

Supporting Information

Rhodium-catalyzed C7-alkylation of indolines with maleimides

Changduo Pan,^{a,*} Yun Wang,^a Chao Wu^a and Jin-Tao Yu^{b,*}

^a School of Chemistry & Environmental Engineering, Jiangsu University of Technology, Changzhou 213001, P. R. China

^b School of Petrochemical Engineering, Jiangsu Key Laboratory of Advanced Catalytic Materials and Technology, Changzhou University, Changzhou 213164, P. R. China

E-mail: panchangduo@jsut.edu.cn; yujintao@cczu.edu.cn

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1. General experimental details

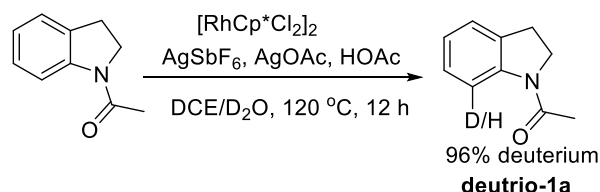
General Information: All chemicals were used as received without further purification unless stated otherwise. NMR spectra were recorded at ambient temperature on a 300 or 400 MHz NMR spectrometer. Chemical shifts (δ) are given in ppm relative to TMS, the coupling constants J are given in Hz. HRMS were recorded on a TOF LC/MS equipped with electrospray ionization (ESI) probe operating in positive or negative ion mode.

Experimental procedure for rhodium-catalyzed coupling of maleimides with *N*-acyl indoline: Under N_2 , the mixture of *N*-acyl indoline **1** (0.2 mmol), maleimide **2** (0.4 mmol), $[RhCp^*Cl_2]_2$ (5 mol%, 6.2 mg), $AgSbF_6$ (20 mol%, 13.6 mg), $AgOAc$ (20 mol%, 6.7 mg), $HOAc$ (0.4 mmol, 24 mg) and DCE (2 mL) were added into the tube and sealed. The reaction mixture was vigorously stirred at 120 °C for 24 h. Then, the solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography on silica gel to give the product.

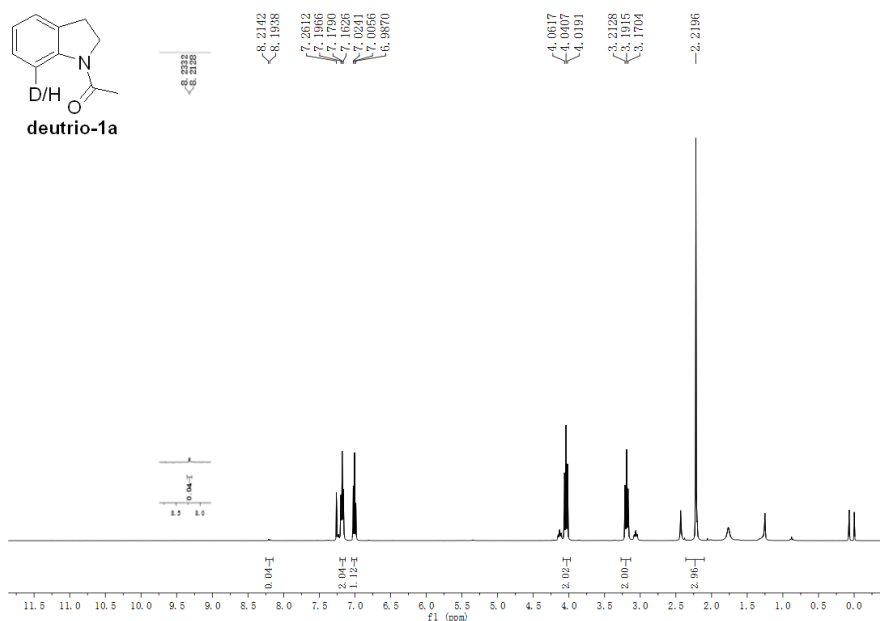
2. Mechanistic Investigation

The reaction of *N*-Acetylindoline with D_2O to give deutrio-1a:

Under N_2 , the mixture of *N*-Acetylindoline **1a** (0.1 mmol, 16.1mg), $[RhCp^*Cl_2]_2$ (5 mol%, 3.1 mg), $AgSbF_6$ (20 mol%, 3.4 mg), $AgOAc$ (20 mol%, 3.3 mg), $HOAc$ (0.2 mmol, 12 mg), DCE (1 mL) and D_2O (10 equiv, 200 mg) were added into the tube and sealed. The reaction mixture was vigorously stirred at 120 °C for 12 h. Then, the solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography on silica gel to give the **deutrio-1a** in 99% yield.

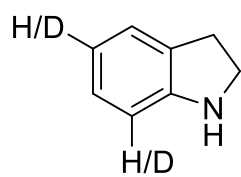


1H NMR ($CDCl_3$, 400 MHz): δ 8.20 (d, $J = 8.1$ Hz, 0.04H), 7.19-7.16 (m, 2H), 7.00 (t, $J = 7.4$ Hz, 1H), 4.04 (t, $J = 8.4$ Hz, 2H), 3.19 (t, $J = 8.4$ Hz, 2H), 2.22 (s, 3H).



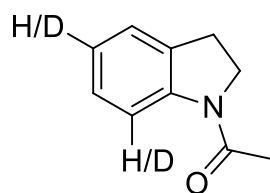
The synthesis of **1a''**¹

In an oven-dried Schlenk flask, indoline (5 mmol) was added to DCl (20 % in D₂O) under nitrogen atmosphere, and the reaction mixture was refluxed for 24 h under nitrogen. The solvent was evaporated in vacuo and the residue was dried for 2 h in vacuo. The resulting residue was dissolved in DCl (20 % in D₂O). The resulting solution was refluxed for 24 h again. After 6 repetitions, the reaction mixture was cooled to room temperature and neutralized with NaHCO₃, extracted with ethyl acetate, dried over anhydrous Na₂SO₄. The organic solvent was evaporated and a crude product **1a'** was obtained and the percentage of D atom at C5 and C7 position was 99%. Then, **1a''** was prepared by **1a'** with acetylchloride and the percentage of D atom at C5 and C7 position was 99%.



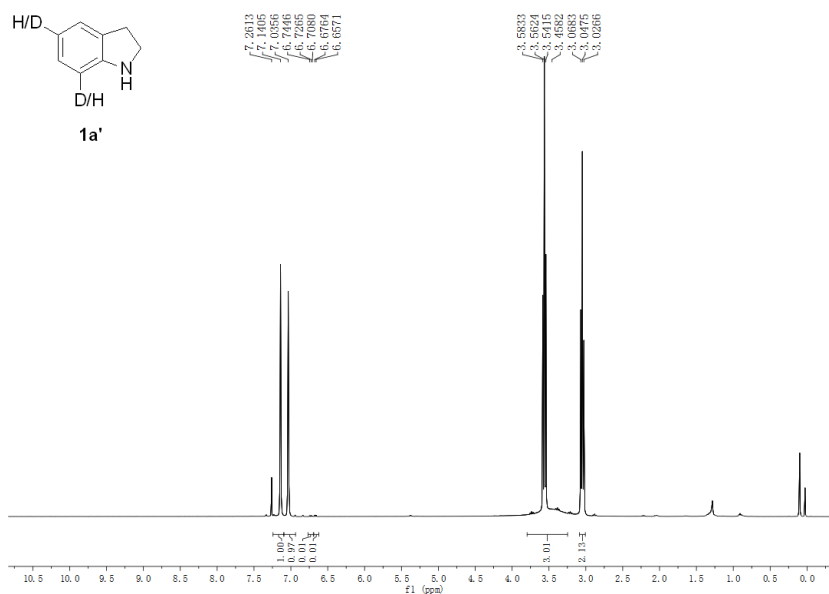
1a'

¹H NMR (CDCl₃, 400 MHz): δ 7.14 (s, 1H), 7.03 (s, 1H), 6.73 (t, *J* = 7.2 Hz, 0.01H), 6.67 (d, *J* = 7.7 Hz, 0.01H), 3.56 (t, *J* = 8.4 Hz, 2H), 3.46 (s, 1H), 3.05 (t, *J* = 8.3 Hz, 2H), 2.18 (s, 3H), 2.03 (s, 3H).

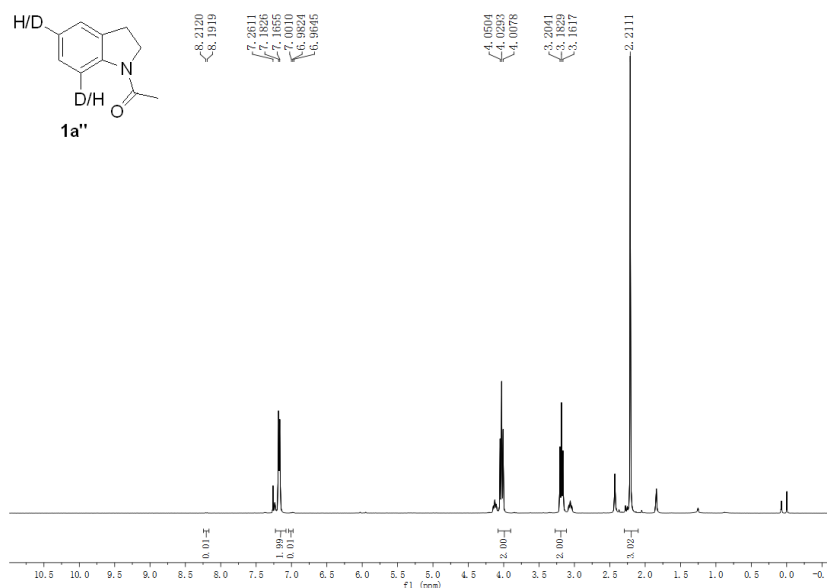


1a''

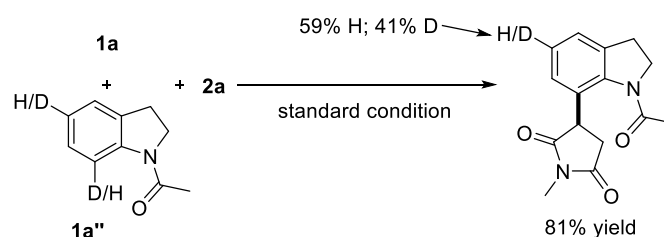
¹H NMR (CDCl₃, 400 MHz): δ 8.20 (d, *J* = 8.0 Hz, 0.01H), 7.18-7.16 (m, 2H), 6.98 (t, *J* = 7.4 Hz, 0.01H), 4.03 (t, *J* = 8.4 Hz, 2H), 3.18 (t, *J* = 8.4 Hz, 2H), 2.21 (s, 3H).



¹ Pan, C.; Abdulkader, A.; Han, J.; Cheng, Y.; Zhu, C. *Chem.–Eur. J.* **2014**, *20*, 3606.

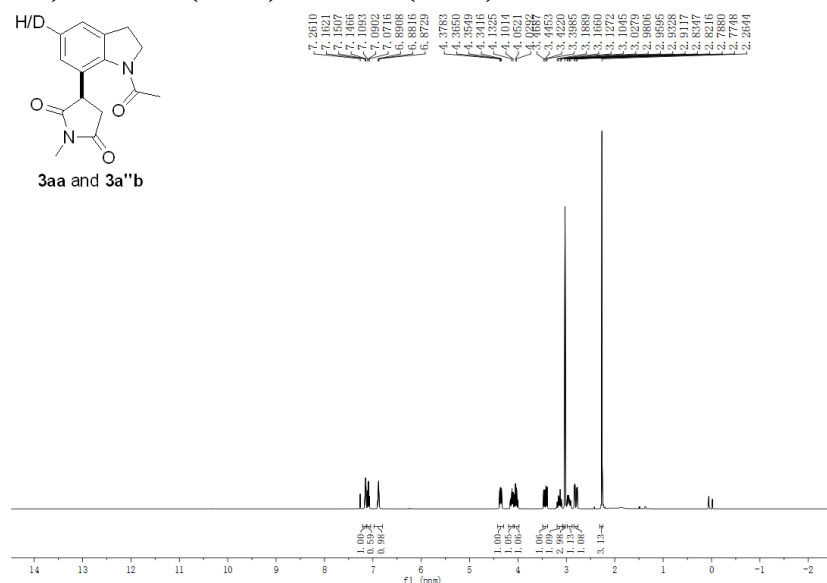


Intermolecular competition experiment with isotopically labeled **1a''**



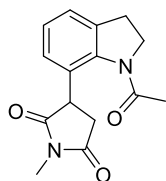
Under N₂, the mixture of **1a** (16.1 mg, 0.1 mmol), **1a''** (16.2 mg, 0.1 mmol), **2a** (44.4 mg, 0.4 mmol), [RhCp*Cl₂]₂ (5 mol%, 6.2 mg), AgSbF₆ (20 mol%, 13.6 mg), AgOAc (20 mol%, 6.7 mg), HOAc (0.4 mmol, 24 mg) and DCE (2 mL) were added into the tube and sealed. The mixture was stirred at 120 °C for 24 h. After the completion of the reaction, the solvent was evaporated under reduced pressure and the residue was purified by flash column chromatography on silica gel to give the **3aa** and **3a''b** in total 81% yield.

¹H NMR (CDCl₃, 400 MHz): δ 7.16-7.14 (m, 1H), 7.09 (t, *J* = 7.6 Hz, 0.59H), 6.89-6.87 (m, 1H), 4.38-4.34 (m, 1H), 4.16-4.10 (m, 1H), 4.07-4.00 (m, 1H), 3.47-3.40 (m, 1H), 3.19-3.10 (m, 1H), 3.03 (s, 3H), 2.98-2.91 (m, 1H), 2.83-2.77 (m, 1H).



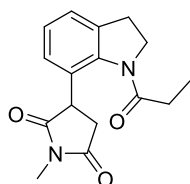
3. Experimental characterization data for compounds

3-(1-acetyllindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3aa)



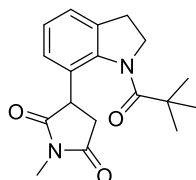
^1H NMR (CDCl_3 , 400 MHz): δ 7.16 (d, J = 7.3 Hz, 1H), 7.10 (t, J = 7.5 Hz, 1H), 6.89 (d, J = 7.7 Hz, 1H), 4.37-4.35 (m, 1H), 4.17-4.11 (m, 1H), 4.08-4.01 (m, 1H), 3.48-3.41 (m, 1H), 3.20-3.11 (m, 1H), 3.04 (s, 3H), 2.99-2.92 (m, 1H), 2.85-2.78 (m, 1H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.8, 177.3, 169.4, 141.1, 135.4, 128.8, 126.4, 126.3, 124.1, 51.0, 44.6, 37.5, 29.7, 25.1, 24.1. HRMS (ESI) m/z calcd for $\text{C}_{15}\text{H}_{17}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 273.1234, found 273.1236.

1-methyl-3-(1-propionylindolin-7-yl)pyrrolidine-2,5-dione (3ba)



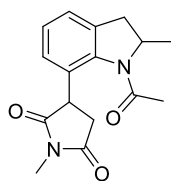
^1H NMR (CDCl_3 , 400 MHz): δ 7.17 (d, J = 7.2 Hz, 1H), 7.09 (t, J = 7.6 Hz, 1H), 6.90 (d, J = 7.7 Hz, 1H), 4.37-4.33 (m, 1H), 4.17-4.11 (m, 1H), 4.06-3.99 (m, 1H), 3.47-3.40 (m, 1H), 3.18-3.09 (m, 1H), 3.04 (s, 3H), 2.99-2.92 (m, 1H), 2.86-2.80 (m, 1H), 2.58-2.47 (m, 2H), 1.21 (t, J = 7.4 Hz, 3H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.8, 177.4, 173.0, 141.3, 135.4, 128.7, 126.4, 126.2, 124.0, 50.2, 44.7, 37.4, 29.8, 29.4, 25.0, 9.7. HRMS (ESI) m/z calcd for $\text{C}_{16}\text{H}_{19}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 287.1390, found 287.1387.

1-methyl-3-(1-pivaloylindolin-7-yl)pyrrolidine-2,5-dione (3ca)



^1H NMR (CDCl_3 , 400 MHz): δ 7.17 (d, J = 7.3 Hz, 1H), 7.09 (t, J = 7.6 Hz, 1H), 6.93 (d, J = 7.8 Hz, 1H), 4.34-4.28 (m, 1H), 4.05-3.98 (m, 1H), 3.39-3.32 (m, 1H), 3.15-3.08 (m, 1H), 3.05 (s, 3H), 2.97-2.90 (m, 1H), 2.85-2.79 (m, 1H), 1.35 (s, 9H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.9, 178.6, 177.4, 142.9, 135.3, 129.3, 126.4, 125.9, 123.9, 51.1, 44.5, 39.8, 36.5, 30.9, 28.4, 25.1. HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{23}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 315.1703, found 315.1704.

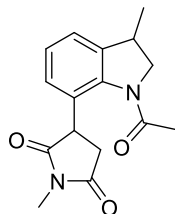
3-(1-acetyl-2-methylindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3da)



^1H NMR (CDCl_3 , 400 MHz): δ 7.28 (d, J = 7.6 Hz, 0.47H), 7.18 (d, J = 7.3 Hz, 1H), 7.14-7.09 (m, 1H), 6.86 (d, J = 7.6 Hz, 0.56H), 4.81-4.78 (m, 0.38H), 4.55-4.50 (m, 1H), 4.30-4.26 (m, 0.57H), 3.54-3.38 (m, 1.6H), 3.03 (s, 3H), 3.00-2.88 (m, 1H), 2.59-2.49 (m, 1.4H), 2.28 (s, 3H), 1.34 (d, J = 6.6 Hz, 1.66H), 1.27 (d, J = 6.6 Hz, 1.38H). ^{13}C NMR (CDCl_3 , 75 MHz): δ 178.8,

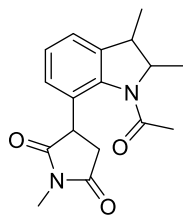
177.5, 177.3, 176.6, 169.1, 168.1, 139.8, 138.8, 134.6, 134.3, 129.5, 128.4, 126.8, 126.5, 126.1, 125.8, 124.7, 124.5, 58.1, 58.0, 44.5, 43.9, 36.9, 36.7, 25.0, 24.9, 23.2, 23.1, 20.8, 20.4. HRMS (ESI) m/z calcd for $C_{16}H_{19}N_2O_3$ ($M+H$)⁺ 287.1390, found 287.1391.

3-(1-acetyl-3-methylindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3ea)



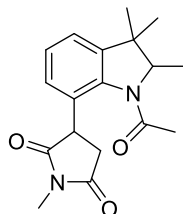
¹H NMR ($CDCl_3$, 400 MHz): δ 7.15-7.09 (m, 2H), 6.94-6.92 (m, 0.47H), 6.87-6.85 (m, 0.49H), 4.44-4.40 (m, 0.47H), 4.33-4.30 (m, 0.5H), 4.25-4.17 (m, 1.0H), 3.68-3.64 (m, 0.49H), 3.60-3.55 (m, 0.53H), 3.51-3.32 (m, 1.53H), 3.27-3.21 (m, 0.5H), 3.03 (s, 3H), 2.86-2.77 (m, 1H), 2.26 (s, 3H), 1.31 (d, J = 6.7 Hz, 1.57H), 1.26 (d, J = 6.9 Hz, 1.59H). ¹³C NMR ($CDCl_3$, 75 MHz): δ 178.9, 178.6, 177.7, 177.2, 169.5, 169.3, 141.0, 140.6, 140.5, 140.4, 128.8, 128.7, 126.6, 126.5, 126.4, 126.2, 123.1, 122.5, 58.8, 58.5, 44.5, 44.4, 37.5, 37.4, 36.3, 36.2, 25.1, 25.0, 24.02, 24.00, 19.4, 16.8. HRMS (ESI) m/z calcd for $C_{16}H_{19}N_2O_3$ ($M+H$)⁺ 287.1390, found 287.1391.

3-(1-acetyl-2,3-dimethylindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3fa)



¹H NMR ($CDCl_3$, 400 MHz): δ 7.24 (s, 0.27H), 7.13-7.06 (m, 2H), 6.84-6.83 (m, 0.71H), 4.78-4.75 (m, 0.27H), 4.27-4.23 (m, 0.7H), 4.02-3.98 (m, 1H), 3.49-3.43 (m, 0.74H), 3.00 (s, 3H), 2.92-2.86 (m, 1H), 2.77-2.69 (m, 1H), 2.51-2.45 (m, 0.29H), 2.22 (s, 3H), 1.29 (d, J = 6.6 Hz, 2H), 1.23-1.19 (m, 4H). ¹³C NMR ($CDCl_3$, 75 MHz): δ 178.8, 177.5, 177.3, 176.6, 169.5, 168.5, 139.9, 139.6, 138.9, 134.3, 138.0, 129.5, 128.5, 126.9, 126.6, 126.3, 125.9, 124.0, 123.8, 65.8, 44.5, 43.9, 43.8, 43.6, 36.9, 25.0, 24.9, 23.2, 23.1, 20.8, 20.7, 20.3, 20.0. HRMS (ESI) m/z calcd for $C_{17}H_{21}N_2O_3$ ($M+H$)⁺ 301.1547, found 301.1550.

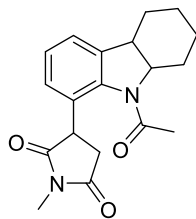
3-(1-acetyl-2,3,3-trimethylindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3ga)



¹H NMR ($CDCl_3$, 400 MHz): δ 7.28 (d, J = 6.1 Hz, 0.25H), 7.18-7.12 (m, 1H), 7.7 (d, J = 7.4 Hz, 1H), 6.86 (d, J = 7.4 Hz, 0.72H), 4.81-4.78 (m, 0.23H), 4.31-4.27 (m, 0.7H), 4.07-4.02 (m, 1H), 3.52-3.45 (m, 0.73H), 3.04 (s, 3H), 3.01-2.89 (m, 1H), 2.54-2.48 (m, 0.25H), 1.30 (s, 3H), 1.24-1.16 (m, 6H). ¹³C NMR ($CDCl_3$, 75 MHz): δ 178.8, 177.5, 177.2, 176.5, 169.2, 168.2, 143.6, 143.3, 139.1, 138.1, 129.4, 128.5, 126.9, 126.7, 126.2, 125.9, 121.8, 121.5, 69.7,

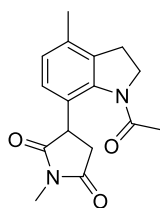
44.3, 44.2, 44.0, 43.7, 36.8, 36.7, 29.6, 29.5, 25.0, 24.9, 23.24, 23.2, 20.3, 20.2, 16.3, 16.1. HRMS (ESI) m/z calcd for $C_{18}H_{23}N_2O_3$ ($M+H$)⁺ 315.1703, found 315.1706.

3-(9-acetyl-2,3,4,4a,9,9a-hexahydro-1H-carbazol-8-yl)-1-methylpyrrolidine-2,5-dione (3ha)



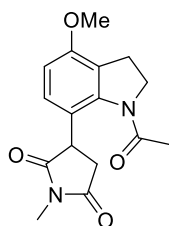
¹H NMR ($CDCl_3$, 400 MHz): δ 7.24 (d, J = 7.3 Hz, 0.27H), 7.18-7.09 (m, 2H), 6.84 (d, J = 7.6 Hz, 0.69H), 4.81-4.77 (m, 0.26H), 4.35-4.28 (m, 1.66H), 3.54-3.43 (m, 1.76H), 3.02 (s, 3H), 2.93-2.86 (m, 1H), 2.55-2.49 (m, 0.35H), 2.32-2.27 (m, 4H), 2.01-1.97 (m, 1H), 1.84-1.74 (m, 1H), 1.64-1.50 (m, 1H), 1.45-1.34 (m, 0.85H), 1.26-1.09 (m, 2.28H). ¹³C NMR ($CDCl_3$, 75 MHz): δ 178.8, 177.7, 177.3, 176.6, 168.5, 141.1, 140.1, 138.0, 137.8, 129.7, 128.7, 126.6, 125.9, 125.7, 122.2, 122.0, 63.9, 44.2, 43.8, 41.1, 40.8, 36.8, 36.7, 27.6, 25.0, 24.9, 24.5, 24.4, 23.3, 23.2, 22.9, 22.8, 20.4, 20.3. HRMS (ESI) m/z calcd for $C_{19}H_{23}N_2O_3$ ($M+H$)⁺ 327.1703, found 327.1707.

3-(1-acetyl-4-methylindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3ia)



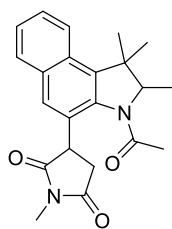
¹H NMR ($CDCl_3$, 400 MHz): δ 6.92 (d, J = 7.9 Hz, 1H), 6.79 (d, J = 7.9 Hz, 1H), 4.33-4.29 (m, 1H), 4.17-4.11 (m, 1H), 4.06-3.99 (m, 1H), 3.46-3.39 (m, 1H), 3.06-2.98 (m, 1H), 3.02 (s, 3H), 2.90-2.76 (m, 2H), 2.25 (s, 3H), 2.20 (s, 3H). ¹³C NMR ($CDCl_3$, 100 MHz): δ 179.1, 177.5, 169.4, 140.7, 133.9, 133.5, 127.4, 126.2, 126.0, 50.7, 44.4, 37.6, 28.4, 25.0, 24.1, 18.5. HRMS (ESI) m/z calcd for $C_{16}H_{19}N_2O_3$ ($M+H$)⁺ 287.1390, found 287.1392.

3-(1-acetyl-4-methoxyindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3ja)



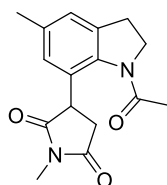
¹H NMR ($CDCl_3$, 400 MHz): δ 6.86 (d, J = 8.6 Hz, 1H), 6.66 (d, J = 8.6 Hz, 1H), 4.34-4.30 (m, 1H), 4.16-4.11 (m, 1H), 4.08-4.00 (m, 1H), 3.80 (s, 3H), 3.45-3.38 (m, 1H), 3.03 (s, 3H), 2.99-2.88 (m, 2H), 2.81-2.75 (m, 1H), 2.25 (s, 3H). ¹³C NMR ($CDCl_3$, 100 MHz): δ 179.3, 177.5, 169.5, 155.1, 142.4, 127.7, 122.4, 121.2, 108.6, 55.5, 51.2, 44.1, 37.7, 26.5, 25.0, 24.2. HRMS (ESI) m/z calcd for $C_{16}H_{19}N_2O_4$ ($M+H$)⁺ 303.1339, found 303.1341.

3-(3-acetyl-1,1,2-trimethyl-2,3-dihydro-1H-benzo[e]indol-4-yl)-1-methylpyrrolidine-2,5-dione (3ka)



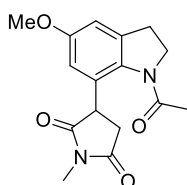
^1H NMR (CDCl_3 , 400 MHz): δ 7.99 (d, J = 8.4 Hz, 1H), 7.87 (s, 0.19H), 7.82 (d, J = 8.1 Hz, 0.2H), 7.74 (d, J = 8.1 Hz, 0.78H), 7.45-7.33 (m, 2.77H), 5.00-4.97 (m, 0.17H), 4.36-4.32 (m, 0.75H), 4.10-4.05 (m, 1H), 3.56-3.49 (m, 0.86H), 3.10-3.04 (m, 4H), 2.49-2.43 (m, 0.22H), 2.29 (s, 3H), 1.64-1.62 (m, 3H), 1.43 (s, 3H), 1.32 (d, J = 6.6 Hz, 2.46H), 1.24 (d, J = 7.0 Hz, 0.59H). ^{13}C NMR (CDCl_3 , 75 MHz): δ 178.6, 177.6, 177.3, 176.2, 169.6, 137.8, 136.9, 136.3, 135.8, 133.1, 132.7, 129.6, 129.5, 129.2, 129.1, 128.8, 128.3, 126.5, 126.4, 126.3, 126.1, 125.1, 124.9, 122.7, 122.5, 70.6, 70.5, 46.5, 46.2, 43.9, 43.3, 37.3, 36.8, 27.6, 25.1, 25.0, 23.2, 23.1, 22.3, 16.2, 16.1. HRMS (ESI) m/z calcd for $\text{C}_{22}\text{H}_{25}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 365.1860, found 365.1867.

3-(1-acetyl-5-methylindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3la)



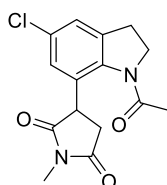
^1H NMR (CDCl_3 , 400 MHz): δ 6.96 (s, 1H), 6.65 (s, 1H), 4.37-4.34 (m, 1H), 4.14-4.08 (m, 1H), 4.05-3.98 (m, 1H), 3.46-3.39 (m, 1H), 3.14-3.06 (m, 1H), 3.04 (s, 3H), 2.93-2.86 (m, 1H), 2.82-2.76 (m, 1H), 2.26 (s, 3H), 2.25 (s, 3H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.9, 177.4, 169.3, 138.8, 136.2, 135.5, 128.3, 126.5, 124.9, 51.2, 44.5, 37.6, 29.7, 25.1, 24.0, 21.0. HRMS (ESI) m/z calcd for $\text{C}_{16}\text{H}_{19}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 287.1390, found 287.1391.

3-(1-acetyl-5-methoxyindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3ma)



^1H NMR (CDCl_3 , 400 MHz): δ 6.72 (s, 1H), 6.38 (s, 1H), 4.39-4.36 (m, 1H), 4.13-3.99 (m, 2H), 3.72 (s, 3H), 3.44-3.37 (m, 1H), 3.15-3.07 (m, 1H), 3.02 (s, 3H), 2.94-2.87 (m, 1H), 2.82-2.76 (m, 1H), 2.24 (s, 3H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.6, 177.2, 169.1, 137.0, 134.6, 129.5, 111.3, 109.9, 55.7, 51.2, 44.5, 37.4, 30.1, 25.1, 23.8. HRMS (ESI) m/z calcd for $\text{C}_{16}\text{H}_{19}\text{N}_2\text{O}_4$ ($\text{M}+\text{H}$) $^+$ 303.1339, found 303.1341.

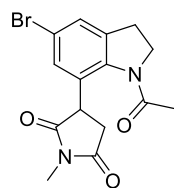
3-(1-acetyl-5-chloroindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3na)



^1H NMR (CDCl_3 , 400 MHz): δ 7.12 (s, 1H), 6.87 (s, 1H), 4.34-4.31 (m, 1H), 4.13-4.00 (m, 2H), 3.42-3.35 (m, 1H), 3.16-3.07 (m, 1H), 3.02 (s, 3H), 2.97-2.90 (m, 1H), 2.79-2.73 (m, 1H), 2.24 (s, 3H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.1, 176.8, 169.5, 139.9, 137.4,

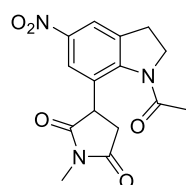
131.3, 129.9, 126.3, 124.3, 51.1, 44.1, 37.1, 29.6, 25.1, 23.9. HRMS (ESI) m/z calcd for $C_{15}H_{16}ClN_2O_3$ ($M+H$)⁺ 307.0844, found 307.0846.

3-(1-acetyl-5-bromoindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3oa)



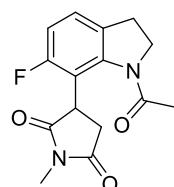
¹H NMR ($CDCl_3$, 400 MHz): δ 7.28 (s, 1H), 7.02 (s, 1H), 4.35-4.31 (m, 1H), 4.16-4.02 (m, 2H), 3.44-3.37 (m, 1H), 3.21-3.09 (m, 1H), 3.04 (s, 3H), 2.99-2.92 (m, 1H), 2.81-2.74 (m, 1H), 2.26 (s, 3H). ¹³C NMR ($CDCl_3$, 100 MHz): δ 178.1, 176.8, 169.4, 140.5, 137.7, 130.4, 129.2, 127.2, 118.9, 51.0, 44.4, 37.1, 29.5, 25.2, 23.9. HRMS (ESI) m/z calcd for $C_{15}H_{16}BrN_2O_3$ ($M+H$)⁺ 351.0339, found 351.0344.

3-(1-acetyl-5-nitroindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3pa)



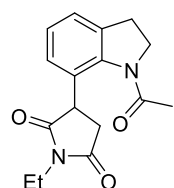
¹H NMR ($CDCl_3$, 400 MHz): δ 8.00 (s, 1H), 7.85 (s, 1H), 4.38-4.34 (m, 1H), 4.25-4.13 (m, 2H), 3.48-3.41 (m, 1H), 3.30-3.20 (m, 1H), 3.13-3.08 (m, 1H), 3.05 (s, 3H), 2.86-2.79 (m, 1H), 2.30 (s, 3H). ¹³C NMR ($CDCl_3$, 100 MHz): δ 177.6, 176.3, 169.8, 146.8, 145.6, 137.3, 129.0, 123.4, 119.4, 51.2, 44.6, 36.9, 29.2, 25.3, 24.1. HRMS (ESI) m/z calcd for $C_{15}H_{16}N_3O_5$ ($M+H$)⁺ 318.1084, found 318.1083.

3-(1-acetyl-6-fluoroindolin-7-yl)-1-methylpyrrolidine-2,5-dione (3pa)



¹H NMR ($CDCl_3$, 400 MHz): δ 7.12-7.09 (m, 1H), 6.83-6.78 (m, 1H), 4.21-4.03 (m, 3H), 3.54-3.47 (m, 1H), 3.19-3.10 (m, 1H), 3.02 (s, 3H), 2.92-2.84 (m, 2H), 2.26 (s, 3H). ¹³C NMR ($CDCl_3$, 75 MHz): δ 173.4, 176.9, 169.7, 160.9 (d, J_{C-F} = 240.9 Hz), 142.8 (d, J_{C-F} = 8.2 Hz), 130.5 (d, J_{C-F} = 2.6 Hz), 124.6 (d, J_{C-F} = 10.6 Hz), 117.1 (d, J_{C-F} = 18.0 Hz), 112.3 (d, J_{C-F} = 23.0 Hz), 51.6, 41.5, 36.0, 29.1, 24.9, 23.9. HRMS (ESI) m/z calcd for $C_{15}H_{16}FN_2O_3$ ($M+H$)⁺ 291.1139, found 291.1137.

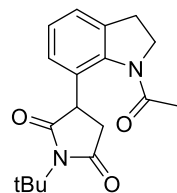
3-(1-acetylindolin-7-yl)-1-ethylpyrrolidine-2,5-dione (3ab)



¹H NMR ($CDCl_3$, 400 MHz): δ 7.16 (d, J = 7.2 Hz, 1H), 7.10 (t, J = 7.6 Hz, 1H), 6.87 (d, J = 7.7 Hz, 1H), 4.36-4.32 (m, 1H), 4.14-4.01 (m, 2H), 3.63-3.58 (m, 2H), 3.46-3.39 (m,

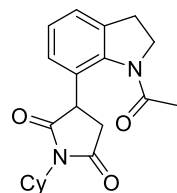
1H), 3.20-3.12 (s, 1H), 2.99-2.92 (m, 1H), 2.83-2.76 (m, 1H), 2.28 (s, 3H), 1.19 (t, $J = 7.2$ Hz, 3H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.5, 177.1, 169.4, 141.1, 135.4, 129.1, 126.4, 126.1, 124.0, 51.0, 44.4, 37.6, 33.9, 29.8, 24.1, 13.1. HRMS (ESI) m/z calcd for $\text{C}_{16}\text{H}_{19}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 287.1390, found 287.1391.

3-(1-acetyllindolin-7-yl)-1-(tert-butyl)pyrrolidine-2,5-dione (3ac)



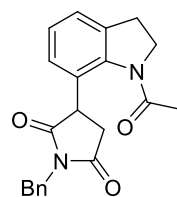
^1H NMR (CDCl_3 , 400 MHz): δ 7.15 (d, $J = 7.1$ Hz, 1H), 7.15 (t, $J = 7.5$ Hz, 1H), 6.88 (d, $J = 7.5$ Hz, 1H), 4.22-4.10 (m, 2H), 4.08-3.99 (m, 1H), 3.33-3.26 (m, 1H), 3.19-3.11 (m, 1H), 2.96-2.89 (m, 1H), 2.75-2.68 (m, 1H), 2.27 (s, 3H), 1.59 (s, 9H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 179.8, 178.2, 169.3, 141.2, 135.3, 129.7, 126.4, 125.9, 123.8, 58.5, 51.0, 44.4, 37.8, 29.8, 28.4, 24.1. HRMS (ESI) m/z calcd for $\text{C}_{18}\text{H}_{23}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 315.1703, found 315.1701.

3-(1-acetyllindolin-7-yl)-1-cyclohexylpyrrolidine-2,5-dione (3ad)



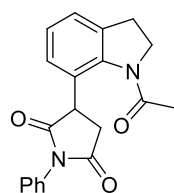
^1H NMR (CDCl_3 , 400 MHz): δ 7.14 (d, $J = 7.2$ Hz, 1H), 7.08 (t, $J = 7.6$ Hz, 1H), 6.85 (d, $J = 7.6$ Hz, 1H), 4.29-4.25 (m, 1H), 4.15-3.97 (m, 3H), 3.39-3.32 (m, 1H), 3.18-3.10 (m, 1H), 2.97-2.90 (m, 1H), 2.77-2.71 (m, 1H), 2.26 (s, 3H), 2.20-2.12 (m, 2H), 1.82-1.79 (m, 2H), 1.63-1.61 (m, 3H), 1.35-1.18 (m, 3H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.8, 177.3, 169.3, 141.2, 135.4, 129.5, 126.3, 125.9, 123.9, 51.8, 51.0, 44.1, 37.4, 29.8, 28.9, 28.8, 25.9, 25.1, 24.1. HRMS (ESI) m/z calcd for $\text{C}_{20}\text{H}_{25}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 341.1860, found 341.1863.

3-(1-acetyllindolin-7-yl)-1-benzylpyrrolidine-2,5-dione (3ae)



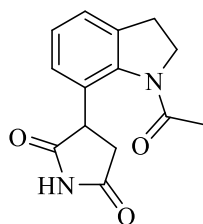
^1H NMR (CDCl_3 , 400 MHz): δ 7.42 (d, $J = 6.9$ Hz, 2H), 7.35-7.28 (m, 3H), 7.16 (d, $J = 7.3$ Hz, 1H), 7.07 (t, $J = 7.6$ Hz, 1H), 6.81 (d, $J = 7.7$ Hz, 1H), 4.77-4.68 (m, 2H), 4.40-4.36 (m, 1H), 4.17-4.11 (m, 1H), 4.07-4.00 (m, 1H), 3.51-3.43 (m, 1H), 3.21-3.12 (m, 1H), 2.99-2.92 (m, 1H), 2.87-2.81 (m, 1H), 2.26 (s, 3H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.4, 176.8, 169.4, 141.1, 136.0, 135.4, 128.9, 128.8, 128.7, 127.9, 126.4, 126.1, 124.1, 51.0, 44.5, 42.5, 37.6, 29.8, 24.0. HRMS (ESI) m/z calcd for $\text{C}_{21}\text{H}_{21}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 349.1547, found 349.1551.

3-(1-acetylmindolin-7-yl)-1-phenylpyrrolidine-2,5-dione (3af)



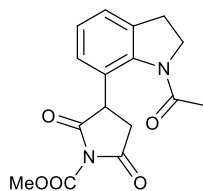
^1H NMR (CDCl_3 , 400 MHz): δ 7.49-7.45 (m, 2H), 7.40-7.33 (m, 3H), 7.20-7.12 (m, 2H), 7.06 (d, $J = 7.4$ Hz, 1H), 4.57-4.54 (m, 1H), 4.15-4.00 (m, 2H), 3.60-3.53 (m, 1H), 3.18-2.93 (m, 3H), 2.28 (s, 3H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 177.5, 176.2, 169.5, 141.0, 135.6, 132.3, 129.2, 128.6, 128.5, 126.8, 126.7, 126.3, 124.2, 50.9, 44.9, 37.4, 29.7, 24.1. HRMS (ESI) m/z calcd for $\text{C}_{20}\text{H}_{19}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 335.1390, found 335.1393.

3-(1-acetylmindolin-7-yl)pyrrolidine-2,5-dione (3ag)



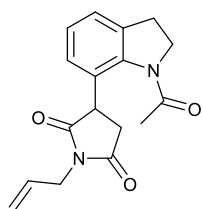
^1H NMR (CDCl_3 , 400 MHz): δ 8.28 (s, 1H), 7.22-7.14 (m, 2H), 7.02 (d, $J = 7.4$ Hz, 1H), 4.48-4.44 (m, 1H), 4.20-4.14 (m, 1H), 4.12-4.03 (m, 1H), 3.55-3.48 (m, 1H), 3.24-3.16 (m, 1H), 3.01-2.88 (m, 2H), 2.32 (s, 3H). ^{13}C NMR (CDCl_3 , 100 MHz): δ 178.8, 177.0, 169.6, 141.0, 135.5, 128.4, 126.5, 126.4, 124.2, 50.9, 46.0, 38.6, 29.7, 24.1. HRMS (ESI) m/z calcd for $\text{C}_{14}\text{H}_{15}\text{N}_2\text{O}_3$ ($\text{M}+\text{H}$) $^+$ 259.1077, found 259.1078.

methyl 3-(1-acetylmindolin-7-yl)-2,5-dioxopyrrolidine-1-carboxylate (3ah)



^1H NMR (CDCl_3 , 300 MHz): δ 7.20 (d, $J = 7.4$ Hz, 1H), 7.13 (t, $J = 7.5$ Hz, 1H), 6.99 (d, $J = 7.4$ Hz, 1H), 4.49-4.44 (m, 1H), 4.19-4.04 (m, 2H), 3.99 (s, 3H), 3.53-3.44 (m, 1H), 3.22-3.10 (m, 1H), 3.06-2.98 (m, 2H), 2.28 (s, 3H). ^{13}C NMR (CDCl_3 , 75 MHz): δ 173.8, 172.4, 169.5, 149.0, 140.9, 135.6, 127.2, 127.1, 126.3, 124.4, 54.9, 50.9, 45.3, 37.4, 29.6, 24.0. HRMS (ESI) m/z calcd for $\text{C}_{16}\text{H}_{17}\text{N}_2\text{O}_5$ ($\text{M}+\text{H}$) $^+$ 317.1132, found 317.1134.

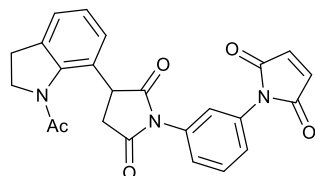
3-(1-acetylmindolin-7-yl)-1-allylpyrrolidine-2,5-dione (3ai)



^1H NMR (CDCl_3 , 300 MHz): δ 7.18-7.08 (m, 2H), 6.89 (d, $J = 7.2$ Hz, 1H), 5.89-5.76 (m, 1H), 5.29-5.23 (m, 1H), 5.22-5.18 (m, 1H), 4.42-4.37 (m, 1H), 4.17-4.09 (m, 3H), 4.07-4.00 (m, 1H), 3.51-3.41 (m, 1H), 3.22-3.10 (m, 1H), 3.00-2.86 (m, 1H), 2.88-2.79 (m, 1H), 2.28 (s, 3H). ^{13}C NMR (CDCl_3 , 75 MHz): δ 178.2, 176.7, 169.3, 141.1, 135.4, 130.9, 128.9, 126.4,

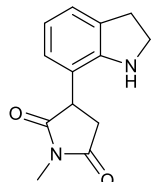
126.1, 124.1, 118.4, 51.0, 44.5, 41.0, 37.5, 29.7, 24.1. HRMS (ESI) m/z calcd for $C_{17}H_{19}N_2O_3$ ($M+H$)⁺ 299.1390, found 299.1391.

1-(3-(3-(1-acetyllindolin-7-yl)-2,5-dioxopyrrolidin-1-yl)phenyl)-1H-pyrrole-2,5-dione (3aj)



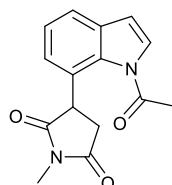
¹H NMR ($CDCl_3$, 300 MHz): δ 7.52-7.47 (m, 1H), 7.43-7.42 (m, 1H), 7.39-7.31 (m, 2H), 7.15-7.06 (m, 2H), 7.01-6.98 (m, 1H), 6.78 (s, 2H), 4.50-4.45 (m, 1H), 4.12-3.95 (m, 2H), 3.54-3.44 (m, 1H), 3.15-3.03 (m, 2H), 2.97-2.88 (m, 1H), 2.32 (s, 3H). ¹³C NMR ($CDCl_3$, 75 MHz): δ 177.0, 175.7, 169.5, 169.0, 140.9, 135.6, 134.3, 132.8, 131.9, 129.5, 128.1, 127.2, 126.3, 125.6, 125.3, 124.3, 123.5, 50.9, 45.0, 37.2, 29.7, 24.1. HRMS (ESI) m/z calcd for $C_{24}H_{20}N_3O_5$ ($M+H$)⁺ 430.1397, found 430.1402.

3-(indolin-7-yl)-1-methylpyrrolidine-2,5-dione (4)



¹H NMR ($CDCl_3$, 300 MHz): δ 7.09-7.07 (m, 1H), 6.81-6.70 (m, 2H), 4.08-4.04 (m, 1H), 3.64-3.58 (m, 2H), 3.50 (s, 1H), 3.14-3.02 (m, 7H). ¹³C NMR ($CDCl_3$, 75 MHz): δ 178.6, 176.4, 150.9, 131.1, 124.2, 123.8, 119.6, 117.9, 46.8, 41.8, 34.1, 30.2, 25.1. HRMS (ESI) m/z calcd for $C_{13}H_{15}N_2O_2$ ($M+H$)⁺ 231.1128, found 231.1129.

3-(1-acetyl-1H-indol-7-yl)-1-methylpyrrolidine-2,5-dione (5)



¹H NMR ($CDCl_3$, 400 MHz): δ 7.51 (d, J = 7.2 Hz, 1H), 7.43 (d, J = 3.9 Hz, 1H), 7.29 (t, J = 7.6 Hz, 1H), 7.03 (d, J = 7.2 Hz, 1H), 6.67 (d, J = 3.9 Hz, 1H), 5.10-5.07 (m, 1H), 3.48-3.41 (m, 1H), 3.08 (s, 3H), 2.92-2.88 (m, 1H), 2.65 (s, 3H). ¹³C NMR ($CDCl_3$, 75 MHz): δ 179.1, 177.1, 169.0, 133.2, 127.3, 124.9, 120.9, 109.6, 38.6, 25.1, 24.8. HRMS (ESI) m/z calcd for $C_{15}H_{15}N_2O_3$ ($M+H$)⁺ 271.1077, found 271.1079.

4. Copies of ^1H NMR and ^{13}C NMR spectra of the products

