Experimental Procedures

Synthetic Procedure A: Nucleophilic Substitution[KS1]

A 5 mL microwave vial was charged with aryl halide **2** (1.0 equiv.) dissolved in anhydrous MeCN (2.0 equiv.), followed by the addition of the desired nucleophile (HNu) (1.5 equiv.), anhydrous Et_3N (2.0 equiv.) and dry KI (0.05 equiv.). The sealed vessel was degassed with argon for 10 min and then heated under reflux at 85°C for 48 h. Reaction completion was monitored by TLC. The stirring mixture was allowed to cool to room temperature and the residue was partitioned between CH_2Cl_2 and Na_2CO_3 (sat.) solution. The aqueous phase was extracted twice with CH_2Cl_2, and the combined organic phases were dried over Na_2SO_4, filtered and concentrated under reduced pressure to give the crude fenarimol analogue which was purified by flash chromatography to afford the desired product.

4-Chloro-2-fluorophenyl)(pyridin-3-yl)methanol 1

3-Bromopyridine (3.00 g, 19.0 mmol, 1.5 equiv.) was dissolved in Et_2O (16 mL) and the solution was cooled to –78°C in an ice bath. *n*-BuLi (7.81 m**L, 1**2.5 mmol, 1.6 M solution in hexanes) was added dropwise *via* glass syringe to the reaction solution and the beige suspension was stirred for 30 min. 4-Chloro-2-fluorobenzaldehyde (1.98 g, 12.5 mmol, 1.0 equiv.) dissolved in a 1:1 mixture of anhydrous THF and Et_2O (12.6 mL) was added to the reaction mixture in small aliquots over 6–7 min. The mixture was stirred for an additional 2 h at low temperature [KS2] until full consumption of the starting reagents as indicated by TLC (60% EtOAc in hexanes). After equilibrating to room temperature, the reaction was quenched with aqueous NH_4Cl (sat.), extracted with EtOAc (4 × 25 mL), and the organic phases were combined, dried over $MgSO_4$, filtered and concentrated under reduced pressure. The crude product (3.39 g) was purified by flash chromatography (12–100%, EtOAc in hexanes) to afford *the title compound* as an orange solid (1.79 g, 60%). m.p. 114–115°C (no lit m.p.). ^{1}H NMR (500 MHz, Chloroform-*d*) δ 8.60 (d, *J* = 2.3 Hz, 1H), 8.49 (dd, *J* = 4.8, 1.6 Hz, 1H), 7.69 (apparent dt[KS3] , *J* = 7.9, 2.0 Hz, 1H), 7.50 (apparent t, *J* = 8.1 Hz, 1H), 7.28–7.26 (m, 1H), 7.25 (d, *J* = 0.9 Hz, 1H), 7.18 (dd, *J* = 8.5, 2.0 Hz, 1H), 7.07 (dd, *J* = 10.0, 2.0 Hz, 1H), 2.98 (s, 1H). LRMS *m/z* (ESI) 238.0 ([M+H]$^+$, 100%). Spectroscopic data matched those in the literature.(12)

3-(Chloro(4-chloro-2-fluorophenyl)methyl)pyridine 2

4-Chloro-2-fluorophenyl)(pyridin-3-yl)methanol **1** (1.13 g, 4.75 mmol, 1.0 equiv.) was dissolved in CH_2Cl_2 (15 mL) and the mixture was cooled to 0°C in an ice bath. Thionyl chloride (0.70 mL, 9.49 mmol, 2.0 equiv.) was added and the reaction was allowed to warm to room **t**emperature over ~2 h. The reaction mixture was partitioned between Na_2CO_3 (sat.) and CH_2Cl_2 and the aqueous phase was washed with CH_2Cl_2 **(**3 × 10 mL). The combined organic phases were washed with brine (2 × 7.5 mL), dried with $MgSO_4$, filtered and concentrated under reduced pressure to afford *the title compound* as a light brown oil (1.10 g, 90%). The halogenated intermediate was carried forward without further purification. ^{1}H NMR (400 MHz, Chloroform-*d*) δ 8.68 (s, 1H), 8.60 (d, *J* = 4.9 Hz, 1H), 7.80 (dt, *J* = 8.0, 2.0 Hz, 1H), 7.51 (t, *J* = 8.2 Hz, 1H), 7.36 (dd, *J* = 8.0, 4.8 Hz, 1H), 7.23 (dt, *J* = 8.5, 1.4 Hz, 1H), 7.14 (dd, *J* = 9.9, 2.1 Hz, 1H), 6.39 (s, 1H). LRMS *m/z* (ESI) 255.9 ([M+H]$^+$, 100%). IR

(film): ν_{max} 3034, 1684, 1610, 1576, 1483, 1408, 1200, 1073, 902, 600 cm^{-1}. Spectroscopic data matched those in the literature.(13)

4-((4-Chloro-2-fluorophenyl)(pyridin-3-yl)methyl)morpholine 3

Prepared according to Synthetic Procedure A using **2** (231 mg, 902 mol), morpholine (0.12 mL, 1.35 mmol), anhydrous Et_3N (0.25 mL, 1.80 mmol) and KI (10 mol%) to give the crude product (240 mg) which was purified by flash chromatography (25–75%, EtOAc in hexanes) to afford *the title compound* as an orange oil (123 mg, 45%). ^1H NMR (400 MHz, $CDCl_3$): δ ppm 8.68 (d, 1H, J = 1.98 Hz), 8.50 (dd, 1H, J = 6.34, 3.17 Hz), 7.74–7.71 (m, 1H), 7.58 (t, 1H, J = 8.05 Hz), 7.26–7.24 (m, 1H), 7.17–7.15 (m, 1H), 7.06 (dd, 1H, J = 9.90, 2.04 Hz), 4.68 (s, 1H), 3.74 (t, 4H, J = 4.65 Hz), 2.47–2.37 (m, 4H). ^{13}C NMR [KS4] (126 MHz, $CDCl_3$) δ 161.4 (d, $^1J_{C\text{-}F}$ = 254.0 Hz), 149.8, 149.0, 136.3, 135.6 (d, $^2J_{C\text{-}F}$ = 25.3 Hz), 133.8, 129.5, 126.9, 125.1, 123.7, 116.7, 67.0, 64.8, 52.3. LRMS *m/z* (ESI) 307.2 ($[M+H]^+$, 100%). HRMS[KS5] (ESI) calculated for $[C_{16}H_{17}{}^{35}ClFN_2O]^+$ 307.1013; found 307.1012. IR (film): ν_{max} 2959, 2851, 1613, 1576, 1476, 1412, 1215, 1107, 1006, 898, 872, 704 cm^{-1}.

[KS1]This should be a heading level below the above one

[KS2]What is considered low?

[KS3]If you're not sure, just report as a multiple

[KS4]Do you see any C-F coupling?

[KS5]If you have HRMS don't really need to include LRMS