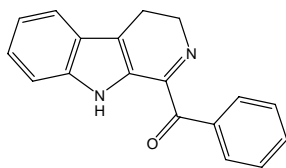


Spectroscopic data for compounds **5** and **6**.

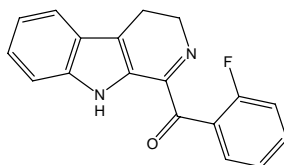
All compounds were synthesised as described in the communication (table 1, footnote 11). NMR spectra were recorded on a Bruker DPX 300 (^1H , 300.13 MHz; ^{13}C , 75.47 MHz) spectrometer. Chemical shifts were measured relative to chloroform (^{13}C δ 77.0) or dimethylsulfoxide (^{13}C δ 39.5) and are expressed in ppm. Coupling constants J are expressed in Hertz and the measured values are corrected to one decimal place. Fast Atom Bombardment (FAB) mass spectra were recorded on a Kratos Concept 1H using xenon and *m*-nitrobenzyl alcohol as the matrix. Electrospray (ES) mass spectra were recorded on a Micromass Quattro LC spectrometer. Accurate mass was measured on a Kratos Concept 1H spectrometer using peak matching to a stable reference peak. Melting points were recorded on a Reichert Kofler thermopan and are uncorrected.

1) 1-Benzoyl-3,4-dihydro- β -carboline **5a**:



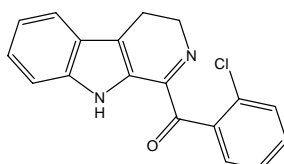
Red sticky gum; $\nu_{\text{max}}/\text{cm}^{-1}$ 3447, 1656, 1539, 1316, 1189, 1173, 954, 743, 789, 728; $^1\text{H-NMR}$ (300 MHz, CDCl_3) δ 3.03 (2H, t, J 8.8), 4.18 (2H, t, J 8.8), 7.18 (1H, t, J 7.6), 7.32 (1H, t, J 7.6), 7.40 (1H, d, J 8.2), 7.49 (2H, t, J 7.7), 7.58-7.66 (2H, m), 8.19 (2H, d, J 7.3 Hz), 9.51 (br s, 1H, D_2O exch, NH); $^{13}\text{C-NMR}$ (75MHz, CDCl_3) δ 19.1 (CH_2), 49.3 (CH_2), 112.4 (CH), 118.1 (Cq), 120.0 (CH), 120.3 (CH), 124.8 (Cq), 125.2 (CH), 126.7 (Cq), 128.3 (CH), 131.1 (CH), 133.5 (CH), 135.4 (Cq), 137.0 (Cq), 155.9 (Cq), 193.4 (CO); m/z (ES^+) 275 (MH^+); m/z (FAB^+) 275 (MH^+) (found: MH^+ , 275.11836. $\text{C}_{18}\text{H}_{15}\text{N}_2\text{O}$ requires 275.11841).

2) 1-(2-Fluorobenzoyl)-3,4-dihydro- β -carboline **5b**:



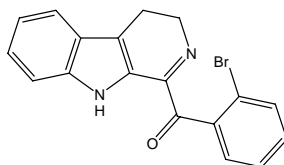
Bright yellow solid, mp 129-130 (from EtOH/H₂O); Found: C, 73.88; H, 4.34; N, 9.41 %. Calc. for C₁₈H₁₃FN₂O: C, 73.96; H, 4.48; N, 9.58 %; $\nu_{\max}/\text{cm}^{-1}$ 3450, 1674, 1609, 1186, 959, 762, 751; δ_{H} (CDCl₃; Me₄Si) 3.02 (2H, t, *J* 8.9), 4.14 (2H, t, *J* 8.9), 7.12-7.20 (2H, m), 7.23-7.35 (2H, m), 7.44 (1H, d, *J* 8.2), 7.51-7.58 (1H, m), 7.62 (1H, d, *J* 8.2), 7.73 (1H, t, *J* 7.3), 9.42 (1H, br s, D₂O exch, NH). ¹³C-NMR (75MHz, CDCl₃) δ 19.0 (CH₂), 49.5 (CH₂), 112.35 (CH), 116.3 (d, ²*J*_{CF} 8.4 Hz, CH), 118.1 (Cq), 120.0 (CH), 120.4 (CH), 123.6, (d, ³*J*_{CF} 3.6 Hz, CH), 124.7 (Cq), 125.2 (CH), 125.4 (d, ²*J*_{CF} 13.2 Hz, Cq), 126.1 (Cq), 131.4 (d, ⁴*J*_{CF} 2.4 Hz, CH), 134.0 (d, ³*J*_{CF} 8.4 Hz, CH), 137.1 (Cq), 155.8 (Cq), 161.0 (d, ¹*J*_{CF} 255.0 Hz, Cq), 193.5 (CO); *m/z* (ES⁺) 293 (MH⁺); *m/z* (FAB⁺) 293 (MH⁺) (found: MH⁺, 293.10905; C₁₈H₁₄FN₂O requires 292.10899).

3) 1-(2-Chlorobenzoyl)-3,4-dihydro- β -carboline **5c**:



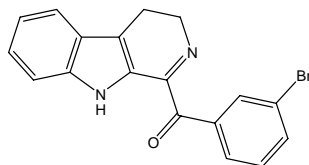
Orange solid, mp 120-121 °C (from acetone/H₂O); Found: C, 69.94; H, 4.15; N, 8.91 %. Calc. for C₁₈H₁₃ClN₂O: C, 70.02; H, 4.24; N, 9.07 %; $\nu_{\max}/\text{cm}^{-1}$ 3400, 1678, 1539, 1214, 1192, 956, 746, 731; ¹H-NMR (300 MHz, CDCl₃) δ 3.00 (2H, t, *J* 8.8), 4.13 (2H, t, *J* 8.8), 7.17 (1H, t, *J* 7.0), 7.30-7.40 (2H, m), 7.43-7.47 (3H, m), 7.55 (1H, dt, *J* 1.2 and 7.0), 7.61 (1H, dd, *J* 0.9 and 8.2), 9.47 (1H, br s, D₂O exch, NH); ¹³C-NMR (75MHz, CDCl₃) δ 18.9 (CH₂), 49.7 (CH₂), 112.4 (CH), 118.3 (Cq), 120.0 (CH), 120.4 (CH), 124.7 (Cq), 125.3 (CH), 126.1 (Cq), 126.5 (CH), 130.1 (CH), 130.2 (CH), 132.0 (CH), 132.1 (Cq), 137.1 (Cq), 137.2 (Cq), 155.8 (Cq), 195.8 (CO); *m/z* (ES⁺) 309 (MH⁺); *m/z* (FAB⁺) 309 (MH⁺) (found: MH⁺, 309.07947; C₁₈H₁₄ClN₂O requires 308.07944).

4) 1-(2-Bromobenzoyl)-3,4-dihydro- β -carboline **5d**:



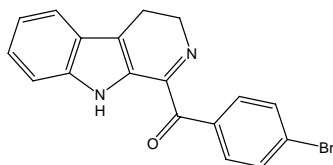
Orange solid, mp 136-137 °C (from EtOH); Found: C, 61.14; H, 3.72; N, 7.88 %. Calc. for $C_{18}H_{13}BrN_2O$: C, 61.21; H, 3.71; N, 7.93 %; ν_{max}/cm^{-1} 3453, 1660, 1585, 1539, 1437, 1295, 1222, 1143, 732; 1H -NMR (300 MHz, $CDCl_3$) δ 3.0 (2H, t, J 8.9), 4.13 (2H, t, J 8.9), 7.14-7.19 (m, 1H), 7.30-7.53 (4H, m), 7.61 (1H, d, J 1.2), 7.61 (1H, d, J 1.2) 7.64 (1H, d, J 1.2), 9.48 (1H, br s, D_2O exch, NH); ^{13}C -NMR (75MHz, $CDCl_3$) δ 18.8 (CH_2), 49.7 (CH_2), 112.3 (CH), 118.2 (Cq), 120.0 (CH), 120.2 (Cq), 120.4 (CH), 124.7 (Cq), 125.2 (CH), 126.1 (Cq), 127.0 (CH), 129.8 (CH), 131.9 (CH), 133.1 (CH), 137.1 (Cq), 139.1 (Cq), 155.5 (Cq), 196.4 (CO); m/z (ES^+) 353 (MH^+); m/z (FAB^+) 353 (MH^+) (found: MH^+ , 353.02897; $C_{18}H_{14}BrN_2O$ requires 353.02892).

4) 1-(3-Bromobenzoyl)-3,4-dihydro- β -carboline **5g**:



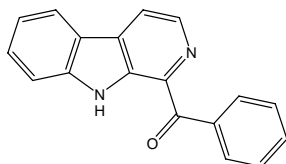
Bright orange solid, mp 118-119 °C (from EtOH); Found: C, 61.33; H, 3.78; N, 7.88 %. Calc. for $C_{18}H_{13}BrN_2O$: C, 61.21; H, 3.71; N, 7.93 %; ν_{max}/cm^{-1} 3454, 1654, 1538, 1179, 1148, 768, 740, 722; 1H -NMR (300 MHz, $CDCl_3$) δ 3.05 (2H, t, J 8.9), 4.19 (2H, t, J 8.9), 7.17 (1H, t, J 7), 7.30-7.35 (1H, m), 7.38 (1H, d, J 7.6), 7.44 (1H, dt, J 0.9 and 8.5), 7.62 (1H, dq, J 0.9, 8.2), 7.73 (1H, dq, J 0.9 and 8.0), 8.11 (1H, dt, J 1.3 and 7.6), 8.31 (1H, t, J 1.7), 9.42 (br s, 1H, D_2O exch, NH). ^{13}C -NMR (75MHz, $CDCl_3$) δ 19.0 (CH_2), 49.3 (CH_2), 112.3 (CH), 118.3 (Cq), 120.0 (CH), 120.4 (CH), 122.3 (Cq), 124.7 (Cq), 125.3 (CH), 126.3 (Cq), 129.7 (CH), 133.8 (CH), 134.0 (CH), 136.1 (CH), 137.0 (Cq), 137.1 (Cq), 155.5 (Cq), 191.7 (CO); m/z (FAB^+) 353 (MH^+) (found: MH^+ , 352.02881; $C_{18}H_{14}BrN_2O$ requires 353.02892).

5) 1-(4-Bromobenzoyl)-3,4-dihydro- β -carboline **5h**:



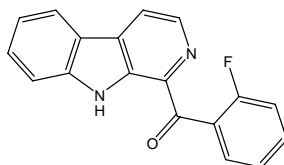
Orange solid, mp 125-127 °C (from EtOH/H₂O); Found: C, 61.26; H, 3.84; N, 8.03 %. Calc. for C₁₈H₁₃BrN₂O: C, 61.21; H, 3.71; N, 7.93 %; $\nu_{\max}/\text{cm}^{-1}$ 3447, 1655, 1551, 1540, 1186, 1174, 775, 746; ¹H-NMR (300 MHz, CDCl₃) δ 3.02 (2H, t, *J* 8.9), 4.17 (2H, t, *J* 8.9), 7.16 (1H, t, *J* 7.0), 7.31 (1H, t, *J* 7.0), 7.42 (1H, dt, *J* 0.9 and 8.3), 7.60-7.65 (3H, m), 8.07 (2H, dt, *J* 2.0 and 8.8), 9.41 (br s, 1H, D₂O exch, NH); ¹³C-NMR (75MHz, CDCl₃) 19.0 (CH₂), 49.3 (CH₂), 112.3 (CH), 118.3 (Cq), 120.0 (CH), 120.4 (CH), 124.7 (Cq), 125.3 (CH), 126.5 (Cq), 128.9 (Cq), 131.5 (2xCH), 132.6 (2xCH), 134.1 (Cq), 137.0 (Cq), 155.7 (Cq), 192.1 (CO); *m/z* (ES⁺) 353 (MH⁺); *m/z* (FAB⁺) 353 (MH⁺) (found: MH⁺, 353.02895; C₁₈H₁₄BrN₂O requires 353.02892).

6) 1-Benzoyl- β -carboline **6a**:



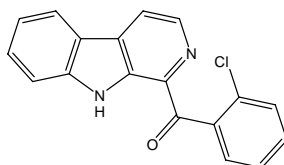
Yellow solid, mp 134-135 °C (from EtOH/H₂O), (Found: C, 79.49; H, 4.50; N, 10.19%. Calc. for C₁₈H₁₂N₂O: C, 79.40; H, 4.44; N, 10.29 %); $\nu_{\max}/\text{cm}^{-1}$ 3415, 3052, 1634, 1618, 1594, 1572; ¹H-NMR (300 MHz, CDCl₃) δ 7.28 (1H, ddd, *J* 8.0, 5.1, 2.9), 7.44-7.56 (5H, m), 8.10-8.13 (2H, m), 8.24-8.27 (2H, m), 8.54 (1H, d, *J* 5.0), 10.38 (1H, br s, NH); ¹³C-NMR (75MHz, CDCl₃) δ 195.3 (Cq), 141.0 (Cq), 137.9 (CH), 137.5 (Cq), 137.2 (Cq), 136.2 (Cq), 132.3 (CH), 131.5 (Cq), 131.2 (CH), 129.1 (CH), 128.0 (CH), 121.6 (CH), 120.7 (Cq), 120.6 (CH), 118.4 (CH), 111.9 (CH); (ES⁺) 273 (MH⁺, 100%).

8) 1-(2-Fluorobenzoyl)- β -carboline **6b**:



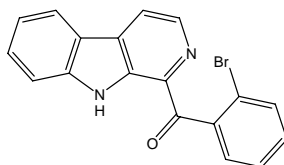
Slightly yellow solid, mp 194-196 °C (from EtOH); Found: C, 74.31; H, 3.82; N, 9.53 %. Calc. for C₁₈H₁₁FN₂O: C, 74.47; H, 3.82; N, 9.65 %; $\nu_{\max}/\text{cm}^{-1}$ 3397, 1647, 1446, 1428, 1204, 1062, 970, 750, 763, 736, 711; ¹H-NMR (300 MHz, CDCl₃) δ 7.21 (1H, dt, *J* 0.9 and 8.5), 7.28 -7.39 (2H, m), 7.51-7.61 (1H, m), 7.62 (1H, d, *J* 0.9), 7.63 (1H, q, *J* 0.9), 7.78 (1H, dt, *J* 1.7 and 7.2), 8.16-8.20 (2H, m), 8.57 (1H, d, *J* 4.7), 10.39 (1H, br s, D₂O exch, NH); ¹³C-NMR (75MHz, CDCl₃) δ 112.1 (CH), 116.2 (d, ²*J*_{CF} 21.5, CH), 119.1 (CH), 120.7 (Cq), 120.9 (CH), 121.9 (CH), 123.8 (d, ⁴*J*_{CF} 3.6, CH), 127.1 (d, ²*J*_{CF} 14.4, Cq), 129.4 (CH), 131.1 (CH), 131.7 (Cq), 132.9 (d, ³*J*_{CF} 8.4, CH), 135.8 (Cq), 136.7 (Cq), 138.7 (CH), 141.2 (Cq), 160.5 (d, ¹*J*_{CF} 252.3, Cq), 195.5 (CO); *m/z* (ES⁺) 291 (MH⁺); *m/z* (FAB⁺) 291 (MH⁺) (found: MH⁺, 291.09331; C₁₈H₁₂FN₂O requires 291.09334).

9) 1-(2-Chlorobenzoyl)- β -carboline **6c**:



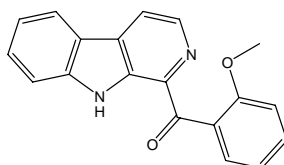
Orange solid, mp 203-204 °C (from acetone/H₂O); Found: C, 70.36; H, 3.52; N, 9.07 %. Calc. for C₁₈H₁₁ClN₂O: C, 70.48; H, 3.61; N, 9.13%; $\nu_{\max}/\text{cm}^{-1}$ 3421, 1645, 1286, 1206, 1061, 847, 763, 737, 710; ¹H-NMR (300 MHz, CDCl₃) δ 7.35-7.39 (1H, m), 7.39 -7.50 (2 H, m), 7.50 -7.53 (1H, m), 7.58-7.65 (3H, m), 8.16 (1H, d, *J* 5.0), 8.19 (1H, t, *J* 7.9), 8.56 (1H, d, *J* 5.0), 10.42 (1H, br s, D₂O exch, NH); ¹³C-NMR (75MHz, CDCl₃) δ 112.1 (CH), 119.2 (CH), 120.7 (Cq), 121.0 (CH), 121.9 (CH), 126.3 (CH), 129.4 (CH), 129.9 (CH), 130.0 (CH), 131.2 (CH), 131.8 (Cq), 131.8 (Cq), 135.5 (Cq), 136.8 (Cq), 138.4 (Cq), 138.8 (CH), 141.2 (Cq), 197.6 (CO); *m/z* (ES⁺) 307 (MH⁺); *m/z* (FAB⁺) 307 (MH⁺) (found: MH⁺, 307.06372; C₁₈H₁₂ClN₂O requires 307.06379).

10) 1-(2-Bromobenzoyl)- β -carboline **6d**:



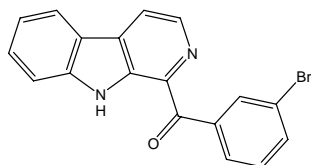
Orange solid, mp 215-216 °C (from EtOH/H₂O); Found: C, 61.41; H, 3.09; N 7.92,. Calc. for C₁₈H₁₁N₂OBr: C, 61.56; H, 3.16; N, 7.98%; $\nu_{\max}/\text{cm}^{-1}$ 3447, 1654, 1581, 1186, 1174, 950, 792, 775, 746; ¹H-NMR (300 MHz, CDCl₃) δ 7.35-7.42 (2H, m), 7.47 (1H, dt, *J* 1.3 and 7.3), 7.56 (1H, dd, *J* 1.7 and 7.3), 7.63 (1H, d, *J* 0.9), 7.64 (1H, q, *J* 0.9), 7.69 (1H, dd, *J* 1.0 and 8.0), 8.16-8.20 (2H, m), 8.56 (1H, d, *J* 5) and 10.43 (1H, br s, D₂O exch, NH); ¹³C-NMR (75MHz, CDCl₃) δ 112.1 (CH), 119.2 (CH), 120.1 (Cq), 120.7 (Cq), 121.0 (CH), 121.9 (CH), 126.9 (CH), 129.5 (CH), 129.8 (CH), 131.2 (CH), 131.9 (Cq), 133.1 (CH), 135.2 (Cq), 136.9 (Cq), 138.8 (CH), 140.4 (Cq), 141.2 (Cq), 198.2 (CO); *m/z* (ES⁺) 351 (MH⁺); *m/z* (FAB⁺) 351 (MH⁺) (found: MH⁺, 350.01321; C₁₈H₁₂BrN₂O requires 351.01327).

11) 1-(2-Methoxybenzoyl)- β -carboline **6f**:



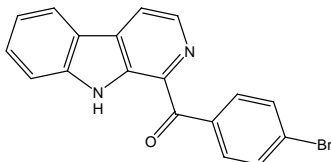
Slightly greenish solid, mp 183-184 °C (from EtOH); Found: C, 75.36; H, 4.56; N 9.18,. Calc. for C₁₉H₁₄N₂O₂: C, 75.48; H, 4.67; N, 9.27%; $\nu_{\max}/\text{cm}^{-1}$ 3406, 1646, 1245, 1205, 966, 753, 745, 724; ¹H-NMR (300 MHz, CDCl₃) δ 3.77 (3H, s), 7.08 (1H, t, *J* 8.5), 7.10 (1H, t, *J* 7.3), 7.31-7.39 (1H, m), 7.48-7.59 (2H, m), 7.61-7.63 (2H, m), 8.13 (1H, d, *J* 5.0), 8.17 (1H, dd, *J* 0.9 and 7.9), 8.53 (1H, d, *J* 5.0), 10.43 (1H, br s, D₂O exch, NH). ¹³C-NMR (75MHz, CDCl₃) δ 55.8 (CH₃), 111.8 (CH), 112.1 (CH), 118.6 (CH), 120.3 (CH), 120.7 (CH), 120.7 (Cq), 121.7 (Cq), 121.8 (CH), 128.7 (Cq), 129.2 (CH), 130.1 (CH), 131.6 (Cq), 132.0 (CH), 136.5 (Cq), 138.5 (CH), 141.2 (Cq), 157.9 (Cq), 198.7 (CO), *m/z* (ES⁺) 303 (MH⁺); *m/z* (FAB⁺) 351 (MH⁺) (found: MH⁺, 303.11330; C₁₉H₁₅N₂O₂ requires 303.11333).

12) 1-(3-Bromobenzoyl)- β -carboline **6g**:



Yellow solid, mp 176-177 °C (from acetone); Found: C, 61.64; H, 3.07; N 7.94,. Calc. for $C_{18}H_{11}N_2OBr$: C, 61.56; H, 3.16; N, 7.98%; ν_{max}/cm^{-1} 3393, 1648, 1624, 1433, 1209, 842, 790, 745; 1H -NMR (300 MHz, $CDCl_3$) δ 7.34-7.38 (m, 1H), 7.41 (q, 1H, J 7.9), 7.62-7.64 (m, 2H), 7.73 (dq, 1H, J 1.0 and 7.9 Hz), 8.18 (dd, 1H, J 0.9 and 2.9), 8.20 (s, 1H), 8.28 (dt, 1H, J 1.5 and 7.9), 8.49 (t, 1H, J 1.5), 8.62 (d, 1H, J 4.7), 10.42 (1H, br s, D_2O exch, NH); ^{13}C -NMR (75MHz, $CDCl_3$) δ 112.0 (CH), 118.8 (CH), 120.8 (Cq), 120.9 (CH), 121.8 (CH), 122.2 (Cq), 129.4 (CH), 129.6 (CH), 129.9 (CH), 131.8 (Cq), 134.0 (CH), 135.1 (CH), 135.72 (Cq), 137.3 (Cq), 138.2 (CH), 139.3 (Cq), 141.0 (Cq), 193.7 (CO); m/z (ES^+) 351 (MH^+); m/z (FAB^+) 351 (MH^+) (found: MH^+ , 351.01341; $C_{18}H_{12}BrN_2O$ requires 350.01327).

13) 1-(4-Bromobenzoyl)- β -carboline **6h**:



Yellow solid, mp 195-196 °C (from EtOH/ H_2O); Found: C, 61.39; H, 3.26; N 7.87,. Calc. for $C_{18}H_{11}N_2OBr$: C, 61.56; H, 3.16; N, 7.98%; ν_{max}/cm^{-1} 3382, 1643, 1626, 1427, 1212, 966, 832, 792, 740; 1H -NMR (300 MHz, $CDCl_3$) δ 7.38 (1H, sep, J 2.6), 7.63 (1H, d, J 0.9), 7.65 (1H, dd, J 0.9 and 2.3), 7.7 (2H, d, J 8.8), 8.19 (1H, q, J 0.9), 8.21 (1H, q, J 0.9), 8.25 (1H, d, J 2.2), 8.28 (1H, d, J 2.2), 8.63 (1H, d, J 5.0), 10.44 (1H, br s, D_2O exch, NH). ^{13}C -NMR (75MHz, DMSO) δ 113.0 (CH), 119.1 (CH), 120.0 (Cq), 120.2 (CH), 121.8 (CH), 126.34 (Cq), 130.0 (CH), 129.0 (Cq), 131.0 (2xCH), 131.1 (Cq), 132.8 (2xCH), 135.8 (Cq), 136.4 (Cq), 137.2 (CH), 141.7 (Cq), 192.6 (CO); m/z (ES^+) 351 (MH^+); m/z (FAB^+) 351 (MH^+) (found: MH^+ , 351.01323; $C_{18}H_{12}BrN_2O$ requires 351.01327).