Supporting information

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

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List of contents

1. General information S2
2. Oxidant screening S3
3. Substrate synthesis S4
4. General experimental procedure for the synthesis of compound 2 and 3 S9
5. Synthesis of Methaqualone S10
6. Synthesis of Erastin S11
7. Analytical data S13
8. References S48
9. NMR spectra S50
1. General information

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

![Chemical structures](image)

<table>
<thead>
<tr>
<th>Entry</th>
<th>Oxidant</th>
<th>Time (h)</th>
<th>Yield (%)</th>
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<td>9</td>
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<tr>
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<td>45</td>
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<td>Acridine</td>
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<td>67</td>
</tr>
<tr>
<td>5</td>
<td>DDQ</td>
<td>5</td>
<td>trace</td>
</tr>
</tbody>
</table>

\[ \text{Et}_2\text{O, r.t., 5h} \]

\[ \text{NHC (10 \%) NaOAc (1.0 equiv)} \]

\[ \text{Oxidant (1.1 equiv)} \]
3. **Substrate synthesis**

3.1 **General procedure (A)**

Following a procedure described by Mancheno\(^1\). To a solution of 2-aminobenzyl alcohol (10 mmol, 1.0 equiv.uiv.) in anhydrous THF (50 mL) at 0 °C was added Et\(_3\)N (20 mmol, 2.0 equiv.uiv.) and acyl chloride (10 mmol, 1.0 equiv.uiv.), the reaction mixture was stirred at 0 °C for 0.5-5h. Concentrated and the residue was dissolved in DCM (50 mL), pyridinium chlorochromate (15 mmol, 1.5 equiv.) was added and the reaction mixture was stirred at r.t. for 5-10h. After reaction completion, the reaction mixture was filtrated over a celite pad and concentrated. The residue was purified by column chromatography using ethyl acetate: petroleum ether as an eluent to give titled compound as a white solid or colorless oil.

3.2 **Synthesis of compound 1s**

1s-1: To a solution of 2-aminobenzyl alcohol (10 mmol, 1.0 equiv.uiv.) in anhydrous Et\(_2\)O (50 mL) at 0 °C was added Et\(_3\)N (20 mmol, 2.0 equiv.uiv.), followed by dropwise addition of TFAA (11 mmol, 1.1 equiv.uiv.). After the addition was complete, the reaction mixture was stirred at 0 °C for 1h. Water (50 mL) was added to the reaction mixture and extracted with ethyl acetate (100 mL). The organic layer was collected, dried over anhydrous Na\(_2\)SO\(_4\), concentrated and purified by column chromatography to give compound 1s-1 as a white solid.

1s: To a solution of 1s-1 (5 mmol, 1.0 equiv.) in DCM (25 mL) was added pyridinium chlorochromate (7.5 mmol, 1.5 equiv.), the reaction mixture was stirred at r.t. for 3h.
After reaction completion, the reaction mixture was filtrated over a celite pad and concentrated, the residue was purified by column chromatography using ethyl acetate: petroleum ether (1:20) as an eluent to give compound 1s as a white solid.

### 3.3 Synthesis of compound 1v

![Chemical reaction diagram](image)

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

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

### 3.4 Synthesis of compound 1z

![Chemical reaction diagram](image)
1z-1: To a solution of Methyl anthranilate (40 mmol, 1.0 equiv.) in anhydrous DCM (50 mL) was added Et₃N (80 mmol, 2.0 equiv.) and dimethylcarbamoyl chloride (80 mmol, 2.0 equiv.), the reaction mixture was stirred under reflux for 7 days. Filtered and concentrated, the residue was purified by column chromatography to give compound 1z-1 as a white solid.

1z-2: To a solution of 1z-1 (30 mmol, 1.0 equiv.) in anhydrous THF (100 mL) at 0 °C was added LiAlH₄ (30 mmol, 1.0 equiv.), the reaction mixture was stirred at 0 °C for 10h. After reaction completion, quenched with water and extracted with ethyl acetate (100 mL × 3). The combined organic layer was dried over anhydrous Na₂SO₄, concentrated and purified by column chromatography to give compound 1z-2 as a white solid.

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

3.5 Synthesis of compound 1a’

![Synthesis of compound 1a’](image)

Compound 1a’ was synthesized according to literature reported procedure.²

3.6 Synthesis of compound 1o’
10'-1: To a solution of 3-Aminothiophene-2-carboxylic acid methyl ester (20 mmol, 1.0 equiv.) in THF (25 mL) and sat. NaHCO₃ (aq.) (25 mL) was added benzoyl chloride (22 mmol, 1.1 equiv.), the reaction mixture was stirred at r.t. for 2h. Water (100 mL) was added to the reaction mixture and extracted with ethyl acetate (200 mL), the organic layer was dried over anhydrous Na₂SO₄, concentrated and purified by column chromatography to give compound 10'-1 as a white solid.

10'-2: To a solution of 10'-1 (10 mmol, 1.0 equiv.) in anhydrous THF (100 mL) at 0 °C was added LiAlH₄ (10 mmol, 1.0 equiv.), the reaction mixture was stirred at 0 °C for 18h. After reaction completion, quenched with water and extracted with ethyl acetate (100 mL × 3). The combined organic layer was dried over anhydrous Na₂SO₄, concentrated and purified by column chromatography to give compound 10'-2 as a white solid.

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

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

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

**1c’ and 1d’** were synthesized as described above.
4. General procedure for the synthesis of compound 2 and 3

A mixture of 1 (0.2 mmol), cat. C (5 mol %), NaOAC (5 mol %), DQ (0.22 mmol) and 4Å MS (50 mg) in anhydrous Et₂O (2 mL) was stirred at r.t. for 5h. After reaction completion, solvent was removed under reduced pressure and purified by column chromatography to give the product as a white solid or colorless oil.
5. Synthesis of Methaqualone

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

(11): To a solution of compound 9 (1.23 g, 10 mmol) in THF (50 mL) at 0 °C was added Et₃N (1.21 g, 12 mmol), followed by addition of compound 10 (1.71 g, 10 mmol), the reaction mixture was stirred at r.t. for 1h. Water (100 mL) was added and extracted with ethyl acetate (100 mL), the organic layer was dried over anhydrous Na₂SO₄, concentrated and purified by column chromatography to give compound 11 as a white solid. 2.5 g, 97 % yield.

(13a): A mixture of compound 11 (4.0 g, 15.6 mmol), compound 12 (4.1 g, 16.1 mmol) and Et₃N (3.2 g, 31.6 mmol) in THF (50 mL) was stirred under reflux for 7h. After reaction completion, solvent was removed under reduced pressure and purified by column chromatography to give the product (13a) as a white solid. 5.5 g, 82 % yield.

(13): To a suspension of compound 13a (4.32 g, 10 mmol) in DCM (50 mL) was added PCC (2.58 g, 12 mmol), the reaction mixture was stirred at r.t. for 2h. After reaction completion, solvent was removed under reduced pressure and purified by
column chromatography to give compound **13** as a white solid. 3.8 g, 88% yield.

![Reaction Scheme](image)

**14**: A mixture of compound **13** (2.0 g, 4.7 mmol), cat. C (88 mg, 5 mmol %), NaOAc (19 mg, 5 mmol %), DQ (2.1 g, 5.1 mmol) and 4Å MS (1.0 g) in Et₂O : DCM (5: 1, v/v, 20 mL) was stirred at r.t. for 20 h. After reaction completion, solvent was removed under reduced pressure and purified by column chromatography to give the product as a white solid. 1.9 g, 96% yield.

![Reaction Scheme](image)

**Erastin**: To a solution of compound **14** (1.5 g, 3.5 mmol) in AcOH (10 mL) was added 2-ethoxyaniline (529 mg, 3.9 mmol), the reaction mixture was stirred at 80 °C for 12 h. After reaction completion, water (100 mL) was added and extracted with DCM (50 mL × 3), the combined organic layers was dried over anhydrous Na₂SO₄, concentrated and purified by column chromatography to give the product as a white solid. 1.0 g, 53% yield.
7. Analytical data

(1a): Following general procedure (A). The titled compound was isolated in 96% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.07 (s, 1H), 9.97 (s, 1H), 8.95 (d, $J = 8.4$ Hz, 1H), 8.12 – 8.02 (m, 2H), 7.75 – 7.62 (m, 2H), 7.61 – 7.48 (m, 3H), 7.25 (td, $J = 7.5, 1.1$ Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.9, 166.2, 141.3, 136.4, 136.3, 134.4, 132.3, 129.0, 127.6, 123.1, 122.1, 120.0.

(1b): Following general procedure (A). The titled compound was isolated in 90% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.00 (s, 1H), 9.97 (s, 1H), 8.93 (d, $J = 8.5$ Hz, 1H), 8.03 (d, $J = 8.9$ Hz, 2H), 7.84 – 7.53 (m, 2H), 7.40 – 7.15 (m, 1H), 7.01 (d, $J = 8.9$ Hz, 2H), 3.87 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 196.0, 165.8, 162.9, 141.6, 136.5, 136.3, 129.6, 126.7, 122.8, 122.0, 119.9, 114.2, 55.6.

(1c): Following general procedure (A). The titled compound was isolated in 90% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.08 (s, 1H), 9.99 (s, 1H), 8.92 (d, $J = 8.4$ Hz, 1H), 8.07 – 7.85 (m, 2H), 7.78 – 7.57 (m, 4H), 7.35 – 7.23 (m, 1H).
$^{13}$C NMR (101 MHz, CDCl$_3$) δ 196.1, 165.2, 141.2, 136.6, 136.3, 133.3, 132.3, 129.2, 127.3, 123.4, 122.1, 120.1.

(1d): Following general procedure (A). The titled compound was isolated in 88% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.37 (s, 1H), 9.97 (s, 1H), 9.05 (d, $J = 8.5$ Hz, 1H), 8.20 (dd, $J = 7.9$, 1.8 Hz, 1H), 7.69 (d, $J = 7.6$ Hz, 1H), 7.63 (t, $J = 7.9$ Hz, 1H), 7.50 (t, $J = 7.8$ Hz, 1H), 7.29 – 7.20 (m, 1H), 7.09 (t, $J = 7.5$ Hz, 1H), 7.04 (d, $J = 8.3$ Hz, 1H), 4.14 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 194.4, 165.2, 157.7, 140.6, 136.3, 135.9, 133.6, 132.6, 123.1, 122.3, 121.4, 121.1, 111.4, 55.6.

(1e): Following general procedure (A). The titled compound was isolated in 76% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.45 (s, 1H), 9.92 (s, 1H), 8.90 (d, $J = 8.4$ Hz, 1H), 7.94 (dd, $J = 8.0$, 1.1 Hz, 1H), 7.78 – 7.63 (m, 2H), 7.55 (dd, $J = 7.7$, 1.6 Hz, 1H), 7.45 (td, $J = 7.5$, 1.2 Hz, 1H), 7.29 (td, $J = 7.5$, 1.1 Hz, 1H), 7.16 (td, $J = 7.7$, 1.7 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.6, 168.1, 141.5, 140.6, 140.6, 136.4, 136.2, 131.8, 128.5, 128.3, 123.7, 122.1, 120.2, 92.8.
(1f): Following general procedure (A). The titled compound was isolated in 91% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.09 (s, 1H), 9.99 (s, 1H), 8.91 (d, $J = 8.4$ Hz, 1H), 7.84 (dt, $J = 7.8$, 1.2 Hz, 1H), 7.77 (dt, $J = 9.4$, 2.4 Hz, 1H), 7.73 (dd, $J = 7.6$, 1.7 Hz, 1H), 7.71 – 7.65 (m, 1H), 7.51 (td, $J = 8.0$, 5.6 Hz, 1H), 7.34 – 7.21 (m, 2H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 196.06, 164.84 (d, $J = 2.7$ Hz), 163.06 (d, $J = 247.8$ Hz), 141.03, 136.74 (d, $J = 6.8$ Hz), 136.53, 136.31, 130.65 (d, $J = 7.9$ Hz), 123.45, 122.90 (d, $J = 3.0$ Hz), 122.12, 120.09, 119.36 (d, $J = 21.3$ Hz), 115.10 (d, $J = 23.1$ Hz). $^{19}$F NMR (376 MHz, CDCl$_3$) δ -111.3.

(1g): Following general procedure (A). The titled compound was isolated in 86% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.87 (s, 1H), 9.95 (s, 1H), 8.78 (d, $J = 8.4$ Hz, 1H), 7.73 (dd, $J = 7.6$, 1.6 Hz, 1H), 7.71 – 7.61 (m, 2H), 7.58 – 7.46 (m, 2H), 7.29 (td, $J = 7.5$, 1.0 Hz, 1H), 7.24 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 196.1, 163.7, 150.0, 140.4, 136.5, 136.2, 134.6, 131.8, 130.7, 129.4, 125.5, 124.0, 122.1, 120.3, 17.6.
(1h): Following general procedure (A). The titled compound was isolated in 81\% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.73 (s, 1H), 9.93 (s, 1H), 8.82 (d, $J$ = 8.4 Hz, 1H), 7.75 (dd, $J$ = 7.7, 1.7 Hz, 1H), 7.70 (td, $J$ = 8.7, 1.7 Hz, 1H), 7.36 (td, $J$ = 7.5, 1.0 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.7, 156.3, 139.7, 136.5, 136.3, 124.6, 122.1, 120.5. $^{19}$F NMR (376 MHz, CDCl$_3$) δ -140.0 — -140.2 (m), -149.66 (tt, $J$ = 20.6, 3.4 Hz), -159.3 — -159.9 (m).

(1i): Following general procedure (A). The titled compound was isolated in 93\% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.77 (s, 1H), 9.94 (s, 1H), 9.06 (d, $J$ = 8.8 Hz, 1H), 8.54 (d, $J$ = 8.5 Hz, 1H), 8.01 (d, $J$ = 8.3 Hz, 1H), 7.93 — 7.86 (m, 2H), 7.76 — 7.69 (m, 2H), 7.63 — 7.52 (m, 3H), 7.30 (td, $J$ = 7.5, 1.0 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.7, 168.5, 141.2, 136.4, 136.3, 134.0, 133.9, 131.8, 130.5, 128.5, 127.5, 126.7, 125.9, 125.6, 125.0, 123.4, 122.1, 120.1.

(1j): Following general procedure (A). The titled compound was isolated in 18\% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.14 (s, 1H), 9.97 (s, 1H), 9.29 (s, 1H), 8.90 (t, $J$ = 7.4 Hz, 1H), 8.85 — 8.76 (m, 1H), 8.38 — 8.27 (m, 1H), 7.82 — 7.61 (m, 2H), 7.52 — 7.40 (m, 1H), 7.35 — 7.27 (m, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 196.1, 152.9, 149.2, 140.9, 136.6, 136.6, 136.3, 135.1, 130.0, 123.7, 122.1, 120.2, 120.1.
(Ik): Following general procedure (A). The titled compound was isolated in 86% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.02 (s, 1H), 9.94 (s, 1H), 8.81 (d, $J$ = 8.4 Hz, 1H), 7.98 – 7.74 (m, 1H), 7.73 – 7.55 (m, 3H), 7.28 – 7.11 (m, 2H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.9, 160.7, 141.0, 139.8, 136.3, 136.2, 131.8, 128.9, 128.1, 123.0, 121.6, 119.7.

(Ii): Following general procedure (A). The titled compound was isolated in 80% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.06 (s, 1H), 9.99 (s, 1H), 8.87 (d, $J$ = 8.4 Hz, 1H), 7.78 – 7.58 (m, 3H), 7.37 – 7.17 (m, 2H), 6.57 (dt, $J$ = 3.8, 1.9 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.6, 157.1, 147.9, 145.3, 140.6, 136.2, 136.2, 123.2, 122.0, 120.1, 115.8, 112.5.

(Im): Following general procedure (A). The titled compound was isolated in 73% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.22 (s, 1H), 10.02 (s, 1H), 9.56 (s, 1H), 8.92 (d, $J$ = 8.4 Hz, 1H), 7.75 (td, $J$ = 7.4, 1.3 Hz, 2H), 7.71 – 7.65 (m,
1H), 7.50 – 7.44 (m, 1H), 7.39 – 7.24 (m, 3H), 7.22 – 7.14 (m, 1H). \( ^{13} \text{C NMR} \) (101 MHz, CDCl\(_3\)) δ 196.0, 160.7, 141.2, 137.0, 136.5, 136.4, 131.0, 128.0, 125.3, 123.2, 122.7, 121.8, 121.0, 119.9, 112.1, 104.6.

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\text{[Chemical Structure Image]}
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**1n**: Following general procedure (A). The titled compound was isolated in 65% yield as a white solid. \(^1\text{H NMR} \) (400 MHz, Chloroform-d) δ 11.10 (s, 1H), 9.90 (s, 1H), 8.71 (d, \( J = 8.0 \) Hz, 1H), 7.83 – 7.49 (m, 2H), 7.20 (td, \( J = 7.7, 2.6 \) Hz, 1H), 2.24 (s, 3H). \(^{13} \text{C NMR} \) (101 MHz, CDCl\(_3\)) δ 195.6, 169.7, 141.1, 136.3, 136.1, 122.9, 121.6, 119.9, 25.5.

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\text{[Chemical Structure Image]}
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**1o**: Following general procedure (A). The titled compound was isolated in 93% yield as a colorless oil. \(^1\text{H NMR} \) (400 MHz, CDCl\(_3\)) δ 11.11 (s, 1H), 9.91 (s, 1H), 8.76 (d, \( J = 8.4 \) Hz, 1H), 7.66 (dd, \( J = 7.6, 1.7 \) Hz, 1H), 7.59 (td, \( J = 8.7, 8.1, 1.7 \) Hz, 1H), 7.20 (td, \( J = 7.5, 1.1 \) Hz, 1H), 2.31 (d, \( J = 6.8 \) Hz, 2H), 2.29 – 2.14 (m, 1H), 1.01 (d, \( J = 6.5 \) Hz, 6H). \(^{13} \text{C NMR} \) (101 MHz, CDCl\(_3\)) δ 195.7, 172.4, 141.1, 136.3, 136.2, 122.9, 121.6, 119.9, 47.9, 26.3, 22.6.

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\text{[Chemical Structure Image]}
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S18
(1p): Following general procedure (A). The titled compound was isolated in 21% yield as a colorless oil. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 11.38 (s, 1H), 9.92 (s, 1H), 8.80 (d, $J = 8.5$ Hz, 1H), 7.67 (dd, $J = 7.7$, 1.6 Hz, 1H), 7.61 (td, $J = 7.9$, 7.3, 1.5 Hz, 1H), 7.22 (td, $J = 7.5$, 1.0 Hz, 1H), 2.38 (d, $J = 7.2$ Hz, 2H), 1.21 – 1.02 (m, 1H), 0.80 – 0.67 (m, 2H), 0.40 – 0.21 (m, 2H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 195.5, 172.5, 141.0, 136.2, 136.1, 123.0, 122.0, 120.1, 43.7, 7.1, 5.1.

![1q](image)

(1q): Following general procedure (A). The titled compound was isolated in 63% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 11.09 (s, 1H), 9.82 (s, 1H), 8.74 (d, $J = 8.4$ Hz, 1H), 7.65 – 7.52 (m, 2H), 7.36 – 7.28 (m, 2H), 7.19 (td, $J = 7.5$, 1.1 Hz, 1H), 6.98 – 6.89 (m, 2H), 3.81 (s, 3H), 3.71 (s, 2H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 195.3, 171.2, 159.1, 140.9, 136.1, 136.0, 130.8, 126.1, 123.0, 121.9, 119.9, 114.5, 55.4, 45.0.

![1r](image)

(1r): Following general procedure (A). The titled compound was isolated in 86% yield as a colorless oil. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 11.90 (s, 1H), 9.92 (s, 1H), 8.70 (d, $J = 8.4$ Hz, 1H), 7.69 (dd, $J = 7.6$, 1.7 Hz, 1H), 7.61 (td, $J = 8.7$, 8.1, 1.7 Hz, 1H), 7.27 (td, $J = 7.5$, 1.0 Hz, 1H), 4.18 (s, 2H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 195.2, 165.9, 139.6, 136.1, 136.0, 123.9, 122.4, 120.0, 43.2.
(1s): white solid. 79% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.18 (s, 1H), 9.97 (s, 1H), 8.66 (d, $J = 8.3$ Hz, 1H), 7.78 (dd, $J = 7.6$, 1.7 Hz, 1H), 7.73 – 7.66 (m, 1H), 7.40 (td, $J = 7.6$, 1.1 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.7, 155.9 (q, $J = 37.9$ Hz), 138.2, 136.5, 136.2, 125.4, 122.7, 120.5, 115.6 (q, $J = 288.6$ Hz).

(1t): Following general procedure (A). The titled compound was isolated in 59% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.18 (s, 1H), 9.89 (s, 1H), 8.79 (d, $J = 8.4$ Hz, 1H), 7.64 (dd, $J = 7.7$, 1.6 Hz, 1H), 7.58 (td, $J = 8.9$, 8.2, 1.7 Hz, 1H), 7.18 (td, $J = 7.4$, 1.0 Hz, 1H), 6.98 (dq, $J = 15.3$, 6.8 Hz, 1H), 6.02 (dd, $J = 15.2$, 1.7 Hz, 1H), 1.92 (dd, $J = 6.9$, 1.7 Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.6, 165.0, 142.0, 141.3, 136.2, 136.1, 126.4, 122.8, 121.7, 120.0, 18.0.

(1u): Following general procedure (A). The titled compound was isolated in 90% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.40 (s, 1H), 9.94 (s, 1H), 8.88 (d, $J = 8.4$ Hz, 1H), 7.76 (d, $J = 15.6$ Hz, 1H), 7.71 – 7.54 (m, 4H), 7.46 – 7.34 (m, 3H), 7.23 (td, $J = 7.5$, 1.1 Hz, 1H), 6.62 (d, $J = 15.6$ Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.7, 165.0, 142.9, 141.3, 136.3, 136.2, 134.6, 130.2, 129.0, 128.2, 123.0,
(1v): White solid. 92% yield. \( ^1H \text{NMR} \) (400 MHz, CDCl\(_3\)) \( \delta \) 11.45 (s, 1H), 9.91 (s, 1H), 8.62 (d, \( J = 8.3 \) Hz, 1H), 7.69 (dd, \( J = 7.6, 1.7 \) Hz, 1H), 7.66 – 7.56 (m, 1H), 7.27 (t, \( J = 7.5 \) Hz, 1H), 3.02 (s, 1H). \( ^{13}C \text{NMR} \) (101 MHz, CDCl\(_3\)) \( \delta \) 195.4, 150.4, 139.8, 136.3, 136.1, 124.0, 121.7, 120.6, 77.7, 74.5.

(1w): Following general procedure (A). The titled compound was isolated in 83% yield as a white solid. \( ^1H \text{NMR} \) (400 MHz, CDCl\(_3\)) \( \delta \) 12.52 (s, 1H), 9.97 (s, 1H), 8.74 (d, \( J = 8.4 \) Hz, 1H), 7.74 (dd, \( J = 7.6, 1.7 \) Hz, 1H), 7.65 (t, \( J = 7.8 \) Hz, 1H), 7.32 (t, \( J = 7.5 \) Hz, 1H), 4.44 (q, \( J = 7.1 \) Hz, 2H), 1.44 (t, \( J = 7.1 \) Hz, 3H). \( ^{13}C \text{NMR} \) (101 MHz, CDCl\(_3\)) \( \delta \) 195.1, 160.1, 155.3, 138.8, 136.2, 136.1, 124.6, 122.7, 120.3, 120.3, 63.8, 14.1.

(1x): Following general procedure (A). The titled compound was isolated in 88% yield as a white solid. \( ^1H \text{NMR} \) (400 MHz, CDCl\(_3\)) \( \delta \) 10.69 (s, 1H), 9.88 (s, 1H), 8.49 (d, \( J = 8.5 \) Hz, 1H), 7.67 – 7.54 (m, 2H), 7.50 – 7.30 (m, 5H), 7.16 (td, \( J = 7.5, 1.2 \) Hz,
1H), 5.24 (s, 2H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.1, 153.5, 141.2, 136.1, 136.0, 136.0, 128.6, 128.4, 128.3, 122.1, 121.4, 118.4, 67.1.

(1y): Following general procedure (A). The titled compound was isolated in 34% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 10.59 (s, 1H), 9.87 (s, 1H), 8.42 (d, $J = 8.5$ Hz, 1H), 7.61 (dd, $J = 7.7$, 1.6 Hz, 1H), 7.59 – 7.52 (m, 1H), 7.14 (t, $J = 7.5$ Hz, 1H), 3.77 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.1, 154.1, 141.3, 136.1, 122.0, 121.4, 118.3, 52.5.

(1z): White solid. 80% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 10.77 (s, 1H), 9.86 (s, 1H), 8.61 (d, $J = 8.6$ Hz, 1H), 7.57 (dd, $J = 7.7$, 1.6 Hz, 1H), 7.55 – 7.49 (m, 1H), 7.05 (td, $J = 7.5$, 1.0 Hz, 1H), 3.07 (s, 6H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.7, 155.4, 143.2, 136.2, 136.0, 121.0, 120.9, 118.7, 36.4.

(1a'): White solid. 83% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.06 (s, 1H), 9.96 (s, 1H), 8.75 (d, $J = 8.4$ Hz, 1H), 8.55 (d, $J = 1.7$ Hz, 1H), 7.73 (dd, $J = 7.7$, 1.6 Hz, 1H), 7.69 – 7.59 (m, 1H), 7.37 – 7.25 (m, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.6,
160.0, 139.8, 136.3, 136.1, 123.8, 121.9, 120.9.

(1b'): Following general procedure (B). The titled compound was isolated in 79% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 11.53 (s, 1H), 9.91 (s, 1H), 8.75 (d, $J = 8.4$ Hz, 1H), 7.67 (dd, $J = 7.6$, 1.7 Hz, 1H), 7.63 – 7.56 (m, 1H), 7.23 (t, $J = 7.5$ Hz, 1H), 5.17 (d, $J = 8.3$ Hz, 1H), 4.33 – 4.13 (m, 1H), 2.52 – 2.15 (m, 1H), 1.46 (s, 9H), 1.03 (d, $J = 6.8$ Hz, 3H), 0.94 (d, $J = 6.9$ Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 195.4, 171.7, 155.9, 140.4, 136.2, 136.1, 123.3, 122.1, 120.0, 80.2, 61.1, 31.1, 28.4, 19.5, 17.5.

(1c'): Following general procedure (B). The titled compound was isolated in 73% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 11.65 (s, 1H), 9.92 (s, 1H), 8.73 (d, $J = 8.3$ Hz, 1H), 7.68 (dd, $J = 7.7$, 1.7 Hz, 1H), 7.65 – 7.58 (m, 1H), 7.25 (td, $J = 7.5$, 1.0 Hz, 1H), 5.42 (d, $J = 7.8$ Hz, 1H), 4.65 – 4.33 (m, 1H), 2.62 (t, $J = 7.4$ Hz, 2H), 2.42 – 2.21 (m, 1H), 2.13 (s, 3H), 2.09 – 1.95 (m, 1H), 1.48 (s, 9H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 195.3, 171.6, 155.5, 140.3, 136.2, 136.0, 123.4, 122.2, 120.0, 80.5, 55.4, 32.1, 30.4, 28.4, 15.5.
(1d'): Following general procedure (B). The titled compound was isolated in 68% yield as a white solid. $^1$H NMR (400 MHz, Acetone-$d_6$) $\delta$ 11.67 (s, 1H), 10.09 (s, 1H), 9.95 (s, 1H), 8.81 (d, $J = 8.4$ Hz, 1H), 7.85 (d, $J = 7.6$ Hz, 1H), 7.77 – 7.62 (m, 2H), 7.39 (d, $J = 8.0$ Hz, 1H), 7.36 – 7.24 (m, 2H), 7.10 (t, $J = 7.5$ Hz, 1H), 7.02 (t, $J = 7.4$ Hz, 1H), 6.37 (d, $J = 7.2$ Hz, 1H), 4.68 – 4.44 (m, 1H), 3.51 (dd, $J = 14.8, 4.8$ Hz, 1H), 3.40 – 3.23 (m, 1H), 1.40 (s, 9H). $^{13}$C NMR (101 MHz, Acetone-$d_6$) $\delta$ 196.2, 173.0, 156.5, 141.2, 137.6, 136.9, 136.4, 128.5, 124.4, 123.9, 123.3, 122.2, 120.2, 119.6, 119.2, 112.2, 111.4, 79.7, 58.0, 28.5, 28.3.

(1e'): Following general procedure (A). The titled compound was isolated in 91% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 11.98 (s, 1H), 9.94 (s, 1H), 8.84 (d, $J = 8.3$ Hz, 1H), 8.11 – 7.95 (m, 2H), 7.66 – 7.42 (m, 5H), 2.40 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 196.0, 166.1, 139.0, 137.2, 136.4, 134.6, 132.8, 132.2, 129.0, 127.6, 122.1, 120.1, 20.6.

(1f'): Following general procedure (A). The titled compound was isolated in 85%
yield as a white solid. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 11.99 (s, 1H), 9.93 (s, 1H), 8.98 (dd, $J = 9.0$, 4.7 Hz, 1H), 8.14 – 7.92 (m, 2H), 7.64 – 7.46 (m, 3H), 7.47 – 7.31 (m, 2H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 194.6 (d, $J = 2.1$ Hz), 166.1, 157.9 (d, $J = 245.2$ Hz), 137.8 (d, $J = 2.5$ Hz), 134.2, 132.4, 129.0, 127.6, 123.5 (d, $J = 21.9$ Hz), 122.9 (d, $J = 5.3$ Hz), 122.2 (d, $J = 6.8$ Hz), 121.3 (d, $J = 22.5$ Hz). $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ -118.6.

!(1g'): Following general procedure (A). The titled compound was isolated in 89% yield as a white solid. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 11.94 (s, 1H), 9.91 (s, 1H), 8.89 (d, $J = 9.0$ Hz, 1H), 7.98 (d, $J = 8.5$ Hz, 2H), 7.81 (d, $J = 2.4$ Hz, 1H), 7.73 (dd, $J = 9.0$, 2.4 Hz, 1H), 7.55 (d, $J = 8.5$ Hz, 2H), 1.36 (s, 9H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 194.7, 166.1, 156.2, 140.5, 139.1, 138.2, 131.2, 127.5, 126.0, 123.4, 122.0, 115.1, 35.2, 31.3.

!(1h'): Following general procedure (A). The titled compound was isolated in 88% yield as a white solid. $^{1}$H NMR (400 MHz, CDCl$_3$) $\delta$ 12.37 (s, 1H), 9.81 (s, 1H), 8.59 (d, $J = 2.4$ Hz, 1H), 8.18 – 7.97 (m, 2H), 7.71 – 7.44 (m, 4H), 6.74 (dd, $J = 8.6$, 2.4 Hz, 1H), 3.94 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 193.9, 166.5, 166.2, 143.9, 138.1, 134.4, 132.4, 129.0, 127.6, 116.2, 110.6, 103.9, 56.0.
(1i'): Following general procedure (A). The titled compound was isolated in 80% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.31 (s, 1H), 9.82 (s, 1H), 8.71 (s, 1H), 8.12 – 8.00 (m, 2H), 7.63 – 7.46 (m, 3H), 7.09 (s, 1H), 4.05 (s, 3H), 3.93 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 193.7, 166.3, 155.8, 144.8, 138.1, 134.4, 132.3, 129.0, 127.6, 116.7, 115.1, 103.3, 56.6, 56.4.

(1j'): Following general procedure (A). The titled compound was isolated in 93% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.48 (s, 1H), 10.64 (s, 1H), 8.91 (d, $J = 8.6$ Hz, 1H), 8.17 – 7.97 (m, 2H), 7.72 – 7.44 (m, 4H), 7.18 (dd, $J = 8.0$, 1.1 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 194.8, 166.3, 143.6, 140.4, 137.1, 134.3, 132.5, 129.1, 127.7, 124.8, 119.2, 117.9.

(1k'): Following general procedure (A). The titled compound was isolated in 90% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.91 (s, 1H), 10.57 (s, 1H), 8.86 (d, $J = 8.6$ Hz, 1H), 7.71 – 7.62 (m, 1H), 7.61 – 7.51 (m, 2H), 7.45 – 7.38 (m, 1H), 7.34 (td, $J = 7.7$, 1.8 Hz, 1H), 7.21 (d, $J = 7.9$ Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 194.3, 166.9, 142.7, 140.3, 137.8, 137.0, 134.0, 131.9, 129.1, 127.8, 125.3,
Following general procedure (A). The titled compound was isolated in 81% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 10.19 (s, 1H), 9.97 (s, 1H), 8.12 – 7.94 (m, 2H), 7.68 – 7.44 (m, 5H), 7.34 (t, $J = 7.6$ Hz, 1H), 2.34 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 194.4, 165.8, 137.6, 137.5, 135.6, 134.1, 132.5, 132.2, 128.9, 128.3, 127.8, 125.8, 19.4.

Following general procedure (A). The titled compound was isolated in 76% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.86 (s, 1H), 10.07 (s, 1H), 9.31 (s, 1H), 8.18 (s, 1H), 8.13 – 8.04 (m, 2H), 7.86 (dd, $J = 8.3$, 4.3 Hz, 2H), 7.68 – 7.49 (m, 4H), 7.49 – 7.39 (m, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 195.8, 166.0, 140.4, 137.3, 135.8, 134.6, 132.1, 130.5, 129.2, 129.0, 128.8, 128.2, 127.5, 126.0, 123.1, 117.4.

Following general procedure (A). The titled compound was isolated in 71% yield as a white solid. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.64 (s, 1H), 10.01 (s, 1H),
8.76 (dd, $J = 4.9$, 2.0 Hz, 1H), 8.23 – 7.99 (m, 3H), 7.68 – 7.47 (m, 3H), 7.35 – 7.18 (m, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 193.7, 164.7, 154.7, 152.3, 143.8, 134.2, 132.6, 129.0, 127.8, 119.0, 117.7.

(1o'): White solid. 43% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 11.61 (s, 1H), 9.77 (d, $J = 0.8$ Hz, 1H), 8.34 (dd, $J = 5.3$, 0.8 Hz, 1H), 8.11 – 7.97 (m, 2H), 7.75 (d, $J = 5.3$ Hz, 1H), 7.66 – 7.47 (m, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 184.6, 164.8, 145.3, 136.6, 133.2, 132.7, 129.1, 127.7, 123.2, 121.5.
(2a): White solid. 44 mg, 99% yield. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.28 (d, $J$ = 7.5 Hz, 2H), 8.21 (d, $J$ = 7.7 Hz, 1H), 7.80 (t, $J$ = 7.5 Hz, 1H), 7.66 (d, $J$ = 8.1 Hz, 1H), 7.62 – 7.43 (m, 4H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 159.6, 157.2, 147.0, 136.6, 132.7, 130.3, 128.8, 128.6, 128.4, 128.3, 127.3, 117.1. HRMS (ESI): $m/z$: calculated for C$_{14}$H$_{10}$NO$_2$: [M + H]$^+$ 224.0712, found: 224.0717.

(2b): White solid. 50 mg, 98% yield. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.22 (d, $J$ = 8.5 Hz, 2H), 8.18 (d, $J$ = 7.8 Hz, 1H), 7.77 (t, $J$ = 7.5 Hz, 1H), 7.61 (d, $J$ = 8.1 Hz, 1H), 7.44 (t, $J$ = 7.6 Hz, 1H), 6.96 (d, $J$ = 8.5 Hz, 2H), 3.86 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 163.3, 159.8, 157.1, 147.4, 136.5, 130.3, 128.6, 127.7, 127.0, 122.6, 116.8, 114.2, 55.6. HRMS (ESI): $m/z$: calculated for C$_{15}$H$_{12}$NO$_3$: [M + H]$^+$ 254.0817, found: 254.0816.

(2c): White solid. 60 mg, 99% yield. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.22 (d, $J$ = 7.7 Hz, 1H), 8.15 (d, $J$ = 8.3 Hz, 2H), 7.82 (t, $J$ = 7.3 Hz, 1H), 7.66 (d, $J$ = 8.1 Hz, 1H), 7.63 (d, $J$ = 8.3 Hz, 2H), 7.52 (t, $J$ = 7.6 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 159.3, 156.4, 146.9, 136.8, 132.2, 129.8, 129.3, 128.8, 128.6, 127.8, 127.4, 117.1. HRMS (ESI): $m/z$: calculated for C$_{14}$H$_9$BrNO$_2$: [M + H]$^+$ 301.9817, found: 301.9821.
(2d): White solid. 44 mg, 87% yield. **¹H NMR** (400 MHz, CDCl₃) δ 8.24 (dd, J = 7.9, 1.6 Hz, 1H), 7.85 (dd, J = 7.7, 1.8 Hz, 1H), 7.84 – 7.78 (m, 1H), 7.69 (dd, J = 8.2, 1.2 Hz, 1H), 7.58 – 7.43 (m, 2H), 7.13 – 6.98 (m, 2H), 3.92 (s, 3H). **¹³C NMR** (101 MHz, CDCl₃) δ 159.9, 158.7, 157.8, 147.1, 136.5, 133.3, 131.4, 128.5, 128.5, 127.3, 120.7, 120.6, 117.0, 112.2, 56.2. **HRMS** (ESI): m/z: calculated for C₁₅H₁₂NO₃: [M + H]⁺ 254.0817, found: 254.0818.

(2e): White solid. 69 mg, 99% yield. **¹H NMR** (400 MHz, CDCl₃) δ 8.27 (d, J = 7.9 Hz, 1H), 8.04 (d, J = 8.0 Hz, 1H), 7.94 – 7.81 (m, 2H), 7.74 (d, J = 8.0 Hz, 1H), 7.58 (t, J = 7.6 Hz, 1H), 7.48 (t, J = 7.6 Hz, 1H), 7.19 (td, J = 7.7, 1.7 Hz, 1H). **¹³C NMR** (101 MHz, CDCl₃) δ 159.4, 157.9, 146.3, 141.3, 136.8, 135.6, 132.4, 131.0, 129.1, 128.7, 128.3, 127.5, 117.1, 94.7. **HRMS** (ESI): m/z: calculated for C₁₄H₉INO₂: [M + H]⁺ 349.9678, found: 349.9680.

(2f): White solid. 46 mg, 95% yield. **¹H NMR** (400 MHz, CDCl₃) δ 8.24 (d, J = 7.8 Hz, 1H), 8.09 (d, J = 7.8 Hz, 1H), 8.00 (d, J = 9.6 Hz, 1H), 7.91 – 7.79 (m, 1H), 7.69
(d, J = 8.0 Hz, 1H), 7.60 – 7.42 (m, 2H), 7.27 (td, J = 7.7, 3.3 Hz, 1H). **13C NMR** (101 MHz, CDCl3) δ 163.0 (d, J = 246.9 Hz), 159.3, 156.0, 146.8, 136.8, 132.6 (d, J = 8.4 Hz), 130.5 (d, J = 8.0 Hz), 128.8, 128.8, 127.5, 124.1 (d, J = 3.0 Hz), 119.8 (d, J = 21.3 Hz), 117.2, 115.4 (d, J = 24.0 Hz). **19F NMR** (376 MHz, CDCl3) δ -111.8. **HRMS (ESI): m/z**: calculated for C14H9FNO2: [M + H]⁺ 242.0617, found: 242.0618.

(2g): White solid. 47 mg, 83% yield. **1H NMR** (400 MHz, CDCl3) δ 8.21 (dd, J = 7.8, 1.6 Hz, 1H), 8.04 (dd, J = 6.2, 3.1 Hz, 1H), 7.82 (td, J = 7.7, 1.6 Hz, 1H), 7.62 (d, J = 8.0 Hz, 1H), 7.59 – 7.48 (m, 3H), 2.39 (s, 3H). **13C NMR** (101 MHz, CDCl3) δ 158.6, 153.0, 150.0, 146.0, 136.9, 135.2, 131.2, 130.2, 129.3, 128.7, 128.0, 127.8, 122.6, 117.1, 17.5. **HRMS (ESI): m/z**: calculated for C15H11N2O4: [M + H]⁺ 283.0719, found: 283.0723.

(2h): White solid. 58 mg, 93% yield. **1H NMR** (400 MHz, CDCl3) δ 8.29 (dd, J = 7.9, 1.5 Hz, 1H), 7.91 (td, J = 8.1, 1.5 Hz, 1H), 7.73 (d, J = 8.1 Hz, 1H), 7.65 (td, J = 7.6, 1.2 Hz, 1H). **13C NMR** (101 MHz, CDCl3) δ 158.3, 145.9, 137.1, 130.2, 129.0, 127.8, 117.4. **19F NMR** (376 MHz, CDCl3) δ -134.7 – -141.3 (m), -145.4 – -155.5 (m), -157.1 – -169.2 (m). **HRMS (ESI): m/z**: calculated for C14H5F5NO2: [M + H]⁺ 314.0240, found: 314.0244.
(2i): White solid. 43 mg, 79% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 9.15 (d, $J = 8.7$ Hz, 1H), 8.39 – 8.22 (m, 2H), 8.04 (d, $J = 8.2$ Hz, 1H), 7.92 (d, $J = 8.1$ Hz, 1H), 7.86 (td, $J = 7.7$, 1.5 Hz, 1H), 7.79 (d, $J = 7.9$ Hz, 1H), 7.71 – 7.62 (m, 1H), 7.61 – 7.53 (m, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 159.8, 157.7, 146.9, 136.7, 134.2, 133.3, 130.8, 130.1, 128.9, 128.7, 128.6, 128.0, 127.5, 127.0, 126.5, 125.9, 124.9, 117.1. HRMS (ESI): $m/z$: calculated for C$_{18}$H$_{12}$NO$_2$: [M + H]$^+$ 274.0868, found: 274.0871.

(2j): White solid. 41 mg, 92% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 9.49 (s, 1H), 8.78 (d, $J = 4.4$ Hz, 1H), 8.53 (dt, $J = 8.1$, 2.0 Hz, 1H), 8.24 (dd, $J = 7.9$, 1.6 Hz, 1H), 7.90 – 7.81 (m, 1H), 7.70 (d, $J = 8.1$ Hz, 1H), 7.59 – 7.51 (m, 1H), 7.45 (dd, $J = 8.0$, 4.8 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 159.0, 155.5, 153.1, 149.8, 146.6, 136.9, 135.6, 128.9, 128.8, 127.5, 126.5, 123.6, 117.3. HRMS (ESI): $m/z$: calculated for C$_{13}$H$_9$N$_2$O$_2$: [M + H]$^+$ 225.0664, found: 225.0665.

(2k): White solid. 45 mg, 99% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 8.20 (dd, $J = 7.9$, 1.6 Hz, 1H), 7.95 (dd, $J = 3.7$, 1.3 Hz, 1H), 7.79 (td, $J = 7.9$, 1.6 Hz, 1H), 7.65 – 7.56 (m, 2H), 7.53 – 7.42 (m, 1H), 7.21 – 7.12 (m, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ...
159.2, 153.8, 147.2, 136.7, 134.3, 132.5, 131.9, 128.8, 128.4, 128.1, 127.0, 116.8.

HRMS (ESI): $m/z$: calculated for $\text{C}_{12}\text{H}_8\text{NO}_2\text{S}$: $[\text{M} + \text{H}]^+$ 230.0276, found: 230.0277.

(2l): White solid. 38 mg, 89% yield. $^1\text{H NMR}$ (400 MHz, CDCl$_3$) $\delta$ 8.21 (dd, $J = 7.8$, 1.5 Hz, 1H), 7.87 – 7.77 (m, 1H), 7.75 – 7.66 (m, 2H), 7.50 (td, $J = 7.6$, 1.2 Hz, 1H), 7.36 (dd, $J = 3.5$, 0.8 Hz, 1H), 6.62 (dd, $J = 3.6$, 1.7 Hz, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl$_3$) $\delta$ 158.7, 149.9, 147.2, 146.8, 144.6, 136.9, 128.9, 128.4, 127.3, 117.3, 117.1, 112.7. HRMS (ESI): $m/z$: calculated for $\text{C}_{12}\text{H}_8\text{NO}_3$: [M + H]$^+$ 214.0504, found: 214.0508.

(2m): White solid. 45 mg, 86% yield. $^1\text{H NMR}$ (400 MHz, CDCl$_3$) $\delta$ 9.18 (s, 1H), 8.23 (dd, $J = 7.9$, 1.5 Hz, 1H), 7.90 – 7.76 (m, 1H), 7.75 – 7.67 (m, 1H), 7.61 (dd, $J = 8.1$, 1.1 Hz, 1H), 7.53 – 7.40 (m, 3H), 7.38 – 7.30 (m, 1H), 7.22 – 7.10 (m, 1H). $^{13}\text{C NMR}$ (101 MHz, CDCl$_3$) $\delta$ 159.1, 152.4, 147.0, 137.8, 136.8, 129.0, 128.2, 128.1, 127.7, 126.7, 125.8, 122.7, 121.2, 117.0, 111.8, 108.8. HRMS (ESI): $m/z$: calculated for $\text{C}_{16}\text{H}_{11}\text{N}_2\text{O}_2$: [M + H]$^+$ 263.0821, found: 263.0826.

(2n): White solid. 24 mg, 75% yield. $^1\text{H NMR}$ (400 MHz, CDCl$_3$) $\delta$ 8.18 (dd, $J = 7.8$,
1.5 Hz, 1H), 7.84－7.73 (m, 1H), 7.58－7.43 (m, 2H), 2.46 (s, 3H). **^{13}C NMR** (101 MHz, CDCl₃) δ 160.3, 159.8, 146.6, 136.7, 128.6, 128.3, 126.5, 116.8, 21.5. **HRMS** (ESI): m/z: calculated for C₉H₈NO₂: [M + H]^+ 162.0555, found: 162.0553.

(2o): Colorless oil. 37 mg, 91% yield. **^{1}H NMR** (400 MHz, CDCl₃) δ 8.17 (dd, J = 7.9, 1.5 Hz, 1H), 7.82－7.73 (m, 1H), 7.55 (dd, J = 7.8, 1.0 Hz, 1H), 7.48 (td, J = 7.6, 1.1 Hz, 1H), 2.54 (d, J = 7.3 Hz, 2H), 2.41－2.15 (m, 1H), 1.02 (d, J = 6.7 Hz, 6H). **^{13}C NMR** (101 MHz, CDCl₃) δ 162.6, 160.0, 146.5, 136.5, 128.5, 128.2, 126.7, 116.9, 43.8, 26.8, 22.5. **HRMS** (ESI): m/z: calculated for C₁₂H₁₄NO₂: [M + H]^+ 204.1025, found: 204.1024.

(2p): Colorless oil. 29 mg, 72% yield. **^{1}H NMR** (400 MHz, CDCl₃) δ 8.18 (dd, J = 7.9, 1.5 Hz, 1H), 7.84－7.73 (m, 1H), 7.61－7.53 (m, 1H), 7.49 (td, J = 7.6, 1.2 Hz, 1H), 2.56 (d, J = 7.2 Hz, 2H), 1.43－1.13 (m, 1H), 0.68－0.53 (m, 2H), 0.39－0.23 (m, 2H). **^{13}C NMR** (101 MHz, CDCl₃) δ 162.9, 160.0, 146.6, 136.5, 128.5, 128.2, 126.7, 117.1, 39.8, 8.2, 4.7. **HRMS** (ESI): m/z: calculated for C₁₂H₁₂NO₂: [M + H]^+ 202.0868, found: 202.0865.

(2q): White solid. 45 mg, 84% yield. **^{1}H NMR** (400 MHz, CDCl₃) δ 8.15 (d, J = 7.9
7.77 (t, \( J = 7.7 \) Hz, 1H), 7.57 (d, \( J = 8.1 \) Hz, 1H), 7.47 (t, \( J = 7.6 \) Hz, 1H), 7.33 (d, \( J = 8.3 \) Hz, 2H), 6.87 (d, \( J = 8.7 \) Hz, 2H), 3.91 (s, 2H), 3.78 (s, 3H).\(^{13}\)C NMR (101 MHz, CDCl\(_3\)) \( \delta 161.6, 159.7, 159.1, 146.5, 136.5, 130.4, 128.5, 128.4, 126.8, 126.3, 116.9, 114.3, 55.4, 40.8 \). HRMS (ESI): \( m/z \) calculated for C\(_{16}\)H\(_{14}\)NO\(_3\): [M + H]\(^{+}\) 268.0974, found: 268.0975.

(2r): Colorless oil. 38 mg, 95% yield. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \( \delta 8.20 \) (dd, \( J = 7.8, 1.5 \) Hz, 1H), 7.83 (td, \( J = 7.7, 1.5 \) Hz, 1H), 7.63 (d, \( J = 8.0 \) Hz, 1H), 7.57 (t, \( J = 7.6 \) Hz, 1H), 4.41 (s, 2H). \(^{13}\)C NMR (101 MHz, CDCl\(_3\)) \( \delta 158.7, 157.0, 145.8, 136.9, 129.5, 128.8, 127.4, 117.1, 41.9 \). HRMS (ESI): \( m/z \) calculated for C\(_9\)H\(_7\)ClNO\(_2\): [M + H]\(^{+}\) 196.0165, found: 196.0163.

(2s): White solid. 25 mg, 58% yield. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \( \delta 8.26 \) (d, \( J = 7.8 \) Hz, 1H), 7.93 (t, \( J = 7.6 \) Hz, 1H), 7.77 (d, \( J = 8.0 \) Hz, 1H), 7.70 (t, \( J = 7.6 \) Hz, 1H). \(^{13}\)C NMR (101 MHz, CDCl\(_3\)) \( \delta 156.6, 147.5 \) (q, \( J = 42.2 \) Hz), 144.1, 137.5, 131.1, 129.3, 128.4, 117.9, 116.2 (q, \( J = 276.0 \) Hz). \(^{19}\)F NMR (376 MHz, CDCl\(_3\)) \( \delta -72.6 \). HRMS (ESI): \( m/z \) calculated for C\(_9\)H\(_5\)F\(_3\)NO\(_2\): [M + H]\(^{+}\) 216.0272, found: 216.0273.

(2t): White solid. 34 mg, 91% yield. \(^1\)H NMR (400 MHz, CDCl\(_3\)) \( \delta 8.15 \) (d, \( J = 7.8 \) Hz, 1H), 7.94 (t, \( J = 7.6 \) Hz, 1H).
Hz, 1H), 7.79 – 7.70 (m, 1H), 7.53 (d, J = 8.1 Hz, 1H), 7.44 (t, J = 7.6 Hz, 1H), 7.11 (dq, J = 14.2, 6.9 Hz, 1H), 6.15 (d, J = 15.5 Hz, 1H), 1.98 (d, J = 6.5 Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 159.5, 156.9, 147.2, 142.3, 136.5, 128.6, 128.0, 126.9, 123.4, 117.1, 18.7. HRMS (ESI): m/z: calculated for C$_{11}$H$_{10}$NO$_2$: [M + H]$^+$ 188.0712, found: 188.0710.

(2u): White solid. 47 mg, 94% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 8.17 (dd, J = 7.8, 1.6 Hz, 1H), 7.85 – 7.71 (m, 2H), 7.61 – 7.51 (m, 3H), 7.45 (t, J = 7.6 Hz, 1H), 7.41 – 7.32 (m, 3H), 6.75 (d, J = 16.1 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 159.3, 157.4, 147.2, 142.0, 136.6, 134.7, 130.4, 129.1, 128.7, 128.2, 128.1, 127.0, 118.9, 117.0. HRMS (ESI): m/z: calculated for C$_{16}$H$_{12}$NO$_2$: [M + H]$^+$ 250.0868, found: 250.0869.

(2v): White solid. 30 mg, 88% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 8.20 (d, J = 7.8 Hz, 1H), 7.83 (td, J = 7.8, 1.6 Hz, 1H), 7.63 (d, J = 8.0 Hz, 1H), 7.60 – 7.53 (m, 1H), 3.27 (s, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 158.2, 145.9, 142.5, 136.9, 129.8, 128.9, 127.5, 118.2, 79.3, 75.1. HRMS (ESI): m/z: calculated for C$_{10}$H$_{6}$NO$_2$: [M + H]$^+$ 172.0399, found: 172.0396.

(2w): White solid. 36 mg, 82% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 8.25 (d, J = 7.8 Hz, 1H), 7.79 – 7.70 (m, 1H), 7.53 (d, J = 8.1 Hz, 1H), 7.44 (t, J = 7.6 Hz, 1H), 7.11 (dq, J = 14.2, 6.9 Hz, 1H), 6.15 (d, J = 15.5 Hz, 1H), 1.98 (d, J = 6.5 Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 159.5, 156.9, 147.2, 142.3, 136.5, 128.6, 128.0, 126.9, 123.4, 117.1, 18.7. HRMS (ESI): m/z: calculated for C$_{11}$H$_{10}$NO$_2$: [M + H]$^+$ 188.0712, found: 188.0710.
(2x): White solid. 43 mg, 85% yield. \( ^1H \) NMR (400 MHz, CDCl\(_3\)) \( \delta \) 8.12 (dd, \( J = 8.0, 1.6 \text{ Hz, 1H} \)), 7.73 (t, \( J = 7.7 \text{ Hz, 1H} \)), 7.58 – 7.30 (m, 7H), 5.49 (s, 2H). \( ^{13}C \) NMR (101 MHz, CDCl\(_3\)) \( \delta \) 159.5, 155.5, 148.3, 136.9, 129.1, 126.1, 125.5, 114.5, 56.7. HRMS (ESI): \( m/z \): calculated for C\(_{15}\)H\(_{12}\)NO\(_3\): \([M + H]^+\) 254.0819, found: 254.0819.

(2y): White solid. 28 mg, 79% yield. \( ^1H \) NMR (400 MHz, CDCl\(_3\)) \( \delta \) 8.10 (dd, \( J = 7.9, 1.6 \text{ Hz, 1H} \)), 7.72 (dd, \( J = 8.6, 7.3, 1.6 \text{ Hz, 1H} \)), 7.42 (d, \( J = 8.1 \text{ Hz, 1H} \)), 7.39 – 7.30 (m, 1H), 4.07 (s, 3H). \( ^{13}C \) NMR (101 MHz, CDCl\(_3\)) \( \delta \) 159.5, 155.5, 148.3, 136.9, 129.1, 126.1, 125.5, 114.5, 56.7. HRMS (ESI): \( m/z \): calculated for C\(_9\)H\(_8\)NO\(_3\): \([M + H]^+\) 178.0503, found: 178.0503.

(2z): White solid. 37 mg, 97% yield. \( ^1H \) NMR (400 MHz, CDCl\(_3\)) \( \delta \) 7.98 (d, \( J = 7.9 \text{ Hz, 1H} \)), 7.58 (t, \( J = 7.7 \text{ Hz, 1H} \)), 7.22 (d, \( J = 8.3 \text{ Hz, 1H} \)), 7.10 (t, \( J = 7.5 \text{ Hz, 1H} \)), 3.16 (s, 6H). \( ^{13}C \) NMR (101 MHz, CDCl\(_3\)) \( \delta \) 160.2, 154.5, 151.2, 136.7, 128.8, 124.2,
123.1, 112.1, 37.0. **HRMS** (ESI): \[m/z\]: calculated for \(\text{C}_{10}\text{H}_{11}\text{N}_{2}\text{O}_{2}\): \([\text{M} + \text{H}]^+\) 191.0821, found: 191.0825.

(2a‘): White solid. 26 mg, 88% yield. **\(^1\text{H NMR}\)** (400 MHz, CDCl\(_3\)) \(\delta\) 8.21 (dd, \(J = 7.9, 1.5\) Hz, 1H), 7.91 – 7.74 (m, 2H), 7.62 (dd, \(J = 8.0, 1.1\) Hz, 1H), 7.56 (td, \(J = 7.7, 1.2\) Hz, 1H). **\(^{13}\text{C NMR}\)** (101 MHz, CDCl\(_3\)) \(\delta\) 158.5, 149.7, 145.6, 136.7, 129.3, 128.7, 127.2, 118.9. **HRMS** (ESI): \[m/z\]: calculated for \(\text{C}_{8}\text{H}_{6}\text{NO}_{2}\): \([\text{M} + \text{H}]^+\) 148.0399, found: 148.0402.

(2b‘): White solid. 52 mg, 82% yield. **\(^1\text{H NMR}\)** (400 MHz, Acetone-\(d_6\)) \(\delta\) 8.14 (dd, \(J = 8.0, 1.6\) Hz, 1H), 7.92 (td, \(J = 7.7, 1.6\) Hz, 1H), 7.68 – 7.57 (m, 2H), 6.43 (d, \(J = 8.8\) Hz, 1H), 4.42 (t, \(J = 7.8\) Hz, 1H), 2.48 – 2.18 (m, 1H), 1.41 (s, 9H), 1.14 – 0.91 (m, 6H). **\(^{13}\text{C NMR}\)** (101 MHz, Acetone-\(d_6\)) \(\delta\) 162.9, 159.5, 156.5, 146.9, 137.5, 129.4, 128.9, 127.6, 118.0, 79.4, 60.1, 32.1, 28.5, 19.7, 18.4. **HRMS** (ESI): \[m/z\]: calculated for \(\text{C}_{17}\text{H}_{23}\text{N}_{2}\text{O}_{4}\): \([\text{M} + \text{H}]^+\) 319.1658, found: 319.1660.

(2c‘): White solid. 61 mg, 87% yield. **\(^1\text{H NMR}\)** (400 MHz, Acetone-\(d_6\)) \(\delta\) 8.13 (dd, \(J = 8.1, 1.6\) Hz, 1H), 7.96 – 7.86 (m, 1H), 7.66 – 7.56 (m, 2H), 6.60 (d, \(J = 8.2\) Hz, 1H), 3.82 (s, 3H), 3.11 – 2.83 (m, 1H), 1.68 – 1.41 (m, 3H), 1.31 (s, 9H), 1.11 – 0.86 (m, 6H). **HRMS** (ESI): \[m/z\]: calculated for \(\text{C}_{13}\text{H}_{24}\text{N}_{2}\text{O}_{4}\): \([\text{M} + \text{H}]^+\) 307.1512, found: 307.1516.
4.89 – 4.63 (m, 1H), 2.79 – 2.60 (m, 2H), 2.39 – 2.24 (m, 1H), 2.23 – 2.14 (m, 1H), 2.10 (s, 3H), 1.41 (s, 9H). **13C NMR** (101 MHz, Acetone-$d_6$) δ 163.0, 159.6, 156.4, 147.0, 137.4, 129.3, 128.9, 127.6, 118.1, 79.5, 53.7, 32.9, 30.7, 28.5, 15.2. **HRMS** (ESI): $m/z$: calculated for C$_{17}$H$_{23}$N$_2$O$_4$S: [M + H]$^+$ 351.1379, found: 351.1380.

(2d'): White solid. 73 mg, 90% yield. **$^1$H NMR** (400 MHz, Acetone-$d_6$) δ 10.05 (s, 1H), 8.13 (d, $J$ = 7.8 Hz, 1H), 7.87 (t, $J$ = 7.7 Hz, 1H), 7.66 – 7.51 (m, 3H), 7.36 (d, $J$ = 8.1 Hz, 1H), 7.26 (s, 1H), 7.08 (t, $J$ = 7.5 Hz, 1H), 6.99 (t, $J$ = 7.4 Hz, 1H), 6.37 (d, $J$ = 8.0 Hz, 1H), 5.10 – 4.69 (m, 1H), 3.80 – 3.28 (m, 2H), 1.39 (s, 9H). **13C NMR** (101 MHz, Acetone-$d_6$) δ 163.0, 159.6, 156.1, 146.9, 137.5, 137.4, 129.3, 128.9, 128.6, 127.6, 124.6, 122.2, 119.6, 119.0, 117.9, 112.2, 110.7, 79.5, 55.5, 29.2, 28.5. **HRMS** (ESI): $m/z$: calculated for C$_{23}$H$_{24}$N$_3$O$_4$: [M + H]$^+$ 406.1767, found: 406.1768.

(3a): White solid. 46 mg, 97% yield. **$^1$H NMR** (400 MHz, CDCl$_3$) δ 8.33 – 8.23 (m, 2H), 8.06 – 7.98 (m, 1H), 7.68 – 7.44 (m, 5H), 2.47 (s, 3H). **13C NMR** (101 MHz, CDCl$_3$) δ 159.8, 156.5, 144.9, 138.8, 137.9, 132.5, 130.5, 128.8, 128.3, 127.1, 116.8, 21.4. **HRMS** (ESI): $m/z$: calculated for C$_{15}$H$_{12}$NO$_2$: [M + H]$^+$ 238.0868, found: 238.0869.
(3b): White solid. 45 mg, 93% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 8.24 (d, $J = 7.3$ Hz, 2H), 7.83 (dd, $J = 7.8$, 3.0 Hz, 1H), 7.66 (dd, $J = 8.9$, 4.8 Hz, 1H), 7.60 – 7.42 (m, 4H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 161.4 (d, $J = 250.8$ Hz), 158.8 (d, $J = 3.4$ Hz), 156.6 (d, $J = 2.3$ Hz), 143.6 (d, $J = 2.4$ Hz), 132.8, 130.0, 129.6 (d, $J = 8.1$ Hz), 128.8, 128.3, 124.8 (d, $J = 23.6$ Hz), 118.3 (d, $J = 8.9$ Hz), 114.0 (d, $J = 24.1$ Hz). $^{19}$F NMR (376 MHz, CDCl$_3$) δ -110.0. HRMS (ESI): $m/z$: calculated for C$_{14}$H$_9$FNO$_2$: [M + H]$^+$ 242.0619, found: 242.0617.

(3c): White solid. 61 mg, 85% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 8.32 (d, $J = 2.3$ Hz, 1H), 8.18 (d, $J = 8.6$ Hz, 2H), 7.86 (dd, $J = 8.6$, 2.3 Hz, 1H), 7.58 – 7.48 (m, 3H), 1.37 (s, 9H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 158.5, 157.7, 156.9, 146.2, 139.7, 131.1, 128.9, 128.4, 127.1, 125.9, 121.2, 118.4, 35.3, 31.2. HRMS (ESI): $m/z$: calculated for C$_{18}$H$_{17}$BrNO$_2$: [M + H]$^+$ 358.0446, found: 358.0443.

(3d): White solid. 45 mg, 89% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 8.26 (d, $J = 7.6$ Hz, 2H), 8.09 (d, $J = 8.8$ Hz, 1H), 7.61 – 7.41 (m, 3H), 7.10 – 6.95 (m, 2H), 3.91 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 166.3, 159.2, 158.0, 149.5, 132.7, 130.4, 130.3, 128.8, 128.4, 117.4, 109.9, 109.0, 55.9. HRMS (ESI): $m/z$: calculated for C$_{15}$H$_{12}$NO$_3$:
(3e): White solid. 53 mg, 94% yield. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.18 (d, $J = 7.3$ Hz, 2H), 7.64 – 7.35 (m, 4H), 7.00 (s, 1H), 3.96 (s, 3H), 3.93 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 159.4, 156.5, 156.4, 149.7, 143.2, 132.2, 130.4, 128.7, 128.0, 109.6, 108.1, 107.5, 56.5, 56.4. HRMS (ESI): $m/z$: calculated for C$_{16}$H$_{14}$NO$_4$: [M + H]$^+$ 284.0923, found: 284.0927.

(3f): White solid. 49 mg, 95% yield. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.25 (d, $J = 7.4$ Hz, 2H), 7.65 (t, $J = 8.0$ Hz, 1H), 7.60 – 7.52 (m, 2H), 7.52 – 7.43 (m, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 157.8, 156.1, 149.4, 136.0, 136.0, 133.0, 130.7, 129.8, 128.8, 128.5, 126.3, 114.8. HRMS (ESI): $m/z$: calculated for C$_{14}$H$_9$ClNO$_2$: [M + H]$^+$ 258.0322, found: 258.0323.

(3g): White solid. 66 mg, 98% yield. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.85 (dd, $J = 7.7$, 1.8 Hz, 1H), 7.71 (t, $J = 8.0$ Hz, 2H), 7.61 (d, $J = 17.0$ Hz, 1H), 7.59 (d, $J = 17.0$ Hz, 1H), 7.45 (t, $J = 7.5$ Hz, 1H), 7.38 (td, $J = 7.7$, 1.8 Hz, 1H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 157.9, 155.9, 148.8, 136.2, 136.2, 134.5, 132.7, 131.9, 131.6, 131.5, 127.6,
126.6, 121.9, 114.9. **HRMS (ESI)**: \(m/z\) calculated for \(\text{C}_{14}\text{H}_{8}\text{BrClNO}_2\): [M + H]\(^+\) 335.9427, found: 335.9430.

(3h): White solid. 43 mg, 91% yield. \(^1\text{H NMR}\) (400 MHz, CDCl\(_3\)) \(\delta\) 8.30 (d, \(J = 7.4\) Hz, 2H), 8.05 (d, \(J = 7.8\) Hz, 1H), 7.64 (d, \(J = 7.3\) Hz, 1H), 7.58 – 7.45 (m, 3H), 7.36 (t, \(J = 7.6\) Hz, 1H), 2.63 (s, 3H). \(^{13}\text{C NMR}\) (101 MHz, CDCl\(_3\)) \(\delta\) 160.1, 155.9, 145.3, 137.4, 136.3, 132.5, 130.7, 128.8, 128.3, 127.8, 126.2, 117.0, 17.2. **HRMS (ESI)**: \(m/z\) calculated for \(\text{C}_{15}\text{H}_{12}\text{NO}_2\): [M + H]\(^+\) 238.0868, found: 238.0870.

(3i): White solid. 48 mg, 88% yield. \(^1\text{H NMR}\) (400 MHz, CDCl\(_3\)) \(\delta\) 8.85 (s, 1H), 8.33 (dd, \(J = 8.1\), 1.6 Hz, 2H), 8.13 (s, 1H), 8.02 (d, \(J = 8.3\) Hz, 1H), 7.97 (d, \(J = 8.3\) Hz, 1H), 7.73 – 7.62 (m, 1H), 7.61 – 7.47 (m, 4H). \(^{13}\text{C NMR}\) (101 MHz, CDCl\(_3\)) \(\delta\) 160.1, 155.4, 141.4, 137.7, 132.6, 132.3, 131.2, 130.5, 129.8, 129.7, 128.9, 128.4, 128.4, 127.2, 125.4, 115.8. **HRMS (ESI)**: \(m/z\) calculated for \(\text{C}_{18}\text{H}_{12}\text{NO}_2\): [M + H]\(^+\) 274.0868, found: 274.0869.

(3j): White solid. 32 mg, 71% yield. \(^1\text{H NMR}\) (400 MHz, CDCl\(_3\)) \(\delta\) 9.01 (dd, \(J = 4.6\), 2.0 Hz, 1H), 8.56 (dd, \(J = 7.8\), 2.0 Hz, 1H), 8.41 (d, \(J = 7.6\) Hz, 2H), 7.71 – 7.58 (m, 1H), 7.57 – 7.44 (m, 3H). \(^{13}\text{C NMR}\) (101 MHz, CDCl\(_3\)) \(\delta\) 160.7, 159.5, 157.9, 157.7,
138.0, 133.7, 129.5, 129.1, 129.0, 123.7, 112.9. **HRMS (ESI):** \textit{m/z}: calculated for C\textsubscript{13}H\textsubscript{9}N\textsubscript{2}O\textsubscript{2}: [M + H]\textsuperscript{+} 225.0664, found: 225.0666.

![Chemical Structure](image)

(3k): White solid. 42 mg, 92\% yield. \textbf{\textsuperscript{1}H NMR} (400 MHz, CDCl\textsubscript{3}) \textit{δ} 8.26 (d, \textit{J} = 7.5 Hz, 2H), 7.90 (d, \textit{J} = 5.2 Hz, 1H), 7.62 – 7.52 (m, 1H), 7.52 – 7.45 (m, 2H), 7.33 (d, \textit{J} = 5.1 Hz, 1H). \textbf{\textsuperscript{13}C NMR} (101 MHz, CDCl\textsubscript{3}) \textit{δ} 160.6, 157.1, 155.4, 137.2, 132.9, 130.0, 128.9, 128.4, 125.3, 116.7. **HRMS (ESI):** \textit{m/z}: calculated for C\textsubscript{12}H\textsubscript{8}NO\textsubscript{2}S: [M + H]\textsuperscript{+} 230.0276, found: 230.0278.
Methaqualone: colorless oil, 290 mg, 93% yield. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 8.26 (d, $J = 7.9$ Hz, 1H), 7.80 – 7.70 (m, 1H), 7.69 – 7.61 (m, 1H), 7.52 – 7.39 (m, 1H), 7.39 – 7.30 (m, 3H), 7.14 (d, $J = 7.3$ Hz, 1H), 2.15 (s, 3H), 2.10 (s, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 161.6, 154.3, 147.6, 136.8, 135.3, 134.5, 131.5, 129.5, 127.9, 127.6, 127.1, 126.8, 126.5, 120.7, 23.9, 17.4.

(8): White solid, 52 mg, 81% yield. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.74 (td, $J = 8.2$, 5.4 Hz, 1H), 7.38 (d, $J = 8.1$ Hz, 1H), 7.22 – 7.12 (m, 1H), 5.26 (d, $J = 8.4$ Hz, 1H), 4.55 (d, $J = 7.1$ Hz, 1H), 2.12 – 1.92 (m, 1H), 1.89 – 1.71 (m, 1H), 1.42 (s, 9H), 0.97 (t, $J = 7.4$ Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 163.1 (d, $J = 14.6$ Hz), 160.5, 155.3, 154.7 (d, $J = 5.0$ Hz), 147.6, 137.5 (d, $J = 10.5$ Hz), 122.9 (d, $J = 4.1$ Hz), 115.6 (d, $J = 20.3$ Hz), 106.4 (d, $J = 7.6$ Hz), 80.2, 54.5, 28.4, 26.7, 9.8. $^{19}$F NMR (376 MHz, CDCl$_3$) $\delta$ -106.3.
(13a): White solid, 5.5 g, 97% yield. $^1$H NMR (400 MHz, DMSO-$d_6$) δ 10.36 (s, 1H), 8.08 (d, $J = 8.0$ Hz, 1H), 7.46 – 7.19 (m, 4H), 7.13 – 6.99 (m, 1H), 7.00 – 6.86 (m, 2H), 5.56 (t, $J = 4.9$ Hz, 1H), 4.86 (s, 2H), 4.55 (d, $J = 5.0$ Hz, 2H), 3.57 (q, $J = 5.4$ Hz, 4H), 3.37 (d, $J = 7.0$ Hz, 1H), 2.81 – 2.52 (m, 4H), 1.19 (d, $J = 7.0$ Hz, 3H). $^{13}$C NMR (101 MHz, DMSO-$d_6$) δ 171.3, 165.4, 156.9, 137.2, 130.9, 129.1, 128.4, 127.8, 124.5, 123.3, 120.9, 116.4, 66.0, 63.4, 62.0, 49.4, 49.0, 44.3, 41.4, 10.7.

(13): White solid, 3.8 g, 88% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 12.34 (s, 1H), 9.94 (s, 1H), 8.83 (d, $J = 8.4$ Hz, 1H), 7.70 (dd, $J = 7.7$, 1.7 Hz, 1H), 7.67 – 7.55 (m, 1H), 7.32 – 7.20 (m, 3H), 6.97 – 6.85 (m, 2H), 4.70 (s, 2H), 4.01 – 3.60 (m, 4H), 3.33 (q, $J = 7.0$ Hz, 1H), 2.78 – 2.42 (m, 4H), 1.31 (d, $J = 7.0$ Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 194.8, 173.6, 166.0, 156.6, 140.2, 136.2, 136.1, 129.6, 126.7, 123.1, 122.4, 119.9, 116.1, 67.9, 65.0, 50.1, 49.6, 45.3, 42.1, 11.0.

(14): White solid, 1.9 g, 96 % yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 8.20 (d, $J = 7.8$ Hz, 1H), 7.85 (d, $J = 8.0$ Hz, 1H), 7.46 – 7.20 (m, 3H), 7.14 – 7.00 (m, 2H), 5.55 (t, $J = 4.9$ Hz, 1H), 4.83 (s, 2H), 4.55 (d, $J = 5.0$ Hz, 2H), 3.55 (q, $J = 5.4$ Hz, 4H), 3.33 (d, $J = 7.0$ Hz, 1H), 2.78 – 2.42 (m, 4H), 1.30 (d, $J = 7.0$ Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 194.8, 173.6, 166.0, 156.6, 140.2, 136.2, 136.1, 129.6, 126.7, 123.1, 122.4, 119.9, 116.1, 67.9, 65.0, 50.1, 49.6, 45.3, 42.1, 11.0.
Hz, 1H), 7.82 (t, J = 7.7 Hz, 1H), 7.64 – 7.47 (m, 2H), 7.17 (d, J = 8.4 Hz, 2H), 6.84 (d, J = 8.5 Hz, 2H), 4.64 (s, 2H), 3.76 – 3.53 (m, 5H), 2.96 – 2.53 (m, 4H), 1.48 (d, J = 7.0 Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 166.0, 161.5, 159.4, 156.5, 145.8, 136.7, 129.5, 128.8, 128.6, 127.2, 126.6, 117.2, 116.0, 67.9, 62.6, 49.8, 49.2, 45.8, 42.5, 15.1. HRMS (ESI): m/z: calculated for C$_{22}$H$_{23}$ClN$_3$O$_4$: [M + H]$^+$ 428.1377, found: 428.1379.

(Erastin): White solid, 1.0 g, 53% yield. $^1$H NMR (400 MHz, CDCl$_3$) δ 8.27 (d, J = 7.9 Hz, 1H), 7.84 – 7.68 (m, 2H), 7.54 – 7.36 (m, 2H), 7.25 – 7.17 (m, 2H), 7.13 (dd, J = 7.7, 1.7 Hz, 1H), 7.09 – 6.97 (m, 2H), 6.88 – 6.78 (m, 2H), 4.60 (s, 2H), 4.00 (q, J = 7.0 Hz, 2H), 3.57 (q, J = 6.7 Hz, 1H), 3.52 – 3.23 (m, 4H), 2.74 – 2.53 (m, 1H), 2.53 – 2.38 (m, 1H), 2.33 – 2.11 (m, 2H), 1.31 (d, J = 6.7 Hz, 3H), 1.18 (t, J = 6.9 Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) δ 165.9, 161.9, 156.6, 156.5, 154.9, 147.1, 134.3, 130.6, 129.5, 128.7, 127.7, 127.1, 126.9, 126.6, 126.3, 121.2, 120.8, 116.0, 113.1, 67.9, 64.2, 60.3, 48.9, 45.3, 42.1, 14.9, 13.0.
(Cetilistat): White solid, 72 mg, 90% yield. $^1$H NMR (400 MHz, CDCl$_3$) $\delta$ 7.90 (s, 1H), 7.52 (dd, $J = 8.3$, 2.1 Hz, 1H), 7.31 (d, $J = 8.3$ Hz, 1H), 4.42 (t, $J = 6.6$ Hz, 2H), 2.42 (s, 3H), 1.90 – 1.71 (m, 2H), 1.26 (s, 26H), 0.88 (t, $J = 6.7$ Hz, 3H). $^{13}$C NMR (101 MHz, CDCl$_3$) $\delta$ 159.9, 154.6, 146.3, 138.1, 135.9, 128.6, 125.2, 114.3, 70.1, 32.1, 29.8, 29.8, 29.8, 29.7, 29.6, 29.5, 29.3, 28.5, 25.8, 22.8, 21.1, 14.3.
8. References


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<td>M. Yamashita, A. Iida</td>
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9. NMR spectra
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