Supporting Information-I

HFIP-mediated C-3-Alkylation of Indoles and Synthesis of Indolo[2-3b]quinolines & Related Natural Products

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1. General information:

Commercially available chemicals and reagents were used without further purification unless otherwise stated. All the reactions were magnetically stirred and monitored by thin layer chromatography (TLC) using pre-coated 60F254 silica gel plates visualized under ultraviolet light (UV, 254 nm). Further visualization was done with spraying reagents like p-anisaldehyde-sulphuric acid mixture and heating the plates at ~120 °C. Silica- gel with 100-200 mesh size was used for column chromatography and 60-120 mesh size was used for making slurry. Compound yields reported here refer to chromatographically isolated yields. ¹H NMR, ¹³C NMR, and ¹⁹F NMR spectra were recorded at room temperature in CDCl₃, CD₃OD or DMSO- d_6 on 400 MHz instrument and chemical shifts are expressed in parts per million (δ) scale using tetramethylsilane (TMS) as an internal standard. Standard abbreviations like s, d, t, q, dd, and m *represent* singlet, doublet, triplet, quartet, doublet of doublet, and multiplet respectively. Coupling constant (J) was measured in Hz. High-resolution mass spectrometry (HRMS) was measured on an electrospray ionization (ESI) apparatus using the time-of-flight (TOF) mass spectrometry.

2. General Procedures:

A) General procedures for C-3 Alkylation of indoles:

a) Alkylation with different alcohols:



To a solution of **7a** (1 equiv.) in H₂O: HFIP (7: 3, v/v, **approx. 2 mL/mmol of indole**) in a cleanly washed 5 mL seal tube fitted with magnetic stir bar, was added respective alcohol (1 equiv.). The reaction mixture was stirred at 60 °C for 4 to 10 h until complete consumption of starting material was observed through TLC analysis. After completion, the reaction mixture was transferred into a small RB flask and HFIP was distilled off at 70 °C under vacuum, using short path condenser. The remaining aqueous layer was extracted with ethyl acetate (3 times) and the combined organic layer was dried with Na₂SO₄ and concentrated under

reduced pressure. The resulting residue was purified by silica gel column chromatography (hexane/ethyl acetate) to afford the desired products (**9a-9t**).

b) Alkylation with different indoles:



To a cleanly washed seal tube (5 mL) charged with magnetic stir bar, was added 1-(2aminophenyl) ethan-1-ol (8a) (1.0 equiv.), Indole (1.0 equiv.) and H₂O: HFIP (7: 3, v/v, **approx. 2 mL/mmol of indole**). The reaction mixture was stirred at 60 °C for 4-10 h till the complete consumption of starting material, as monitored by TLC analysis. After completion of reaction, HFIP was distilled off on a preheated heating block at 70 °C using a short path condenser and letter recycled. The aqueous layer was extracted with ethyl acetate (3 times) and the combined organic layer was dried with Na₂SO₄ and concentrated in vacuum. The resulting residue was purified by silica gel column chromatography (hexane/ethyl acetate) to afford the desired product (**10b-10s**).

c) Cross alkylation between different indoles and different alcohols:



Similar alkylation procedure, as reported above was followed for the synthesis of compounds **10t-10zb.**

B) General Procedure for C-3 Alkylation-Cyclization:



To a solution corresponding indole (1 equiv.) in H₂O: HFIP (7:3 v/v, **approx. 2 mL/mmol of indole**) in a 5 mL seal tube charged with magnetic stir bar, was added substituted alcohol (1 equiv.) and n-iodosuccinimide (NIS) (0.365 mmol, 0.5 equiv.). The seal tube was stirred at 60 °C for 6-12 h. The reaction progress was monitored by TLC analysis and after completion of reaction as per TLC, HFIP was distilled off under vacuum and saturated solution of Na₂S₂O₃ was added to the reaction mixture. The aqueous layer was extracted with ethyl acetate (3 times). The combined organic phase was dried over anhydrous Na₂SO₄ and the solvent was evaporated to afford the pure products **11a-110** (upon minor solvent washings) without column purification.

C) Synthesis of PARP Inhibitor (13):



To a 5 mL seal-tube charged with magnetic stir bar, was added indole **7a** (70 mg, 0.598 mmol, 1 equiv.), 1-(3,4,5-trimethoxyphenyl) ethan-1-ol (**12**) (127 mg, 0.598 mmol, 1 equiv.) and 2 mL of H₂O: HFIP (7:3 v/v) and the reaction mixture was stirred at 60 °C for 6 h. The reaction progress was monitored by TLC and after completion of reaction, HFIP was distilled off under vacuum, recycled later and remaining aqueous layer was extracted with ethyl acetate (3 × 10 mL). The combined organic phase was dried over anhydrous Na₂SO₄ and concentrated in vacuum. The final product **13** was isolated through column chromatography in 92% yield (171 mg) using ethyl acetate/hexane (25: 75, v/v) as eluent.

D) Synthesis of Vibrindole (1):



In a 5 mL cleanly washed seal-tube charged with magnetic stir bar was added indole **7a** (50 mg, 0.423 mmol, 1 equiv.), 1-(1H-indol-3-yl)ethan-1-ol (**14**) (69 mg, 0.423 mmol, 1 equiv.) and 2 mL of H_2O : HFIP (7:3 v/v) and the reaction mixture was stirred at 60 °C for 5 h. Reaction progress was monitored by TLC and after completion of reaction, HFIP was distilled off under vacuum using short path condenser. The aqueous layer was extracted with ethyl acetate (3 × 10 mL). The combined organic phase was dried over anhydrous Na₂SO₄ and concentrated in vacuum. The final product was isolated in 95% yield (106 mg) through column chromatography using ethyl acetate/hexane (20: 80, v/v) as eluent.

E) Synthesis of Norcryptotackane (14):



To a solution of indole (1 g, 8.536 mmol, 1 equiv.) in 15 mL of H₂O: HFIP (7:3, v/v) was added 1-(2-aminophenyl)ethan-1-ol (**8b**) (1.05 g, 8.536 mmol, 1 equiv.) followed by NIS (960 mg, 4.268 mmol, 0.5 equiv.) in a 50 mL cleanly washed seal tube charged with magnetic stir bar. The reaction mixture was stirred at 60 °C for 12 h and reaction progress was monitored by TLC analysis. After the completion of reaction, HFIP was distilled off using a short path condenser under reduced pressure at 70 °C (This HFIP along with the previously recovered instalments. A saturated solution of Na₂S₂O₃ was added to the remaining reaction mixture which was then extracted with ethyl acetate (3×20 mL). The combined organic phase was dried over anhydrous Na₂SO₄, evaporated to dryness which eventually afforded the corresponding product norcryptotackeine (**2**) in 94% (1.750 g) yield, without further purification.

F) Synthesis of Neocryptolepine (4):



To a solution of norcryptotackeine (120 mg, 0.550 mmol, 1 equiv.) in THF (5 mL) was added CH₃I (100 μ L, 1.650 mmol, 3 equiv.) and 5% aqueous KOH (0.5 mL) at room temperature and then the reaction mixture was refluxed for 12 h. After consumption of starting material as monitored by TLC, the reaction mixture was cooled down to rt. Saturated solution of ammonium chloride (10 mL) was added followed by workup with ethyl acetate (10 mL × 3). Combined organic layer was dried over Na₂SO₄ and concentrated in vacuum. The resulting residue was purified by column chromatography (ethyl acetate/hexane/ 15:85 v/v) to afford the desired product Neocryptolepine (4) in 91% (116 mg) yield.

G) Synthesis of 3-indolylarylketones:



To a solution of **9k**, **10x**, **10z** (1 equiv.) in acetonitrile (1 mL/0.1 mmol of starting material) in a 5 mL quartz glass vial under air was added 2,3-dichloro- 5,6-dicyano-1,4-benzoquinone (0.1 equiv.) and *tert*-butyl nitrite (0.50 equiv.). The vial was placed between two CFL lamps (2 × 18 W). The reaction mixture was stirred vigorously overnight and later monitored by TLC. After completion of reaction, extraction was done with CH_2Cl_2 (10 mL × 3). The combined organic layer was washed with water, dried over Na₂SO₄, concentrated under reduced pressure and purified by silica gel column chromatography using (Ethyl acetate /hexane) affording corresponding indolylarylketones (**15a-15c**) in 62-67% yields.

H) Synthesis of Indenoindolones:



To a solution of 15a/15b/15c in pivalic acid (1.5 mL/0.1 mmol of starting material) was added Pd(OAc)₂ (10 mol%) and K₂CO₃ (20 mol%) in a round-bottomed flask. The reaction mixture was stirred at 110° C under oxygen atmosphere. After Completion of the reaction as indicated by the TLC (24 h), the reaction mixture was cooled to room temperature, extracted with ethyl acetate (3 times) and combined organic layer was washed with saturated aqueous solution of Na₂CO₃. The organic layer was dried over anhydrous Na₂SO₄, filtered and concentrated under reduced pressure. The crude product was purified by column chromatography with ethyl acetate-hexane as eluent affording indenoindolones in quant. yield.

3) Characterization data:



2-(1-(1H-indol-3-yl)ethyl)aniline (9a). White Solid (Yield = 93%; TLC: $R_f = 0.51$ (PE/EA=7/3), mp = 121-123 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.77 (s, 1H), 7.38 (d, J = 8.0 Hz, 1H), 7.17 (t, J = 8.4 Hz, 2H), 7.10 – 7.03 (m, 1H), 7.00 – 6.91 (m, 2H), 6.75 – 6.69 (m, 1H), 6.64 (d, J = 1.6 Hz, 1H), 6.52 (dd, J = 7.8, 1.1 Hz, 1H), 4.24 (q, J = 7.1 Hz, 1H), 1.60 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 144.4, 136.7, 130.4, 127.5, 127.1, 126.7, 122.2, 121.5, 120.1, 119.4, 119.4, 118.9, 116.3, 111.3, 32.1, 20.3. ESI HRMS m/z calcd. for $C_{16}H_{17}N_2$ [M+H]⁺: 237.1392, Found: 237.1390.



2-((1H-indol-3-yl)methyl)aniline (9b). White Solid (Yield = 82%; TLC: $R_f = 0.53$ (PE/EA=4/1), mp= 89-91 °C; ¹H NMR (400 MHz, CD₃OD) δ 7.44 (d, J = 7.9 Hz, 1H), 7.33 (d, J = 8.1 Hz, 1H), 7.08 (t, J = 8.0 Hz, 2H), 7.02 -6.93 (m, 2H), 6.88 (s, 1H), 6.72 -6.66 (m, 2H), 3.97 (s, 2H). ¹³C NMR (100 MHz, CD₃OD) δ 144.1, 136.3, 129.1, 126.7, 126.1, 125.6, 121.8, 120.5, 117.9, 117.7, 117.6, 115.3, 111.7, 110.3, 26.8. ESI HRMS m/z calcd. for $C_{15}H_{15}N_2$ [M+H]⁺: 223.1235, Found 223.1221.



2-(1-(1H-indol-3-yl) ethyl)-N-methylaniline (9c). White Solid (Yield = 88%; TLC: R_{f} : 0.67 (PE/EA=9/1), mp = 143-145 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.77 (s, 1H), 7.44 (d, *J* = 7.6 Hz, 1H), 7.20 (d, *J* = 8.1 Hz, 2H), 7.17 – 7.06 (m, 2H), 7.02 – 6.94 (m, 1H), 6.74 – 6.69 (m, 1H), 6.61 – 6.58 (m, 2H), 4.23 (q, J = 7.1 Hz, 1H), 2.60 (s, 3H), 1.61 (d, *J* = 6.6 Hz, 3H).¹³C NMR (100 MHz, CDCl₃) δ 146.8, 136.8, 130.1, 127.3, 126.8, 126.8, 122.2, 121.5, 120.3, 119.4, 119.4, 117.4, 111.3, 110.5, 31.4, 31.0, 20.7. ESI HRMS m/z calcd. for $C_{17}H_{19}N_2$ [M+H]⁺:251.1548, Found: 251.1536.



2-(1-(1H-indol-3-yl)ethyl)-4-bromoaniline (9d). White Solid (Yield = 95%; TLC: R_f: 0.40 (PE/EA=7/3), mp = 158-160 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 10.89 (s, 1H), 7.36 (d, J = 7.8 Hz, 1H), 7.27 (s, 1H), 7.22 (d, J = 7.1 Hz, 1H), 7.04 (t, 1H), 6.98 (d, 1H), 6.87 (s, 2H), 6.62 (d, J = 8.4 Hz, 1H), 5.18 (s, 2H), 4.39 (d, J = 6.0 Hz, 1H), 1.53 (d, J = 6.3 Hz, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 144.9, 136.7, 132.8, 129.5, 128.8, 126.5, 122.3, 121.2, 119.0, 118.5, 118.3, 117.0, 111.6, 107.2, 30.3, 20.3. ESI HRMS m/z calcd. for C₁₆H₁₆BrN₂ [M+H] +: 315.0497, Found: 315.0400.



3-(1-(anthracen-9-yl)ethyl)-1H-indole (9e). Off-White Solid (Yield = 84%; TLC: R_{f} : 0.52 (PE/EA=7/3), mp = 110-115 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.04 (s, 1H), 7.41 (d, J = 8.0 Hz, 1H), 7.33 (t, J = 6.6 Hz, 2H), 7.22 – 7.14 (m, 2H), 7.07 – 7.03 (m, 2H), 6.96 (t, J = 7.4 Hz, 1H), 6.80 (d, J = 8.0 Hz, 1H), 5.34 (s, 1H), 4.56 (q, J = 7.1 Hz, 1H), 1.76 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 154.1, 137.0, 131.6, 128.5, 127.7, 126.7, 122.6, 121.4, 120.9, 119.8, 119.7, 119.5, 116.4, 111.4, 32.3, 20.4. ESI HRMS m/z calcd. for C₁₆H₁₆NO [M+H] + 238.1232: Found 238.1219



2-((1H-indol-3-yl)methyl)phenol (9f). Off-White Solid (Yield = 75%; TLC: R_f: 0.50 (PE/EA=7/3), mp = 120-122 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.99 (s, 1H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.38 (d, *J* = 8.1 Hz, 1H), 7.30 – 7.13 (m, 4H), 6.97 – 6.94 (m, 2H), 6.86 (d, *J* = 8.0 Hz, 1H), 5.16 (s, 1H), 4.17 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 154.4, 136.8, 130.7, 128.0, 127.3, 126.5, 122.6, 122.5, 121.0 119.8, 119.3, 116.1, 113.6, 111.4, 27.0. ESI HRMS m/z calcd. for C₁₅H₁₄NO [M+H] ⁺: 224.1075, Found 224.1066.



2-(1-(1H-indol-3-yl) ethyl)-5-methoxyphenol (9g). Colourless oil (Yield = 82%; TLC: R_f : 0.53 (PE/EA=4/1); ¹H NMR (400 MHz, CDCl₃) δ 8.05 (s, 1H), 7.41 (d, J = 8.0 Hz, 1H), 7.34 (d, J = 8.2 Hz, 1H), 7.20 (t, J = 8.4 Hz, 2H), 7.06 – 7.03 (m, 2H), 6.53 (dd, J = 8.4, 2.5 Hz, 1H), 6.38 (d, J = 2.5 Hz, 1H), 5.37 (s, 1H), 4.46 (q, J = 7.0 Hz, 1H), 3.77 (s, 3H), 1.73 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 159.4, 155.1, 137.1, 129.0, 126.7, 123.8, 122.7, 121.3, 119.9, 119.7, 111.4, 106.4, 102.4, 55.4, 31.9, 20.6. ESI HRMS m/z calcd. for $C_{17}H_{16}NO_2$ [M-H] +: 266.1181, found: 266.1183.



2-(1-(1H-indol-3-yl) ethyl)-4-ethoxy-5-methylphenol (9h). Off-White Solid (Yield = 83%; TLC: R_f : 0.65 (PE/EA=7/3), mp = 113-115 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.04 (s, 1H), 7.44 (d, J = 7.5 Hz, 1H), 7.31 (d, J = 7.6 Hz, 1H), 7.20 (t, J = 7.5 Hz, 1H), 7.05 (t, J = 7.3 Hz, 1H), 6.69 (s, 1H), 6.85 – 6.83 (m, 1H), 6.61 (s, 1H), 4.91 (s, 1H), 4.48 (q, 1H), 4.03-3.99 (m, 2H), 2.21 (s, 3H), 1.74 (d, J = 7.0 Hz, 3H), 1.45-1.40 (m, 3H).¹³C NMR (100 MHz, CDCl₃) δ 151.3, 147.6, 137.0, 129.1, 126.7, 126.4, 122.6, 121.3, 119.8, 119.6, 119.6, 118.9, 112.9, 111.4, 64.9, 32.5, 20.4, 15.9, 15.2. ESI HRMS m/z calcd. For C₁₉H₂₂NO₂ [M+H]⁺: 296.1651 Found 296.1649.



3-(1-(p-tolyl)ethyl)-1H-indole (9i). Colourless oil (Yield = 91%; TLC: R_f: 0.74 (PE/EA=4/1); ¹H NMR (400 MHz, CDCl₃) δ 7.50 (s, 1H), 7.27 (d, *J* = 7.9 Hz, 1H), 7.10 (d, *J* = 8.1 Hz, 1H), 7.06 (d, *J* = 8.0 Hz, 2H), 7.04 – 6.99 (m, 1H), 6.96 (d, *J* = 7.9 Hz, 2H), 6.92 – 6.86 (m, 1H), 6.73 (d, *J* = 1.5 Hz, 1H), 4.21 (q, *J* = 7.1 Hz, 1H), 2.18 (s, 3H), 1.56 (d, *J* = 7.2 Hz, 3H).¹³C NMR (100 MHz, CDCl₃) δ 143.9, 136.7, 135.4, 129.1, 129.1, 127.4, 127.4, 126.9, 122.0, 121.6, 121.1, 119.8, 119.2, 111.1, 36.6, 22.6, 21.1. ESI HRMS m/z calcd. for C₁₇H₁₇N [M+H] +: 236.1439, found : 266.1425.



3-(1-(4-methoxyphenyl)ethyl)-1H-indole (9j). Off-White Solid (Yield = 88%; TLC: R_f: 0.52(PE/EA=4/1),mp = 137-140 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.96 (s, 1H), 7.42 (d, J = 7.9 Hz, 1H), 7.37 (d, J = 8.1 Hz, 1H), 7.28 – 7.24 (m, 2H), 7.19 (t, J = 7.6 Hz, 1H), 7.06 -7.03 (m, J = 7.5 Hz, 1H), 7.01 (s, 1H), 6.86 (d, J = 8.6 Hz, 2H), 4.38 (q, J = 7.0 Hz, 1H), 3.81 (s, 3H), 1.72 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 157.9, 139.2, 136.8, 128.5,128.5, 127.0, 122.0, 121.9, 121.1, 119.9, 119.3, 113.8, 113.8, 111.1, 55.3, 36.2, 22.7. ESI HRMS m/z calcd. for C₁₇H₁₇NO [M+H]⁺: 252.1383, Found 252.1489.



3-(4-methoxybenzyl)-1H-indole (9k). Colourless oil (Yield = 76%; TLC: R_{f} : 0.48 (PE/EA=4/1), ¹H NMR (400 MHz, CDCl₃) δ 7.93 (s, 1H), 7.58 (d, J = 7.1 Hz, 1H), 7.38 (d, J = 8.1 Hz, 1H), 7.28 – 7.25 (m, 3H), 7.17 – 7.13 (m, 1H), 6.91 – 6.88 (m, 3H), 4.12 (s, 2H), 3.84 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 157.9, 136.6, 133.5, 129.7, 129.7, 127.5, 122.4, 122.1, 119.4, 119.3, 116.3, 113.9, 113.9, 111.2, 55.4, 30.8. ESI HRMS m/z calcd. for $C_{16}H_{16}NO [M+H]^+$: 238.1232, Found 238.1230.



3-(1-(2,4-dimethoxyphenyl) ethyl)-1H-indole (9l). Colourless oil (Yield = 82%; TLC: R_f: 0.51(PE/EA=7/3); ¹H NMR (400 MHz, CDCl₃) δ 7.88 (s, 1H), 7.56 (d, J = 7.7 Hz, 1H), 7.38 (d, J = 8.1 Hz, 1H), 7.32 – 7.28 (m, 1H), 7.18 (d, J = 6.9 Hz, 1H), 7.13 – 7.09 (m, 1H), 7.05 (s, 1H), 6.68 (s, 1H), 6.50 – 6.48 (m, 1H), 4.94 (q, J = 8 Hz, 1H), 3.99 (s, 3H), 3.89 (s, 3H), 1.79 (d, J = 7.0 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 158.9, 157.6, 136.6, 128.4, 127.8, 127.1, 121.8, 121.5, 121.4, 119.8, 119.0, 111.1, 104.1, 98.5, 55.5, 55.3, 28.7, 21.2. ESI HRMS m/z calcd. for C₁₈H₂₀NO₂ [M+H]⁺: 282.1494, Found : 282.1474.



3-(1-(2,5-dimethoxy-4-methylphenyl) ethyl)-1H-indole (9m). Off-White Solid (Yield = 81%; TLC: R_{f} : 0.43 (PE/EA=7/3), mp = 115-118 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.92 (s, 1H), 7.48 (d, J = 7.9 Hz, 1H), 7.33 (d, J = 8.1 Hz, 1H), 7.18 (t, J = 7.6 Hz, 1H), 7.08-7.03 (m, 2H), 6.79 (s, 1H), 6.66 (s, 1H), 4.87 (q, J = 7.0 Hz, 1H), 3.89 (s, 3H), 3.62 (s, 3H), 2.25 (s,

3H), 1.69 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 151.9, 150.5, 136.7, 133.3, 127.2, 124.7, 121.9, 121.6, 121.2, 120.0, 119.1, 114.4, 111.1, 111.0, 56.6, 56.1, 29.3, 21.4, 16.3. ESI HRMS m/z calcd. for C₁₉H₂₂NO₂ [M+H]^{+:} 296.1651: Found 296.1627.



3-(1-(benzo[d][1,3]dioxol-5-yl)ethyl)-1H-indole (9n). Colourless Oil = 89%; TLC: R_f: 0.45(PE/EA=7/3). ¹H NMR (400 MHz, CDCl₃) δ 7.90 (s, 1H), 7.57 (d, *J* = 7.9 Hz, 1H), 7.39 (d, *J* = 8.1 Hz, 1H), 7.31 (t, *J* = 7.5 Hz, 1H), 7.19 (t, *J* = 7.4 Hz, 1H), 7.04-7.03 (s, 1H), 6.96 – 6.88 (m, 3H), 5.99 (d, *J* = 9.8 Hz, 2H), 4.45 (q, *J* = 7.0 Hz, 1H), 1.81 (d, *J* = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 147.6, 145.6, 141.2, 136.6, 126.8, 122.1, 121.3, 121.1, 120.3, 119.7, 119.2, 111.1, 108.1, 108.0, 100.8, 36.7, 22.7.



4-((1H-indol-3-yl)methyl)-N,N-dimethylaniline (90). White Solid (Yield = 94%; TLC: R_f: 0.58 (PE/EA=7/3), mp = 148-150 °C; ¹H NMR (400 MHz, CDCl3) δ 7.90 (s, 1H), 7.58 (d, *J* = 7.9 Hz, 1H), 7.34 (d, *J* = 8.1 Hz, 1H), 7.22 – 7.18 (m, 3H), 7.12 – 7.08 (m, 1H), 6.89 – 6.88 (m, 1H), 6.73 (d, *J* = 8.1 Hz 2H), 4.05 (s, 2H), 2.93 (s, 6H). ¹³C NMR (100 MHz, CDCl₃) δ 149.2, 136.6, 129.6, 129.4, 129.4, 127.7, 122.3, 122.0, 119.4, 119.3, 116.8, 113.2, 113.2, 111.1, 41.1, 41.1, 30.6. **ESI HRMS** m/z calcd. For C₁₇H₁₉N₂ [M+H] +: 251.1548 Found 251.1550.



3-(3,4,5-trimethoxybenzyl)-1H-indole (9p). Off-White Solid (Yield = 91%; TLC: R_{f} : 0.53 (PE/EA=7/3), mp = 125-128 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.07 (s, 1H), 7.55 (d, *J* = 7.9 Hz, 1H), 7.37 (d, *J* = 8.1 Hz, 1H), 7.22 – 7.18 (m, 1H), 7.13 – 7.09 (m, 1H), 6.93 (m, 1H), 6.54 (s, 2H), 4.07 (s, 2H), 3.84 (s, 3H), 3.80 (s, 6H). ¹³C NMR (100 MHz, CDCl₃) δ 153.2, 153.2, 137.1, 136.6, 136.2, 127.5, 122.5, 122.2, 119.4, 119.1, 115.7, 111.3, 105.8, 105.8, 61.0, 56.1, 56.1, 32.1. **ESI HRMS** m/z calcd. for C₁₈H₂₀NO₃ [M+H] +:298.1443, Found: 298.1446.



3-(1-(5-methylthiophen-2-yl)ethyl)-1H-indole (9q). Colourless Oil (Yield = 74%; TLC: R_f: 0.52 (PE/EA=7/3); ¹H NMR (400 MHz, CDCl₃) δ 7.91 (d, J = 7.9 Hz, 1H), 7.69 (s, 1H), 7.54 – 7.41 (m, 3H), 7.10 (d, J = 2.3 Hz, 1H), 7.00 (d, J = 3.3 Hz, 1H), 6.89 – 6.88 (m, 1H), 4.90 (q, J = 7.0 Hz, 1H), 2.71 (s, 3H), 2.10 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 149.1, 137.3, 136.4, 126.4, 124.4, 123.0, 121.9, 121.1, 121.0, 119.5, 119.2, 111.3, 32.5, 23.3, 15.3. ESI HRMS m/z calcd. for C₁₅H₁₅NS [M+Na]+:264.0817, Found: 264.0808.



3-(furan-2-ylmethyl)-1H-indole (9r). Colourless oil (Yield = 73%; TLC: R_f : 0.49). ¹H **NMR** (400 MHz, CDCl₃) δ 7.96 (s, 1H), 7.60 (d, J = 7.9 Hz, 1H), 7.38 – 7.34 (m, 2H), 7.24 – 7.20 (m, 1H), 7.11 – 7.15 (m, 1H), 7.05 (m, 1H), 6.31 - 6.30 (m, 1H), 6.05 – 6.04 (m, 1H), 4.14 (s, 2H). ¹³C **NMR** (100 MHz, CDCl₃) δ 155.0, 141.2, 136.4, 127.4, 122.5, 122.2, 119.6, 119.2, 112.7, 111.2, 110.4, 105.8, 24.6. **ESI HRMS** m/z calcd. for C₁₃H₁₂NO [M+H] +:198.0919, Found: 198.0919.



3-((6-methoxynaphthalen-2-yl)methyl)-1H-indole (9s). White Solid (Yield = 78%; TLC: R_{f} : 0.65 (PE/EA=7/3), mp = 170-175 °C; ¹H NMR (400 MHz, DMSO-d₆) δ 10.85 (s, 1H), 7.70 (t, J = 8.3 Hz, 3H), 7.43 – 7.37 (m, 2H), 7.33 (d, J = 8.1 Hz, 1H), 7.24 (s, 1H), 7.19 (s, 1H), 7.10 (d, J = 8.6 Hz, 1H), 7.03 (t, J = 7.3 Hz, 1H), 6.89 (t, J = 7.3 Hz, 1H), 4.15 (s, 2H), 3.83 (s, 3H). ¹³C NMR (100 MHz, DMSO-d₆) δ 156.8, 137.1, 136.5, 132.8, 128.9, 128.7, 128.1, 127.1, 126.7, 126.1, 123.3, 121.1, 118.7, 118.5, 118.4, 114.0, 111.5, 105.9, 55.2, 31.2. ESI HRMS m/z calcd. for C₂₀H₁₈NO [M+H] +:288.1388, Found: 288.1389.



3-(1-(anthracen-9-yl)ethyl)-1H-indole (9t). Yellow oil (Yield = 93%; TLC: R_f : 0.60 (PE/EA=9/1), ¹H NMR (400 MHz, CDCl₃) δ 8.58 (d, J = 8.9 Hz, 2H), 8.44 (s, 1H), 8.08 - 7.98 (m, 3H), 7.44 (s, 3H), 7.35 - 7.28 (m, 2H), 7.20 (s, 1H), 7.08 - 7.04 (m, 1H), 6.79 (d, J = 7.9 Hz, 1H), 6.74 - 6.70 (m, 1H), 6.00 - 5.94 (m, 1H), 2.15 (d, J = 8.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 137.9, 136.8, 132.1, 130.0, 129.5, 127.2, 127.0, 124.7, 122.2, 121.9, 121.0, 120.1, 119.2, 111.0, 31.6, 20.5. ESI HRMS m/z calcd. for C₂₄H₂₀N [M+H] +:322.1596, Found: 322.1583.



2-(1-(7-methyl-1H-indol-3-yl)ethyl)aniline (10b). White Solid (Yield = 96%; TLC: R_f : 0.51 (PE/EA=4/1), mp =167-169 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.89 (s, 1H), 7.40-7.36 (m, 1H), 7.32 (dd, J = 7.6, 1.4 Hz, 1H), 7.13-7.09 (m, 1H), 7.02 (d, J = 5.3 Hz, 2H), 6.88 – 6.83 (m, 2H), 6.67 (dd, J = 7.8, 1.2 Hz, 1H), 4.38 (q, J = 7.1 Hz, 1H), 2.48 (s, 3H), 1.74 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 144.3, 136.3, 130.5, 127.4, 127.1, 126.3, 122.8, 121.2, 120.8, 120.5, 119.7, 119.0, 117.2, 116.4, 32.2, 20.4, 16.7. ESI HRMS m/z calcd. for $C_{17}H_{19}N_2$ [M+H]⁺: 251.1548, Found: 251.1551.



2-(1-(5-methyl-1H-indol-3-yl)ethyl)aniline (10c). White Solid (Yield = 98%; TLC: Rf: 0.51 (PE/EA=7/3), mp = 153-155 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.80 (s, 1H), 7.29 – 7.27 (m, 2H), 7.21 – 7.17 (m, 1H), 7.09-7.04 (m, 1H), 6.99 (dd, J = 8.3, 1.1 Hz, 1H), 6.83-6.79 (m, 1H), 6.71 (d, J = 1.8 Hz, 1H), 6.62 (dd, J = 7.8, 1.1 Hz, 1H), 4.31 (q, J = 7.0 Hz, 1H), 2.39 (s, 3H), 1.69 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 144.5, 135.1, 130.5, 128.7, 127.3, 127.0,127.0, 123.9, 121.6, 119.9, 119.0, 118.9, 116.3, 111.0, 32.0, 21.7, 20.4. ESI HRMS m/z calcd. for C₁₇H₁₉N₂ [M+H]⁺: 251.1548, Found: 251.1545.



3-(1-(2-aminophenyl)ethyl)-1H-indol-5-ol (10d). Off-White Solid (Yield = 85%; TLC: R_f: 0.46 (PE/EA=7/3), mp = 150-155 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.49 (s, 1H), 8.48 (s, 1H), 7.12 – 7.08 (m, 2H), 6.84 (t, *J* = 8.1 Hz, 2H), 6.61 (d, *J* = 7.6 Hz, 1H), 6.55 - 6.53 (m, 2H), 6.43 (t, *J* = 7.1 Hz, 1H), 4.83 (s, 2H), 4.24 (q, *J* = 6.6 Hz, 1H), 1.51 (d, *J* = 6.7 Hz, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 149.8, 145.5, 131.3, 129.9, 127.3, 127.0, 126.1, 122.6, 118.0, 116.5, 115.0, 111.6, 111.3, 103.3, 30.6, 20.2. ESI HRMS m/z calcd. for C₁₆H₁₇N₂O [M+H] +: 253.1341, Found: 253.1321.



3-(1-(2-aminophenyl)ethyl)-1H-indol-6-amine (10e). Off-White Solid (Yield = 89%; TLC: R_f: 0.37 (PE/EA=7/3), mp = 165-167 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 7.49 (d, *J* = 7.5 Hz, 1H), 7.11 (d, *J* = 8.3 Hz, 1H), 6.99 – 6.91 (m, 2H), 6.66 (t, *J* = 7.2 Hz, 1H), 6.54 (d, *J* = 7.4 Hz, 1H), 6.46 (d, *J* = 8.3 Hz, 1H), 6.21 (d, *J* = 3.0 Hz, 1H), 4.54 (d, *J* = 55.2 Hz, 2H), 4.35 (q, *J* = 6.8 Hz, 1H), 3.35 (d, *J* = 9.4 Hz, 2H), 1.64 (d, *J* = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CD₃OD) δ 147.2, 140.0, 136.6, 130.0, 128.5, 126.9, 123.9, 123.4, 120.5, 119.7, 117.5, 113.6, 111.9, 102.7, 34.1, 17.4. **ESI HRMS** m/z calcd. for C₁₆H₁₈N₃ [M+H] ⁺: 252.1501, Found : 252.1491.



2-(1-(5-methoxy-1H-indol-3-yl)ethyl)aniline (10f). White Solid (Yield = 85%; TLC: R_f: 0.49 (PE/EA=7/3), mp = 161-165 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 10.69 (s, 1H), 7.27 (d, J = 8.7 Hz, 1H), 7.18 (d, J = 2.0 Hz, 1H), 7.00 (dd, J = 7.6, 1.2 Hz, 1H), 6.94 – 6.88 (m, 1H), 6.81 (d, J = 2.3 Hz, 1H), 6.73 (dd, J = 8.7, 2.4 Hz, 1H), 6.68 (dd, J = 7.9, 0.9 Hz, 1H), 6.56 – 6.50 (m, 1H), 4.84 (s, 2H), 4.38 (q, J = 6.8 Hz, 1H), 3.65 (s, 3H), 1.61 (d, J = 7.0 Hz, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 152.6, 145.5, 131.9, 129.8, 127.2, 126.9, 126.2,

122.7, 118.8, 116.5, 115.2, 111.9, 110.6, 101.5, 55.3, 30.5, 20.4. **ESI HRMS** m/z calcd. for $C_{17}H_{19}N_2O[M+H]^+$: 267.1497, Found: 267.1477.



2-(1-(5,6-dimethoxy-1H-indol-3-yl)ethyl)aniline (10g). Off-White Solid (Yield = 82%; TLC: R_f: 0.45 (PE/EA=7/3), mp = 165-168 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.85 (s, 1H), 7.31 (d, J = 7.3 Hz, 1H), 7.07 (t, J = 7.0 Hz, 1H), 6.88 – 6.80 (m, 3H), 6.77 (d, J = 1.5 Hz, 1H), 6.64 (d, J = 7.7 Hz, 1H), 4.30 (q, J = 7.0 Hz, 1H), 3.88 (s, 3H), 3.78 (s, 3H), 1.72 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 147.2, 144.7, 144.7, 131.0, 130.3, 127.6, 127.2, 120.1, 119.9, 119.5, 118.8, 116.4, 101.2, 94.6, 56.3, 56.2, 32.7, 20.1. ESI HRMS m/z calcd. for C₁₈H₂₀N₂NaO₂ [M+Na]⁺: 319.1420 Found 319.1422.



2-(1-(6-(benzyloxy)-1H-indol-3-yl)ethyl)aniline (10h). White Solid (Yield = 93%; TLC: Rf: 0.51 (PE/EA=7/3), mp = 143-145 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.83 (s, 1H), 7.48 - 7.43 (m, 2H), 7.40 - 7.36 (m, 2H), 7.35 - 7.27 (m, 3H), 7.10 - 7.06 (m, 1H), 6.89 - 6.77 (m, 3H), 6.77 (d, *J* = 9.2 Hz, 1H), 6.69 (d, *J* = 7.8 Hz, 1H), 5.07 (s, 2H), 4.33 (q, *J* = 6.9 Hz, 1H), 1.71 (d, *J* = 7.0 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 155.1, 143.9, 137.4, 137.3, 130.6,128.3, 128.3, 127.6, 127.3, 127.3, 126.7, 121.2, 120.5, 119.6, 119.0, 116.5, 116.4 109.3, 96.10, 70.5, 32.2, 19.80. ESI HRMS m/z calcd. for C₂₃H₂₃N₂O [M+H] +: 343.1810 Found 343.1806.



3-(1-(2-aminophenyl)ethyl)-1H-indole-5-carbonitrile (10i). White Solid (Yield = 94%; TLC: R_f: 0.45 (PE/EA=7/3), mp = 142-144 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 11.45 (s, 1H), 7.71 (s, 1H), 7.50 (d, J = 8.4 Hz, 1H), 7.44 (s, 1H), 7.36 (d, J = 8.4 Hz, 1H), 6.87 (t, J = 6.9 Hz, 2H), 6.66 (d, J = 8.3 Hz, 1H), 6.46 (t, J = 7.4 Hz, 1H), 4.96 (s,2H), 4.45 (q, J = 6.9 Hz, 1H), 1.56 (d, J = 6.9 Hz, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 145.3, 138.3, 129.3, 127.0, 126.4, 126.4, 124.7, 127.7, 123.6, 120.9, 120.7, 116.5, 115.2, 112.7, 100.0, 29.6, 20.5. ESI HRMS m/z calcd. For C₁₇H₁₄N₃ [M-H]⁺: 260.1188 Found 260.1188.



2-(1-(6-fluoro-1H-indol-3-yl)ethyl)aniline (10j). Off-White Solid (Yield = 95%; TLC: R_f: 0.52 (PE/EA=7/3), mp = 133-137 °C; ¹H NMR (400 MHz, CDCl₃ and CD₃OD) δ 7.71 (s, 1H), 7.13 – 7.06 (m, 1H), 7.01 (t, *J* = 7.0 Hz, 1H), 6.88 – 6.84 (m, 1H), 6.71 (dd, *J* = 9.6, 2.1 Hz, 1H), 6.63 – 6.52 (m, 3H), 6.42 (d, *J* = 7.7 Hz, 1H), 4.08 (q, *J* = 6.7 Hz, 1H), 1.46 (d, *J* = 7.0 Hz, 3H).¹³C NMR (100 MHz, CDCl₃) δ 158.5 (d, *J* = 231.9 Hz), 145.6, 135.1, 132.2,

128.5, 128.2 (d, J = 9.8 Hz), 127.8, 124.7, 120.5 (d, J = 4.9 Hz), 119.9, 117.7, 112.9 (d, J = 9.7 Hz), 110.4 (d, J = 26.5 Hz), 104.7 (d, J = 23.6 Hz), 33.1, 20.59. ¹⁹F NMR (377 MHz, DMSO- d_6) δ -122.42. **ESI HRMS** m/z calcd. for C₁₆H₁₄FN₂ [M-H] ⁺: 253.1141, found: 253.1141.



2-(1-(5-fluoro-1H-indol-3-yl)ethyl)aniline (10k). Off-White Solid (Yield = 87%; TLC: Rf: 0.52 (PE/EA=7/3), mp = 133-137 °C ¹H NMR (400 MHz, CD₃OD) δ 7.25 (dd, *J* = 8.8, 4.4 Hz, 1H), 7.11 – 7.03 (m, 2H), 6.98 (t, *J* = 7.6 Hz, 1H), 6.90 (d, *J* = 10.0 Hz, 1H), 6.83-6.78 (m, 1H), 6.73 – 6.67 (m, 2H), 4.30 (q, *J* = 6.9 Hz, 1H), 1.63 (d, *J* = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CD₃OD) δ 158.5 (d, *J* = 231.9 Hz), 145.6, 135.1, 132.2, 128.5, 128.23 (d, *J* = 9.8 Hz), 127.8, 124.7, 120.53 (d, *J* = 4.9 Hz), 119.89, 117.68, 112.88 (d, *J* = 9.7 Hz), 110.44 (d, *J* = 26.5 Hz), 104.74 (d, *J* = 23.6 Hz), 33.1, 20.6. ¹⁹F NMR (377 MHz, CD₃OD) δ -127.83. **ESI HRMS** m/z calcd. for C₁₆H₁₄N₂F [M-H]⁺: 253.1141, found: 253.1141.



2-(1-(6-chloro-5-fluoro-1H-indol-3-yl)ethyl)aniline (101). White Solid (Yield = 92%; TLC: R_f: 0.41 (PE/EA=7/3), mp = 143-145 °C; ¹H NMR (400 MHz, CD₃OD) δ 7.37 (d, *J* = 6.3 Hz, 1H), 7.13 (s, 1H), 7.04 – 6.97 (m, 3H), 6.73 (d, *J* = 7.9 Hz, 1H), 6.68 (t, *J* = 7.5 Hz, 1H), 4.31 (q, *J* = 7.0 Hz, 1H), 1.63 (d, *J* = 7.0 Hz, 3H).¹³C NMR (100 MHz, CD₃OD) δ 153.32 (d, *J* = 235.1 Hz), 145.7, 134.8, 131.9, 128.5, 127.9, 127.0 (d, *J* = 8.5 Hz), 125.4, 121.0(d, *J* = 4.7 Hz), 119.8, 117.7, 115.7 (d, *J* = 21.5 Hz,), 113.2, 106.1 (d, *J* = 23.4 Hz), 32.9, 20.6. ¹⁹F NMR (377 MHz, CD₃OD) δ -130.47. **ESI HRMS** m/z calcd. For C₁₆H₁₃ClFN₂ [M-H]⁺: 287.0751, Found: 287.0747.



2-(1-(5-bromo-1H-indol-3-yl)ethyl)aniline (10m). Off-White Solid (Yield = 88%; TLC: R_f: 0.45 (PE/EA=7/3), mp =159-161 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 11.08 (s, 1H), 7.42 – 7.37 (m, 1H), 7.32 (d, *J* = 12.3 Hz, 2H), 7.14 (d, *J* = 8.5 Hz, 1H), 6.92 – 6.83 (m, 2H), 6.66 (d, *J* = 7.5 Hz, 1H), 6.46 (t, *J* = 6.8 Hz, 1H), 4.93 (s, 2H), 4.39 (q, *J* = 7.3 Hz, 1H), 1.55 (d, *J* = 6.4 Hz, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 145.3, 135.3, 129.5, 128.4, 127.0, 126.3, 124.7, 123.4, 121.3, 119.1, 116.4, 115.2, 113.4, 110.7, 29.9, 20.4. ESI HRMS m/z calcd. For C₁₆H₁₆BrN₂ [M+H] +: 315.0497 Found 315.0492.



2-(1-(1-methyl-1H-indol-3-yl)ethyl)aniline (10n). Colourless Oil (Yield = 91%; TLC: R_f: 0.53 (PE/EA=7/3), ¹H NMR (400 MHz, CDCl₃) δ 7.62 (d, J = 8.0 Hz, 1H), 7.42-7.30 (m,

3H), 7.17 (q, J = 7.8 Hz, 2H), 6.93 (t, J = 7.5 Hz, 1H), 6.77 (s, 1H), 6.72 (d, J = 7.7 Hz, 1H), 4.47 (q, J = 7.0 Hz, 1H), 3.76 (s, 3H), 1.82 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 144.5, 137.4, 130.4, 127.2, 127.2, 127.0, 126.2, 121.8, 119.5, 118.9, 118.7, 118.7, 116.2, 109.3, 32.7, 31.9, 20.5. **ESI HRMS** m/z calcd. for C₁₇H₁₉N₂ [M+H] +: 251.1548, Found: 251.1536.



2-(1-(1-phenyl-1H-indol-3-yl)ethyl)aniline (100). White Solid (Yield = 93%; TLC: R_f : 0.51 (PE/EA=7/3), mp = 123-125 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.48 – 7.45 (m, 2H), 7.40 – 7.35 (m, 4H), 7.23 – 7.19 (m, 2H), 7.14-7.10 (m, 1H), 7.04 – 6.96 (m, 2H), 6.93 (s, 1H), 6.75-6.71 (m, 1H), 6.56 (dd, J = 7.8, 1.1 Hz, 1H), 4.33 (q, J = 7.1 Hz, 1H), 1.67 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 144.5, 139.9, 136.6, 130.0,129.7, 129.7, 128.3, 127.5, 127.2, 126.3, 125.2, 124.2,124.2, 122.7, 121.5, 120.1, 119.9, 118.9, 116.3, 110.7, 32.1, 20.4. ESI HRMS m/z calcd. for C₂₂H₂₁N₂ [M+H]⁺: 313.1705, Found: 313.1694.



2-(1-(2-methyl-1H-indol-3-yl)ethyl)aniline (10p). White Solid (Yield = 94%; TLC: R_f : 0.50 (PE/EA=4/1), mp = 161-165170-174 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 10.74 (s, 1H), 7.28 – 7.21 (m, 3H), 6.92 (t, *J* = 7.4 Hz, 2H), 6.79 (t, *J* = 7.4 Hz, 1H), 6.64 – 6.57 (m, 2H), 4.40 (s, 2H), 4.22 (q, *J* = 6.9 Hz, 1H), 2.28 (s, 3H), 1.59 (d, *J* = 7.1 Hz, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 145.8, 135.3, 131.4, 129.2, 127.3, 126.5, 126.4, 119.8, 118.2, 118.1, 116.5, 115.0, 112.5, 110.5, 30.4, 20.2, 11.8. ESI HRMS m/z calcd. for C₁₇H₁₉N₂ [M+H]: 251.1548 +: Found: 251.1535.



2-(1-(2,5-dimethyl-1H-indol-3-yl)ethyl)aniline (10q). White Solid (Yield = 79%; TLC: R_f: 0.54 (PE/EA=4/1), mp = 196-198 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 10.60 (s, 1H), 7.25 (d, J = 6.7 Hz, 1H), 7.11 – 7.08 (m, 2H), 6.92 (t, J = 6.6 Hz, 1H), 6.76 (d, J = 7.6 Hz, 1H), 6.63 – 6.57 (m, 2H), 4.41 (s, 2H), 4.20 (q, J = 5.9 Hz, 1H), 2.24 (d, J = 15.7 Hz, 6H), 1.58 (d, J = 6.2 Hz, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 145.7, 133.5, 131.4, 129.2, 127.6, 126.4, 126.3, 126.2, 121.3, 117.8, 116.4, 114.9, 111.9, 110.2, 30.3, 21.5, 20.1, 11.8. ESI HRMS m/z calcd. for C₁₈H₂₁N₂[M+H]⁺: 265.1705, Found: 265.1702.



2-(1-(1-benzyl-2,5-dimethyl-1H-indol-3-yl)ethyl)aniline (10r). Yellow oil (Yield = 87%; TLC: R_f: 0.67 (PE/EA=7/3); ¹H NMR (400 MHz, CDCl3) δ 7.43 (d, J = 7.6 Hz, 1H), 7.19 – 7.07 (m, 4H), 6.98 (t, J = 7.2 Hz, 2H), 6.83 – 6.78 (m, 4H), 6.49 (d, J = 7.7 Hz, 1H), 5.13 (m,

2H), 4.25 (q, J = 7.2 Hz, 1H), 2.27 (s, 3H), 2.08 (s, 3H), 1.63 (d, J = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl3) δ 145.2, 138.2, 135.2, 132.8, 129.9, 128.9,128.9, 128.4, 127.3, 127.1, 126.9, 126.9,126.0, 126.0, 122.6, 118.6, 118.5, 116.0, 113.3, 108.9, 46.5, 31.5, 21.7, 20.2, 10.4. ESI HRMS m/z calcd. for C₂₅H₂₇N₂ [M+H]⁺: 355.2174, Found : 355.2175.



2-(1-(2-phenyl-1H-indol-3-yl)ethyl)aniline (10s). White Solid (Yield = 96%; TLC: R_{f} : 0.55 (PE/EA=7/3), mp = 127-130 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.07 (s, 1H), 7.60 – 7.40 (m, 3H), 7.51 – 7.42 (m, 4H), 7.32 (d, J = 8.1 Hz, 1H), 7.16 (t, J = 7.6 Hz, 1H), 7.05 (t, J = 7.5 Hz, 1H), 7.01 - 6.97 (m, 1H), 6.89 (t, J = 7.2 Hz, 1H), 6.55 (d, J = 7.8 Hz, 1H), 4.48 (q, J = 7.2 Hz, 1H), 3.46 (s, 2H),1.88 (d, J = 7.2 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 144.4, 136.4, 134.5, 132.8, 129.9, 129.1,129.1, 128.7,128.7, 128.3, 127.5, 127.1, 127.1, 122.3, 120.8, 119.7, 118.8, 116.4, 115.5, 111.0, 31.5, 20.6. ESI HRMS m/z calcd. for C₂₂H₂₁N₂ [M+H]⁺: 313.1705, Found: 313.1699.



2-((5-(benzyloxy)-1H-indol-3-yl)methyl)aniline (10t). Off-White Solid (Yield = 84%; TLC: R_f: 0.52 (PE/EA=7/3), mp = 153-155 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.84 (s, 1H), 7.45 (t, J = 8.8 Hz, 3H), 7.41 – 7.36 (m, 2H), 7.34-7.30 (m, 1H), 7.17 (dd, J = 7.4, 1.2 Hz, 1H), 7.11-7.07 (m, 1H), 6.90 (d, J = 2.1 Hz, 1H), 6.85 (dd, J = 8.6, 2.2 Hz, 1H), 6.80 – 6.73 (m, 2H), 6.68 (dd, J = 7.9, 1.0 Hz, 1H), 5.10 (s, 2H), 3.98 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 155.9, 145.0, 137.6, 137.4, 130.6, 128.7, 128.7, 128.0, 127.6, 127.6, 127.5, 125.4, 122.3, 121.3, 119.9, 118.9, 116.0, 113.9, 110.3, 96.3, 70.8, 28.5. ESI HRMS m/z calcd. for C₂₂H₂₁N₂O [M+H]⁺: 329.1654, Found: 329.1652.



2-((1-methyl-1H-indol-3-yl)methyl)aniline (10u). Off-White Solid (Yield = 87%; R_f : 0.71 (PE/EA=4/1), mp = 161-165 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.64 (d, J = 7.9 Hz, 1H), 7.37 – 7.27 (m, 2H), 7.23 (d, J = 7.4 Hz, 1H), 7.19 – 7.12 (m, 2H), 6.83 (t, J = 7.4 Hz, 1H), 6.73 (t, J = 3.9 Hz, 2H), 4.05 (s, 2H), 3.73 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 144.9, 137.4, 130.5, 127.9, 127.5, 127.1, 125.5, 121.8, 119.2, 119.0, 118.8, 115.9, 112.2, 109.3, 32.7, 28.2. ESI HRMS m/z calcd. for $C_{16}H_{17}N_2$ [M+H]⁺: 237.1392, found: 237.1389.



2-((5-bromo-1H-indol-3-yl)methyl)aniline (10v). Off-White Solid (Yield = 69%; TLC: R_f: 0.43 (PE/EA=7/3), mp = 118-120 °C ¹H NMR (400 MHz, CDCl₃) δ 8.07 (s, 1H), 7.73 (d, J = 1.7 Hz, 1H), 7.34 – 7.27 (m, 1H), 7.23 (d, J = 8.6 Hz, 1H), 7.18 – 7.10 (m, 2H), 6.86 – 6.77 (m, 2H), 6.73 (d, J = 7.8 Hz, 1H), 3.97 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 144.7, 135.3,

130.5, 129.3, 127.7, 125.2, 124.9, 123.7, 121.8, 119.0, 116.0, 113.7, 112.9, 112.7, 27.9. **ESI HRMS** m/z calcd. for $C_{15}H_{14}N_2Br [M+H]^+$: 301.0340, found: 301.0310.



N,N-dimethyl-4-((1-methyl-1H-indol-3-yl)methyl)aniline (10w). Off-White (Yield = 83%; TLC: R_f: 0.51(PE/EA=9/1), mp = 154-156 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.58 (dd, J = 7.9, 0.8 Hz, 1H), 7.30 (dd, J = 8.2, 0.6 Hz, 1H), 7.26 – 7.23 (m, 1H), 7.21 (d, J = 2.6 Hz, 1H), 7.19 (s, 1H), 7.12-7.08 (m, 1H), 6.75 – 6.70 (m, 3H), 4.04 (s, 2H), 3.73 (s, 3H), 2.93 (s, 6H). ¹³C NMR (100 MHz, CDCl₃) δ 149.0, 137.2, 129.6, 129.3, 129.3, 127.9, 127.0, 121.4, 119.3, 118.7, 115.2, 113.0, 113.0, 109.1, 40.9, 40.9, 32.4, 30.5. **ESI HRMS** m/z calcd. for C₁₈H₂₁N₂ [M+H]⁺ 265.1705, Found : 265.1708.



3-(4-methoxybenzyl)-1-methyl-1H-indole (10x). Off-White Solid (Yield = 79%; TLC: R_f: 0.60 (PE/EA=7/3), mp = 72-75 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.42 (d, *J* = 7.9 Hz, 1H), 7.18 (d, *J* = 8.2 Hz, 1H), 7.11 (d, *J* = 8.5 Hz, 3H), 7.01 – 6.95 (m, 1H), 6.77 – 6.70 (m, 2H), 6.63 (s, 1H), 3.95 (s, 2H), 3.68 (s, 3H), 3.61 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 157.9, 137.3, 133.6, 129.7, 129.7, 127.9, 127.1, 121.7, 119.3, 118.8, 114.9, 113.8, 113.8, 109.2, 55.4, 32.7, 30.7.



3-(1-(4-methoxyphenyl) ethyl)-1-methyl-1H-indole (10y). Colourless Oil (Yield = 89%; TLC: R_f: (PE/EA=7/3); ¹H NMR (400 MHz, CDCl₃) δ 7.29 (d, J = 7.9 Hz, 1H), 7.20 – 7.06 (m, 4H), , 6.93-6.89 (m, 1H), 6.75 – 6.70 (m, 3H), 4.24 (q, J = 7.1 Hz, 1H), 3.68 (s, 3H), 3.65 (s, 3H), 1.58 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 157.8, 139.3, 137.5, 128.4, 128.4, 127.3, 126.0, 121.6, 120.5, 119.9, 118.7, 113.8, 113.8 109.2, 55.3, 36.2, 32.8, 22.8. **ESI HRMS** m/z calcd. for C₁₈H₂₀NO [M+H]⁺266.1545, Found : 266.1534.



1-benzyl-3-(4-methoxybenzyl)-1H-indole (10z). Off-White Solid (Yield = 81%; TLC: R_{f} : 0.65 (PE/EA=9/1), mp = 68-72 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.44 (d, J = 7.9 Hz, 1H), 7.22 – 7.15 (m, 3H), 7.15 – 7.10 (m, 3H), 7.09 – 7.03 (m, 1H), 7.01-6.95 (m, 3H), 6.73 (m, 3H), 5.16 (s, 2H), 3.97 (s, 2H), 3.68 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 157.9, 137.9, 137.0, 133.5, 129.7, 129.7, 128.8, 128.8, 128.2, 127.6, 126.8, 126.8, 126.6, 121.9, 119.5, 119.1, 115.5, 113.8, 113.8, 109.8, 55.4, 50.0, 30.8. ESI HRMS m/z calcd. for C₂₃H₂₁NO [M+H]⁺ 328.1701, Found : 328.1691.



3-(1-(5-methylthiophen-2-yl)ethyl)-5-nitro-1H-indole (10za). Off-White Solid (Yield = 85%; TLC: R_f: 0.51 (PE/EA=9/1), mp = 130-133 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.50 (d, J = 2.1 Hz, 1H), 8.09 (dd, J = 9.0, 2.2 Hz, 1H), 7.38 (d, J = 9.0 Hz, 1H), 7.21 (d, J = 1.9 Hz, 1H), 6.68 (d, J = 3.3 Hz, 1H), 6.58 – 6.54 (m, 1H), 4.60 (q, J = 7.1 Hz, 1H), 2.40 (s, 3H), 1.77 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 147.7, 141.7, 139.6, 138.0, 126.1, 124.7, 124.2, 124.0, 123.5, 118.0, 117.1, 111.3, 32.4, 23.4, 15.5. ESI HRMS m/z calcd. for C₁₅H₁₃N₂O₂S [M-H] +: 285.0698, Found: 285.0695.



2-bromo-3-(1-(4-methoxyphenyl)ethyl)-1-methyl-1H-indole (10zb). Colourless oil (Yield = 91%; TLC: R_f : 0.70 (PE/EA=4/1); ¹H NMR (400 MHz, CDCl₃) δ 7.31 – 7.26 (m, 1H), 7.22 – 7.15 (m, 3H), 7.08 – 7.04 (m, 1H), 6.91 – 6.87 (m, 1H), 6.75 – 6.70 (m, 2H), 4.39 (q, J = 7.3 Hz, 1H), 3.68 (s, 3H), 3.67 (s, 3H), 1.69 (d, J = 7.3 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 157.8, 137.4, 137.3, 128.4, 128.4, 126.0, 121.7, 119.7, 119.4, 118.9, 113.6, 113.6, 113.1, 109.5, 55.3, 36.2, 31.6, 20.1.



11-methyl-6H-indolo[2,3-b] quinoline (11a). White Solid (Yield = 92%; TLC: R_f : 0.48 (PE/EA=7/3), mp = 278-280 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 11.68 (s, 1H), 8.35 – 8.30 (m, 2H), 7.96 (d, J = 8.4 Hz, 1H), 7.73-7.69 (m, 1H), 7.54 – 7.47 (m, 3H), 7.29 – 7.25 (m, 1H), 3.17 (s, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 152.4, 146.2, 141.4, 138.7, 128.5, 127.5, 124.4, 123.7, 123.5, 122.5, 121.1, 119.6, 116.0, 110.7, 14.9. ESI HRMS m/z calcd. for C₁₆H₁₃N₂ [M+H] +:233.1079 Found: 233.1075.



7,11-dimethyl-6H-indolo[2,3-b] quinoline (11b). White Solid (Yield = 93%; TLC: R_f: 0.45 (PE/EA=7/3), mp = 294-296 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 11.65 (s, 1H), 8.25 (d, *J* = 8.3 Hz, 1H), 8.07 (d, *J* = 7.7 Hz, 1H), 7.91 (d, *J* = 8.3 Hz, 1H), 7.65 (t, *J* = 7.4 Hz, 1H), 7.42 (t, *J* = 7.4 Hz, 1H), 7.26 (d, *J* = 7.2 Hz, 1H), 7.11 (t, *J* = 7.5 Hz, 1H), 3.34 (s, 3H), 3.09 (s, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 152.7, 146.2, 140.4, 138.5, 128.4, 128.2, 127.5, 124.4, 123.5, 122.4, 121.1, 120.7, 120.1, 119.7, 116.4, 17.0, 14.8. **ESI HRMS** m/z calcd. for C₁₇H₁₅N₂ [M+H]⁺: 247.1235, Found: 247.1238.



11-methyl-6H-indolo[2,3-b] quinolin-9-ol (11c). Off-White Solid (Yield = 87%; TLC: R_f: 0.35 (PE/EA=7/3), mp = 302-305 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 11.34 (s, 1H), 9.17 (s, 1H), 8.29 (d, *J* = 8.1 Hz, 1H), 7.91 (d, *J* = 8.0 Hz, 1H), 7.72 – 7.64 (m, 2H), 7.45 (t, *J* = 7.6 Hz, 1H), 7.31 (d, *J* = 8.5 Hz, 1H), 7.02 (dd, *J* = 8.5, 2.3 Hz, 1H), 3.12 (s, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 152.8, 151.1, 146.2, 138.4, 134.7, 128.3, 127.4, 124.4, 123.2, 122.1, 121.7, 116.2, 116.0, 111.2, 109.4, 14.7. **ESI HRMS** m/z calcd. for C₁₆H₁₃N₂O [M+H] ⁺: 249.1028, Found: 249.1023.



11-methyl-6H-indolo[2,3-b] quinoline-9-carbonitrile (11d). Off-White Solid (Yield = 93%; TLC: R_f: 0.42 (PE/EA=7/3), mp = 310-315 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 12.23 (s, 1H), 8.76 (s, 1H), 8.39 (d, J = 8.2 Hz, 1H), 7.99 (d, J = 8.2 Hz, 1H), 7.90 (dd, J = 8.4, 1.2 Hz, 1H), 7.77 (t, J = 7.6 Hz, 1H), 7.61 (d, J = 8.4 Hz, 1H), 7.55 (t, J = 7.6 Hz, 1H), 3.22 (s, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 152.4, 146.6, 143.9, 140.7, 131.1, 129.3, 128.0, 127.8, 124.8, 123.8, 123.3, 121.4, 120.3, 114.8, 111.6, 101.5, 15.0. ESI HRMS m/z calcd. for C₁₇H₁₂N₂O [M+H]⁺: 258..1031, Found : 258.1031. MeQ



9-methoxy-11-methyl-6H-indolo[**2,3-b**] **quinoline (11e).** Off-White Solid (Yield = 91%; TLC: R_f: 0.44 (PE/EA=7/3), mp = 283-285 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 11.56 (s, 1H), 8.27 (d, J = 7.7 Hz 1H), 8.16 (d, J = 8.7 Hz, 1H), 7.92 (d, J = 8.4 Hz, 1H), 7.68 – 7.64 (m, 1H), 7.48 – 7.44 (m, 1H), 6.99 (d, J = 2.3 Hz, 1H), 6.84 (dd, J = 8.6, 2.3 Hz, 1H), 3.87 (s, 3H), 3.09 (s, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 160.5, 153.2, 145.6, 143.6, 138.2, 129.2, 127.6, 125.5, 125.0, 124.4, 123.7, 117.1, 115.1, 108.8, 96.2, 56.2, 15.4. ESI HRMS m/z calcd. for C₁₇H₁₅N₂O[M+H]⁺: 263.1184, Found: 263.1277.



9-(benzyloxy)-11-methyl-6H-indolo[2,3-b] quinoline (11f). Off-White Solid (Yield = 97%; TLC: R_f: 0.51 (PE/EA=7/3), mp = 270-272 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 11.50 (s, 1H), 8.27 (d, J = 8.4 Hz, 1H), 8.17 (d, J = 8.7 Hz, 1H), 7.91 (d, J = 8.1 Hz, 1H), 7.67 (t, J = 7.3 Hz, 1H), 7.48 (d, J = 7.0 Hz, 3H), 7.40 (t, J = 7.2 Hz, 2H), 7.34 (d, J = 7.2 Hz, 1H),7.10 (s, 1H), 6.93 (d, J = 8.3 Hz, 1H), 5.21 (s, 2H), 3.08 (s, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 158.7, 152.8, 145.5, 143.1, 137.1, 136.6, 128.6, 128.6, 128.0, 127.9, 127.7,127.7, 127.5, 124.7, 124.2, 123.7, 122.6, 116.2, 114.7, 108.4, 96.4, 69.6, 14.8. ESI HRMS m/z calcd. for C₂₃H₁₉N₂O [M+H]⁺: 339.1497, Found :339.1494.



9-fluoro-11-methyl-6H-indolo[2,3-b] quinoline (11g). Off-White Solid (Yield = 94%; TLC: Rf: 0.53 (PE/EA=7/3), mp = 310-315 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 11.69 (s, 1H), 8.35 – 8.32 (m, 1H), 8.12 (dd, *J* = 9.7, 2.4 Hz, 1H), 7.96 – 7.93 (m, 1H), 7.74-7.70 (m, 1H), 7.51-7.46 (m, 2H), 7.40-7.35 (m, 1H), 3.15 (s, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 156.7 (d, 233.3Hz), 152.8, 146.5, 139.7, 137.7, 128.8, 127.5, 124.6, 123.2, 122.5, 121.4 (d, *J* = 9.6 Hz), 115.7 (d, *J* = 3.7 Hz), 114.7 (d, *J* = 24.6 Hz), 111.4 (d, *J* = 8.8 Hz), 109.8(d, *J* = 24.9 Hz), 14.7. ¹⁹F NMR (377 MHz, DMSO-*d*₆) δ -125.73. ESI HRMS m/z calcd. for C₁₆H₁₂FN₂ [M+H] +: 251.0985, Found: 251.0982.



8-chloro-9-fluoro-11-methyl-6H-indolo[2,3-b] quinoline (11h). White Solid (Yield = 89%; TLC: Rf: 0.40 (PE/EA=7/3), mp = 293-295 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 11.82 (s, 1H), 8.34 (dd, J = 12.8, 5.4 Hz, 2H), 7.95 (dd, J = 8.5, 0.8 Hz, 1H), 7.77 – 7.71 (m, 1H), 7.59 (d, J = 6.4 Hz, 1H), 7.55 – 7.48 (m, 1H), 3.14 (s, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 152.8 (d, J = 10.5 Hz), 150.5, 146.6, 140.1, 137.9, 129.1, 127.6, 124.7, 123.4, 122.9, 120.3 (d, J = 8.5 Hz), 118.7 (d, J = 20.2 Hz), 115.2 (d, J = 3.3 Hz), 111.4, 111.2 (d, J = 24.4 Hz), 14.8. ¹⁹F NMR (377 MHz, DMSO- d_6) δ -126.91. ESI HRMS m/z calcd. for C₁₆H₁₁N₂CIF [M+H] +: 285.0595, Found: 285.0601.



9-bromo-11-methyl-6H-indolo[2,3-b] quinoline (11i). Off-White Solid (Yield = 88%; TLC: R_f: 0.56 (PE/EA=7/3), mp = 295-300 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 11.84 (s, 1H), 8.43 (d, *J* = 1.4 Hz, 1H), 8.37 (d, *J* = 8.3 Hz, 1H), 7.96 (d, *J* = 8.2 Hz, 1H), 7.74 (t, *J* = 7.1 Hz, 1H), 7.67 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.52 (t, *J* = 7.2 Hz, 1H), 7.46 (d, *J* = 8.5 Hz, 1H), 3.18 (s, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 152.3, 146.5, 140.1, 139.9, 129.9, 129.0, 127.6, 125.8, 124.6, 123.5, 123.0, 122.8, 115.0, 112.6, 111.5, 14.9. ESI HRMS m/z calcd. for C₁₆H₁₂BrN₂ [M+H]⁺: 311.0184, Found: 311.0182.



9-bromo-6H-indolo[2,3-b] quinoline (11j). Dark Yellow Solid (Yield = 89%; TLC: R_f : 0.52 (PE/EA=7/3), mp = 290-300 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 11.86 (s, 1H), 9.12 (s, 1H), 8.51 (d, *J* = 1.9 Hz, 1H), 8.09 (d, *J* = 7.3 Hz, 1H), 7.99 (d, *J* = 8.6 Hz, 1H), 7.76 – 7.72 (m, 1H), 7.66 (dd, *J* = 8.5, 2.0 Hz, 1H), 7.52 – 7.48 (m, 1H). 7.46 (d, *J* = 8.5 Hz, 1H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 152.9, 146.7, 140.3, 130.6, 129.3, 128.9, 127.8, 127.1, 124.5, 123.8, 123.1, 122.4, 117.0, 112.9, 111.6. ESI HRMS m/z calcd. for C₁₅H₁₀BrN₂ [M+H]⁺: 297.0027 Found: 297.0022.



6,11-dimethyl-6H-indolo[2,3-b] quinoline (11k). White Solid (Yield = 92%; TLC: Rf: 0.58 (PE/EA=7/3), mp =140-145 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.26 – 8.20 (m, 2H), 8.16 (d, J = 8.4 Hz, 1H), 7.75 – 7.69 (m, 1H), 7. 57 (t, J = 7.7 Hz, 1H), 7.50-7.46 (m, 1H), 7.39 (d, J = 8.0 Hz, 1H), 7.32 (t, J = 7.5 Hz, 1H), 3.95 (d, J = 1.2 Hz, 3H), 3.14 (d, J = 1.6 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 152.1, 146.2, 142.7, 139.3, 128.8, 127.9, 127.5, 124.2, 124.1, 123.6, 122.8, 121.4, 120.1, 116.5, 108.7, 27.8, 15.2. ESI HRMS m/z calcd. for C₁₇H₁₅N₂ [M+H]⁺: 247.1235, Found : 247.1226.



6-methyl-6H-indolo[2,3-b]quinoline (111). Colourless Oil (Yield = 81%; TLC: R_f: 0.60 (PE/EA=7/3), ¹H NMR (400 MHz, CDCl₃) δ 8.24 (d, J = 5.2 Hz, 1H), 7.97 (d, J = 8.5 Hz, 1H), 7.80 (d, J = 7.6 Hz, 1H), 7.69 (d, J = 8.0 Hz, 1H), 7.53 (t, J = 7.6 Hz, 1H), 7.33 (t, J = 7.5 Hz, 1H), 7.24 (t, J = 7.5 Hz, 1H), 7.09 – 7.04 (m, 2H), 3.62 (d, J = 3.0 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 152.6, 146.7, 142.7, 128.8, 128.5, 128.0, 127.4, 127.2, 124.0, 122.8, 121.3, 120.2, 119.8, 118.0, 108.6, 27.5. ESI HRMS m/z calcd. for C₁₆H₁₃N₂ [M+H] +: 233.1079, Found: 233.1065.



11-methyl-6-phenyl-6H-indolo[**2,3-b**] **quinoline (11m).** White Solid (Yield = 95%; TLC: R_f: 0.65 (PE/EA=7/3), mp = 185-190 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.35 (d, *J* = 7.8 Hz, 1H), 8.27 (d, *J* = 8.5 Hz, 1H), 8.10 (d, *J* = 8.4 Hz, 1H), 7.77 – 7.75 (m, 2H), 7.71 – 7.64 (m, 3H), 7.54 – 7.48 (m, 4H), 7.40 – 7.36 (m, 1H), 3.25 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 152.1, 146.5, 142.6, 139.4, 136.4, 129.7, 129.7, 128.7, 128.7, 127.9, 127.9, 127.7, 127.5, 124.6, 123.9, 123.8, 123.2, 121.9, 120.9, 116.6, 110.1, 15.4. ESI HRMS m/z calcd. for C₂₂H₁₇N₂ [M+H]⁺: 309.1392, Found: 309.1396.



2-bromo-11-methyl-6H-indolo[**2,3-b**]**quinoline(11n).** (Yield = 94%; 0.45 (PE/EA=4/1), mp = 314-318 °C; ¹H NMR (400 MHz, DMSO-*d*₆) δ 11.77 (s, 1H), 8.47 (s, 1H), 8.32 (d, *J* = 7.7 Hz, 1H), 7.89 (d, *J* = 8.8 Hz, 1H), 7.79 (d, *J* = 8.6 Hz, 1H), 7.57-7.47 (m, 2H), 7.28 (t, *J* = 7.1 Hz, 1H), 3.13 (s, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 152.6, 144.9, 141.6, 138.3, 131.4, 129.7, 128.1, 126.6, 125.0, 124.1, 120.9, 120.1, 116.7, 115.2, 111.1, 15.0. ESI HRMS m/z calcd. for C₁₆H₁₂BrN₂ [M+H] +: 311.0184, Found: 311.0194.



9-(benzyloxy)-6H-indolo[2,3-b] quinoline (110). Brown Solid (Yield = 89%; TLC: R_{f} : 0.46 (PE/EA=7/3), mp = 250-253 °C; ¹H NMR (400 MHz, DMSO- d_{6}) δ 11.61 (s, 1H), 8.86 (s, 1H), 8.13 (d, J = 8.5 Hz, 1H), 8.05 (d, J = 8.0 Hz, 1H), 7.94 (d, J = 8.4 Hz, 1H), 7.67 (t, J =

7.1 Hz, 1H), 7.50 (d, J = 7.2 Hz, 2H), 7.47 – 7.39 (m, 3H), 7.34 (t, J = 7.2 Hz, 1H), 7.07 (d, J = 1.9 Hz, 1H), 6.94 (dd, J = 8.5, 2.0 Hz, 1H), 5.24 (s, 2H). ¹³C NMR (100 MHz, DMSO- d_6) δ 159.4, 153.3, 145.5, 143.1, 137.1, 128.6, 128.6, 128.4, 128.2, 127.9, 127.7, 127.7, 127.0, 125.8, 124.0, 122.9, 122.8, 118.2, 113.8, 108.7, 96.4, 69.6. ESI HRMS m/z calcd. For $C_{22}H_{17}N_2O$ [M+H] ^{+:} 325.1341 Found 325.1345.



3-(1-(3,4,5-trimethoxyphenyl)ethyl)-1H-indole (13). Off-White Solid (Yield = 92%; TLC: R_f: 0.51 (PE/EA=7/3), mp = 141-145 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.26 (s, 1H), 7.48 (d, *J* = 7.9 Hz, 1H), 7.35 (d, *J* = 8.1 Hz, 1H), 7.19 (t, *J* = 7.2 Hz, 1H), 7.07 (t, *J* = 7.2 Hz, 1H), 7.00 (d, *J* = 1.5 Hz, 1H), 6.59 (s, 2H), 4.36 (q, *J* = 7.0 Hz, 1H), 3.87 (s, 3H), 3.82 (s, 6H), 1.74 (d, *J* = 7.1 Hz, 3H).¹³C NMR (100 MHz, CDCl₃) δ 153.1, 153.1, 142.9, 136.7, 136.1, 126.9, 121.9, 121.3, 121.1, 119.6, 119.1, 111.2, 104.6, 104.6, 60.9, 56.1, 56.1, 37.4, 22.5. ESI HRMS m/z calcd. for C₁₉H₂₂NO₃ [M+H]⁺: 312.1600, Found: 312.1601.



Vibrindole (1) .Off-white solid (Yield = 95%; TLC: R_f : 0.51 (PE/EA=7/3), mp = 160-162 °C; ¹H NMR (400 MHz, CDCl₃) δ 7.79 (s, 2H), 7.61 (d, J = 7.9 Hz, 2H), 7.34 (d, J = 8.1 Hz, 2H), 7.24 – 7.16 (m, 2H), 7.10 - 7.06 (m, 2H), 6.90 – 6.88 (m, 2H), 4.71 (q, J = 7.1 Hz, 1H), 1.83 (d, J = 7.1 Hz, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 136.7, 127.0, 121.9, 121.7, 121.4, 119.8, 119.1, 111.2, 28.3, 21.8.



Norcryptotackeine (14). Yellow Solid (Yield = 94%; TLC: R_f : 0.43 (PE/EA=7/3), mp = 344-346 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 11.69 (s, 1H), 9.05 (s, 1H), 8.26 (d, J = 7.7 Hz, 1H), 8.11 (d, J = 8.1 Hz, 1H), 7.98 (d, J = 8.4 Hz, 1H), 7.74 – 7.70 (m, 1H), 7.55 – 7.46 (m, 3H), 7.26 (t, J = 7.3 Hz, 1H). ¹³C NMR (100 MHz, DMSO- d_6) δ 152.9, 146.3, 141.5, 128.7, 128.7, 128.2, 127.5, 127.0, 123.7, 122.7, 121.8, 120.3, 119.7, 117.9, 110.9. ESI HRMS m/z calcd. for $C_{15}H_{11}N_2$ [M+H] +: 219.0922, Found: 219.0918.



Neocryptolepine (4). Off-white Solid (Yield = 91%; TLC: R_f : 0.67 (PE/EA=3/2), mp = 104-106 °C; ¹H NMR (400 MHz, CDCl₃) δ 8.26 (s, 1H), 7.97 (d, J = 8.5 Hz, 1H), 7.81 (d, J = 7.4 Hz, 1H), 7.70 (d, J = 7.9 Hz, 1H), 7.54 (t, J = 7.7 Hz, 1H), 7.33 (t, J = 7.7 Hz, 1H), 7.24 (t, J = 7.5 Hz, 1H), 7.09 – 7.05 (m, 2H), 3.64 (s, 3H). ¹³C NMR (100 MHz, CDCl₃) δ 152.6, 146.7, 142.7, 128.8, 128.5, 128.0, 127.4, 127.2, 124.0, 122.8, 121.3, 120.2, 119.8, 118.0, 108.6, 27.5. ESI HRMS m/z calcd. for C₁₆H₁₃N₂ [M+H]⁺: 233.1079, Found: 233.1065.



(1H-indol-3-yl)(4-methoxyphenyl)methanone (15a). Off-White Solid (Yield =63%.) TLC: R_f: 0.41 (PE/EA=1/1), mp = 206-208 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 12.00 (s, 1H), 8.22 – 8.20 (m, 1H), 7.94 (s, 1H), 7.81 (d, J = 8.8 Hz, 2H), 7.51 (d, J = 7.1 Hz, 1H), 7.27 – 7.19 (m, 2H), 7.07 (d, J = 8.8 Hz, 2H), 3.85 (s, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 188.9, 161.8, 136.7, 135.0, 133.0, 130.7, 130.7, 126.5, 123.1, 121.8, 121.5, 115.1, 113.7, 113.7, 112.2, 55.5. ESI HRMS m/z calcd. for C₁₆H₁₄NO₂ [M+H] +: 252.1025; found: 252.1014.



(4-methoxyphenyl)(1-methyl-1H-indol-3-yl)methanone (15b). White Solid (Yield =64%) TLC: R_f: 0.65 (PE/EA=4/1), mp = 148-150 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 8.24 (d, J = 7.5 Hz, 1H), 8.02 (s, 1H), 7.81 (d, J = 8.7 Hz, 2H), 7.57 (d, J = 8.0 Hz, 1H), 7.34 – 7.25 (m, 2H), 7.08 (d, J = 8.7 Hz, 2H), 3.88 (s, 3H), 3.86 (s, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 188.3, 161.7, 138.7, 137.3, 133.0, 130.6,130.6, 126.9, 123.1, 122.1, 121.6, 113.9, 113.7, 113.7, 110.6, 55.4, 33.1. ESI HRMS m/z calcd. for C₁₇H₁₆NO₂ [M+H] +: 266.1181; found: 266.1176.



(1-benzyl-1H-indol-3-yl)(4-methoxyphenyl)methanone (15c). Off-White Solid (Yield =67%) TLC: R_{f} : 0.71 (PE/EA=4/1), mp = 140-145 °C; ¹H NMR (400 MHz, DMSO- d_{6}) δ 8.27 – 8.24 (m, 2H), 7.84 (d, J = 8.7 Hz, 2H), 7.55 – 7.52 (m, 1H), 7.32 – 7.23 (m, 7H), 7.10 (d, J = 8.7 Hz, 2H), 5.55 (s, 2H), 3.86 (s, 3H). ¹³C NMR (100 MHz, DMSO- d_{6}) δ 188.4, 161.9, 138.2, 137.1, 136.5, 132.8, 130.7, 130.7, 128.7, 128.7, 127.7, 127.3, 127.3, 127.2, 123.2, 122.2, 121.8, 114.4, 113.8, 113.8, 111.2, 55.5, 49.7. ESI HRMS m/z calcd. for $C_{23}H_{20}NO_2$ [M+H]⁺: 342.1494; found: 342.1481.



3-methoxyindeno[1,2-b]indol-10(5H)-one (16a). Neon orange Solid (Yield =quant.) TLC: R_f: 0.41 (PE/EA=1/1), mp = 210-212 °C; 1**H NMR** (400 MHz, DMSO-*d*₆) δ 12.45 (s, 1H), 7.55 – 7.46 (m, 2H), 7.28 (d, *J* = 7.9 Hz, 1H), 7.15 (m, 2H), 6.89 (s, 1H), 6.70 (d, *J* = 7.2 Hz, 1H), 3.82 (s, 3H). ¹³C NMR (100 MHz, DMSO-*d*₆) δ 184.4, 163.0, 156.8, 141.5, 136.9, 132.5, 124.1, 123.0, 122.9, 122.4, 119.2, 115.2, 113.6, 111.1, 107.9, 55.7. **ESI HRMS** m/z calcd. for C₁₆H₁₂NO₂ [M+H] +: 250.0868, Found: 250.0870.



3-methoxy-5-methylindeno[1,2-b]indol-10(5H)-one (16b). Neon orange Solid (Yield =quant.) TLC: R_f: 0.55 (PE/EA=7/3), mp = 200-203 °C; 1H NMR (400 MHz, DMSO- d_6) δ 7.57 – 7.55 (m, 2H), 7.29 (d, J = 8 Hz, 1H), 7.21 – 7.18 (m, 2H), 7.14 (d, J = 2.1 Hz, 1H), 6.72 (dd, J = 8.0, 2.1 Hz, 1H), 3.99 (s, 3H), 3.85 (s, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 183.8, 163.1, 156.9, 142.8, 136.4, 132.6, 124.2, 123.4, 122.9, 122.0, 119.3, 114.5, 112.1, 111.0, 109.1, 55.9, 31.8. ESI HRMS m/z calcd. for C₁₇H₁₄NO₂ [M+H] +: 264.1025, Found: 264.1014.



5-benzyl-3-methoxyindeno[1,2-b]indol-10(5H)-one (16c). Neon orange Solid (Yield =quant.) TLC: R_f: 0.61 (PE/EA=4/1), mp = 202-204 °C; ¹H NMR (400 MHz, DMSO- d_6) δ 7.61 (dd, J = 6.3, 2.7 Hz, 2H), 7.35 (m, 1H), 7.32 (d, J = 2.9 Hz, 1H), 7.29 (m, 1H), 7.27 – 7.22 (m, 3H), 7.21 – 7.16 (m, 2H), 6.98 (d, J = 2.1 Hz, 1H), 6.70 (dd, J = 8.1, 2.1 Hz, 1H), 5.76 (s, 2H), 3.77 (s, 3H). ¹³C NMR (100 MHz, DMSO- d_6) δ 183.9, 163.1, 156.5, 142.5, 136.9, 136.2, 132.4, 128.9, 128.9, 127.7, 126.6, 126.6, 124.3, 123.5, 123.2, 122.1, 119.5, 115.3, 112.3, 110.9, 109.2, 55.8, 47.7. ESI HRMS m/z calcd. for C₂₃H₁₈NO₂ [M+H] +: 340.1338, Found: 340.1326.

4. NMR Spectra:



¹H NMR Spectrum OF **9b** (CD₃OD, 400 MHz)





S30

¹H NMR Spectrum of **9d** (DMSO- d_6 , 400 MHz)





¹H NMR Spectrum of **9f** (CDCl₃, 400 MHz)









¹H NMR Spectrum of 9i (CDCl₃, 400 MHz)




























¹H NMR Spectrum of **9s** (DMSO- d_{6} , 400 MHz)









¹H NMR Spectrum of **10b** (CDCl₃, 400 MHz)















¹H NMR Spectrum of **10i** (DMSO- d_6 400 MHz) 7.77 7.749 7.337 7.337 7.337 7.337 6.889 6.889 6.889 6.889 6.646 6.657 4.96 4.48 4.44 4.43 $<_{1.55}^{1.57}$ []] NÇ H₂N 1.11J 3.28 J 下 1001 1001 1001 1001 2.19 A 1.97 J 1.00-9.5 9.0 8.5 8.0 7.5 7.0 6.5 6.0 5.5 5.0 4.5 4.0 3.5 3.0 2.5 2.0 1.5 1.0 0.5 0.0 -0.5 fl (ppm) 11.5 10.5 12.5 ¹³C {¹H} NMR Spectrum of **10i** (DMSO- d_{6} , 100 MHz) 129.33 126.34 126.34 126.36 124.71 124.71 124.68 123.60 125.60 125.60 125.60 125.60 125.60 125.60 12 -138.34 -145.27 66'66-----29.63 NC H_2N н 110 100 f1 (ppm) 0 200 130 120 50 40 30 20 10 190 180 170 160 150 140 90 80 70 60





¹⁹F NMR Spectrum of **10j** (CD₃OD, 377 MHz)

----122.42







¹⁹F NMR Spectrum of **10K** (CD₃OD, 377 MHz)





¹⁹F NMR Spectrum of **101** (CD₃OD, 377 MHz)



-100 -102 -104 -106 -108 -110 -112 -114 -116 -118 -120 -122 -124 -126 -128 -130 -132 -134 -136 -138 -140 -142 -144 -146 -148 -150 f1 (ppm)



















S70






























¹⁹F NMR Spectrum of **11g** (DMSO- d_6 , 377 MHz)

----125.73



-100	-102	-104	-106	-108	-110	-112	-114	-116	-118	-120	-122	-124 f1 (p	-126 pm)	-128	-130	-132	-134	-136	-138	-140	-142	-144	-146	-148	-150



¹⁹F NMR Spectrum of **11h** (DMSO-*d*₆, 377 MHz)



-100 -102 -104 -106 -108 -110 -112 -114 -116 -118 -120 -122 -124 -126 -128 -130 -132 -134 -136 -138 -140 -142 -144 -146 -148 -150 f1 (ppm)



S88











S93







 ^{13}C {¹H} NMR Spectrum of (1) (CDCl₃ 100 MHz)



-136 72 -136 72 -131 38 -111 21 -111 21 -111 21











S100



¹H NMR Spectrum of **16a** (DMSO- d_{6} 400 MHz)





