

Supporting Information

A multi-component domino reaction for the direct access to polyfunctionalized indoles *via* intermolecular allylic esterification and indolation

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Experimental

General information

Microwave irradiation was carried out with Initiator 2.5 Microwave Synthesizers from Biotage, Uppsala, Sweden. Melting points were determined in open capillaries and were uncorrected. IR spectra were taken on a FT-IR-Tensor 27 spectrometer in KBr pellets and reported in cm^{-1} . ^1H NMR spectra were measured on a Bruker DPX 400 MHz spectrometer in $\text{DMSO-}d_6$ with chemical shift (δ) given in ppm relative to TMS as internal standard [(s = singlet, d = doublet, t = triplet, brs = broad singlet, m = multiplet), coupling constant (Hz)]. HRMS (ESI) was determined by using microTOF-Q II HRMS/MS instrument (BRUKER). X-Ray crystallographic analysis was performed with a Siemens SMART CCD and a Siemens P4 diffractometer.

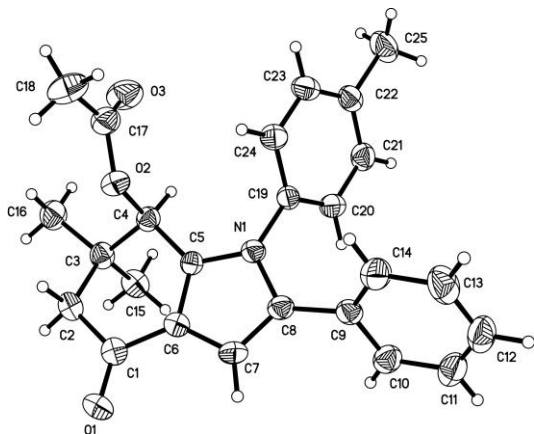


Fig 1, X-ray Structure of indoles **4a**

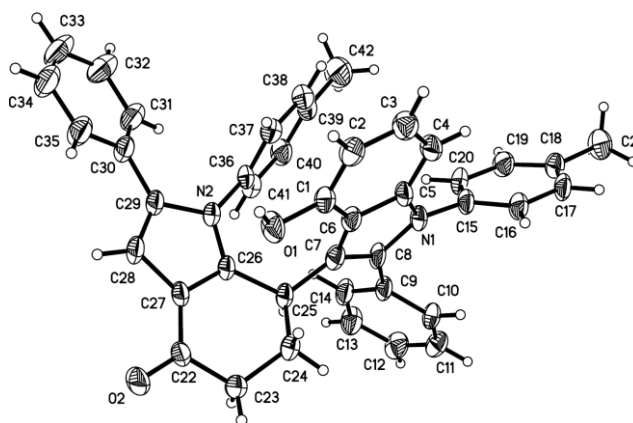


Fig 2, X-ray Structure of bis- indoles **5a**

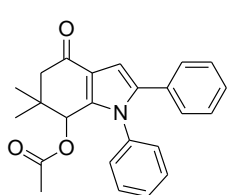
Crystal data for **4a**: $\text{C}_{25}\text{H}_{25}\text{N}\text{O}_3$, $M_r = 387.46$, Monoclinic, $a = 8.6760(8)$ Å, $b = 12.8793(13)$ Å, $c = 9.4794(11)$ Å, $U = 1045.73(19)$ Å³, $T = 298(2)$ K, space group $P2(1)$, $Z = 2$, 5349 reflections measured, 1936 unique ($R_{\text{int}} = 0.0428$) which were used in all calculation. The final $wR(F_2)$ was 0.0638 (all data)

Crystal data for **5a**: $\text{C}_{42}\text{H}_{34}\text{N}_2\text{O}_2$, $M_r = 598.71$, Triclinic, $a = 10.0878(13)$ Å, $b = 11.1881(13)$ Å, $c = 15.2089(16)$ Å, $U = 1594.8(3)$ Å³, $T = 298(2)$ K, space group $P-1$, $Z = 2$, 8283 reflections measured, 5520 unique ($R_{\text{int}} = 0.1165$) which were used in all calculation. The final $wR(F_2)$ was 0.2184 (all data)

General procedure for the synthesis of indoles 4

Example for the synthesis of **4a**: 4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-1,2-diphenyl-1H-indol-7-yl acetate

Microwave Heating: phenylglyoxal monohydrate (**1a**, 1.1 mmol, 0.17 g, 1.1 equiv.) was introduced in a 10-mL Initiator™ reaction vial, 3-(*p*-tolylamino)-5,5-dimethylcyclohex-2-enone (**2a**, 1.0 mmol, 0.23 g, 1.0 equiv.) and acetic acid (**3a**, 2 mL, excess.) were then successively added. Subsequently, the reaction vial was capped and then pre-stiring for 20 second. The mixture was irradiated (Time: 15 min, Temperature: 120 °C; Absorption Level: High; Fixed Hold Time) until TLC (petroleum ether : acetone 4:1) revealed that conversion of the starting material **2a** was complete. The reaction mixture was then cooled to room temperature and then diluted with cold water (20 ml). The solid product was collected by Büchner filtration and was purified by flash column chromatography (silica gel, mixtures of petroleum ether / acetone, 9:1, v/v) to afford the desired pure indoles **4a** as white solid (Mp: 124-126 °C).



IR (KBr, ν , cm^{-1}): 1739, 1667, 1495, 1465, 1371, 1229, 1051, 1015, 968, 766.

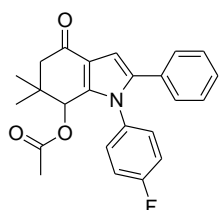
^1H NMR (400MHz, DMSO) δ : 7.50-7.38 (m, 4H, ArH), 7.23-7.19 (m, 3H, ArH), 7.15-7.12 (m, 2H, ArH), 6.70 (s, 1H, CH), 5.58 (s, 1H, OCH), 2.64 (d, $J = 15.6$ Hz, 1H, CH_2), 2.20 (d, $J = 16.4$ Hz, 1H, CH_2), 1.84 (s, 3H, CH_3), 1.06 (s, 3H, CH_3), 0.93 (s, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.1, 168.9, 140.0, 137.5, 136.4, 131.0, 129.1, 128.2, 128.1, 127.4, 120.7, 104.6, 68.4, 47.7, 30.7, 25.6, 24.8, 20.2.

HRMS (ESI): m/z calcd for: $\text{C}_{24}\text{H}_{24}\text{NO}_3$, 374.1751, found: 374.1757.

Scope of multicomponent domino reaction

1-(4-Fluorophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl acetate **4b**



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 190-192 °C).

IR (KBr, ν , cm^{-1}): 1744, 1668, 1509, 1464, 1371, 1227, 1050, 1016, 968, 855.

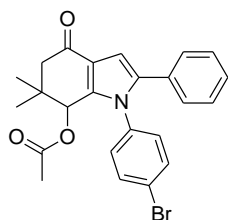
^1H NMR (400MHz, DMSO) δ : 7.52 (s, 1H, ArH), 7.53 (d, $J = 8.0$ Hz, 1H, ArH), 7.24-7.21 (m, 4H, ArH), 7.16-7.14 (m, 3H, ArH), 6.69 (s, 1H, CH), 5.56 (s, 1H, OCH), 2.65 (d, $J =$

16.4 Hz, 1H, CH_2), 2.20 (d, $J = 16.4$ Hz, 1H, CH_2), 1.88 (s, 3H, CH_3), 1.07 (s, 3H, CH_3), 0.94 (s, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.0, 169.0, 161.7 ($^1J_{\text{CF}} = 244.5$ Hz), 140.1, 137.6, 132.6 ($^4J_{\text{CF}} = 2.7$ Hz), 130.8, 130.5 ($^3J_{\text{CF}} = 9.4$ Hz), 128.3, 128.2, 127.5, 120.7, 116.1 ($^2J_{\text{CF}} = 24.1$ Hz), 112.6, 104.5, 68.2, 47.6, 25.5, 24.7, 20.1.

HRMS (ESI): m/z calcd for: $\text{C}_{24}\text{H}_{22}\text{FNNO}_3$, 414.1476, found: 414.1481.

1-(4-Bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl acetate **4c**



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 158-160 °C).

IR (KBr, ν , cm^{-1}): 1739, 1664, 1492, 1457, 1225, 1048, 1017, 900, 846.

^1H NMR (400MHz, DMSO) δ : 7.69 (s, 1H, ArH), 7.57 (d, $J = 8.0$ Hz, 1H, ArH), 7.39 (d, $J = 7.2$ Hz, 1H, ArH), 7.27-7.22 (m, 3H, ArH), 7.16-7.14 (m, 2H, ArH), 7.07 (d, $J = 5.6$ Hz,

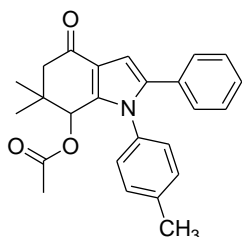
1H, ArH), 6.69 (s, 1H, CH), 5.57 (s, 1H, OCH), 2.64 (d, $J = 16.4$ Hz, 1H, CH_2), 2.21 (d, $J = 16.4$ Hz, 1H, CH_2),

1.88 (s, 3H, CH_3), 1.06 (s, 3H, CH_3), 0.94 (s, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.1, 169.1, 140.0, 137.5, 135.7, 130.8, 130.4, 128.4, 128.3, 127.6, 122.2, 120.9, 104.8, 68.4, 47.7, 25.5, 24.8, 20.2.

HRMS (ESI): m/z calcd for: $\text{C}_{24}\text{H}_{22}\text{BrNNO}_3$, 474.0676, found: 474.0652.

4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1-*p*-tolyl-1H-indol-7-yl acetate 4d



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: > 198-200 °C).

IR (KBr, ν , cm^{-1}): 1737, 1661, 1515, 1458, 1228, 1049, 1020, 966, 831, 772.

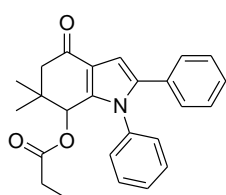
^1H NMR (400MHz, DMSO) δ : 7.27-7.24 (m, 1H, ArH), 7.22-7.18 (m, 5H, ArH), 7.15-7.13 (m, 2H, ArH), 7.01 (d, J = 7.6 Hz, 1H, ArH), 6.67 (s, 1H, CH), 5.54 (s, 1H,

OCH), 2.64 (d, J = 16.8 Hz, 1H, CH_2), 2.33 (s, 3H, CH_3), 2.22 (d, J = 16.4 Hz, 1H, CH_2), 1.89 (s, 3H, CH_3), 1.04 (s, 3H, CH_3), 0.93 (s, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.0, 169.0, 140.1, 138.4, 137.4, 133.7, 131.0, 128.2, 128.1, 127.8, 127.3, 120.6, 104.5, 68.4, 47.6, 25.4, 24.8, 20.6, 20.2.

HRMS (ESI): m/z calcd for: $\text{C}_{25}\text{H}_{25}\text{NNaO}_3$, 410.1727, found: 410.1736.

4,5,6,7-Tetrahydro-6,6-dimethyl-4-oxo-1,2-diphenyl-1H-indol-7-yl propionate 4e



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 123-125 °C).

IR (KBr, ν , cm^{-1}): 1741, 1666, 1498, 1459, 1165, 1078, 809, 763, 701.

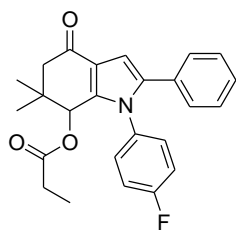
^1H NMR (400MHz, DMSO) δ : 7.48-7.36 (m, 3H, ArH), 7.36 (d, J = 14 Hz, 1H, ArH), 7.21-7.12 (m, 5H, ArH), 7.07 (d, J = 14 Hz, 1H, ArH), 6.70 (s, 1H, CH), 5.64 (s, 1H,

OCH), 2.83 (d, J = 16.4 Hz, 1H, CH_2), 2.23-2.03 (m, 2H, CH_2), 2.18 (d, J = 16.4 Hz, 1H, CH_2), 1.07 (s, 3H, CH_3), 0.92 (s, 3H, CH_3), 0.87 (t, J = 14.8 Hz, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.0, 172.1, 140.0, 137.4, 136.3, 131.0, 128.9, 128.2, 128.1, 127.3, 120.7, 104.5, 68.2, 47.7, 26.3, 25.6, 24.7, 8.8.

HRMS (ESI): m/z calcd for: $\text{C}_{25}\text{H}_{26}\text{NO}_3$, 388.1908, found: 388.1912.

1-(4-Fluorophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl propionate 4f



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 130-132 °C).

IR (KBr, ν , cm^{-1}): 1744, 1672, 1509, 1463, 1368, 1157, 1050, 917, 857, 764.

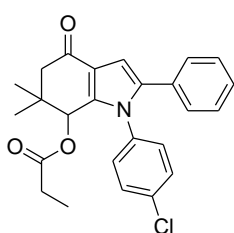
^1H NMR (400MHz, DMSO) δ : 7.55 (s, 1H, ArH), 7.34 (d, J = 8.4 Hz, 1H, ArH), 7.27-7.19 (m, 4H, ArH), 7.16-7.14 (m, 3H, ArH), 6.69 (s, 1H, CH), 5.63 (s, 1H, OCH),

2.64 (d, J = 16.4 Hz, 1H, CH_2), 2.27-2.05 (m, 2H, CH_2), 2.17 (d, J = 16.4 Hz, 1H, CH_2), 1.08 (s, 3H, CH_3), 0.93 (s, 3H, CH_3), 0.88 (t, J = 14.8 Hz, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.1, 172.3, 159.4 ($^1J_{\text{CF}}$ = 228.6 Hz), 140.2, 137.7, 132.7 ($^4J_{\text{CF}}$ = 2.9 Hz), 130.9, 130.5 ($^3J_{\text{CF}}$ = 8.3 Hz), 128.3, 128.2, 127.5, 120.8, 116.2 ($^2J_{\text{CF}}$ = 22.0 Hz), 104.6, 68.1, 47.8, 38.5, 26.4, 25.6, 24.7, 8.9.

HRMS (ESI): m/z calcd for: $\text{C}_{25}\text{H}_{24}\text{FNNaO}_3$, 428.1633, found: 428.1640.

1-(4-chlorophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl propionate 4g



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 138-140 °C).

IR (KBr, ν , cm^{-1}): 1746, 1664, 1496, 1464, 1200, 1055, 996, 767, 699.

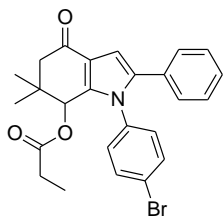
^1H NMR (400MHz, DMSO) δ : 7.59-7.53 (m, 2H, ArH), 7.41 (d, J = 8.0 Hz, 1H, ArH), 7.28-7.22 (m, 3H, ArH), 7.21-7.14 (m, 3H, ArH), 7.08 (d, J = 8.4 Hz, 1H, ArH), 6.70 (s,

1H, CH), 5.66 (s, 1H, OCH), 2.63 (d, $J = 16.4$ Hz, 1H, CH₂), 2.25-2.04 (m, 2H, CH₂), 2.23 (d, $J = 16.4$ Hz, 1H, CH₂), 1.07 (s, 3H, CH₃), 0.93 (s, 3H, CH₃), 0.89 (t, $J = 7.2$ Hz, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ : 192.1, 172.3, 137.6, 135.3, 133.6, 130.8, 128.4, 128.3, 127.6, 124.1, 104.8, 68.2, 47.8, 30.7, 26.4, 25.7, 24.6, 8.8.

HRMS (ESI): m/z calcd for: C₂₅H₂₄ClNNaO₃, 444.1337, found: 444.1345.

1-(4-bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl propionate 4h



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 122-123 °C).

IR (KBr, v, cm⁻¹): 1747, 1674, 1489, 1461, 1200, 1151, 1050, 1018, 763.

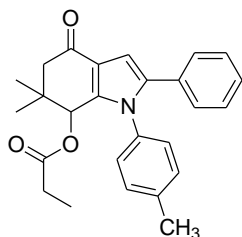
¹H NMR (400MHz, DMSO) δ : 7.70 (d, $J = 8.0$ Hz, 1H, ArH), 7.55 (d, $J = 8.0$ Hz, 1H, ArH), 7.44 (d, $J = 8.0$ Hz, 1H, ArH), 7.28-7.23 (m, 3H, ArH), 7.16-7.14 (m, 2H, ArH), 7.01 (d, $J =$

7.6 Hz, 1H, ArH), 6.69 (s, 1H, CH), 5.66 (s, 1H, OCH), 2.62 (d, $J = 16.4$ Hz, 1H, CH₂), 2.27-2.04 (m, 2H, CH₂), 2.19 (d, $J = 16.8$ Hz, 1H, CH₂), 1.07 (s, 3H, CH₃), 0.93 (s, 3H, CH₃), 0.88 (t, $J = 14.8$ Hz, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ : 192.3, 172.4, 140.1, 137.5, 135.7, 130.7, 128.4, 128.3, 127.6, 122.1, 120.9, 104.8, 68.2, 47.9, 30.7, 26.4, 25.6, 24.6, 8.8.

HRMS (ESI): m/z calcd for: C₂₅H₂₄BrNNaO₃, 488.0832, found: 488.0850.

4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1-p-tolyl-1H-indol-7-yl propionate 4i



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 127-128 °C).

IR (KBr, v, cm⁻¹): 1739, 1671, 1516, 1457, 1164, 1076, 1048, 812, 765, 700.

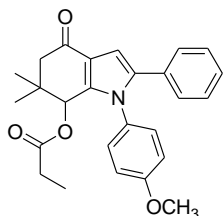
¹H NMR (400MHz, DMSO) δ : 7.26-7.24 (m, 2H, ArH), 7.22-7.19 (m, 3H, ArH), 7.15-7.13 (m, 3H, ArH), 6.95 (d, $J = 6.4$ Hz, 1H, ArH), 6.67 (s, 1H, CH), 5.62 (s, 1H,

OCH), 2.62 (d, $J = 16.4$ Hz, 1H, CH₂), 2.33 (s, 3H, CH₃), 2.24-2.05 (m, 2H, CH₂), 2.21 (d, $J = 16.4$ Hz, 1H, CH₂), 1.05 (s, 3H, CH₃), 0.92 (s, 3H, CH₃), 0.89 (t, $J = 7.6$ Hz, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ : 192.0, 172.2, 140.1, 138.3, 137.4, 133.8, 131.0, 128.2, 128.1, 127.8, 127.7, 127.3, 120.6, 104.5, 68.3, 47.7, 26.4, 25.5, 24.8, 20.6, 8.8.

HRMS (ESI): m/z calcd for: C₂₆H₂₇NNaO₃, 424.1884, found: 424.1889.

4,5,6,7-tetrahydro-1-(4-methoxyphenyl)-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl propionate 4j



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 186-187 °C).

IR (KBr, v, cm⁻¹): 1743, 1668, 1512, 1466, 1252, 1052, 1030, 917, 850, 761.

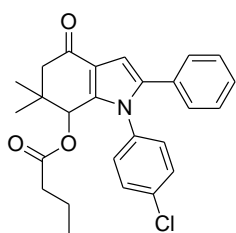
¹H NMR (400MHz, DMSO) δ : 7.33 (d, $J = 8.0$ Hz, 1H, ArH), 7.23-7.15 (m, 5H, ArH), 7.01-7.00 (m, 2H, ArH), 6.88 (d, $J = 8.0$ Hz, 1H, ArH), 6.67 (s, 1H, CH), 5.61 (s, 1H, OCH),

2.63 (d, $J = 16.4$ Hz, 1H, CH₂), 2.28-2.09 (m, 2H, CH₂), 2.19 (d, $J = 16.4$ Hz, 1H, CH₂), 1.06 (s, 3H, CH₃), 0.92 (s, 3H, CH₃), 0.89 (t, $J = 7.2$ Hz, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ : 192.0, 172.2, 159.1, 140.3, 137.6, 131.1, 129.3, 129.2, 128.9, 128.2, 128.1, 127.3, 120.4, 114.4, 104.3, 68.2, 55.3, 47.7, 26.4, 25.6, 24.7, 8.8.

HRMS (ESI): m/z calcd for: C₂₆H₂₇NNaO₄, 440.1833, found: 440.1831.

1-(4-chlorophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl butyrate 4k



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 147-149 °C).

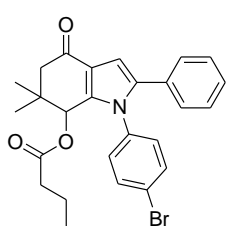
IR (KBr, ν , cm^{-1}): 1744, 1674, 1493, 1463, 1152, 1049, 853, 762, 727, 698.

^1H NMR (400MHz, DMSO) δ : 7.39 (d, $J = 8.0$ Hz, 1H, ArH), 7.27-7.21 (m, 3H, ArH), 7.15-7.13 (m, 2H, ArH), 7.06 (d, $J = 8.0$ Hz, 1H, ArH), 6.69 (s, 1H, CH), 5.67 (s, 1H, OCH), 2.62 (d, $J = 16.4$ Hz, 1H, CH_2), 2.24-1.98 (m, 2H, CH_2), 2.03 (d, $J = 16.4$ Hz, 1H, CH_2), 1.41-1.35 (m, 2H, CH_2), 1.08 (s, 3H, CH_3), 0.93 (s, 3H, CH_3), 0.89 (t, $J = 14.8$ Hz, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.1, 171.4, 140.0, 137.5, 135.3, 133.6, 130.8, 128.4, 128.3, 127.6, 121.0, 104.8, 68.2, 47.8, 34.7, 30.7, 25.6, 24.7, 17.6, 13.4.

HRMS (ESI): m/z calcd for: $\text{C}_{26}\text{H}_{27}\text{ClNO}_3$, 436.1674, found: 436.1678.

1-(4-Bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl butyrate 4l



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 145-146 °C).

IR (KBr, ν , cm^{-1}): 1744, 1673, 1489, 1462, 1150, 1075, 852, 763, 719, 698.

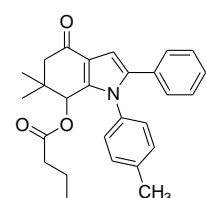
^1H NMR (400MHz, DMSO) δ : 7.71 (d, $J = 7.6$ Hz, 1H, ArH), 7.53 (d, $J = 7.6$ Hz, 1H, ArH), 7.46 (d, $J = 7.6$ Hz, 1H, ArH), 7.28-7.22 (m, 3H, ArH), 7.15-7.13 (m, 2H, ArH), 6.99 (d, $J =$

7.2 Hz, 1H, ArH), 6.69 (s, 1H, CH), 5.68 (s, 1H, OCH), 2.62 (d, $J = 16.4$ Hz, 1H, CH_2), 2.25-2.15 (m, 2H, CH_2), 2.20 (d, $J = 16.4$ Hz, 1H, CH_2), 1.43-1.34 (m, 2H, CH_2), 1.08 (s, 3H, CH_3), 0.93 (s, 3H, CH_3), 0.79 (t, $J = 14.8$ Hz, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.1, 171.4, 140.0, 137.5, 135.8, 130.8, 130.3, 128.4, 127.6, 122.1, 121.0, 104.9, 68.2, 47.8, 34.7, 30.7, 25.6, 24.7, 17.6, 13.4.

HRMS (ESI): m/z calcd for: $\text{C}_{26}\text{H}_{27}\text{BrNO}_3$, 480.1169, found: 480.1167.

4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1-p-tolyl-1H-indol-7-yl butyrate 4m



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 136-137 °C).

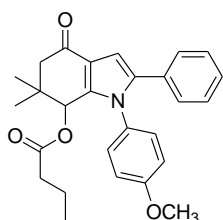
IR (KBr, ν , cm^{-1}): 1739, 1667, 1516, 1458, 1410, 1162, 969, 765, 698.

^1H NMR (400MHz, DMSO) δ : 7.27-7.24 (m, 2H, ArH), 7.22-7.19 (m, 3H, ArH), 7.18-7.12 (m, 3H, ArH), 6.94 (d, $J = 7.6$ Hz, 1H, ArH), 6.68 (s, 1H, CH), 5.63 (s, 1H, OCH), 2.63 (d, $J = 16.4$ Hz, 1H, CH_2), 2.32 (s, 3H, CH_3), 2.22-2.17 (m, 2H, CH_2), 2.04 (d, $J = 16.0$ Hz, 1H, CH_2), 1.40-1.38 (m, 2H, CH_2), 1.05 (s, 3H, CH_3), 0.92 (s, 3H, CH_3), 0.80 (t, $J = 14.8$ Hz, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.1, 171.4, 140.1, 137.5, 133.8, 131.1, 128.3, 127.8, 120.7, 104.6, 68.3, 47.7, 34.8, 30.7, 25.7, 20.7, 17.6, 13.4.

HRMS (ESI): m/z calcd for: $\text{C}_{27}\text{H}_{29}\text{NNO}_3$, 438.2040, found: 438.2041.

4,5,6,7-tetrahydro-1-(4-methoxyphenyl)-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl butyrate 4n



The title compound was prepared following the general procedure (microwave heating) and was obtained as a pale yellow solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 127-128 °C).

IR (KBr, ν , cm^{-1}): 1740, 1669, 1513, 1465, 1251, 1156, 850, 760, 697.

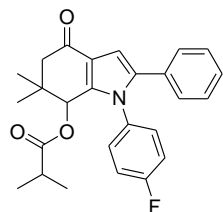
^1H NMR (400MHz, DMSO) δ : 7.33 (d, $J = 8.0$ Hz, 1H, ArH), 7.25-7.23 (m, 5H, ArH), 7.03-6.98 (m, 2H, ArH), 6.87 (d, $J = 8.0$ Hz, 1H, ArH), 6.67 (s, 1H, CH), 5.62 (s, 1H, OCH),

3.76 (s, 3H, OCH₃), 2.63 (d, *J* = 16.8 Hz, 1H, CH₂), 2.24-2.03 (m, 2H, CH₂), 2.19 (d, *J* = 16.8 Hz, 1H, CH₂), 1.44-1.35 (m, 2H, CH₂), 1.06 (s, 3H, CH₃), 0.92 (s, 3H, CH₃), 0.80 (t, *J* = 14.4 Hz, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ: 192.1, 171.4, 159.2, 140.3, 137.6, 131.1, 129.0, 128.3, 127.4, 120.5, 114.5, 104.4, 68.2, 55.4, 34.8, 30.7, 25.6, 24.9, 17.7, 13.4.

HRMS (ESI): *m/z* calcd for: C₂₇H₃₀NO₄, 432.2170, found: 432.2154.

1-(4-fluorophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl isobutyrate 4o



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 117-119 °C).

IR (KBr, v, cm⁻¹): 1735, 1669, 1513, 1459, 1150, 1050, 849, 763, 698.

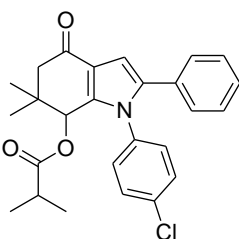
¹H NMR (400MHz, DMSO) δ: 7.59 (s, 1H, ArH), 7.37 (d, *J* = 8.8 Hz, 1H, ArH), 7.27-7.23 (m, 3H, ArH), 7.16-7.14 (m, 3H, ArH), 7.06 (s, 1H, ArH), 6.70 (s, 1H, CH), 5.66 (s, 1H,

OCH), 2.66 (d, *J* = 16.4 Hz, 1H, CH₂), 2.40-2.33 (m, 1H, CH), 2.21 (d, *J* = 16.4 Hz, 1H, CH₂), 1.09 (s, 3H, CH₃), 1.00 (t, *J* = 6.8 Hz, 3H, CH₃), 0.89 (t, *J* = 6.8 Hz, 3H, CH₃), 0.92 (s, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ: 192.1, 174.6, 161.7 (¹*J*_{CF} = 244.9 Hz), 140.1, 137.6, 132.7 (⁴*J*_{CF} = 2.8 Hz), 130.8, 130.4 (³*J*_{CF} = 8.0 Hz), 128.3, 127.5, 120.8, 116.5 (²*J*_{CF} = 22.2 Hz), 112.7, 104.7, 68.0, 47.7, 33.1, 25.6, 24.7, 19.1, 18.4.

HRMS (ESI): *m/z* calcd for: C₂₆H₂₆FNNaO₃, 442.1789, found: 442.1799.

1-(4-chlorophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl isobutyrate 4p



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 165-166 °C).

IR (KBr, v, cm⁻¹): 1736, 1673, 1496, 1455, 1145, 1093, 852, 769, 702.

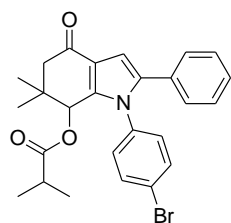
¹H NMR (400MHz, DMSO) δ: 7.57 (s, 2H, ArH), 7.40 (d, *J* = 8.0 Hz, 1H, ArH), 7.26-7.14 (m, 5H, ArH), 7.02 (d, *J* = 8.4 Hz, 1H, ArH), 6.70 (s, 1H, CH), 5.70 (s, 1H, OCH), 2.65 (d, *J* = 16.4 Hz, 1H, CH₂), 2.35-2.30 (m, 1H, CH), 2.23 (d, *J* = 16.4 Hz, 1H,

CH₂), 1.08 (s, 3H, CH₃), 1.01 (t, *J* = 7.2 Hz, 3H, CH₃), 0.93 (s, 3H, CH₃), 0.88 (t, *J* = 6.8 Hz, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ: 206.5, 192.1, 174.7, 139.9, 137.5, 135.7, 130.8, 128.3, 127.6, 122.0, 121.0, 104.9, 68.1, 47.8, 33.1, 30.7, 25.7, 24.6, 19.1, 18.3.

HRMS (ESI): *m/z* calcd for: C₂₆H₂₇ClNO₃, 436.1674, found: 436.1677.

1-(4-bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl isobutyrate 4q



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 176-177 °C).

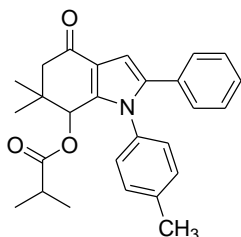
IR (KBr, v, cm⁻¹): 1736, 1672, 1494, 1456, 1411, 1145, 1048, 850, 767, 700.

¹H NMR (400MHz, DMSO) δ: 7.71 (d, *J* = 8.4 Hz, 1H, ArH), 7.53-7.48 (m, 2H, ArH), 7.26-7.22 (m, 3H, ArH), 7.16-7.14 (m, 2H, ArH), 6.95 (d, *J* = 8.4 Hz, 1H, ArH), 6.70 (s, 1H, CH), 5.70 (s, 1H, OCH), 2.64 (d, *J* = 16.4 Hz, 1H, CH₂), 2.34-2.30 (m, H, CH), 2.23 (d, *J* = 16.4 Hz, 1H, CH₂), 1.08 (s, 3H, CH₃), 1.00 (t, *J* = 7.2 Hz, 3H, CH₃), 0.88 (t, *J* = 6.8 Hz, 3H, CH₃), 0.92 (s, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ: 206.5, 192.1, 174.7, 139.9, 137.5, 135.7, 130.8, 128.3, 127.6, 122.0, 121.0, 104.9, 68.1, 47.8, 33.1, 30.7, 25.7, 24.6, 19.1, 18.3.

HRMS (ESI): *m/z* calcd for: C₂₆H₂₆BrNNaO₃, 502.0989, found: 502.1005.

4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1-p-tolyl-1H-indol-7-yl isobutyrate 4r



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 162-164 °C).

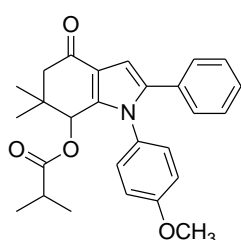
IR (KBr, v, cm⁻¹): 1734, 1670, 1516, 1456, 1217, 1145, 1049, 846, 767, 701.

¹H NMR (400MHz, DMSO) δ: 7.29 (m, 2H, ArH), 7.24-7.19 (m, 3H, ArH), 7.15-7.13 (m, 3H, ArH), 6.90 (d, *J* = 6.0 Hz, 1H, ArH), 6.70 (s, 1H, CH), 5.70 (s, 1H, OCH), 2.64 (d, *J* = 16.4 Hz, 1H, CH₂), 2.38-2.34 (m, 1H, CH), 2.32 (s, 3H, CH₃), 2.21 (d, *J* = 16.4 Hz, 1H, CH₂), 1.06 (s, 3H, CH₃), 1.01 (t, *J* = 7.2 Hz, 3H, CH₃) 0.91 (s, 3H, CH₃), 0.90 (t, *J* = 6.8 Hz, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ: 206.5, 192.1, 174.6, 140.0, 137.5, 135.3, 133.5, 130.8, 128.3, 127.6, 121.0, 104.9, 68.1, 56.3, 47.8, 33.1, 30.7, 25.7, 24.6, 19.1, 18.3.

HRMS (ESI): *m/z* calcd for: C₂₇H₃₀NO₃, 416.2221, found: 416.2221.

4,5,6,7-tetrahydro-1-(4-methoxyphenyl)-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-7-yl isobutyrate 4s



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 181-182 °C).

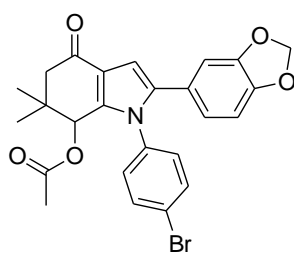
IR (KBr, v, cm⁻¹): 1734, 1667, 1514, 1460, 1250, 1147, 1028, 834, 768, 700.

¹H NMR (400MHz, DMSO) δ: 7.36 (d, *J* = 8.4 Hz, 1H, ArH), 7.23-7.21 (m, 3H, ArH), 7.16-7.03 (m, 2H, ArH), 7.02 (d, *J* = 8.0 Hz, 1H, ArH), 6.94 (d, *J* = 8.0 Hz, 1H, ArH), 6.86 (d, *J* = 8.8 Hz, 1H, ArH), 6.67 (s, 1H, CH), 5.63 (s, 1H, OCH), 3.76 (s, 3H, OCH₃), 2.65 (d, *J* = 16.4 Hz, 1H, CH₂), 2.41-2.34 (m, 2H, CH₂), 2.19 (d, *J* = 16.4 Hz, 1H, CH₂), 1.06 (s, 3H, CH₃), 1.02 (t, *J* = 6.8 Hz, 3H, CH₃), 0.91 (t, *J* = 6.8 Hz, 3H, CH₃) 0.92 (s, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ: 206.5, 174.6, 162.4, 159.2, 147.6, 141.7, 137.6, 128.3, 128.2, 127.3, 120.6, 104.4, 68.1, 55.4, 33.1, 30.7, 25.6, 24.7, 19.2, 18.3.

HRMS (ESI): *m/z* calcd for: C₂₇H₃₀NO₄, 432.2170, found: 432.2172.

2-(benzo[d][1,3]dioxol-5-yl)-1-(4-bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-1H-indol-7-yl acetate 4t



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 159-161 °C).

IR (KBr, v, cm⁻¹): 1737, 1678, 1494, 1474, 1221, 1036, 1016, 803, 728.

¹H NMR (400MHz, DMSO) δ: 7.70 (d, *J* = 8.0 Hz, 1H, ArH), 7.59 (d, *J* = 8.0 Hz, 1H, ArH), 7.38 (d, *J* = 7.6 Hz, 1H, ArH), 7.08 (d, *J* = 8.0 Hz, 1H, ArH), 6.82-6.75 (m, 1H, ArH), 6.72 (s, 1H, ArH), 6.61 (s, 1H, ArH), 6.59 (s, 1H, OCH), 5.98 (s, 2H, CH₂), 5.54 (s, 1H, CH), 2.63 (d, *J* = 16.4 Hz, 1H, CH₂), 2.19 (d, *J* = 16.4 Hz, 1H, CH₂), 1.88 (s, 3H, CH₃), 1.05 (s, 3H, CH₃), 0.93 (s, 3H, CH₃).

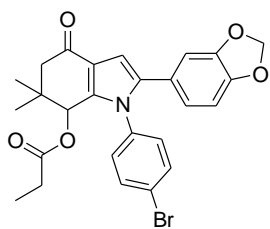
¹³C NMR (100MHz, DMSO) δ: 192.1, 169.1, 147.1, 137.3, 135.7, 132.2, 130.4, 122.5, 119.1, 108.8, 104.4, 101.2, 25.5, 21.0, 20.2.

HRMS (ESI): *m/z* calcd for: C₂₅H₂₃BrNO₅, 496.0755, found: 496.0730.

2-(benzo[d][1,3]dioxol-5-yl)-1-(4-bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-1H-indol-7-yl propionate 4u

The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp:

162-164 °C).



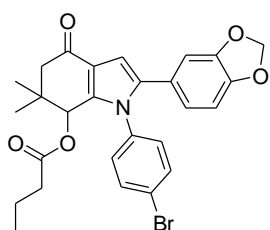
IR (KBr, ν , cm^{-1}): 1735, 1679, 1494, 1476, 1232, 1201, 1037, 873, 803, 728.

^1H NMR (400MHz, DMSO) δ : 7.71 (d, J = 8.0 Hz, 1H, ArH), 7.57 (d, J = 8.0 Hz, 1H, ArH), 7.43 (d, J = 8.0 Hz, 1H, ArH), 7.02 (d, J = 8.0 Hz, 1H, ArH), 6.81-6.79 (m, 1H, ArH), 6.73 (s, 1H, ArH), 6.61 (s, 1H, ArH), 6.59 (s, 1H, OCH), 5.98 (s, 2H, CH_2), 5.63 (s, 1H, CH), 2.60 (d, J = 16.4 Hz, 1H, CH_2), 2.22 (d, J = 16.4 Hz, 1H, CH_2), 2.24-2.01 (m, 2H, CH_2), 1.06 (s, 3H, CH_3), 0.91 (s, 3H, CH_3), 0.87 (t, J = 15.2 Hz, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.1, 172.3, 151.7, 148.4, 139.6, 137.3, 135.8, 134.4, 122.5, 108.8, 101.2, 68.3, 26.4, 24.6, 17.2, 16.3, 8.9.

HRMS (ESI): m/z calcd for: $\text{C}_{26}\text{H}_{24}\text{BrNaNO}_5$, 532.0731, found: 532.0717.

2-(benzo[d][1,3]dioxol-5-yl)-1-(4-bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-1H-indol-7-yl butyrate 4v



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 147-149 °C).

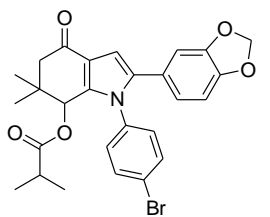
IR (KBr, ν , cm^{-1}): 1728, 1681, 1494, 1475, 1231, 1165, 1036, 838, 803, 728.

^1H NMR (400MHz, DMSO) δ : 7.71 (d, J = 8.4 Hz, 1H, ArH), 7.55 (d, J = 8.4 Hz, 1H, ArH), 7.44 (d, J = 8.4 Hz, 1H, ArH), 7.01 (d, J = 8.4 Hz, 1H, ArH), 6.81-6.79 (m, 1H, ArH), 6.72 (s, 1H, ArH), 6.60 (s, 1H, ArH), 6.58 (s, 1H, OCH), 5.98 (s, 2H, CH_2), 5.64 (s, 1H, CH), 2.60 (d, J = 16.4 Hz, 1H, CH_2), 2.21 (d, J = 16.4 Hz, 1H, CH_2), 2.23-1.97 (m, 2H, CH_2), 1.40-1.38 (m, 2H, CH_2), 1.06 (s, 3H, CH_3), 0.92 (s, 3H, CH_3), 0.79 (t, J = 14.4 Hz, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.1, 171.4, 147.1, 146.8, 139.6, 135.7, 130.3, 124.6, 122.5, 108.9, 108.2, 104.5, 101.2, 68.2, 34.7, 25.6, 24.7, 17.6, 13.4.

HRMS (ESI): m/z calcd for: $\text{C}_{27}\text{H}_{26}\text{BrNaNO}_5$, 546.0887, found: 546.0892.

2-(benzo[d][1,3]dioxol-5-yl)-1-(4-bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-1H-indol-7-yl isobutyrate 4w



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: > 184-186 °C).

IR (KBr, ν , cm^{-1}): 1738, 1666, 1480, 1456, 1232, 1143, 1037, 836, 806, 724.

^1H NMR (400MHz, DMSO) δ : 7.71 (d, J = 7.6 Hz, 1H, ArH), 7.55 (d, J = 7.6 Hz, 1H, ArH), 7.47 (d, J = 7.6 Hz, 1H, ArH), 6.96 (d, J = 7.6 Hz, 1H, ArH), 6.81-6.79 (m, 1H, ArH), 6.73 (s, 1H, ArH), 6.61 (s, 1H, ArH), 6.59 (s, 1H, OCH), 5.98 (s, 2H, CH_2), 5.67 (s, 1H, CH), 2.62 (d, J = 16.4 Hz, 1H, CH_2), 2.21 (d, J = 16.4 Hz, 1H, CH_2), 2.35-2.28 (m, 1H, CH_2), 1.07 (s, 3H, CH_3), 1.00 (t, J = 14.4 Hz, 3H, CH_3), 0.91 (s, 3H, CH_3), 0.88 (t, J = 14.4 Hz, 3H, CH_3).

^{13}C NMR (100MHz, DMSO) δ : 192.1, 174.7, 147.1, 146.8, 139.5, 137.3, 135.7, 124.6, 122.5, 120.9, 108.8, 104.5, 101.2, 68.1, 47.8, 33.1, 25.7, 24.6, 19.2, 18.3.

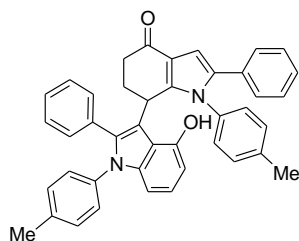
HRMS (ESI): m/z calcd for: $\text{C}_{27}\text{H}_{26}\text{BrNaNO}_5$, 546.0887, found: 546.0892.

General procedure for the synthesis of bis-indoles 5

Example for the synthesis of **5a**: 6,7-dihydro-7-(4-hydroxy-2-phenyl-1-p-tolyl-1H-indol-3-yl)-2-phenyl-1-p-tolyl-1H-indol-4(5H)-one

Microwave Heating: Phenylglyoxal monohydrate (**1a**, 2.2 mmol, 0.34 g, 1.1 equiv.) was introduced in a 10-mL

InitiatorTM reaction vial, 3-(*p*-tolylamino)cyclohex-2-enone (**2g**, 2.0 mmol, 0.40 g, 1.0 equiv.) and acetic acid (2 mL, excess.) were then successively added. Subsequently, the reaction vial was capped and then pre-stirring for 20 second. The mixture was irradiated (Time: 20 min, Temperature: 100 °C; Absorption Level: High; Fixed Hold Time) until TLC (petroleum ether : acetone 3:1) revealed that conversion of the starting material **2g** was completed. The reaction mixture was then cooled to room temperature and then stirred for 30 min. The solid product was collected by Büchner filtration and was purified by flash column chromatography (silica gel, mixtures of petroleum ether / acetone, 7:1, v/v) to afford the desired pure products **5a** as white solid (Mp: 262-263 °C).



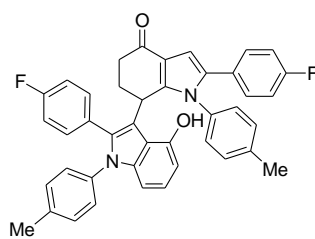
IR (KBr, ν , cm^{-1}): 1643, 1518, 1462, 1289, 1185, 1018, 953, 842, 747, 635.

¹H NMR (400MHz, DMSO) δ : 7.31-7.28 (m, 3H, ArH), 7.21-7.02 (m, 6H, ArH), 7.00-6.86 (m, 7H, ArH), 6.81-6.79 (m, 6H, ArH), 6.59 (d, $J = 8.0$ Hz, 1H, ArH), 6.51 (d, $J = 7.6$ Hz, 1H, ArH), 6.45-6.39 (m, 1H, ArH), 6.07 (d, $J = 7.6$ Hz, 1H, ArH), 4.58-4.53 (m, 1H, CH), 3.02-2.91 (m, 1H, CH₂), 2.78-2.74 (m, 1H, CH₂), 2.56-2.52 (m, 1H, CH₂), 2.35 (s, 3H, CH₃), 2.29 (s, 3H, CH₃).

¹³C NMR (100MHz, DMSO) δ : 195.6, 194.6, 189.9, 149.7, 146.7, 136.8, 134.9, 130.8, 127.9, 127.6, 126.8, 123.3, 114.0, 107.6, 106.2, 106.0, 102.8, 95.2, 64.0, 41.1, 38.2, 33.4, 30.9, 24.2, 21.2, 21.1, 6.01.

HRMS (ESI): m/z calcd for: C₄₂H₃₃N₂O₂, 597.2541, found: 597.2516.

2-(4-fluorophenyl)-7-(2-(4-fluorophenyl)-4-hydroxy-1-p-tolyl-1H-indol-3-yl)-6,7-dihydro-1-p-tolyl-1H-indol-4(5H)-one **5b**



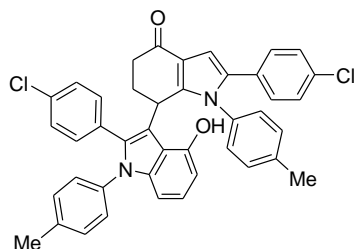
The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 276-278 °C).

IR (KBr, ν , cm^{-1}): 1629, 1516, 1453, 1285, 1158, 1007, 837, 748, 632, 530.

¹H NMR (400MHz, DMSO) δ : 7.10-6.96 (m, 5H, ArH), 6.95-6.86 (m, 5H, ArH), 6.80-6.63 (m, 6H, ArH), 6.56 (d, $J = 8.4$ Hz, 1H, ArH), 6.50 (d, $J = 7.6$ Hz, 1H, ArH), 6.41-6.40 (m, 1H, ArH), 6.01 (d, $J = 7.6$ Hz, 1H, ArH), 4.47-4.42 (m, 1H, CH), 2.97-2.93 (m, 1H, CH₂), 2.74-2.71 (m, 1H, CH₂), 2.48-2.43 (m, 1H, CH₂), 2.34 (s, 3H, CH₃), 2.27 (s, 3H, CH₃).

HRMS (ESI): m/z calcd for: C₄₂H₃₁F₂N₂O₂, 633.2353, found: 633.2348.

2-(4-chlorophenyl)-7-(2-(4-chlorophenyl)-4-hydroxy-1-p-tolyl-1H-indol-3-yl)-6,7-dihydro-1-p-tolyl-1H-indol-4(5H)-one **5c**



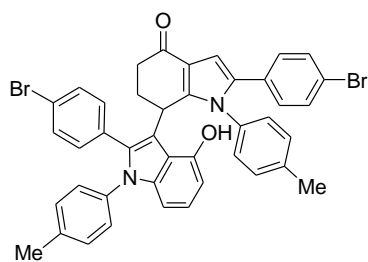
The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 290-291 °C).

IR (KBr, ν , cm^{-1}): 1631, 1544, 1452, 1387, 1284, 1195, 1095, 959, 833, 746, 631.

¹H NMR (400MHz, DMSO) δ : 7.21-7.02 (m, 5H, ArH), 7.00-6.83 (m, 8H, ArH), 6.75-6.64 (m, 3H, ArH), 6.57 (d, $J = 8.4$ Hz, 1H, ArH), 6.47 (d, $J = 7.6$ Hz, 1H, ArH), 6.42 (d, $J = 8.0$ Hz, 1H, ArH), 6.00 (d, $J = 8.0$ Hz, 1H, ArH), 4.47-4.43 (m, 1H, CH), 2.94-2.88 (m, 1H, CH₂), 2.73-2.70 (m, 1H, CH₂), 2.48-2.44 (m, 1H, CH₂), 2.35 (s, 3H, CH₃), 2.28 (s, 3H, CH₃).

HRMS (ESI): m/z calcd for: C₄₂H₃₁Cl₂N₂O₂, 665.1762, found: 665.1764.

2-(4-bromophenyl)-7-(2-(4-bromophenyl)-4-hydroxy-1-p-tolyl-1H-indol-3-yl)-6,7-dihydro-1-p-tolyl-1H-indol-4(5H)-one **5d**



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 274-276 °C).

IR (KBr, ν , cm^{-1}): 1632, 1585, 1432, 1288, 1185, 1006, 989, 833, 772, 632.

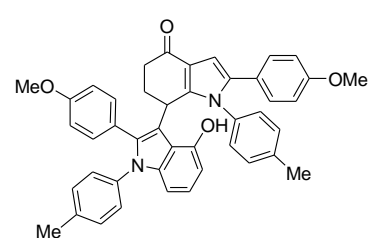
^1H NMR (400MHz, DMSO) δ : 7.43-7.41 (m, 2H, ArH), 7.18-7.07 (m, 5H,

ArH), 6.95-6.89 (m, 3H, ArH), 6.84-6.73 (m, 5H, ArH), 6.57 (d, J = 8.0 Hz, 1H,

ArH), 6.50 (d, J = 8.0 Hz, 1H, ArH), 6.42 (d, J = 8.0 Hz, 1H, ArH), 6.01 (d, J = 8.0 Hz, 1H, ArH), 4.47-4.43 (m, 1H, CH), 3.02-2.91 (m, 1H, CH_2), 2.73-2.71 (m, 1H, CH_2), 2.47-2.41 (m, 1H, CH_2), 2.35 (s, 3H, CH_3), 2.28 (s, 3H, CH_3).

HRMS (ESI): m/z calcd for: $\text{C}_{42}\text{H}_{31}\text{Br}_2\text{N}_2\text{O}_2$, 753.0752, found: 753.0752.

6,7-dihydro-7-(4-hydroxy-2-(4-methoxyphenyl)-1-p-tolyl-1H-indol-3-yl)-2-(4-methoxyphenyl)-1-p-tolyl-1H-indol-4(5H)-one 5e



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 269-270 °C).

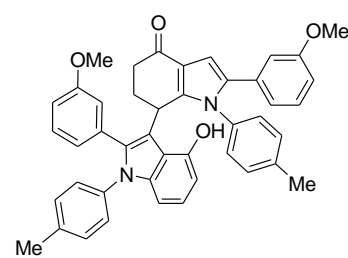
IR (KBr, ν , cm^{-1}): 1639, 1507, 1458, 1272, 1188, 1012, 949, 839, 712, 623.

^1H NMR (400MHz, DMSO) δ : 7.14-6.98 (m, 3H, ArH), 6.92-6.72 (m, 10H,

ArH), 6.66-6.55 (m, 4H, ArH), 6.47 (d, J = 8.0 Hz, 1H, ArH), 6.39 (d, J = 8.0 Hz, 1H, ArH), 6.00 (d, J = 7.6 Hz, 1H, ArH), 4.51-4.47 (m, 1H, CH), 3.82 (s, 3H, OCH_3), 3.69 (s, 3H, OCH_3), 2.91-2.81 (m, 1H, CH_2), 2.74-2.70 (m, 2H, CH_2), 2.51-2.47 (m, 1H, CH_2), 2.33 (s, 3H, CH_3), 2.27 (s, 3H, CH_3).

HRMS (ESI): m/z calcd for: $\text{C}_{44}\text{H}_{37}\text{N}_2\text{O}_4$, 657.2753, found: 657.2751.

6,7-dihydro-7-(4-hydroxy-2-(4-methoxyphenyl)-1-p-tolyl-1H-indol-3-yl)-2-(4-methoxyphenyl)-1-p-tolyl-1H-indol-4(5H)-one 5f



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 233-234 °C).

IR (KBr, ν , cm^{-1}): 1632, 1515, 1452, 1283, 1195, 1008, 959, 832, 742, 630.

^1H NMR (400MHz, DMSO) δ : 7.23-7.19 (m, 2H, ArH), 7.15-6.75 (m, 10H,

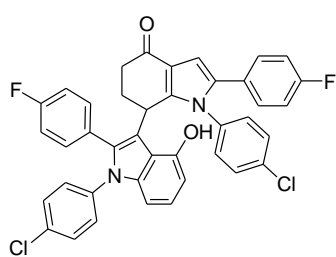
ArH), 6.68-6.56 (m, 4H, ArH), 6.48-6.36 (m, 4H, ArH), 6.05 (d, J = 8.0 Hz, 1H,

ArH), 4.61-4.57 (m, 1H, CH), 3.70 (s, 3H, OCH_3), 3.51 (s, 3H, OCH_3), 2.91-2.87

(m, 1H, CH_2), 2.77-2.72 (m, 2H, CH_2), 2.52-2.49 (m, 1H, CH_2), 2.33 (s, 3H, CH_3), 2.27 (s, 3H, CH_3).

HRMS (ESI): m/z calcd for: $\text{C}_{44}\text{H}_{37}\text{N}_2\text{O}_4$, 657.2753, found: 657.2795.

1-(4-chlorophenyl)-7-(1-(4-chlorophenyl)-2-(4-fluorophenyl)-4-hydroxy-1H-indol-3-yl)-2-(4-fluorophenyl)-6,7-dihydro-1H-indol-4(5H)-one 5g



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 288-289 °C).

IR (KBr, ν , cm^{-1}): 1627, 1493, 1461, 1225, 1196, 1159, 1090, 1015, 816, 741, 523.

^1H NMR (400MHz, DMSO) δ : 7.41-7.31 (m, 2H, ArH), 7.24-7.16 (m, 2H,

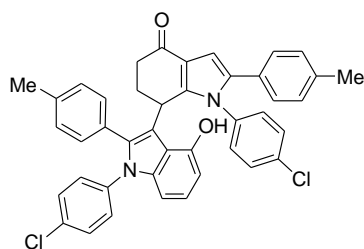
ArH), 7.07-6.91 (m, 7H, ArH), 6.90-6.66 (m, 5H, ArH), 6.56 (d, J = 8.0 Hz, 1H,

ArH), 6.55-6.50 (m, 2H, ArH), 6.09-6.06 (m, 1H, ArH), 4.50-4.47 (m, 1H, CH),

3.07-3.01 (m, 1H, CH₂), 2.77-2.75 (m, 1H, CH₂), 2.52-2.49 (m, 1H, CH₂).

HRMS (ESI): *m/z* calcd for: C₄₀H₂₅Cl₂F₂N₂O₂, 673.1260, found: 673.1214.

1-(4-chlorophenyl)-7-(1-(4-chlorophenyl)-4-hydroxy-2-p-tolyl-1H-indol-3-yl)-6,7-dihydro-2-p-tolyl-1H-indol-4(5H)-one 5h



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 291-293 °C).

IR (KBr, *v*, cm⁻¹): 1639, 1493, 1458, 1287, 1192, 1090, 842, 743, 620.

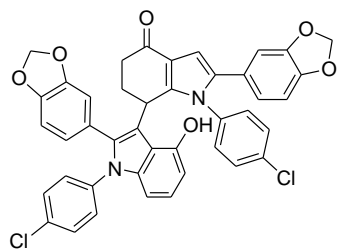
¹H NMR (400MHz, DMSO) δ : 7.33-7.29 (m, 2H, ArH), 7.25-7.15 (m, 3H,

ArH), 7.07-7.05 (m, 2H, ArH), 7.00-6.75 (m, 9H, ArH), 6.56 (d, *J* = 8.4 Hz, 1H,

ArH), 6.46 (d, *J* = 8.0 Hz, 1H, ArH), 6.50-6.44 (m, 1H, ArH), 6.09 (d, *J* = 8.0 Hz, 1H, ArH), 4.57-4.53 (m, 1H, CH), 3.02-2.97 (m, 1H, CH₂), 2.77-2.75 (m, 1H, CH₂), 2.57-2.53 (m, 1H, CH₂), 2.37 (s, 3H, CH₃), 2.21 (s, 3H, CH₃).

HRMS (ESI): *m/z* calcd for: C₄₂H₃₁Cl₂N₂O₂, 665.1762, found: 665.1751.

2-(benzo[d][1,3]dioxol-5-yl)-7-(2-(benzo[d][1,3]dioxol-6-yl)-1-(4-chlorophenyl)-4-hydroxy-1H-indol-3-yl)-1-(4-chlorophenyl)-6,7-dihydro-1H-indol-4(5H)-one 5i



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 280-284 °C).

IR (KBr, *v*, cm⁻¹): 1633, 1518, 1442, 1253, 1152, 1019, 979, 846, 746, 638.

¹H NMR (400MHz, DMSO) δ : 7.41-7.32 (m, 2H, ArH), 7.07-7.03 (m, 2H, ArH),

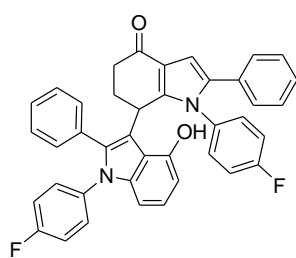
6.93-6.91 (m, 1H, ArH), 6.85-6.76 (m, 5H, ArH), 6.54-6.49 (m, 5H, ArH),

6.40-6.38 (m, 2H, ArH), 6.13 (d, *J* = 8.8 Hz, 1H, ArH), 6.02 (s, 2H, CH₂), 5.85 (s,

2H, CH₂), 4.55-4.51 (m, 1H, CH), 3.06-3.01 (m, 1H, CH₂), 2.78-2.77 (m, 2H, CH₂), 2.52-2.48 (m, 1H, CH₂).

HRMS (ESI): *m/z* calcd for: C₄₂H₂₇Cl₂N₂O₆, 725.1245, found: 725.1246.

1-(4-fluorophenyl)-7-(1-(4-fluorophenyl)-4-hydroxy-2-phenyl-1H-indol-3-yl)-6,7-dihydro-2-phenyl-1H-indol-4(5H)-one 5j



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 267-270 °C).

IR (KBr, *v*, cm⁻¹): 1636, 1514, 1457, 1273, 1195, 1029, 978, 812, 748, 633.

¹H NMR (400MHz, DMSO) δ : 7.33-7.30 (m, 3H, ArH), 7.23-7.19 (m, 3H, ArH),

7.09-6.95 (m, 5H, ArH), 6.93-6.75 (m, 7H, ArH), 6.53 (d, *J* = 8.0 Hz, 1H, ArH), 6.46

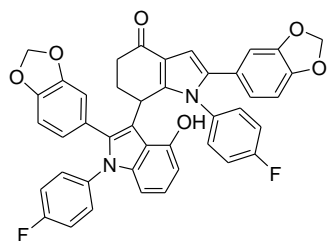
(d, *J* = 8.0 Hz, 1H, ArH), 6.25-6.13 (m, 2H, ArH), 4.59-4.55 (m, 1H, CH), 2.99-2.96

(m, 1H, CH₂), 2.77-2.74 (m, 2H, CH₂), 2.57-2.55 (m, 1H, CH₂).

HRMS (ESI): *m/z* calcd for: C₄₀H₂₇F₂N₂O₂, 605.2040, found: 605.2045.

2-(benzo[d][1,3]dioxol-5-yl)-7-(2-(benzo[d][1,3]dioxol-6-yl)-1-(4-fluorophenyl)-4-hydroxy-1H-indol-3-yl)-1-(4-fluorophenyl)-6,7-dihydro-1H-indol-4(5H)-one 5k

The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 9:1, v/v) (Mp: 184-186 °C).



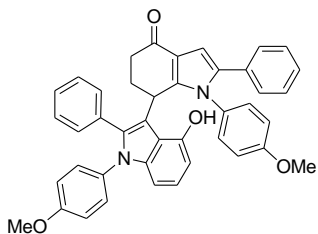
IR (KBr, *v*, cm⁻¹): 1636, 1511, 1452, 1243, 1195, 1007, 957, 852, 792, 638.

¹H NMR (400MHz, DMSO) δ : 7.06-6.89 (m, 5H, ArH), 6.85-6.76 (m, 4H, ArH),

6.55-6.39 (m, 6H, ArH), 6.25-6.6.18 (m, 3H, ArH), 6.01 (s, 2H, CH₂), 5.84 (s, 2H, CH₂), 4.55-4.51 (m, 1H, CH), 3.01-2.92 (m, 1H, CH₂), 2.76-2.75 (m, 2H, CH₂), 2.50-2.46 (m, 1H, CH₂).

HRMS (ESI): *m/z* calcd for: C₄₂H₂₇F₂N₂O₆, 693.1836, found: 693.1835.

6,7-dihydro-7-(4-hydroxy-1-(4-methoxyphenyl)-2-phenyl-1H-indol-3-yl)-1-(4-methoxyphenyl)-2-phenyl-1H-indol-4(5H)-one 5l



The title compound was prepared following the general procedure (microwave heating) and was obtained as a white solid after purification by silica gel column chromatography (petroleum ether / acetone, 7:1, v/v) (Mp: 267-269 °C).

IR (KBr, ν , cm⁻¹): 1637, 1512, 1472, 1288, 1196, 1005, 969, 839, 743, 631.

¹H NMR (400MHz, DMSO) δ : 7.29-7.26 (m, 3H, ArH), 7.19-7.00 (m, 5H, ArH),

6.96-6.76 (m, 7H, ArH), 6.65-6.63 (m, 3H, ArH), 6.52 (d, *J* = 8.0 Hz, 1H, ArH),

6.45 (d, *J* = 7.6 Hz, 1H, ArH), 6.10-6.02 (m, 2H, ArH), 4.56-4.51 (m, 1H, CH), 3.79 (s, 3H, OCH₃), 3.72 (s, 3H, OCH₃), 2.94-2.91 (m, 1H, CH₂), 2.80-2.67 (m, 2H, CH₂), 2.53-2.51 (m, 1H, CH₂).

HRMS (ESI): *m/z* calcd for: C₄₂H₃₃N₂O₄, 629.2440, found: 629.2480.