Iodine-Mediated Intramolecular Amination of Ketones:
the Synthesis of 2-Acylindoles and 2-Acylindolines by Tuning N-Protecting Groups

(Supplementary Information)

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General Information

The $^1$H NMR spectra were recorded at 400 MHz or 300 MHz and $^{13}$C NMR spectra were measured at 100 MHz or 75 MHz using Bruker AV400 instrument with CDCl$_3$ or DMSO-$d_6$ as the solvent. The chemical shifts (δ) were measured in ppm and with the solvents as references (For CDCl$_3$, $^1$H: δ = 7.26 ppm, $^{13}$C: δ = 77.00 ppm; for DMSO-$d_6$, $^1$H: δ = 2.50 ppm, $^{13}$C: δ = 39.43 ppm). The multiplicities of the signals are described using the following abbreviations: s = singlet, d = doublet, t = triplet, q = quartet, m = multiplet, dd = doublet of doublets, br = broad. The known compounds were identified by the comparison of their NMR spectra with reported data in the literatures. The new compounds were characterized by NMR, IR, HRMS and melting point for solid samples. IR spectra were recorded on a FT-IR Bruker EQUINOX55 spectrometer and only major peaks are reported in cm$^{-1}$. High resolution mass spectral analyses (HR-MS) were performed on a high resolution ESI-FTICR mass spectrometer (Varian 7.0 T). Melting points were recorded on a RY-1 type apparatus. All solvents were obtained from commercial sources and were purified according to standard procedures. Petroleum ether (PE), where used, has the boiling point range 60-90 °C.

Optimization of the Reaction Conditions

Table S1. Condition optimization for 2-benzoylindole.$^a$

<table>
<thead>
<tr>
<th>Entry</th>
<th>Oxidant (1,3 equiv)</th>
<th>Base (equiv)</th>
<th>Solvent</th>
<th>r(%)</th>
<th>Yield (%)$^b$</th>
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</thead>
<tbody>
<tr>
<td>1</td>
<td>$\text{K}_2\text{CO}_3$ (2)</td>
<td>CH$_2$OH</td>
<td>12</td>
<td>20</td>
<td>52</td>
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<tr>
<td>2</td>
<td>$\text{K}_2\text{CO}_3$ (2.5)</td>
<td>CH$_2$OH</td>
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<td>5</td>
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<tr>
<td>3</td>
<td>$\text{K}_2\text{CO}_3$ (3)</td>
<td>CH$_2$OH</td>
<td>12</td>
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<td>13</td>
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<tr>
<td>4</td>
<td>$\text{K}_2\text{CO}_3$ (3)</td>
<td>CH$_2$OH</td>
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<td>91</td>
<td>0</td>
</tr>
<tr>
<td>5$^c$</td>
<td>$\text{K}_2\text{CO}_3$ (3)</td>
<td>CH$_2$OH</td>
<td>8</td>
<td>82</td>
<td>16</td>
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<tr>
<td>6</td>
<td>$\text{K}_2\text{CO}_3$ (3)</td>
<td>CH$_2$OH</td>
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<td>75</td>
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<td>7</td>
<td>$\text{K}_2\text{CO}_3$ (3)</td>
<td>CH$_2$OH</td>
<td>12</td>
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<td>21</td>
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<td>8</td>
<td>$\text{DBU}$ (3)</td>
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<td>60</td>
<td>13</td>
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<td>9</td>
<td>$\text{K}_2\text{CO}_3$ (3)</td>
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<td>10</td>
<td>$\text{K}_2\text{CO}_3$ (3)</td>
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<td>11</td>
<td>$\text{K}_2\text{CO}_3$ (3)</td>
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<td>12</td>
<td>FIDA</td>
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<tr>
<td>13</td>
<td>NBS</td>
<td>CH$_2$OH</td>
<td>2</td>
<td>39</td>
<td>0</td>
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</table>

$^a$ All the reactions were run with 0.2 mmol of 1a in 3 mL of solvent. $^b$ Isolated yield. $^c$ The reaction was run at room temperature.

Table S2. Screening of N-protecting groups$^a$

S2
All the reactions were run with 0.2 mmol of substrate in 3 mL of solvent. \(^b\) Isolated yield. \(^c\) The use of tosyl group is preferable on the basis of cost consideration. \(^d\) \(N\)-Acetyl-2-benzoylindoline was isolated in 75% yield. \(^e\) With 2 equiv of I\(_2\).

### Table S3. Condition optimization for \(N\)-Boc-2-benzoylindoline.\(^a\)

<table>
<thead>
<tr>
<th>Entry</th>
<th>Condition</th>
<th>Yield(%)(^b)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1</td>
<td>I(_2) (1.1 equiv), K(_2)CO(_3) (3 equiv), 60 °C, 24 h, CH(_3)OH</td>
<td>90</td>
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<tr>
<td>2</td>
<td>I(_2) (1.5 equiv), K(_2)CO(_3) (3 equiv), 60 °C, 1 h, CH(_3)OH</td>
<td>91</td>
</tr>
<tr>
<td>3</td>
<td>I(_2) (1.5 equiv), K(_2)CO(_3) (2.5 equiv), 60 °C, 1 h, CH(_3)OH</td>
<td>91</td>
</tr>
<tr>
<td>4</td>
<td>I(_2) (1.5 equiv), K(_2)CO(_3) (2.5 equiv), rt, 1.5 h, CH(_3)OH</td>
<td>91</td>
</tr>
<tr>
<td>5</td>
<td>I(_2) (1.5 equiv), K(_2)CO(_3) (2.2 equiv), rt, 1.5 h, CH(_3)OH</td>
<td>91</td>
</tr>
<tr>
<td>6(^c)</td>
<td>I(_2) (1.1 equiv), K(_2)CO(_3) (2.2 equiv), rt, 4 h, CH(_3)OH</td>
<td>72</td>
</tr>
<tr>
<td>7(^d)</td>
<td>I(_2) (1.5 equiv), K(_2)CO(_3) (2.2 equiv), rt, 12 h, THF</td>
<td>18</td>
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<tr>
<td>8(^e)</td>
<td>I(_2) (1.5 equiv), K(_2)CO(_3) (2.2 equiv), rt, 12 h, CH(_3)CN</td>
<td>25</td>
</tr>
</tbody>
</table>

\(^a\) The reactions were run with 0.3 mmol of substrate. \(^b\) Isolated yield. \(^c\) Conversion: 80%. \(^d\) Conversion: 25%. \(^e\) Conversion: 32%.

**Gram-scale Reaction and A Control Experiment**

Figure 1 a) Gram-scale reaction for indole synthesis; b) the conversion from 6 to 2a.
Representative Procedure for 2a and 4a

2-Benzoylindole (2a): Iodine (56 mg, 0.22 mmol) was added to a mixture of 1a (76 mg, 0.2 mmol) and K₂CO₃ (83 mg, 0.6 mmol) in methanol (3 mL) at room temperature, and then the resulting mixture was stirred at 60 °C. After the reaction was complete by TLC analysis, methanol was evaporated in vacuo followed by adding saturated aq. solution of Na₂S₂O₃. The mixture was extracted by EtOAc and dried over Na₂SO₄. After removal of solvent, the residue was purified by flash column chromatography with petroleum ether/EtOAc (10:1) to give the 2-benzoylindole (2a). Yield: 91%; white solid; m.p. 139-141 °C; TLC, Rᵣ = 0.44 (PE:EtOAc = 9:1); ¹H NMR (CDCl₃, 400 MHz):  δ 9.59 (br s, 1H), 8.01 (d, 2H, J = 8.0 Hz), 7.73 (d, 1H, J = 8.4 Hz), 7.64 (t, 1H, J = 7.2 Hz), 7.56 (t, 2H, J = 7.6 Hz), 7.51 (d, 1H, J = 8.4 Hz), 7.39 (t, 1H, J = 7.2 Hz), 7.20-7.16 (m, 2H); ¹³C NMR (CDCl₃, 100 MHz): δ 187.24, 137.98, 137.56, 134.30, 132.34, 129.21, 128.45, 127.69, 126.50, 123.20, 121.01, 112.86, 112.21; IR (neat) ν: 3415, 3313, 1624, 1516, 1342, 1257, 745 cm⁻¹; HRMS (ESI) m/z calcd. for C₁₅H₁₂NO [M+H]⁺: 222.0913, found: 222.0917.

N-Boc-2-benzoylindoline (4a): Iodine (114 mg, 0.45 mmol) was added to a mixture of 3a (97 mg, 0.3 mmol) and K₂CO₃ (91 mg, 0.66 mmol) in methanol (3 mL), and the reaction was stirred at room temperature for 1 h. Methanol was evaporated in vacuo followed by adding saturated aq. solution of Na₂S₂O₃ and extracting with EtOAc. After removal of solvent, the residue was purified by flash column chromatography with petroleum ether/EtOAc (10:1) to give the N-Boc-2-benzoylindoline (4a). Yield: 91%; white solid; m.p. 76-79 °C; TLC, Rᵣ = 0.35 (PE:EtOAc = 9:1); ¹H NMR (CDCl₃, 400 MHz, two isomers ratio 2:1): δ 8.01-7.95 (m, 2.64H), 7.61-7.58 (m, 1.35H), 7.49 (t, 2H, J = 7.2 Hz), 7.24-7.21 (m, 1H), 7.08 (d, 1H, J = 7.2 Hz), 6.95 (t, 1H, J = 7.2 Hz), 5.87-5.67 (m, 1H), 3.65-3.57 (m, 1H), 3.10-3.00 (m, 1H), 1.60 (s, 3H), 1.33 (s, 6H); ¹³C NMR (CDCl₃, 100 MHz): δ 196.11, 151.53, 143.01, 134.14, 133.41, 128.79, 128.29, 127.98, 124.43, 122.41, 114.62, 81.13, 63.04, 32.54, 28.32, 27.95; IR (neat) ν: 3383, 2974, 2930, 1488, 1399, 1152, 758 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₀H₂₂NO₃ [M+H]⁺: 324.1594, found: 324.1596.

Synthesis of Starting Materials

Substrates (1a-1e, 1g-1k) were synthesized from the commercial available 2-aminobenzyl alcohol by the general procedure 1. Substrates (3a-3j, 1f) were prepared from 2-aminobenzylalcohol by the general procedure 2. Non-commercial aminobenzyl alcohols for 1l, 1m, 3k and 3l were prepared from the commercially available aminobenzoic acids by the reported method, and transformed to the corresponding substrates according to general procedure 2.
**General Procedure 1:** To a solution of 2-aminobenzylalcohol (S1) (1.2 g, 10 mmol) and pyridine (1.6 mL, 20 mmol) in anhydrous CH₂Cl₂ (40 mL) was added TsCl (2.7 g, 12 mmol) at 0 °C, and then the mixture was stirred for 4 h at room temperature. The reaction was diluted with CH₂Cl₂ and washed with 1N HCl and brine. The organic layer was dried over anhydrous Na₂SO₄. After evaporation in *vacuo*, the residual solid product was dissolved in CH₂Cl₂ (50 mL) and to the solution was added PBr₃ (1.3 mL, 10 mmol) dropwise at 0 °C. The mixture was stirred for 1 h then quenched with water (20 mL). The organic layer was separated and dried over anhydrous Na₂SO₄. Evaporation in *vacuo* gave a white solid residue. Recrystallization from CH₂Cl₂/n-hexane afforded S2.¹¹ Yield: 85%; white solid; m.p. 134-137 °C; TLC, Rₖ = 0.77 (PE:EtOAc = 4:1); ¹H NMR (CDCl₃, 400 MHz): δ 7.67 (d, 2H, J = 8.7 Hz), 7.33-7.23 (m, 5H), 7.16-7.12 (m, 1H), 6.87 (br s, 1H), 4.23 (s, 2H), 2.39 (s, 3H); ¹³C NMR (CDCl₃, 100 MHz): δ 144.01, 136.28, 134.93, 131.07, 131.51, 129.88, 129.68, 170.02, 126.33, 125.17, 30.10, 21.54.

S2 (1.0 g, 3.0 mmol) was dissolved in dry THF (5 mL) and added to a solution of NaH (60% in mineral oil, 180 mg, 4.5 mmol) and ethyl benzoylacetate (0.7 g, 3.6 mmol) in dry THF (20 mL), and the resulted mixture was stirred for 1 h at room temperature. After the reaction was quenched with saturated NH₄Cl solution and extracted with EtOAc, the organic layer was dried over Na₂SO₄, filtered and concentrated to give a viscous material. To this material was added 2N NaOH (4 mL) and ethanol (4 mL), and then the reaction mixture was heated at reflux overnight. The solution was cooled to room temperature, poured into 10% aq. HCl (10 mL), and extracted with EtOAc. The combined extracts were dried over Na₂SO₄ and evaporated under reduced pressure. The residue was chromatographed with petroleum ether/EtOAc (3:1) to give 1a. Yield: 73%; white solid; m.p. 120-122 °C; TLC, Rₖ = 0.22 (PE:EtOAc = 4:1); ¹H NMR (CDCl₃, 400 MHz): δ 8.66 (s, 1H), 7.89 (d, 2H, J = 7.6 Hz), 7.65 (d, 2H, J = 8.0 Hz), 7.54 (t, 1H, J = 7.2 Hz), 7.41 (t, 3H, J = 6.0 Hz), 7.19-7.10 (m, 5H), 3.24 (t, 2H, J = 6.0 Hz), 2.60 (t, 2H, J = 6.0 Hz), 2.34 (s, 3H); ¹³C NMR (CDCl₃, 100 MHz): δ 200.26, 143.22, 137.24, 135.95, 135.09, 134.68, 133.59, 130.11, 129.44, 128.56, 128.12, 127.22, 127.01, 126.19, 125.55, 40.15, 23.45, 21.43. IR (neat) ν: 3442, 3144, 1665, 1493, 1335, 1163, 1091, 748 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₂H₂₂NO₃S [M+H]⁺: 380.1315, found: 380.1319.
**General Procedure 2:** A THF solution (12 mL) of 2-aminobenzyl alcohol (500 mg, 4.0 mmol) and di-tert-butyl carbonate (960 mg, 4.4 mmol) was stirred at 40 °C for 24 h. The solvent was evaporated in vacuo to produce residual viscous crude. The crude was dissolved in CH$_2$Cl$_2$ (20 mL), mixed with PCC (1.3 g, 6.0 mmol) and silica gel (1.5 g), and then stirred for 6 h at room temperature. After the reaction was complete by TLC analysis, the solvent was evaporated in vacuo, and residual powder was purified by chromatography with petroleum ether/EtOAc (10:1) to give S3. Yield: 95%; white solid; m.p. 57-58 °C; TLC, $R_f = 0.31$ (PE:EtOAc = 9:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 10.39 (s, 1H), 9.89 (s, 1H), 8.45 (d, $J = 8.5$ Hz), 7.63 (dd, 1H, $J = 8.0$, 1.6 Hz), 7.56 (td, 1H, $J = 8.0$, 1.6 Hz), 7.13 (td, 1H, $J = 7.6$, 0.9 Hz), 1.53 (s, 9H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 195.01, 152.85, 141.77, 136.05, 135.92, 121.46, 121.17, 118.19, 80.92, 28.24.

A CH$_3$CN solution of S3 (812 mg, 2.5 mmol) and (benzoylmethylene)triphenylphosphorane (1.4 g, 3.7 mmol) was stirred at 60 °C until the reaction was complete by TLC analysis. After evaporation of CH$_3$CN in vacuo, the crude was purified by chromatography with petroleum ether/EtOAc (3:1) to give (E)-2'-tert-butyloxycarbonylamino-chalcone. Yield: 90%; pale yellow solid; m.p. 98-101 °C; TLC, $R_f = 0.29$ (PE:EtOAc = 9:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 8.01 (d, 2H, $J = 7.6$ Hz), 7.99 (d, 1H, $J = 6.8$ Hz), 7.81 (d, 1H, $J = 8.0$ Hz), 7.63 (d, 1H, $J = 8.0$ Hz), 7.56 (t, 1H, $J = 7.2$ Hz), 7.51-7.45 (m, 3H), 7.37 (t, 1H, $J = 7.2$ Hz), 6.81 (br s, 1H), 1.51 (s, 9H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 189.72, 152.91, 139.19, 137.79, 137.15, 132.88, 130.93, 128.53, 128.39, 127.08, 124.21, 123.64, 80.82, 77.31, 76.99, 76.68, 28.14.

After two vacuum/H$_2$ cycles to replace air in the reaction flask, the mixture of (E)-2'-tert-butyloxycarbonylamino-chalcone (323 mg, 1.0 mmol), Pd/C (10% wt of the substrate), and diphenylsulfide (1.7 μL, 10.0 μmol) in MeOH (4 mL) was vigorously stirred at room temperature and detected by TLC analysis. The reaction mixture was filtered with Celite, and the filtrate was concentrated and purified by chromatography with petroleum ether/EtOAc (10:1) to provide 3a. Yield: 91%; white solid; m.p. 82-85 °C; TLC, $R_f = 0.25$ (PE:EtOAc = 9:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 7.96 (d, 2H, $J = 7.6$ Hz), 7.72 (d, 1H, $J = 7.2$ Hz), 7.60 (s, 1H), 7.56 (t, 1H, $J = 7.6$ Hz), 7.45 (t, 2H, $J = 7.6$ Hz), 7.21-7.17 (m, 2H), 7.04 (t, 1H, $J = 7.6$ Hz), 3.38 (t, 2H, $J = 6.4$ Hz), 3.02 (t, 2H, $J = 6.4$ Hz), 1.55 (s, 9H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 199.85, 153.82, 136.45, 136.06, 133.35, 131.97, 129.44, 128.59, 128.07, 126.93,
124.21, 123.26, 80.07, 39.56, 28.37, 24.42; IR (neat) ν: 3314, 2971, 1689, 1528, 1246, 1160 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₀H₂₄NO₃ [M+H]⁺: 326.1751, found: 326.1752.

**Synthesis of 1n:**

NaBH₄ (454 mg, 12.0 mmol) was added dropwise to a solution of S₄ (540 mg, 4.0 mmol) in MeOH (20 mL) at 0 °C. The resulting mixture was stirred at room temperature for 3h. After evaporation of MeOH, the solution was extracted with EtOAc, dried over anhydrous Na₂SO₄ and concentrated in vacuo to give yellow oil. Then the crude oil was dissolved in CH₂Cl₂ (15 mL), and mixed with TsCl (980 mg, 4.8 mmol) and pyridine (0.6 mL, 8 mmol) at 0 °C, and then stirred for 3 h at room temperature. The reaction was diluted with CH₂Cl₂ and washed with 1N HCl and brine. The organic layer was dried over Na₂SO₄, concentrated in vacuo and purified by chromatography with petroleum ether/EtOAc (2:1) to give S₅.

Yield: 90%; yellow syrup; TLC, Rₛ = 0.18 (PE:EtOAc = 4:1); ¹H NMR (CDCl₃, 400 MHz): δ 8.51 (s, 1H), 7.67 (d, 2H, J = 7.6 Hz), 7.41 (d, 1H, J = 8.0 Hz), 7.21 (d, 2H, J = 8.0 Hz), 7.16 (t, 1H, J = 8.0 Hz), 7.09-7.01 (m, 2H), 4.84 (q, 1H, J = 6.4 Hz), 2.36 (s, 3H), 1.34 (d, 3H, J = 6.4 Hz); ¹³C NMR (CDCl₃, 100 MHz): δ 143.70, 136.79, 135.59, 134.09, 129.57, 128.40, 127.10, 126.98, 124.61, 121.75, 69.64, 22.80, 21.48. IR (neat) ν: 3480, 3243, 2976, 2926, 1494, 1328, 1157, 1091, 932, 757 cm⁻¹; HRMS (ESI) m/z calcd. for C₁₅H₁₆NO₃S [M−H]⁻: 290.0856, found: 290.0851.

Anhydrous FeCl₃ (16 mg, 0.10 mmol) was added to a solution of S₅ (290 mg, 1.0 mmol) and ethyl benzoyleacetate (288 mg, 1.5 mmol) in CH₃NO₂ (4 mL), the mixture was heated at 120 °C for 3 h. The reaction mixture was quenched with water followed by extraction with CH₂Cl₂. The combined organic layers were dried over Na₂SO₄ and concentrated to afford a crude material. To this residual material was added 2N aq. NaOH (5 mL), and the reaction was heated at reflux overnight. The solution was cooled to room temperature, poured into 10% aq. HCl and extracted with EtOAc. After concentration in vacuo, the residue was chromatographed by petroleum ether/EtOAc (10:1) to give 1n.

Yield: 89%; white solid; TLC, Rₛ = 0.22 (PE:EtOAc = 9:1); m.p. 165-167 °C; ¹H NMR (CDCl₃, 400 MHz): δ 8.66 (s, 1H), 7.85 (d, 2H, J = 7.2 Hz), 7.64 (d, 2H, J = 8.0 Hz), 7.53-7.46 (m, 2H), 7.38 (t, 2H, J = 7.6 Hz), 7.19 (d, 2H, J = 8.4 Hz), 7.14-7.13 (m, 3H), 3.30-3.18 (m, 2H), 3.09-3.05 (m, 1H), 2.33 (s, 3H), 0.79 (d, 3H, J = 6.8 Hz); ¹³C NMR (CDCl₃, 100 MHz): δ 199.93, 143.13, 140.70, 137.26, 135.90, 133.66, 133.51, 129.38, 128.49, 128.03, 127.07, 126.86, 126.78, 126.22, 48.22, 27.19, 21.44, 21.31; IR (neat) ν: 3307, 2977, 2889, 1681, 1489, 789, 753.
1317, 1152, 1091, 922, 750 cm\(^{-1}\); HRMS (ESI) \(m/z\) calcd. for \(\text{C}_{23}\text{H}_{24}\text{NO}_3\text{S}[\text{M+H}]^+\): 394.1471, found: 394.1481.

Synthesis of 3-(2-(dimethylamino)phenyl)-1-phenylpropan-1-one (S10):

A CH\(_3\)CN solution of 2-nitrobenzaldehyde (552 mg, 2.5 mmol) and (benzoylmethylene)triphenylphosphorane (1.4 g, 3.7 mmol) was stirred at 60 °C until the reaction was complete as indicated by TLC. After evaporation of CH\(_3\)CN in vacuo, the crude was purified by chromatography with petroleum ether/EtOAc (3:1) to give S6.\(^7\) Yield: 86%; white solid; m.p. 124-126 °C; TLC, \(R_f\) = 0.32 (PE:EtOAc = 9:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \(\delta\) 8.13 (d, 1H, \(J = 16.0\) Hz), 8.07 (d, 1H, \(J = 8.0\) Hz), 8.02 (d, 1H, \(J = 6.4\) Hz), 7.74 (d, 1H, \(J = 7.6\) Hz), 7.69 (d, 1H, \(J = 7.6\) Hz), 7.65-7.48 (m, 4H), 7.32 (d, 1H, \(J = 16.0\) Hz); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \(\delta\) 190.48, 148.55, 140.16, 137.41, 133.53, 133.12, 131.34, 130.32, 129.23, 128.78, 128.71, 127.38, 124.98.

NaBH\(_4\) (152 mg, 4.0 mmol) was added in portions to a solution of S6 (510 mg, 2.0 mmol) in MeOH (10 mL) at 0 °C. The resulting mixture was stirred at room temperature overnight. After evaporation of MeOH, water was added and the solution was extracted with EtOAc. The organic layer was dried (Na\(_2\)SO\(_4\)) and concentrated under reduced pressure to give the allylic alcohol S7 which was used directly without purification. After two vacuum/H\(_2\) cycles to replace air inside the reaction flask, the solution of S7 (253 mg, 1.0 mmol) in CH\(_3\)OH (10 mL) was treated with Pd/C (10% wt of the substrate) and vigorously stirred at room temperature under 1 atm of hydrogen for 12 h. The resulting mixture was filtered through Celite, and the filtrate was concentrated to provide a viscous material which could be purified by chromatography to give S8. Yield: 78%; white solid; m.p. 77-81 °C; TLC, \(R_f\) = 0.11 (PE:EtOAc = 4:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \(\delta\) 7.32 (d, 4H, \(J = 4.4\) Hz), 7.29-7.23 (m, 1H), 7.03 (t, 2H, \(J = 7.2\) Hz), 6.74 (t, 1H, \(J = 7.2\) Hz), 6.66 (d, 1H, \(J = 8.0\) Hz), 4.64 (dd, 1H, \(J = 8.8, 4.4\) Hz), 3.34 (br s, 2H), 2.62 (t, 2H, \(J = 8.0\) Hz), 2.09-1.95 (m, 2H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \(\delta\) 144.54, 144.10, 129.59, 128.43, 127.50, 127.03, 126.36, 125.75, 119.03, 115.91, 73.28, 38.54, 27.01. IR (neat) \(\nu\): 3373, 3215, 3024, 2921, 1498, 1453, 1061, 759 cm\(^{-1}\); HRMS (ESI) \(m/z\) calcd. for \(\text{C}_{15}\text{H}_{16}\text{NO}[\text{M–H}]^-\): 226.1237, found: 226.1238.
S9 was prepared by the reported procedure. To a stirred solution of S8 (341 mg, 1.5 mmol) and 37% aqueous formaldehyde (1.5 mL) in acetonitrile (6 mL) was added NaBH$_3$CN (340 mg, 5.4 mmol). Glacial acetic acid (0.3 mL) was added over 10 min, and the reaction mixture was stirred at room temperature for 2 h. The reaction mixture was poured into CH$_2$Cl$_2$, basified with 1N aq. NaOH, and washed with brine. The organic layers were dried and concentrated. The residue was purified by chromatography to afford S9. Yield: 84%; colorless oil; TLC, $R_f = 0.38$ (PE:EtOAc = 4:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 7.28 (d, 4H, $J$ = 4.0 Hz), 7.25-7.19 (m, 4H), 7.13 (t, 1H, $J$ = 7.2 Hz), 5.99 (s, 1H), 4.25 (dd, 1H, $J$ = 11.2, 6.4 Hz), 3.19 (td, 1H, $J$ = 12.8, 4.8 Hz), 2.75 (s, 6H), 2.72-2.66 (m, 1H), 2.04-1.96 (m, 1H), 1.90-1.82 (m, 1H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 152.01, 144.70, 136.42, 130.82, 128.12, 127.23, 125.51, 125.17, 119.35, 70.57, 45.73, 41.91, 26.72. IR (neat) $\nu$: 3273, 2934, 2866, 1492, 1452, 1087, 1060, 1037, 763 cm$^{-1}$; HRMS (MALDI) $m/z$ calcd. for C$_{17}$H$_{22}$NO [M+H]$^+$: 256.1696, found: 256.1695.

To a solution of S9 (127 mg, 0.5 mmol) in CH$_2$Cl$_2$ (4 mL) was added Dess-Martin reagent (318 mg, 0.75 mmol) in portions at room temperature. After being stirred for 30 min, a saturated solution of Na$_2$S$_2$O$_3$ was added. The mixture was extracted with EtOAc, dried over Na$_2$SO$_4$, and concentrated in vacuo. The crude residue was purified by chromatography to give S10. Yield: 90%; yellow oil; TLC, $R_f = 0.23$ (PE:EtOAc = 19:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 8.01 (d, 2H, $J$ = 7.2 Hz), 7.56 (t, 1H, $J$ = 7.2 Hz), 7.46 (t, 2H, $J$ = 7.6 Hz), 7.22 (t, 2H, $J$ = 7.6 Hz), 7.15 (d, 1H, $J$ = 7.6 Hz), 7.04 (t, 1H, $J$ = 7.2 Hz), 3.33 (t, 2H, $J$ = 7.2 Hz), 3.14 (t, 2H, $J$ = 7.2 Hz), 2.68 (s, 6H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 199.93, 152.96, 136.98, 136.26, 132.86, 129.92, 128.51, 128.10, 127.08, 123.67, 119.89, 45.13, 39.67, 26.56; IR (neat) $\nu$: 3059, 2937, 2825, 1683, 1597, 1493, 1449, 746 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{17}$H$_{19}$NONa [M+Na]$^+$: 276.1359, found: 276.1357.

Synthesis of Bis(1H-2-indolyl)methanone (5):

2N aq. NaOH (2.5 mL, 5 mmol) was added dropwise to the solution of aldehyde 9 (550 mg, 2.0 mmol) and acetone (58 mg, 1 mmol) in EtOH (10 mL). The reaction mixture was stirred at room temperature for 12 h. After the removal of solvent, the residue was treated with 1N HCl until pH < 7 and extracted with EtOAc. The organic layer was separated, dried over Na$_2$SO$_4$, and concentrated to give a crude product, after purification by column chromatography with petroleum ether/EtOAc (3:1), the
corresponding dienone could be isolated as pale yellow solid. Then Pd/C (10% wt of the substrate) was added to the solution of dienone (570 mg, 1.0 mmol) in EtOH (10 mL). After two vacuum/H\(_2\) cycles to replace air inside the reaction flask, the reaction was stirred at room temperature overnight, followed by filtration with Celite. The filtrate was concentrated and purified by column chromatography with dichloromethane/acetone (95:5) to give \(S_{12}\). Yield: 54%; white solid; m.p. 59-62 °C; TLC, \(R_f = 0.64\) (CH\(_2\)Cl\(_2\)/CH\(_3\)OH = 20:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \(\delta\) 7.69 (s, 2H), 7.64 (d, 4H, \(J = 7.6\) Hz), 7.23-7.19 (m, 6H), 7.13-7.05 (m, 4H), 6.99 (d, 2H, \(J = 7.6\) Hz), 2.56 (dd, 8H, \(J = 14.8, 5.6\) Hz), 2.38 (s, 6H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \(\delta\) 211.58, 143.53, 137.07, 135.30, 134.32, 129.99, 129.59, 127.27, 127.15, 126.56, 125.62, 43.57, 23.98, 21.52; IR (neat) \(\nu\): 3446, 3265, 1700, 1493, 1331, 1160, 1091, 922 cm\(^{-1}\); HRMS (ESI) \(m/z\) calcd. for C\(_{31}\)H\(_{32}\)N\(_2\)O\(_5\)S\(_2\)Na [M+Na]\(^+\): 599.1645, found: 599.1645.

Iodine (112 mg, 0.44 mmol) was added to a mixture of \(S_{12}\) (115 mg, 0.2 mmol) and K\(_2\)CO\(_3\) (166 mg, 1.2 mmol) in methanol (6.0 mL) at room temperature, and then the resulting mixture was stirred at 60 °C. After the reaction was complete by TLC analysis, methanol was evaporated in \textit{vacuo} followed by adding saturated aq. solution of Na\(_2\)S\(_2\)O\(_3\). The mixture was extracted by EtOAc and dried over Na\(_2\)SO\(_4\). After removal of solvent, the residue was purified by flash column chromatography with petroleum ether/EtOAc (3:1) to give \(5\).\(^{59}\) Yield: 86%; yellow solid; m.p. 270-272 °C; TLC, \(R_f = 0.52\) (PE:EtOAc = 3:1); \(^1\)H NMR (DMSO-\(d_6\), 400 MHz): \(\delta\) 11.99 (s, 2H), 7.76 (d, 2H, \(J = 7.6\) Hz), 7.62 (s, 2H), 7.51 (d, 2H, \(J = 8.0\) Hz), 7.31 (t, 2H, \(J = 7.6\) Hz), 7.12 (t, 2H, \(J = 7.2\) Hz); \(^{13}\)C NMR (DMSO-\(d_6\), 100 MHz): \(\delta\) 176.68, 137.65, 134.47, 127.21, 125.27, 122.67, 120.29, 112.59, 109.52.

**Synthesis of Quindolinone (12):**

L-proline (0.6 mmol) was stirred in 8 mL of methanol for 10 min, 2-aminoacetophenone (300 mg, 2 mmol) and \(9\) (550 mg, 2 mmol) were then added. The resulting mixture was stirred at reflux for 2 h, then two further portions of L-proline (0.6 mmol each time) was added, once every 2 h. The reaction detected by TLC analysis until the substrates were disappeared. The reaction solution was evaporated in \textit{vacuo}, quenched with saturated ammonium chloride solution and extracted with EtOAc. The combined organic layer was dried over Na\(_2\)SO\(_4\), concentrated, and purified by column chromatography with petroleum ether/EtOAc (7:1) to give \(10\). Yield: 75%; pale yellow solid; m.p.:185-188 °C; TLC, \(R_f = 0.11\) (PE:EtOAc = 7:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \(\delta\) 8.01 (s, 1H), 7.84 (d, 1H, \(J = 7.6\) Hz), 7.59 (d, 2H, \(J = 8.0\) Hz),
7.40-7.32 (m, 2H), 7.24-7.19 (m, 5H), 6.84 (t, 1H, \(J = 7.6\) Hz), 6.71 (d, 1H, \(J = 8.0\) Hz), 4.87 (dd, 1H, \(J = 12.0, 2.8\) Hz), 4.56 (s, 1H), 2.72-2.63 (m, 1H), 2.45-2.43 (m, 1H), 2.39 (s, 3H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \(\delta\) 193.20, 151.24, 144.17, 136.30, 135.42, 134.55, 133.71, 129.75, 129.11, 128.61, 127.56, 127.18, 126.64, 125.12, 119.47, 116.78, 55.43, 43.90, 21.56; IR (neat) \(\nu\): 3274, 3150, 1655, 1606, 1498, 1481, 1332, 1308, 1160, 1088, 932, 789 cm\(^{-1}\); HRMS (ESI) \(m/z\) calcd. for C\(_{22}\)H\(_{20}\)N\(_2\)O\(_3\)SNa [M+Na\(^+\)]: 415.1087, found: 415.1083.

Iodine (112 mg, 0.44 mmol) was added to a mixture of 10 (79 mg, 0.2 mmol) and K\(_2\)CO\(_3\) (83 mg, 0.6 mmol) in methanol (4.0 mL) at 0 °C. After the reaction mixture was stirred at 0 °C for 4 h, 2\(\text{N}\) aq NaOH (2.5 mL, 5 mmol) was added to the mixture and refluxed for overnight. The reaction mixture was cooled to room temperature and methanol was evaporated in vacuo. Aq. Na\(_2\)S\(_2\)O\(_3\) was added to the residue and extracted with EtOAc. The combined organic layer was dried (Na\(_2\)SO\(_4\)), concentrated, and purified by column chromatography with CH\(_2\)Cl\(_2\)/MeOH (95:1) to give 12.\(^{S10}\) Yield: 78%; yellow solid; m.p. > 300 °C; TLC, \(R_f = 0.23\) (CH\(_2\)Cl\(_2\):MeOH = 9:1); \(^1\)H NMR (DMSO-\(d_6\), 400 MHz): \(\delta\) 12.46 (s, 1H), 11.71 (s, 1H), 8.36 (d, 1H, \(J = 8.0\) Hz), 8.19 (d, 1H, \(J = 8.0\) Hz), 7.73 (d, 1H, \(J = 8.0\) Hz), 7.70-7.65 (m, 1H), 7.52 (d, 1H, \(J = 8.4\) Hz), 7.47 (t, 1H, \(J = 7.6\) Hz), 7.29 (t, 1H, \(J = 8.0\) Hz), 7.20 (t, 1H, \(J = 8.0\) Hz); \(^{13}\)C NMR (DMSO-\(d_6\), 100 MHz): \(\delta\) 167.34, 138.98, 138.55, 130.62, 128.85, 127.36, 125.13, 123.01, 122.79, 120.76, 120.43, 118.84, 117.70, 115.80, 112.56.

General Procedure for the phosphorane ylides:\(^{S11}\)

To a solution of the ketone in a mixture of CHCl\(_3\) and EtOH (\(v:v =1:1\), 0.5 M), CuBr\(_2\) (2 equiv) was added under N\(_2\) at room temperature, then the mixture was heated to reflux. After the reaction was complete by GC analysis, and then the dark-green suspension was filtered while it was hot, the residue was washed with EtOAc. The filtrate was then concentrated under reduced pressure to give the crude α-bromide ketone.
Then Et$_3$N (0.1 equiv) was added to a stirred solution of the resulting α-bromo carbonyl compound (1.0 equiv) in toluene (0.30 M), followed by addition of a solution of PPh$_3$ (1.0 equiv) in toluene. The mixture was stirred at room temperature until the α-bromo carbonyl compound was consumed as indicated by TLC. The reaction mixture was then filtrated and the precipitate was washed with Et$_2$O and collected for the next step.

The resulting crude phosphorane salt was added to a mixture of H$_2$O and MeOH (v:v = 1:1, 0.25 M), then the reaction solution was stirred at RT for 1 h, followed by adding 2N NaOH aq. to the mixture until the pH = 7-8 and vigorously stirring for another 2-3 h. The resulting suspension was extracted with EtOAc (30 mL × 3). The combined organic layers were dried over Na$_2$SO$_4$ and concentrated to give the phosphorane ylides without purification.

Br$_2$ (2.7 mL, 52 mmol) was added dropwise to a stirred solution of 2-acetylpyridine (4.84g, 40 mmol) in 48% aq. HBr (7.0 mL) and AcOH (36 mL) at 0 °C, and then the mixture was stirred at 70 °C for 2 h. The resulting precipitated white solid was collected by filtration, washed with Et$_2$O for 3 times, and dried by suction at room temperature.

The bromide salt (2.8 g, 10mmol) was dissolved into toluene, and Et$_3$N (1.6 mL, 11.0 mmol) was added dropwise. After the color of solution was changed, PPh$_3$ (2.6 g, 10 mmol) was added in one portion, and the resulting mixture was stirred overnight at room temperature. The pale yellow precipitation was filtered and washed with petroleum ether for 3 times.

**Characterization Data for Substrates and products**

**N-(2-(3-oxo-3-phenylpropyl)phenyl)benzenesulfonamide**
Yield: 75%; white solid; m.p. 123-126 °C; TLC, \( R_f = 0.22 \) (PE:EtOAc = 4:1); \(^1\)H NMR (CDCl$_3$, 400 MHz): \( \delta \) 8.80 (s, 1H), 7.90 (d, 2H, \( J = 7.6 \) Hz), 7.77 (d, 2H, \( J = 7.2 \) Hz), 7.55 (t, 1H, \( J = 7.2 \) Hz), 7.49 (d, 1H, \( J = 7.2 \) Hz), 7.44-7.38 (m, 5H), 7.16-7.09 (m, 3H), 3.25 (t, 2H, \( J = 6.0 \) Hz), 2.55 (t, 2H, \( J = 6.0 \) Hz);

\(^{13}\)C NMR (CDCl$_3$, 100 MHz): \( \delta \) 200.36, 140.17, 135.93, 135.20, 134.61, 133.67, 132.49, 130.13, 128.87, 128.59, 128.16, 127.30, 126.97, 126.34, 125.78, 40.22, 23.35; IR (neat) \( \nu \): 3435, 3149, 1667, 1448, 1167, 1156, 1091, 752 cm$^{-1}$; HRMS (MALDI) \( m/z \) calcd. for C$_{21}$H$_{19}$NO$_3$SNa [M+Na]$^+$: 388.0978, found: 388.0984.

**N-(2-(3-oxo-3-phenylpropyl)phenyl)-4-nitrobenzenesulfonamide**

Yield: 63%; white solid; m.p. 162-164 °C; TLC, \( R_f = 0.45 \) (PE:EtOAc = 2:1); \(^1\)H NMR (CDCl$_3$, 400 MHz): \( \delta \) 9.32 (s, 1H), 8.26 (d, 2H, \( J = 8.8 \) Hz), 7.97 (d, 2H, \( J = 7.2 \) Hz), 7.92 (d, 2H, \( J = 7.6 \) Hz), 7.57 (t, 1H, \( J = 7.2 \) Hz), 7.46-7.42 (m, 3H), 7.22-7.10 (m, 3H), 3.32 (t, 2H, \( J = 6.0 \) Hz), 2.53 (t, 2H, \( J = 6.0 \) Hz);

\(^{13}\)C NMR (CDCl$_3$, 100 MHz): \( \delta \) 200.84, 149.87, 146.10, 135.70, 135.05, 134.02, 133.77, 130.43, 128.72, 128.27, 128.23, 127.68, 126.92, 125.74, 124.14, 40.43, 23.40; IR (neat) \( \nu \): 3446, 3167, 3100, 1670, 1529, 1348, 1169, 738 cm$^{-1}$; HRMS (ESI) \( m/z \) calcd. for C$_{21}$H$_{17}$N$_2$O$_5$S [M−H]$^-$: 409.0864, found: 409.0862.

**N-(2-(3-oxo-3-phenylpropyl)phenyl)methanesulfonamide**

Yield: 40%; white solid; m.p. 118-121 °C; TLC, \( R_f = 0.15 \) (PE:EtOAc = 3:1); \(^1\)H NMR (CDCl$_3$, 400 MHz): \( \delta \) 8.57 (s, 1H), 7.94 (d, 2H, \( J = 7.2 \) Hz), 7.56 (t, 1H, \( J = 7.2 \) Hz), 7.49 (d, 1H, \( J = 8.0 \) Hz), 7.43 (t, 2H, \( J = 7.6 \) Hz), 7.26-7.13 (m, 3H), 3.45 (t, 2H, \( J = 6.0 \) Hz), 3.08 (t, 2H, \( J = 6.4 \) Hz), 3.06 (s, 3H); \(^{13}\)C NMR (CDCl$_3$, 100 MHz): \( \delta \) 200.32, 136.00, 135.06, 134.27, 133.68, 130.44, 128.63, 128.17, 127.56, 126.09, 124.06, 40.26, 40.00, 24.12; IR (neat) \( \nu \): 3325, 3264, 1674, 1326, 1150, 977, 750 cm$^{-1}$; HRMS (ESI) \( m/z \) calcd. for C$_{16}$H$_{17}$NO$_3$SNa [M+Na]$^+$: 326.0821, found: 326.0823.
N-(2-(3-oxo-3-phenylpropyl)phenyl)acetamide

![Chemical Structure](attachment:image.jpg)

Yield: 84%; white solid; m.p. 63-66 °C; TLC, $R_f = 0.35$ (PE:EtOAc = 2:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 9.23 (s, 1H), 7.95 (d, 2H, $J = 7.6$ Hz), 7.82 (d, 1H, $J = 8.0$ Hz), 7.57 (t, 1H, $J = 7.2$ Hz), 7.45 (t, 2H, $J = 7.6$ Hz), 7.20 (t, 2H, $J = 7.2$ Hz), 7.07 (t, 1H, $J = 7.2$ Hz), 3.45 (t, 2H, $J = 6.0$ Hz), 3.03 (t, 2H, $J = 6.0$ Hz), 2.33 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 200.98, 168.96, 136.19, 135.70, 133.67, 132.67, 129.85, 128.65, 128.12, 126.97, 125.03, 124.31, 40.35, 24.34, 23.96; IR (neat) v: 3431, 3259, 1676, 1632, 1534, 1287, 758 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{17}$H$_{18}$NO$_2$ [M+H]$^+$: 268.1332, found: 268.1330.

N-(2-(3-(4-methoxyphenyl)-3-oxopropyl)phenyl)-4-methylbenzenesulfonamide (1b)

![Chemical Structure](attachment:image.jpg)

Yield: 71%; white solid; m.p. 121-123 °C; TLC, $R_f = 0.22$ (PE:EtOAc = 4:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 8.90 (s, 1H), 7.88 (d, 2H, $J = 8.8$ Hz), 7.65 (d, 2H, $J = 8.0$ Hz), 7.42 (d, 1H, $J = 8.0$ Hz), 7.19-7.08 (m, 5H), 6.88 (d, 2H, $J = 8.4$ Hz), 3.84 (s, 3H), 3.19 (t, 2H, $J = 5.6$ Hz), 2.56 (t, 2H, $J = 5.6$ Hz), 2.35 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 198.74, 163.86, 143.14, 137.38, 135.15, 134.83, 130.50, 130.15, 129.43, 129.06, 127.20, 127.02, 126.04, 125.42, 113.71, 55.47, 39.83, 23.53, 21.46; IR (neat) v: 3433, 3150, 1650, 1572, 1336, 1247, 1168, 1093, 1029, 773 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{23}$H$_{24}$NO$_4$S [M+H]$^+$: 410.1421, found: 410.1426.

N-(2-(3-(4-fluorophenyl)-3-oxopropyl)phenyl)-4-methylbenzenesulfonamide (1c)

![Chemical Structure](attachment:image.jpg)

Yield: 62%; pale yellow solid; m.p. 97-100 °C; TLC, $R_f = 0.20$ (PE:EtOAc = 3:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 8.53 (s, 1H), 7.94 (dd, 2H, $J = 8.4, 5.6$ Hz), 7.65 (d, 2H, $J = 8.0$ Hz), 7.40 (d, 1H, $J = 7.6$ Hz), 7.19 (d, 2H, $J = 8.0$ Hz), 7.16-7.09 (m, 5H), 3.22 (t, 2H, $J = 5.6$ Hz), 2.60 (t, 2H, $J = 5.6$ Hz), 2.36 (s, 3H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 198.64, 165.97 (d, $J = 254.2$ Hz), 143.27, 137.26, 153.08, 134.69, 132.46, 130.87 (d, $J = 9.3$ Hz), 130.12, 129.47, 127.31, 127.05, 126.28, 125.65, 115.73 (d, $J = 21.7$ Hz), 40.09, 23.54, 21.46; $^{19}$F NMR (CDCl$_3$, 376 MHz): $\delta$ -103.97; IR (neat) v: 3450, 3153, 3081, 1558, 1596,
N-(2-(3-furan-2-yl)-3-oxopropyl)phenyl)-4-methylbenzenesulfonamide (1d)

Yield: 72%; white solid; m.p. 99-102 °C; TLC, R_f = 0.26 (PE:EtOAc = 4:1); ^1H NMR (CDCl_3, 400 MHz): δ 8.41 (s, 1H), 7.62 (d, 2H, J = 8.0 Hz), 7.54 (s, 1H), 7.36 (d, 1H, J = 7.6 Hz), 7.19-7.17 (m, 3H), 7.14-7.08 (m, 3H), 6.49 (dd, 1H, J = 7.2, 6.5 Hz), 3.08 (t, 2H, J = 6.0 Hz), 2.58 (t, 2H, J = 6.0 Hz), 2.34 (s, 3H); ^13C NMR (CDCl_3, 100 MHz): δ 189.24, 152.09, 146.71, 143.26, 137.17, 134.94, 134.53, 130.07, 129.42, 127.24, 126.97, 126.23, 125.57, 117.81, 112.43, 39.67, 23.29, 21.40; IR (neat) ν: 3434, 3210, 1666, 1473, 1401, 1325, 1153, 1091, 980, 767 cm⁻¹; HRMS (MALDI) m/z calcd. for C_{22}H_{21}FNO_3S [M+H]^+: 398.1221, found: 398.1227.

N-(2-(3-oxo-3-(thiophen-2-yl)propyl)phenyl)-4-methylbenzenesulfonamide (1e)

Yield: 70%; white solid; m.p. 104-106 °C; TLC, R_f = 0.18 (PE:EtOAc = 4:1); ^1H NMR (CDCl_3, 400 MHz): δ 8.49 (s, 1H), 7.67-7.62 (m, 4H), 7.38 (d, 1H, J = 8.0 Hz), 7.19 (d, 2H, J = 8.0 Hz), 7.15-7.07 (m, 4H), 3.19 (t, 2H, J = 6.0 Hz), 2.60 (t, 2H, J = 6.0 Hz), 2.35 (s, 3H); ^13C NMR (CDCl_3, 100 MHz): δ 193.03, 143.24, 143.03, 137.29, 134.87, 134.71, 134.69, 134.34, 132.58, 130.11, 129.46, 128.18, 127.33, 127.05, 126.20, 125.55, 40.64, 23.56, 21.46; IR (neat) ν: 3447, 3241, 1658, 1494, 1336, 1162, 1092, 740 cm⁻¹; HRMS (MALDI) m/z calcd. for C_{20}H_{19}NO_3S_2NO_2 [M+Na]^+: 408.0699, found: 408.0691.

N-(2-(3-oxo-3-(pyridin-4-yl)propyl)phenyl)-4-methylbenzenesulfonamide (1f)

Yield: 54%; white solid; m.p. 42-45 °C; TLC, R_f = 0.31 (CH_2Cl_2:CH_3OH = 99:1); ^1H NMR (CDCl_3, 400 MHz): δ 8.77 (d, 2H, J = 4.8 Hz), 8.05 (d, 1H, J = 4.8 Hz), 7.66 (d, 3H, J = 6.4 Hz), 7.63 (s, 1H), 7.32 (d, 1H, J = 7.6 Hz), 7.20 (d, 2H, J = 8.0 Hz), 7.16-7.11 (m, 3H), 3.23 (t, 2H, J = 6.4 Hz), 2.69 (t, 2H, J = 6.4 Hz), 2.36 (s, 3H); ^13C NMR (CDCl_3, 100 MHz): δ 199.69, 151.00, 143.45, 141.87, 137.19, 135.08, 134.53, 130.07, 129.55, 127.48, 127.13, 126.64, 126.02, 120.95, 40.39, 23.53, 21.47; IR (neat) ν: 3250,
N-(2-(3-(naphthalen-2-yl)-3-oxopropyl)phenyl)-4-methylbenzenesulfonamide (1g)

Yield: 75%; white solid; m.p. 138-140 °C; TLC, Rf = 0.33 (PE:EtOAc = 3:1); ¹H NMR (CDCl₃, 300 MHz): δ 8.68 (s, 1H), 8.42 (s, 1H), 7.96 (d, 1H, J = 8.4 Hz), 7.91 (d, 1H, J = 8.4 Hz), 7.84 (d, 2H, J = 8.4 Hz), 7.68 (d, 2H, J = 9.0 Hz), 7.62-7.51 (m, 2H), 7.45-7.42 (m, 1H), 7.20-7.10 (m, 5H), 3.38 (t, 2H, J = 6.0 Hz), 2.67 (t, 2H, J = 6.0 Hz), 2.33 (s, 3H); ¹³C NMR (CDCl₃, 75 MHz): δ 200.17, 143.23, 137.41, 135.73, 135.15, 134.81, 133.40, 132.34, 130.17, 130.06, 129.56, 129.46, 128.70, 128.45, 127.73, 127.28, 127.09, 126.86, 126.20, 125.54, 123.63, 40.24, 23.75, 21.42; IR (neat) ν: 3461, 3284, 3059, 1677, 1490, 1360, 1317, 1150, 1123, 1091, 768 cm⁻¹; HRMS (MALDI) m/z calcd. for C₂₁H₂₁N₂O₃S [M+H]⁺: 381.1267, found: 381.1272.

N-(2-(3-oxobutyl)phenyl)-4-methylbenzenesulfonamide (1h)

Yield: 57%; white solid; m.p. 125-126 °C; TLC, Rf = 0.23 (PE:EtOAc = 3:1); ¹H NMR (CDCl₃, 400 MHz): δ 8.38 (s, 1H), 7.62 (d, 2H, J = 8.4 Hz), 7.36 (d, 1H, J = 8.0 Hz), 7.20 (d, 2H, J = 8.0 Hz), 7.14 (td, 1H, J = 7.2, 1.6 Hz), 7.08 (td, 1H, J = 7.6, 1.2 Hz), 7.01 (dd, 1H, J = 8.0, 1.2 Hz), 2.72 (t, 2H, J = 6.0 Hz), 2.40 (t, 2H, J = 6.0 Hz), 2.38 (s, 3H), 2.07 (s, 3H); ¹³C NMR (CDCl₃, 100 MHz): δ 209.71, 143.22, 137.34, 134.89, 134.66, 130.02, 129.45, 127.25, 127.01, 126.15, 125.41, 45.02, 29.66, 23.39, 21.47; IR (neat) ν: 3382, 3096, 2983, 2811, 1703, 1328, 1156, 1091, 931, 825, 762 cm⁻¹; HRMS (ESI) m/z calcd. for C₁₇H₂₀NO₃S [M+H]⁺: 318.1158, found: 318.1162.

N-(2-(3-oxopentyl)phenyl)-4-methylbenzenesulfonamide (1i)

Yield: 60%; white solid; m.p. 108-110 °C; TLC, Rf = 0.31 (PE:EtOAc = 9:1); ¹H NMR (CDCl₃, 400 MHz): δ 8.47 (s, 1H), 7.63 (d, 2H, J = 8.4 Hz), 7.38 (d, 1H, J = 8.0 Hz), 7.20 (d, 2H, J = 8.0 Hz), 7.14 (t,
1H, J = 7.2 Hz), 7.08 (t, 1H, J = 7.2 Hz), 7.01 (d, 1H, J = 6.0 Hz), 2.69 (t, 2H, J = 6.0 Hz), 2.40 (t, 2H, J = 6.0 Hz), 2.38 (s, 3H), 2.33 (q, 2H, J = 7.2 Hz), 0.99 (t, 3H, J = 7.2 Hz); 13C NMR (CDCl3, 100 MHz): δ 212.41, 143.19, 137.37, 134.95, 134.70, 130.02, 129.44, 127.26, 127.05, 126.11, 125.42, 43.73, 35.71, 23.35, 21.49, 7.60; IR (neat) ν: 3396, 3191, 3095, 2977, 1709, 1446, 1325, 1155, 1091, 928, 826 cm⁻¹; HRMS (ESI) m/z calcd. for C18H22NO3S [M+H]⁺: 332.1315, found: 332.1321.

N-(2-(4-methyl-3-oxopentyl)phenyl)-4-methylbenzenesulfonamide (1j)

Yield: 66%; white solid; m.p. 44-47 °C; TLC, Rf = 0.24 (PE:EtOAc = 6:1); 1H NMR (CDCl3, 400 MHz): δ 8.44 (s, 1H), 7.63 (d, 2H, J = 8.4 Hz), 7.38 (d, 1H, J = 7.6 Hz), 7.20 (d, 2H, J = 8.0 Hz), 7.14 (t, 1H, J = 8.0 Hz), 7.08 (t, 1H, J = 7.6 Hz), 7.01 (d, 1H, J = 7.6 Hz), 2.73 (t, 2H, J = 6.0 Hz), 2.52-2.45 (m, 1H), 2.40 (t, 2H, J = 6.0 Hz), 2.37 (s, 3H), 0.98 (d, 6H, J = 6.8 Hz); 13C NMR (CDCl3, 100 MHz): δ 215.84, 143.18, 137.35, 134.92, 134.65, 129.95, 129.43, 127.23, 127.06, 126.06, 125.31, 41.86, 40.68, 23.43, 21.49, 18.05; IR (neat) ν: 3173, 2972, 2933, 2875, 1689, 1492, 1323, 1150, 1091, 935, 774 cm⁻¹; HRMS (ESI) m/z calcd. for C19H24NO3S [M+H]⁺: 346.1471, found: 346.1478.

N-(2-(4,4-dimethyl-3-oxopentyl)phenyl)-4-methylbenzenesulfonamide (1k)

Yield: 53%; white solid; m.p. 109-111 °C; TLC, Rf = 0.61 (PE:EtOAc = 9:1); 1H NMR (CDCl3, 400 MHz): δ 8.39 (s, 1H), 7.64 (d, 2H, J = 8.4 Hz), 7.38 (d, 1H, J = 8.0 Hz), 7.20 (d, 2H, J = 8.4 Hz), 7.14 (t, 1H, J = 7.6 Hz), 7.07 (t, 1H, J = 7.6 Hz), 7.01 (d, 1H, J = 7.6 Hz), 2.75 (t, 2H, J = 6.0 Hz), 2.40 (t, 2H, J = 6.0 Hz), 2.38 (s, 3H), 1.01 (s, 9H); 13C NMR (CDCl3, 100 MHz): δ 217.29, 143.18, 137.28, 134.93, 134.61, 129.89, 129.41, 127.15, 127.04, 126.03, 125.24, 43.91, 38.39, 26.21, 23.61, 21.47; IR (neat) ν: 3433, 3362, 3129, 2971, 2869, 1692, 1493, 1328, 1159, 1092, 943, 756 cm⁻¹; HRMS (ESI) m/z calcd. for C20H26NO3S [M+H]⁺: 360.1628, found: 360.1637.

N-(4,5-dimethoxy-2-(3-oxo-3-phenylpropyl)phenyl)-4-methylbenzenesulfonamide (1l)
Yield: 40%; white solid; m.p. 175-176 °C; TLC, \( R_f = 0.28 \) (PE:EtOAc = 3:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \( \delta \) 8.34 (s, 1H), 7.88 (d, 2H, \( J = 7.6 \) Hz), 7.60 (d, 2H, \( J = 8.4 \) Hz), 7.54 (t, 1H, \( J = 7.2 \) Hz), 7.41 (t, 2H, \( J = 8.0 \) Hz), 7.18 (d, 2H, \( J = 8.0 \) Hz), 6.91 (s, 1H), 6.53 (s, 1H), 3.81 (s, 3H), 3.78 (s, 3H), 3.16 (t, 2H, \( J = 6.0 \) Hz), 2.43 (t, 2H, \( J = 6.0 \) Hz), 2.34 (s, 3H); \(^1\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 200.18, 147.63, 147.56, 143.19, 136.98, 136.06, 133.54, 128.56, 128.24, 128.11, 127.14, 127.04, 111.91, 110.54, 55.89, 55.84, 40.13, 23.27, 21.44; IR (neat) \( \nu \): 3401, 3211, 2933, 1676, 1517, 1450, 1338, 1205, 1163, 1106, 1092, 995, 746 cm\(^{-1}\); HRMS (MALDI) \( m/z \) calcd. for C\(_{24}\)H\(_{25}\)NO\(_5\)SNa [M+Na]\(^+\): 462.1346, found: 462.1345.

\( N\)-(2-methyl-6-(3-oxo-3-phenylpropyl)phenyl)-4-methylbenzenesulfonamide (1m)

Yield: 66%; white solid; m.p. 127-129 °C; TLC, \( R_f = 0.37 \) (PE:EtOAc = 6:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \( \delta \) 7.95 (s, 1H), 7.87 (d, 2H, \( J = 7.2 \) Hz), 7.64 (d, 2H, \( J = 8.0 \) Hz), 7.53 (t, 1H, \( J = 7.6 \) Hz), 7.41 (t, 2H, \( J = 8.0 \) Hz), 7.22 (d, 2H, \( J = 8.0 \) Hz), 7.10-7.06 (m, 2H), 6.96 (dd, 1H, \( J = 6.8, 2.4 \) Hz), 3.18 (t, 2H, \( J = 6.0 \) Hz), 2.49 (t, 2H, \( J = 6.0 \) Hz), 2.38 (s, 3H), 2.34 (s, 3H); \(^1\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 200.12, 143.24, 139.83, 138.94, 137.84, 136.21, 133.44, 133.07, 129.49, 128.55, 128.10, 127.60, 127.39, 127.25, 40.64, 24.06, 21.49, 19.58; IR (neat) \( \nu \): 3447, 3229, 1681, 1597, 1446, 1333, 1208, 1160, 1092, 912, 746 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{23}\)H\(_{23}\)NO\(_3\)SNa [M+Na]\(^+\): 364.1319, found: 364.1318.

\( \text{phenyl(1-tosylindolin-2-yl)methanone (6)} \)

White solid; m.p. 172-174 °C; TLC, \( R_f = 0.25 \) (PE:EtOAc = 4:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \( \delta \) 8.02 (d, 2H, \( J = 7.2 \) Hz), 7.74 (d, 2H, \( J = 8.0 \) Hz), 7.61 (t, 1H, \( J = 7.2 \) Hz), 7.55 (d, 1H, \( J = 8.0 \) Hz), 7.49 (t, 2H, \( J = 7.6 \) Hz), 7.25 (d, 2H, \( J = 7.6 \) Hz), 7.21 (d, 1H, \( J = 7.6 \) Hz), 7.05-6.96 (m, 2H), 5.62 (dd, 1H, \( J = 11.2, 5.2 \) Hz), 3.35 (dd, 1H, \( J = 16.0, 11.2 \) Hz), 3.08 (dd, 1H, \( J = 16.0, 5.2 \) Hz) 2.39 (s, 3H); \(^1\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 195.10, 144.32, 141.75, 134.87, 134.08, 133.57, 129.72, 129.45, 128.90, 128.78, 128.17,
127.49, 125.01, 124.20, 115.43, 65.32, 33.08, 21.55; IR (neat) ν: 3396, 3067, 1706, 1354, 1167, 764 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₂H₂₀NO₃S [M+H]⁺: 378.1158, found: 378.1155.

1-(2-benzoylindolin-1-yl)ethanone

Yield: 75%; white solid; m.p.: 119-121 °C; TLC, Rₖ = 0.19 (PE:EtOAc = 4:1); ¹H NMR (CDCl₃, 400 MHz, two isomers ratio 1:1): δ 8.34 (d, 0.45H, J = 8.0 Hz), 8.00 (s, 2H), 7.66 (t, 0.46H, J = 7.2 Hz), 7.60 (t, 0.54H, J = 7.2 Hz), 7.56-7.48 (m, 2H), 7.26-7.22 (m, 1.65H), 7.17-7.00 (m, 2H), 6.09 (dd, 0.49H, J = 11.2, 2.8 Hz), 5.79 (d, 0.45H, J = 11.2 Hz), 3.82 (t, 0.46H, J = 11.2), 3.60 (dd, 0.53H, J = 16.4, 9.0 Hz), 3.18 (d, 0.47H, J = 16.4 Hz), 3.02 (d, 0.54H, J = 16.4 Hz), 2.52 (s, 1.48H), 2.04 (s, 1.62H); ¹³C NMR (CDCl₃, 100 MHz): δ 195.20, 194.98, 168.94, 168.14, 143.35, 141.84, 134.14, 133.48, 129.12, 128.75, 128.66, 128.15, 127.93, 125.80, 124.26, 123.78, 123.23, 117.29, 113.70, 64.36, 62.64, 33.77, 31.42, 24.53, 23.83. IR (neat) ν: 3424, 1689, 1655, 1483, 1400, 1218, 749 cm⁻¹; HRMS (MALDI) m/z calcd. for C₁₇H₁₅NNaO₂ [M+Na]⁺: 288.0995, found: 288.0993.

(1-methyl-1H-indol-2-yl)(phenyl)methanone (S11)

Yield: 70%; yellow oil; TLC, Rₖ = 0.33 (PE:EtOAc = 19:1); ¹H NMR (CDCl₃, 400 MHz): δ 7.93 (d, 2H, J = 7.2 Hz), 7.68 (d, 1H, J = 8.0 Hz), 7.61 (t, 1H, J = 7.2 Hz), 7.51 (t, 2H, J = 7.6 Hz), 7.47-7.40 (m, 2H), 7.18 (t, 1H, J = 7.2 Hz), 7.03 (s, 1H), 4.14 (s, 3H); ¹³C NMR (CDCl₃, 100 MHz): δ 188.61, 140.28, 139.36, 134.93, 132.14, 129.68, 128.16, 125.91, 125.80, 122.97, 120.73, 114.83, 110.32, 31.49; IR (neat) ν: 3058, 2944, 1636, 1512, 1464, 1391, 1259, 1233, 946, 738 cm⁻¹; HRMS (ESI) m/z calcd. for C₁₆H₁₄NO [M+H]⁺: 236.1070, found: 236.1067.

(1H-indol-2-yl)(4-methoxyphenyl)methanone (2b)
Yield: 93%; white solid; m.p. 183-185 °C; TLC, \( R_f = 0.61 \) (PE:EtOAc = 4:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \( \delta \) 9.64 (s, 1H), 8.06 (d, 2H, \( J = 8.8 \) Hz), 7.73 (d, 1H, \( J = 8.0 \) Hz), 7.50 (d, 1H, \( J = 8.4 \) Hz), 7.37 (t, 1H, \( J = 7.6 \) Hz), 7.17 (t, 2H, \( J = 7.2 \) Hz), 7.04 (d, 2H, \( J = 8.4 \) Hz), 3.92 (s, 3H); \(^13\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 185.84, 163.17, 137.31, 134.48, 131.53, 130.62, 127.73, 126.13, 123.02, 120.87, 113.75, 112.15, 111.83, 55.48; IR (neat) \( \nu \): 3411, 3293, 1620, 1593, 1507, 1255, 1168, 771 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{16}\)H\(_{13}\)NO\(_2\)Na [M+Na]\(^+\): 274.0838, found: 274.0835.

\((4\text{-fluorophenyl})\)(1\text{-H-indol-2-yl})methanone (2c)\(^{S1}\)

Yield: 92%; white solid; m.p. 178-181 °C; TLC, \( R_f = 0.24 \) (PE:EtOAc = 9:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \( \delta \) 9.63 (s, 1H), 8.08 (dd, 2H, \( J = 8.8, 5.6 \) Hz), 7.76 (d, 1H, \( J = 8.0 \) Hz), 7.53 (d, 1H, \( J = 8.0 \) Hz), 7.42 (t, 1H, \( J = 8.0 \) Hz), 7.29 (d, 1H, \( J = 4.8 \) Hz), 7.25-7.18 (m, 3H); \(^13\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 185.69, 165.37 (d, \( J = 252 \) Hz), 137.58, 134.05, 131.70 (d, \( J = 9.0 \) Hz), 127.65, 126.62, 123.19, 121.11, 115.63 (d, \( J = 21.7 \) Hz), 112.44 (d, \( J = 45.4 \) Hz); \(^19\)F NMR (CDCl\(_3\), 376 MHz): \( \delta \) -106.18; IR (neat) \( \nu \): 3310, 1626, 1598, 1342, 1230, 1154, 770 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{15}\)H\(_{11}\)FNO [M+H]\(^+\): 240.0819, found: 240.0822.

\(\text{furan-2-yl}(1\text{-H-indol-2-yl})\)methanone (2d)\(^{S1}\)

Yield: 79%; pale yellow solid; m.p. 169-171 °C; TLC, \( R_f = 0.47 \) (PE:EtOAc = 4:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \( \delta \) 9.48 (s, 1H), 7.76 (d, 1H, \( J = 8.0 \) Hz), 7.72 (d, 2H, \( J = 4.8 \) Hz), 7.49-7.46 (m, 2H), 7.37 (t, 1H, \( J = 8.0 \) Hz), 7.17 (t, 1H, \( J = 7.2 \) Hz), 6.64 (t, 1H, \( J = 1.6 \) Hz); \(^13\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 172.57, 152.74, 146.46, 137.25, 133.45, 128.00, 126.46, 123.33, 120.98, 118.52, 112.44, 112.09, 111.44; IR (neat) \( \nu \): 3421, 3301, 1606, 1563, 1464, 1344, 1278, 1130, 743 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{13}\)H\(_9\)NO\(_2\)Na [M+Na]\(^+\): 234.0525, found: 234.0525.

\((1\text{-H-indol-2-yl})(\text{thiophen-2-yl})\)methanone (2e)\(^{S1}\)
Yield: 88%; yellow solid; m.p. 152-155 °C; TLC, $R_f = 0.45$ (PE:EtOAc = 5:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 9.59 (s, 1H), 8.06 (d, 1H, $J = 3.6$ Hz), 7.78-7.72 (m, 2H), 7.51 (d, 1H, $J = 8.4$ Hz), 7.46 (s, 1H), 7.38 (t, 1H, $J = 7.6$ Hz), 7.24 (t, 1H, $J = 4.4$ Hz), 7.18 (t, 1H, $J = 7.6$ Hz); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 177.86, 142.47, 137.38, 134.07, 133.22, 132.97, 128.07, 127.76, 126.40, 123.13, 121.07, 112.20, 110.66; IR (neat) $\nu$: 3433, 3314, 1584, 1520, 1414, 1259, 744 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{13}$H$_9$NOSNa [M+Na]$^+$: 250.0297, found: 250.0293.

(1H-indol-2-yl)(pyridin-4-yl)methanone (2f)

Yield: 82%; white solid; m.p. 162-164 °C; TLC, $R_f = 0.22$ (CH$_2$Cl$_2$:CH$_3$OH = 9:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 9.68 (s, 1H), 8.87 (d, 2H, $J = 4.4$ Hz), 7.78 (d, 2H, $J = 5.2$ Hz), 7.73 (d, 1H, $J = 8.0$ Hz), 7.50 (d, 1H, $J = 8.0$ Hz), 7.42 (t, 1H, $J = 8.0$ Hz), 7.22-7.17 (m, 2H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 185.58, 150.41, 144.58, 138.09, 133.50, 127.57, 127.36, 123.47, 122.45, 121.43, 113.86, 112.30.

(1H-indol-2-yl)(naphthalen-2-yl)methanone (2g)

Yield: 90%; white solid; m.p. 166-169 °C; TLC, $R_f = 0.48$ (PE:EtOAc = 9:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 9.44 (s, 1H), 8.55 (s, 1H), 8.06-7.97 (m, 3H), 7.93 (d, 1H, $J = 7.2$ Hz), 7.74 (d, 1H, $J = 8.0$ Hz), 7.63-7.58 (m, 2H), 7.51 (d, 1H, $J = 8.0$ Hz), 7.39 (t, 1H, $J = 7.2$ Hz), 7.25 (s, 1H), 7.18 (t, 1H, $J = 7.2$ Hz); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 187.06, 137.54, 135.28, 134.55, 132.45, 130.56, 129.38, 128.44, 128.19, 127.86, 127.80, 126.85, 126.53, 125.26, 123.24, 121.09, 112.76, 112.17; IR (neat) $\nu$: 3396, 3309, 1616, 1521, 1344, 1276, 1181, 1131, 773 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{19}$H$_{13}$NONa [M+Na]$^+$: 294.0889, found: 294.0887.

1-(1H-indol-2-yl)ethanone (2h)

Yield: 75%; pale yellow solid; m.p. 145-148 °C; TLC, $R_f = 0.52$ (PE:EtOAc = 14:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 9.09 (s, 1H), 7.72 (d, 1H, $J = 10.8$ Hz), 7.43 (d, 1H, $J = 11.2$ Hz), 7.35 (t, 1H, $J = 10.0$ Hz), 7.21 (s, 1H), 7.16 (t, 1H, $J = 10.0$ Hz), 2.60 (s, 3 H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 190.65, 137.44,
135.32, 127.49, 126.31, 122.98, 120.86, 112.25, 109.93, 25.82; IR (neat) ν: 3248, 3302, 1645, 618, 1523, 1338, 1247, 1183, 799 cm⁻¹; HRMS (ESI) m/z calcd. for C₁₀H₁₀NO [M+H]⁺: 160.0757, found: 160.0756.

1-(1H-indol-2-yl)propan-1-one (2i)\textsuperscript{15}

Yield: 71%; yellow solid; m.p. 140-142 °C; \(^1\)H NMR (CDCl\(_3\), 400 MHz): TLC, \(R_f = 0.49\) (PE:EtOAc = 9:1); \(\delta\) 9.31 (s, 1H), 7.71 (d, 1H, \(J = 8.0\) Hz), 7.45 (d, 1H, \(J = 8.4\) Hz), 7.35 (t, 1H, \(J = 8.4\) Hz), 7.22 (s, 1H), 7.16 (t, 1H, \(J = 8.0\) Hz), 3.01 (q, 2H, \(J = 7.6\) Hz), 1.29 (t, 3H, \(J = 7.6\) Hz); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \(\delta\) 194.01, 137.17, 134.90, 127.55, 126.14, 122.95, 120.85, 112.17, 108.85, 31.47, 8.75; IR (neat) ν: 3321, 2969, 1652, 1522, 1409, 1169, 801, 738 cm⁻¹; HRMS (ESI) m/z calcd. for C₁₀H₁₀NO [M+H]⁺: 174.0913, found: 174.0911.

1-(1H-indol-2-yl)-2-methylpropan-1-one (2j)

Yield: 84%; white solid; m.p. 92-94 °C; TLC, \(R_f = 0.53\) (PE:EtOAc = 9:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \(\delta\) 9.22 (s, 1H), 7.71 (d, 1H, \(J = 8.0\) Hz), 7.44 (d, 1H, \(J = 8.0\) Hz), 7.35 (t, 1H, \(J = 7.6\) Hz), 7.23 (s, 1H), 7.16 (t, 1H, \(J = 7.6\) Hz), 3.53-3.46 (m, 1H), 1.29 (d, 6H, \(J = 6.8\) Hz); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \(\delta\) 197.70, 137.35, 134.19, 127.54, 126.15, 122.96, 120.84, 112.20, 108.89, 36.15, 19.63; IR (neat) ν: 3302, 2970, 1641, 1518, 1230, 1135, 749 cm⁻¹; HRMS (ESI) m/z calcd. for C₁₂H₁₄NO [M+H]⁺: 188.1070, found: 188.1071.

1-(1H-indol-2-yl)-2,2-dimethylpropan-1-one (2k)\textsuperscript{1}

Yield: 63%; white solid; m.p. 119-121 °C; TLC, \(R_f = 0.55\) (PE:EtOAc = 19:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \(\delta\) 9.36 (s, 1H), 7.71 (d, 1H, \(J = 8.0\) Hz), 7.44 (d, 1H, \(J = 8.4\) Hz), 7.33 (t, 1H, \(J = 7.6\) Hz), 7.26 (s, 1H), 7.14 (t, 1H, \(J = 7.6\) Hz), 1.47 (s, 9H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \(\delta\) 199.07, 135.93, 132.18, 127.73, 125.89, 122.93, 120.75, 111.94, 109.02, 43.39, 28.50; IR (neat) ν: 3330, 2968, 1640, 1513, 1403, 1340, 1158, 1137, 750 cm⁻¹; HRMS (ESI) m/z calcd. for C₁₃H₁₆NO [M+H]⁺: 202.1226, found: 202.1227.
(5,6-dimethoxy-1H-indol-2-yl)(phenyl)methanone (2l)

Yield: 89%; white solid; m.p. 178-180 °C; TLC, Rf = 0.35 (PE:EtOAc = 3:1); 1H NMR (CDCl3, 400 MHz): δ 9.51 (s, 1H), 7.97 (d, 2H, J = 7.2 Hz), 7.60 (t, 1H, J = 7.2 Hz), 7.52 (t, 2H, J = 7.2 Hz), 7.05 (d, 2H, J = 8.8 Hz), 6.91 (s, 1H), 3.95 (s, 3H), 3.92 (s, 3H); 13C NMR (CDCl3, 100 MHz): δ 186.11, 151.12, 146.41, 138.36, 133.40, 131.97, 129.08, 128.35, 120.81, 131.18, 102.61, 93.66, 56.10, 56.04; IR (neat) ν: 3304, 1602, 1520, 1498, 1288, 1255, 1127 cm⁻¹; HRMS (ESI) m/z calcd. for C17H15NO3Na [M+Na]+: 304.0944, found: 304.0941.

(7-methyl-1H-indol-2-yl)(phenyl)methanone (2m)

Yield: 83%; white solid; m.p. 165-168 °C; TLC, Rf = 0.42 (PE:EtOAc = 9:1); 1H NMR (CDCl3, 400 MHz): δ 9.25 (s, 1H), 8.99 (d, 2H, J = 8.0 Hz), 7.63 (t, 1H, J = 7.2 Hz), 7.58-7.52 (m, 3H), 7.18 (s, 2H), 7.09 (t, 1H, J = 8.0 Hz), 2.57 (s, 3H); 13C NMR (CDCl3, 100 MHz): δ 187.26, 138.04, 137.38, 134.08, 132.30, 129.18, 128.44, 127.33, 126.68, 121.51, 121.32, 120.82, 113.39, 16.73; IR (neat) ν: 3426, 3281, 1621, 1527, 1334, 1255, 731 cm⁻¹; HRMS (MALDI) m/z calcd. for C16H14NO [M+H]+: 381.1267, found: 381.1272.

(3-methyl-1H-indol-2-yl)(phenyl)methanone (2n)

Yield: 78%; pale yellow solid; m.p. 139-142 °C; TLC, Rf = 0.52 (PE:EtOAc = 9:1); 1H NMR (CDCl3, 400 MHz): δ 8.89 (s, 1H), 7.78 (d, 2H, J = 6.8 Hz), 7.68 (d, 1H, J = 8.0 Hz), 7.60 (t, 1H, J = 7.2 Hz), 7.52 (t, 2H, J = 7.6 Hz), 7.42-7.35 (m, 2H), 7.17 (t, 1H, J = 8.0 Hz), 2.28 (s, 3H); 13C NMR (CDCl3, 100 MHz): δ 189.28, 139.40, 136.54, 131.97, 131.59, 129.02, 128.80, 128.47, 126.51, 121.26, 120.46, 120.21, 111.82, 11.20; IR (neat) ν: 3411, 3313, 1607, 1523, 1338, 1270, 951, 739 cm⁻¹; HRMS (ESI) m/z calcd. for C16H14NO [M+H]+: 236.1070, found: 236.1074.
**tert-butyl 2-(3-(4-methoxyphenyl)-3-oxopropyl)phenylcarbamate (3b)**

![Structural formula](image)

Yield: 82%; white solid; m.p. 90-92 °C; TLC, R_f = 0.21 (PE:EtOAc = 19:1); ^1^H NMR (CDCl_3, 400 MHz): δ 7.94 (d, 2H, J = 9.2 Hz), 7.72 (d, 2H, J = 8.4 Hz), 7.18 (t, 2H, J = 9.2 Hz), 7.03 (t, 1H, J = 7.6 Hz), 6.91 (d, 2H, J = 8.8 Hz), 3.86 (s, 3H), 3.33 (t, 2H, J = 6.4 Hz), 3.00 (t, 2H, J = 6.4 Hz), 1.54 (s, 9H); ^13^C NMR (CDCl_3, 100 MHz): δ 198.39, 163.67, 153.87, 136.12, 132.08, 129.56, 129.46, 126.87, 124.12, 123.17, 113.71, 80.03, 55.46, 39.23, 28.39, 24.52; IR (neat) ν: 3381, 2980, 1701, 1671, 1523, 1454, 1239, 1161, 1025, 790 cm^{-1}; HRMS (ESI) m/z calcd. for C_{21}H_{26}NO_4 [M+H]^+: 356.1856, found: 356.1860.

**tert-butyl 2-(3-oxo-3-p-tolylpropyl)phenylcarbamate (3c)**

![Structural formula](image)

Yield: 96%; white solid; m.p. 88-89 °C; TLC, R_f = 0.25 (PE:EtOAc = 19:1); ^1^H NMR (CDCl_3, 400 MHz): δ 7.87 (d, 2H, J = 8.0 Hz), 7.73 (d, 1H, J = 7.6 Hz), 7.65 (s, 1H), 7.25 (d, 2H, J = 8.0 Hz), 7.18 (t, 2H, J = 8.4 Hz), 7.04 (t, 1H, J = 7.2 Hz), 3.36 (t, 2H, J = 6.4 Hz), 3.01 (t, 2H, J = 6.4 Hz), 2.41 (s, 3H), 1.55 (s, 9H); ^13^C NMR (CDCl_3, 100 MHz): δ 199.50, 153.84, 144.22, 136.10, 134.02, 132.03, 129.44, 129.27, 128.20, 126.90, 124.16, 123.20, 80.05, 39.45, 28.40, 24.48, 21.63; IR (neat) ν: 3373, 2983, 1700, 1686, 1521, 1454, 1240, 1163, 781 cm^{-1}; HRMS (ESI) m/z calcd. for C_{21}H_{26}NO_3 [M+H]^+: 340.1907, found: 340.1911.

**tert-butyl 2-(3-(4-fluorophenyl)-3-oxopropyl)phenylcarbamate (3d)**

![Structural formula](image)

Yield: 89%; white solid; m.p. 89-92 °C; TLC, R_f = 0.28 (PE:EtOAc = 19:1); ^1^H NMR (CDCl_3, 400 MHz): δ 8.00-7.96 (m, 2H), 7.70 (d, 1H, J = 7.6 Hz), 7.54 (s, 1H), 7.21-7.15 (m, 2H), 7.11 (t, 2H, J = 8.4 Hz), 7.04 (t, 1H, J = 7.2 Hz), 3.34 (t, 2H, J = 6.4 Hz), 3.01 (t, 2H, J = 6.4 Hz), 1.54 (s, 9H); ^13^C NMR (CDCl_3, 100 MHz): δ 198.25, 165.88 (d, J = 253.5 Hz), 153.84, 136.05, 132.92, 131.98, 130.75 (d, J = 9.3 Hz), 129.44, 127.01, 124.31, 123.40, 115.72 (d, J = 21.8 Hz), 80.13, 39.49, 28.38, 24.46; ^19^F NMR (CDCl_3, 376 MHz): δ -104.58; IR (neat) ν: 3373, 3314, 2979, 1690, 1597, 1525, 1244, 1157 cm^{-1}; HRMS (ESI) m/z calcd. for C_{20}H_{23}FNO_3 [M+H]^+: 344.1656, found: 344.1659.
tert-butyl 2-(3-(4-chlorophenyl)-3-oxopropyl)phenylcarbamate (3e)

Yield: 95%; white solid; m.p. 85-87 °C; TLC, \( R_f = 0.25 \) (PE:EtOAc = 19:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \( \delta \) 7.90 (d, 2H, \( J = 8.4 \) Hz), 7.70 (d, 1H, \( J = 7.6 \) Hz), 7.50 (s, 1H), 7.42 (d, 2H, \( J = 8.4 \) Hz), 7.22-7.15 (m, 2H), 7.04 (t, 1H, \( J = 7.6 \) Hz), 3.34 (t, 2H, \( J = 6.4 \) Hz), 3.01 (t, 2H, \( J = 6.4 \) Hz), 1.53 (s, 9H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 198.66, 153.83, 139.85, 136.02, 134.77, 131.93, 129.50, 129.44, 128.93, 127.04, 124.35, 123.44, 80.16, 39.56, 28.38, 24.40; IR (neat) \( \nu \): 3383, 2979, 1696, 1522, 1454, 1243, 1165 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{20}\)H\(_{23}\)ClNO\(_3\) \([\text{M+H}]^+\): 360.1361, found: 360.1362.

tert-butyl 2-(3-(furan-2-yl)-3-oxopropyl)phenylcarbamate (3f)

Yield: 87%; white solid; m.p. 78-82 °C; TLC, \( R_f = 0.26 \) (PE:EtOAc = 9:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \( \delta \) 7.70 (d, 1H, \( J = 8.0 \) Hz), 7.57 (s, 1H), 7.50 (br s, 1H), 7.20-7.15 (m, 3H), 7.03 (t, 1H, \( J = 7.6 \) Hz), 6.52-6.51 (m, 1H), 3.23 (t, 2H, \( J = 6.8 \) Hz), 2.98 (t, 2H, \( J = 6.8 \) Hz), 1.54 (s, 9H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 188.92, 153.80, 152.36, 146.54, 136.54, 136.00, 134.77, 131.67, 129.43, 124.54, 125.52, 117.47, 112.32, 80.08, 39.28, 28.38, 24.22; IR (neat) \( \nu \): 3415, 3391, 1980, 1707, 1664, 1468, 1236, 1159, 1020, 774 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{18}\)H\(_{22}\)NO\(_4\) \([\text{M+H}]^+\): 316.1543, found: 316.1545.

tert-butyl 2-(3-oxo-3-(thiophen-2-yl)propyl)phenylcarbamate (3g)

Yield: 90%; white solid; m.p. 92-94 °C; TLC, \( R_f = 0.25 \) (PE:EtOAc = 9:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz): \( \delta \) 7.70 (t, 2H, \( J = 4.0 \) Hz), 7.62 (d, 1H, \( J = 4.8 \) Hz), 7.48 (br s, 1H), 7.21-7.16 (m, 2H), 7.10 (t, 1H, \( J = 4.0 \) Hz), 7.04 (t, 1H, \( J = 7.6 \) Hz), 3.31 (t, 2H, \( J = 6.8 \) Hz), 3.00 (t, 2H, \( J = 6.8 \) Hz), 1.54 (s, 9H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 192.64, 153.80, 143.55, 135.99, 133.89, 132.20, 129.40, 128.12, 126.98, 124.27, 123.42, 80.06, 40.08, 28.35, 24.56; IR (neat) \( \nu \): 3335, 2977, 1704, 1647, 1521, 1455, 1414, 1242, 1158, 1005, 739 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{18}\)H\(_{22}\)NO\(_3\)S \([\text{M+H}]^+\): 332.1315, found: 332.1313.
**tert-butyl 2-(3-(naphthalen-2-yl)-3-oxopropyl)phenylcarbamate (3h)**

![Structure of 3h]

Yield: 91%; white solid; m.p. 105-108 °C; TLC, $R_f = 0.16$ (PE:EtOAc = 19:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 8.47 (s, 1H), 8.03 (d, 1H, $J = 8.8$ Hz), 7.94 (d, 1H, $J = 8.0$ Hz), 7.89-7.85 (m, 2H), 7.74 (d, 1H, $J = 7.6$ Hz), 7.63-7.53 (m, 3H), 7.21 (t, 2H, $J = 7.6$ Hz), 7.06 (t, 1H, $J = 7.6$ Hz), 3.52 (t, 2H, $J = 6.4$ Hz), 3.08 (t, 2H, $J = 6.4$ Hz), 1.55 (s, 9H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 199.79, 153.87, 136.09, 135.65, 133.77, 132.41, 132.06, 129.89, 129.55, 129.49, 128.60, 128.45, 127.75, 126.97, 126.83, 124.27, 123.70, 123.33, 80.12, 39.67, 28.39, 24.57; IR (neat) $\nu$: 3411, 2980, 2931, 1727, 1675, 1507, 1439, 1231, 1149 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{24}$H$_{26}$NO$_3$ [M+H]$^+$: 376.1907, found: 376.1905.

**tert-butyl 2-(4-methyl-3-oxopentyl)phenylcarbamate (3i)**

![Structure of 3i]

Yield: 89%; white solid; m.p. 89-90 °C; TLC, $R_f = 0.20$ (PE:EtOAc = 15:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 7.68 (d, 1H, $J = 7.6$ Hz), 7.40 (br s, 1H), 7.18 (t, 1H, $J = 7.2$ Hz), 7.10 (d, 1H, $J = 6.4$ Hz), 7.02 (t, 1H, $J = 7.2$ Hz), 2.85-2.80 (m, 4H), 2.59-2.52 (m, 1H) 1.53 (s, 9H), 1.04 (d, 6H, $J = 6.8$Hz); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 214.96, 153.80, 135.93, 131.99, 129.31, 126.87, 124.17, 123.27, 80.03, 41.05, 40.94, 28.39, 24.27, 18.10; IR (neat) $\nu$: 3344, 2973, 2931, 2895, 2878, 1716, 1697, 1587, 1515, 1242, 1161 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{17}$H$_{26}$NO$_3$ [M+H]$^+$: 292.1907, found: 292.1912.

**tert-butyl 2-(4,4-dimethyl-3-oxopentyl)phenylcarbamate (3j)**

![Structure of 3j]

Yield: 70%; white solid; m.p. 63-66 °C; TLC, $R_f = 0.16$ (PE:EtOAc = 19:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 7.69 (d, 1H, $J = 8.0$ Hz), 7.33 (br s, 1H), 7.18 (t, 1H, $J = 7.6$ Hz), 7.10 (d, 1H, $J = 7.6$ Hz), 7.02 (t, 1H, $J = 7.6$ Hz), 2.87-2.80 (m, 4H), 1.53 (s, 9H), 1.07 (s, 9H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 216.25, 153.78, 135.91, 132.00, 129.30, 126.85, 124.13, 123.18, 80.04, 44.02, 37.52, 28.39, 26.26, 24.62; IR (neat) $\nu$: 3379, 3354, 2973, 2934, 2870, 1720, 1689, 1589, 1519, 1449, 1366, 1302, 1237, 1155, 1047, 763 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{18}$H$_{28}$NO$_3$ [M+H]$^+$: 306.2064, found: 306.2066.
**tert-butyl 4,5-dimethoxy-2-(3-oxo-3-phenylpropyl)phenylcarbamate (3k)**

Yield: 85%; white solid; m.p. 109-111 °C; TLC, $R_t = 0.29$ (PE:EtOAc = 4:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 7.95 (d, 2H, $J = 7.6$ Hz), 7.55 (t, 1H, $J = 7.2$ Hz), 7.44 (t, 3H, $J = 7.6$ Hz), 7.26 (s, 1H), 6.65 (s, 1H), 3.86 (s, 3H), 3.82 (s, 3H), 3.34 (t, 2H, $J = 6.4$ Hz), 2.96 (t, 2H, $J = 6.4$ Hz), 1.53 (s, 9H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 199.98, 154.13, 147.53, 145.95, 136.54, 133.33, 129.01, 128.58, 128.06, 124.59, 112.33, 107.92, 79.91, 56.14, 55.93, 39.78, 28.41, 24.40; IR (neat) $\nu$: 3355, 2995, 2934, 1723, 1671, 1525, 1448, 1167, 1120, 863, 759 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{22}$H$_{28}$NO$_5$ [M+H]$^+$: 386.1962, found: 386.1959.

**tert-butyl 5-fluoro-2-(3-oxo-3-phenylpropyl)phenylcarbamate (3l)**

Yield: 83%; white solid; m.p. 82-83 °C; TLC, $R_t = 0.28$ (PE:EtOAc = 9:1); $^1$H NMR (CDCl$_3$, 400 MHz): $\delta$ 7.96 (d, 2H, $J = 7.6$ Hz), 7.85 (br s, 1H), 7.63 (d, 1H, $J = 10.8$ Hz), 7.57 (t, 1H, $J = 7.6$ Hz), 7.45 (t, 2H, $J = 8.0$ Hz), 7.09 (dd, 1H, $J = 8.4$, 6.4 Hz), 6.71 (td, 1H, $J = 8.4$, 6.8 Hz), 3.36 (t, 2H, $J = 6.4$ Hz), 2.97 (t, 2H, $J = 6.4$ Hz), 1.55 (s, 9H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 199.89, 154.13, 147.53, 145.95, 136.30, 133.50, 130.37 (d, $J = 9.2$ Hz), 128.62, 128.07, 126.39, 110.43 (d, $J = 21.3$ Hz), 109.21 (d, $J = 25.7$ Hz), 80.48, 39.56, 28.32, 23.69; $^{19}$F NMR (CDCl$_3$, 376 MHz): $\delta$ -114.76; IR (neat) $\nu$: 3397, 2979, 1700, 1528, 1472, 1242, 1166, 978 cm$^{-1}$; HRMS (ESI) $m/z$ calcd. for C$_{20}$H$_{23}$FNO$_3$ [M+H]$^+$: 344.1656, found: 344.1656.

**tert-butyl 2-(4-methoxybenzoyl)indoline-1-carboxylate (4b)**

Yield: 81%; white solid; m.p. 110-112 °C; TLC, $R_t = 0.29$ (PE:EtOAc = 19:1); $^1$H NMR (CDCl$_3$, 400 MHz, two isomers ratio 2:1): $\delta$ 7.99-7.56 (m, 3H), 7.24-7.17 (m, 1H), 7.07 (d, 1H, $J = 7.2$ Hz), 6.97-6.87 (m, 3H), 5.83-5.59 (m, 1H), 3.88 (s, 3H), 3.60 (dd, 1H, $J = 16.4$, 12.0 Hz), 3.09-2.98 (m, 1H), 1.60 (s, 3H), 1.33 (s, 6H); $^{13}$C NMR (CDCl$_3$, 100 MHz): $\delta$ 194.78, 163.72, 153.95, 151.67, 143.13, 138.86,

**tert-butyl 2-(4-methylbenzoyl)indoline-1-carboxylate (4c)**

Yield: 84%; white solid; m.p. 141-143 °C; TLC, Rf = 0.48 (PE:EtOAc = 19:1); ¹H NMR (CDCl₃, 400 MHz, two isomers ratio 2:1): δ 7.98 (d, 0.67H, J = 7.6 Hz), 7.86 (d, 2H, J = 7.2 Hz), 7.57 (d, 0.35H, J = 7.6 Hz), 7.30-7.20 (m, 3H), 7.06 (d, 1H, J = 6.8 Hz), 6.93 (t, 1H, J = 6.0 Hz), 5.84-5.64 (m, 1H), 3.63-3.56 (m, 1H), 3.07-2.97 (m, 1H), 2.42 (s, 3H), 1.60 (s, 3H), 1.33 (s, 6H); ¹³C NMR (CDCl₃, 100 MHz): δ 195.77, 151.64, 144.33, 143.11, 131.67, 129.52, 128.47, 128.01, 124.43, 122.40, 114.69, 82.11, 81.12, 63.04, 62.38, 32.69, 29.66, 28.03, 21.66; IR (neat) ν: 3373, 2974, 1701, 1488, 1399, 1161, 1051, 756 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₁H₂₄NO₃ [M+H]⁺: 338.1751, found: 338.1754.

**tert-butyl 2-(4-fluorobenzoyl)indoline-1-carboxylate (4d)**

Yield: 85%; pale yellow solid; m.p. 68-70 °C; TLC, Rf = 0.42 (PE:EtOAc = 19:1); ¹H NMR (CDCl₃, 400 MHz, two isomers ratio 2:1): δ 7.99 (t, 2.58H, J = 7.2 Hz), 7.56 (d, 0.36H, J = 7.2 Hz), 7.23 (d, 1H, J = 6.8 Hz), 7.17 (t, 2H, J = 8.0 Hz), 7.09 (d, 1H, J = 7.2 Hz), 6.96 (t, 1H, J = 6.8 Hz), 5.82-5.61 (m, 1H), 3.66-3.58 (m, 1H), 3.10-2.98 (m, 1H), 1.59 (s, 3.25H), 1.32 (s, 5.75H); ¹³C NMR (CDCl₃, 100 MHz): δ 194.74, 165.90 (d, J = 254.2 Hz), 151.49, 142.97, 131.00 (d, J = 9.6 Hz), 128.16, 124.51, 122.58, 116.08 (d, J = 21.4 Hz), 114.75, 81.32, 63.15, 32.59, 28.36, 28.02; ¹⁹F NMR (CDCl₃, 376 MHz): δ −104.06, −104.35; IR (neat) ν: 3363, 2979, 1713, 1689, 1600, 1487, 1397, 1226, 1157, 749 cm⁻¹; HRMS (ESI) m/z calcd. for C₂₀H₂₁FNO₃ [M+H]⁺: 342.1500, found: 342.1505.

**tert-butyl 2-(4-chlorobenzoyl)indoline-1-carboxylate (4e)**
Yield: 85%; white solid; m.p. 114-117 °C; TLC, \( R_f = 0.41 \) (PE:EtOAc = 19:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz, two isomers ratio 2:1): \( \delta \) 7.98 (d, 0.58H, \( J = 7.6 \) Hz), 7.89 (d, 2H, \( J = 7.6 \) Hz), 7.36 (d, 0.40H, \( J = 7.2 \) Hz), 7.47 (d, 2H, \( J = 8.0 \) Hz), 7.25-7.21 (m, 1H), 7.08 (d, 1H, \( J = 7.2 \) Hz), 6.95 (t, 1H, \( J = 7.2 \) Hz), 5.79-5.60 (m, 1H), 3.64-3.57 (m, 1H), 3.08-2.97 (m, 1H), 1.59 (s, 3H), 1.33 (s, 6H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 195.08, 151.43, 142.94, 139.98, 132.53, 129.97, 129.72, 129.21, 128.15, 127.34, 124.50, 122.58, 114.71, 82.33, 81.34, 63.15, 62.44, 32.50, 28.33, 28.02; IR (neat) \( \nu \): 3389, 2977, 1702, 1488, 1396, 1160, 1090, 757 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{20}\)H\(_{21}\)ClNO\(_3\) [M+H]\(^+\): 358.1204, found: 358.1204.

tert-butyl 2-(furan-2-carbonyl)indoline-1-carboxylate (4f)

Yield: 86%; white solid; m.p. 113-116 °C; TLC, \( R_f = 0.28 \) (PE:EtOAc = 9:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz, two isomers ratio 2:1): \( \delta \) 7.95 (d, 0.65H, \( J = 6.4 \) Hz), 7.63 (s, 1H), 7.55 (s, 0.3H), 7.21 (s, 2H), 7.08 (d, 1H, \( J = 7.2 \) Hz), 6.94 (t, 1H, \( J = 7.2 \) Hz), 6.55-6.54 (m, 1H), 5.57-5.27 (m, 1H), 3.62-3.54 (m, 1H), 3.10-3.04 (m, 1H), 1.59 (s, 3H), 1.34 (s, 6H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 185.99, 151.45, 142.49, 139.68, 134.11, 131.96, 128.30, 128.01, 127.69, 124.53, 122.58, 118.02, 114.58, 112.39, 81.33, 63.30, 32.56, 28.34, 27.91; IR (neat) \( \nu \): 3345, 3130, 2981, 1704, 1678, 1484, 1391, 1279, 1166, 1054, 759 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{18}\)H\(_{23}\)N\(_2\)O\(_4\) [M+NH\(_4\)]\(^+\): 331.1652, found: 331.1651.

tert-butyl 2-(thiophene-2-carbonyl)indoline-1-carboxylate (4g)

Yield: 82%; white solid; m.p. 100-103 °C; TLC, \( R_f = 0.51 \) (PE:EtOAc = 9:1); \(^1\)H NMR (CDCl\(_3\), 400 MHz, two isomers ratio 2:1): \( \delta \) 7.97 (d, 0.61H, \( J = 6.0 \) Hz), 7.71-7.67 (m, 2.3H), 7.26-7.22 (m, 1H), 7.13-7.08 (m, 2H), 6.98-6.92 (m, 1H), 5.62-5.39 (m, 1H), 3.64-3.56 (m, 1H), 3.17-3.12 (m, 1H), 1.58 (s, 3H), 1.32 (s, 6H); \(^{13}\)C NMR (CDCl\(_3\), 100 MHz): \( \delta \) 190.05, 151.49, 142.79, 140.30, 134.11, 131.96, 128.30, 128.01, 127.69, 124.53, 122.65, 114.64, 81.57, 64.61, 32.93, 28.29, 27.88; IR (neat) \( \nu \): 3398, 2977, 1704, 1672, 1484, 1392, 1160, 762 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{18}\)H\(_{23}\)N\(_2\)O\(_3\)S [M+NH\(_4\)]\(^+\): 347.1424, found: 347.1425.
**tert-butyl 2-(2-naphthoyl)indoline-1-carboxylate (4h)**

![Chemical Structure](image)

Yield: 82%; pale yellow solid; m.p. 141-143 °C; TLC, *R*$_f$ = 0.38 (PE:EtOAc = 19:1); $^1$H NMR (DMSO-$d_6$, 400 MHz, two isomers ratio 2.7:1): δ 8.82 (s, 1H), 8.16 (d, 1H, *J* = 8.0 Hz), 8.10-8.03 (m, 3H), 7.83 (d, 0.74H, *J* = 7.6 Hz), 7.72 (t, 1H, *J* = 7.6 Hz), 7.67 (t, 1H, *J* = 7.6 H), 7.51 (d, 0.3H, *J* = 7.6 Hz), 7.22 (t, 1H, *J* = 7.6 Hz), 7.16 (d, 1H, *J* = 6.8 Hz), 6.95 (t, 1H, *J* = 7.2 Hz), 6.18-6.13 (m, 1H), 3.82-3.74 (m, 1H), 3.08-2.98 (m, 1H), 1.54 (s, 2.7H), 1.24 (s, 6.3H); $^{13}$C NMR (DMSO-$d_6$, 100 MHz): δ 196.32, 150.98, 142.89, 135.23, 132.13, 131.05, 129.58, 128.98, 128.67, 128.48, 127.71, 127.44, 127.11, 124.82, 123.68, 122.21, 113.53, 80.20, 62.13, 32.14, 27.56; IR (neat) ν: 3431, 2976, 2926, 1689, 1485, 1392, 1367, 1160, 761 cm$^{-1}$; HRMS (ESI) *m/z* calcd. for C$_{24}$H$_{24}$NO$_3$ [M+H]$^+$: 374.1751, found: 374.1754.

**tert-butyl 2-isobutyrylindoline-1-carboxylate (4i)**

![Chemical Structure](image)

Yield: 75%; white solid; m.p. 74-77 °C; TLC, *R*$_f$ = 0.30 (PE:EtOAc = 9:1); $^1$H NMR (CDCl$_3$, 400 MHz, two isomers ratio 2:1) : δ 7.92 (d, 0.6H, *J* = 6.8 Hz), 7.48 (d, 0.4H, *J* = 2.8 Hz), 7.22-7.15 (m, 1H), 7.08 (d, 1H, *J* = 7.2 Hz), 6.93 (t, 1H, *J* = 7.2 Hz), 5.10-4.94 (m, 1H), 3.56-3.44 (m, 1H), 2.96-2.84 (m, 2H), 1.59 (s, 3H), 1.48 (s, 6H), 1.14 (d, 6H, *J* = 6.8 Hz); $^{13}$C NMR (CDCl$_3$, 100 MHz): δ 210.65, 151.78, 142.99, 127.94, 127.62, 124.77, 124.35, 122.52, 114.82, 81.38, 65.10, 64.38, 37.31, 36.52, 31.96, 31.10, 28.30, 19.12, 18.10; IR (neat) ν: 3381, 2973, 2934, 2874, 1729, 1701, 1484, 1465, 1393, 1322, 1147, 1062, 1009, 775 cm$^{-1}$; HRMS (ESI) *m/z* calcd. for C$_{17}$H$_{24}$NO$_3$ [M+H]$^+$: 290.1751, found: 290.1749.

**tert-butyl 2-pivaloylindoline-1-carboxylate (4j)**

![Chemical Structure](image)

Yield: 88%; white solid; m.p. 100-103 °C; TLC, *R*$_f$ = 0.35 (PE:EtOAc = 19:1); $^1$H NMR (CDCl$_3$, 400 MHz, two isomers ratio 5:4) : δ 7.95 (s, 0.42H), 7.50 (s, 0.5H), 7.17 (s, 1H), 7.05 (d, 1H, *J* = 7.6 Hz), 6.90 (t, 1H, *J* = 7.2 Hz), 5.38-5.24 (m, 1H), 3.52-3.38 (m, 1H), 2.94-2.75 (m, 1H), 1.57 (s, 4.9H), 1.49 (s, 4.1H), 1.28 (s, 9H); $^{13}$C NMR (CDCl$_3$, 100 MHz): δ 211.03, 209.72, 152.17, 151.65, 143.38, 142.41, 128.46, 127.74, 127.22, 124.63, 124.16, 122.23, 122.06, 114.88, 114.50, 81.74, 81.25, 62.00, 60.85, 43.05, 42.56, 32.28, 31.81, 28.38, 27.60, 26.92; IR (neat) ν: 3333, 2980, 2969, 2932, 2871, 1694, 1600, 1485,
tert-butyl 2-benzoyl-5,6-dimethoxyindoline-1-carboxylate (4k)

Yield: 79%; white solid; m.p. 121-124 °C; TLC, \( R_f = 0.31 \) (PE:EtOAc = 4:1); \(^1\)H NMR (CDCl₃, 400 MHz, two isomers ratio 2.5:1): \( \delta \) 8.00-7.93 (m, 2H), 7.71 (s, 0.68H), 7.60 (q, 1H, \( J = 7.6 \) Hz), 7.50 (t, 2H, \( J = 7.6 \) Hz), 7.32 (s, 0.28H), 6.65-6.63 (m, 1H), 5.87-5.65 (m, 1H), 3.94 (s, 2.17H), 3.89 (s, 0.8H), 3.81 (s, 3H), 3.61-3.53 (m, 1H), 3.05-2.92 (m, 1H), 1.60 (s, 3H), 1.32 (s, 6H); \(^{13}\)C NMR (CDCl₃, 100 MHz): \( \delta \) 196.18, 151.57, 148.78, 146.64, 136.77, 134.12, 133.41, 128.78, 128.65, 128.58, 128.27, 117.95, 108.62, 108.32, 100.10, 99.65, 80.99, 63.65, 56.33, 55.98, 32.37, 28.30, 27.99; IR (neat) \( \nu \): 3379, 2991, 2934, 1697, 1508, 1407, 1304, 1218, 1155, 1122, 857 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{18}\)H\(_{26}\)NO\(_3\) [M+H]\(^+\): 304.1907, found: 304.1909.

tert-butyl 2-(2-iodo-3-oxo-3-phenylpropyl)-4,5-dimethoxyphenylcarbamate (7)

Yield: 18%; pale yellow solid; m.p. 188-190 °C; TLC, \( R_f = 0.30 \) (PE:EtOAc = 4:1); \(^1\)H NMR (CDCl₃, 400 MHz): \( \delta \) 7.93 (d, 2H, \( J = 8.0 \) Hz), 7.55 (t, 1H, \( J = 7.2 \) Hz), 7.43 (t, 2H, \( J = 7.2 \) Hz), 7.36 (s, 1H), 7.11 (brs, 1H), 6.68 (s, 1H), 5.63 (dd, 1H, \( J = 10.0, 3.6 \) Hz) 3.82 (s, 6H), 3.72 (t, 1H, \( J = 12.4 \) Hz), 3.29 (dd, 1H, \( J = 14.4 \) Hz, 3.6 Hz), 1.57 (s, 9H); \(^{13}\)C NMR (CDCl₃, 100 MHz): \( \delta \) 195.23, 154.23, 148.22, 146.26, 133.84, 133.75, 128.96, 128.73, 128.71, 123.78, 112.58, 108.68, 80.18, 56.21, 55.88, 36.27, 28.45, 23.60; IR (neat) \( \nu \): 3346, 2975, 2934, 1716, 1678, 1597, 1521, 1448, 1366, 1159, 9993 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{22}\)H\(_{26}\)NO\(_5\) [M+Na]\(^+\): 534.0748, found: 534.0742.

tert-butyl 2-benzoyl-6-fluoroindoline-1-carboxylate (4l)

Yield: 92%; pale yellow solid; m.p. 94-96 °C; TLC, \( R_f = 0.35 \) (PE:EtOAc = 9:1); \(^1\)H NMR (CDCl₃, 400 MHz, two isomers ratio 2:1): \( \delta \) 7.95 (d, 2H, \( J = 7.6 \) Hz), 7.74 (d, 0.69H, \( J = 6.4 \) Hz), 7.61 (t, 1H, \( J = 6.8 \) Hz), 7.54 (t, 1H, \( J = 7.8 \) Hz), 7.32 (t, 1H, \( J = 7.6 \) Hz), 7.07-7.01 (m, 2H), 6.87 (d, 1H, \( J = 15.6 \) Hz), 6.79 (d, 1H, \( J = 15.6 \) Hz), 3.89 (s, 3H), 3.74 (t, 1H, \( J = 12.4 \) Hz), 3.38 (dd, 1H, \( J = 14.4 \) Hz, 3.6 Hz), 1.57 (s, 9H); \(^{13}\)C NMR (CDCl₃, 100 MHz): \( \delta \) 195.23, 154.23, 148.22, 146.26, 133.84, 133.75, 128.96, 128.73, 128.71, 123.78, 112.58, 108.68, 80.18, 56.21, 55.88, 36.27, 28.45, 23.60; IR (neat) \( \nu \): 3346, 2975, 2934, 1716, 1678, 1597, 1521, 1448, 1366, 1159, 9993 cm\(^{-1}\); HRMS (ESI) \( m/z \) calcd. for C\(_{18}\)H\(_{26}\)NO\(_3\) [M+H]\(^+\): 304.1907, found: 304.1909.
S32 Hz), 7.51 (t, 2H, J = 7.2 Hz), 7.29 (d, 0.33H, J = 6.4 Hz), 6.69 (t, 1H, J = 6.8 Hz), 6.61 (t, 1H, J = 8.0 Hz) 5.90-5.72 (m, 1H), 3.60-3.52 (m, 1H), 3.02-2.94 (m, 1H), 1.60 (s, 3H), 1.33 (s, 6H); 13C NMR (CDCl3, 100 MHz): δ 195.74, 162.97 (d, J = 240.9 Hz), 151.37, 144.54 (d, J = 12.1 Hz), 134.01, 133.60, 128.89, 128.31, 125.28, 125.20, 124.83 (d, J = 10.0 Hz), 122.86, 108.75 (d, J = 22.8 Hz), 103.01 (d, J = 29.3 Hz), 81.60, 63.74, 31.90, 27.93; 19F NMR (CDCl3, 376 MHz): δ −113.83, −113.93; IR (neat) ν: 3408, 3004, 2978, 1703, 1492, 1396, 1155, 859 cm⁻¹; HRMS (ESI) m/z calcd. for C20H21FNO3 [M+H]+: 364.1319, found: 364.1318.

Figure 1. Crystallographic Data of the Product 4f

The X-ray diffraction data were collected on Bruker SMART-1000 CCD diffractometer. Crystal data for 4f C18H19NO4: Mr = 313.34, colorless, T = 113(2) K, size = 0.14 x 0.12 x 0.06 mm³, Monoclinic, space group P2(1)/c, λ = 0.71073 Å, a = 14.325(5) Å, b = 7.607(2) Å, c = 16.273(6) Å, α = 90°, β = 106.477(7)°, γ = 90°, V = 1700.4(10) Å³, Z = 4, ρcalcd = 1.224 Mg/m³, μ = 0.087 mm⁻¹, reflections collected/unique = 17109/4041, R(int) = 0.0436, R1 = 0.0497, wR2 = 0.1036 (I > 2σ), GOF = 1.039. CCDC 901326 contains the supplementary crystallographic data. These data can be obtained free of charge from The Cambridge Crystallographic Data Centre via www.ccdc.cam.ac.uk/data_request/cif.
References:

S16 For this substrate, an alternative method was used to introduce the N-Boc group: S. V. Chankeshwara and A. K. Chakraborti, Org. Lett., 2006, 8, 3259.
NMR Spectra of the Substrates and Products

Compound 1a:

\[ N-(2-(3\text{-oxo-3-phenylpropyl})\text{phenyl})\text{benzenesulfonamide} \]
N-(2-(3-oxo-3-phenylpropyl)phenyl)-4-nitrobenzenesulfonamide:
$N$-(2-(3-oxo-3-phenylpropyl)phenyl)methanesulfonamide:

$^1$H-NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
$N$-(2-(3-oxo-3-phenylpropyl)phenyl)acetamide:
Compound 3a:
Compound S10:
Compound 1b:
Compound 1c:
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Compound 1d:

$^{19}$F NMR  376 MHz  CDCl$_3$

$^1$H NMR  400MHz  CDCl$_3$
Compound 1e:
Compound 1f:
Compound 1g:
Compound 1h:

$^{13}$C NMR 75MHz CDCl$_3$

$^1$H NMR 400MHz CDCl$_3$
Compound 1i:

$^{13}$C NMR 100MHz CDCl$_3$

$^1$H NMR 400MHz CDCl$_3$
Compound 1j:
Compound 1k:

\[
\begin{align*}
\text{\textsuperscript{13}C NMR} & \quad 100\text{MHz} \quad \text{CDCl}_3 \\
\end{align*}
\]

\[
\begin{align*}
\text{\textsuperscript{1}H NMR} & \quad 400\text{MHz} \quad \text{CDCl}_3 \\
\end{align*}
\]
Compound II:
Compound 1m:
Compound In:

\[ \text{\textsuperscript{13}C NMR 100MHz CDCl}_3 \]

\[ \text{\textsuperscript{1}H NMR 400MHz CDCl}_3 \]
Compound 6:

13C NMR 100MHz CDCl3

1H NMR 400MHz CDCl3
1-(2-benzoylindolin-1-yl)ethanone:

${^{13}}C$ NMR 100MHz CDCl$_3$

${^1}H$ NMR 400MHz CDCl$_3$
Compound S11:
Compound 2a:

$^{13}$C NMR 100MHz CDCl$_3$

$^1$H NMR 400MHz CDCl$_3$
Compound 2b:

**13C NMR 100MHz CDCl₃**

**1H NMR 400MHz CDCl₃**
Compound 2c:
Compound 2d:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 2e:

$^{1}H$ NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 2f:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 2g:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 2h:

\[ \text{HNMR 400MHz CDCl}_3 \]

\[ \text{C NMR 100MHz CDCl}_3 \]
Compound 2i:
Compound 2j:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 2k:

$^1$H NMR 400Hz CDCl$_3$

$^{13}$C NMR 100Hz CDCl$_3$
Compound 2l:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 2m:
Compound 2n:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 3b:

$\text{HNMR 400MHz CDCl}_3$

$\text{CNMR 100MHz CDCl}_3$
Compound 3c:

\[ \text{\( ^1H \text{ NMR 400MHz CDCl}_3 \)} \]

\[ \text{\( ^{13}C \text{ NMR 100MHz CDCl}_3 \)} \]
Compound 3d:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$CNMR 100MHz CDCl$_3$
Compound 3e:
Compound 3f:
Compound 3g:

**$^{13}$C NMR 100 MHz CDCl$_3$**

**$^1$H NMR 400 MHz CDCl$_3$**
Compound 3h:

13C NMR 100MHz CDCl3

1H NMR 400MHz CDCl3
Compound 3i:

**$^{13}$C NMR 100MHz CDCl$_3$**

**$^1$H NMR 400MHz CDCl$_3$**
Compound 3j:
Compound 3k:

$^{13}$C NMR 100MHz CDCl$_3$

$^1$H NMR 400MHz CDCl$_3$
Compound 3l:
Compound 4a:

^{1}H NMR 400MHz CDCl$_3$

^{13}C NMR 100MHz CDCl$_3$
Compound 4b:

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Compound 4c:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 4d:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 4e:
Compound 4f:
Compound 4g:

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

$\text{^1H NMR\ 400MHz\ CDCl}_3$
Compound 4h:
Compound 4i:

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Compound 4j:

^{13}C NMR 100 MHz CDCl\textsubscript{3}

^{1}H NMR 400 MHz CDCl\textsubscript{3}
Compound 4k:
Compound 7:

$^{13}$C NMR 100MHz CDCl$_3$

$^1$H NMR 400MHz CDCl$_3$
Compound 4I:
Compound S12:

$^1$H NMR 400MHz CDCl$_3$

$^{13}$C NMR 100MHz CDCl$_3$
Compound 5:

$^1$H NMR 400MHz  DMSO-$d_6$

$^{13}$C NMR 100MHz  DMSO-$d_6$
Compound 10:
Compound 12: