Aerobic Oxidative Alkenylation of Weak O-Coordinating Arylacacetamides with Alkenes via a Rh(III)-Catalyzed C-H Activation

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Supporting Information (SI)

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Experimental Section

**General Information.** All reactions were carried out under the N\textsubscript{2} atmosphere in flame-dried glassware. Syringes which were used to transfer anhydrous solvents or reagents were purged with nitrogen prior to use (three times). Dry solvents were used for the reaction. Column chromatographical purifications were performed using SiO\textsubscript{2} (120-200 mesh ASTM) from Merck if not indicated otherwise. Abbreviations for signal coupling are as follows: s, singlet; d, doublet; t, triplet; q, quartet; m, multiplet. Starting Materials: Aryl acetamides, \textsuperscript{1} [Rh(Cp*)(CH\textsubscript{3}CN)\textsubscript{3}][SbF\textsubscript{6}]\textsubscript{2}, \textsuperscript{2} were prepared according to literature procedures. Commercially available metal salts and other chemicals were purchased from Sigma-Aldrich and Spectrochem. Pvt. Ltd., India and used without further purification.

**General Procedure for the Alkenylation Reaction.**
Arylacetamide \textbf{1} (75 mg) (1 equiv), [Rh(Cp*)(CH\textsubscript{3}CN)\textsubscript{3}][SbF\textsubscript{6}]\textsubscript{2} (3 mol\%) and 1-adamantanecarboxylic acid (30 mol\%) were taken in a 15-mL pressure tube. 1,2-dichloroethane (2.0 mL) was added to the reaction mixture. Then acrylate \textbf{2} (2 equiv) was added followed by the addition of DCE (1.0 mL) and the reaction mixture was stirred at open air for five minutes. Then a screw cap was used to cover the tube and the reaction mixture was allowed to stir at 100 °C for 12 h. Then, the reaction mixture was diluted with CH\textsubscript{2}Cl\textsubscript{2}, filtered through celite, and the filtrate was concentrated. The crude residue was purified by column chromatography silica-gel 120-200 mesh, EtOAc: Hexane gave alkenylated product \textbf{3}.

**Preparation of Compound 5.**
Phenylacetamide \textbf{1i} (75 mg) (1 equiv), [Rh(Cp*)(CH\textsubscript{3}CN)\textsubscript{3}][SbF\textsubscript{6}]\textsubscript{2} (3 mol\%) and 1-adamantanecarboxylic acid (30 mol\%) were taken in a 15-mL pressure tube. 1,2-dichloroethane (2.0 mL) was added to the reaction mixture. Then methyl acrylate \textbf{2a} (1 equiv) was added followed by the addition of DCE (1.0 mL) and the reaction mixture was stirred at open air for five minutes. Then a screw cap was used to cover the tube and the reaction mixture was allowed to stir at 100 °C for 12 h. After that the reaction mixture was cooled to room temperature, ethyl acrylate \textbf{2b} (1 equiv) was added, stirred for five minutes at open air and tightly screw-capped and allowed to stir at 100 °C for another 12 h. Then, the reaction mixture was diluted with CH\textsubscript{2}Cl\textsubscript{2}, filtered through celite, and the filtrate was concentrated. The crude residue was purified by column chromatography silica-gel 120-200 mesh, EtOAc: Hexane gave \textit{ortho}-bis different alkenylated product \textbf{5}. 

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Preparation of Compound 6.
A round-bottom flask containing 3aa (50 mg) and lithium hydroxide (5.0 equiv) were dissolved in THF+methanol+water (4:1:1) mixture (6.0 mL) and stirred at 80 °C. After completion (12 h) of the reaction, the solvent mixture was evaporated under reduced pressure and diluted with water (20 ml) and extracted with EtOAc (3 x 15 mL). Then the aqueous phase acidified with 1M HCl (pH ~ 6) and extracted with EtOAc (3 x 40 ml). The combined organic layers were dried over Na$_2$SO$_4$ and the solvent was removed under reduced pressure. The crude residue was purified by column chromatography silica-gel 120-200 mesh, EtOAc: Hexane gave hydrolysis products 6.

Maleimides Work

General Procedure for the Alkenylation Reaction.
Arylacetamides 1 (100 mg) (1 equiv), [Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$ (5 mol%), Cu(OAc)$_2$.H$_2$O (1 equiv) and Maleimides 7 (2 equiv) were taken in a 15-mL pressure tube. 1,2-Dimethoxyethane (3.0 mL) was added to the reaction mixture. The reaction mixture was stirred at open air for five minutes. Then a screw cap was used to cover the tube and the reaction mixture was allowed to stir at 80 °C for 12 h. Then, the reaction mixture was diluted with CH$_2$Cl$_2$, filtered through celite, and the filtrate was concentrated. The crude residue was purified by column chromatography silica-gel 120-200 mesh, EtOAc: Hexane gave product 8.

Procedure for the Alkenylation of Arylacetamide (1a) with Acrylate (2a) Catalyzed by a Rhodium Complex (1mmol scale).
Arylacetamide 1a (203 mg) (1 equiv), [Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$ (3 mol%) and 1-adamantanecarboxylic acid (30 mol%) were taken in a 15-mL pressure tube. 1,2-dichloroethane (4.0 mL) was added to the reaction mixture. Then acrylate 2 (2 equiv) was added followed by the addition of DCE (1.0 mL) and the reaction mixture was stirred at open air for five minutes. Then a screw cap was used to cover the tube and the reaction mixture was allowed to stir at 100 °C for 12 h. Then, the reaction mixture was diluted with CH$_2$Cl$_2$, filtered through celite, and the filtrate was concentrated. The crude residue was purified by column chromatography silica-gel 120-200 mesh, EtOAc: Hexane gave alkenylated product 3aa in 90% (260 mg).
Mechanistic Investigation

Deuterium Labelling Studies for D-1i.

A 15 mL pressure tube with a septum containing Arylacetamide (75 mg) and \([\text{Rh}(\text{Cp}^*)(\text{CH}_3\text{CN})_3][\text{SbF}_6]_2\) (3 mol %), DCE (2.0 mL) were added to the reaction. Then, \text{CD}_3\text{COOD} (2.0 equiv.) and DCE (1.0 mL) were added via syringes, stirred at open air for five minutes. The reaction mixture was allowed to stir at 100 °C for 6 h. Then, the reaction mixture was diluted with \text{CH}_2\text{Cl}_2, filtered through Celite, and the filtrate was concentrated. The crude residue was purified by column chromatography silica-gel 120-200 mesh, EtOAc: Hexane gave product D-1i. In the reaction, product D-1i was observed in 95% yield with 49% of deuterium incorporation at the both \textit{ortho} carbons of arylacetamide and 60% of deuterium incorporation at benzylic carbons, respectively. These results also clearly reveal that the C-H bond activation as a key intermediate in the reaction as well as it is the reversible process.

Preparation of Compounds D-3ja.

Arylacetamide 1j (75 mg) (1 equiv) and \([\text{Rh}(\text{Cp}^*)(\text{CH}_3\text{CN})_3][\text{SbF}_6]_2\) (3 mol%), DCE (2.0 mL) were taken in a 15-mL pressure tube. \text{CD}_3\text{COOD} (2 equiv.) were added to the reaction mixture. Then acrylate 2 (2 equiv) was added followed by the addition of DCE (1 mL) and the reaction mixture was stirred at open air for five minutes. Then a screw cap was used to cover the tube and the reaction mixture was allowed to stir at 100 °C for 12 h. Then, the reaction mixture was diluted with \text{CH}_2\text{Cl}_2, filtered through Celite, and the filtrate was concentrated. The crude residue was purified by column chromatography silica-gel 120-200 mesh, EtOAc: Hexane gave product D-3ja 65%.

Radical Trapping Experiment.

Arylacetamide 1a (75 mg) (1 equiv), \([\text{Rh}(\text{Cp}^*)(\text{CH}_3\text{CN})_3][\text{SbF}_6]_2\) (3 mol%), 2,2,6,6-tetramethylpiperidine \textit{N}-oxide (TEMPO) and 1-adamantanecarboxylic acid (30 mol%) were taken in a 15-mL pressure tube. 1,2-dichloroethane (2.0 mL) was added to the reaction mixture. Then methyl acrylate 2a (2 equiv) was added followed by the addition of DCE (1.0 mL) and the reaction mixture was stirred at open air for five minutes. Then a screw cap was used to cover the tube and the reaction mixture was allowed to stir at 100 °C for 12 h. Then, the reaction mixture was diluted with \text{CH}_2\text{Cl}_2, filtered through celite, and the filtrate was concentrated. The crude residue was purified by column chromatography silica-gel 120-200 mesh.
mesh, EtOAc: Hexane gave alkenylated product 3aa 90%. But, there was no significant drop in yield of product, which clearly indicates that the reaction is not proceeding via single-electron pathway.
$^1$H Spectra of Compound D-1i (CDCl$_3$ was used).

$^1$H Spectra of Compound D-3ja (CDCl$_3$ was used).
**Table S1: Optimization of alkenylation reaction**

<table>
<thead>
<tr>
<th>S.NO</th>
<th>Solvent</th>
<th>Catalyst</th>
<th>Additive</th>
<th>Yield (%)&lt;sup&gt;b&lt;/sup&gt;</th>
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<tbody>
<tr>
<td>1</td>
<td>DCE</td>
<td>[Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$</td>
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<td>76</td>
</tr>
<tr>
<td>2</td>
<td>DCE</td>
<td>[Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$</td>
<td>Mesitylene acid</td>
<td>73</td>
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<tr>
<td>3</td>
<td><strong>DCE</strong></td>
<td>[Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$</td>
<td><strong>Ad-1-COOH</strong></td>
<td><strong>92</strong></td>
</tr>
<tr>
<td>4</td>
<td>DCE</td>
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<td>PivOH</td>
<td>78</td>
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<td>5</td>
<td>DCE</td>
<td>-</td>
<td>Ad-1-COOH</td>
<td>NR</td>
</tr>
<tr>
<td>6</td>
<td>DCE</td>
<td>[Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$</td>
<td>-</td>
<td>NR</td>
</tr>
<tr>
<td>7</td>
<td>Toluene</td>
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<td>Ad-1-COOH</td>
<td>68</td>
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<td>8</td>
<td>THF</td>
<td>[Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$</td>
<td>Ad-1-COOH</td>
<td>77</td>
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<tr>
<td>9</td>
<td>Dioxane</td>
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<td>Ad-1-COOH</td>
<td>30</td>
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<tr>
<td>10</td>
<td>DMF</td>
<td>[Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$</td>
<td>Ad-1-COOH</td>
<td>NR</td>
</tr>
<tr>
<td>11</td>
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<td>[Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$</td>
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<td>46</td>
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<td>14</td>
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</table>

<sup>a</sup> All reactions were carried out using substituted arylacetamides 1a (75 mg), methyl acrylate (2a) (2.0 equiv), [Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$ (3 mol %), Ad-1-COOH (30 mol%) and under air in ClCH$_2$CH$_2$Cl at 100 °C for 12 h. <sup>b</sup> Isolated yield.
Table S2: Optimization of maleimides reaction

<table>
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<tr>
<th>S.NO</th>
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<th>Catalyst</th>
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<th>Yield (%)&lt;sup&gt;b&lt;/sup&gt;</th>
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<tr>
<td>1</td>
<td>DCE</td>
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<td>Cu(OAc)$_2$.H$_2$O</td>
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<td>2</td>
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<td>[Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$</td>
<td>AgOAc</td>
<td>63</td>
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<tr>
<td>3</td>
<td>DCE</td>
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<td>Ag$_2$O</td>
<td>NR</td>
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<td>DCE</td>
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<td>Ag$_2$CO$_3$</td>
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<tr>
<td>5</td>
<td>DCE</td>
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<td>Cu(OAc)$_2$.H$_2$O</td>
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<tr>
<td>6</td>
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<td>[Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$</td>
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</tr>
<tr>
<td>7</td>
<td>DME</td>
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<td>Cu(OAc)$_2$.H$_2$O</td>
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<tr>
<td>8</td>
<td>THF</td>
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<td>Cu(OAc)$_2$.H$_2$O</td>
<td>NR</td>
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<tr>
<td>11</td>
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<td>NR</td>
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<td>18</td>
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<td>Na$_2$CO$_3$</td>
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</table>

<sup>a</sup> All reactions were carried out using substituted arylacetamides 1 (100 mg), maleimides (7) (2.0 equiv), [Rh(Cp*)(CH$_3$CN)$_3$][SbF$_6$]$_2$ (5 mol %), Additive (1 equiv) and under air in DME at 80 °C for 12 h. <sup>b</sup> Isolated yield.
X-Ray Analysis of Compounds 3ha

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<td>γ (deg)</td>
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<td>Δρ_{max}/Δρ_{min} (e Å⁻³)</td>
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X-Ray Analysis of Compounds 3ha
## X-Ray Analysis of Compounds 8f

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<th>Property</th>
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<tbody>
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<td>empirical formula</td>
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</tr>
<tr>
<td>formula wt</td>
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<td>b (Å)</td>
<td>11.1571(7)</td>
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<td>c (Å)</td>
<td>14.8923(8)</td>
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<td>α (deg)</td>
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<td>γ (deg)</td>
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</table>
X-Ray Analysis of Compounds 8f
Reference:

Spectral Data of Acrylate Compounds.

(E)-Methyl 3-(3-methyl-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate(3aa).

Pale yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 96 mg of product was isolated and yield is 92%.

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.92 (d, $J = 15.7$ Hz, 1H), 7.41 (d, $J = 7.5$ Hz, 1H), 7.23 – 7.16 (m, 2H), 6.32 (d, $J = 15.7$ Hz, 1H), 3.78 (s, 3H), 3.74 (s, 2H), 3.62 (t, $J = 6.8$ Hz, 2H), 3.50 (t, $J = 6.9$ Hz, 2H), 2.31 (s, 3H), 2.07 – 2.00 (m, 2H), 1.94 – 1.86 (m, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 168.1, 167.4, 143.5, 137.9, 134.5, 133.9, 131.9, 127.0, 124.7, 119.7, 51.6, 46.8, 45.9, 35.0, 26.2, 24.4, 20.3.

HRMS (ESI): calc. for [(C$_{17}$H$_{21}$NO$_3$) (M+Na)] 310.1419, measured 310.1417.

(E)-Methyl 3-(3-methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ba).

Pale yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 87 mg of product was isolated and yield is 85%.

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.95 (d, $J = 15.8$ Hz, 1H), 7.31 – 7.14 (m, 2H), 6.90 (d, $J = 7.9$ Hz, 1H), 6.36 (d, $J = 15.8$ Hz, 1H), 3.82 (s, 3H), 3.78 (s, 5H), 3.62 (t, $J = 6.8$ Hz, 2H), 3.49 (t, $J = 6.8$ Hz, 2H), 2.01 (dd, $J = 13.6$, 6.8 Hz, 2H), 1.89 (dd, $J = 13.6$, 6.8 Hz, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 168.7, 167.4, 157.7, 142.9, 135.6, 127.8, 124.4, 120.0, 119.0, 111.6, 55.8, 51.6, 46.7, 45.9, 31.5, 26.2, 24.4.

HRMS (ESI): calc. for [(C$_{17}$H$_{21}$NO$_4$) (M+Na)] 326.1368, measured 326.1341.
(E)-Methyl 3-(3-fluoro-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ca).

Yellow liquid; eluent (28% ethyl acetate in hexane). The reaction scale is 75 mg, 75 mg of product was isolated and yield is 71%.

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.89 (d, $J = 15.8$ Hz, 1H), 7.39 (d, $J = 7.8$ Hz, 1H), 7.26 (d, $J = 8.0$ Hz, 1H), 7.08 (s, 1H), 6.38 (d, $J = 15.8$ Hz, 1H), 3.79 (s, 3H), 3.77 (s, 2H), 3.62 (t, $J = 6.7$ Hz, 2H), 3.49 (t, $J = 6.8$ Hz, 2H), 2.08 – 1.98 (m, 2H), 1.95 – 1.84 (m, 2H).

$^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 167.3, 167.1, 162.5 (d, $J_{C,F} = 246.4$ Hz), 141.6 (d, $J_{C,F} = 3.0$ Hz), 136.6 (d, $J_{C,F} = 4.0$ Hz), 128.4 (d, $J_{C,F} = 9.1$ Hz), 122.7 (d, $J_{C,F} = 15.2$ Hz), 122.4 (d, $J_{C,F} = 3.0$ Hz), 120.8, 116.4 (d, $J_{C,F} = 23.23$ Hz), 51.72, 46.77, 46.0, 30.9 (d, $J_{C,F} = 4.0$ Hz), 26.2, 24.3.

HRMS (ESI): calc. for [(C$_{16}$H$_{18}$FNO$_3$)] (M+Na) 314.1168, measured 314.1169.

(3da).

Yellow solid; eluent (28% ethyl acetate in hexane). The reaction scale is 75 mg, 90 mg of product was isolated and yield is 88%.

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.90 (d, $J = 15.7$ Hz, 1H), 7.47 (d, $J = 7.6$ Hz, 1H), 7.41 (d, $J = 7.8$ Hz, 1H), 6.35 (d, $J = 15.8$ Hz, 1H), 3.91 (s, 2H), 3.79 (s, 3H), 3.64 (t, $J = 6.7$ Hz, 2H), 3.51 (t, $J = 6.7$ Hz, 2H), 2.10 – 1.99 (m, 2H), 1.96 – 1.84 (m, 2H).

$^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 167.1, 167.0, 142.5, 136.9, 130.5, 128.1, 125.4, 121.2, 51.7, 46.7, 46.0, 35.7, 26.2, 24.4.

HRMS (ESI): calc. for [(C$_{16}$H$_{18}$ClNO$_3$)] (M+Na) 330.0873, measured 330.0871.
(E)-Methyl 3-(3-bromo-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ea).

White solid; eluent (28% ethyl acetate in hexane). The reaction scale is 75 mg, 72 mg of product was isolated and yield is 74%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.90 (d, $J = 15.8$ Hz, 1H), 7.59 (d, $J = 7.9$ Hz, 1H), 7.50 (d, $J = 7.7$ Hz, 1H), 7.14 (t, $J = 7.9$ Hz, 1H), 6.33 (d, $J = 15.7$ Hz, 1H), 3.93 (s, 2H), 3.79 (s, 3H), 3.658 (t, $J = 6.8$ Hz, 2H), 3.51 (t, $J = 6.9$ Hz, 2H), 2.11 – 2.01 (m, 2H), 1.96 – 1.86 (m, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 167.0, 166.9, 142.8, 137.0, 134.9, 133.8, 128.4, 126.6, 126.1, 121.3, 51.7, 46.7, 45.9, 38.5, 26.2, 24.4.

HRMS (ESI): calc. for [(C$_{16}$H$_{18}$BrNO$_3$) (M+Na)] 374.0368, measured 374.0367.

(E)-Methyl 3-(4-methyl-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3fa)

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 98 mg of product was isolated and yield is 95%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.89 (d, $J = 15.8$ Hz, 1H), 7.50 (d, $J = 7.8$ Hz, 1H), 7.09 (d, $J = 8.0$ Hz, 2H), 6.33 (d, $J = 15.7$ Hz, 1H), 3.79 (s, 3H), 3.73 (s, 2H), 3.54 – 3.45 (m, 4H), 2.34 (s, 3H), 2.02 – 1.93 (m, 2H), 1.91 – 1.84 (m, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 168.8, 142.1, 140.5, 134.8, 131.2, 130.9, 128.2, 126.7, 118.5, 51.6, 46.8, 46.0, 39.0, 26.2, 24.3, 21.4.

HRMS (ESI): calc. for [(C$_{17}$H$_{21}$NO$_3$) (M+Na)] 310.1419, measured 310.1420.
(E)-Methyl 3-(4-chloro-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ga).

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 90 mg of product was isolated and yield is 92%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.83 (d, $J = 15.7$ Hz, 1H), 7.52 (d, $J = 8.7$ Hz, 1H), 7.26 (d, $J = 4.6$ Hz, 2H), 6.34 (d, $J = 15.8$ Hz, 1H), 3.79 (s, 3H), 3.72 (s, 2H), 3.51 (t, $J = 6.6$ Hz, 4H), 2.08 – 1.96 (m, 2H), 1.90 (dd, $J = 13.2, 6.5$ Hz, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 167.9, 167.1, 141.0, 136.6, 132.4, 130.7, 128.0, 127.7, 120.0, 51.8, 46.9, 46.1, 38.8, 26.2, 24.3.

HRMS (ESI): calc. for [(C$_{16}$H$_{18}$ClNO$_3$)] (M+Na) 330.0873, measured 330.0877

(E)-Methyl 3-(4-bromo-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ha).

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 88 mg of product was isolated and yield is 89%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.82 (d, $J = 15.8$ Hz, 1H), 7.47 – 7.39 (m, 3H), 6.36 (d, $J = 15.8$ Hz, 1H), 3.79 (s, 3H), 3.71 (s, 2H), 3.51 (t, $J = 6.8$ Hz, 4H), 2.05 – 1.96 (m, 2H), 1.93 – 1.85 (m, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 167.8, 167.1, 141.1, 136.8, 133.6, 132.9, 130.6, 128.1, 124.3, 120.1, 51.8, 46.9, 46.1, 38.7, 26.2, 24.3.

HRMS (ESI): calc. for [(C$_{16}$H$_{18}$BrNO$_3$)] (M+H) 352.0548, measured 352.0567
(E)-Methyl 3-(5-methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ia).

Colorless oily liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 90 mg of product was isolated and yield is 87%.

$^1$H NMR (400 MHz, CDCl$_3$) δ 7.89 (d, $J = 15.7$ Hz, 1H), 7.17 (d, $J = 8.4$ Hz, 1H), 7.10 (s, 1H), 6.90 (d, $J = 7.4$ Hz, 1H), 6.35 (d, $J = 15.7$ Hz, 1H), 3.81 (d, $J = 6.1$ Hz, 6H), 3.70 (s, 2H), 3.49 (q, $J = 6.9$ Hz, 4H), 1.96 (dd, $J = 13.3$, 6.6 Hz, 2H), 1.89 – 1.84 (m, 2H).

$^{13}$C NMR (101 MHz, CDCl$_3$) δ 169.0, 167.2, 158.5, 142.2, 134.7, 131.6, 127.1, 119.6, 116.1, 111.5, 55.3, 51.7, 46.8, 46.0, 38.3, 26.1, 24.3.

(E)-Methyl 3-(5-bromo-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ja).

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 80 mg of product was isolated and yield is 81%.

$^1$H NMR (400 MHz, CDCl$_3$) δ 7.82 (d, $J = 15.8$ Hz, 1H), 7.70 (s, 1H), 7.45 (d, $J = 8.2$ Hz, 1H), 7.14 (d, $J = 8.2$ Hz, 1H), 6.36 (d, $J = 15.8$ Hz, 1H), 3.80 (s, 3H), 3.70 (s, 2H), 3.49 (t, $J = 6.4$ Hz, 4H), 2.03 – 1.94 (m, 2H), 1.92 – 1.82 (m, 2H).

$^{13}$C NMR (101 MHz, CDCl$_3$) δ 168.0, 166.9, 140.8, 135.9, 133.7, 132.8, 132.2, 129.5, 121.5, 120.9, 51.8, 46.9, 46.0, 38.6, 26.2, 24.3.

HRMS (ESI): calc. for [(C$_{16}$H$_{18}$BrNO$_3$)] (M+Na) 374.0368, measured 374.0366
(E)-Methyl 3-(5-fluoro-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ka).

![Chemical structure](image)

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 70 mg of product was isolated and yield is 67%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.84 (dd, $J = 15.8$, 1.4 Hz, 1H), 7.29 – 7.25 (m, 1H), 7.23 (dd, $J = 8.5$, 5.7 Hz, 1H), 7.04 (d, $J = 2.7$ Hz, 1H), 6.35 (d, $J = 15.7$ Hz, 1H), 3.80 (s, 3H), 3.71 (s, 2H), 3.50 (t, $J = 6.8$ Hz, 4H), 2.03 – 1.94 (m, 2H), 1.88 (t, $J = 6.9$ Hz, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 168.4, 167.0, 162.8 (d, $J_{C-F} = 245.7$ Hz), 141.2 (d, $J_{C-F} = 2.5$ Hz), 135.7 (d, $J_{C-F} = 7.6$ Hz), 132.4 (d, $J_{C-F} = 8.8$ Hz), 130.7 (d, $J_{C-F} = 3.8$ Hz), 120.7, 117.1 (d, $J_{C-F} = 22.7$ Hz), 113.3 (d, $J_{C-F} = 22.7$ Hz), 51.8, 46.8, 46.0, 38.3, 29.7, 26.2, 24.3.

HRMS (ESI): calc. for [(C$_{16}$H$_{18}$FNO$_3$)] (M+Na) 314.1168, measured 314.1166

(E)-Methyl 3-(5-chloro-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3la).

![Chemical structure](image)

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 75 mg of product was isolated and yield is 73%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.83 (d, $J = 15.8$ Hz, 1H), 7.55 (d, $J = 2.2$ Hz, 1H), 7.30 (dd, $J = 8.2$, 2.2 Hz, 1H), 7.20 (d, $J = 8.3$ Hz, 1H), 6.36 (d, $J = 15.8$ Hz, 1H), 3.80 (s, 3H), 3.71 (s, 2H), 3.49 (dt, $J = 6.9$, 3.5 Hz, 4H), 2.02 – 1.95 (m, 2H), 1.88 (t, $J = 6.9$ Hz, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 168.1, 166.9, 140.9, 135.6, 133.3, 133.2, 132.0, 129.9, 126.6, 120.92, 51.8, 46.9, 46.1, 38.5, 26.2, 24.3.

HRMS (ESI): calc. for [(C$_{16}$H$_{18}$ClNO$_3$)] (M+Na) 330.0873, measured 330.0879
(E)-Methyl 3-(3,5-dichloro-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ma).

Yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 86 mg of product was isolated and yield is 90%.

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.81 (d, $J = 15.8$ Hz, 1H), 7.43 (d, $J = 8.8$ Hz, 2H), 6.35 (d, $J = 15.7$ Hz, 1H), 3.85 (s, 2H), 3.79 (s, 3H), 3.63 (t, $J = 6.8$ Hz, 2H), 3.50 (t, $J = 6.9$ Hz, 2H), 2.11 − 1.99 (m, 2H), 1.97 − 1.84 (m, 2H).

$^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 166.6, 141.2, 137.9, 136.2, 133.3, 131.9, 130.0, 125.5, 122.3, 51.9, 46.7, 46.0, 35.3, 26.2, 24.4.

HRMS (ESI): calc. for [(C$_{16}$H$_{17}$Cl$_2$NO$_3$) (M+H) 364.0483, measured 364.0489

(E)-Methyl 3-(4,5-dichloro-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3na).

Pale orange solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 90 mg of product was isolated and yield is 86%.

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.75 (d, $J = 15.8$ Hz, 1H), 7.65 (s, 1H), 7.35 (s, 1H), 6.35 (d, $J = 15.8$ Hz, 1H), 3.80 (s, 3H), 3.68 (s, 2H), 3.50 (q, $J = 6.8$ Hz, 4H), 2.01 (dd, $J = 13.4$, 6.7 Hz, 2H), 1.90 (dd, $J = 13.7$, 6.8 Hz, 2H).

$^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 167.4, 166.8, 139.9, 134.6, 134.0, 133.8, 132.6, 131.6, 128.2, 121.08, 51.9, 46.9, 46.1, 38.2, 26.2, 24.3.

HRMS (ESI): calc. for [(C$_{16}$H$_{17}$Cl$_2$NO$_3$) (M+H) 342.0664, measured 352.0686
Methyl (E)-3-(2-(1-oxo-1-(pyrrolidin-1-yl)propan-2-yl)phenyl)acrylate (3oa).

Pain yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 58 mg of product was isolated and yield is 55%.

\[ ^1H \text{ NMR (500 MHz, CDCl}_3 \text{)} \delta 8.06 (d, J = 15.7 \text{ Hz, 1H}), 7.54 (d, J = 7.4 \text{ Hz, 1H}), 7.36 (ddd, J = 8.9, 7.3, 1.4 \text{ Hz, 2H}), 7.31 - 7.27 (m, 1H), 6.38 (d, J = 15.7 \text{ Hz, 1H}), 4.07 (q, J = 6.9 \text{ Hz, 1H}), 3.83 (s, 3H), 3.55 (dd, J = 12.3, 6.5 Hz, 1H), 3.44 (dd, J = 12.8, 6.9 Hz, 1H), 3.40 - 3.34 (m, 1H), 2.88 (dt, J = 10.0, 7.1 Hz, 1H), 1.83 - 1.73 (m, 4H), 1.43 (d, J = 6.9 Hz, 3H). \]

\[ ^{13}C \text{ NMR (126 MHz, CDCl}_3 \text{)} \delta 171.9, 167.1, 141.6, 141.2, 132.5, 130.8, 128.7, 127.5, 127.3, 127.2, 126.8, 126.7, 120.5, 51.8, 46.1, 45.9, 40.8, 26.0, 24.1, 19.6. \]

HRMS (ESI): calc. for [(C\textsubscript{17}H\textsubscript{21}NO\textsubscript{3})] (M+K) 326.1159, measured 326.1193

(E)-Methyl 3-(3-methoxy-2-(2-oxo-2-(piperidin-1-yl)ethyl)phenyl)acrylate (3pa).

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 90 mg of product was isolated and yield is 88%.

\[ ^1H \text{ NMR (400 MHz, CDCl}_3 \text{)} \delta 7.91 (d, J = 15.8 \text{ Hz, 1H}), 7.24 (dd, J = 14.6, 6.8 \text{ Hz, 2H}), 6.90 (d, J = 7.8 \text{ Hz, 1H}), 6.35 (d, J = 15.8 \text{ Hz, 1H}), 3.83 (s, 2H), 3.82 (s, 3H), 3.78 (s, 3H), 3.57 (dd, J = 10.4, 5.6 \text{ Hz, 4H}), 1.67 (dd, J = 19.4, 10.1 \text{ Hz, 4H}), 1.55 (s, 2H). \]

\[ ^{13}C \text{ NMR (101 MHz, CDCl}_3 \text{)} \delta 168.4, 167.4, 157.5, 155.8, 142.7, 135.4, 127.8, 124.7, 120.0, 118.9, 111.6, 55.8, 51.6, 46.9, 43.1, 29.9, 26.5, 25.6, 24.7. \]

HRMS (ESI): calc. for [(C\textsubscript{18}H\textsubscript{23}NO\textsubscript{4})] (M+H) 340.1513, measured 340.1533
(E)-Methyl 3-(3-methyl-2-(2-oxo-2-(piperidin-1-yl)ethyl)phenyl)acrylate (3qa).

Pale yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 85 mg of product was isolated and yield is 81%.

$^1$H NMR (400 MHz, CDCl$_3$) δ 7.88 (d, $J = 15.7$ Hz, 1H), 7.41 (d, $J = 7.2$ Hz, 1H), 7.25 – 7.12 (m, 2H), 6.31 (d, $J = 15.7$ Hz, 1H), 3.78 (s, 5H), 3.63 – 3.53 (m, 4H), 2.29 (s, 3H), 1.70 (d, $J = 10.5$ Hz, 4H), 1.57 (s, 2H).

$^{13}$C NMR (101 MHz, CDCl$_3$) δ 168.0, 165.4, 150.7, 144.9, 1378.0, 134.2, 134.1, 132.3, 129.3, 127.1, 125.7, 121.5, 119.1, 46.7, 45.9, 34.9, 26.1, 24.3, 20.3.

HRMS (ESI): calc. for [(C$_{18}$H$_{23}$NO$_3$) (M+Na)] 324.1576, measured 324.1581

(E)-Methyl 3-(4-chloro-2-(2-oxo-2-(piperidin-1-yl)ethyl)phenyl)acrylate (3ra).

Yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 80 mg of product was isolated and yield is 80%.

$^1$H NMR (400 MHz, CDCl$_3$) δ 7.79 (d, $J = 15.8$ Hz, 1H), 7.52 (d, $J = 8.4$ Hz, 1H), 7.30 – 7.18 (m, 2H), 6.35 (d, $J = 15.8$ Hz, 1H), 3.80 (s, 3H), 3.78 (s, 2H), 3.64 – 3.53 (m, 2H), 3.50 – 3.32 (m, 2H), 1.66 (d, $J = 4.8$ Hz, 2H), 1.62 – 1.48 (m, 4H).

$^{13}$C NMR (101 MHz, CDCl$_3$) δ 167.7, 167.0, 140.7, 136.9, 135.9, 132.2, 130.4, 128.0, 127.6, 120.1, 51.8, 47.1, 43.1, 37.5, 26.4, 25.5, 24.4.

HRMS (ESI): calc. for [(C$_{17}$H$_{20}$ClNO$_3$) (M+H)] 344.1029, measured 344.1038
(E)-Methyl 3-(4-chloro-2-(2-(dimethylamino)-2-oxoethyl)phenyl)acrylate (3sa).

White solid; eluent (25% ethyl acetate in hexane). The reaction scale is 75 mg, 90 mg of product was isolated and yield is 84%.

$^1$H NMR (400 MHz, CDCl$_3$) δ 7.79 (d, $J = 15.8$ Hz, 1H), 7.52 (d, $J = 8.4$ Hz, 1H), 7.23 (dd, $J = 19.1$, 4.9 Hz, 2H), 6.35 (d, $J = 15.8$ Hz, 1H), 3.79 (s, 3H), 3.78 (s, 2H), 3.08 (s, 3H), 3.00 (s, 3H).

$^{13}$C NMR (101 MHz, CDCl$_3$) δ 169.4, 167.0, 140.7, 136.6, 135.8, 132.3, 130.4, 127.9, 127.6, 120.00, 51.7, 37.5, 37.4, 35.7.

HRMS (ESI): calc. for [($C_{14}H_{16}ClNO_3$)] (M+Na) 304.0716, measured 304.0716

(E)-Methyl 3-(4-bromo-2-(2-(diethylamino)-2-oxoethyl)phenyl)acrylate (3ta).

Yellow solid; eluent (25% ethyl acetate in hexane). The reaction scale is 75 mg, 85 mg of product was isolated and yield is 86%.

$^1$H NMR (400 MHz, CDCl$_3$) δ 7.76 (d, $J = 15.8$ Hz, 1H), 7.41 (dt, $J = 7.9$, 4.8 Hz, 3H), 6.35 (d, $J = 15.8$ Hz, 1H), 3.79 (s, 3H), 3.75 (s, 2H), 3.39 (dq, $J = 18.5$, 7.1 Hz, 4H), 1.24 (t, $J = 7.1$ Hz, 3H), 1.15 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (101 MHz, CDCl$_3$) δ 168.5, 167.0, 140.9, 137.1, 133.4, 132.7, 130.5, 128.0, 124.2, 120.06, 51.7, 42.4, 40.5, 37.4, 14.3, 12.9.

HRMS (ESI): calc. for [($C_{16}H_{20}BrNO_3$)] (M+Na) 376.0524, measured 376.0532
(E)-Methyl 3-(3-methyl-2-(2-(methylamino)-2-oxoethyl)phenyl)acrylate (3ua).

White solid; eluent (25% ethyl acetate in hexane). The reaction scale is 75 mg, 90 mg of product was isolated and yield is 79%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.93 (d, $J = 15.7$ Hz, 1H), 7.51 – 7.39 (m, 1H), 7.33 – 7.18 (m, 2H), 6.34 (d, $J = 15.7$ Hz, 1H), 5.25 (s, 1H), 3.81 (s, 3H), 3.74 (s, 2H), 2.74 (d, $J = 4.8$ Hz, 3H), 2.33 (s, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.2, 166.9, 142.0, 138.5, 134.8, 132.6, 132.4, 127.9, 125.3, 121.1, 51.8, 37.2, 26.6, 20.2.

HRMS (ESI): calc. for [(C$_{14}$H$_{17}$NO$_3$) (M+Na) 270.1106, measured 270.1115

(E)-Methyl 3-(4-methyl-2-(2-(methylamino)-2-oxoethyl)phenyl)acrylate (3va).

White solid; eluent (25% ethyl acetate in hexane). The reaction scale is 75 mg, 80 mg of product was isolated and yield is 70%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.86 (d, $J = 15.8$ Hz, 1H), 7.52 (d, $J = 8.0$ Hz, 1H), 7.14 (d, $J = 8.0$ Hz, 1H), 7.09 (s, 1H), 6.35 (d, $J = 15.8$ Hz, 1H), 5.37 (s, 1H), 3.79 (s, 3H), 3.67 (s, 2H), 2.75 (d, $J = 4.8$ Hz, 3H), 2.36 (s, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.6, 167.1, 141.1, 141.0, 134.2, 132.1, 131.1, 129.0, 127.1, 119.4, 51.7, 40.9, 26.5, 21.3.

HRMS (ESI): calc. for [(C$_{14}$H$_{17}$NO$_3$) (M+Na) 270.1106, measured 270.1109
(E)-Methyl 3-(2-(2-amino-2-oxoethyl)-5-bromophenyl)acrylate (3wa).

![Chemical Structure](image)

White solid; eluent (40% ethyl acetate in hexane). The reaction scale is 75 mg, 80 mg of product was isolated and yield is 80%.

$^1$H NMR (400 MHz, CDCl$_3$) δ 7.82 (d, $J = 15.8$ Hz, 1H), 7.73 (d, $J = 1.6$ Hz, 1H), 7.53 – 7.44 (m, 1H), 7.19 (d, $J = 8.3$ Hz, 1H), 6.38 (d, $J = 15.8$ Hz, 1H), 5.77 (s, 1H), 5.58 (s, 1H), 3.80 (s, 3H), 3.66 (d, $J = 4.6$ Hz, 2H).

$^{13}$C NMR (101 MHz, CDCl$_3$) δ 171.7, 166.7, 139.97, 135.9, 133.2, 133.0, 132.8, 129.9, 122.0, 121.7, 52.0, 39.9.

HRMS (ESI): calc. for [(C$_{12}$H$_{12}$BrNO$_3$)] (M+Na) 319.9898, measured 319.9902

(E)-Ethyl 3-(3-methyl-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ab).

![Chemical Structure](image)

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 68 mg of product was isolated and yield is 61%.

$^1$H NMR (400 MHz, CDCl$_3$) δ 7.90 (d, $J = 15.7$ Hz, 1H), 7.41 (d, $J = 7.5$ Hz, 1H), 7.24 – 7.12 (m, 2H), 6.31 (d, $J = 15.7$ Hz, 1H), 4.24 (q, $J = 7.1$ Hz, 2H), 3.74 (s, 2H), 3.62 (t, $J = 6.8$ Hz, 2H), 3.50 (t, $J = 6.9$ Hz, 2H), 2.32 (s, 3H), 2.11 – 1.98 (m, 2H), 1.96 – 1.83 (m, 2H), 1.32 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (101 MHz, CDCl$_3$) δ 168.1, 167.0, 143.1, 137.9, 134.5, 133.9, 131.8, 127.0, 124.7, 120.3, 60.4, 46.8, 45.9, 35.0, 26.3, 24.4, 20.3, 14.3.

HRMS (ESI): calc. for [(C$_{18}$H$_{23}$NO$_3$)] (M+Na) 324.1576, measured 324.1574
(E)-Butyl 3-(3-methyl-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ac).

Yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 70 mg of product was isolated and yield is 57%.

\[ ^1H \text{ NMR (400 MHz, CDCl}_3\] \delta 7.90 (d, \( J = 15.7 \text{ Hz}, 1H), 7.41 (s, 1H), 7.23 – 7.14 (m, 2H), 6.32 (d, \( J = 15.7 \text{ Hz}, 1H), 4.18 (t, \( J = 6.7 \text{ Hz}, 2H), 3.74 (s, 2H), 3.62 (dd, \( J = 8.3, 5.2 \text{ Hz}, 2H), 3.50 (t, \( J = 6.9 \text{ Hz}, 2H), 2.32 (s, 3H), 2.09 – 2.00 (m, 2H), 1.93 – 1.86 (m, 2H), 1.66 (dd, \( J = 14.4, 6.9 \text{ Hz}, 2H), 1.43 (d, \( J = 7.5 \text{ Hz}, 2H), 0.96 (t, \( J = 7.4 \text{ Hz}, 3H).\]

\[ ^{13}C \text{ NMR (101 MHz, CDCl}_3\] \delta 168.1, 167.1, 153.9, 143.1, 131.8, 127.0, 124.7, 120.3, 64.3, 46.8, 46.0, 35.0, 30.7, 26.3, 24.4, 20.4, 19.2, 13.7.

HRMS (ESI): calc. for [(C_{20}H_{27}NO_{3}) (M+Na)] 352.1889, measured 352.1884

(E)-Phenyl 3-(3-methyl-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ad).

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 86 mg of product was isolated and yield is 67%.

\[ ^1H \text{ NMR (400 MHz, CDCl}_3\] \delta 8.07 (d, \( J = 15.7 \text{ Hz}, 1H), 7.50 (d, \( J = 6.9 \text{ Hz}, 1H), 7.40 (t, \( J = 7.3 \text{ Hz}, 2H), 7.23 (d, \( J = 12.0 \text{ Hz}, 3H), 7.15 (d, \( J = 6.9 \text{ Hz}, 2H), 6.53 (d, \( J = 15.6 \text{ Hz}, 1H), 3.77 (s, 2H), 3.60 (d, \( J = 6.6 \text{ Hz}, 2H), 3.49 (t, \( J = 6.3 \text{ Hz}, 2H), 2.33 (s, 3H), 2.05 – 1.95 (m, 2H), 1.89 – 1.83 (m, 2H).\]

\[ ^{13}C \text{ NMR (101 MHz, CDCl}_3\] \delta 168.0, 165.4, 150.7, 144.9, 138.0, 134.2, 134.1, 132.3, 129.3, 127.1, 125.7, 124.7, 121.5, 119.1, 46.7, 45.9, 34.9, 26.1, 24.3, 20.3.

HRMS (ESI): calc. for [(C_{22}H_{23}NO_{3}) (M+Na)] 372.1576, measured 372.1585
(E)-Cyclohexyl 3-(3-methyl-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (3ae).

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 90 mg of product was isolated and yield is 68%.

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.88 (d, $J = 15.7$ Hz, 1H), 7.41 (d, $J = 7.5$ Hz, 1H), 7.23 – 7.12 (m, 2H), 6.30 (d, $J = 15.7$ Hz, 1H), 4.87 (dd, $J = 8.6, 4.5$ Hz, 1H), 3.74 (s, 2H), 3.62 (dd, $J = 8.5, 5.1$ Hz, 2H), 3.50 (t, $J = 6.9$ Hz, 2H), 2.32 (s, 3H), 2.04 (dd, $J = 13.6, 6.8$ Hz, 2H), 1.94 – 1.87 (m, 4H), 1.76 (dd, $J = 9.0, 3.8$ Hz, 2H), 1.70 – 1.65 (m, 1H), 1.61 – 1.53 (m, 1H), 1.49 – 1.39 (m, 3H), 1.30 (d, $J = 2.7$ Hz, 1H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 168.2, 166.4, 142.8, 137.9, 134.6, 133.9, 131.7, 1267.0, 124.7, 121.0, 72.6, 46.7, 45.9, 35.0, 31.7, 26.3, 25.4, 24.4, 23.8, 20.3.

HRMS (ESI): calc. for [(C$_{22}$H$_{29}$NO$_3$)] (M+Na) 378.2045, measured 378.2040

(E)-2-(2-Methyl-6-(2-(phenylsulfonyl)vinyl)phenyl)-1-(pyrrolidin-1-yl)ethanone (3af).

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 93 mg of product was isolated and yield is 68%.

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.96 – 7.88 (m, 4H), 7.65-7.52 (m, 4H), 7.29-7.22 (m, 2H), 7.14 (t, $J = 7.6$ Hz, 1H), 7.06-7.05 (m, 1H), 6.93-6.92 (m, 0.5H), 6.73 (d, $J = 15.1$ Hz, 1H), 3.75 (s, 1H), 3.66 (t, $J = 6.7$ Hz, 2H), 3.61-3.59 (m, 2H), 3.53-3.48 (m, 3H), 3.36-3.32 (m, 1H), 3.05-3.01(m, 1H), 2.32 (s, 3H), 2.24 (s, 1.5H), 2.11 – 2.03 (m, 3H), 1.96-1.91 (m, 3H).

$^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 168.3, 167.8, 141.3, 140.5, 138.2, 1378.0, 136.5, 134.5, 133.6, 133.3, 132.8, 132.6, 132.3, 129.2, 129.2, 129.1, 128.7, 127.9, 127.5, 127.1, 124.9, 56.8, 46.8, 46.7, 46.0, 34.9, 34.7, 29.6, 26.4, 26.2, 24.3, 20.3.

HRMS (ESI): calc. for [(C$_{21}$H$_{23}$NO$_3$S)] (M+Na) 392.1296, measured 392.1295
(E)-N-Methyl-2-(2-methyl-6-(2-(phenylsulfonyl)vinyl)phenyl)acetamide (3uf).

Pale yellow solid; eluent (25% ethyl acetate in hexane). The reaction scale is 75 mg, 85 mg of product was isolated and yield is 66%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.96-7.92 (m, 3H), 7.69-7.66 (m, 0.3H), 7.64-7.61 (m, 1H), 7.59-7.54 (m, 2H), 7.33 (d, $J$ = 10 Hz, 1H), 7.28 – 7.26 (m, 1H), 7.20 (t, $J$ = 7.6 Hz, 1H), 7.12 – 7.1 (m, 0.5H), 7.0 (d, $J$ = 7.1 Hz, 0.2H), 6.78 (d, $J$ = 15.1 Hz, 1H), 5.52-5.47 (m, 1.3H), 3.72 (s, 1H), 3.51 (s, 1H), 3.33 – 3.30 (m, 0.5H), 3.07-3.05 (m, 0.6H), 2.75 (s, 0.5H), 2.72 (d, $J$ = 5.0 Hz), 2.34 (s, 3H), 2.27 (s, 0.8H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.4, 169.8, 140.4, 138.7, 138.4, 136.7, 133.9, 133.4, 133.3, 133.1, 132.7, 130.1, 129.7, 129.4, 129.4, 129.3, 127.9, 127.8, 127.7, 127.6, 125.5, 56.6, 48.7, 37.0, 36.7, 26.5, 26.4, 20.3, 20.2.

HRMS (ESI): calc. for [(C$_{18}$H$_{19}$NO$_3$S) (M+NH$_4$)] 347.1429, measured 347.1415

(E)-N-Methyl-2-(5-methyl-2-(2-(phenylsulfonyl)vinyl)phenyl)acetamide (3vf).

Pale yellow solid; eluent (25% ethyl acetate in hexane). The reaction scale is 75 mg, 95 mg of product was isolated and yield is 69%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.95-7.93 (m, 2H), 7.88 (d, $J$ = 15.2 Hz, 1H), 7.63-7.58 (m, 1.3H), 7.57 – 7.52 (m, 2H), 7.40 (d, $J$ = 8.6 Hz, 1H), 7.11-7.10 (m, 2H), 7.01 (s, 0.45H), 6.77 (d, $J$ = 15.2 Hz, 1H), 5.64-5.69 (m, 1.2H) 3.66 (s, 2H), 3.44 (s, 0.4H), 3.33 – 3.30 (m, 0.3H), 3.02 – 2.99 (m, 0.33H), 2.76-2.74 (m, 3.5H), 2.34 (s, 3H), 2.28 (s, 0.4H), 1.8 (s, 1H)

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.9, 170.3, 141.9, 140.6, 139.5, 1345.0, 133.3, 132.3, 129.4, 129.3, 129.3, 129.0, 128.9, 128.4, 127.9, 127.6, 127.5, 56.6, 40.9, 40.6, 26.5, 25.4, 21.3.

HRMS (ESI): calc. for [(C$_{18}$H$_{19}$NO$_3$S) (M+NH$_4$)] 347.1429, measured 347.1417.
(E)-N-tert-Butyl-2-(4-methyl-2-(2-(phenylsulfonyl)vinyl)phenyl)acetamide (3xf).

White solid; eluent (25% ethyl acetate in hexane). The reaction scale is 75 mg, 80 mg of product was isolated and yield is 62%.

1H NMR (500 MHz, CDCl3) δ 7.96-7.93 (m, 2H), 7.89 (d, J = 15.2 Hz, 1H), 7.68 – 7.65 (m, 0.2H), 7.62-7.59 (m, 1H), 7.55 – 7.52 (m, 2H), 7.30 (s, 1H), 7.19 – 7.15 (m, 2H), 7.07-7.06 (m, 0.15H), 7.01-6.99 (m, 0.15H), 6.91 (s, 0.15H), 6.82 (d, J = 15.2 Hz, 1H), 5.45-7.42 (m, 1.1H), 3.58 (s, 2H), 3.36 (s, 0.4H), 3.36-3.3 (m, 0.2H) 3.01-2.97 (m, 0.3H), 2.31 (s, 3H), 2.26 (s, 0.5H), 1.29 (s, 10H), 1.26 (s, 0.14H).

13C NMR (126 MHz, CDCl3) δ 169.0, 140.5, 139.8, 137.6, 133.8, 133.3, 132.6, 132.1, 131.5, 131.4, 131.0, 130.3, 129.3, 129.3, 128.7, 128.3, 127.9, 127.6, 56.6, 51.5, 46.9, 46.0, 34.3, 26.3, 24.4, 21.0.

HRMS (ESI): calc. for [(C21H25NO3S)] (M+Na) 394.1453, measured 394.1447.

(2E,2'E)-Dimethyl3',3''-(5-methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)-1,3-henylene)diacrylate (4ya).

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 80 mg of product was isolated and yield is 87%.

1H NMR (500 MHz, CDCl3) δ 7.89 (d, J = 15.7 Hz, 1H), 7.39 (s, 1H), 6.32 (d, J = 15.7 Hz, 1H), 3.78 (d, J = 5.4 Hz, 3H), 3.66 (dd, J = 11.5, 4.6 Hz, 1H), 3.49 (t, J = 6.8 Hz, 1H), 2.36 (s, 1H), 2.10 – 2.01 (m, 1H), 1.94 – 1.84 (m, 1H).

13C NMR (126 MHz, CDCl3) δ 167.8, 167.1, 142.8, 137.1, 135.3, 131.3, 129.2, 120.7, 51.7, 46.9, 46.0, 34.3, 26.3, 24.4, 21.0.

HRMS (ESI): calc. for [(C21H23NO3)] (M+K) 410.1370, measured 410.1396.
(2E,2'E)-Dimethyl 3,3'-(5-bromo-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)-1,3-phenylene)diacrylate (4ja).

White solid; eluent (30% ethyl acetate in hexane). The reaction scale is 75 mg, 80 mg of product was isolated and yield is 86%.

$^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.82 (d, $J = 15.7$ Hz, 1H), 7.67 (s, 1H), 6.33 (d, $J = 15.7$ Hz, 1H), 3.80 (s, 3H), 3.77 (s, 1H), 3.65 (t, $J = 6.8$ Hz, 1H), 3.49 (t, $J = 6.8$ Hz, 1H), 2.12 – 2.02 (m, 1H), 1.96 – 1.86 (m, 1H).

$^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 166.9, 166.7, 141.2, 137.4, 133.2, 130.9, 122.2, 121.4, 51.9, 46.9, 46.1, 34.4, 26.2, 24.3.

HRMS (ESI): calc. for [(C$_{20}$H$_{22}$BrNO$_5$)] (M+H) 474.0318, measured 474.0328

(E)-Ethyl 3-(5-methoxy-3-((E)-3-methoxy-3-oxoprop-1-enyl)-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylate (5).

Pale yellow solid; eluent (23% ethyl acetate in hexane). The reaction scale is 75 mg, 80 mg of product was isolated and yield is 60%.

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.88 (dd, $J = 15.7$, 12.6 Hz, 2H), 7.10 (s, 2H), 6.32 (dd, $J = 15.7$, 4.8 Hz, 2H), 4.28 – 4.23 (m, 2H), 3.83 (s, 3H), 3.79 (s, 3H), 3.76 (s, 2H), 3.65 (t, $J = 6.8$ Hz, 2H), 3.49 (t, $J = 6.9$ Hz, 2H), 2.09 – 2.03 (m, 2H), 1.94 – 1.87 (m, 2H), 1.33 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 167.9, 167.0, 166.6, 158.4, 142.7, 142.4, 136.6, 127.0, 121.6, 121.1, 113.9, 113.8, 60.6, 55.4, 51.7, 46.8, 46.0, 34.0, 26.2, 24.3.

HRMS (ESI): calc. for [(C$_{22}$H$_{28}$NO$_6$)] (M+H) 402.1917, measured 402.1919
(E)-3-(3-Methyl-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)acrylic acid (6).

White solid; eluent (60% ethyl acetate in hexane). The reaction scale is 75 mg, 80 mg of product was isolated and yield is 86%.

1H NMR (500 MHz, CDCl₃) δ 7.96 (d, J = 15.7 Hz, 1H), 7.41 (d, J = 7.6 Hz, 1H), 7.30 – 7.09 (m, 2H), 6.29 (d, J = 15.6 Hz, 1H), 3.76 (s, 2H), 3.62 (t, J = 6.8 Hz, 2H), 3.52 (t, J = 6.9 Hz, 2H), 2.31 (s, 3H), 2.13 – 1.97 (m, 2H), 1.95 – 1.82 (m, 2H).

13C NMR (126 MHz, CDCl₃) δ 170.9, 168.6, 144.7, 137.9, 134.4, 133.9, 132.1, 127.1, 124.9, 119.81, 46.9, 46.1, 35.0, 26.2, 24.3, 20.3.

HRMS (ESI): calc. for [(C₁₆H₁₉NO₃)] (M+k) 312.1002, measured 312.0992
Spectral Data of Maleimide Compounds.

1-Ethyl-3-(5-methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1H-pyrrole-2,5-dione (8a).

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 113 mg of product was isolated and yield is 72%.

\[ ^1H \text{ NMR (500 MHz, CDCl}_3 \] \delta 7.20 (d, J = 8.5 Hz, 1H), 6.98 – 6.90 (m, 2H), 6.62 (s, 1H), 3.80 (s, 3H), 3.64 (dd, J = 16.0, 8.9 Hz, 2H), 3.59 (s, 2H), 3.44 (t, J = 6.8 Hz, 2H), 3.36 (t, J = 6.7 Hz, 2H), 1.95 – 1.91 (m, 2H), 1.86 – 1.82 (m, 2H), 1.22 (t, J = 7.2 Hz, 3H).

\[ ^{13}C \text{ NMR (126 MHz, CDCl}_3 \] \delta 170.4, 170.2, 169.1, 158.1, 145.2, 131.8, 129.8, 128.5, 126.4, 116.1, 115.5, 55.3, 46.7, 45.9, 39.2, 33.1, 26.1, 24.2, 13.9.

HRMS (ESI): calc. for [(C\(_{19}\)H\(_{22}\)N\(_2\)O\(_4\)) (M+H)] 343.1658, measured 343.1676.

1-Ethyl-3-(2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1H-pyrrole-2,5-dione (8b).

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 82 mg of product was isolated and yield is 50%.

\[ ^1H \text{ NMR (500 MHz, CDCl}_3 \] \delta 7.45 – 7.39 (m, 2H), 7.36 (dd, J = 7.4, 1.1 Hz, 1H), 7.31 (d, J = 7.6 Hz, 1H), 6.64 (s, 1H), 3.69 (s, 2H), 3.64 (q, J = 7.2 Hz, 2H), 3.47 (t, J = 6.9 Hz, 2H), 3.40 (t, J = 6.8 Hz, 2H), 1.99 – 1.93 (m, 2H), 1.90 – 1.83 (m, 2H), 1.23 (d, J = 7.2 Hz, 3H).

\[ ^{13}C \text{ NMR (126 MHz, CDCl}_3 \] \delta 170.5, 170.3, 145.4, 134.4, 130.8, 130.4, 130.2, 129.0, 128.5, 127.0, 46.8, 46.0, 40.1, 33.1, 26.1, 24.3, 13.9.

HRMS (ESI): calc. for [(C\(_{18}\)H\(_{20}\)N\(_2\)O\(_3\)) (M+H)] 313.1574, measured 313.1574.
1-Ethyl-3-(5-methyl-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1H-pyrrole-2,5-dione (8c).

Yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 68 mg of product was isolated and yield is 43%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.23 (s, 1H), 7.19 (s, 2H), 6.61 (s, 1H), 3.63 (d, J = 5.8 Hz, 4H), 3.45 (t, J = 6.9 Hz, 2H), 3.37 (t, J = 6.8 Hz, 2H), 2.36 (s, 3H), 1.96 – 1.92 (m, 2H), 1.87 – 1.83 (m, 2H), 1.24 (d, J = 7.3 Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.6, 170.4, 169.0, 145.6, 136.6, 131.4, 131.1, 130.9, 130.7, 128.77, 128.3, 46.8, 46.0, 39.7, 33.1, 26.1, 24.3, 20.9, 13.9.

HRMS (ESI): calc. for [(C$_{19}$H$_{23}$N$_2$O$_3$)] (M+H) 327.1709, measured 327.1714.

3-(5-Bromo-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1-ethyl-1H-pyrrole-2,5-dione (8d).

Yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 87 mg of product was isolated and yield is 60%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.57 (d, J = 2.0 Hz, 1H), 7.52 (dd, J = 8.3, 2.0 Hz, 1H), 7.19 (d, J = 8.3 Hz, 1H), 6.67 (s, 1H), 3.64 (dd, J = 12.8, 5.5 Hz, 4H), 3.46 (t, J = 6.9 Hz, 2H), 3.39 (t, J = 6.8 Hz, 2H), 2.00 – 1.94 (m, 2H), 1.87 (dd, J = 13.7, 6.7 Hz, 2H), 1.24 (t, J = 7.2 Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.0, 169.9, 168.2, 144.1, 133.5, 133.0, 132.9, 132.5, 130.9, 129.31, 120.7, 46.8, 46.0, 39.6, 33.2, 26.1, 24.3, 13.9.

HRMS (ESI): calc. for [(C$_{18}$H$_{19}$BrN$_2$O$_3$)] (M+H) 391.0657, measured 391.0647.
1-Ethyl-3-(5-fluoro-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1H-pyrrole-2,5-dione (8e).

Yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 80 mg of product was isolated and yield is 50%.

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.27 (d, $J = 3.8$ Hz, 1H), 7.19 (d, $J = 7.5$ Hz, 1H), 7.11 (t, $J = 7.0$ Hz, 1H), 6.68 (s, 1H), 3.69 – 3.61 (m, 4H), 3.47 (t, $J = 6.7$ Hz, 2H), 3.41 (t, $J = 6.6$ Hz, 2H), 2.02 – 1.93 (m, 2H), 1.88 (dd, $J = 13.2$, 6.5 Hz, 2H), 1.24 (t, $J = 7.1$ Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 170.1, 170.0, 168.6, 162.2 (d, $J_{C,F} = 246.3$ Hz), 144.1, 132.6 (d, $J_{C,F} = 8.75$ Hz), 130.6 (d, $J_{C,F} = 7.5$ Hz), 130.4 (d, $J_{C,F} = 3.7$ Hz), 129.1, 117.4 (d, $J_{C,F} = 47.5$ Hz), 117.2 (d, $J_{C,F} = 3.75$ Hz), 46.8, 46.0, 39.3, 33.2, 26.1, 24.3, 13.9.

HRMS (ESI): calc. for [(C$_{18}$H$_{19}$FN$_2$O$_3$)] (M+Na) 331.1458, measured 331.1479.

3-(5-Chloro-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1-ethyl-1H-pyrrole-2,5-dione (8f).

Yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 95 mg of product was isolated and yield is 62%.

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.45 (d, $J = 2.0$ Hz, 1H), 7.39 (dd, $J = 8.3$, 2.0 Hz, 1H), 7.28 (d, $J = 4.5$ Hz, 1H), 6.69 (s, 1H), 3.66 (t, $J = 7.1$ Hz, 4H), 3.48 (t, $J = 6.9$ Hz, 2H), 3.42 (t, $J = 6.8$ Hz, 2H), 2.00 (dd, $J = 13.5$, 6.7 Hz, 2H), 1.89 (dd, $J = 13.6$, 6.8 Hz, 2H), 1.26 (t, $J = 7.2$ Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 170.1, 169.9, 168.3, 144.2, 133.0, 132.9, 132.3, 130.5, 130.1, 129.3, 46.8, 46.0, 39.5, 33.2, 26.1, 24.3, 13.9.

HRMS (ESI): calc. for [(C$_{18}$H$_{19}$ClN$_2$O$_3$)] (M+H) 347.1162, measured 347.1170.
1-Ethyl-3-(4-methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1H-pyrrole-2,5-dione (8g).

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 50 mg of product was isolated and yield is 33%.

\[ \begin{array}{c}
\text{MeO} \\
\text{O} \\
\text{N} \\
\text{O} \\
\text{O} \\
\text{N} \\
\text{N}
\end{array} \]

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.49 (d, $J = 8.6$ Hz, 1H), 6.90 – 6.87 (m, 1H), 6.86 (d, $J = 2.6$ Hz, 1H), 6.53 (s, 1H), 3.83 (s, 3H), 3.68 (s, 2H), 3.63 (d, $J = 7.2$ Hz, 2H), 3.49 (t, $J = 6.9$ Hz, 2H), 3.40 (t, $J = 6.8$ Hz, 2H), 1.99 – 1.95 (m, 2H), 1.90 – 1.86 (m, 2H), 1.25 – 1.23 (m, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 170.9, 170.6, 168.7, 161.0, 144.6, 136.6, 132.3, 130.9, 130.8, 126.6, 122.4, 121.4, 116.7, 112.4, 109.7, 55.3, 46.8, 46.0, 40.3, 33.0, 26.1, 24.3, 14.0.

HRMS (ESI): calc. for [(C$_{19}$H$_{22}$N$_2$O$_4$)] (M+H) 343.1658, measured 343.1662.

1-Ethyl-3-(4-methyl-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1H-pyrrole-2,5-dione (8h).

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 55 mg of product was isolated and yield is 35%.

\[ \begin{array}{c}
\text{O} \\
\text{N} \\
\text{N} \\
\text{N} \\
\text{O} \\
\text{O} \\
\text{O}
\end{array} \]

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.36 (d, $J = 7.9$ Hz, 1H), 7.18 – 7.11 (m, 2H), 6.58 (s, 1H), 3.66 (s, 2H), 3.65 – 3.61 (m, 2H), 3.48 (t, $J = 6.8$ Hz, 2H), 3.39 (t, $J = 6.8$ Hz, 2H), 2.36 (s, 3H), 1.98 – 1.93 (m, 2H), 1.87 (dd, $J = 13.8$, 6.8 Hz, 2H), 1.23 (t, $J = 7.2$ Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 170.7, 170.5, 169.0, 145.3, 140.6, 134.4, 131.6, 130.5, 127.8, 126.2, 46.8, 46.0, 40.1, 33.1, 26.2, 24.3, 21.4, 14.0.

HRMS (ESI): calc. for [(C$_{19}$H$_{22}$N$_2$O$_3$)] (M+H) 327.1709, measured 327.1712.
3-(4-Chloro-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1-ethyl-1H-pyrrole-2,5-dione (8i).

![Chemical structure of 8i]

Pale yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 60 mg of product was isolated and yield is 39%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.40 (d, J = 8.3 Hz, 1H), 7.35 – 7.31 (m, 2H), 6.67 (s, 1H), 3.66 (s, 2H), 3.64 – 3.61 (m, 2H), 3.47 (d, J = 6.8 Hz, 2H), 3.42 (t, J = 5.5 Hz, 2H), 2.01 – 1.97 (m, 2H), 1.90 – 1.87 (m, 2H), 1.23 (dd, J = 9.5, 5.0 Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.3, 170.1, 168.1, 144.2, 136.5, 136.3, 131.7, 131.1, 128.7, 127.5, 127.3, 46.9, 46.1, 39.8, 33.2, 26.1, 24.3, 13.9.

HRMS (ESI): calc. for [(C$_{18}$H$_{19}$ClN$_2$O$_3$)] (M+H) 347.1162, measured 347.1168.

3-(4-Bromo-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1-ethyl-1H-pyrrole-2,5-dione (8j).

![Chemical structure of 8j]

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 72 mg of product was isolated and yield is 50%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.51 – 7.46 (m, 2H), 7.33 (d, J = 8.3 Hz, 1H), 6.68 (s, 1H), 3.65 (s, 2H), 3.62 (d, J = 7.0 Hz, 2H), 3.48 (d, J = 7.1 Hz, 2H), 3.42 (dd, J = 6.7, 3.0 Hz, 2H), 2.01 – 1.97 (m, 2H), 1.90 – 1.86 (m, 2H), 1.23 (t, J = 7.2 Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.3, 170.1, 168.1, 144.3, 136.6, 134.1, 131.8, 130.3, 128.7, 127.7, 124.7, 46.9, 46.1, 39.7, 33.2, 26.2, 24.3, 13.9.

HRMS (ESI): calc. for [(C$_{18}$H$_{19}$BrN$_2$O$_3$)] (M+H) 393.0657, measured 393.0662.
1-Ethyl-3-(3-methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1H-pyrrole-2,5-dione (8k).

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 54 mg of product was isolated and yield is 35%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.32 (t, J = 8.0 Hz, 1H), 7.06 (dd, J = 7.8, 0.8 Hz, 1H), 6.96 (d, J = 8.2 Hz, 1H), 6.86 (s, 1H), 3.83 (s, 3H), 3.64 (t, J = 3.6 Hz, 4H), 3.55 (t, J = 6.8 Hz, 2H), 3.47 (t, J = 6.9 Hz, 2H), 2.02 – 1.97 (m, 2H), 1.88 (t, J = 6.9 Hz, 2H), 1.24 (t, J = 7.2 Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.8, 170.5, 169.2, 157.8, 144.8, 130.5, 129.1, 127.7, 124.1, 122.3, 111.9, 55.9, 46.8, 45.9, 33.3, 33.1, 26.2, 24.4, 14.0.

HRMS (ESI): calc. for [(C$_{19}$H$_{22}$N$_2$O$_4$)] (M+H) 343.1658, measured 343.1663.

$N$-(tert-Butyl)-2-(2-(1-ethyl-2,5-dioxo-2,5-dihydro-1H-pyrrol-3-yl)phenyl)acetamide (8l).

White solid; eluent (25% ethyl acetate in hexane). The reaction scale is 100 mg, 82 mg of product was isolated and yield is 50%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.54 – 7.31 (m, 4H), 6.67 (s, 1H), 5.60 (s, 1H), 3.65 (dd, J = 13.5, 6.3 Hz, 2H), 3.48 (s, 2H), 1.32 – 1.16 (m, 12H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.8, 170.0, 169.4, 145.5, 134.7, 130.7, 130.6, 129.0, 128.7, 127.4, 51.4, 42.8, 33.3, 28.6, 14.0.

HRMS (ESI): calc. for [(C$_{18}$H$_{22}$N$_2$O$_3$)] (M+H) 315.1709, measured 315.1710.
N-(tert-Butyl)-2-(2-(1-ethyl-2,5-dioxo-2,5-dihydro-1H-pyrrol-3-yl)-4-methoxyphenyl)acetamide (8m).

Yellow solid; eluent (25% ethyl acetate in hexane). The reaction scale is 100 mg, 70 mg of product was isolated and yield is 45%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.30 (d, J = 8.5 Hz, 1H), 6.98 (dd, J = 8.5, 2.8 Hz, 1H), 6.95 (d, J = 2.7 Hz, 1H), 6.66 (s, 1H), 5.53 (s, 1H), 3.83 (s, 3H), 3.65 (q, J = 7.2 Hz, 2H), 3.40 (s, 2H), 1.28 (s, 9H), 1.24 (d, J = 7.2 Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.7, 169.9, 169.8, 158.5, 145.2, 131.9, 129.7, 129.0, 126.6, 116.4, 115.8, 55.4, 51.3, 41.9, 33.2, 28.6, 13.9.

HRMS (ESI): calc. for [(C$_{19}$H$_{24}$N$_2$O$_4$)] (M+H) 345.1814, measured 345.1812.

N-(tert-Butyl)-2-(2-(1-ethyl-2,5-dioxo-2,5-dihydro-1H-pyrrol-3-yl)-4-methylphenyl)acetamide (8n).

White solid; eluent (25% ethyl acetate in hexane). The reaction scale is 100 mg, 74 mg of product was isolated and yield is 47%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.29 (d, J = 7.9 Hz, 1H), 7.24 (dd, J = 15.9, 7.9 Hz, 2H), 6.64 (s, 1H), 5.56 (s, 1H), 3.65 (q, J = 7.2 Hz, 2H), 3.43 (s, 2H), 2.38 (s, 3H), 1.28 (s, 9H), 1.24 (t, J = 7.2 Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.9, 170.0, 169.6, 145.6, 137.2, 131.6, 131.4, 131.2, 130.7, 128.8, 128.5, 51.3, 42.4, 33.2, 28.6, 21.0, 14.0.

HRMS (ESI): calc. for [(C$_{19}$H$_{24}$N$_2$O$_3$)] (M+H) 329.1865, measured 329.1870.
2-(2-(1-Ethyl-2,5-dioxo-2,5-dihydro-1H-pyrrol-3-yl)-5-methylphenyl)-N-methylacetamide (8o).

Pale yellow solid; eluent (25% ethyl acetate in hexane). The reaction scale is 100 mg, 75 mg of product was isolated and yield is 43%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.32 (d, $J = 7.9$ Hz, 1H), 7.25 (s, 1H), 7.19 (d, $J = 7.9$ Hz, 1H), 6.60 (s, 1H), 5.91 (s, 1H), 3.64 (q, $J = 7.2$ Hz, 2H), 3.51 (s, 2H), 2.78 (d, $J = 4.8$ Hz, 3H), 2.39 (s, 3H), 1.24 (t, $J = 7.2$ Hz, 3H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 171.1, 171.0, 170.0, 145.5, 141.2, 134.1, 131.5, 130.8, 128.6, 128.4, 125.9, 41.5, 33.3, 26.5, 21.3, 13.9.

HRMS (ESI): calc. for [(C$_{17}$H$_{21}$NO$_4$)] (M+Na) 287.1396, measured 287.1399.

3-(5-Methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1-methyl-1H-pyrrole-2,5-dione (8p).

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 70 mg of product was isolated and yield is 47%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.21 (d, $J = 8.3$ Hz, 1H), 6.95 (dd, $J = 11.1$, 2.5 Hz, 2H), 6.66 (s, 1H), 3.81 (s, 3H), 3.61 (s, 2H), 3.46 (t, $J = 6.8$ Hz, 2H), 3.38 (t, $J = 6.8$ Hz, 2H), 3.09 (s, 3H), 1.94 (dd, $J = 13.4$, 6.7 Hz, 2H), 1.86 (dd, $J = 13.6$, 6.7 Hz, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.7, 170.4, 169.1, 158.2, 145.4, 131.9, 129.8, 128.6, 126.4, 116.2, 115.5, 55.4, 46.8, 46.0, 39.2, 26.1, 24.3, 24.0.

HRMS (ESI): calc. for [(C$_{18}$H$_{20}$N$_2$O$_4$)] (M+H) 329.1501, measured 329.1505.
3-(5-Methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1-phenyl-1H-pyrrole-2,5-dione (8q).

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 78 mg of product was isolated and yield is 45%.

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.48 (dd, $J = 9.7$, 4.1 Hz, 2H), 7.43 – 7.37 (m, 3H), 7.23 (d, $J = 8.6$ Hz, 1H), 7.04 (d, $J = 2.7$ Hz, 1H), 6.98 (dd, $J = 8.5$, 2.8 Hz, 1H), 6.82 (s, 1H), 3.82 (s, 3H), 3.68 (s, 2H), 3.47 (t, $J = 6.9$ Hz, 2H), 3.42 (t, $J = 6.8$ Hz, 2H), 1.95 (dd, $J = 13.3$, 6.7 Hz, 2H), 1.86 (dd, $J = 13.7$, 6.6 Hz, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 169.4, 169.1, 158.2, 145.3, 132.1, 131.5, 129.7, 129.1, 128.6, 127.85, 126.6, 126.10, 116.5, 115.6, 55.4, 46.9, 46.1, 39.4, 26.1, 24.3.

HRMS (ESI): calc. for [(C$_{23}$H$_{22}$N$_2$O$_4$)] (M+H) 391.1658, measured 391.1658.

1-Benzyl-3-(5-methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1H-pyrrole-2,5-dione (8r).

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 80 mg of product was isolated and yield is 44%.

$^1$H NMR (500 MHz, CDCl$_3$) $\delta$ 7.40 (d, $J = 7.2$ Hz, 2H), 7.32 (t, $J = 7.3$ Hz, 2H), 7.30 – 7.28 (m, 1H), 7.21 (d, $J = 8.4$ Hz, 1H), 6.95 (dt, $J = 8.4$, 2.7 Hz, 2H), 6.67 (s, 1H), 4.73 (s, 2H), 3.81 (s, 3H), 3.58 (s, 2H), 3.41 (t, $J = 6.9$ Hz, 2H), 3.30 (t, $J = 6.7$ Hz, 2H), 1.90 (dd, $J = 13.6$, 6.8 Hz, 2H), 1.83 – 1.79 (m, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) $\delta$ 170.3, 170.0, 169.1, 158.2, 145.4, 136.3, 132.0, 129.7, 128.6, 128.6, 128.6, 127.8, 126.5, 116.2, 115.5, 55.4, 46.7, 45.9, 41.8, 39.2, 26.1, 24.3.

HRMS (ESI): calc. for [(C$_{24}$H$_{24}$N$_2$O$_4$)] (M+H) 405.1814, measured 405.1822.
3-(5-Methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1-(4-methoxybenzyl)-1H-pyrrole-2,5-dione (8s).

Pale yellow solid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 82 mg of product was isolated and yield is 42%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.35 (d, J = 8.7 Hz, 2H), 7.21 (d, J = 8.2 Hz, 1H), 6.96 – 6.92 (m, 2H), 6.86 – 6.82 (m, 2H), 6.65 (s, 1H), 4.67 (s, 2H), 3.80 (s, 3H), 3.78 (s, 3H), 3.57 (s, 2H), 3.41 (t, J = 6.9 Hz, 2H), 3.30 (t, J = 6.8 Hz, 2H), 1.92 – 1.87 (m, 2H), 1.84 – 1.79 (m, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.3, 170.0, 169.1, 159.2, 158.2, 145.3, 132.0, 130.1, 129.7, 128.6, 126.5, 116.2, 115.5, 114.0, 55.4, 55.2, 46.7, 45.9, 41.2, 39.2, 26.1, 24.3.

HRMS (ESI): calc. for [(C$_{25}$H$_{26}$N$_2$O$_5$) (M+H)] 435.1920, measured 435.1926.

1-(4-Fluorobenzyl)-3-(5-methoxy-2-(2-oxo-2-(pyrrolidin-1-yl)ethyl)phenyl)-1H-pyrrole-2,5-dione (8t).

Pale yellow liquid; eluent (30% ethyl acetate in hexane). The reaction scale is 100 mg, 76 mg of product was isolated and yield is 40%.

$^1$H NMR (500 MHz, CDCl$_3$) δ 7.40 – 7.37 (m, 2H), 7.20 (d, J = 8.3 Hz, 1H), 7.03 – 6.98 (m, 3H), 6.96 (s, 1H), 6.67 (s, 1H), 4.70 (s, 2H), 3.80 (d, J = 3.5 Hz, 3H), 3.58 (s, 2H), 3.40 (t, J = 6.9 Hz, 2H), 3.32 (d, J = 7.2 Hz, 2H), 1.93 – 1.89 (m, 2H), 1.83 – 1.80 (m, 2H).

$^{13}$C NMR (126 MHz, CDCl$_3$) δ 170.2, 170.0, 169.1, 163.4 (d, J$_{C-F}$ = 237.5 Hz), 158.2, 145.5, 132.2 (d, J$_{C-F}$ = 3.75 Hz), 132.1, 130.5 (d, J$_{C-F}$ = 7.5 Hz), 129.7, 128.5, 126.5, 116.3, 115.6 (d, J$_{C-F}$ = 21.25 Hz), 55.4, 46.8, 46.0, 41.1, 39.3, 26.1, 24.3.

HRMS (ESI): calc. for [(C$_{24}$H$_{23}$FN$_2$O$_4$) (M+H)] 423.1720, measured 423.1728.
$^1$H and $^{13}$C NMR Spectra of Compound 3aa
$^1$H and $^{13}$C NMR Spectra of Compound 3ba
$^{1} \text{H and } ^{13} \text{C NMR Spectra of Compound 3ca}$
$^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound 3da
$^1$H and $^{13}$C NMR Spectra of Compound \textbf{3ea}
$^1$H and $^{13}$C NMR Spectra of Compound 3fa
$^1$H and $^{13}$C NMR Spectra of Compound 3ga
$^1$H and $^{13}$C NMR Spectra of Compound 3ha
$^1$H and $^{13}$C NMR Spectra of Compound 3ia
$^1$H and $^{13}$C NMR Spectra of Compound 3ja
$^1$H and $^{13}$C NMR Spectra of Compound 3ka
$^1$H and $^{13}$C NMR Spectra of Compound 31a
$^1$H and $^{13}$C NMR Spectra of Compound 3ma
$^1$H and $^{13}$C NMR Spectra of Compound 3na
$^1$H and $^{13}$C NMR Spectra of Compound 30a
$^1$H and $^{13}$C NMR Spectra of Compound 3pa
$^1$H and $^{13}$C NMR Spectra of Compound 3qa
$^1$H and $^{13}$C NMR Spectra of Compound 3ra
$^1$H and $^{13}$C NMR Spectra of Compound 3sa
$^1$H and $^{13}$C NMR Spectra of Compound 3ta
$^1$H and $^{13}$C NMR Spectra of Compound 3ua
$^1$H and $^{13}$C NMR Spectra of Compound 3va
$^{1}H$ and $^{13}C$ NMR Spectra of Compound 3wa
$^1$H and $^{13}$C NMR Spectra of Compound 3ab
$^1$H and $^{13}$C NMR Spectra of Compound 3ac
$^1$H and $^{13}$C NMR Spectra of Compound 3ad
$^1$H and $^{13}$C NMR Spectra of Compound 3ae
$^1$H and $^{13}$C NMR Spectra of Compound 3af
$^1$H and $^{13}$C NMR Spectra of Compound 3uf
$^1$H and $^{13}$C NMR Spectra of Compound 3vf
$^1$H and $^{13}$C NMR Spectra of Compound 3xf
$^1$H and $^{13}$C NMR Spectra of Compound 4ya
\(^1\)H and \(^{13}\)C NMR Spectra of Compound 4ja
$^1$H and $^{13}$C NMR Spectra of Compound 5
$^1$H and $^{13}$C NMR Spectra of Compound 6
$^1$H and $^{13}$C NMR Spectra of Compound 8a
$^1$H and $^{13}$C NMR Spectra of Compound 8b

[Diagram of NMR spectra with chemical shifts and peak assignments]
$^1$H and $^{13}$C NMR Spectra of Compound 8c
$^{1}$H and $^{13}$C NMR Spectra of Compound 8d
$^1$H and $^{13}$C NMR Spectra of Compound 8e
$^1$H and $^{13}$C NMR Spectra of Compound 8f
$^1$H and $^{13}$C NMR Spectra of Compound 8g
$^1$H and $^{13}$C NMR Spectra of Compound 8h
$^1$H and $^{13}$C NMR Spectra of Compound 8i
$^1$H and $^{13}$C NMR Spectra of Compound 8j
$^1$H and $^{13}$C NMR Spectra of Compound 8k
$^1$H and $^{13}$C NMR Spectra of Compound 81
$^1$H and $^{13}$C NMR Spectra of Compound 8m
$^1$H and $^{13}$C NMR Spectra of Compound 8n
$^1\text{H}$ and $^{13}\text{C}$ NMR Spectra of Compound 80
$^1$H and $^{13}$C NMR Spectra of Compound 8p
$^1$H and $^{13}$C NMR Spectra of Compound 8q
$^1$H and $^{13}$C NMR Spectra of Compound 8r
$^1$H and $^{13}$C NMR Spectra of Compound 8s
$^1$H and $^{13}$C NMR Spectra of Compound 8t