

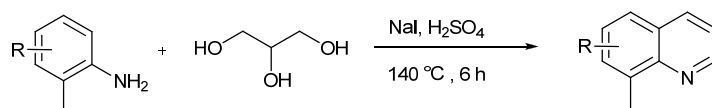
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EXPERIMENTAL SECTION:

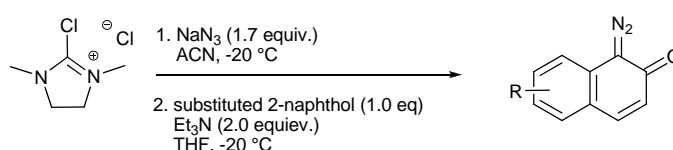
General information: All commercially available compounds were used without further purification. Solvents for elution in column were distilled. Analytical thin layer chromatography (TLC) was performed on pre-coated silica gel 60 F254. Visualization on TLC was achieved by the use of UV light (254 nm). Column chromatography was undertaken on silica gel (230-400 mesh). ^1H and ^{13}C NMR spectra were recorded on BRUKER ULTRA SHIELD and BRUKER ASCEND (400 MHz and 600 MHz) instruments. Chemical shifts were quoted in parts per million (ppm) referenced to the appropriate solvent peak or 0.0 ppm for tetramethylsilane. The following abbreviations were used to describe peak splitting patterns when appropriate: br= broad, s= singlet, d= doublet, t= triplet, q= quartet, dd= doublet of doublet, td= triplet of doublet, ddd= doublet of doublet of doublet, m= multiplet. Coupling constants, J, were reported in hertz unit (Hz). ^{13}C NMR spectra were fully decoupled by broad band proton decoupling. Chemical shifts were reported in ppm referenced to the centre of a triplet at 77.16 ppm of CDCl_3 . Infrared (IR) spectra were recorded using Spectrum BX FT-IR instrument from Perkin Elmer. Frequencies are given in reciprocal centimetres (cm^{-1}) and only selected absorbance peaks are reported. High resolution mass spectra were obtained from waters XEVOG2QTOF by using TOF MS ES⁺ method. LC-MS were obtained from Agilent Technologies A6120BW (single quadruple mass analyzer). Materials were obtained from commercial suppliers or prepared according to standard procedures unless otherwise noted.

General procedure for the synthesis of substituted 8-methylquinolines:¹



Glycerine (1.2 mmol) was added over a period of 0.5 h to a solution of substituted *o*-toluidine (1 mmol), NaI (0.013 mmol) and 80% H_2SO_4 (4.5 mmol) at 140 °C. The reaction mixture was allowed to stir at the same temperature for 6 h. Next the mixture was neutralized with 25% aq. NaOH solution (6.83 mmol) and pH was adjusted to 9–10 and extracted with toluene (30 mL x 3). The organic extracts were dried over anhydrous Na_2SO_4 and concentrated under reduced pressure. The crude product was purified by column chromatography on silica gel with hexane/ ethyl acetate as eluant. Pure 8-methylquinoline derivatives were obtained with 80 - 90% yield.

General procedure for the preparation of diazonaphthoquinones:²

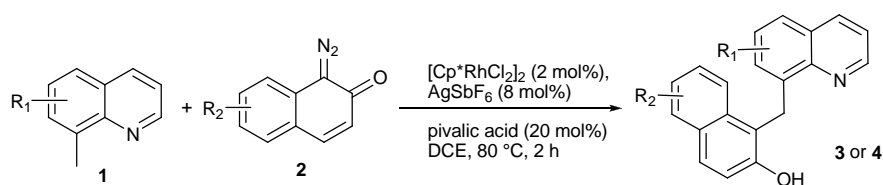


To a solution of 2-chloro-1,3-dimethylimidazoliumchloride (228 mg, 1.35 mmol) in acetonitrile (2.0 mL), sodium azide (99.4 mg, 1.5 mmol) was added at -20 °C and the mixture was stirred for 30 min. A mixture of 2-naphthol (130 mg, 0.90 mmol) and triethylamine (0.25 mL, 1.8 mmol) in THF (4.0 mL) was added to the reaction mixture and was stirred for 20 min. [for (**2d**): To the mixture, acetic anhydride (0.17 mL, 1.8 mmol) and triethylamine (4.0 mL, 29 mmol) was added, and the mixture was stirred until diazonaphthoquinone was consumed by monitoring with TLC]. The reaction was quenched with water and organic parts were extracted with CH₂Cl₂ (3 x 15 mL). The combined extracts were washed with water and brine, and then dried over anhydrous Na₂SO₄. The solvent was removed *in vacuo* to afford crude compounds. The crude materials were purified by flash column chromatography to give pure diazonaphthoquinone (80 – 90% yield).

General Procedure for the Preparation of diazoquinones (**2g**, **2h**, **2i**):^{3a,b}

4-Aminophenols (10 mmol) were dissolved in 50 mL of ethanol, and then concd HCl (12 N) was added dropwise (5 mL, 100 mmol) at 0 °C. The mixture was allowed to stir at the same temperature for another 10 min, then an ice-cold solution of NaNO₂ (30 mmol) was added to the mixture dropwise. The resulting mixture was allowed to stir at 0 °C for 2 h. It was diluted with 50 mL cold dichloromethane followed by the addition of ice. Then the mixture was stirred vigorously with a cold solution of K₂CO₃ (70 mmol). The organic layer was separated with dichloromethane, and the aqueous layer was extracted with dichloromethane. The organic layer was dried over anhydrous Na₂SO₄, concentrated *in vacuo* at low temperature, and directly used in the next reaction without further purification.

General procedure for the Rh(III)-catalyzed arylation of 8-methylquinoline derivatives:

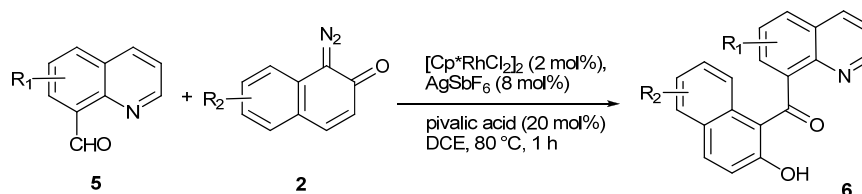


In a 10 mL screw cap vial equipped with magnetic stirrer 8-methylquinoline derivatives (0.10 mmol), diazo compound **2** (0.12 mmol), [Cp*RhCl₂]₂ (1.2 mg, 2.0 mol%), AgSbF₆ (2.7 mg, 8.0 mol%) and pivalic acid (2.04 mg, 20 mol%) were taken in dry 1,2-dichloroethane (1 mL). The reaction mixture was stirred at 80 °C temperature for 2 h. After the completion, the reaction mixture was purified directly through silica gel column chromatography with ethyl acetate/hexane as eluent to give the desired product **3** or **4**.

General procedure for the synthesis of substituted quinoline-8-carbaldehydes:⁴

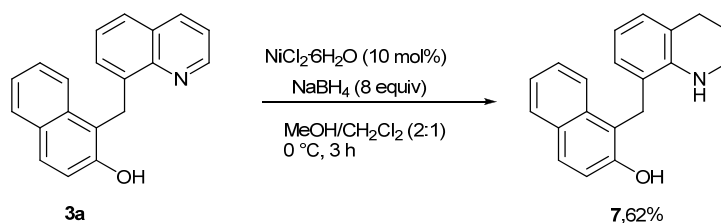
A 500 ml round bottom flask was charged with 8-methylquinoline (10 g, 70 mmol, 1.0 equiv), N-bromosuccinimide (37.4 g, 210 mmol, 3.0 equiv), 2,2'-azobis(2-methylpropionitrile) (1 g, 6 mmol, 0.085 equiv.) and 1,2-dichloroethane (120 ml). The mixture was allowed to reflux for 5 hours and then cooled to room temperature. It was then diluted with DCM (1 L) and neutralized by 1M NaOH (400 ml). The organic layer was separated, and washed with 1M NaOH, water and brine. The organic extracts were dried over Na₂SO₄ and concentrated under reduced pressure. The residue was then refluxed with 750 ml of water for 5 hours under N₂. Upon completion, the mixture was cooled to room temperature, neutralized by 1M NaOH (500 ml), extracted with diethyl ether and washed with water and brine. The combined organic extracts were dried over MgSO₄ and concentrated under reduced pressure. The residue was purified by flash column chromatography on silica gel to give the title compounds as a white solid (6.6 g, 60%). Other quinoline-8-carbaldehyde derivatives were prepared according to same procedure.

General procedure for the Rh(III)-catalyzed arylation of quinoline-8-carbaldehyde derivatives:



In a 10 mL screw cap vial equipped with magnetic stirrer were added quinoline-8-carbaldehyde derivatives (0.10 mmol), diazo compound **2** (0.12 mmol), [Cp*RhCl₂]₂ (1.2 mg, 2.0 mol%), AgSbF₆ (2.7 mg, 8.0 mol%), pivalic acid (2.04 mg, 20 mol%) were taken in dry 1,2-dichloroethane (1 mL). The reaction mixture was stirred at 80 °C temperature for 1 h. After the completion, the reaction mixture was purified directly through silica gel column chromatography with ethyl acetate/hexane as eluent to give the desired product **6**.

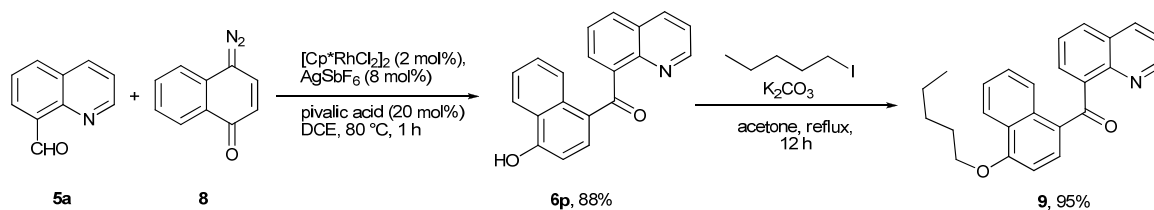
Reduction procedure of naphthol coupled quinoline derivative:⁵



1-(Quinolin-8-ylmethyl)naphthalen-2-ol (**3a**) (114 mg, 0.4 mmol) and NiCl₂·6H₂O (9.5 mg, 10 mol%) were dissolved in a solvent mixture of MeOH (4 mL) and CH₂Cl₂ (2 mL). NaBH₄ (121 mg, 3.2 mmol) was added in portions at 0 °C and the mixture was stirred for 3 h. After completion, the solvent was

removed; the residue was absorbed to small amounts of silica and was purified by flash column chromatography on silica gel (*n*-hexanes/EtOAc = 1:8) to afford compound **7** in 62% yield (71.7 mg).

Synthetic procedure for the cannabinoid CB1 receptor ligand (**9**):

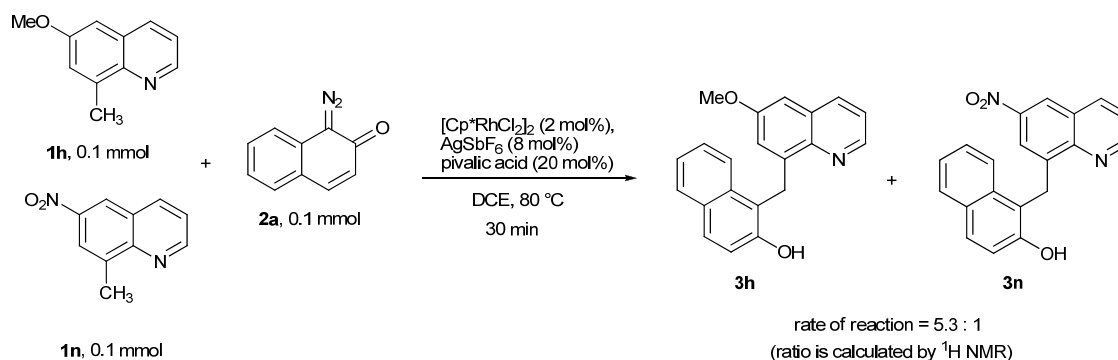


In a 10 mL screw cap vial equipped with magnetic stirrer, quinoline-8-carbaldehyde **5a** (157 mg, 1.0 mmol), diazo compound **8** (204 mg, 1.2 mmol), $[\text{Cp}^*\text{RhCl}_2]_2$ (12 mg, 2.0 mol%), AgSbF_6 (28 mg, 8.0 mol%), pivalic acid (22 mg, 20 mol%) in dry 1,2-dichloroethane (10 mL) were added. The reaction mixture was stirred at 80 °C temperature for 1 h. After the completion, the reaction mixture was purified directly through flash silica gel column chromatography with ethyl acetate/hexane (1:9) as eluent to give **6p** (263 mg, 0.88 mmol) in 88% yield.

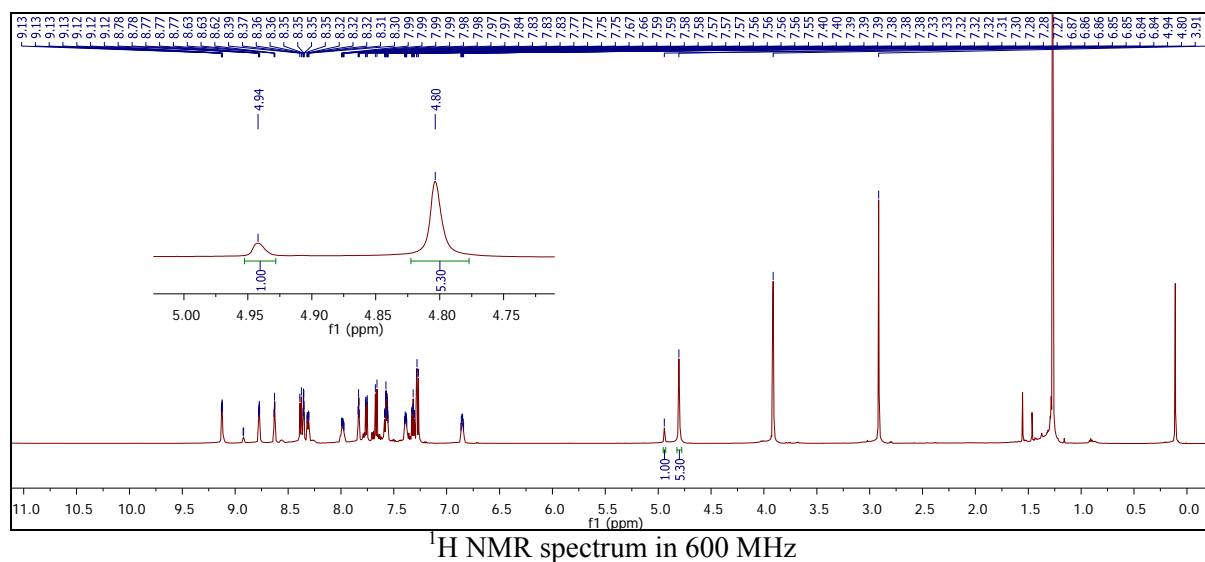
Anhydrous K_2CO_3 (173 mg, 1.25 mmol) was added to compound **6p** (150 mg, 0.5 mmol) in dry acetone followed by addition of 1-iodopentane (149 mg, 0.75 mmol) and the reaction mixture was refluxed for 12 h. After completion, the reaction mixture was filtered and purified through flash silica gel column chromatography with ethyl acetate/hexane (1:10) as eluent to give the desired receptor compound **9** (175 mg, 0.47 mmol) in 95% yield.

Control Experiments:

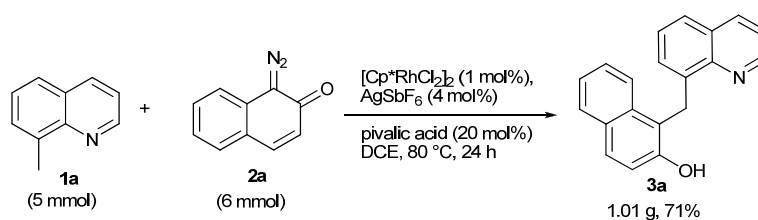
Reaction rate with electronically variable 8-methylquinoline derivatives:



Compound **1h** (0.1 mmol, 17.3 mg) and compound **1n** (0.1 mmol, 18.8 mg) were taken in a 10 mL screw cap vial equipped with magnetic stirrer and dissolved in 1 mL dry 1,2-dichloroethane. Then $[\text{Cp}^*\text{RhCl}_2]_2$ (2 mol%, 1.2 mg), followed by AgSbF_6 (8 mol%, 2.8 mg) and PivOH (20 mol% 2.2 mg) were added to the reaction mixture. Next the diazo compound (**2a**) (0.12 mmol, 20.4 mg) was added to the reaction mixture and stirred for 30 min at 80 °C. Finally the reaction mixture was rapidly filtered through silica gel column and the product ratio (**3h**: **3n** = 5.3 : 1) was measured through ^1H NMR.

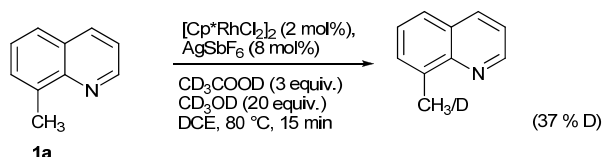


Large scale experiment:



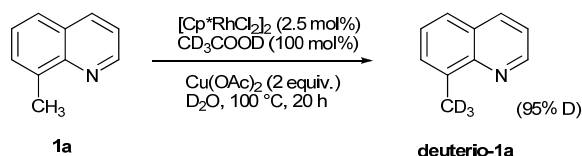
8-Methylquinoline **1a** (716 mg, 5 mmol) was taken in a 30 mL screw cap vial equipped with magnetic stirrer and dissolved in 15 mL dry 1,2-dichloroethane. Then $[\text{Cp}^*\text{RhCl}_2]_2$ (30 mg, 1 mol%), AgSbF_6 (68 mg, 4 mol%) and PivOH (102 mg, 20 mol%) were added to the reaction mixture. Next, diazo compound **2a** (960 mg, 6 mmol) was added to the reaction mixture and stirred at 80 °C for 24 h. After completion, the reaction mixture was passed through a short plug of Celite and washed with 10 mL dichloromethane. The combined organic layer was concentrated under reduced pressure and purified by flash silica gel column chromatography to isolate the compound **3a** in 71% yield (1.01 gm).

H/D Scrambling experiment:



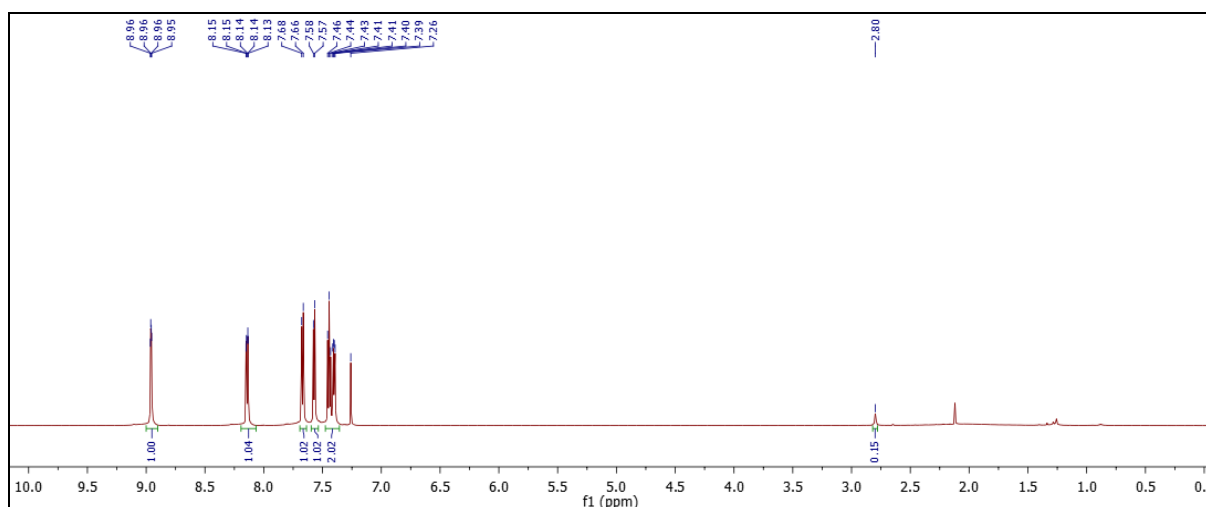
To an oven-dried sealed tube charged with 8-methylquinoline (**1a**) (28.6 mg, 0.2 mmol), $[\text{Cp}^*\text{RhCl}_2]_2$ (2.4 mg, 2 mol%), CD_3COOD (36.6 mg, 0.6 mmol, 3 equiv.) and CD_3OD (144.2 mg, 4.0 mmol, 20.0 equiv.) was added AgSbF_6 (5.5 mg, 8 mol%) and DCE (1 mL) at room temperature. The reaction mixture was allowed to stir at 80 °C for 15 min, and cooled to room temperature. After that, the reaction mixture was rapidly filtered through silica gel column, deuterium exchange was measured by ^1H NMR of isolated 8-methylquinoline.

Preparation of deuterio-8-methyl quinoline:⁵



To an oven-dried sealed tube charged with 8-methylquinoline (**1a**) (43.0 mg, 0.3 mmol, 100 mol%) was taken in 1 mL D_2O . Then $[\text{Cp}^*\text{RhCl}_2]_2$ (4.6 mg, 0.0075 mmol, 2.5 mol%) and CD_3COOD (54.1 mg, 0.9 mmol, 300 mol%) and $\text{Cu}(\text{OAc})_2$ (109.0 mg, 0.6 mmol, 200 mol%) were added at room temperature. The reaction mixture was allowed to stir at 100 °C for 20 h, and then cooled to room

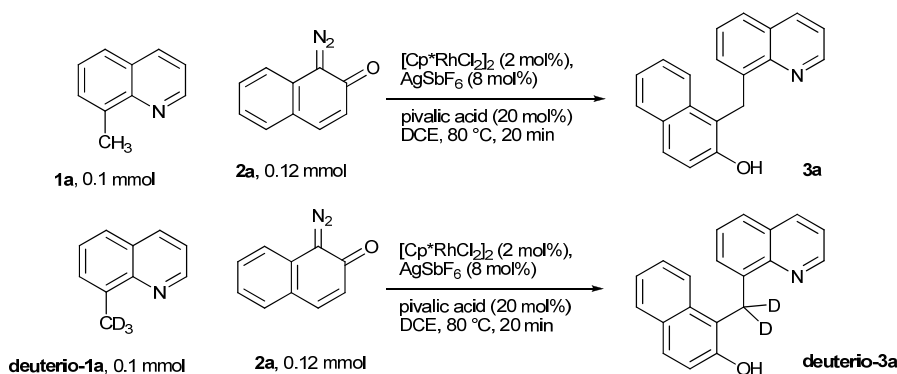
temperature. Next it was extracted with EtOAc (10 mL). The organic layer was dried over anhydrous Na_2SO_4 , filtered and concentrated *in vacuo*. The residue was purified by flash silica gel column chromatography (*n*-hexanes/EtOAc = 10:1) to afford of deuterio-1a in 95% yield (41.6 mg).



^1H NMR spectrum in 600 MHz

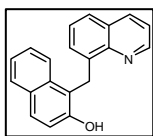
Determination of Kinetic Isotope Effect through parallel reactions:

Intermolecular competition experiments between 8-methylquinoline (**1a**) and deuterio 8-methylquinoline (**deuterio-1a**) were carried out under optimized reaction condition, individually. The experiments were repeated three times, and calculated KIE values are 6.76, 6.83 and 6.80. The average $k_H/k_D = 6.8$ was calculated based on the isolated yields.



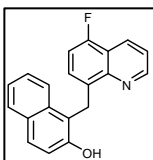
Spectroscopic data of arylated products obtained in this study

1-(Quinolin-8-ylmethyl)naphthalen-2-ol (3a):



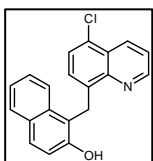
Off-white solid, 96%; m.p. 152-153 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.92 (dt, $J = 4.1, 1.9$ Hz, 1H), 8.39 (d, $J = 8.6$ Hz, 1H), 8.15 (dd, $J = 7.2, 1.5$ Hz, 1H), 8.07 (m, 1H), 7.76 (dd, $J = 8.0, 1.3$ Hz, 1H), 7.67 (d, $J = 8.8$ Hz, 1H), 7.61 – 7.54 (m, 2H), 7.50 (ddd, $J = 9.6, 7.3, 2.3$ Hz, 1H), 7.37 (dt, $J = 8.5, 4.3$ Hz, 1H), 7.32 (dd, $J = 8.1, 6.3$ Hz, 2H), 4.85 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (100 MHz, CDCl_3) δ 153.4, 147.9, 145.1, 138.9, 138.6, 133.8, 131.8, 129.4, 129.0, 129.9, 128.7, 127.2, 126.7, 126.3, 122.8, 122.6, 121.2, 119.0, 29.1; FT-IR: $\tilde{\nu} = 3662, 2962, 2878, 1610, 1468, 1335, 1224, 1212, 1024$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{16}\text{NO}^+$ $[\text{M}+\text{H}]^+$ 286.1226; found 286.1230.

1-((5-Fluoroquinolin-8-yl)methyl)naphthalen-2-ol (3b):



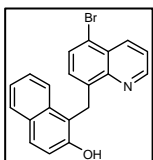
Brown solid, 88%; m.p. 172-174 °C; ^1H NMR (600 MHz, CDCl_3) δ 9.05 (d, $J = 4.4$ Hz, 1H), 8.54 (d, $J = 8.5$ Hz, 1H), 8.38 (d, $J = 8.6$ Hz, 1H), 8.15 (t, $J = 7.1$ Hz, 1H), 7.78 (d, $J = 8.1$ Hz, 1H), 7.68 (d, $J = 8.8$ Hz, 1H), 7.58 (q, $J = 8.1$ Hz, 2H), 7.33 (t, $J = 7.5$ Hz, 1H), 7.28 (d, $J = 9.3$ Hz, 2H), 4.86 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 156.6 (d, $J = 254.5$ Hz), 153.3, 149.1, 145.6 (d, $J = 2.0$ Hz), 135.1 (d, $J = 4.6$ Hz), 133.7, 131.8 (d, $J = 4.9$ Hz), 131.0 (d, $J = 8.3$ Hz), 129.5, 129.1, 128.9, 126.4, 122.7 (d, $J = 9.9$ Hz), 121.4 (d, $J = 2.0$ Hz), 121.1, 119.9 (d, $J = 16.8$ Hz), 118.9, 110.7 (d, $J = 18.9$ Hz), 28.6; FT-IR: $\tilde{\nu} = 3658, 2979, 2830, 1579, 1422, 1272, 1142, 1031, 1011$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{15}\text{FNO}^+$ $[\text{M}+\text{H}]^+$ 304.1332; found 304.1340.

1-((5-Chloroquinolin-8-yl)methyl)naphthalen-2-ol (3c):



Reddish brown solid, 94%; m.p. 168-170 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.95 (dd, $J = 4.4, 1.6$ Hz, 1H), 8.53 (dd, $J = 8.5, 1.7$ Hz, 1H), 8.31 (d, $J = 8.6$ Hz, 1H), 8.03 (d, $J = 7.9$ Hz, 1H), 7.75 (d, $J = 8.1$ Hz, 1H), 7.67 (d, $J = 8.8$ Hz, 1H), 7.60 – 7.52 (m, 2H), 7.48 (dd, $J = 8.5, 4.4$ Hz, 1H), 7.34 – 7.30 (m, 1H), 7.28 (m, 1H), 4.80 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.4, 148.7, 146.0, 138.4, 135.1, 133.7, 131.2, 129.9, 129.5, 129.0, 128.9, 127.1, 126.8, 126.4, 122.7, 122.6, 122.0, 121.1, 118.7, 28.8; FT-IR: $\tilde{\nu} = 3706, 3671, 2957, 1601, 1579, 1518, 1422, 1270, 1146, 1053$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{15}^{35}\text{ClNO}^+$ $[\text{M}+\text{H}]^+$ 320.0837; found 320.0846.

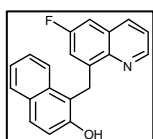
1-((5-Bromoquinolin-8-yl)methyl)naphthalen-2-ol (3d):



Yellow solid, 92%; m.p. 192-194 °C; ^1H NMR (600 MHz, CDCl_3) δ 9.01 (dd, $J = 4.4, 1.7$ Hz, 1H), 8.64 (dd, $J = 8.5, 1.7$ Hz, 1H), 8.35 (d, $J = 8.5$ Hz, 1H), 8.07 (d, $J = 7.7$

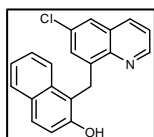
Hz, 1H), 7.86 (d, $J = 7.9$ Hz, 1H), 7.78 (m, 1H), 7.68 (d, $J = 8.8$ Hz, 1H), 7.61 (dd, $J = 8.5, 4.4$ Hz, 1H), 7.57 (ddd, $J = 8.5, 6.8, 1.5$ Hz, 1H), 7.33 (ddd, $J = 7.9, 6.6, 1.0$ Hz, 1H), 7.28 (d, $J = 7.7$ Hz, 1H), 4.86 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.4, 148.9, 146.3, 139.3, 137.9, 133.7, 131.9, 130.9, 129.5, 129.1, 128.9, 128.2, 126.4, 122.7, 122.6, 122.5, 121.1, 120.5, 118.6, 28.9; FT-IR: $\tilde{\nu} = 3660, 2922, 2855, 1593, 1498, 1292, 1262, 1231, 1145$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{15}^{79}\text{BrNO}^+ [\text{M}+\text{H}]^+$ 364.0332; found 364.0339.

1-((6-Fluoroquinolin-8-yl)methyl)naphthalen-2-ol (3e):



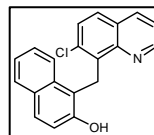
Brown solid, 90%; m.p. 178-179 $^{\circ}\text{C}$; ^1H NMR (600 MHz, CDCl_3) δ 8.95 (dd, $J = 4.5, 1.8$ Hz, 1H), 8.34 (d, $J = 8.5$ Hz, 1H), 8.18 (dd, $J = 8.3, 1.7$ Hz, 1H), 7.98 (dd, $J = 9.3, 2.8$ Hz, 1H), 7.81 – 7.74 (m, 1H), 7.68 (d, $J = 8.8$ Hz, 1H), 7.59 (ddd, $J = 8.4, 6.8, 1.4$ Hz, 1H), 7.52 (dd, $J = 8.3, 4.4$ Hz, 1H), 7.34 (td, $J = 8.2, 2.0$ Hz, 2H), 7.28 (d, $J = 2.5$ Hz, 1H), 4.88 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 160.4 (d, $J = 249.9$ Hz), 153.6, 147.5, 142.7, 142.6 (d, $J = 8.5$ Hz), 137.9 (d, $J = 5.6$ Hz), 133.7, 129.77 (d, $J = 10.4$ Hz), 129.5, 129.1 (d, $J = 8.2$ Hz), 126.6, 122.8, 122.6, 122.1, 121.9 (d, $J = 25.7$ Hz), 121.2, 118.2, 109.5 (d, $J = 20.9$ Hz), 29.0; FT-IR: $\tilde{\nu} = 3662, 2981, 2842, 1570, 1302, 1281, 1131, 1047, 1022$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{15}\text{FNO}^+ [\text{M}+\text{H}]^+$ 304.1332; found 304.1338.

1-((6-Chloroquinolin-8-yl)methyl)naphthalen-2-ol (3f):



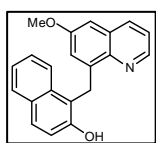
Brown solid, 94%; m.p. 180-181 $^{\circ}\text{C}$; ^1H NMR (600 MHz, CDCl_3) δ 8.97 (dd, $J = 4.5, 1.8$ Hz, 1H), 8.35 (d, $J = 8.6$ Hz, 1H), 8.19 – 8.07 (m, 2H), 7.79 (m, 1H), 7.74 – 7.65 (m, 2H), 7.62 (ddd, $J = 8.4, 6.8, 1.4$ Hz, 1H), 7.53 (dd, $J = 8.3, 4.4$ Hz, 1H), 7.35 (t, $J = 7.4$ Hz, 1H), 7.28 (d, $J = 3.6$ Hz, 1H), 4.86 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.5, 148.4, 144.0, 141.3, 137.6, 133.7, 132.9, 132.4, 129.6, 129.5, 129.1, 129.1, 126.6, 125.3, 122.8, 122.6, 122.3, 121.2, 118.3, 28.9; FT-IR: $\tilde{\nu} = 3708, 3652, 2960, 1608, 1566, 1462, 1269, 1148, 1071$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{15}^{35}\text{ClNO}^+ [\text{M}+\text{H}]^+$ 320.0837; found 320.0842.

1-((7-Chloroquinolin-8-yl)methyl)naphthalen-2-ol (3g):



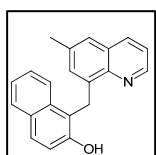
Brown solid, 96%; m.p. 186-188 $^{\circ}\text{C}$; ^1H NMR (600 MHz, CDCl_3) δ 8.93 (dd, $J = 4.6, 1.8$ Hz, 1H), 8.44 (d, $J = 8.5$ Hz, 1H), 8.19 (dd, $J = 8.1, 1.8$ Hz, 1H), 7.87 – 7.60 (m, 4H), 7.57 – 7.41 (m, 2H), 7.34 (d, $J = 8.8$ Hz, 1H), 7.29 (m, 1H), 5.03 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 154.0, 148.6, 146.4, 138.5, 136.8, 136.0, 134.3, 129.7, 129.5, 128.9, 128.6, 127.6, 127.4, 126.2, 124.0, 122.9, 121.5, 121.4, 120.3, 27.1; FT-IR: $\tilde{\nu} = 3703, 3676, 2962, 1593, 1509, 1436, 1266, 1168, 1045$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{15}^{35}\text{ClNO}^+ [\text{M}+\text{H}]^+$ 320.0837; found 320.0848.

1-((6-Methoxyquinolin-8-yl)methyl)naphthalen-2-ol (3h):



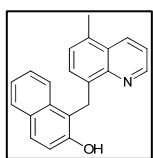
Brown solid, 85%; m.p. 194-196 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.77 (dd, $J = 4.5$, 1.7 Hz, 1H), 8.39 (d, $J = 8.6$ Hz, 1H), 7.93 (dd, $J = 8.3$, 1.8 Hz, 1H), 7.83 (d, $J = 2.7$ Hz, 1H), 7.77 (dd, $J = 8.1$, 1.4 Hz, 1H), 7.68 (d, $J = 8.8$ Hz, 1H), 7.58 (ddd, $J = 8.3$, 6.8, 1.4 Hz, 1H), 7.38 – 7.26 (m, 3H), 6.81 (d, $J = 2.8$ Hz, 1H), 4.82 (s, 2H), 3.90 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 157.8, 153.7, 145.4, 141.7, 140.7, 137.0, 133.9, 130.2, 129.5, 129.0, 128.8, 126.4, 124.7, 122.8, 122.6, 121.6, 121.3, 118.8, 103.6, 55.6, 29.0; FT-IR: $\tilde{\nu} = 3670$, 2989, 2866, 1578, 1468, 1426, 1252 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{21}\text{H}_{18}\text{NO}_2^+ [\text{M}+\text{H}]^+$ 316.1332; found 316.1337.

1-((6-Methylquinolin-8-yl)methyl)naphthalen-2-ol (3i):



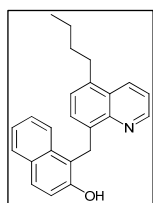
White solid, 89%; m.p. 152-153 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.89 (dd, $J = 4.5$, 1.7 Hz, 1H), 8.43 (d, $J = 8.6$ Hz, 1H), 8.08 (dd, $J = 8.1$, 1.6 Hz, 1H), 8.03 (d, $J = 1.8$ Hz, 1H), 7.78 (dd, $J = 8.1$, 1.4 Hz, 1H), 7.68 (d, $J = 8.7$ Hz, 1H), 7.59 (ddd, $J = 8.4$, 6.8, 1.4 Hz, 1H), 7.45 – 7.40 (m, 2H), 7.33 (ddd, $J = 7.9$, 6.7, 1.0 Hz, 1H), 7.29 (d, $J = 9.1$ Hz, 1H), 4.85 (s, 2H), 2.57 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.6, 147.1, 144.0, 138.7, 137.7, 137.1, 134.1, 133.9, 129.5, 129.1, 129.0, 128.7, 126.2, 125.5, 122.8, 122.5, 121.3, 121.3, 119.2, 29.1, 21.9; FT-IR: $\tilde{\nu} = 2944$, 1741, 1614, 1590, 1502, 1245, 1102 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{21}\text{H}_{18}\text{NO}^+ [\text{M}+\text{H}]^+$ 300.1383; found 300.1376.

1-((5-Methylquinolin-8-yl)methyl)naphthalen-2-ol (3j):



White solid, 88%; m.p. 150-151 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.97 (dd, $J = 4.3$, 1.9 Hz, 1H), 8.50 – 8.30 (m, 2H), 8.09 (d, $J = 7.3$ Hz, 1H), 7.75 (dd, $J = 8.1$, 1.4 Hz, 1H), 7.65 (d, $J = 8.8$ Hz, 1H), 7.55 (ddd, $J = 8.4$, 6.8, 1.4 Hz, 1H), 7.51 (ddd, $J = 8.4$, 4.3, 2.0 Hz, 1H), 7.40 (d, $J = 7.3$ Hz, 1H), 7.30 (ddd, $J = 7.9$, 6.7, 1.1 Hz, 1H), 7.27 (s, 1H), 4.84 (s, 2H), 2.63 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.5, 147.6, 145.7, 137.1, 134.9, 133.9, 133.5, 131.5, 129.5, 129.0, 128.6, 128.4, 127.7, 126.2, 122.9, 122.6, 121.2, 120.88, 119.4, 29.1, 18.6; FT-IR: $\tilde{\nu} = 2948$, 1746, 1618, 1594, 1509, 1237, 1116 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{21}\text{H}_{18}\text{NO}^+ [\text{M}+\text{H}]^+$ 300.1383; found 300.1416.

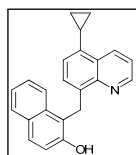
1-((5-Butylquinolin-8-yl)methyl)naphthalen-2-ol (3k):



Yellow liquid, 81%; ^1H NMR (600 MHz, CDCl_3) δ 8.96 (dd, $J = 4.4$, 1.7 Hz, 1H), 8.47 – 8.39 (m, 2H), 8.12 (d, $J = 7.3$ Hz, 1H), 7.77 (m, 1H), 7.68 (d, $J = 8.8$ Hz, 1H), 7.58 (ddd, $J = 8.5$, 6.8, 1.4 Hz, 1H), 7.49 (dd, $J = 8.5$, 4.4 Hz, 1H), 7.40 (d, $J = 7.3$ Hz, 1H), 7.35 – 7.27 (m, 2H), 4.86 (s, 2H), 3.06 – 2.93 (m, 2H), 1.65 (tt, $J = 7.8$, 6.6 Hz, 2H), 1.47 – 1.39 (m, 2H), 0.97 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.5, 147.4, 145.8, 138.3,

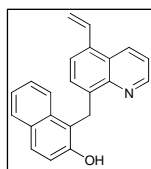
137.0, 134.6, 133.9, 131.4, 129.4, 128.9, 128.6, 127.7, 126.8, 126.2, 122.9, 122.5, 121.2, 120.7, 119.4, 33.2, 32.0, 29.1, 22.8, 14.0; FT-IR: $\tilde{\nu}$ = 2920, 1748, 1595, 1499, 1371, 1243, 1056 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{24}\text{H}_{24}\text{NO}^+$ $[\text{M}+\text{H}]^+$ 342.1852; found 342.1866.

1-((5-Cyclopropylquinolin-8-yl)methyl)naphthalen-2-ol (3l):



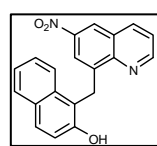
White solid, 84%; m.p. 148-150 °C; ^1H NMR (600 MHz, CDCl_3) δ 9.01 (d, J = 4.9 Hz, 1H), 8.84 (t, J = 5.9 Hz, 1H), 8.38 (d, J = 8.7 Hz, 1H), 8.09 (d, J = 7.2 Hz, 1H), 7.73 (d, J = 8.0 Hz, 1H), 7.63 (d, J = 8.8 Hz, 1H), 7.60 – 7.46 (m, 2H), 7.34 (d, J = 7.3 Hz, 1H), 7.28 (m, 2H), 4.83 (s, 2H), 2.25 (m, 1H), 1.06 (d, J = 7.8 Hz, 2H), 0.71 (d, J = 5.3 Hz, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.4, 147.6, 138.6, 137.1, 135.6, 133.9, 131.6, 129.5, 129.4, 129.0, 128.7, 126.2, 125.2, 122.8, 122.6, 121.2, 121.0, 119.2, 29.1, 12.6, 6.6; FT-IR: $\tilde{\nu}$ = 3043, 1749, 1594, 1506, 1271, 1151 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{23}\text{H}_{20}\text{NO}^+$ $[\text{M}+\text{H}]^+$ 326.1539; found 326.1579.

1-((5-Vinylquinolin-8-yl)methyl)naphthalen-2-ol (3m):



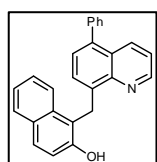
Pink solid, 86%; m.p. 142-144 °C; ^1H NMR (600 MHz, CDCl_3) δ 9.00 (dt, J = 4.6, 2.2 Hz, 1H), 8.53 (ddd, J = 8.6, 3.6, 1.7 Hz, 1H), 8.42 (d, J = 8.5 Hz, 1H), 8.20 (dd, J = 7.6, 1.7 Hz, 1H), 7.77 (d, J = 8.1 Hz, 1H), 7.71 (d, J = 7.5 Hz, 1H), 7.67 (d, J = 8.8 Hz, 1H), 7.57 (s, 1H), 7.52 (m, 1H), 7.35 – 7.26 (m, 3H), 5.79 (dt, J = 17.2, 1.5 Hz, 1H), 5.53 (dt, J = 11.0, 1.6 Hz, 1H), 4.88 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.5, 147.9, 145.3, 138.8, 134.9, 134.7, 133.9, 132.5, 131.6, 129.5, 129.0, 128.8, 126.9, 126.3, 124.6, 122.8, 122.6, 121.1, 119.1, 118.8, 29.3; FT-IR: $\tilde{\nu}$ = 2948, 1746, 1618, 1594, 1509, 1237, 1116 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{22}\text{H}_{18}\text{NO}^+$ $[\text{M}+\text{H}]^+$ 312.1383; found 312.1366.

1-((6-Nitroquinolin-8-yl)methyl)naphthalen-2-ol (3n):



Yellow solid, 83%; m.p. 174-175 °C; ^1H NMR (600 MHz, CDCl_3) δ 9.16 (dd, J = 4.4, 1.8 Hz, 1H), 8.95 (d, J = 2.5 Hz, 1H), 8.67 (d, J = 2.5 Hz, 1H), 8.43 (dd, J = 8.3, 1.8 Hz, 1H), 8.35 (d, J = 8.6 Hz, 1H), 7.77 (m, 1H), 7.72 – 7.64 (m, 2H), 7.61 (ddd, J = 8.4, 6.9, 1.4 Hz, 1H), 7.37 – 7.31 (m, 1H), 7.24 (d, J = 8.8 Hz, 1H), 4.95 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.3, 151.7, 147.6, 145.6, 142.2, 140.5, 133.6, 129.6, 129.4, 129.2, 127.8, 126.9, 124.6, 123.2, 123.1, 122.4, 121.0, 117.7, 29.2; FT-IR: $\tilde{\nu}$ = 3675, 2960, 1519, 1384, 1345, 1280 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{15}\text{N}_2\text{O}_3^+$ $[\text{M}+\text{H}]^+$ 331.1077; found 331.1083.

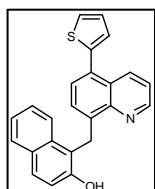
1-((5-Phenylquinolin-8-yl)methyl)naphthalen-2-ol (3o):



White solid, 87%; m.p. 205-206 °C; ^1H NMR (600 MHz, CDCl_3) δ 9.00 (d, J = 4.2 Hz, 1H), 8.44 (d, J = 8.6 Hz, 1H), 8.33 (d, J = 8.6 Hz, 1H), 8.25 (d, J = 7.4 Hz, 1H), 7.76

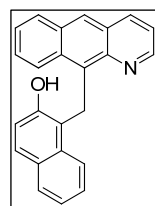
(d, $J = 8.1$ Hz, 1H), 7.66 (d, $J = 8.8$ Hz, 1H), 7.59 – 7.51 (m, 2H), 7.51 – 7.41 (m, 4H), 7.38 (d, $J = 7.5$ Hz, 2H), 7.33 – 7.27 (m, 2H), 4.92 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.5, 147.9, 145.5, 139.5, 138.9, 138.4, 137.1, 133.9, 131.3, 130.1, 129.5, 129.0, 128.8, 128.7, 128.0, 127.9, 127.6, 126.3, 122.8, 122.7, 121.2, 121.2, 119.1, 29.3; FT-IR: $\tilde{\nu} = 3652, 3057, 2918, 1594, 1495, 1285, 1186, 1122$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{26}\text{H}_{20}\text{NO}^+$ $[\text{M}+\text{H}]^+$ 362.1539; found 362.1542.

1-((5-(Thiophen-2-yl)quinolin-8-yl)methyl)naphthalen-2-ol (3p):



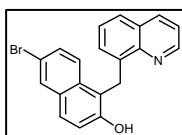
Light yellow solid, 82%; m.p. 182-183 $^{\circ}\text{C}$; ^1H NMR (600 MHz, CDCl_3) δ 9.02 (dd, $J = 4.4, 1.8$ Hz, 1H), 8.64 (dd, $J = 8.6, 1.8$ Hz, 1H), 8.44 (d, $J = 8.6$ Hz, 1H), 8.25 (d, $J = 7.5$ Hz, 1H), 7.78 (dd, $J = 8.1, 1.3$ Hz, 1H), 7.71 – 7.62 (m, 2H), 7.58 (ddd, $J = 8.4, 6.8, 1.4$ Hz, 1H), 7.53 (dd, $J = 8.5, 4.3$ Hz, 1H), 7.47 (dd, $J = 5.2, 1.2$ Hz, 1H), 7.33 (t, $J = 7.2$ Hz, 1H), 7.29 (m, 1H), 7.19 (dd, $J = 5.1, 3.5$ Hz, 1H), 7.16 (dd, $J = 3.5, 1.2$ Hz, 1H), 4.93 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.5, 148.1, 145.6, 139.8, 139.2, 136.8, 133.8, 131.7, 131.2, 129.5, 129.0, 129.0, 128.8, 128.0, 127.9, 127.7, 126.6, 126.3, 122.8, 122.7, 121.6, 121.2, 118.9, 29.3; FT-IR: $\tilde{\nu} = 3670, 2968, 2862, 1478, 1426, 1335, 1272, 1255, 1018$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{24}\text{H}_{18}\text{NOS}^+$ $[\text{M}+\text{H}]^+$ 368.1104; found 368.1114.

1-(Benzo[*g*]quinolin-10-ylmethyl)naphthalen-2-ol (3q):

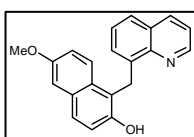


Yellow semisolid, 84%; ^1H NMR (600 MHz, CDCl_3) δ 9.01 (d, $J = 7.6$ Hz, 2H), 8.43 – 8.27 (m, 2H), 8.11 (dd, $J = 15.2, 8.5$ Hz, 2H), 7.80 (t, $J = 7.8$ Hz, 1H), 7.71 (d, $J = 7.8$ Hz, 1H), 7.66 (s, 1H), 7.42 (dd, $J = 8.5, 4.3$ Hz, 1H), 7.35 (d, $J = 8.9$ Hz, 1H), 7.25 (t, $J = 7.6$ Hz, 1H), 7.20 (t, $J = 7.5$ Hz, 1H), 5.40 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 154.1, 149.3, 141.6, 139.2, 135.4, 134.5, 132.6, 131.8, 129.9, 129.5, 128.8, 128.6, 127.5, 126.8, 126.1, 126.1, 126.0, 125.0, 123.7, 122.5, 121.3, 120.9, 120.4, 25.1; FT-IR: $\tilde{\nu} = 3090, 2934, 1897, 1617, 1465, 1296, 1235, 1001$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{24}\text{H}_{18}\text{NO}^+$ $[\text{M}+\text{H}]^+$ 336.1383; found 336.1391.

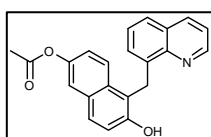
6-Bromo-1-(quinolin-8-ylmethyl)naphthalen-2-ol (4a):



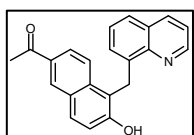
Light yellow solid, 95%; m.p. 213-215 $^{\circ}\text{C}$; ^1H NMR (600 MHz, CDCl_3) δ 8.96 (dd, $J = 4.4, 1.8$ Hz, 1H), 8.27 – 8.20 (m, 2H), 8.13 (dd, $J = 7.2, 1.4$ Hz, 1H), 7.86 (d, $J = 2.2$ Hz, 1H), 7.71 (dd, $J = 8.2, 1.4$ Hz, 1H), 7.60 – 7.45 (m, 4H), 7.24 (s, 1H), 4.81 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.9, 148.2, 145.2, 138.8, 132.5, 131.8, 130.8, 130.7, 129.4, 129.1, 127.8, 127.4, 127.0, 124.7, 122.4, 121.4, 119.4, 116.3, 29.2; FT-IR: $\tilde{\nu} = 3664, 2942, 2865, 1591, 1497, 1305, 1289, 1251, 1159$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{15}^{79}\text{BrNO}^+$ $[\text{M}+\text{H}]^+$ 364.0332; found 364.0334.

6-Methoxy-1-(quinolin-8-ylmethyl)naphthalen-2-ol (4b):

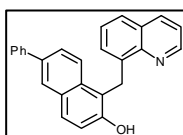
White solid, 89%; m.p. 160-162 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.94 (dd, $J = 4.4, 1.8$ Hz, 1H), 8.26 – 8.12 (m, 2H), 7.72 – 7.67 (m, 2H), 7.65 (d, $J = 8.8$ Hz, 1H), 7.61 – 7.53 (m, 2H), 7.45 (dd, $J = 8.2, 4.4$ Hz, 1H), 7.13 (d, $J = 8.8$ Hz, 1H), 6.98 (dd, $J = 8.7, 2.5$ Hz, 1H), 4.82 (s, 2H), 4.05 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 158.1, 154.0, 148.2, 145.5, 139.1, 138.5, 135.2, 131.6, 130.4, 129.1, 128.5, 127.0, 126.9, 124.8, 121.4, 118.8, 118.4, 114.2, 102.8, 55.5, 29.8; FT-IR: $\tilde{\nu} = 3670, 2986, 2860, 1570, 1489, 1311, 1256, 1232, 1151$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{21}\text{H}_{18}\text{NO}_2^+ [\text{M}+\text{H}]^+$ 316.1332; found 316.1336.

6-Hydroxy-5-(quinolin-8-ylmethyl)naphthalen-2-yl acetate (4c):

White solid, 91%; m.p. 176-178 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.93 (dd, $J = 4.5, 1.8$ Hz, 1H), 8.25 – 8.07 (m, 3H), 7.73 (d, $J = 8.7$ Hz, 1H), 7.69 – 7.59 (m, 2H), 7.57 (dd, $J = 8.2, 7.1$ Hz, 1H), 7.44 (dd, $J = 8.2, 4.5$ Hz, 1H), 7.23 (d, $J = 8.7$ Hz, 1H), 7.05 (dd, $J = 8.7, 2.2$ Hz, 1H), 4.80 (s, 2H), 2.44 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 169.7, 154.1, 149.0, 148.0, 145.2, 138.6, 138.4, 134.4, 131.6, 130.2, 128.9, 128.4, 127.3, 126.7, 121.2, 120.9, 119.0, 117.3, 113.9, 29.0, 21.5; FT-IR: $\tilde{\nu} = 3662, 2962, 2865, 1738, 1582, 1480, 1309, 1256, 1242, 1089$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{22}\text{H}_{18}\text{NO}_3^+ [\text{M}+\text{H}]^+$ 344.1281; found 344.1285.

1-(6-Hydroxy-5-(quinolin-8-ylmethyl)naphthalen-2-yl)ethanone (4d):

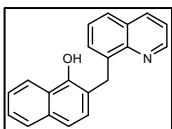
Yellow solid, 94%; m.p. 172-174 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.97 (dd, $J = 4.6, 1.8$ Hz, 1H), 8.43 (d, $J = 9.0$ Hz, 1H), 8.35 (d, $J = 2.0$ Hz, 1H), 8.25 (dd, $J = 8.2, 1.7$ Hz, 1H), 8.18 (dd, $J = 7.2, 1.3$ Hz, 1H), 8.10 (dd, $J = 9.0, 1.9$ Hz, 1H), 7.80 – 7.69 (m, 2H), 7.58 (dd, $J = 8.2, 7.2$ Hz, 1H), 7.51 (dd, $J = 8.2, 4.4$ Hz, 1H), 7.31 (d, $J = 8.8$ Hz, 1H), 4.86 (s, 2H), 2.68 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 198.1, 156.4, 148.1, 145.2, 138.8, 138.6, 136.5, 131.8, 131.5, 131.4, 130.5, 129.1, 128.3, 127.4, 127.0, 124.5, 123.2, 122.2, 121.4, 119.5, 29.1, 26.6; FT-IR: $\tilde{\nu} = 3656, 2968, 2870, 1696, 1602, 1470, 1362, 1280, 1264, 1025$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{22}\text{H}_{18}\text{NO}_2^+ [\text{M}+\text{H}]^+$ 328.1332; found 328.1330.

6-Phenyl-1-(quinolin-8-ylmethyl)naphthalen-2-ol (4e):

Gray solid, 90%; m.p. 204-205 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.99 (d, $J = 4.4$ Hz, 1H), 8.45 (d, $J = 8.8$ Hz, 1H), 8.22 (t, $J = 7.1$ Hz, 2H), 7.95 (d, $J = 2.1$ Hz, 1H), 7.82 (dd, $J = 8.7, 2.1$ Hz, 1H), 7.70 (dd, $J = 8.3, 3.0$ Hz, 4H), 7.58 (t, $J = 7.7$ Hz, 1H), 7.52 – 7.43 (m, 3H), 7.35 (t, $J = 7.4$ Hz, 1H), 7.31 (d, $J = 8.7$ Hz, 1H), 4.90 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 153.7, 148.2, 145.3, 141.2, 139.1, 138.6, 135.3, 133.1, 131.9, 129.7, 129.1, 129.1, 128.9, 127.4, 127.3, 127.1, 126.9, 126.9, 125.8, 123.4, 121.7, 121.4, 119.1,

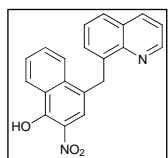
29.3; FT-IR: $\tilde{\nu}$ = 3654, 3050, 2928, 1581, 1498, 1284, 1214, 1158, 1122 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{26}\text{H}_{20}\text{NO}^+$ $[\text{M}+\text{H}]^+$ 362.1539; found 362.1544.

2-(Quinolin-8-ylmethyl)naphthalen-1-ol (4f):



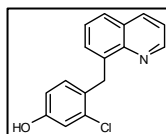
Light yellow solid, 94%; m.p. 148-149 °C; ^1H NMR (600 MHz, CDCl_3) δ 8.99 (dd, J = 4.4, 1.8 Hz, 1H), 8.40 (d, J = 8.4 Hz, 1H), 8.18 (dd, J = 8.3, 1.8 Hz, 1H), 7.90 (dd, J = 7.0, 1.4 Hz, 1H), 7.69 (dd, J = 8.2, 1.3 Hz, 2H), 7.54 (dd, J = 8.2, 7.1 Hz, 1H), 7.47-7.44 (m, 2H), 7.43-7.37 (m, 2H), 7.33 (d, J = 8.3 Hz, 1H), 4.59 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 150.4, 148.6, 145.2, 140.3, 138.2, 133.9, 130.4, 129.0, 128.5, 127.4, 127.3, 126.9, 126.8, 125.7, 125.0, 123.1, 121.4, 121.1, 119.6, 34.3; FT-IR: $\tilde{\nu}$ = 3662, 2960, 2868, 1604, 1474, 1352, 1272, 1265, 1012 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{16}\text{NO}^+$ $[\text{M}+\text{H}]^+$ 286.1226; found 286.1229.

2-Nitro-4-(quinolin-8-ylmethyl)naphthalen-1-ol (4g):



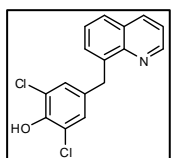
Yellow semisolid, 51%; ^1H NMR (600 MHz, CDCl_3) δ 12.25 (s, 1H), 9.04 (s, 1H), 8.59 (d, J = 7.8 Hz, 1H), 8.24 (d, J = 8.4 Hz, 1H), 8.00 (d, J = 8.1 Hz, 1H), 7.91 (s, 1H), 7.75 (d, J = 8.2 Hz, 1H), 7.62 (t, J = 7.7 Hz, 2H), 7.51 (dd, J = 8.1, 4.1 Hz, 1H), 7.40 (t, J = 7.9 Hz, 1H), 5.08 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 155.1, 149.9, 146.5, 138.3, 136.9, 136.7, 131.6, 130.2, 129.4, 128.6, 128.0, 126.9, 126.9, 126.5, 125.7, 125.4, 124.1, 121.4, 120.1, 33.2; FT-IR: $\tilde{\nu}$ = 3660, 2952, 1745, 1624, 1501, 1362, 1315, 1248 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{15}\text{N}_2\text{O}_3^+$ $[\text{M} + \text{H}]^+$ 331.1077; found 331.1076.

3-Chloro-4-(quinolin-8-ylmethyl)phenol (4h):



White solid, 42%; m.p. 141-142 °C; ^1H NMR (600 MHz, DMSO-d_6) δ 9.70 (s, 1H), 8.95 (dd, J = 4.2, 1.8 Hz, 1H), 8.38 (dd, J = 8.2, 1.8 Hz, 1H), 7.85 (dd, J = 8.2, 1.3 Hz, 1H), 7.57 (dd, J = 8.2, 4.1 Hz, 1H), 7.51 (t, J = 7.6 Hz, 1H), 7.28 (d, J = 7.0 Hz, 1H), 7.01 (d, J = 8.4 Hz, 1H), 6.86 (d, J = 2.5 Hz, 1H), 6.65 (dd, J = 8.4, 2.5 Hz, 1H), 4.58 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, DMSO-d_6) δ 156.6, 149.7, 145.9, 138.3, 136.5, 133.4, 132.0, 128.7, 128.2, 128.0, 126.5, 126.4, 121.5, 115.8, 114.5, 32.9; FT-IR: $\tilde{\nu}$ = 3656, 2981, 1585, 1509, 1429, 1274, 1068, 1022 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{16}\text{H}_{13}^{35}\text{ClNO}^+$ $[\text{M}+\text{H}]^+$ 270.0680; found 270.0683.

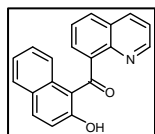
2,6-Dichloro-4-(quinolin-8-ylmethyl)phenol (4i):



Light orange solid, 36%; m.p. 162-163 °C; ^1H NMR (600 MHz, DMSO-d_6) δ 9.84 (s, 1H), 8.97 (dd, J = 4.2, 1.8 Hz, 1H), 8.37 (dd, J = 8.2, 1.8 Hz, 1H), 7.87 (dd, J = 8.2, 1.4 Hz, 1H), 7.65 (m, 1H), 7.58 – 7.52 (m, 2H), 7.29 (s, 2H), 4.48 (s, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, DMSO-d_6) δ 149.9, 147.0, 145.7, 138.8, 136.5, 134.6, 129.6, 128.7,

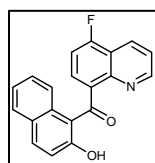
128.1, 126.9, 126.5, 121.9, 121.5, 34.6; FT-IR: $\tilde{\nu}$ = 3662, 2946, 1608, 1542, 1412, 1238, 1108, 1044 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{16}\text{H}_{12}^{35}\text{Cl}_2\text{NO}^+$ $[\text{M}+\text{H}]^+$ 304.0290; found 304.0295.

(2-Hydroxynaphthalen-1-yl)(quinolin-8-yl)methanone (6a):



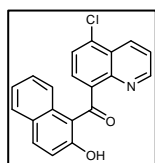
White solid, 91%; m.p. 174-175 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.57 (s, 1H), 8.94 (dd, J = 4.1, 1.8 Hz, 1H), 8.27 (dd, J = 8.4, 1.8 Hz, 1H), 8.04 – 7.92 (m, 2H), 7.71 (dd, J = 8.1, 1.5 Hz, 1H), 7.62 – 7.51 (m, 2H), 7.49 (dd, J = 8.3, 4.2 Hz, 1H), 7.29 (d, J = 9.0 Hz, 1H), 7.17 (ddd, J = 8.0, 6.8, 1.1 Hz, 1H), 7.08 (d, J = 8.7 Hz, 1H), 6.91 (ddd, J = 8.5, 6.9, 1.5 Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 201.8, 165.1, 151.5, 145.4, 141.0, 138.2, 136.4, 132.5, 130.5, 129.1, 128.9, 128.6, 128.6, 127.3, 126.3, 124.9, 123.6, 122.1, 120.0, 114.9; FT-IR: $\tilde{\nu}$ = 3670, 2962, 2918, 1717, 1611, 1452, 1315, 1282, 1238, 1092 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{14}\text{NO}_2^+$ $[\text{M}+\text{H}]^+$ 300.1019; found 300.1025.

(5-Fluoroquinolin-8-yl)(2-hydroxynaphthalen-1-yl)methanone (6b):



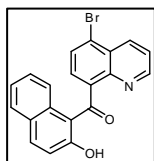
Brown solid, 82%; m.p. 190-192 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.35 (s, 1H), 8.97 (dd, J = 4.2, 1.8 Hz, 1H), 8.54 (dd, J = 8.6, 1.8 Hz, 1H), 7.97 (d, J = 9.0 Hz, 1H), 7.72 (dd, J = 8.0, 1.4 Hz, 1H), 7.55 (ddd, J = 15.3, 8.3, 5.0 Hz, 2H), 7.30 – 7.26 (m, 1H), 7.25 – 7.16 (m, 2H), 7.07 (d, J = 8.6 Hz, 1H), 6.94 (ddd, J = 8.5, 6.9, 1.5 Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 200.5, 165.0, 159.1 (d, J = 260.7 Hz), 152.3, 146.4 (d, J = 3.2 Hz), 138.2, 137.3 (d, J = 4.8 Hz), 132.5, 129.6 (d, J = 4.5 Hz), 129.2 (d, J = 9.6 Hz), 129.1, 128.6, 127.4, 124.9, 123.6, 122.1 (d, J = 2.2 Hz), 119.9, 119.7 (d, J = 16.4 Hz), 114.8, 110.1 (d, J = 19.9 Hz); FT-IR: $\tilde{\nu}$ = 3679, 3681, 2976, 2861, 1756, 1608, 1504, 1456, 1312, 1284, 1177, 1069, 1011 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{13}\text{FNO}_2^+$ $[\text{M}+\text{H}]^+$ 318.0925; found 318.0923.

(5-Chloroquinolin-8-yl)(2-hydroxynaphthalen-1-yl)methanone (6c):



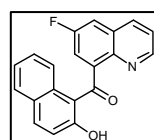
White solid, 87%; m.p. 190-192 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.44 (s, 1H), 8.95 (dd, J = 4.2, 1.7 Hz, 1H), 8.69 (dd, J = 8.6, 1.7 Hz, 1H), 7.97 (d, J = 9.1 Hz, 1H), 7.71 (dd, J = 8.0, 1.4 Hz, 1H), 7.64 (d, J = 7.7 Hz, 1H), 7.58 (dd, J = 8.6, 4.2 Hz, 1H), 7.52 (d, J = 7.7 Hz, 1H), 7.30 – 7.26 (m, 1H), 7.21 – 7.16 (m, 1H), 7.09 (d, J = 8.6 Hz, 1H), 6.95 (ddd, J = 8.6, 6.9, 1.5 Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 200.6, 165.2, 152.0, 146.1, 140.3, 138.3, 134.0, 133.2, 132.4, 129.2, 128.6, 128.3, 127.5, 127.0, 126.5, 124.7, 123.7, 122.8, 119.9, 114.7; FT-IR: $\tilde{\nu}$ = 3678, 3681, 2968, 1719, 1665, 1622, 1579, 1513, 1435, 1284, 1176, 1033 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{13}^{35}\text{ClNO}_2^+$ $[\text{M}+\text{H}]^+$ 334.0629; found 334.0642.

(5-Bromoquinolin-8-yl)(2-hydroxynaphthalen-1-yl)methanone (6d):



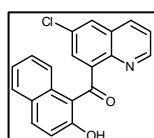
Light yellow solid, 88%; m.p. 196-197 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.43 (s, 1H), 8.94 (dd, $J = 4.3, 1.7$ Hz, 1H), 8.67 (dd, $J = 8.6, 1.7$ Hz, 1H), 7.98 (d, $J = 9.0$ Hz, 1H), 7.86 (d, $J = 7.7$ Hz, 1H), 7.72 (dd, $J = 8.0, 1.4$ Hz, 1H), 7.59 (dd, $J = 8.6, 4.2$ Hz, 1H), 7.46 (d, $J = 7.7$ Hz, 1H), 7.29 (d, $J = 8.8$ Hz, 1H), 7.19 (ddd, $J = 7.9, 7.0, 1.0$ Hz, 1H), 7.09 (d, $J = 8.7$ Hz, 1H), 6.95 (ddd, $J = 8.5, 6.9, 1.4$ Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 200.5, 165.2, 151.9, 145.9, 140.8, 138.3, 135.7, 132.2, 130.1, 128.5, 128.5, 128.3, 127.4, 124.6, 124.5, 123.6, 123.0, 119.8, 114.6; FT-IR: $\tilde{\nu} = 3676, 2956, 1720, 1676, 1626, 1596, 1407, 1251, 1036$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{13}^{79}\text{BrNO}_2^+$ $[\text{M}+\text{H}]^+$ 378.0124; found 378.0132.

(6-Fluoroquinolin-8-yl)(2-hydroxynaphthalen-1-yl)methanone (6e):



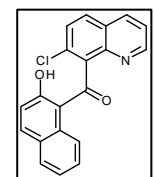
White solid, 84%; m.p. 190-192 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.47 (s, 1H), 8.91 – 8.79 (m, 1H), 8.22 (dd, $J = 8.3, 1.6$ Hz, 1H), 7.99 (d, $J = 9.0$ Hz, 1H), 7.73 (d, $J = 8.0$ Hz, 1H), 7.62 (dd, $J = 8.4, 2.8$ Hz, 1H), 7.49 (dd, $J = 8.4, 4.0$ Hz, 1H), 7.39 (dd, $J = 8.1, 2.9$ Hz, 1H), 7.29 (d, $J = 9.3$ Hz, 1H), 7.20 (t, $J = 7.4$ Hz, 1H), 7.05 (d, $J = 8.6$ Hz, 1H), 6.95 (ddd, $J = 8.6, 6.9, 1.4$ Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 200.0, 165.6, 159.8 (d, $J = 250.8$ Hz), 150.7, 143.5 (d, $J = 7.6$ Hz), 142.7, 138.7, 135.8 (d, $J = 5.1$ Hz), 132.3, 129.9 (d, $J = 9.7$ Hz), 129.3, 128.6, 127.7, 124.5, 123.8, 122.7, 120.0, 118.5 (d, $J = 27.4$ Hz), 114.5, 113.3 (d, $J = 21.1$ Hz); FT-IR: $\tilde{\nu} = 3679, 2973, 2866, 1753, 1599, 1497, 1464, 1308, 1284, 1176, 1057, 1033$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{13}\text{FNO}_2^+$ $[\text{M}+\text{H}]^+$ 318.0925; found 318.0920.

(6-Chloroquinolin-8-yl)(2-hydroxynaphthalen-1-yl)methanone (6f):



Brown solid, 89%; m.p. 193-194 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.51 (s, 1H), 8.89 (dd, $J = 4.2, 1.8$ Hz, 1H), 8.19 (dd, $J = 8.4, 1.8$ Hz, 1H), 8.04 – 7.94 (m, 2H), 7.73 (dd, $J = 8.0, 1.4$ Hz, 1H), 7.56 (d, $J = 2.3$ Hz, 1H), 7.50 (dd, $J = 8.4, 4.2$ Hz, 1H), 7.29 (d, $J = 9.8$ Hz, 1H), 7.21 (dd, $J = 8.0, 6.8$ Hz, 1H), 7.07 (d, $J = 8.6$ Hz, 1H), 6.98 – 6.92 (m, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 200.0, 165.6, 151.6, 144.0, 142.8, 138.7, 135.5, 132.3, 129.7, 129.3, 128.8, 128.8, 128.6, 127.7, 124.5, 123.8, 122.9, 120.0, 114.5; FT-IR: $\tilde{\nu} = 3678, 2966, 1722, 1661, 1628, 1568, 1509, 1385, 1222, 1076$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{13}^{35}\text{ClNO}_2^+$ $[\text{M}+\text{H}]^+$ 334.0629; found 334.0634.

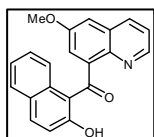
(7-Chloroquinolin-8-yl)(2-hydroxynaphthalen-1-yl)methanone (6g):



Brown solid, 86%; m.p. 195-196 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.45 (s, 1H), 8.97 (dd, $J = 4.2, 1.7$ Hz, 1H), 8.69 (dd, $J = 8.6, 1.7$ Hz, 1H), 7.98 (d, $J = 9.0$ Hz, 1H), 7.72 (dd, $J = 8.0, 1.5$ Hz, 1H), 7.64 (d, $J = 7.8$ Hz, 1H), 7.59 (d, $J = 4.5$ Hz, 1H), 7.52 (d, $J = 7.9$ Hz, 1H), 7.29 (m, 1H), 7.19 (ddd, $J = 7.9, 6.8, 1.1$ Hz, 1H), 7.09 (d, $J = 8.8$ Hz, 1H),

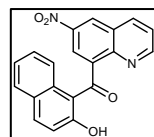
6.95 (ddd, $J = 8.6, 6.9, 1.4$ Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 200.6, 165.3, 152.0, 146.0, 140.2, 138.4, 134.0, 133.2, 132.3, 129.2, 128.6, 128.3, 127.5, 127.0, 126.5, 124.7, 123.7, 122.8, 119.9, 114.7; FT-IR: $\tilde{\nu} = 3681, 2967, 1724, 1672, 1631, 1583, 1519, 1405, 1194, 1106$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{13}^{35}\text{ClNO}_2^+$ $[\text{M}+\text{H}]^+$ 334.0629; found 334.0638.

(2-Hydroxynaphthalen-1-yl)(6-methoxyquinolin-8-yl)methanone (6h):



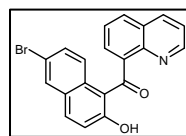
Brown solid, 83%; m.p. 144-146 $^{\circ}\text{C}$; ^1H NMR (600 MHz, CDCl_3) δ 8.81 (m, 1H), 8.61 (m, 1H), 7.94 (d, $J = 9.3$ Hz, 1H), 7.70 (dd, $J = 7.9, 1.6$ Hz, 1H), 7.51 (m, 1H), 7.39 (d, $J = 2.0$ Hz, 1H), 7.29 (dd, $J = 8.9, 1.9$ Hz, 1H), 7.26 (s, 1H), 7.18 (m, 1H), 7.05 (d, $J = 8.9$ Hz, 1H), 6.96 (t, $J = 7.8$ Hz, 1H), 3.90 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 200.2, 154.1, 149.4, 138.4, 135.6, 132.3, 129.5, 129.2, 128.5, 127.8, 124.4, 123.8, 123.2, 120.0, 115.8, 114.6, 110.5, 57.5. FT-IR: $\tilde{\nu} = 3669, 2962, 1722, 1609, 1493, 1362, 1248, 1107$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{21}\text{H}_{16}\text{NO}_3^+$ $[\text{M}+\text{H}]^+$ 330.1125; found 330.1133.

(2-Hydroxynaphthalen-1-yl)(6-nitroquinolin-8-yl)methanone (6i):



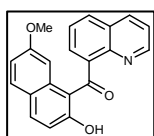
White solid, 81%; m.p. 158-159 $^{\circ}\text{C}$; ^1H NMR (600 MHz, CDCl_3) δ 9.05 (dt, $J = 3.5, 1.7$ Hz, 1H), 8.94 (t, $J = 2.0$ Hz, 1H), 8.46 (dt, $J = 8.4, 1.7$ Hz, 1H), 8.34 (t, $J = 2.1$ Hz, 1H), 8.01 (dd, $J = 9.2, 1.5$ Hz, 1H), 7.72 (dd, $J = 8.1, 1.4$ Hz, 1H), 7.64 (ddd, $J = 8.6, 4.2, 1.5$ Hz, 1H), 7.30 (dd, $J = 9.0, 1.6$ Hz, 1H), 7.18 (ddd, $J = 8.0, 6.8, 1.2$ Hz, 1H), 6.97 (d, $J = 8.8$ Hz, 1H), 6.88 (m, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 199.0, 166.0, 154.7, 147.5, 145.2, 143.2, 139.2, 138.2, 132.1, 129.6, 128.7, 128.0, 127.9, 126.4, 124.2, 124.0, 123.8, 121.6, 120.0, 114.2; FT-IR: $\tilde{\nu} = 3672, 2968, 1751, 1610, 1512, 1384, 1355, 1280$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{13}\text{N}_2\text{O}_4^+$ $[\text{M} + \text{H}]^+$ 345.0870; found 345.0881.

(6-Bromo-2-hydroxynaphthalen-1-yl)(quinolin-8-yl)methanone (6j):



Light yellow solid, 92%; m.p. 163-165 $^{\circ}\text{C}$; ^1H NMR (600 MHz, CDCl_3) δ 13.47 (s, 1H), 8.86 (dd, $J = 4.2, 1.8$ Hz, 1H), 8.22 (dd, $J = 8.3, 1.7$ Hz, 1H), 7.97 (dd, $J = 7.9, 1.6$ Hz, 1H), 7.86 – 7.75 (m, 2H), 7.61 – 7.51 (m, 2H), 7.44 (dd, $J = 8.3, 4.2$ Hz, 1H), 7.26 (m, 1H), 6.97 – 6.82 (m, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 201.5, 164.9, 151.5, 145.3, 140.7, 136.8, 136.4, 131.0, 130.9, 130.8, 130.3, 129.8, 128.8, 128.5, 126.3, 126.3, 122.1, 121.2, 117.1, 115.0; FT-IR: $\tilde{\nu} = 3674, 2968, 2923, 1664, 1622, 1561, 1492, 1435, 1284, 1175$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{13}^{79}\text{BrNO}_2^+$ $[\text{M}+\text{H}]^+$ 378.0124; found 378.0137.

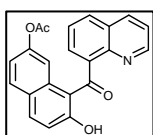
(2-Hydroxy-7-methoxynaphthalen-1-yl)(quinolin-8-yl)methanone (6k):



White solid, 85%; m.p. 147-148 $^{\circ}\text{C}$; ^1H NMR (600 MHz, CDCl_3) δ 13.77 (s, 1H), 8.91 (dt, $J = 4.5, 2.1$ Hz, 1H), 8.23 (dt, $J = 8.5, 2.1$ Hz, 1H), 7.95 (dt, $J = 7.4, 2.2$ Hz, 1H),

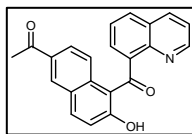
7.85 (dd, $J = 9.1, 1.8$ Hz, 1H), 7.61 – 7.55 (m, 2H), 7.53 (dd, $J = 8.9, 1.7$ Hz, 1H), 7.45 (ddd, $J = 8.2, 4.1, 2.5$ Hz, 1H), 7.10 (dd, $J = 9.0, 1.4$ Hz, 1H), 6.75 (ddd, $J = 8.9, 2.6, 1.1$ Hz, 1H), 6.45 (d, $J = 2.5$ Hz, 1H), 2.70 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 201.9, 166.2, 158.7, 151.6, 145.4, 141.7, 138.2, 136.2, 134.2, 130.5, 129.8, 128.8, 127.6, 126.7, 123.6, 122.1, 117.1, 115.5, 114.3, 104.9, 53.9; FT-IR: $\tilde{\nu} = 3664, 2998, 1713, 1681, 1584, 1468, 1432, 1280$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{21}\text{H}_{16}\text{NO}_3^+ [\text{M}+\text{H}]^+$ 330.1125; found 330.1129.

7-Hydroxy-8-(quinoline-8-carbonyl)naphthalen-2-yl acetate (6l):



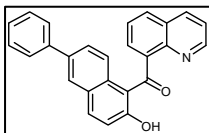
Off-white solid, 84%; m.p. 146-147 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.70 (s, 1H), 8.84 (dd, $J = 4.2, 1.6$ Hz, 1H), 8.22 (dt, $J = 8.5, 1.4$ Hz, 1H), 7.98 (dd, $J = 8.1, 1.5$ Hz, 1H), 7.90 (d, $J = 9.1$ Hz, 1H), 7.67 – 7.61 (m, 2H), 7.58 (m, 1H), 7.42 (m, 1H), 7.22 (dd, $J = 9.1, 1.1$ Hz, 1H), 6.88 (dt, $J = 8.7, 1.6$ Hz, 1H), 6.66 (d, $J = 2.1$ Hz, 1H), 1.97 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 201.7, 168.7, 165.6, 151.4, 149.6, 145.2, 140.5, 137.7, 136.2, 133.3, 130.5, 130.4, 128.8, 128.6, 126.4, 122.0, 119.8, 118.3, 116.7, 114.8, 20.9; FT-IR: $\tilde{\nu} = 3670, 2968, 2866, 1734, 1690, 1579, 1474, 1312, 1260, 1225, 1091$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{22}\text{H}_{16}\text{NO}_4^+ [\text{M}+\text{H}]^+$ 358.1074; found 358.1082.

1-(6-Hydroxy-5-(quinoline-8-carbonyl)naphthalen-2-yl)ethanone (6m):



Light yellow solid, 86%; m.p. 154-156 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.66 (s, 1H), 8.84 (dd, $J = 4.4, 1.9$ Hz, 1H), 8.28 (d, $J = 2.1$ Hz, 1H), 8.25 (dd, $J = 8.5, 1.9$ Hz, 1H), 8.05 (d, $J = 9.1$ Hz, 1H), 8.01 (dd, $J = 8.1, 1.6$ Hz, 1H), 7.61 (dd, $J = 7.2, 1.6$ Hz, 1H), 7.57 (t, $J = 7.6$ Hz, 1H), 7.45 (dd, $J = 8.4, 4.2$ Hz, 1H), 7.42 (dd, $J = 9.1, 2.0$ Hz, 1H), 7.33 (d, $J = 9.0$ Hz, 1H), 7.08 (d, $J = 9.1$ Hz, 1H), 2.55 (s, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 201.8, 197.4, 166.5, 151.5, 145.3, 140.7, 139.0, 136.4, 135.5, 132.2, 130.9, 130.7, 128.9, 128.6, 127.7, 126.4, 125.6, 125.0, 122.2, 121.1, 115.2, 26.5; FT-IR: $\tilde{\nu} = 3677, 2972, 2932, 1720, 1716, 1604, 1456, 1315, 1252, 1241, 1123$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{22}\text{H}_{16}\text{NO}_3^+ [\text{M}+\text{H}]^+$ 342.1125; found 342.1131.

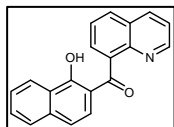
(2-Hydroxy-6-phenylnaphthalen-1-yl)(quinolin-8-yl)methanone (6n):



White solid, 84%; m.p. 130-132 °C; ^1H NMR (600 MHz, CDCl_3) δ 13.61 (s, 1H), 8.94 (dd, $J = 4.2, 1.8$ Hz, 1H), 8.26 (dd, $J = 8.4, 1.8$ Hz, 1H), 8.06 – 7.95 (m, 2H), 7.90 (d, $J = 2.0$ Hz, 1H), 7.61 (dd, $J = 7.1, 1.6$ Hz, 1H), 7.58 – 7.51 (m, 3H), 7.47 (dd, $J = 8.4, 4.2$ Hz, 1H), 7.38 (dd, $J = 8.6, 7.0$ Hz, 2H), 7.33 – 7.27 (m, 2H), 7.17 (dd, $J = 9.0, 2.1$ Hz, 1H), 7.10 (d, $J = 9.0$ Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 201.8, 165.3, 151.6, 145.5, 141.0, 140.1, 138.4, 136.4, 136.1, 131.6, 130.6, 128.9, 128.9, 128.6, 127.4, 127.0, 126.8, 126.7, 126.4,

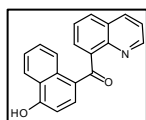
125.4, 122.1, 120.4, 114.7; FT-IR: $\tilde{\nu}$ = 3660, 2967, 2929, 1649, 1594, 1325, 1273, 1245, 1011 cm^{-1} ;
HRMS (ESI): calcd. for $\text{C}_{26}\text{H}_{18}\text{NO}_2^+$ $[\text{M}+\text{H}]^+$ 376.1332; found 376.1335.

(1-Hydroxynaphthalen-2-yl)(quinolin-8-yl)methanone (6o):



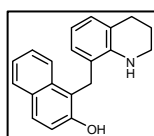
White solid, 89%; m.p. 147-149 °C; ^1H NMR (600 MHz, CDCl_3) δ 14.07 (s, 1H), 8.88 (dd, J = 4.2, 1.8 Hz, 1H), 8.55 (m, 1H), 8.25 (dd, J = 8.5, 1.8 Hz, 1H), 8.00 (dd, J = 8.2, 1.4 Hz, 1H), 7.77 (dd, J = 6.9, 1.4 Hz, 1H), 7.73 – 7.65 (m, 2H), 7.63 (ddd, J = 8.2, 6.9, 1.3 Hz, 1H), 7.55 (ddd, J = 8.3, 6.9, 1.3 Hz, 1H), 7.45 (dd, J = 8.4, 4.2 Hz, 1H), 7.10 – 6.98 (m, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 203.3, 163.5, 151.3, 145.8, 138.1, 137.7, 136.3, 130.5, 130.1, 128.4, 128.4, 127.7, 127.5, 126.1, 126.0, 125.4, 124.8, 122.0, 118.2, 114.6; FT-IR: $\tilde{\nu}$ = 3678, 2961, 2924, 1715, 1604, 1465, 1331, 1271, 1255, 1112 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{14}\text{NO}_2^+$ $[\text{M}+\text{H}]^+$ 300.1019; found 300.1027.

(4-Hydroxynaphthalen-1-yl)(quinolin-8-yl)methanone (6p):



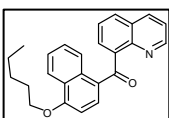
White solid, 88%; m.p. 164-166 °C; ^1H NMR (600 MHz, DMSO-d_6) δ 11.27 (s, 1H), 9.37 (d, J = 8.6 Hz, 1H), 8.74 (dd, J = 4.1, 1.8 Hz, 1H), 8.46 (dd, J = 8.4, 1.8 Hz, 1H), 8.28 (d, J = 8.2 Hz, 1H), 8.13 (d, J = 8.2 Hz, 1H), 7.80 (d, J = 6.9 Hz, 1H), 7.74 (dt, J = 10.8, 7.4 Hz, 2H), 7.60 (t, J = 7.6 Hz, 1H), 7.54 (dd, J = 8.3, 4.1 Hz, 1H), 7.38 (d, J = 8.3 Hz, 1H), 6.73 (d, J = 8.3 Hz, 1H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, DMSO-d_6) δ 197.8, 158.7, 150.7, 145.4, 141.1, 137.6, 136.3, 132.6, 129.2, 129.0, 127.8, 127.7, 126.1, 126.0, 125.4, 124.7, 124.7, 122.5, 121.8, 106.8; FT-IR: $\tilde{\nu}$ = 3679, 2959, 2932, 1712, 1609, 1489, 1352, 1242, 1213, 1102 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{14}\text{NO}_2^+$ $[\text{M}+\text{H}]^+$ 300.1019; found 300.1025.

1-((1,2,3,4-Tetrahydroquinolin-8-yl)methyl)naphthalen-2-ol (7):



Yellow liquid, 62%; ^1H NMR (600 MHz, CDCl_3) δ 8.13 (d, J = 8.5 Hz, 1H), 7.78 (d, J = 8.2 Hz, 1H), 7.64 (d, J = 8.8 Hz, 1H), 7.51 (t, J = 7.8 Hz, 1H), 7.33 (t, J = 7.6 Hz, 1H), 7.29 (d, J = 1.8 Hz, 1H), 7.17 (d, J = 8.8 Hz, 1H), 6.98 (d, J = 7.7 Hz, 1H), 6.91 (d, J = 7.6 Hz, 1H), 6.73 (t, J = 7.6 Hz, 1H), 4.24 (s, 2H), 3.46 (t, J = 5.6 Hz, 2H), 2.85 (t, J = 6.6 Hz, 2H), 2.04 – 1.93 (m, 2H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 152.9, 133.3, 129.5, 129.1, 128.9, 128.5, 128.3, 127.3, 126.6, 126.4, 123.1, 122.9, 121.9, 118.9, 117.5, 43.0, 27.2, 25.7, 22.0; FT-IR: $\tilde{\nu}$ = 3678, 3515, 3081, 2846, 1470, 1348, 1260, 1246, 1014 cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{20}\text{H}_{20}\text{NO}^+$ $[\text{M}+\text{H}]^+$ 290.1539; found 290.1548.

(4-(Pentyloxy)naphthalen-1-yl)(quinolin-8-yl)methanone (9):



White solid, 95%; m.p. 166-167 °C; ^1H NMR (600 MHz, CDCl_3) δ 9.49 (d, J = 8.6 Hz, 1H), 8.83 (dd, J = 4.2, 1.8 Hz, 1H), 8.38 (m, 1H), 8.18 (dt, J = 8.4, 1.6 Hz, 1H),

7.91 (dt, $J = 8.2, 1.3$ Hz, 1H), 7.78 – 7.68 (m, 2H), 7.62 – 7.50 (m, 3H), 7.37 (ddd, $J = 8.4, 4.2, 1.2$ Hz, 1H), 6.56 (dd, $J = 8.5, 1.1$ Hz, 1H), 4.10 (td, $J = 6.4, 1.2$ Hz, 2H), 1.91 (dt, $J = 15.1, 6.6$ Hz, 2H), 1.52 (ddt, $J = 12.2, 7.9, 4.0$ Hz, 2H), 1.46 – 1.35 (m, 2H), 0.96 (t, $J = 7.3$ Hz, 3H); ^{13}C $\{^1\text{H}\}$ NMR (150 MHz, CDCl_3) δ 198.6, 159.4, 151.0, 146.3, 141.5, 137.2, 136.0, 133.0, 129.4, 129.1, 128.4, 126.9, 126.8, 125.9, 125.9, 125.9, 122.3, 121.5, 102.8, 68.5, 28.8, 28.4, 22.5, 14.1; FT-IR: $\tilde{\nu} = 2962, 2884, 1712, 1611, 1442, 1398, 1246, 1235, 1109$ cm^{-1} ; HRMS (ESI): calcd. for $\text{C}_{25}\text{H}_{24}\text{NO}_2^+$ $[\text{M}+\text{H}]^+$ 370.1802; found 370.1806.

References:

- 1 C. O'Murchu, *Synthesis*, 1989, **11**, 880.
- 2 M. Kitamura, R. Sakata, N. Tashiro, A. Ikegami, T. Okauchi, *Bull. Chem. Soc. Jpn.*, 2015, **88**, 824.
- 3 (a) H.-T. Dao, P. S. Baran, *Angew. Chem. Int. Ed.*, 2014, **53**, 14382. (b) S. Zhang, C. Jiang, J. Wu, X. Liu, Q. Li, Z. Huang, D. Li, H. Wang, *Chem. Commun.*, 2015, **51**, 10240.
- 4 Y. Xu, G. Yan, Z. Ren, G. Dong, *Nat. Chem.* 2015, **7**, 829.
- 5 S. Kim, S. Han, J. Park, S. Sharma, N. K. Mishra, H. Oh, J. H. Kwak, I. S. Kim, *Chem. Commun.*, 2017, **53**, 3006.

**Crystal data for 1-(6-Hydroxy-5-(quinoline-8-carbonyl)naphthalen-2-yl)ethanone (6m,
CCDC: 1899501)**

Bond precision: C-C = 0.0040 Å Wavelength=0.71073 Å

Cell: a=9.168 (2) b=21.907 (4) c=9.858 (2)
 alpha=90 beta=104.23 (3) gamma=90

Temperature: 293 K

	Calculated	Reported
Volume	1919.2 (7)	1919.1 (7)
Space group	P 21/c	P 21/c
Hall group	-P 2ybc	-P 2ybc
Moiety formula	C22 H15 N O3	?
Sum formula	C22 H15 N O3	C22 H15 Br0 N O3
Mr	341.35	341.35
Dx, g cm-3	1.181	1.181
Z	4	4
Mu (mm-1)	0.079	0.079
F000	712.0	712.0
F000'	712.34	
h, k, lmax	11, 26, 12	11, 26, 12
Nref	3666	3622
Tmin, Tmax	0.990, 0.994	0.891, 0.925
Tmin'	0.988	

Correction method= # Reported T Limits: Tmin=0.891 Tmax=0.925
AbsCorr = EMPIRICAL

Data completeness= 0.988 Theta(max)= 25.762

R(reflections)= 0.0690 (2486) wR2(reflections)= 0.2267 (3622)

S = 0.989 Npar= 240

ORTEP-representation of the crystal structure of compound 6m: Ellipsoid probability level 50%

