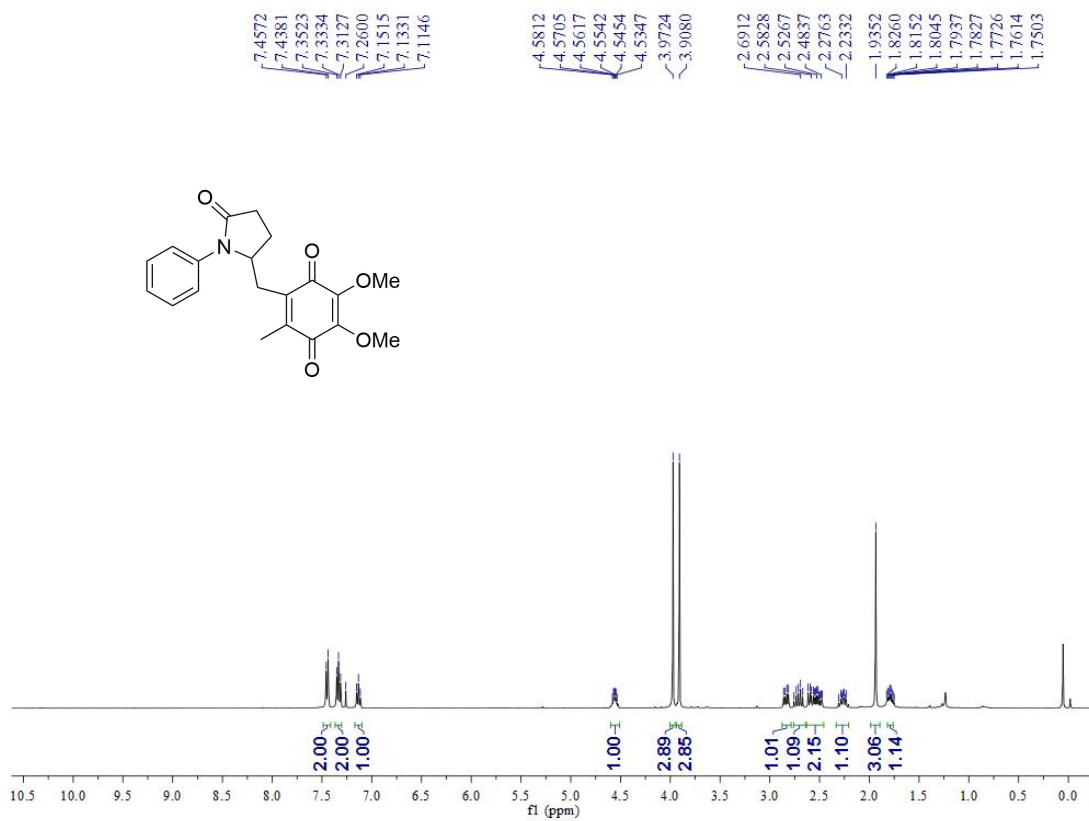


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )



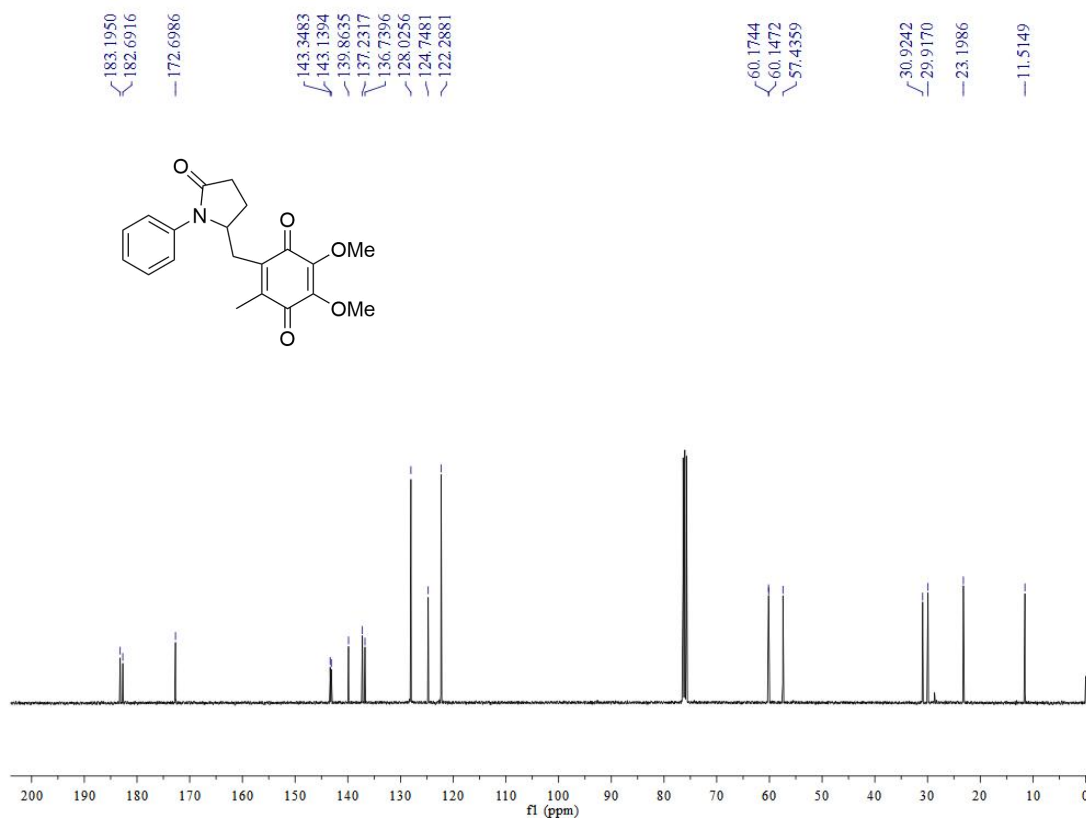
**2,3-dimethoxy-5-methyl-6-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3ah)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )



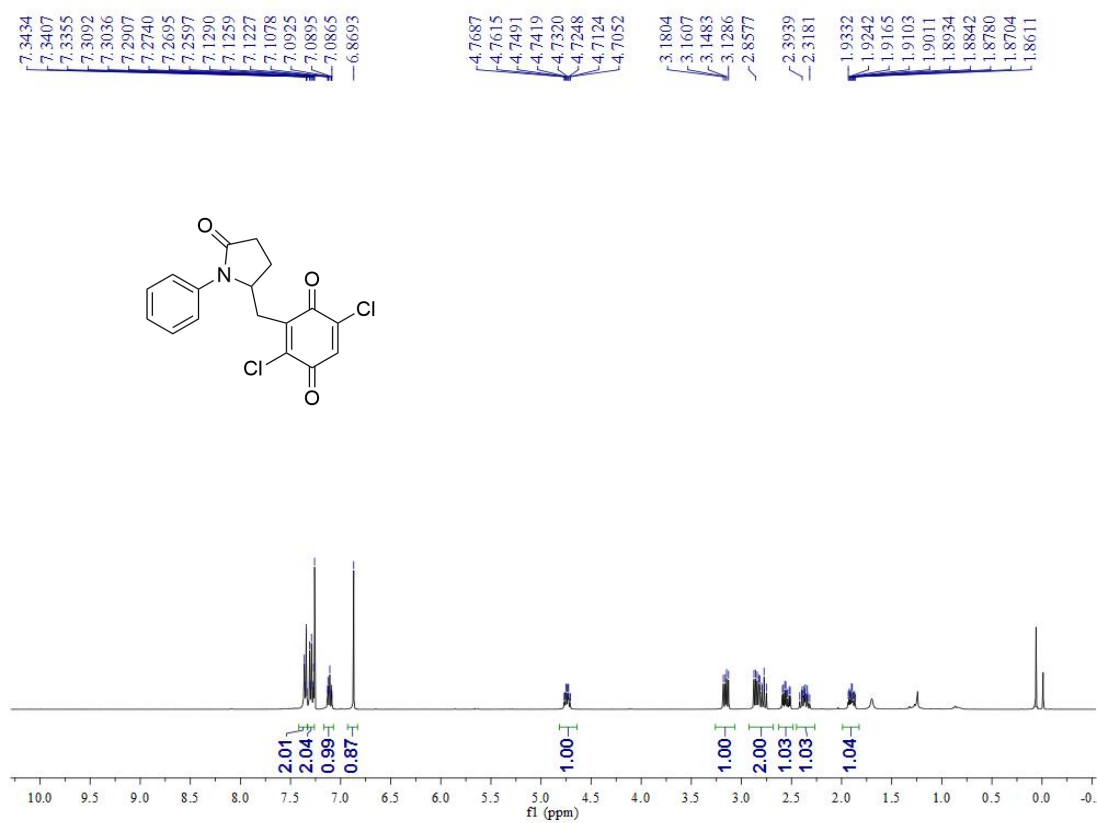


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

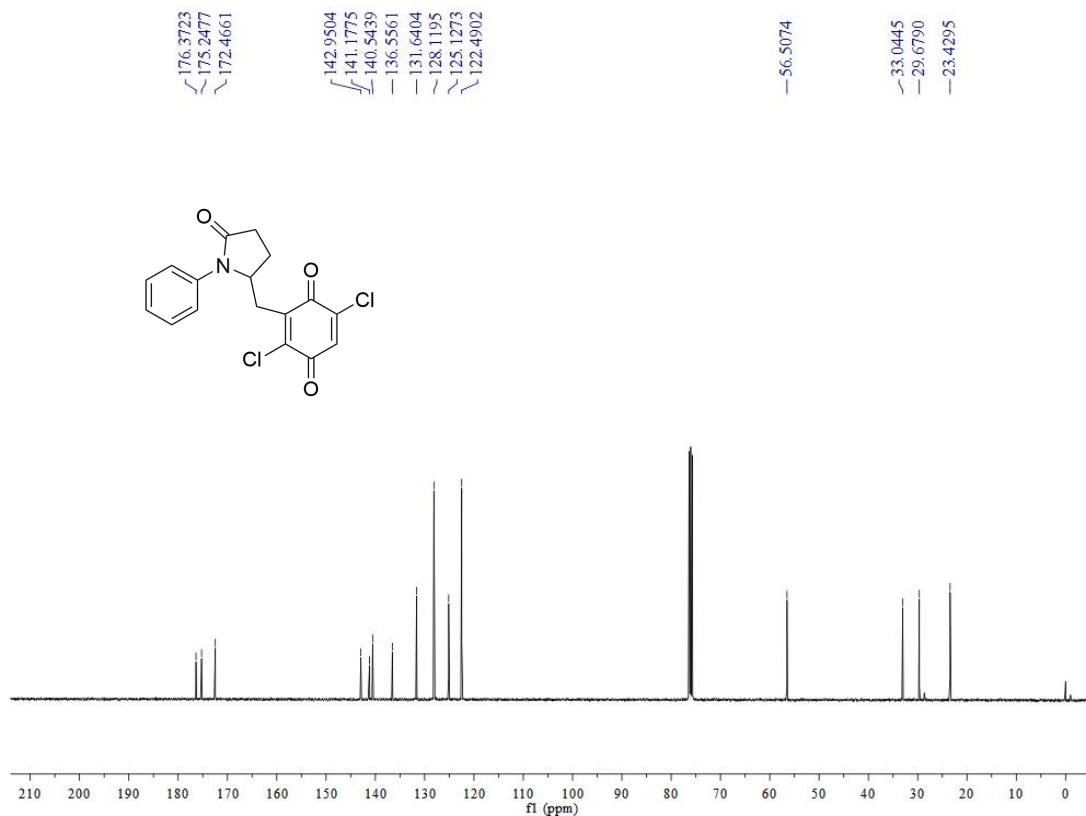


**2,5-dichloro-3-((5-oxo-1-phenylpyrrolidin-2-yl)methyl)cyclohexa-2,5-diene-1,4-dione (3ai)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

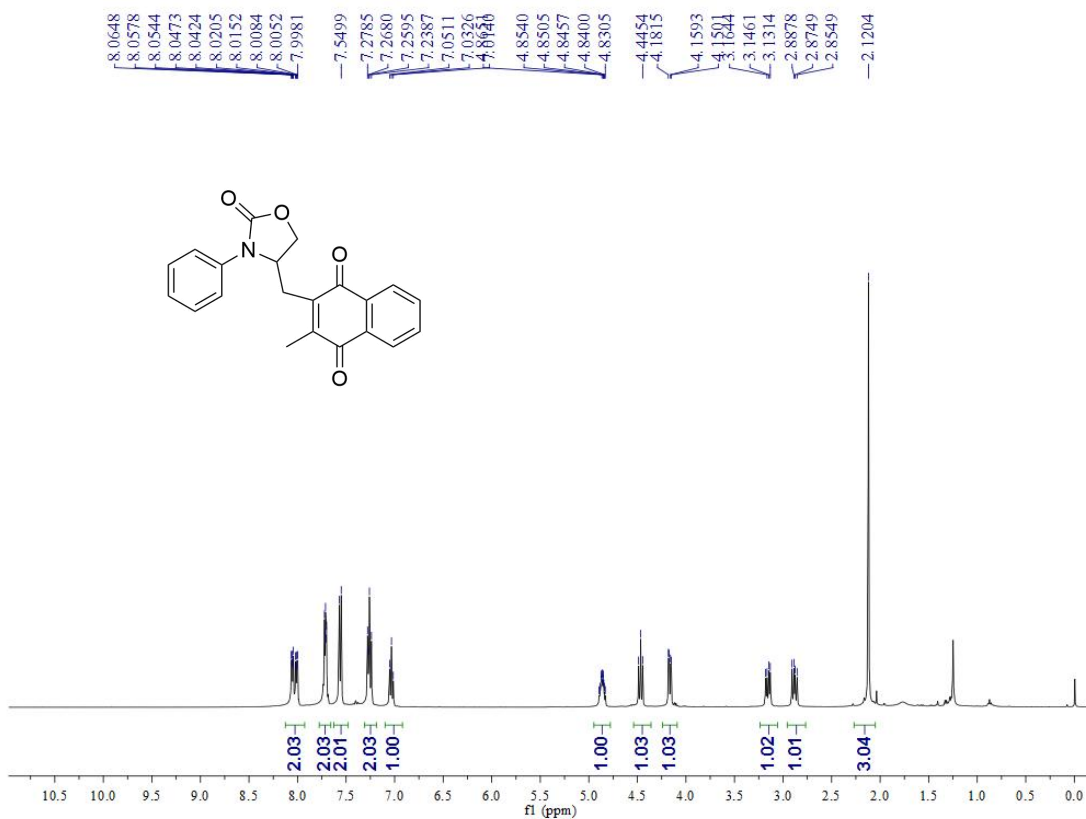


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

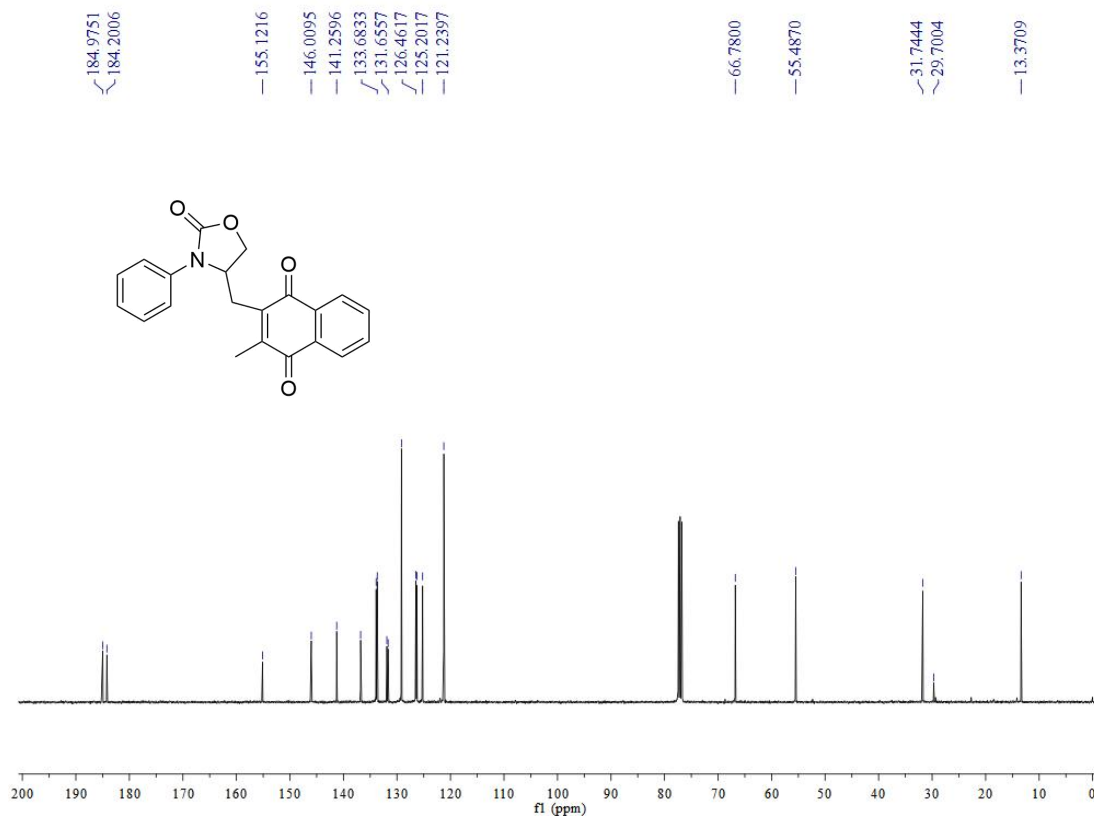


**2-methyl-3-((2-oxo-3-phenyloxazolidin-4-yl)methyl)naphthalene-1,4-dione (5aa)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

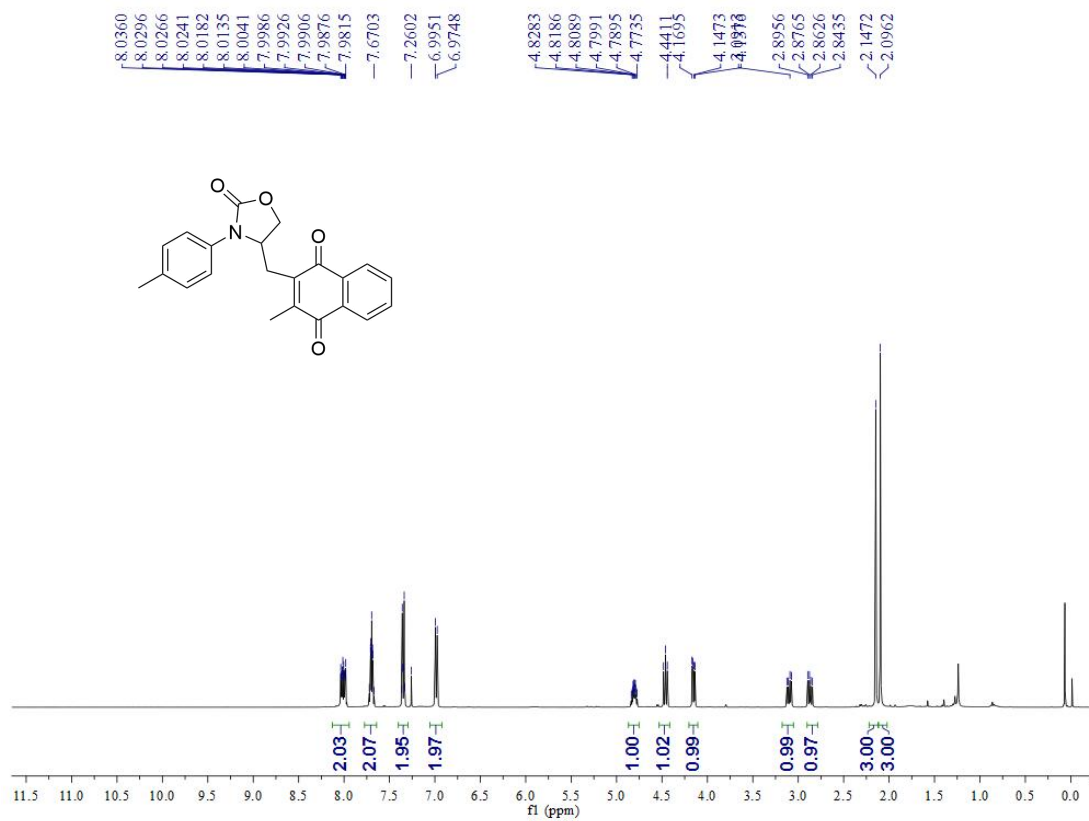


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

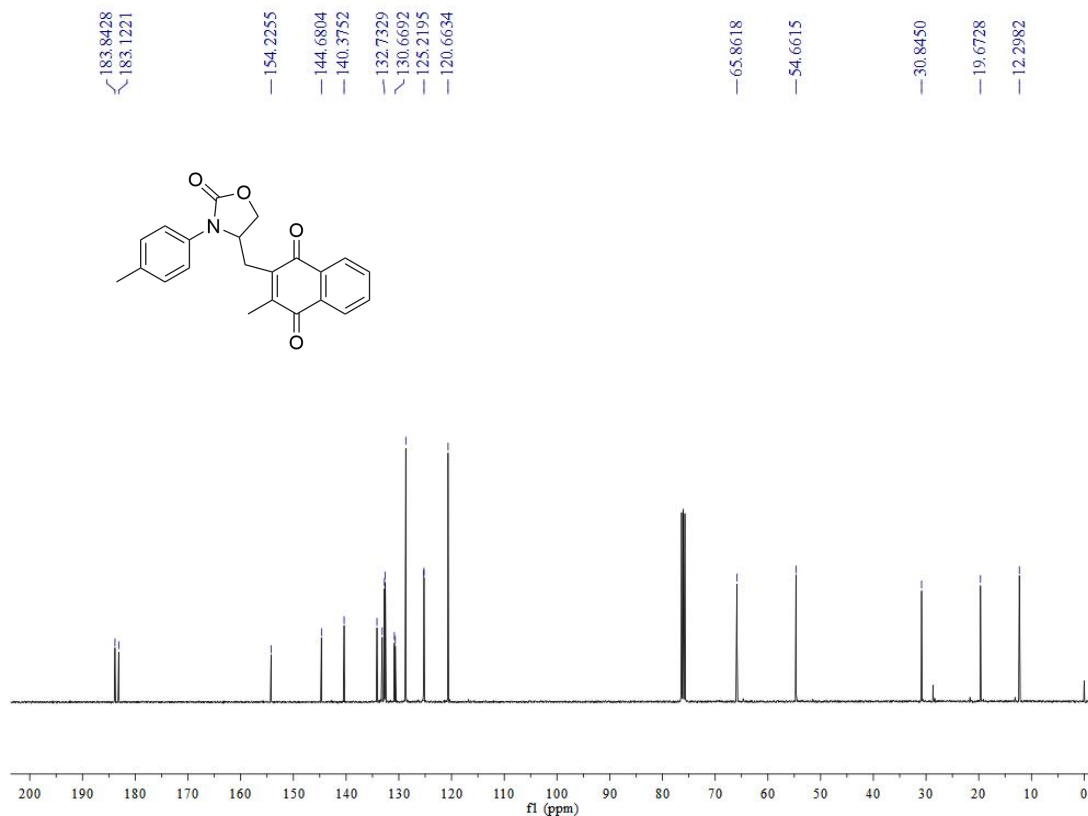


**2-methyl-3-((2-oxo-3-(p-tolyl)oxazolidin-4-yl)methyl)naphthalene-1,4-dione (5ba)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

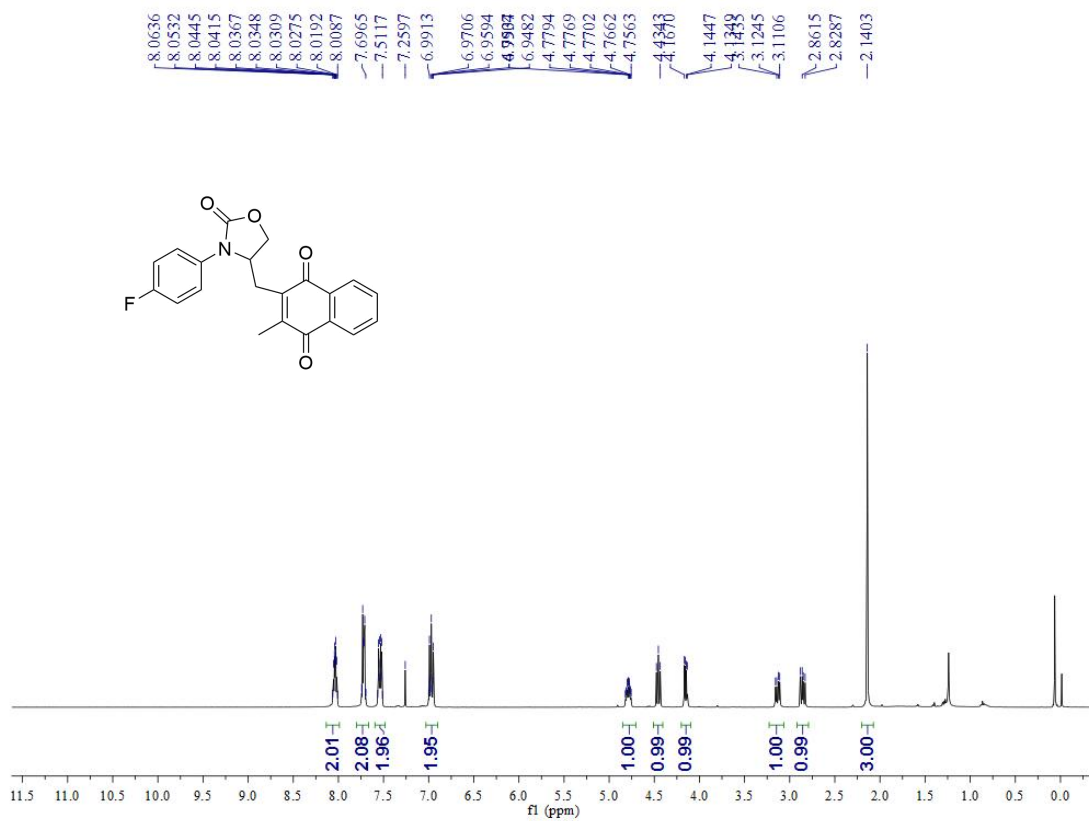


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

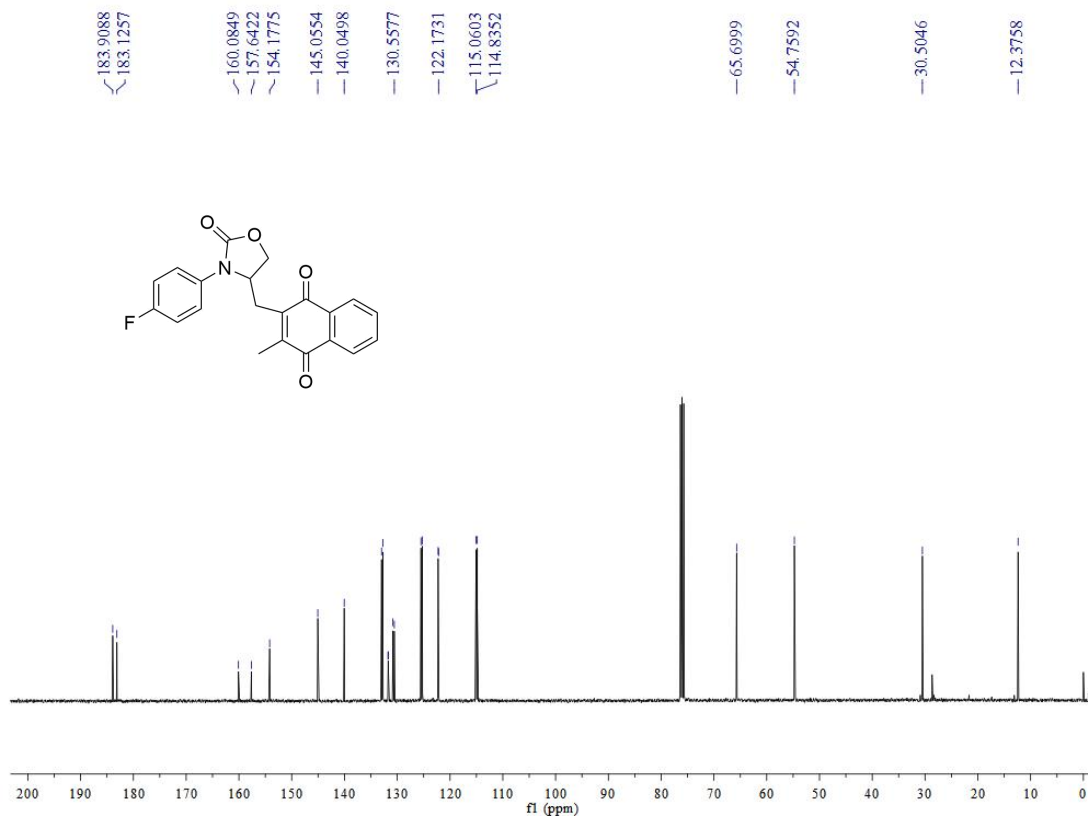


**2-((3-(4-fluorophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ca)**

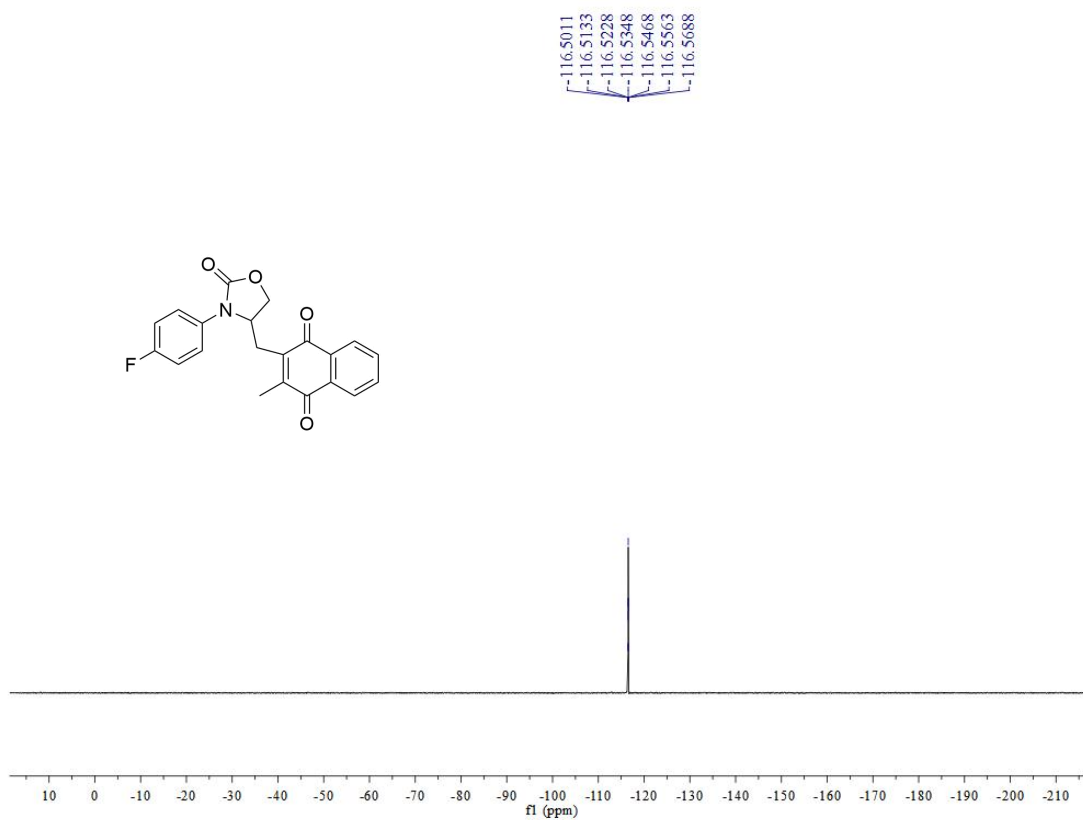
$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )



<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)

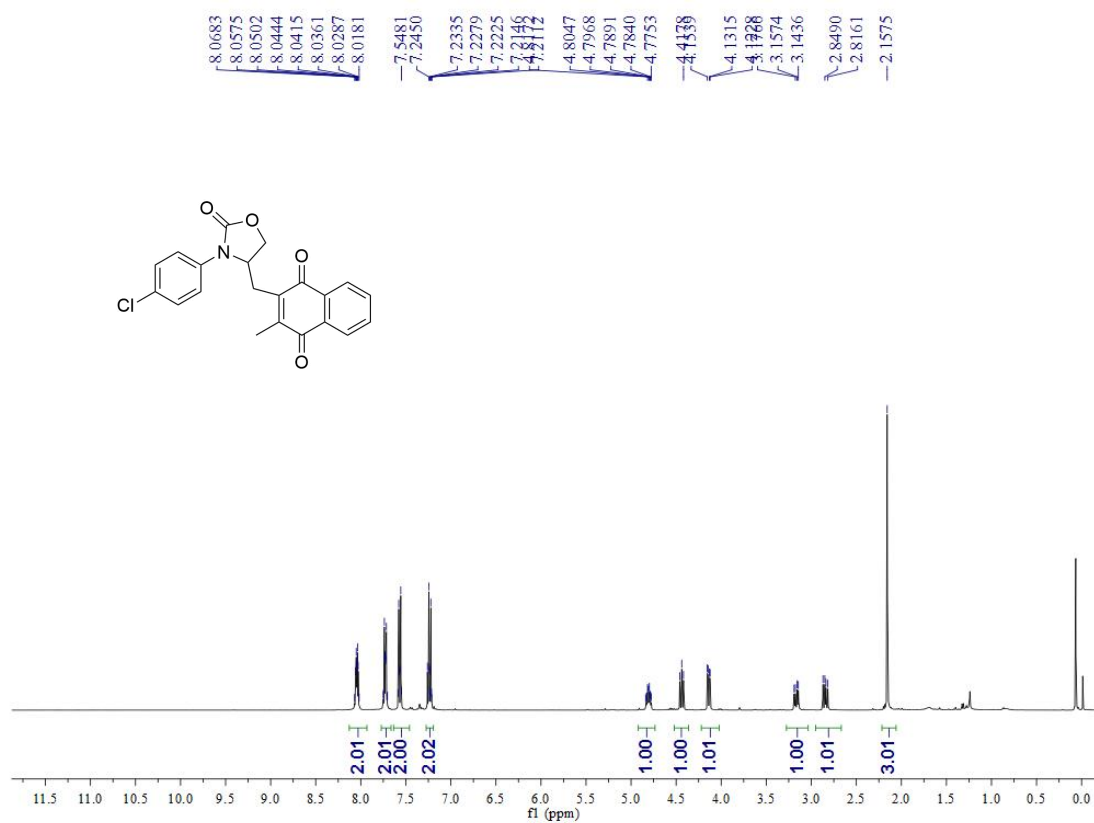


<sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>)

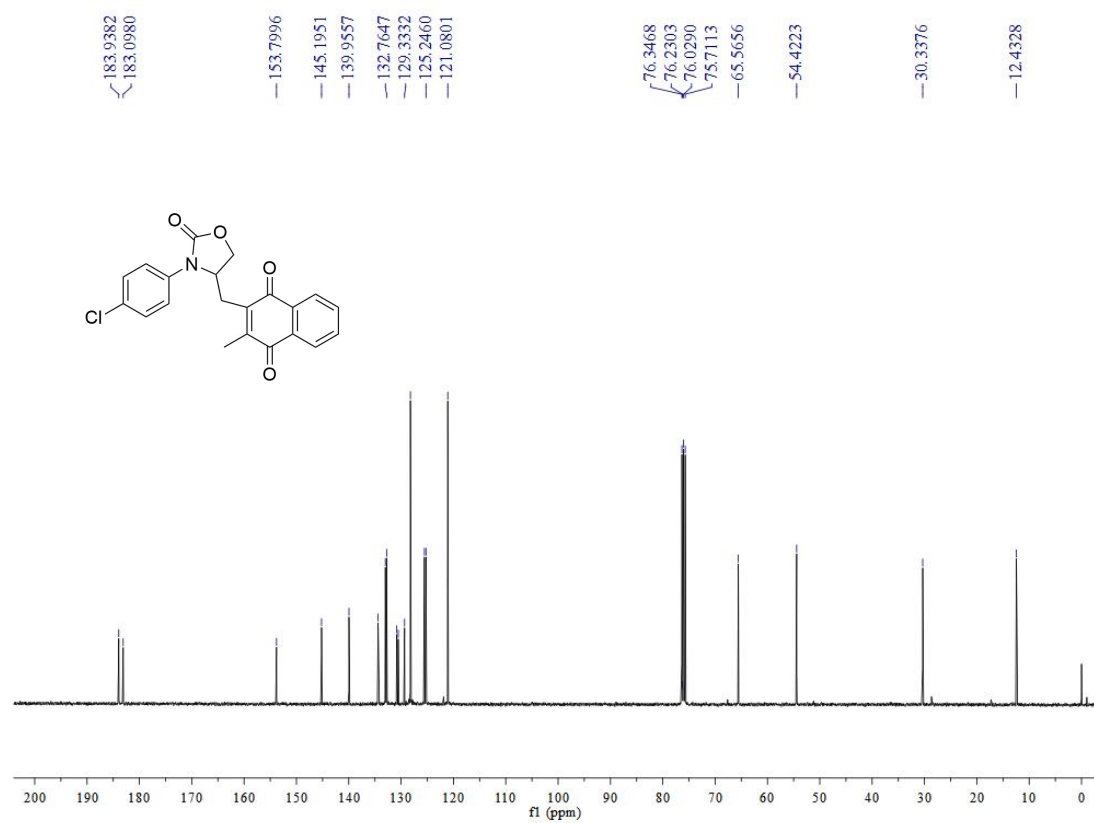


# 2-((3-(4-chlorophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5da)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

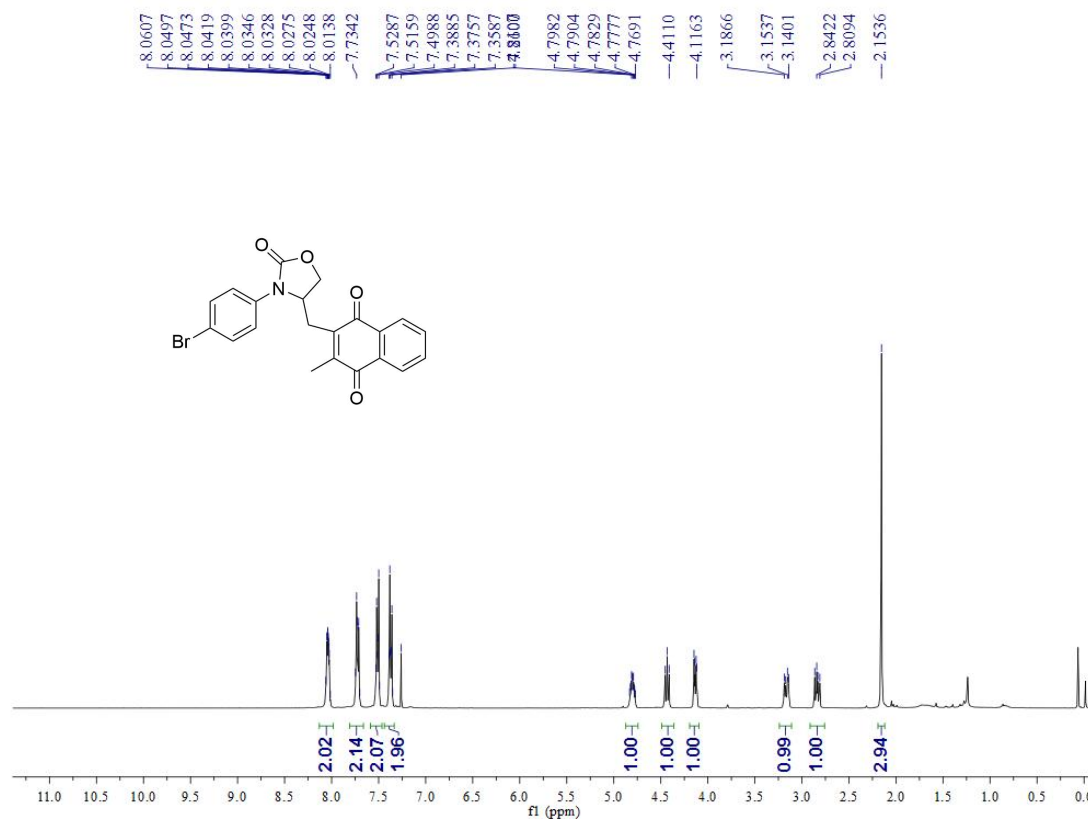


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

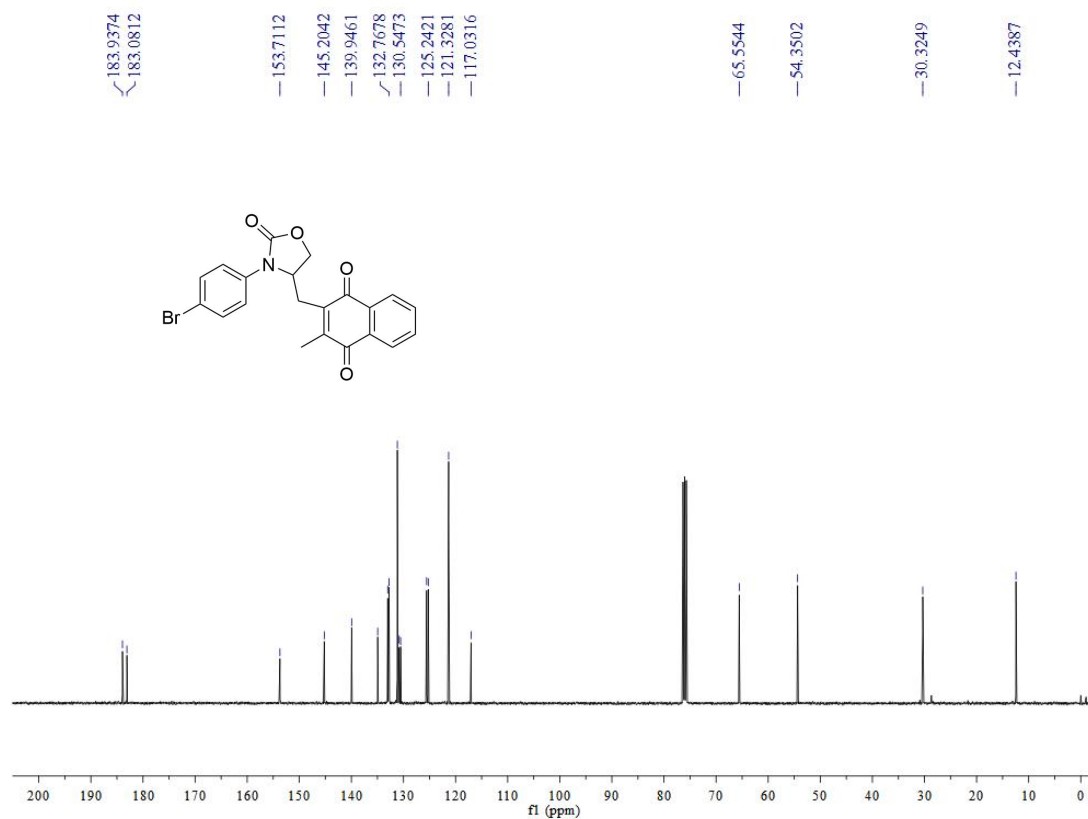


**2-((3-(4-bromophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ea)**

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)

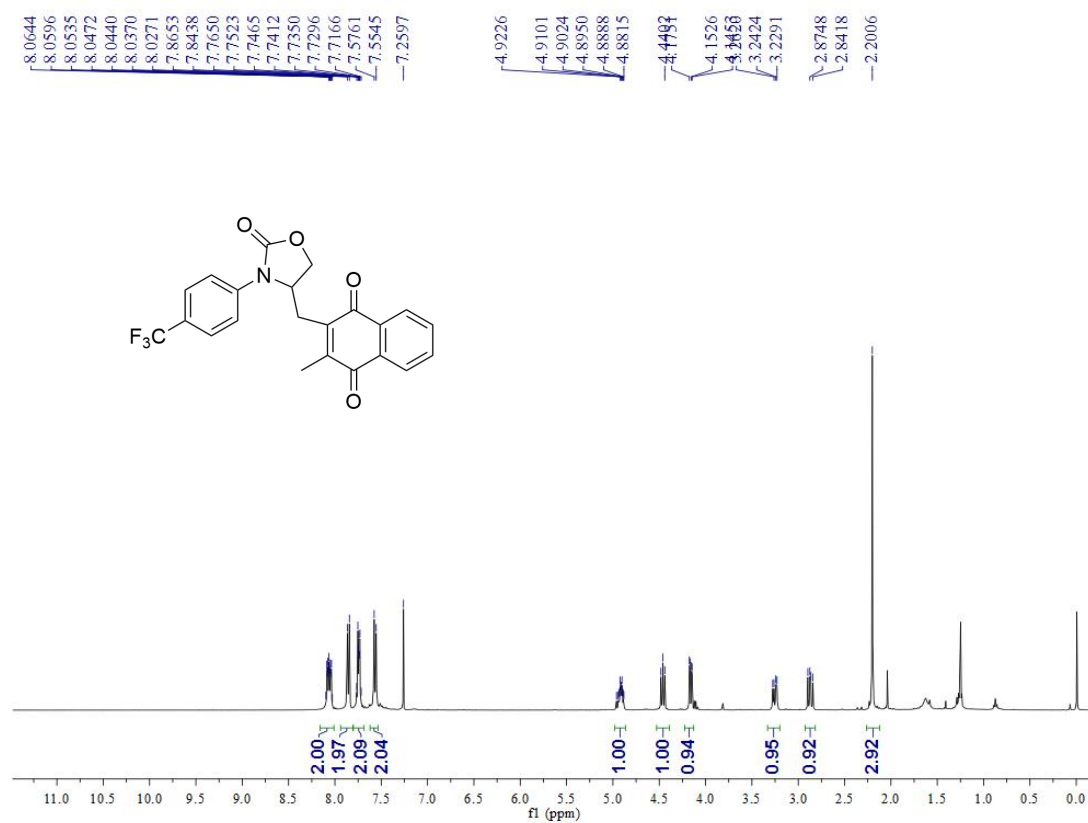


<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)

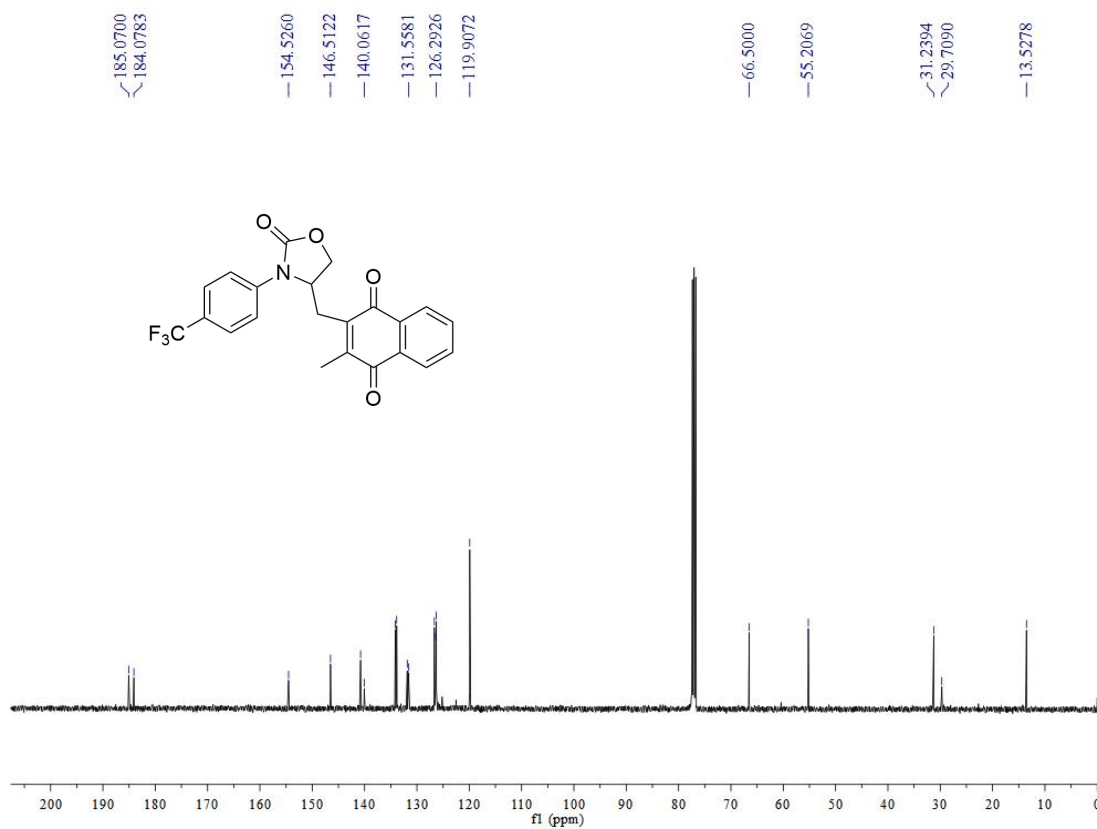


## 2-methyl-3-((2-oxo-3-(4-(trifluoromethyl)phenyl)oxazolidin-4-yl)methyl)naphthalene-1,4-dione (5fa)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

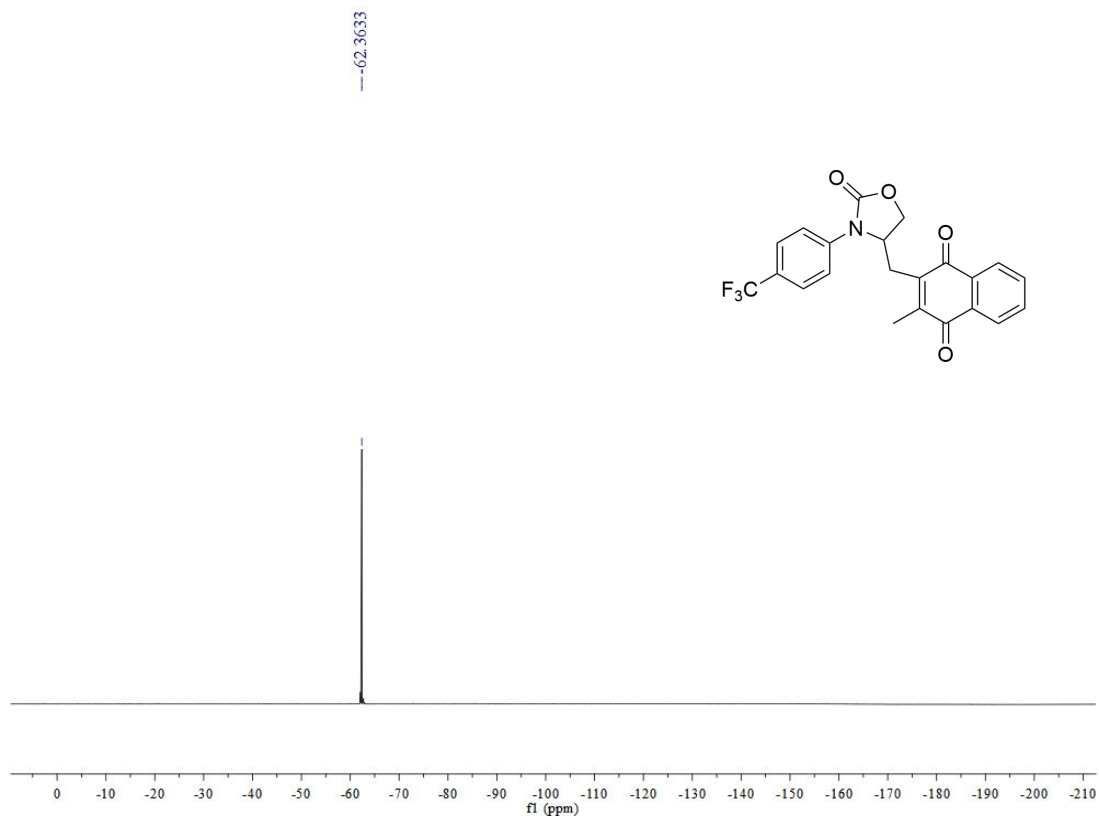


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )



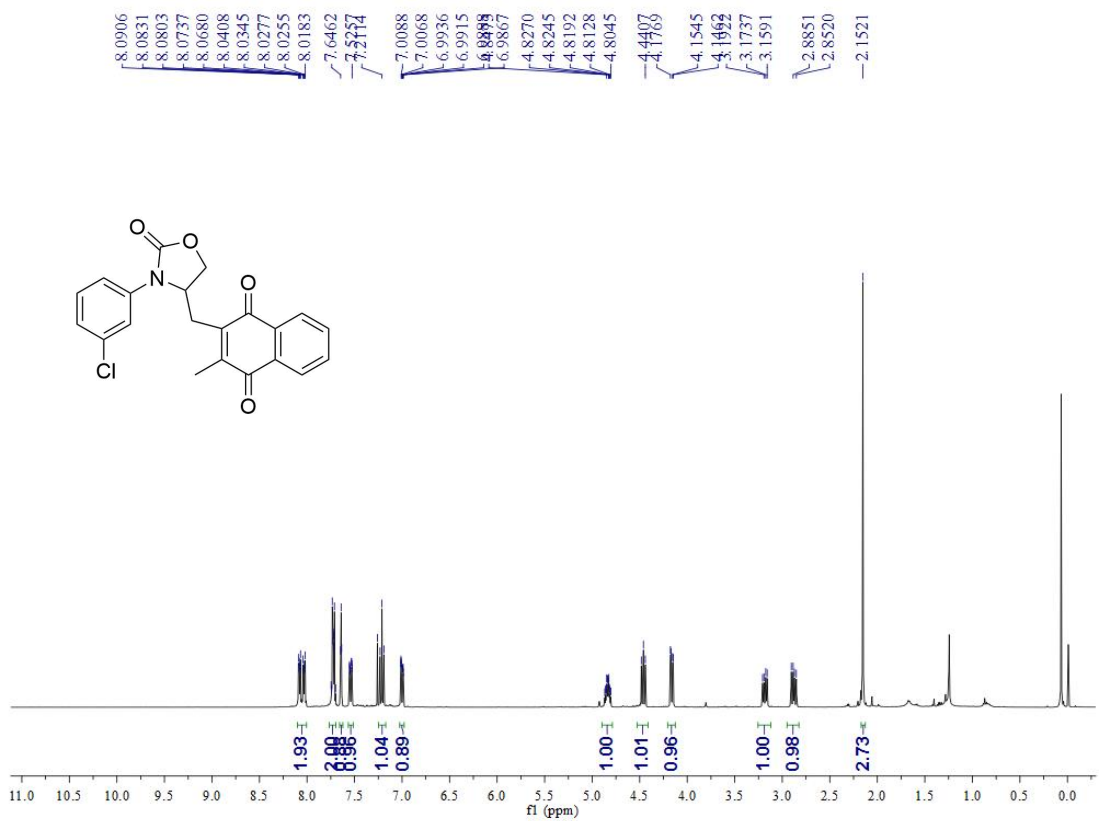


$^{19}\text{F}$  NMR (376 MHz,  $\text{CDCl}_3$ )

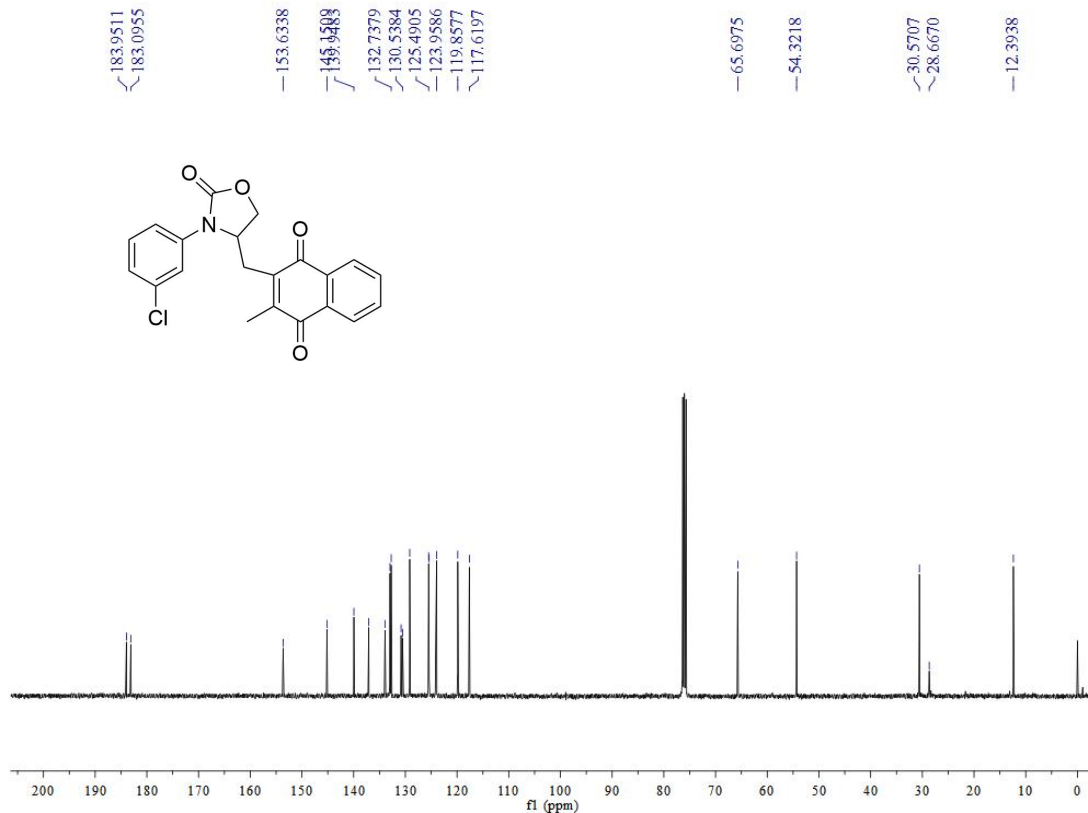


2-((3-(3-chlorophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ga)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

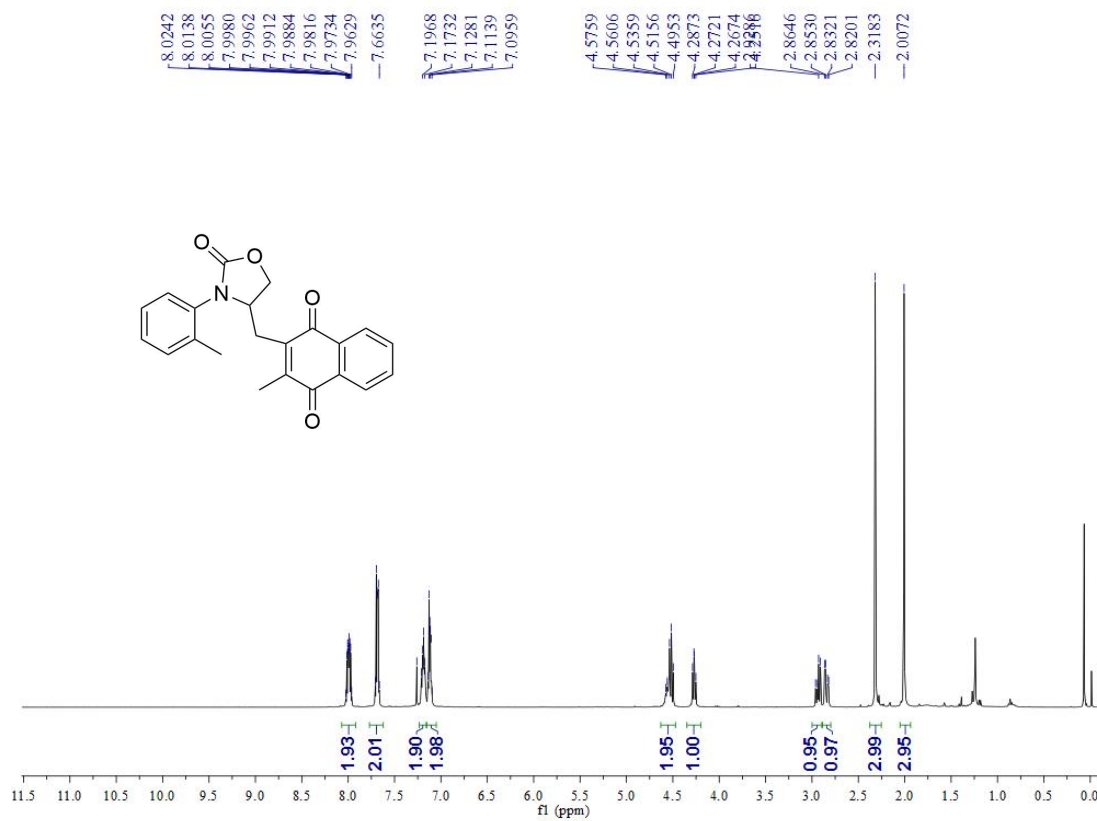


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

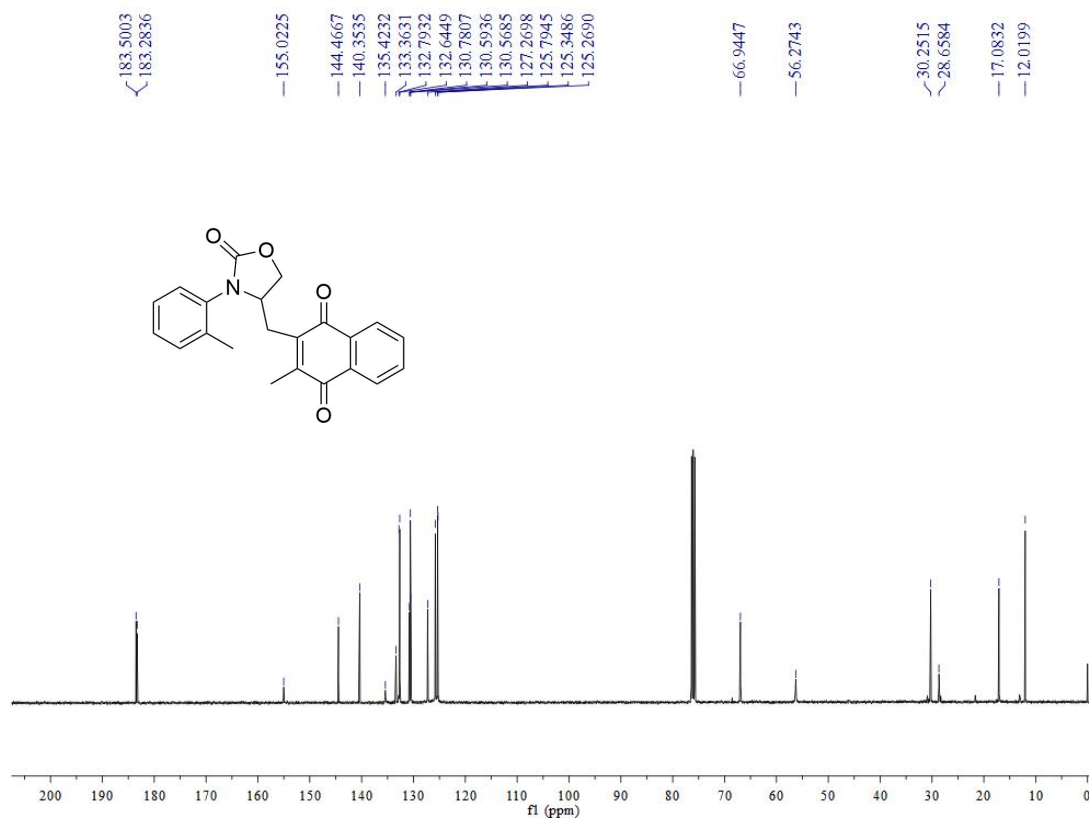


2-methyl-3-((2-oxo-3-(o-tolyl)oxazolidin-4-yl)methyl)naphthalene-1,4-dione (5ha)

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

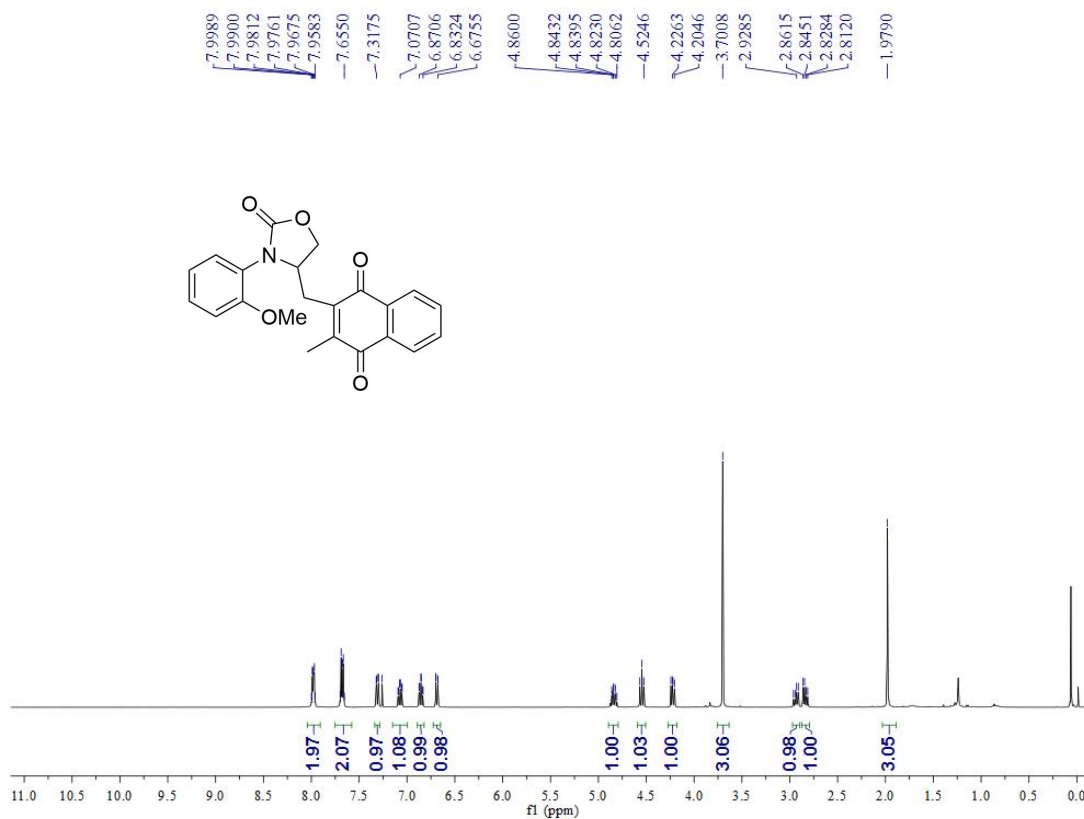


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

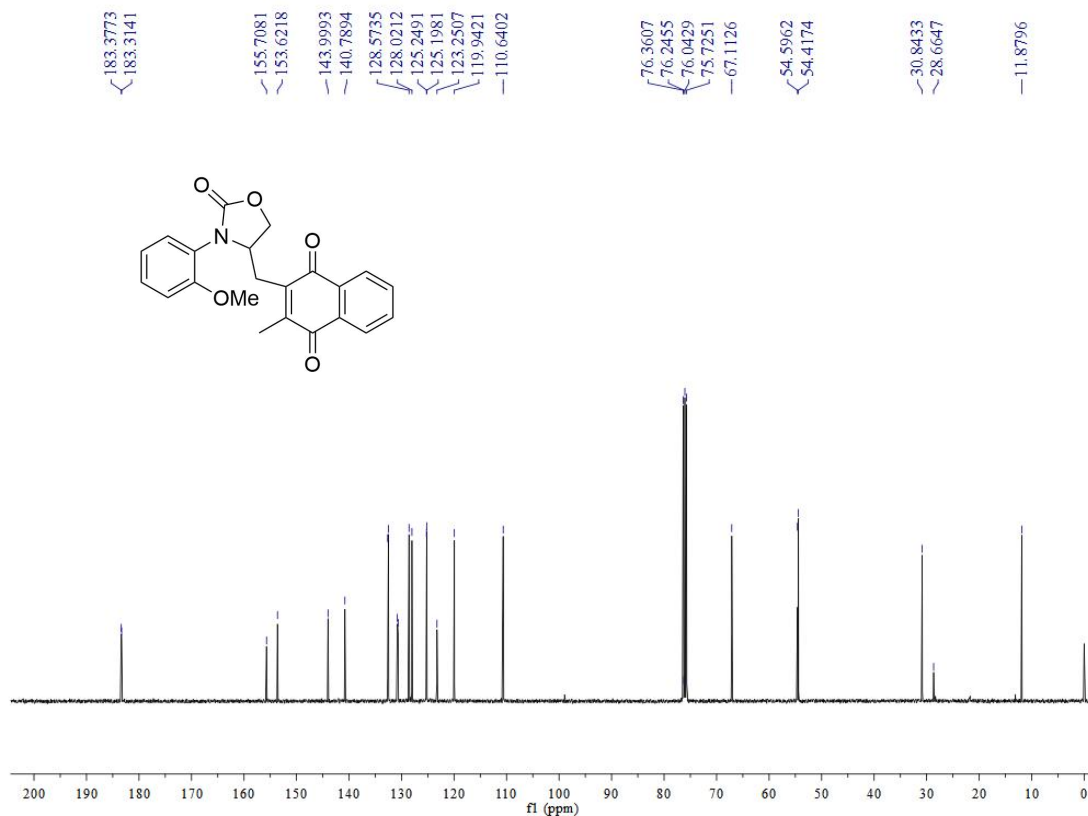


**2-((3-(2-methoxyphenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ia)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

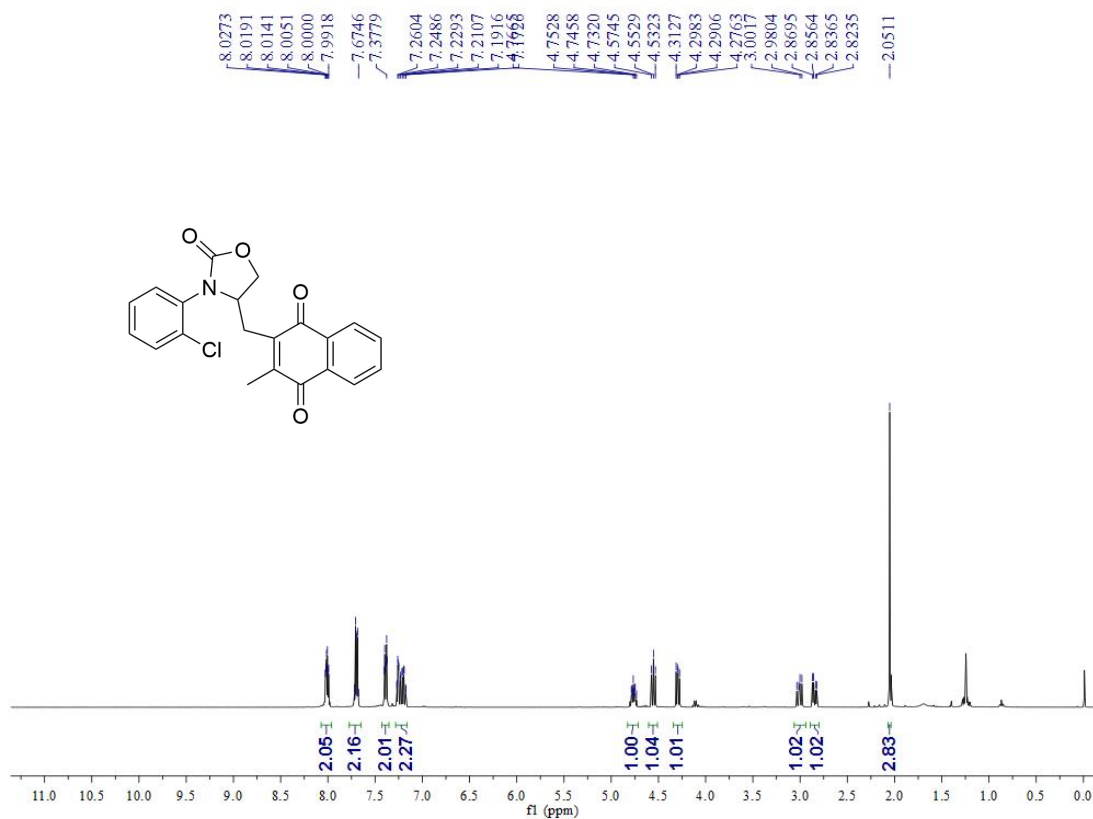


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

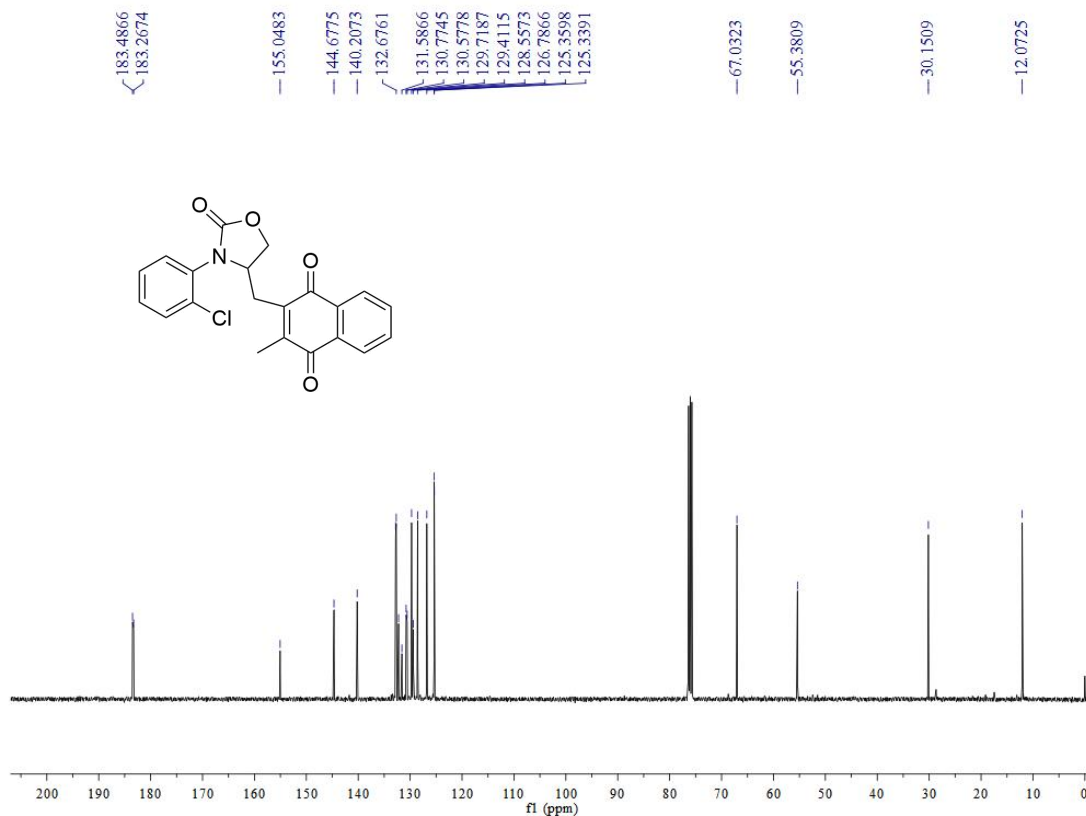


**2-((3-(2-chlorophenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ja)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

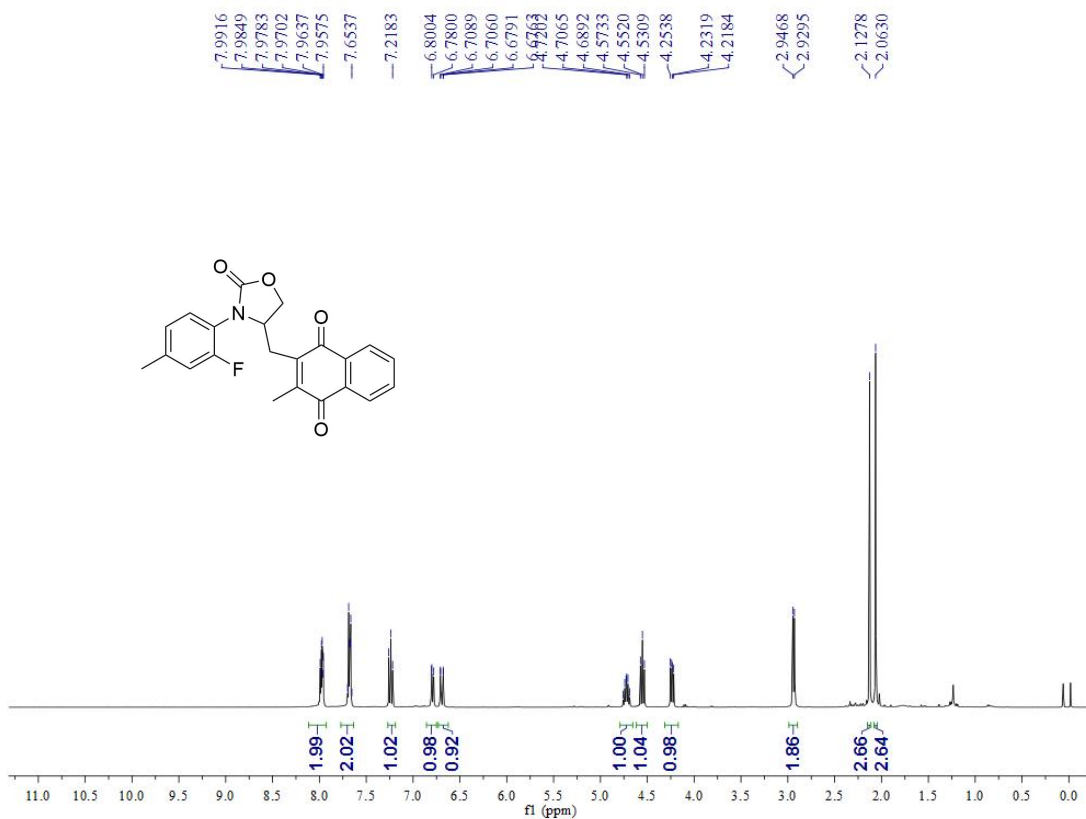


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )

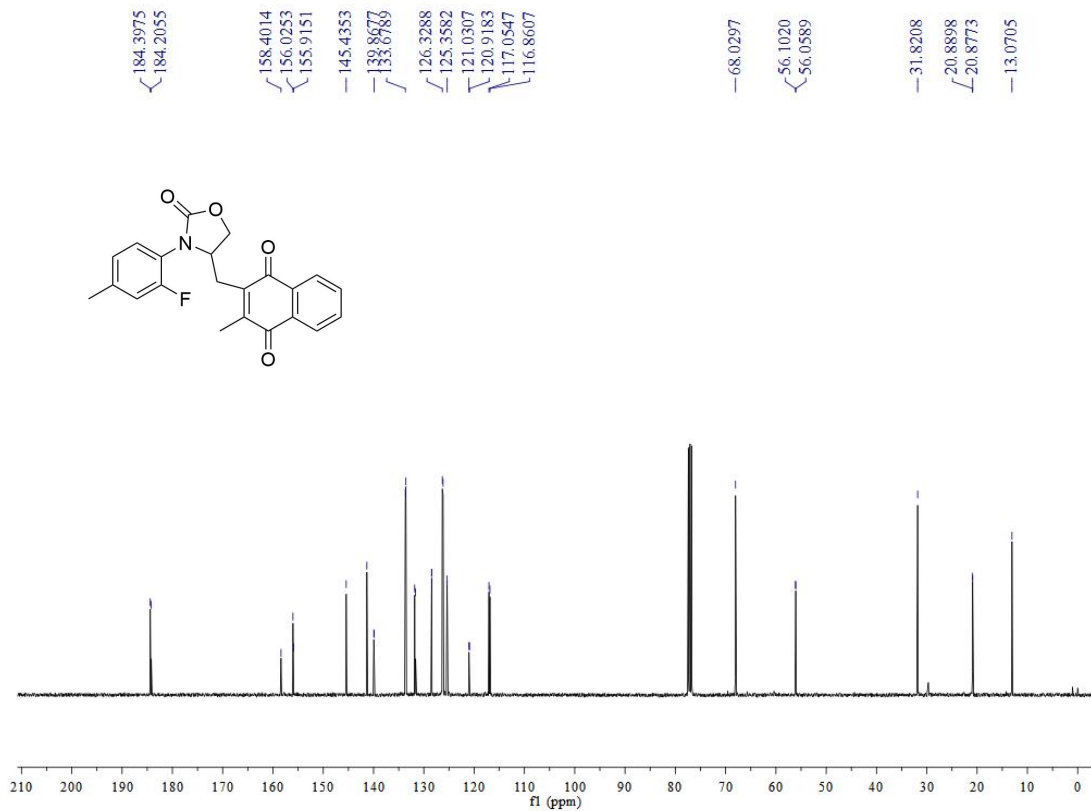


2-((3-(2-fluoro-4-methylphenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ka)

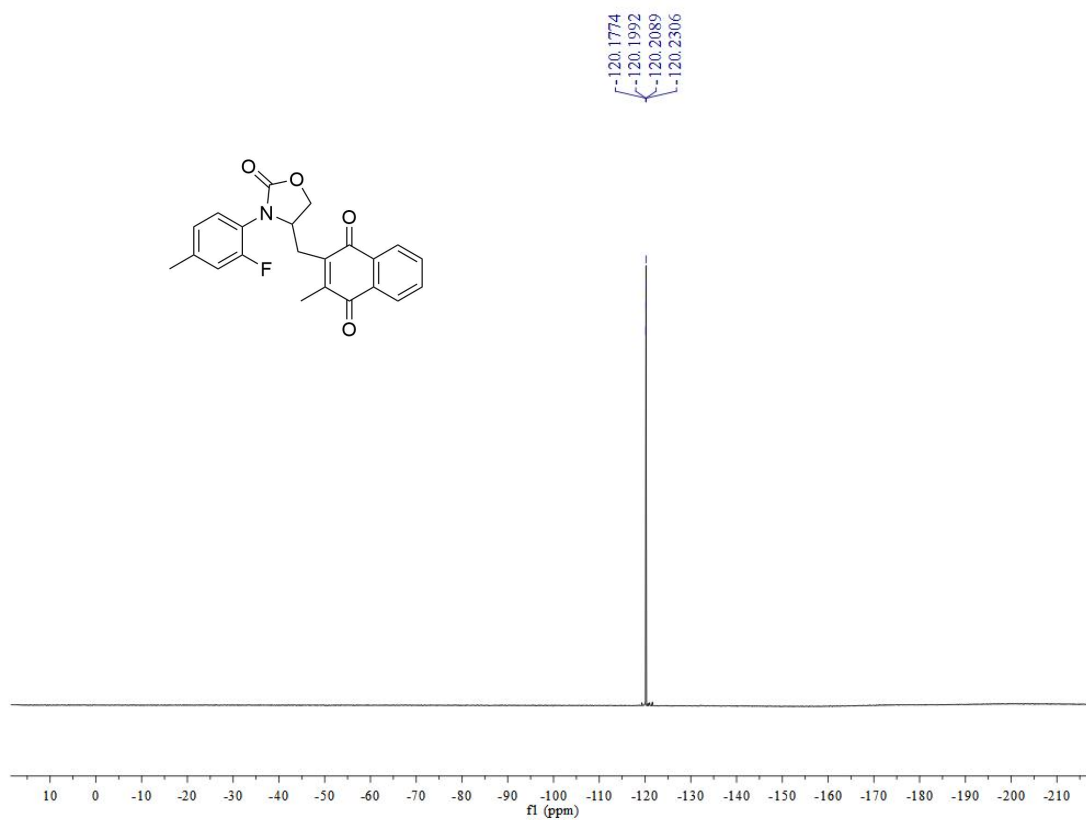
$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )



<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)

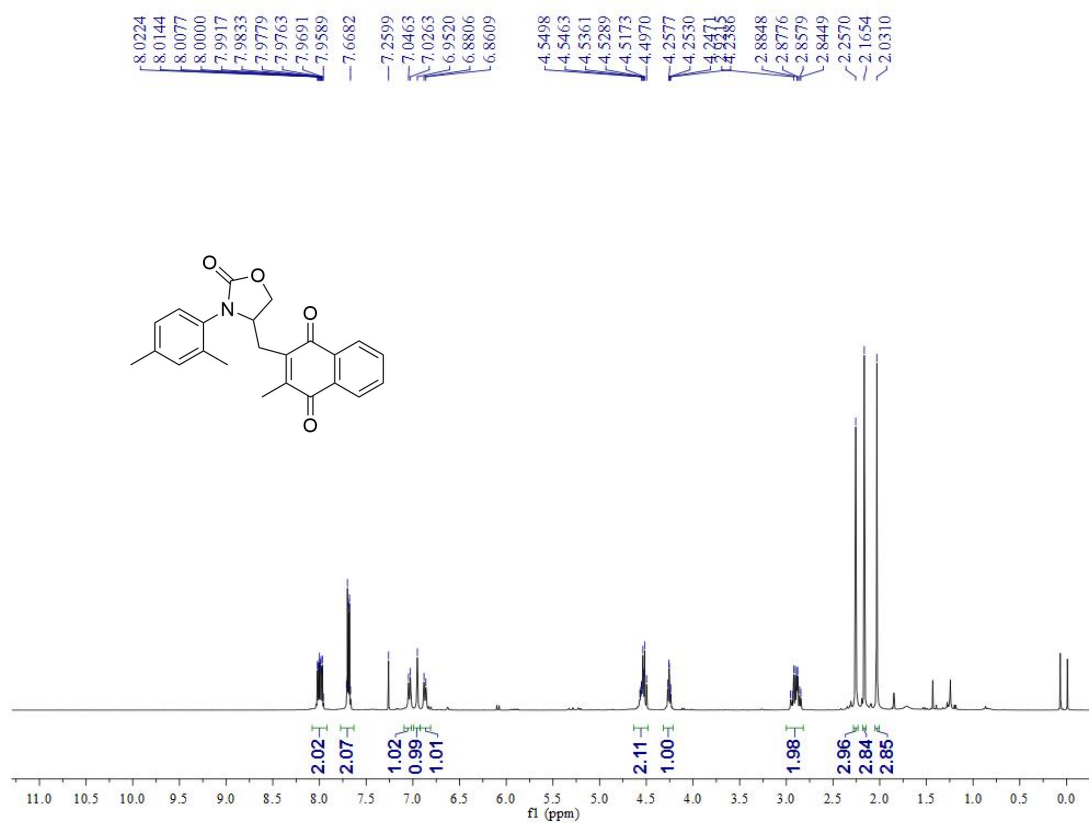


<sup>19</sup>F NMR (376 MHz, CDCl<sub>3</sub>)

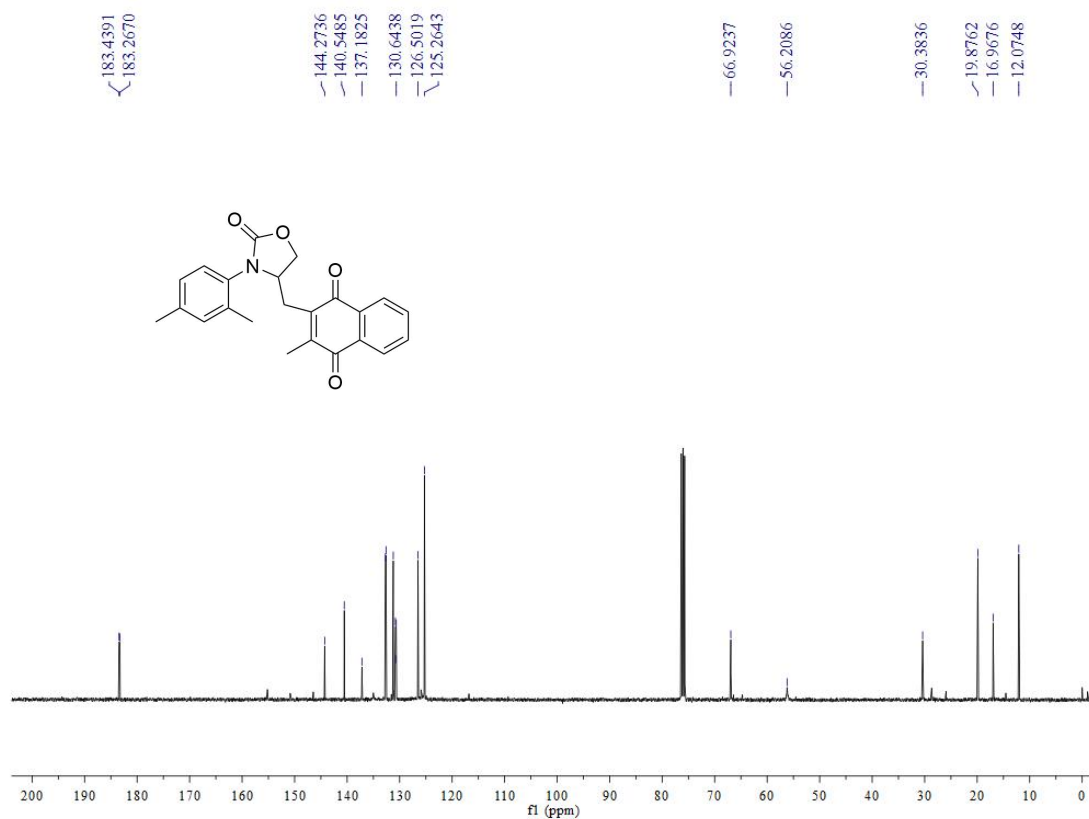


**2-((3-(2,4-dimethylphenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (51a)**

$^1\text{H}$  NMR (400 MHz,  $\text{CDCl}_3$ )

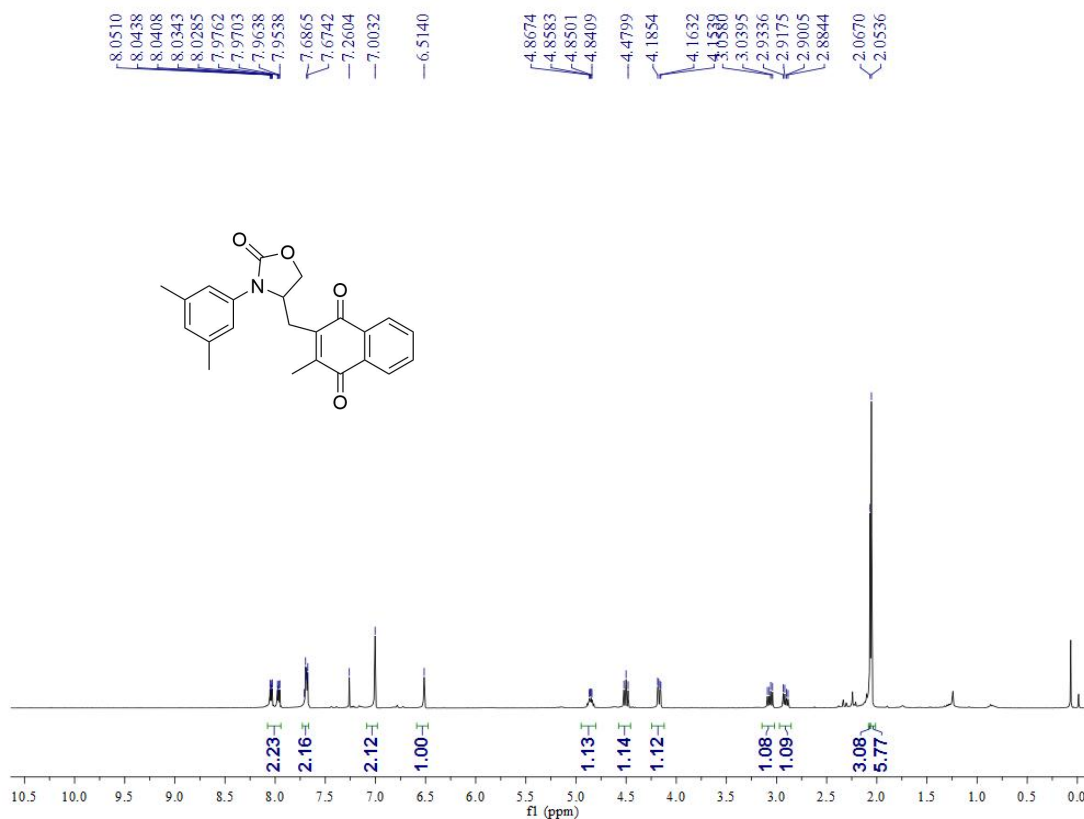


$^{13}\text{C}$  NMR (100 MHz,  $\text{CDCl}_3$ )



**2-((3-(3,5-dimethylphenyl)-2-oxooxazolidin-4-yl)methyl)-3-methylnaphthalene-1,4-dione (5ma)**

<sup>1</sup>H NMR (400 MHz, CDCl<sub>3</sub>)



<sup>13</sup>C NMR (100 MHz, CDCl<sub>3</sub>)

