

# Copper-Catalyzed Amino Radical Tandem Cyclization toward the Synthesis of Indolo-[2,1-a]isoquinolines

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## 1. General information.

Unless otherwise noted, all of these reactions were carried out under an argon atmosphere. For column chromatography, silica gel (300-400 mesh) was employed. Solvent was freshly distilled prior to use unless otherwise noted.

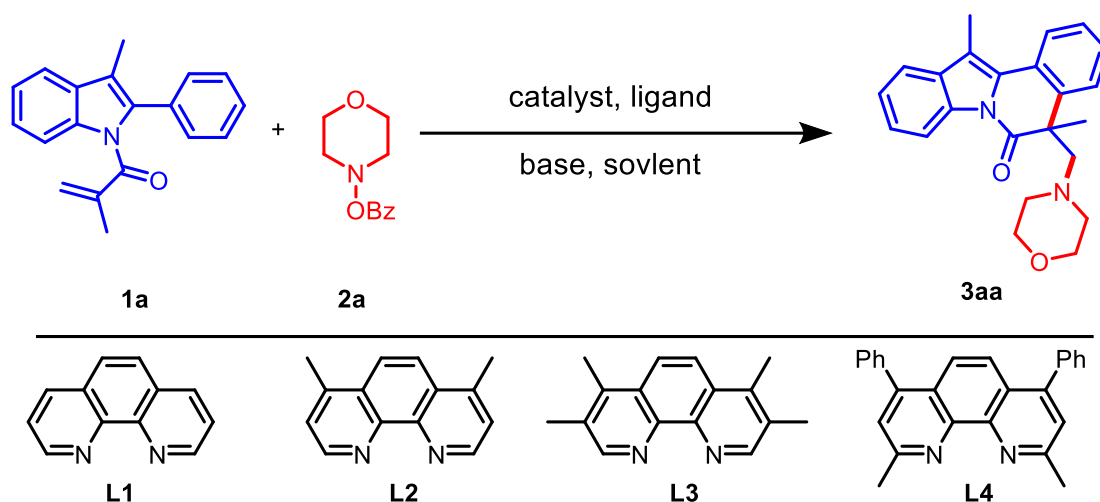
**Instrumentation.** Deuterated solvents were purchased from Cambridge Isotope Laboratories.  $^1\text{H}$  NMR spectra were recorded on Bruker AVANCE III 400, Bruker AVANCE III HD 400 with a 400 MHz frequencies, and  $^{13}\text{C}$  NMR spectra were recorded on Bruker AVANCE III 400, Bruker Bruker AVANCE III HD 400 with 101 MHz frequencies.  $^{19}\text{F}$  NMR spectra were recorded on a Bruker AVANCE III 400 spectrometer with a  $^{19}\text{F}$  operating frequency of 376 MHz. Chemical shifts (ppm) were recorded with TMS (tetramethylsilane) as the internal reference standard. Chemical shifts ( $\delta$ ) were reported in ppm relative to the residual solvent signal (TMS  $\delta = 0$  for  $^1\text{H}$  NMR and  $\text{CDCl}_3$   $\delta = 77.0$  for  $^{13}\text{C}$  NMR). Multiplicities are given as s (singlet), d (doublet), t (triplet), dd (doublet of doublets), q (quartet of doublets) or m (multiplet). Data collection for crystal structure was performed using Mo  $K\alpha$  radiation on a Bruker APEXII diffractometer. HRMS obtained using a Q-TOF instrument equipped with an ESI source.

## 2. Preparation of starting materials.

Compounds **1** and Compounds **2** were prepared according to the known procedures<sup>1</sup>. All other starting materials were commercially available.

## 3. Optimization of the reaction conditions.

Table S1: Optimization of the reaction conditions<sup>a</sup>



| Entry | Catalyst             | Ligand         | Base (x eq)                           | Solvent                         | Temperature | Yield <sup>b</sup> (%) |
|-------|----------------------|----------------|---------------------------------------|---------------------------------|-------------|------------------------|
| 1     | CuSCN                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 95                     |
| 2     | Cu(OAc) <sub>2</sub> | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 61                     |
| 3     | CuCl                 | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 62                     |
| 4     | TcCu                 | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 73                     |
| 5     | CuOAc                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 71                     |
| 6     | CuBr <sub>2</sub>    | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 83                     |
| 7     | CuSCN                | L <sub>2</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 91                     |
| 8     | CuSCN                | L <sub>3</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 93                     |
| 9     | CuSCN                | L <sub>4</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | trace                  |
| 10    | CuSCN                | L <sub>1</sub> | K <sub>3</sub> PO <sub>4</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 75                     |
| 11    | CuSCN                | L <sub>1</sub> | Na <sub>2</sub> CO <sub>3</sub> (1.5) | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 41                     |
| 12    | CuSCN                | L <sub>1</sub> | LiOH (1.5)                            | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C       | 81                     |
| 13    | CuSCN                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | EA                              | 80 °C       | 69                     |
| 14    | CuSCN                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | DMSO                            | 80 °C       | trace                  |
| 15    | CuSCN                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | Acetone                         | 80 °C       | 76                     |
| 16    | CuSCN                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | 1,4-Dioxane                     | 80 °C       | 81                     |
| 17    | CuSCN                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | Chlorobenzene                   | 80 °C       | 83                     |
| 18    | CuSCN                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 40 °C       | 51                     |
| 19    | CuSCN                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 50 °C       | 79                     |
| 20    | CuSCN                | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5)  | CH <sub>2</sub> Cl <sub>2</sub> | 60 °C       | 84                     |

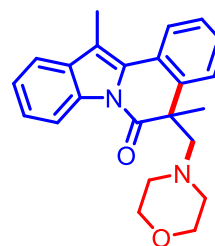
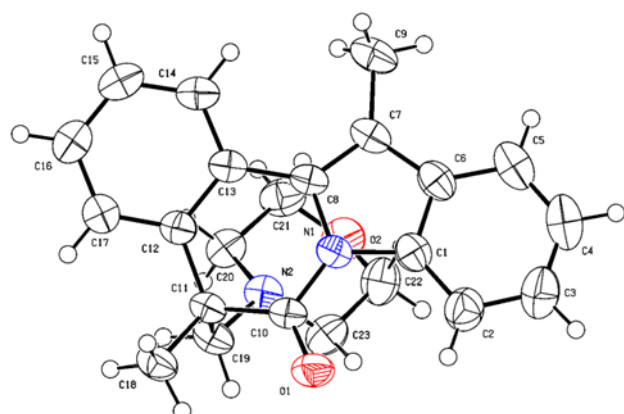
|                 |       |                |                                      |                                 |       |    |
|-----------------|-------|----------------|--------------------------------------|---------------------------------|-------|----|
| 21              | CuSCN | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5) | CH <sub>2</sub> Cl <sub>2</sub> | 70 °C | 87 |
| 22              | CuSCN | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.0) | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C | 88 |
| 23              | CuSCN | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.2) | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C | 90 |
| 24 <sup>c</sup> | CuSCN | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5) | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C | 79 |
| 25 <sup>d</sup> | CuSCN | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5) | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C | 81 |
| 26 <sup>e</sup> | CuSCN | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5) | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C | 82 |
| 27 <sup>f</sup> | CuSCN | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5) | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C | 72 |
| 28 <sup>g</sup> | CuSCN | L <sub>1</sub> | K <sub>2</sub> CO <sub>3</sub> (1.5) | CH <sub>2</sub> Cl <sub>2</sub> | 80 °C | 80 |

<sup>a</sup>**1a** (0.2 mmol), **2a** (0.4 mmol), catalyst (10 mol %), ligand (12 mol %), base (0.3 mmol), CH<sub>2</sub>Cl<sub>2</sub> (3 mL), 80 °C for 12 h and under an argon atmosphere. <sup>b</sup>Isolated yield. <sup>c</sup>For 6 h. <sup>d</sup>For 8 h. <sup>e</sup>For 10 h. <sup>f</sup>**2a** (0.24 mmol). <sup>g</sup>**2a** (0.3 mmol).

#### 4. General procedure for the preparation of the product 3.

A mixture of **1** (0.2 mmol), **2** (0.4 mmol), CuSCN (10 mol %), 1,10-Phen (12 mol %) and K<sub>2</sub>CO<sub>3</sub> (1.5 equiv.) was added to a sealed tube. The tube was evacuated and backfilled with argon (repeated four times). CH<sub>2</sub>Cl<sub>2</sub> (3 ml) was added and the mixture was stirred for 12h in an oil bath at 80 °C. The resulting mixture was cooled down to room temperature. The mixture was evaporated under reduced pressure. The residue was further purified by chromatography on silica gel to afford product **3**. (PE/EA=30/1-4/1)

## 5. Crystallographic data of 3aa.

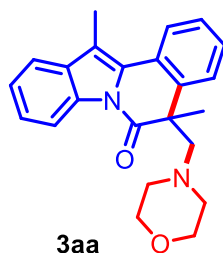


3aa

Thermal ellipsoids are shown at 50% probability.

|   |                                 |                           |
|---|---------------------------------|---------------------------|
| Bond precision:   | C-C = 0.0020 Å                  | Wavelength=1.54184        |
| Cell:   | a=15.2395 (2)                   | b=7.7400 (1) c=17.5038(2) |
|   | alpha=90                        | beta=114.573(1) gamma=90  |
| Temperature:  | 300 K                           |                           |
|   | Calculated                      | Reported                  |
| Volume  | 1877.65(4)                      | 1877.65(4)                |
| Space group   | P 21/n                          | P 1 21/n 1                |
| Hall group  | -P 2yn                          | -P 2yn                    |
| Moiety formula  | C23 H24 N2 O2                   | C23 H24 N2 O2             |
| Sum formula   | C23 H24 N2 O2                   | C23 H24 N2 O2             |
| Mr  | 360.44                          | 360.44                    |
| Dx,g cm-3   | 1.275                           | 1.275                     |
| Z   | 4                               | 4                         |
| Mu (mm-1)   | 0.647                           | 0.647                     |
| F000  | 768.0                           | 768.0                     |
| F000'   | 770.18                          |                           |
| h,k,lmax  | 19, 9, 22                       | 19, 9, 22                 |
| Nref  | 3993                            | 3793                      |
| Tmin,Tmax   | 0.890, 0.925                    | 0.846, 1.000              |
| Tmin'   | 0.890                           |                           |
| Correction method= # Reported T Limits: Tmin=0.846 Tmax=1.000 |                                 |                           |
| AbsCorr = MULTI-SCAN  |                                 |                           |
| Data completeness= 0.936                                      | Theta(max)= 77.351              |                           |
| R(reflections)= 0.0403( 3501)                                 | wR2(reflections)= 0.1113( 3739) |                           |
| S = 1.035   | Npar= 246                       |                           |

## 6. Spectra Data.



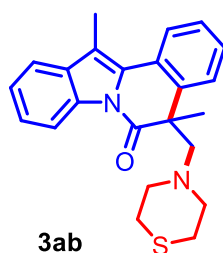
### *5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3aa):*

Purification by flash chromatography (PE/EA = 30:1-20:1) white solid (95%); m.p. 137-138 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.58 – 8.54 (m, 1H), 7.99 – 7.96 (m, 1H), 7.59 – 7.56 (m, 1H), 7.46 – 7.42 (m, 1H), 7.40 – 7.31 (m, 4H), 3.13 – 3.06 (m, 3H), 3.01 – 2.93 (m, 2H), 2.71 (d, *J* = 13.2 Hz, 1H), 2.65 (s, 3H), 2.13 – 2.08 (m, 2H), 1.96 – 1.89 (m, 2H), 1.68 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.7, 138.2, 134.2, 132.4, 130.8, 127.6, 127.5, 127.0, 126.6, 125.5, 124.7, 124.0, 118.2, 116.3, 113.3, 71.6, 67.0, 54.4, 49.9, 22.5, 11.4.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>23</sub>H<sub>25</sub>N<sub>2</sub>O<sub>2</sub>: 361.1911; Found, 361.1909.



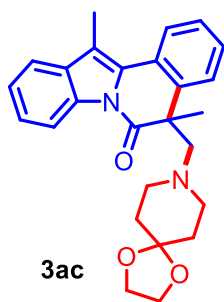
### *5,12-dimethyl-5-(thiomorpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ab):*

Purification by flash chromatography (PE/EA = 20:1-10:1) brown solid (90%); m.p. 75-76 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.58 – 8.54 (m, 1H), 8.01 – 7.97 (m, 1H), 7.61 – 7.57 (m, 1H), 7.44 – 7.38 (m, 2H), 7.37 – 7.33 (m, 3H), 3.08 (d, *J* = 13.2 Hz, 1H), 2.70 (d, *J* = 13.6 Hz, 1H), 2.66 (s, 3H), 2.40 – 2.34 (m, 2H), 2.20 – 2.14 (m, 2H), 2.03 – 1.97 (m, 2H), 1.87 – 1.81 (m, 2H), 1.67 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.6, 138.1, 134.0, 132.3, 130.9, 127.7, 127.5, 127.0, 126.4, 125.5, 124.6, 124.0, 118.3, 116.1, 113.2, 72.8, 56.0, 50.1, 27.9, 21.6, 11.4.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>23</sub>H<sub>25</sub>N<sub>2</sub>OS: 377.1682; Found, 377.1680.

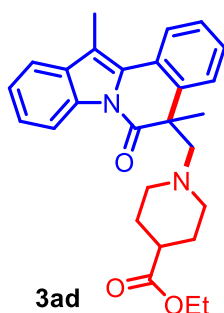


**5-((1,4-dioxo-8-azaspiro[4.5]decan-8-yl)methyl)-5,12-dimethylindolo[2,1-a]isoquinolin-6(5H)-one (3ac):**  
Purification by flash chromatography (PE/EA = 30:1-20:1) brown solid (53%); m.p. 152-153 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.58 – 8.53 (m, 1H), 8.01 – 7.97 (m, 1H), 7.60 – 7.56 (m, 1H), 7.48 – 7.44 (m, 1H), 7.41 – 7.31 (m, 4H), 3.75 (s, 3H), 3.11 (d, *J* = 13.2 Hz, 1H), 2.72 (d, *J* = 13.6 Hz, 1H), 2.65 (s, 3H), 2.22 – 2.15 (m, 2H), 2.08 – 2.01 (m, 2H), 1.69 (s, 3H), 1.19 – 1.11 (m, 2H), 1.07 – 0.99 (m, 2H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.8, 138.2, 134.1, 132.4, 131.0, 127.6, 127.4, 126.9, 126.5, 125.3, 124.6, 123.9, 118.3, 116.2, 113.1, 106.7, 70.8, 63.9, 52.4, 50.1, 34.7, 21.9, 11.4.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>26</sub>H<sub>29</sub>N<sub>2</sub>O<sub>3</sub>: 417.2173; Found, 417.2174.



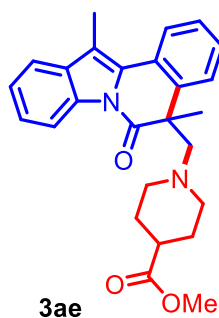
**ethyl 1-((5,12-dimethyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)piperidine-4-carboxylate (3ad)**  
Purification by flash chromatography (PE/EA = 30:1-20:1) brown liquid (73%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.57 – 8.55 (m, 1H), 7.97 (d, *J* = 7.6 Hz, 1H), 7.57 – 7.55 (m, 1H), 7.44 – 7.42 (m, 1H), 7.39 – 7.35 (m, 2H), 7.33 – 7.30 (m, 2H), 4.01 – 3.96 (m, 2H), 3.04 (d, *J* = 13.2 Hz, 1H), 2.68 – 2.64 (m, 4H), 2.28 – 2.24 (m, 1H), 1.95 – 1.91 (m, 2H), 1.90 – 1.82 (m, 2H), 1.67 (s, 3H), 1.36 – 1.33 (m, 1H), 1.30 – 1.27 (m, 1H), 1.18 – 1.11 (m, 4H), 0.85 – 0.76 (m, 1H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 175.0, 172.7, 138.1, 134.1, 132.4, 131.0, 127.6, 127.3, 126.8, 126.5, 125.3, 124.7, 123.8, 118.2, 116.2, 113.2, 71.4, 60.0, 53.9, 53.9, 50.1, 40.5, 28.3, 28.2, 21.8, 14.1, 11.3.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>27</sub>H<sub>31</sub>N<sub>2</sub>O<sub>3</sub>: 431.2329; Found, 431.2330.





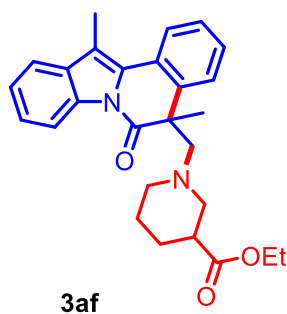
**methyl 1-((5,12-dimethyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)piperidine-4-carboxylate (3ae)**

Purification by flash chromatography (PE/EA = 20:1-10:1) brown liquid (50%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.57 – 8.53 (m, 1H), 8.00 – 7.97 (m, 1H), 7.59 – 7.57 (m, 1H), 7.46 – 7.43 (m, 1H), 7.40 – 7.33 (m, 4H), 3.54 (s, 3H), 3.06 (d, *J* = 13.2 Hz, 1H), 2.70 – 2.65 (m, 4H), 2.29 – 2.24 (m, 1H), 1.99 – 1.86 (m, 4H), 1.67 (s, 3H), 1.37 – 1.28 (m, 2H), 1.22 – 1.13 (m, 1H), 0.88 – 0.77 (m, 1H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 175.5, 172.7, 138.1, 134.1, 132.5, 131.0, 127.6, 127.4, 126.9, 126.5, 125.4, 124.7, 123.9, 118.2, 116.2, 113.3, 71.3, 53.9, 53.9, 51.4, 50.1, 40.4, 28.3, 28.2, 22.0, 11.3.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>26</sub>H<sub>29</sub>N<sub>2</sub>O<sub>3</sub>: 417.2173; Found, 417.2172.



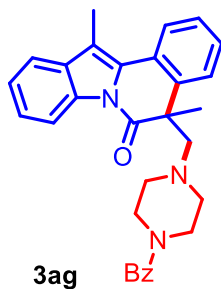
**ethyl 1-((5,12-dimethyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)piperidine-3-carboxylate (3af):**

Purification by flash chromatography (PE/EA = 30:1-20:1) brown liquid (79%); d.r. = 56:43 (1:0.8)

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.59 – 8.55 (m, 1.8H), 7.97 – 7.94 (m, 1.8H), 7.56 – 7.55 (m, 1.8H), 7.43 – 7.38 (m, 1.8H), 7.33 – 7.30 (m, 7.2H), 3.94 – 3.84 (m, 3.6H), 3.10 – 3.03 (m, 1.8H), 2.73 – 2.67 (m, 1.8H), 2.63 (s, 2.4H), 2.63 (s, 3H), 2.52 – 2.48 (m, 0.8H), 2.28 – 2.20 (m, 1H), 2.11 – 2.06 (m, 1.8H), 1.97 – 1.76 (m, 5.4H), 1.67 (s, 2.4H), 1.67 (s, 3H), 1.57 – 1.51 (m, 2.4H), 1.28 – 1.16 (m, 1.8H), 1.14 – 1.11 (m, 3H), 1.09 – 1.05 (m, 2.4H), 1.04 – 0.88 (m, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 173.9, 173.7, 172.7, 172.7, 138.2, 138.1, 134.1, 134.1, 132.3, 132.3, 130.9, 130.9, 127.5, 127.4, 126.9, 126.8, 126.5, 125.4, 125.3, 124.7, 124.6, 123.8, 123.8, 118.1, 116.2, 116.2, 113.1, 113.0, 71.5, 59.9, 59.8, 56.6, 56.5, 54.7, 54.6, 50.1, 50.0, 42.2, 41.8, 26.3, 26.1, 24.7, 24.7, 22.1, 21.8, 14.1, 14.0, 11.3.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>27</sub>H<sub>31</sub>N<sub>2</sub>O<sub>3</sub>: 431.2329; Found, 431.2328.



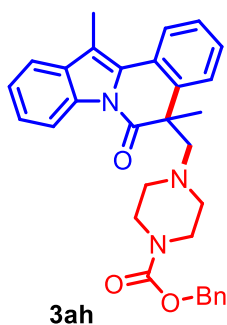
**5-((4-benzoylpiperazin-1-yl)methyl)-5,12-dimethylindolo[2,1-a]isoquinolin-6(5H)-one (3ag):**

Purification by flash chromatography (PE/EA = 20:1-10:1) brown liquid (70%);

<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 8.57 – 8.52 (m, 1H), 8.01 – 7.96 (m, 1H), 7.61 – 7.57 (m, 1H), 7.47 – 7.43 (m, 1H), 7.39 – 7.28 (m, 7H), 7.20 – 7.16 (m, 2H), 3.23 – 3.01 (m, 3H), 2.87 – 2.70 (m, 2H), 2.66 (s, 3H), 2.33 – 1.80 (m, 5H), 1.69 (s, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 172.6, 169.9, 138.1, 135.7, 134.1, 132.3, 130.7, 129.4, 128.3, 127.6, 127.5, 127.1, 126.8, 126.5, 125.6, 124.7, 124.1, 71.0, 54.2, 53.8, 49.9, 47.7, 42.2, 22.6, 11.4.

HRMS-ESI (m/z) [M + H]<sup>+</sup> calcd for C<sub>30</sub>H<sub>30</sub>N<sub>3</sub>O<sub>2</sub>: 464.2333; Found, 464.2331.



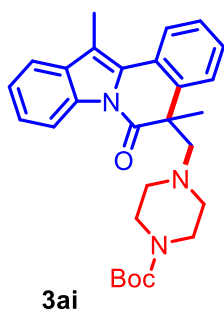
**benzyl 4-((5,12-dimethyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)piperazine-1-carboxylate (3ah):**

Purification by flash chromatography (PE/EA = 30:1-20:1) brown liquid (88%);

<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 8.58 – 8.54 (m, 1H), 8.00 – 7.96 (m, 1H), 7.59 – 7.56 (m, 1H), 7.46 – 7.42 (m, 1H), 7.38 – 7.33 (m, 4H), 7.31 – 7.26 (m, 3H), 7.24 – 7.20 (m, 2H), 4.97 (s, 2H), 3.14 (d, *J* = 13.2 Hz, 1H), 2.93 – 2.69 (m, 5H), 2.64 (s, 3H), 2.13 – 2.05 (m, 2H), 1.92 – 1.86 (m, 2H), 1.68 (s, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 172.6, 154.8, 138.1, 136.6, 134.0, 132.2, 130.7, 128.3, 127.9, 127.7, 127.5, 127.1, 126.5, 125.5, 124.6, 124.0, 118.3, 116.2, 113.3, 71.3, 66.8, 53.7, 49.9, 43.8, 22.3, 11.4.

HRMS-ESI (m/z) [M + H]<sup>+</sup> calcd for C<sub>31</sub>H<sub>32</sub>N<sub>3</sub>O<sub>3</sub>: 494.2438; Found, 494.2437.



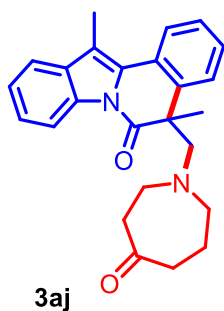
**tert-butyl 4-((5,12-dimethyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)piperazine-1-carboxylate (3ai):**

Purification by flash chromatography (PE/EA = 30:1-20:1) yellow solid (93%); m.p. 98-99 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.59 – 8.55 (m, 1H), 8.01 – 7.97 (m, 1H), 7.60 – 7.56 (m, 1H), 7.46 – 7.43 (m, 1H), 7.40 – 7.33 (m, 4H), 3.13 (d, *J* = 13.2 Hz, 1H), 2.85 – 2.67 (m, 5H), 2.65 (s, 3H), 2.10 – 2.04 (m, 2H), 1.91 – 1.85 (m, 2H), 1.68 (s, 3H), 1.32 (s, 9H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.6, 154.4, 138.1, 134.0, 132.3, 130.7, 127.5, 127.5, 127.0, 126.5, 125.4, 124.6, 123.9, 118.2, 116.2, 113.3, 79.2, 71.2, 53.8, 49.9, 44.0, 43.2, 28.3, 22.4, 11.4.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>28</sub>H<sub>34</sub>N<sub>3</sub>O<sub>3</sub>: 460.2595; Found, 460.2593.



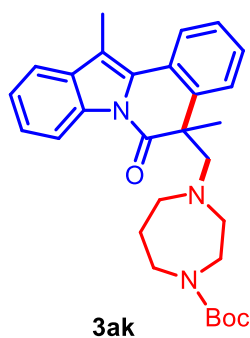
**5,12-dimethyl-5-((4-oxoazepan-1-yl)methyl)indolo[2,1-a]isoquinolin-6(5H)-one (3aj):**

Purification by flash chromatography (PE/EA = 30:1-20:1) brown liquid (20%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.58 – 8.56 (m, 1H), 8.03 – 8.00 (m, 1H), 7.62 – 7.55 (m, 1H), 7.43 – 7.41 (m, 1H), 7.40 – 7.38 (m, 1H), 7.37 – 7.37 (m, 1H), 7.36 – 7.32 (m, 2H), 3.36 (d, *J* = 13.6 Hz, 1H), 2.93 (d, *J* = 13.6 Hz, 1H), 2.65 (s, 3H), 2.50 – 2.41 (m, 2H), 2.35 – 2.26 (m, 2H), 2.19 – 2.06 (m, 2H), 1.86 – 1.83 (m, 2H), 1.68 (s, 3H), 1.28–1.25 (m, 1H), 1.22–1.13 (m, 1H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 212.9, 172.7, 138.1, 134.0, 132.4, 130.6, 127.8, 127.6, 127.1, 126.5, 125.6, 124.8, 124.1, 118.4, 116.3, 113.8, 73.3, 59.7, 53.4, 50.5, 44.4, 42.6, 24.5, 22.3, 11.4.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>25</sub>H<sub>27</sub>N<sub>2</sub>O<sub>2</sub>: 387.2067; Found, 387.2065.



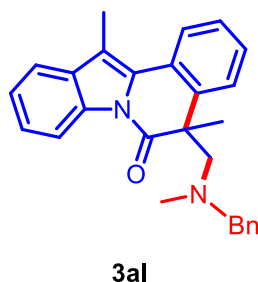
***tert-butyl-4-((5,12-dimethyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)-1,4-diazepane-1-carboxylate (3ak):***

Purification by flash chromatography (PE/EA = 20:1-10:1) yellow liquid (67%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.61 – 8.59 (m, 1H), 8.02 – 7.99 (m, 1H), 7.59 – 7.57 (m, 1H), 7.44 – 7.32 (m, 5H), 3.39 – 3.35 (m, 1H), 3.00 – 2.68 (m, 4H), 2.65 (s, 3H), 2.40 – 2.11 (m, 4H), 1.66 (s, 3H), 1.32 (s, 9H), 1.20 – 1.02 (m, 2H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 173.0, 155.1, 138.4, 134.0, 132.3, 130.6, 127.6, 127.6, 127.0, 126.8, 126.6, 125.5, 124.7, 124.0, 118.2, 118.2, 116.4, 116.4, 113.4, 78.9, 78.8, 73.3, 72.9, 58.3, 58.1, 57.4, 57.0, 50.8, 50.7, 48.3, 47.8, 45.5, 44.9, 27.5, 27.0, 22.5, 22.5, 11.4.

**HRMS-ESI** (m/z) [M + H]<sup>+</sup> calcd for C<sub>29</sub>H<sub>36</sub>N<sub>3</sub>O<sub>3</sub>: 474.2761; Found, 474.2751.



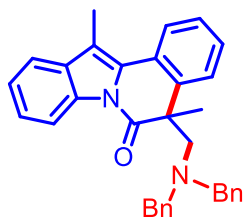
***5-((benzyl(methyl)amino)methyl)-5,12-dimethylindolo[2,1-a]isoquinolin-6(5H)-one (3al):***

Purification by flash chromatography (PE/EA = 30:1-20:1) colorless liquid (65%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.64 – 8.61 (m, 1H), 8.01 – 7.99 (m, 1H), 7.61 – 7.57 (m, 1H), 7.43 – 7.41 (m, 1H), 7.38 – 7.31 (m, 4H), 7.07 – 6.98 (m, 3H), 6.77 – 6.75 (m, 2H), 3.41 – 3.38 (m, 1H), 3.28 – 3.24 (m, 1H), 3.17 – 3.13 (m, 1H), 2.98 – 2.95 (m, 1H), 2.65 (s, 3H), 1.73 (s, 3H), 1.67 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.9, 139.2, 138.4, 134.2, 132.5, 130.4, 128.4, 127.7, 127.5, 127.2, 127.0, 126.9, 126.5, 125.5, 124.8, 124.0, 118.2, 116.7, 113.8, 70.7, 63.5, 49.8, 43.1, 24.8, 11.5.

**HRMS-ESI** (m/z) [M + H]<sup>+</sup> calcd for C<sub>27</sub>H<sub>27</sub>N<sub>2</sub>O: 395.2118; Found, 395.2126.



**3am**

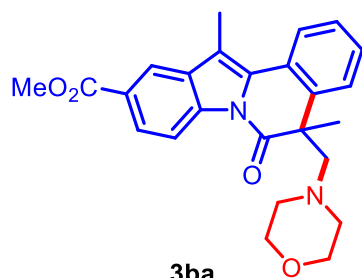
**5-((dibenzylamino)methyl)-5,12-dimethylindolo[2,1-a]isoquinolin-6(5H)-one (3am):**

Purification by flash chromatography (PE/EA = 30:1-20:1) white solid (90%); m.p. 102-103 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.60 – 8.55 (m, 1H), 7.96 – 7.94 (m, 1H), 7.60 – 7.56 (m, 1H), 7.38 – 7.32 (m, 3H), 7.26 – 7.23 (m, 2H), 7.12 – 7.05 (m, 6H), 6.84 – 6.82 (m, 4H), 3.50 (d, *J* = 13.2 Hz, 1H), 3.24 – 3.14 (m, 4H), 3.02 (d, *J* = 13.2 Hz, 1H), 2.63 (s, 3H), 1.61 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.7, 138.6, 138.2, 134.2, 132.4, 130.2, 128.8, 127.9, 127.5, 127.3, 127.1, 126.9, 126.6, 125.5, 124.8, 124.0, 118.2, 116.8, 114.0, 66.4, 58.4, 49.4, 25.5, 11.4.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>33</sub>H<sub>31</sub>N<sub>2</sub>O: 471.2431; Found, 471.2433.



**3ba**

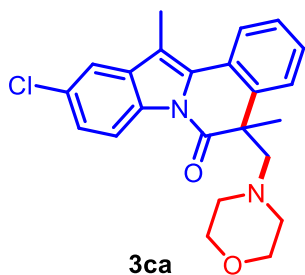
**5,12-dimethyl-5-(morpholinomethyl)-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-10-yl acetate (3ba):**

Purification by flash chromatography (PE/EA = 30:1-20:1) faint yellow solid (58%); m.p. 159-160 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.58 – 8.56 (m, 1H), 8.31 – 8.30 (m, 1H), 8.06 – 8.03 (m, 1H), 7.99 – 7.97 (m, 1H), 7.47 – 7.44 (m, 1H), 7.42 – 7.36 (m, 2H), 3.97 (s, 3H), 3.11 – 3.03 (m, 3H), 2.94 – 2.91 (m, 2H), 2.73 – 2.69 (m, 4H), 2.11 – 2.06 (m, 2H), 1.92 – 1.88 (m, 2H), 1.69 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.9, 167.4, 138.2, 136.7, 132.2, 132.2, 128.0, 127.2, 126.8, 126.5, 125.8, 124.9, 120.4, 115.8, 113.3, 72.0, 66.9, 54.4, 52.1, 50.1, 22.2, 11.3.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>25</sub>H<sub>27</sub>N<sub>2</sub>O<sub>4</sub>: 419.1965; Found, 419.1964.



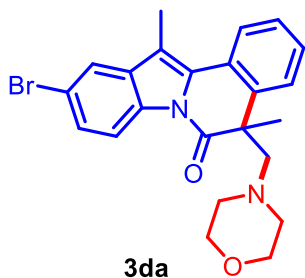
**10-chloro-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ca):**

Purification by flash chromatography (PE/EA = 30:1-20:1) white solid (61%); m.p. 164-165 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.47 (d, *J* = 8.8 Hz, 1H), 7.98 – 7.96 (m, 1H), 7.55 – 7.52 (m, 1H), 7.47 – 7.44 (m, 1H), 7.42 – 7.34 (m, 2H), 7.31 – 7.29 (m, 1H), 3.12 – 3.05 (m, 3H), 3.00 – 2.91 (m, 2H), 2.71 (d, *J* = 13.2 Hz, 1H), 2.62 (s, 3H), 2.13 – 2.06 (m, 2H), 1.94 – 1.86 (m, 2H), 1.68 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.6, 138.4, 133.8, 132.4, 132.2, 129.6, 127.9, 127.1, 127.1, 126.5, 125.4, 124.8, 118.0, 117.2, 112.3, 71.9, 67.0, 54.4, 49.9, 22.3, 11.3.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>23</sub>H<sub>24</sub>ClN<sub>2</sub>O<sub>2</sub>: 395.1521; Found, 395.1519.



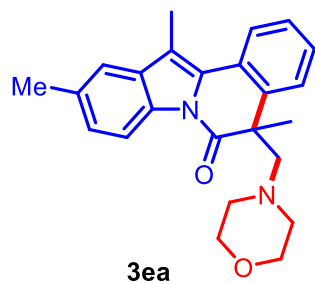
**10-bromo-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3da):**

Purification by flash chromatography (PE/EA = 30:1-20:1) brown solid (73%); m.p. 172-173 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.42 (d, *J* = 8.4 Hz, 1H), 7.97 – 7.95 (m, 1H), 7.70 – 7.69 (m, 1H), 7.46 – 7.42 (m, 2H), 7.41 – 7.33 (m, 2H), 3.12 – 3.04 (m, 3H), 3.00 – 2.90 (m, 2H), 2.71 (d, *J* = 13.2 Hz, 1H), 2.61 (s, 3H), 2.12 – 2.05 (m, 2H), 1.94 – 1.85 (m, 2H), 1.68 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.6, 138.4, 134.2, 132.7, 132.1, 128.1, 127.9, 127.1, 127.1, 126.5, 124.8, 121.1, 117.6, 117.4, 112.2, 71.9, 66.9, 54.4, 49.9, 22.2, 11.3.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>23</sub>H<sub>24</sub>BrN<sub>2</sub>O<sub>2</sub>: 439.1016; Found, 439.1017.



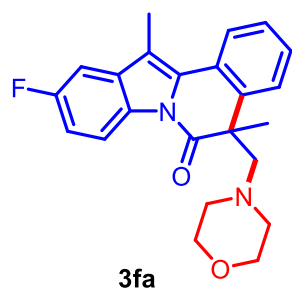
**5,10,12-trimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ea):**

Purification by flash chromatography (PE/EA = 30:1-20:1) faint yellow solid (76%); m.p. 119-120 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.42 (d, *J* = 8.4 Hz, 1H), 7.95 – 7.93 (m, 1H), 7.43 – 7.40 (m, 1H), 7.37 – 7.27 (m, 3H), 7.18 – 7.15 (m, 1H), 3.12 – 3.06 (m, 3H), 3.01 – 2.93 (m, 2H), 2.69 (d, *J* = 13.2 Hz, 1H), 2.61 (s, 3H), 2.47 (s, 3H), 2.13 – 2.07 (m, 2H), 1.95 – 1.87 (m, 2H), 1.66 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.4, 138.2, 133.4, 132.5, 132.3, 130.8, 127.6, 127.3, 126.9, 126.7, 126.5, 124.6, 118.3, 115.9, 113.1, 71.6, 66.9, 54.4, 49.7, 22.5, 21.5, 11.3.

**HRMS-ESI** (m/z) [M + H]<sup>+</sup> calcd for C<sub>24</sub>H<sub>27</sub>N<sub>2</sub>O<sub>2</sub>: 375.2067; Found, 375.2068.



**10-fluoro-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3fa):**

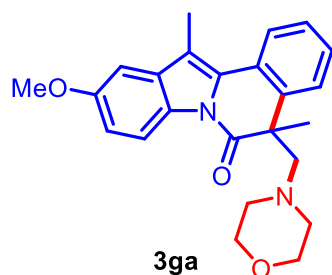
Purification by flash chromatography (PE/EA = 30:1-20:1) faint yellow solid (82%); m.p. 119-120 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.52 – 8.49 (m, 1H), 7.98 – 7.96 (m, 1H), 7.48 – 7.43 (m, 1H), 7.42 – 7.33 (m, 2H), 7.25 – 7.19 (m, 1H), 7.10 – 7.02 (m, 1H), 3.15 – 3.05 (m, 3H), 3.02 – 2.92 (m, 2H), 2.72 (d, *J* = 13.2 Hz, 1H), 2.62 (s, 3H), 2.14 – 2.07 (m, 2H), 1.96 – 1.87 (m, 2H), 1.68 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.5, 160.2 (d, *J* = 242.4 Hz), 138.5, 133.7 (d, *J* = 10.1 Hz), 132.5, 130.4, 127.9, 127.2, 127.1, 126.6, 124.8, 117.3 (d, *J* = 9.1 Hz), 112.8 (d, *J* = 24.2 Hz), 112.8 (d, *J* = 4.0 Hz), 104.1 (d, *J* = 24.2 Hz), 71.8, 67.0, 54.4, 49.8, 22.4, 11.4.

**<sup>19</sup>F NMR** (376 MHz, Chloroform-*d*) δ -118.5.

**HRMS-ESI** (m/z) [M + H]<sup>+</sup> calcd for C<sub>23</sub>H<sub>24</sub>FN<sub>2</sub>O<sub>2</sub>: 379.1816; Found, 379.1814.



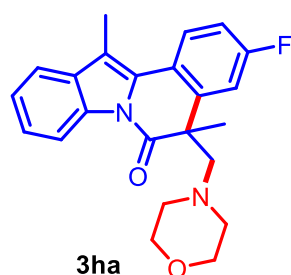
**10-methoxy-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ga):**

Purification by flash chromatography (PE/EA = 30:1-20:1) faint yellow solid (93%); m.p. 108-109 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.45 (d, *J* = 8.8 Hz, 1H), 7.96 – 7.94 (m, 1H), 7.44 – 7.41 (m, 1H), 7.38 – 7.29 (m, 2H), 7.02 – 7.01 (m, 1H), 6.96 – 6.93 (m, 1H), 3.89 (s, 3H), 3.12 – 3.07 (m, 3H), 2.99 – 2.96 (m, 2H), 2.70 (d, *J* = 13.2 Hz, 1H), 2.61 (s, 3H), 2.13 – 2.08 (m, 2H), 1.93 – 1.89 (m, 2H), 1.66 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.2, 156.8, 138.3, 133.4, 131.5, 128.7, 127.5, 127.4, 126.9, 126.5, 124.6, 117.0, 113.3, 113.0, 101.4, 71.6, 66.9, 55.6, 54.4, 49.6, 22.4, 11.4.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>24</sub>H<sub>27</sub>N<sub>2</sub>O<sub>3</sub>: 391.2016; Found, 391.2015.



**3-fluoro-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ha):**

Purification by flash chromatography (PE/EA = 30:1-20:1) faint yellow solid (85%); m.p. 123-124 °C;

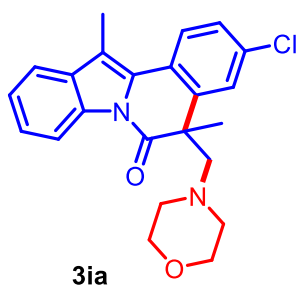
**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.55 – 8.50 (m, 1H), 7.96 – 7.91 (m, 1H), 7.57 – 7.53 (m, 1H), 7.38 – 7.30 (m, 2H), 7.17 – 7.12 (m, 1H), 7.12 – 7.06 (m, 1H), 3.13 – 3.07 (m, 3H), 3.03 – 2.95 (m, 2H), 2.69 (d, *J* = 13.2 Hz, 1H), 2.60 (s, 3H), 2.15 – 2.09 (m, 2H), 2.00 – 1.93 (m, 2H), 1.65 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 171.8, 161.8 (d, *J* = 249.5 Hz), 140.9 (d, *J* = 7.1 Hz), 134.0, 132.2, 130.1, 126.5 (d, *J* = 8.1 Hz), 125.5, 124.0, 124.0 (d, *J* = 3.0 Hz), 118.2, 116.1, 114.4 (d, *J* = 22.2 Hz), 113.4 (d, *J* = 22.2 Hz), 112.8, 71.5, 66.8, 54.4, 50.0, 22.3, 11.2.

**<sup>19</sup>F NMR** (376 MHz, Chloroform-*d*) δ -112.6.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>23</sub>H<sub>24</sub>FN<sub>2</sub>O<sub>2</sub>: 379.1816; Found, 379.1815.





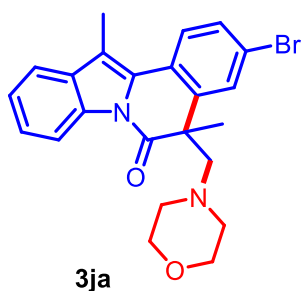
**3-chloro-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ia):**

Purification by flash chromatography (PE/EA = 30:1-20:1) brown solid (80%); m.p. 144-145 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.54 – 8.52 (m, 1H), 7.88 (d, *J* = 8.8 Hz, 1H), 7.58 – 7.56 (m, 1H), 7.43 – 7.42 (m, 1H), 7.39 – 7.31 (m, 3H), 3.13 – 3.07 (m, 3H), 3.01 – 2.97 (m, 2H), 2.69 (d, *J* = 13.2 Hz, 1H), 2.61 (s, 3H), 2.14 – 2.09 (m, 2H), 1.99 – 1.95 (m, 2H), 1.66 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 171.8, 140.1, 134.1, 133.2, 132.1, 129.9, 127.3, 126.7, 126.1, 125.8, 125.8, 124.1, 118.3, 116.2, 113.8, 71.5, 66.9, 54.5, 49.9, 22.2, 11.3.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>23</sub>H<sub>24</sub>ClN<sub>2</sub>O<sub>2</sub>: 395.1521; Found, 395.1522.



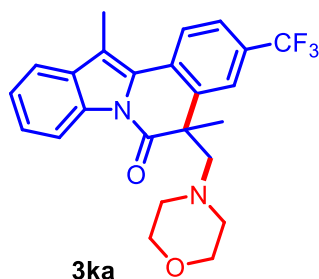
**3-bromo-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ja):**

Purification by flash chromatography (PE/EA = 30:1-20:1) orange solid (78%); m.p. 116-117 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.55 – 8.50 (m, 1H), 7.81 (d, *J* = 8.4 Hz, 1H), 7.58 – 7.55 (m, 2H), 7.50 – 7.47 (m, 1H), 7.38 – 7.31 (m, 2H), 3.13 – 3.05 (m, 3H), 3.01 – 2.98 (m, 2H), 2.69 (d, *J* = 13.2 Hz, 1H), 2.60 (s, 3H), 2.14 – 2.09 (m, 2H), 2.00 – 1.95 (m, 2H), 1.66 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 171.7, 140.3, 134.1, 132.1, 130.2, 129.9, 129.7, 126.5, 126.0, 125.8, 124.1, 121.3, 118.3, 116.2, 113.9, 71.5, 66.9, 54.5, 49.9, 22.1, 11.3.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>23</sub>H<sub>24</sub>BrN<sub>2</sub>O<sub>2</sub>: 439.1016; Found, 439.1015.



**5,12-dimethyl-5-(morpholinomethyl)-3-(trifluoromethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ka):**

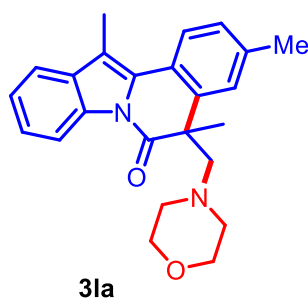
Purification by flash chromatography (PE/EA = 30:1-20:1) yellow solid (51%); m.p. 126-127 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.57 – 8.54 (m, 1H), 8.07 (d, *J* = 8.4 Hz, 1H), 7.72 – 7.68 (m, 1H), 7.64 – 7.60 (m, 2H), 7.42 – 7.34 (m, 2H), 3.14 – 3.09 (m, 3H), 3.02 – 2.98 (m, 2H), 2.73 (d, *J* = 13.6 Hz, 1H), 2.68 (s, 3H), 2.14 – 2.09 (m, 2H), 1.98 – 1.93 (m, 2H), 1.72 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 171.7, 138.8, 134.3, 131.9, 130.7 (d, *J* = 2.0 Hz), 129.5, 129.0 (q, *J* = 32.3 Hz), 126.3, 124.8, 124.3, 124.0 (q, *J* = 273.7 Hz), 123.8 (q, *J* = 4.0 Hz), 123.7 (q, *J* = 4.0 Hz), 118.7, 116.3, 115.6, 71.3, 66.9, 54.5, 50.0, 22.2, 11.5.

**<sup>19</sup>F NMR** (376 MHz, Chloroform-*d*) δ -62.5.

**HRMS-ESI** (m/z) [M + H]<sup>+</sup> calcd for C<sub>24</sub>H<sub>24</sub>FN<sub>2</sub>O<sub>2</sub>: 429.1784; Found, 429.1786.



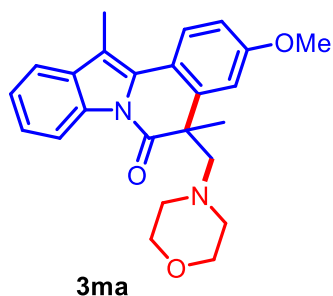
**3,5,12-trimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3la):**

Purification by flash chromatography (PE/EA = 30:1-20:1) brown solid (63%); m.p. 142-143 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.57 – 8.52 (m, 1H), 7.85 (d, *J* = 8.4 Hz, 1H), 7.56 – 7.53 (m, 1H), 7.36 – 7.30 (m, 2H), 7.25 – 7.23 (m, 1H), 7.19 – 7.17 (m, 1H), 3.12 – 3.07 (m, 3H), 2.99 – 2.96 (m, 2H), 2.70 (d, *J* = 13.2 Hz, 1H), 2.62 (s, 3H), 2.40 (s, 3H), 2.13 – 2.08 (m, 2H), 1.95 – 1.91 (m, 2H), 1.67 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.8, 138.2, 137.3, 134.0, 132.4, 131.0, 127.9, 127.0, 125.2, 124.8, 124.6, 123.9, 118.1, 116.1, 112.4, 71.7, 67.0, 54.4, 49.8, 22.4, 21.5, 11.3.

**HRMS-ESI** (m/z) [M + H]<sup>+</sup> calcd for C<sub>24</sub>H<sub>27</sub>N<sub>2</sub>O<sub>2</sub>: 375.2067; Found, 375.2068.



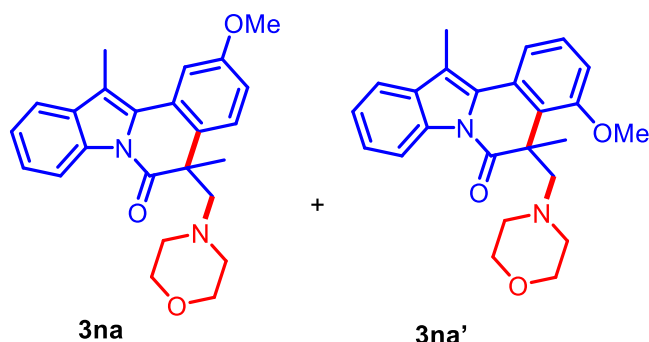
**3-methoxy-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ma):**

Purification by flash chromatography (PE/EA = 30:1-20:1) brown liquid (86%);

<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 8.54 – 8.52 (m, 1H), 7.92 (d, *J* = 8.4 Hz, 1H), 7.56 – 7.53 (m, 1H), 7.34 – 7.32 (m, 2H), 6.98 – 6.94 (m, 2H), 3.88 (s, 3H), 3.14 – 3.09 (m, 3H), 3.02 – 2.98 (m, 2H), 2.72 (d, *J* = 13.6 Hz, 1H), 2.61 (s, 3H), 2.16 – 2.11 (m, 2H), 2.00 – 1.95 (m, 2H), 1.67 (s, 3H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 172.5, 158.9, 140.3, 134.0, 132.6, 130.9, 126.2, 125.0, 123.9, 120.8, 117.9, 116.1, 112.5, 112.3, 111.4, 71.7, 67.0, 55.4, 54.5, 50.1, 22.7, 11.2.

HRMS-ESI (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>24</sub>H<sub>27</sub>N<sub>2</sub>O<sub>3</sub>: 391.2016; Found, 391.2017.



**2-methoxy-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3na) (major)**

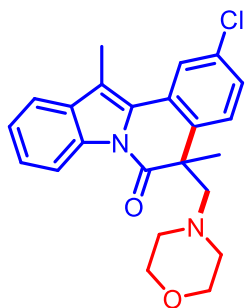
**4-methoxy-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3na') (minor)**

Purification by flash chromatography (PE/EA = 30:1-20:1) Mixture of 6na and 6na'. brown liquid (64%);

<sup>1</sup>H NMR (400 MHz, Chloroform-*d*) δ 8.64 – 8.61 (m, 1H), 8.58 – 8.54 (m, 0.7H), 7.67 (d, *J* = 7.6 Hz, 1H), 7.60 – 7.56 (m, 1.7H), 7.49 (d, *J* = 2.8 Hz, 0.7H), 7.39 – 7.36 (m, 2.1H), 7.36 – 7.33 (m, 3H), 6.92 – 6.86 (m, 1.7H), 3.91 – 3.88 (m, 5.8H), 3.55 (d, *J* = 12.8 Hz, 1H), 3.17 (d, *J* = 12.8 Hz, 1H), 3.13 – 3.07 (m, 4H), 3.06 – 2.97 (m, 2.8H), 2.68 – 2.64 (m, 2.8H), 2.64 (s, 3H), 2.26 – 2.21 (m, 2H), 2.13 – 2.08 (m, 1.4H), 2.06 – 2.00 (m, 2H), 1.98 – 1.92 (m, 1.4H), 1.74 (s, 3H), 1.64 (s, 2.1H).

<sup>13</sup>C NMR (101 MHz, Chloroform-*d*) δ 174.0, 172.9, 158.3, 157.8, 134.1, 133.9, 132.5, 132.2, 130.7, 130.5, 130.3, 128.5, 128.4, 128.0, 127.7, 126.0, 125.5, 125.3, 123.9, 118.2, 118.2, 118.0, 116.7, 116.2, 113.7, 113.4, 113.3, 110.3, 109.9, 71.6, 67.1, 67.0, 65.4, 55.3, 55.2, 54.4, 54.3, 49.7, 49.4, 22.7, 22.5, 11.8, 11.3.

HRMS-ESI (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>24</sub>H<sub>27</sub>N<sub>2</sub>O<sub>3</sub>: 391.2016; Found, 391.2015.



**3oa**

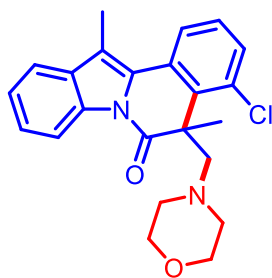
**2-chloro-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3oa) (major):**

Purification by flash chromatography (PE/EA = 30:1-20:1) faint yellow solid (56%\*0.56 = 31%); m.p. 83-84 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.56 – 8.52 (m, 1H), 7.94 – 7.92 (m, 1H), 7.62 – 7.58 (m, 1H), 7.40 – 7.35 (m, 3H), 7.32 – 7.28 (m, 1H), 3.14 – 3.07 (m, 3H), 3.03 – 2.95 (m, 2H), 2.69 (d, *J* = 13.2 Hz, 1H), 2.66 (s, 3H), 2.15 – 2.09 (m, 2H), 2.00 – 1.94 (m, 2H), 1.66 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.1, 136.6, 134.2, 133.0, 132.0, 129.5, 129.1, 128.1, 127.4, 126.0, 124.3, 124.2, 118.5, 116.3, 114.5, 71.5, 66.9, 54.5, 49.7, 22.6, 11.4.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>23</sub>H<sub>24</sub>ClN<sub>2</sub>O<sub>2</sub>: 395.1521; Found, 395.1520.



**3oa'**

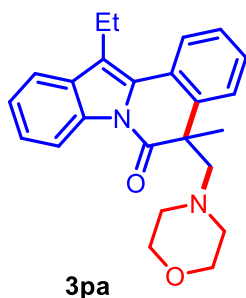
**4-chloro-5,12-dimethyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3oa') minor:**

Purification by flash chromatography (PE/EA = 30:1-20:1) brown solid (56%\*0.44 = 24%); m.p. 122-123 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.63 – 8.59 (m, 1H), 7.99 – 7.95 (m, 1H), 7.63 – 7.60 (m, 1H), 7.41 – 7.33 (m, 4H), 3.83 (d, *J* = 13.2 Hz, 1H), 3.22 (d, *J* = 13.6 Hz, 1H), 3.11 – 3.05 (m, 2H), 3.04 – 2.98 (m, 2H), 2.65 (s, 3H), 2.28 – 2.22 (m, 2H), 2.11 – 2.04 (m, 2H), 1.90 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 173.0, 134.8, 133.9, 133.9, 132.4, 130.9, 130.0, 129.2, 128.0, 125.9, 124.3, 124.2, 118.5, 116.7, 114.5, 67.0, 64.9, 54.1, 51.1, 22.4, 12.0.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>23</sub>H<sub>24</sub>ClN<sub>2</sub>O<sub>2</sub>: 395.1521; Found, 395.1519.



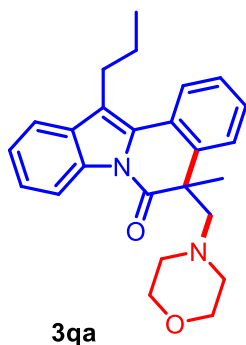
**12-ethyl-5-methyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3pa):**

Purification by flash chromatography (PE/EA = 30:1-20:1) brown liquid (68%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*)  $\delta$  8.59 – 8.56 (m, 1H), 7.95 – 7.93 (m, 1H), 7.60 – 7.58 (m, 1H), 7.45 – 7.43 (m, 1H), 7.40 – 7.38 (m, 1H), 7.36 – 7.33 (m, 3H), 3.15 – 3.07 (m, 5H), 2.99 – 2.95 (m, 2H), 2.71 (d,  $J$  = 13.2 Hz, 1H), 2.13 – 2.08 (m, 2H), 1.95 – 1.91 (m, 2H), 1.68 (s, 3H), 1.42 (t,  $J$  = 7.6 Hz, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*)  $\delta$  172.8, 138.2, 134.3, 131.6, 130.1, 127.6, 127.3, 127.2, 126.6, 125.5, 124.3, 124.0, 120.0, 118.1, 116.4, 71.7, 66.9, 54.4, 49.8, 22.4, 18.5, 13.5.

**HRMS-ESI** (m/z)  $[M + H]^+$  calcd for C<sub>24</sub>H<sub>27</sub>N<sub>2</sub>O<sub>2</sub>: 375.2067; Found, 375.2066.



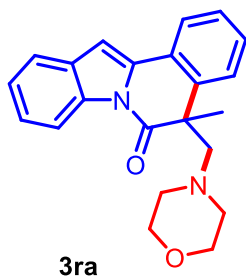
**5-methyl-5-(morpholinomethyl)-12-propylindolo[2,1-a]isoquinolin-6(5H)-one (3qa):**

Purification by flash chromatography (PE/EA = 30:1-20:1) black liquid (54%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*)  $\delta$  8.59 – 8.56 (m, 1H), 7.94 – 7.92 (m, 1H), 7.60 – 7.58 (m, 1H), 7.46 – 7.44 (m, 1H), 7.41 – 7.38 (m, 1H), 7.37 – 7.35 (m, 2H), 7.33 – 7.31 (s, 1H), 3.13 – 3.07 (m, 5H), 2.99 – 2.96 (m, 2H), 2.71 (d,  $J$  = 13.2 Hz, 1H), 2.14 – 2.08 (m, 2H), 1.94 – 1.90 (m, 2H), 1.88 – 1.81 (m, 2H), 1.68 (s, 3H), 1.15 (t,  $J$  = 7.2 Hz, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*)  $\delta$  172.8, 138.3, 134.2, 132.1, 130.3, 127.6, 127.4, 127.1, 126.6, 125.5, 124.3, 124.0, 118.9, 118.4, 116.3, 71.8, 67.0, 54.5, 49.8, 27.3, 22.5, 22.4, 14.5.

**HRMS-ESI** (m/z)  $[M + H]^+$  calcd for C<sub>25</sub>H<sub>29</sub>N<sub>2</sub>O<sub>2</sub>: 389.2224; Found, 389.2223.



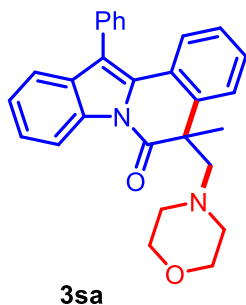
**5-methyl-5-(morpholinomethyl)indolo[2,1-a]isoquinolin-6(5H)-one (3ra):**

Purification by flash chromatography (PE/EA = 30:1-20:1) faint yellow liquid (76%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.57 – 8.52 (m, 1H), 7.86 – 7.82 (m, 1H), 7.61 – 7.57 (m, 1H), 7.42 – 7.39 (m, 1H), 7.36 – 7.30 (m, 4H), 7.02 (s, 1H), 3.20 (d, *J* = 13.2 Hz, 1H), 3.15 – 3.09 (m, 2H), 3.05 – 2.98 (m, 2H), 2.77 (d, *J* = 13.2 Hz, 1H), 2.19 – 2.13 (m, 2H), 1.97 – 1.90 (m, 2H), 1.67 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 172.9, 138.0, 136.3, 135.2, 130.6, 128.4, 127.2, 126.4, 125.8, 125.0, 124.3, 123.4, 120.3, 116.4, 102.3, 71.5, 67.0, 54.5, 50.1, 22.8.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>22</sub>H<sub>23</sub>N<sub>2</sub>O<sub>2</sub>: 347.1754; Found, 347.1753.



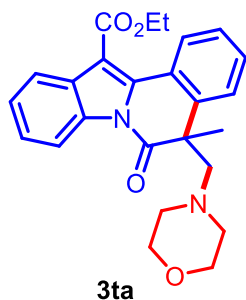
**5-methyl-5-(morpholinomethyl)-12-phenylindolo[2,1-a]isoquinolin-6(5H)-one (3sa):**

Purification by flash chromatography (PE/EA = 30:1-20:1) orange liquid (50%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.62 (d, *J* = 8.4 Hz, 1H), 7.59 – 7.49 (m, 5H), 7.45 – 7.36 (m, 3H), 7.30 – 7.23 (m, 3H), 7.03 – 6.98 (m, 1H), 3.26 – 3.18 (m, 3H), 3.15 – 3.08 (m, 2H), 2.79 (d, *J* = 13.2 Hz, 1H), 2.24 – 2.17 (m, 2H), 2.06 – 1.99 (m, 2H), 1.71 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 173.1, 138.5, 134.3, 134.1, 132.2, 130.4, 130.2, 129.2, 128.0, 128.0, 126.6, 126.4, 125.7, 124.9, 124.3, 119.5, 119.3, 116.3, 71.7, 67.1, 54.6, 50.0, 22.7.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>28</sub>H<sub>27</sub>N<sub>2</sub>O<sub>2</sub>: 423.2068; Found, 423.2067.



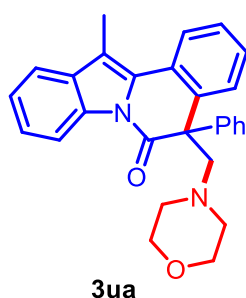
***ethyl 5-methyl-5-(morpholinomethyl)-6-oxo-5,6-dihydroindolo[2,1-a]isoquinoline-12-carboxylate (3ta):***

Purification by flash chromatography (PE/EA = 20:1-10:1) orange liquid (42%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.57 – 8.52 (m, 1H), 8.38 – 8.35 (m, 1H), 8.02 – 7.98 (m, 1H), 7.44 – 7.41 (m, 2H), 7.41 – 7.36 (m, 3H), 4.59 – 4.51 (m, 2H), 3.21 – 3.15 (m, 2H), 3.03 (d, *J* = 13.2 Hz, 1H), 2.98 – 2.84 (m, 2H), 2.70 (d, *J* = 13.6 Hz, 1H), 2.08 – 2.02 (m, 2H), 1.97 – 1.87 (m, 2H), 1.72 (s, 3H), 1.50 (t, *J* = 7.2 Hz, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 173.4, 165.6, 139.2, 138.9, 134.1, 129.9, 129.4, 128.8, 128.4, 128.0, 126.9, 126.0, 125.5, 125.4, 125.0, 121.0, 115.9, 109.1, 72.6, 66.7, 61.1, 54.5, 50.5, 20.9, 14.3.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>25</sub>H<sub>27</sub>N<sub>2</sub>O<sub>4</sub>: 419.1965; Found, 419.1970.



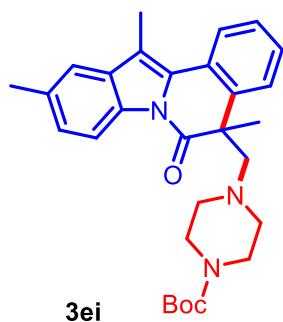
***12-methyl-5-(morpholinomethyl)-5-phenylindolo[2,1-a]isoquinolin-6(5H)-one (3ua):***

Purification by flash chromatography (PE/EA = 20:1-10:1) yellow solid (48%); m.p. 151-152 °C;

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 8.56 – 8.50 (m, 1H), 8.08 – 8.04 (m, 1H), 7.63 – 7.59 (m, 1H), 7.40 – 7.36 (m, 1H), 7.35 – 7.33 (m, 2H), 7.32 – 7.28 (m, 2H), 7.27 – 7.23 (m, 3H), 7.22 – 7.17 (m, 1H), 7.01 – 6.96 (m, 1H), 3.97 (d, *J* = 12.8 Hz, 1H), 3.28 (d, *J* = 12.8 Hz, 1H), 3.12 – 3.05 (m, 2H), 3.01 – 2.92 (m, 2H), 2.71 (s, 3H), 2.29 – 2.23 (m, 2H), 2.00 – 1.90 (m, 2H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 171.4, 143.1, 138.8, 134.2, 132.4, 130.8, 129.7, 128.6, 128.1, 127.9, 127.3, 127.1, 125.6, 124.2, 124.1, 118.2, 116.6, 113.9, 68.4, 67.1, 58.3, 54.6, 11.5.

**HRMS-ESI** (*m/z*) [*M* + *H*]<sup>+</sup> calcd for C<sub>28</sub>H<sub>27</sub>N<sub>2</sub>O<sub>2</sub>: 423.2067; Found, 423.2073.



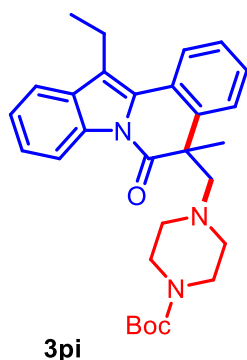
*tert-butyl 4-((5,10-dimethyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)piperazine-1-carboxylate (3ei):*

Purification by flash chromatography (PE/EA = 30:1-20:1) yellow liquid (86%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*)  $\delta$  8.42 (d,  $J$  = 8.0 Hz, 1H), 7.99 – 7.96 (m, 1H), 7.46 – 7.42 (m, 1H), 7.41 – 7.30 (m, 3H), 7.20 – 7.16 (m, 1H), 3.13 (d,  $J$  = 13.6 Hz, 1H), 2.85 – 2.76 (m, 2H), 2.76 – 2.65 (m, 3H), 2.62 (s, 3H), 2.49 (s, 3H), 2.10 – 2.03 (m, 2H), 1.92 – 1.84 (m, 2H), 1.67 (s, 3H), 1.33 (s, 9H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*)  $\delta$  172.3, 154.4, 138.1, 133.5, 132.5, 132.2, 130.8, 127.6, 127.3, 126.9, 126.7, 126.5, 124.5, 118.3, 115.9, 113.1, 79.2, 71.2, 53.8, 49.8, 43.8, 43.3, 28.3, 22.3, 21.5, 11.3.

**HRMS-ESI** (m/z)  $[M + H]^+$  calcd for C<sub>29</sub>H<sub>36</sub>N<sub>3</sub>O<sub>3</sub>: 474.2751; Found, 474.2750.



*tert-butyl 4-((12-ethyl-5-methyl-6-oxo-5,6-dihydroindolo[2,1-a]isoquinolin-5-yl)methyl)piperazine-1-carboxylate (3pi):*

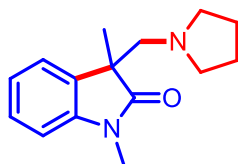
Purification by flash chromatography (PE/EA = 30:1-20:1) brown liquid (82%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*)  $\delta$  8.60 – 8.56 (m, 1H), 7.97 – 7.92 (m, 1H), 7.60 – 7.57 (m, 1H), 7.46 – 7.43 (m, 1H), 7.41 – 7.32 (m, 4H), 3.16 – 3.10 (m, 3H), 2.85 – 2.78 (m, 2H), 2.77 – 2.63 (m, 3H), 2.09 – 2.03 (m, 2H), 1.91 – 1.85 (m, 2H), 1.68 (s, 3H), 1.41 (t,  $J$  = 7.6 Hz, 3H), 1.32 (s, 9H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*)  $\delta$  172.7, 154.4, 138.1, 134.2, 131.5, 130.0, 127.6, 127.3, 127.2, 126.6, 125.5, 124.3, 124.0, 120.0, 118.1, 116.3, 79.2, 71.3, 53.8, 49.8, 44.0, 43.2, 28.2, 22.3, 18.5, 13.4.

**HRMS-ESI** (m/z)  $[M + H]^+$  calcd for C<sub>29</sub>H<sub>36</sub>N<sub>3</sub>O<sub>3</sub>: 474.2751; Found, 474.2754.





**4bn**

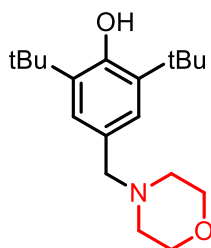
**1,3-dimethyl-3-(pyrrolidin-1-ylmethyl)indolin-2-one (4bn):**

Purification by flash chromatography (PE/EA = 4:1-2:1) yellow oil (35%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*)  $\delta$  7.27 – 7.23 (m, 2H), 7.06 – 7.02 (m, 1H), 6.83 – 6.81 (m, 1H), 3.21 (s, 3H), 3.14 – 3.11 (m, 1H), 2.80 – 2.77 (m, 1H), 2.34 – 2.28 (m, 2H), 2.20 – 2.15 (m, 2H), 1.56 – 1.46 (m, 4H), 1.30 (s, 3H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*)  $\delta$  180.3, 143.6, 134.1, 127.5, 122.9, 122.0, 107.6, 63.5, 55.7, 49.7, 26.1, 23.8, 21.8.

**HRMS-ESI** (m/z) [M + H]<sup>+</sup> calcd for C<sub>15</sub>H<sub>21</sub>N<sub>2</sub>O: 245.1648; Found, 245.1644.



**5a**

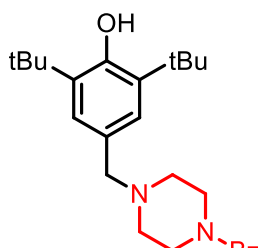
**2,6-di-tert-butyl-4-(morpholinomethyl)phenol (5a):**

Purification by flash chromatography (PE/EA = 10:1-4:1) yellow oil (40%);

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*)  $\delta$  7.09 (s, 2H), 5.17 (s, 1H), 3.71 (t, *J* = 4.4 Hz, 4H), 3.42 (s, 2H), 2.48-2.38 (m, 4H), 1.44 (s, 18H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*)  $\delta$  152.7, 135.5, 127.9, 125.8, 67.0, 63.5, 53.4, 34.2, 30.3.

**HRMS-ESI** (m/z) [M + H]<sup>+</sup> calcd for C<sub>19</sub>H<sub>32</sub>NO<sub>2</sub>: 306.2428; Found, 306.2430.



**5b**

**(4-(3,5-di-tert-butyl-4-hydroxybenzyl)piperazin-1-yl)(phenyl)methanone (5b):**

Purification by flash chromatography (PE/EA = 10:1-4:1) yellow solid (57%); m.p.190-191 °C

**<sup>1</sup>H NMR** (400 MHz, Chloroform-*d*) δ 7.41 – 7.38 (m, 5H), 7.10 – 7.05 (m, 2H), 5.15 (s, 1H), 3.90 – 3.74 (m, 2H), 3.51 – 3.38 (m, 4H), 2.60 – 2.34 (m, 4H), 1.43 (s, 18H).

**<sup>13</sup>C NMR** (101 MHz, Chloroform-*d*) δ 170.2, 153.0, 135.9, 135.7, 129.6, 128.4, 127.0, 125.8, 63.0, 53.2, 52.7, 47.7, 42.2, 34.3, 30.3.

**HRMS-ESI** (m/z) [M + H]<sup>+</sup> calcd for C<sub>26</sub>H<sub>37</sub>N<sub>2</sub>O<sub>2</sub>: 409.2850; Found, 409.2852.

## 7 References.

1. for compound **1**: (a) F.-L. Zeng, H.-L. Zhu, X.-L. Chen, L.-B. Qu and B. Yu, *Green Chemistry*, 2021, 23, 3677-3682; (b) Y.-L. Wei, J.-Q. Chen, B. Sun and P.-F. Xu, *Chem. Commun.*, 2019, 55, 5922-5925; for compound **2**: (c) H. Zhao, X. Chen, H. Jiang and M. Zhang, *Org. Chem. Front.*, 2018, 5, 539-543; (d) B.-S. Zhang, F. Wang, Y.-H. Yang, X.-Y. Gou, Y.-F. Qiu, X.-C. Wang, Y.-M. Liang, Y. Li and Z.-J. Quan, *Org. Lett.*, 2020, 22, 8267-8271.

## 8 NMR Data of Products.

