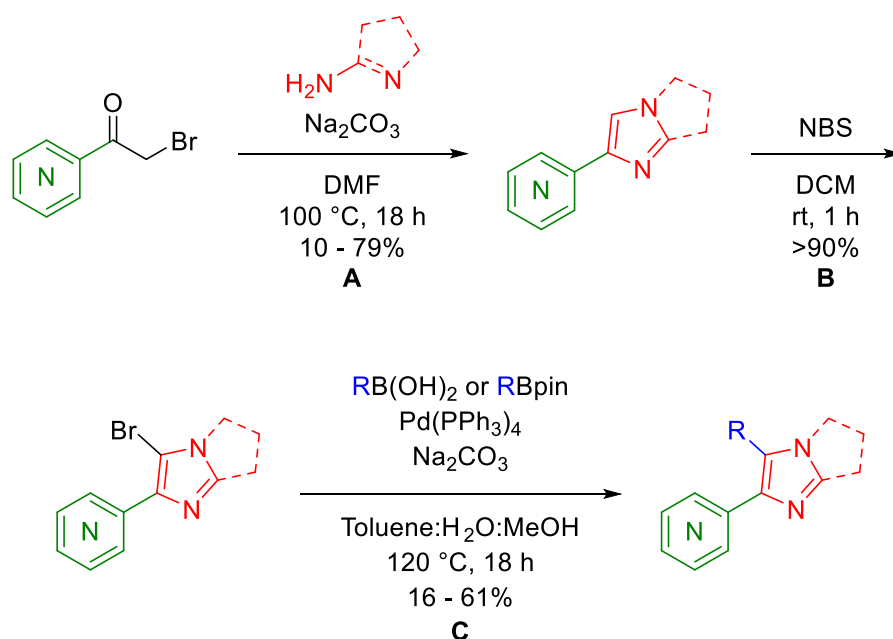


Chemistry.

General. Reactions involving air sensitive reagents were performed using oven-dried reaction vessels and were carried out under a nitrogen atmosphere with dry solvents, unless otherwise stated. Yields refer to chromatographically and spectroscopically pure isolated yields. Reagents were purchased at the highest commercial quality and used without further purification. Reactions were monitored by thin-layer chromatography carried out on 0.25 mm E. Merck silica gel plates (60F-254) using ultraviolet light visualization. NMR spectra were recorded on a Varian Inova 400 spectrometer and were internally referenced using residual protic solvent (CDCl_3 : ^1H NMR = 7.26, ^{13}C NMR = 77.16). These abbreviations were used to describe signal multiplicities: s = singlet, d = doublet, t = triplet, q = quintet, m = multiplet, or combinations of these terms. Liquid chromatography (LC) and high-resolution mass spectra (HRMS) were recorded on a ThermoFisher hybrid LTQ FT (ICR 7T).

Synthetic Route**General procedure A for the synthesis of 2-(pyridyl)imidazoles.**

The corresponding 2-bromo-1-(pyridyl)ethan-1-one hydrobromide (1 equiv.), heterocycloalkenyl or heteroaryl amine (1 – 2 equiv.), and Na_2CO_3 (2 – 4 equiv.) were stirred in DMF (15 – 20 mL) at 85°C for 18 h. After cooling to room temperature, the reaction mixture was partitioned between dichloromethane and water. The organic layer was separated, dried over Na_2SO_4 , filtered and concentrated under reduced pressure to give a residue that was purified by flash chromatography on silica.

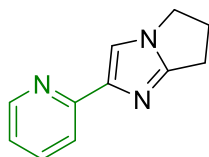
General procedure B for the synthesis of 3-bromo-2-(pyridyl)imidazoles.

To a solution of the corresponding 2-(pyridyl)imidazole (1 equiv.) in dichloromethane (3 – 10 mL) was added N-bromosuccinimide (1 equiv.) and the mixture stirred at 25°C for 1 h. On completion, the volatiles were evaporated. The residue was diluted with ethyl acetate and washed with saturated solution of NaHCO_3 and brine. The organic phase was dried over Na_2SO_4 , filtered and concentrated under reduced pressure to afford the desired product, which was used without further purification.

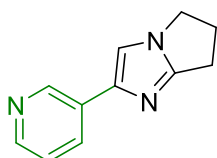
General procedure C for the synthesis of 3-Aryl-2-(pyridyl)imidazoles.

A reaction vial was charged with the corresponding 3-bromo-2-(pyridyl)imidazole (1 equiv.), the appropriate aryl boronic acid or pinacol boronic ester (1.3 equiv.) and $\text{Pd(PPh}_3)_4$ (0.12 equiv.). The vial was sealed with a Teflon septum, evacuated and backfilled with nitrogen (this sequence

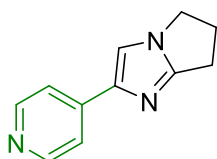
was carried out three times). Under an inert atmosphere, a mixture of toluene and ethanol (3:1, v/v, 0.9 mL) was added via syringe, followed by the addition of 2 M aqueous Na₂CO₃ (0.5 – 0.6 mL, 4 equiv.). The mixture was heated at 120 °C for 18 h. After cooling to room temperature, the mixture was diluted with dichloromethane and the organic layer was washed with brine, dried over Na₂SO₄, filtered and concentrated under reduced pressure. The crude residue was purified by flash chromatography on silica and the product triturated to afford a fine powder.



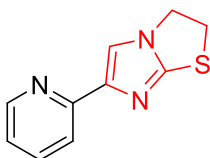
2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-1). Compound **ALM-DAI-1** was synthesized from 2-bromo-1-(pyridine-2-yl)ethan-1-one hydrobromide (810 mg, 2.88 mmol) and 3,4-dihydro-2H-pyrrol-5-amine hydrochloride (521 mg, 4.32 mmol) following general procedure A. The crude product was purified by flash chromatography on silica (0 → 10% MeOH in DCM) to yield the title compound as an orange solid (241.6 mg, 1.304 mmol, 45%). ¹H NMR (400 MHz, CDCl₃) δ 8.46 (ddd, *J* = 4.9, 1.9, 0.9 Hz, 1H), 7.88 (dt, *J* = 8.0, 1.1 Hz, 1H), 7.63 (td, *J* = 7.7, 1.8 Hz, 1H), 7.54 (s, 1H), 7.04 (ddd, *J* = 7.5, 4.9, 1.2 Hz, 1H), 3.96 (t, 2H), 2.87 (t, 2H), 2.64 – 2.50 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 155.01, 153.61, 148.96, 146.32, 136.75, 121.33, 118.95, 113.60, 44.87, 26.13, 23.11.



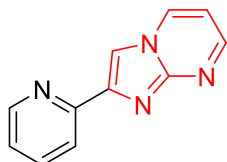
2-(pyridin-3-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-3). Compound **ALM-DAI-3** was synthesized from 2-bromo-1-(pyridine-3-yl)ethan-1-one hydrobromide (1000 mg, 3.559 mmol) and 3,4-dihydro-2H-pyrrol-5-amine hydrochloride (858.4 mg, 7.119 mmol) following general procedure A. The crude product was purified by flash chromatography on silica (0 → 10% MeOH in DCM) to yield the title compound as an orange solid (122.4 mg, 0.661 mmol, 19%). ¹H NMR (400 MHz, CDCl₃) δ 8.89 – 8.82 (m, 1H), 8.38 – 8.30 (m, 1H), 7.94 (dt, *J* = 7.9, 2.0 Hz, 1H), 7.19 – 7.14 (m, 1H), 7.13 (s, 1H), 3.88 (t, *J* = 7.0 Hz, 2H), 2.80 (t, *J* = 7.6 Hz, 2H), 2.57 – 2.43 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 155.33, 147.31, 146.06, 142.87, 131.58, 130.72, 123.42, 111.04, 44.78, 25.97, 22.96.



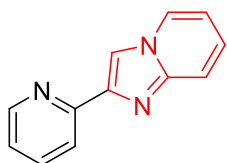
2-(pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-4). Compound **ALM-DAI-4** was synthesized from 2-bromo-1-(pyridine-4-yl)ethan-1-one hydrobromide (1000 mg, 3.559 mmol) and 3,4-dihydro-2H-pyrrol-5-amine hydrochloride (536.5 mg, 4.449 mmol) following general procedure A. The crude product was purified by flash chromatography on silica (0 → 10% MeOH in DCM) to yield the title compound as a brown solid (67.8 mg, 0.366 mmol, 10%). ¹H NMR (400 MHz, CDCl₃) δ 8.48 (d, *J* = 5.5 Hz, 2H), 7.56 (d, *J* = 5.7 Hz, 2H), 7.29 (s, 1H), 3.97 (t, *J* = 7.1 Hz, 2H), 2.87 (t, *J* = 7.5 Hz, 2H), 2.57 (p, *J* = 7.3 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 155.80, 149.80, 143.56, 142.42, 118.92, 113.04, 44.95, 26.12, 23.07.



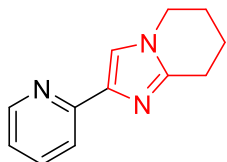
6-(pyridin-2-yl)-2,3-dihydroimidazo[2,1-*b*]thiazole (ALM-DAI-5). Compound **ALM-DAI-5** was synthesized from 2-bromo-1-(pyridine-2-yl)ethan-1-one hydrobromide (1100 mg, 3.915 mmol) and 4,5-dihydrothiazol-2-amine (500 mg, 4.894 mmol) following general procedure A. The crude product was purified by flash chromatography on silica (0 → 10% MeOH in DCM) to yield the title compound as an orange solid (509.4 mg, 2.506 mmol, 64%). ¹H NMR (400 MHz, CDCl₃) δ 8.51 – 8.45 (m, 1H), 7.89 (d, *J* = 8.0 Hz, 1H), 7.71 (s, 1H), 7.68 (dd, *J* = 7.8, 1.6 Hz, 1H), 7.15 – 7.07 (m, 1H), 4.20 (t, *J* = 7.3 Hz, 2H), 3.82 (t, *J* = 7.2 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 152.67, 150.56, 148.59, 146.85, 137.27, 121.68, 119.29, 116.04, 46.27, 34.83.



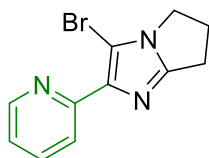
2-(pyridin-2-yl)imidazo[1,2-*a*]pyrimidine (ALM-DAI-7). Compound **ALM-DAI-7** was synthesized from 2-bromo-1-(pyridine-2-yl)ethan-1-one hydrobromide (1100 mg, 3.915 mmol) and pyrimidin-2-amine (372.4 mg, 3.915 mmol) following general procedure A. The crude product was purified by flash chromatography on silica (0 → 10% MeOH in DCM) to yield the title compound as an orange solid (232.5 mg, 1.185 mmol, 30%). ¹H NMR (400 MHz, CDCl₃) δ 8.60 (ddd, *J* = 4.9, 1.8, 0.9 Hz, 1H), 8.55 (dd, *J* = 4.1, 2.0 Hz, 1H), 8.47 (dd, *J* = 6.8, 2.0 Hz, 1H), 8.36 (dt, *J* = 7.9, 1.1 Hz, 1H), 8.31 (s, 1H), 7.83 (td, *J* = 7.7, 1.8 Hz, 1H), 7.27 (ddd, *J* = 7.6, 4.9, 1.3 Hz, 1H), 6.87 (dd, *J* = 6.7, 4.1 Hz, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 152.09, 150.57, 148.88, 148.66, 146.47, 137.61, 133.66, 123.42, 121.61, 109.46, 109.28.



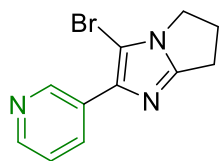
2-(pyridin-2-yl)imidazo[1,2-*a*]pyridine (ALM-DAI-8). Compound **ALM-DAI-8** was synthesized from 2-bromo-1-(pyridine-2-yl)ethan-1-one hydrobromide (1100 mg, 3.915 mmol) and pyridine-2-amine (368.5 mg, 3.915 mmol) following general procedure A. The crude product was purified by flash chromatography on silica (0 → 10% MeOH in DCM) to yield the title compound as an orange solid (603.2 mg, 3.09 mmol, 79%). ¹H NMR (400 MHz, CDCl₃) δ 8.60 (ddd, *J* = 4.8, 1.8, 0.9 Hz, 1H), 8.25 (d, *J* = 0.8 Hz, 1H), 8.20 (dt, *J* = 7.9, 1.1 Hz, 1H), 8.14 (dt, *J* = 6.8, 1.2 Hz, 1H), 7.77 (td, *J* = 7.7, 1.8 Hz, 1H), 7.65 (dq, *J* = 9.2, 1.0 Hz, 1H), 7.24 – 7.15 (m, 2H), 6.79 (td, *J* = 6.8, 1.2 Hz, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 152.69, 149.41, 145.57, 145.30, 137.07, 126.15, 125.39, 122.88, 120.72, 117.82, 113.06, 111.09.



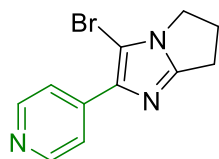
2-(pyridin-2-yl)-5,6,7,8-tetrahydroimidazo[1,2-*a*]pyridine (ALM-DAI-17). Compound **ALM-DAI-17** was synthesized from 2-bromo-1-(pyridine-2-yl)ethan-1-one hydrobromide (1000 mg, 2.559 mmol) and 3,4,5,6-tetrahydropyridin-2-amine hydrochloride (958.2 mg, 7.119 mmol) following general procedure A. The crude product was purified by flash chromatography on silica (0 → 10% MeOH in DCM) to yield the title compound as an orange solid (355.3 mg, 1.783 mmol, 50%). ¹H NMR (400 MHz, CDCl₃) δ 8.49 (ddd, *J* = 4.9, 1.8, 0.9 Hz, 1H), 7.93 (dt, *J* = 8.0, 1.1 Hz, 1H), 7.66 (td, *J* = 7.7, 1.8 Hz, 1H), 7.47 (s, 1H), 7.08 (ddd, *J* = 7.5, 4.9, 1.2 Hz, 1H), 3.99 (t, *J* = 5.8 Hz, 2H), 2.94 (t, *J* = 6.2 Hz, 2H), 2.05 – 1.89 (m, 4H). ¹³C NMR (100 MHz, CDCl₃) δ 153.13, 149.12, 145.53, 140.38, 136.81, 121.49, 119.17, 117.24, 45.16, 24.63, 23.05, 21.09.

**3-bromo-2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-2).**

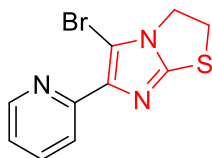
Compound **ALM-DAI-2** was synthesized from **ALM-DAI-1** (241.6 mg, 1.304 mmol) following general procedure B to yield the title compound as a brown solid (330 mg, 1.25 mmol, 96%). ^1H NMR (400 MHz, CDCl_3) δ 8.61 (ddd, $J = 4.9, 1.8, 0.9$ Hz, 1H), 7.93 (dt, $J = 8.0, 1.1$ Hz, 1H), 7.66 (ddd, $J = 8.1, 7.5, 1.9$ Hz, 1H), 7.11 (ddd, $J = 7.5, 4.9, 1.2$ Hz, 1H), 3.98 – 3.90 (m, 2H), 3.00 – 2.90 (m, 2H), 2.64 – 2.50 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.15, 152.54, 149.00, 141.02, 136.46, 121.54, 120.63, 96.89, 44.65, 25.24, 24.22.

**3-bromo-2-(pyridin-3-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-11).**

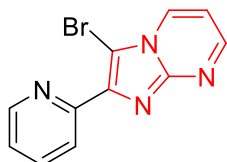
Compound **ALM-DAI-11** was synthesized from **ALM-DAI-3** (122.4 mg, 0.661 mmol) following general procedure B to yield the title compound as a pale brown solid (163.2 mg, 0.619 mmol, 94%). ^1H NMR (400 MHz, CDCl_3) δ 9.17 (dd, $J = 2.3, 0.9$ Hz, 1H), 8.46 (dd, $J = 4.9, 1.7$ Hz, 1H), 8.21 (ddd, $J = 8.0, 2.3, 1.6$ Hz, 1H), 7.29 (ddd, $J = 8.0, 4.9, 0.9$ Hz, 1H), 3.94 (t, 2H), 2.96 (t, 2H), 2.66 – 2.54 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.70, 147.40, 147.01, 138.96, 133.58, 130.09, 123.40, 95.27, 44.76, 25.35, 24.35.

**3-bromo-2-(pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-12).**

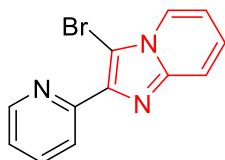
Compound **ALM-DAI-12** was synthesized from **ALM-DAI-4** (67.8 mg, 0.366 mmol) following general procedure B to yield the title compound as a brown solid (93.4 mg, 0.354 mmol, 97%). ^1H NMR (400 MHz, CDCl_3) δ 8.61 – 8.53 (m, 2H), 7.92 – 7.86 (m, 2H), 3.96 (t, 2H), 2.97 (t, 2H), 2.68 – 2.56 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.92, 149.02, 141.99, 138.76, 120.05, 97.43, 44.78, 25.32, 24.27.



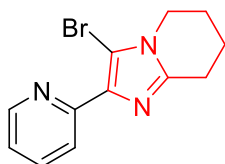
5-bromo-6-(pyridin-2-yl)-2,3-dihydroimidazo[2,1-b]thiazole (ALM-DAI-13). Compound **ALM-DAI-13** was synthesized from **ALM-DAI-5** (509.4 mg, 2.506 mmol) following general procedure B to yield the title compound as a pale yellow solid (663.2 mg, 2.35 mmol, 94%). ^1H NMR (400 MHz, CDCl_3) δ 8.66 (ddd, $J = 4.9, 1.8, 0.9$ Hz, 1H), 7.99 – 7.88 (m, 1H), 7.72 (ddd, $J = 8.0, 7.5, 1.9$ Hz, 1H), 7.22 – 7.13 (m, 1H), 4.20 (t, $J = 7.3$ Hz, 2H), 3.85 (t, $J = 7.3$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 151.64, 149.77, 148.64, 141.82, 137.19, 121.94, 120.85, 98.79, 46.16, 34.02.



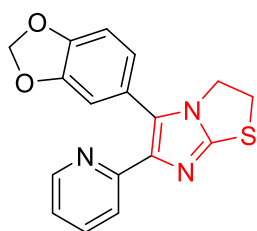
3-bromo-2-(pyridin-2-yl)imidazo[1,2-*a*]pyrimidine (ALM-DAI-14). Compound **ALM-DAI-14** was synthesized from **ALM-DAI-7** (232.5 mg, 1.185 mmol) following general procedure B to yield the title compound as a brown solid (325.2 mg, 1.182 mmol, 99%). ¹H NMR (400 MHz, CDCl₃) δ 8.76 (ddd, *J* = 4.9, 1.8, 0.9 Hz, 1H), 8.61 (dd, *J* = 4.1, 2.0 Hz, 1H), 8.53 (dd, *J* = 6.9, 2.0 Hz, 1H), 8.37 (dt, *J* = 8.0, 1.1 Hz, 1H), 7.84 (td, *J* = 7.8, 1.8 Hz, 1H), 7.31 (ddd, *J* = 7.6, 4.9, 1.2 Hz, 1H), 7.02 (dd, *J* = 6.9, 4.1 Hz, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 151.97, 150.93, 149.17, 148.20, 142.76, 136.95, 132.02, 123.38, 123.30, 109.80, 92.59.



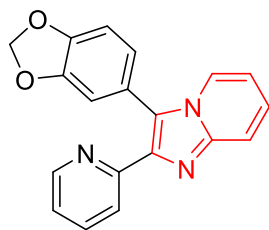
3-bromo-2-(pyridin-2-yl)imidazo[1,2-*a*]pyridine (ALM-DAI-15). Compound **ALM-DAI-15** was synthesized from **ALM-DAI-8** (603.2 mg, 3.09 mmol) following general procedure B to yield the title compound as a brown solid (834.7 mg, 3.045 mmol, 99%). ¹H NMR (400 MHz, CDCl₃) δ 8.78 (ddd, *J* = 4.9, 1.8, 1.0 Hz, 1H), 8.29 – 8.23 (m, 2H), 7.82 (ddd, *J* = 8.0, 7.5, 1.8 Hz, 1H), 7.74 (dt, *J* = 9.1, 1.1 Hz, 1H), 7.36 – 7.26 (m, 2H), 6.99 (td, *J* = 6.9, 1.2 Hz, 1H). ¹³C NMR (100 MHz, CDCl₃) δ 151.56, 149.49, 145.18, 140.75, 136.92, 126.34, 124.45, 123.12, 122.81, 117.91, 113.98, 94.11.



3-bromo-2-(pyridin-2-yl)-5,6,7,8-tetrahydroimidazo[1,2-*a*]pyridine (ALM-DAI-22). Compound **ALM-DAI-22** was synthesized from **ALM-DAI-17** (355.3 mg, 1.783 mmol) following general procedure B to yield the title compound as a brown solid (332 mg, 1.194 mmol, 67%). ¹H NMR (400 MHz, CDCl₃) δ 8.67 (ddd, *J* = 4.9, 1.8, 1.0 Hz, 1H), 8.00 (dt, *J* = 8.0, 1.1 Hz, 1H), 7.70 (td, *J* = 7.8, 1.9 Hz, 1H), 7.16 (ddd, *J* = 7.5, 4.9, 1.2 Hz, 1H), 3.91 (t, *J* = 6.0 Hz, 2H), 2.97 (t, *J* = 6.4 Hz, 2H), 2.10 – 2.00 (m, 2H), 2.00 – 1.89 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 151.91, 149.27, 146.45, 136.55, 135.86, 121.85, 121.21, 101.00, 44.63, 25.10, 22.77, 20.61.

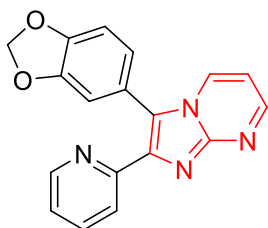


5-(benzo[d][1,3]dioxol-5-yl)-6-(pyridin-2-yl)-2,3-dihydroimidazo[2,1-*b*]thiazole (ALM-DAI-16). Compound **ALM-DAI-16** was synthesized from **ALM-DAI-13** (70 mg, 0.25 mmol) and benzo[d][1,3]dioxol-5-ylboronic acid (54 mg, 0.32 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (20 → 60% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a yellow solid (15.3 mg, 0.047 mmol, 19%). ¹H NMR (400 MHz, CDCl₃) δ 8.61 – 8.54 (m, 1H), 7.63 (td, *J* = 7.8, 1.8 Hz, 1H), 7.55 (dt, *J* = 8.1, 1.1 Hz, 1H), 7.17 – 7.09 (m, 1H), 6.93 – 6.80 (m, 3H), 6.01 (s, 2H), 4.12 (t, *J* = 7.2 Hz, 2H), 3.85 (t, *J* = 7.2 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 151.70, 149.84, 148.28, 148.10, 148.08, 139.75, 137.59, 130.64, 123.47, 123.35, 121.69, 121.50, 110.01, 108.87, 101.59, 45.93, 34.98. HRMS-ESI (*m/z*): [M + H]⁺ calcd for C₁₇H₁₄N₃O₂S, 324.0807; found, 324.0804. LC: T_R = 3.91 min, purity >98%.



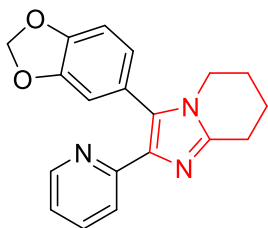
3-(benzo[d][1,3]dioxol-5-yl)-2-(pyridin-2-yl)imidazo[1,2-a]pyridine (ALM-DAI-18).

Compound **ALM-DAI-18** was synthesized from **ALM-DAI-15** (75 mg, 0.27 mmol) and benzo[d][1,3]dioxol-5-ylboronic acid (59 mg, 0.36 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (40 → 70% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a pale yellow solid (17.4 mg, 0.055 mmol, 20%). ¹H NMR (400 MHz, CDCl₃) δ 8.60 (ddd, *J* = 4.8, 1.8, 1.0 Hz, 1H), 7.99 (dt, *J* = 7.0, 1.2 Hz, 1H), 7.79 (d, *J* = 9.1 Hz, 1H), 7.77 – 7.71 (m, 1H), 7.62 (td, *J* = 7.7, 1.9 Hz, 1H), 7.30 – 7.22 (m, 1H), 7.15 (ddd, *J* = 7.5, 4.8, 1.2 Hz, 1H), 6.95 (dd, *J* = 8.9, 1.1 Hz, 3H), 6.80 (td, *J* = 6.8, 1.2 Hz, 1H), 6.06 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 152.51, 149.84, 148.48, 148.44, 144.30, 140.68, 136.27, 125.90, 124.87, 123.86, 122.90, 122.86, 122.54, 122.43, 117.86, 113.21, 111.22, 109.30, 101.64. HRMS-ESI (*m/z*): [*M* + *H*]⁺ calcd for C₁₉H₁₄N₃O₂, 316.1086; found, 316.1083. LC: *T_R* = 4.21 min, purity >98%.



3-(benzo[d][1,3]dioxol-5-yl)-2-(pyridin-2-yl)imidazo[1,2-a]pyrimidine (ALM-DAI-19).

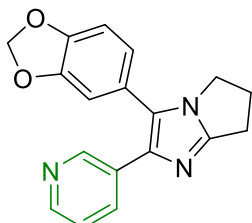
Compound **ALM-DAI-19** was synthesized from **ALM-DAI-14** (75 mg, 0.27 mmol) and benzo[d][1,3]dioxol-5-ylboronic acid (59 mg, 0.35 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (40 → 85% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a yellow solid (20.1 mg, 0.064 mmol, 23%). ¹H NMR (400 MHz, CDCl₃) δ 9.57 (dd, *J* = 7.0, 2.1 Hz, 1H), 8.77 – 8.71 (m, 1H), 8.62 (dd, *J* = 4.2, 2.0 Hz, 1H), 7.63 (td, *J* = 7.8, 1.9 Hz, 1H), 7.42 (d, *J* = 8.0 Hz, 1H), 7.28 – 7.18 (m, 3H), 6.95 (dd, *J* = 7.0, 4.1 Hz, 1H), 6.82 (d, *J* = 8.0 Hz, 1H), 5.99 (s, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 151.31, 149.75, 149.50, 148.39, 148.23, 147.99, 145.99, 136.78, 134.10, 127.28, 125.25, 123.72, 122.37, 117.73, 109.78, 109.41, 108.73, 101.39. HRMS-ESI (*m/z*): [*M* + *H*]⁺ calcd for C₁₈H₁₃N₄O₂, 317.1039; found, 317.1035. LC: *T_R* = 4.56 min, purity >98%.



3-(benzo[d][1,3]dioxol-5-yl)-2-(pyridin-2-yl)-5,6,7,8-tetrahydroimidazo[1,2-a]pyridine

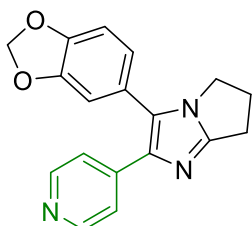
(ALM-DAI-23). Compound **ALM-DAI-23** was synthesized from **ALM-DAI-22** (80 mg, 0.29 mmol) and benzo[d][1,3]dioxol-5-ylboronic acid (57 mg, 0.35 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (70 → 100% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a pale yellow solid (17 mg, 0.053 mmol, 18%). ¹H NMR (400 MHz, CDCl₃) δ 8.54 – 8.48 (m, 1H), 7.48 (td, *J* = 7.7, 1.9 Hz, 1H), 7.40 (d, *J* = 8.0

Hz, 1H), 7.01 (ddd, $J = 7.3, 4.9, 1.2$ Hz, 1H), 6.86 (d, $J = 8.3$ Hz, 1H), 6.84 – 6.78 (m, 2H), 6.02 (s, 2H), 3.73 – 3.65 (m, 2H), 3.10 – 3.02 (m, 2H), 2.00 – 1.90 (m, 4H). ^{13}C NMR (100 MHz, CDCl_3) δ 152.77, 149.60, 148.04, 147.97, 144.94, 135.93, 135.68, 129.52, 124.65, 123.73, 121.39, 121.20, 111.05, 108.77, 101.47, 44.08, 24.71, 23.04, 20.57. HRMS-ESI (m/z): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{19}\text{H}_{18}\text{N}_3\text{O}_2$, 320.1399; found, 320.1397. LC: $T_{\text{R}} = 4.29$ min, purity >98%.



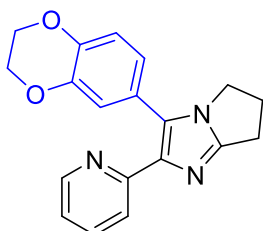
3-(benzo[d][1,3]dioxol-5-yl)-2-(pyridin-3-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole

(ALM-DAI-20). Compound ALM-DAI-20 was synthesized from ALM-DAI-11 (75 mg, 0.28 mmol) and benzo[d][1,3]dioxol-5-ylboronic acid (57 mg, 0.34 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (40 → 85% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a yellow solid (30.8 mg, 0.101 mmol, 35%). ^1H NMR (400 MHz, CDCl_3) δ 8.70 (dd, $J = 2.3, 0.9$ Hz, 1H), 8.37 (dd, $J = 4.8, 1.7$ Hz, 1H), 7.92 (ddd, $J = 8.0, 2.3, 1.7$ Hz, 1H), 7.19 (ddd, $J = 7.9, 4.8, 0.9$ Hz, 1H), 6.86 – 6.73 (m, 3H), 5.99 (s, 2H), 3.90 (t, 2H), 2.99 (t, 2H), 2.67 – 2.55 (m, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 153.93, 148.34, 147.93, 147.89, 147.10, 137.93, 134.18, 131.14, 126.23, 123.98, 123.40, 122.90, 109.36, 109.15, 101.50, 44.42, 26.17, 23.72. HRMS-ESI (m/z): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{16}\text{N}_3\text{O}_2$, 306.1243; found, 306.1240. LC: $T_{\text{R}} = 3.69$ min, purity >98%.



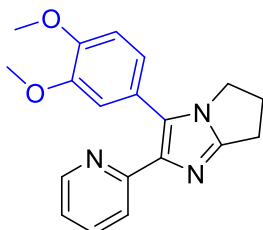
3-(benzo[d][1,3]dioxol-5-yl)-2-(pyridin-4-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole

(ALM-DAI-21). Compound ALM-DAI-21 was synthesized from ALM-DAI-12 (75 mg, 0.28 mmol) and benzo[d][1,3]dioxol-5-ylboronic acid (57 mg, 0.34 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (60 → 100% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a yellow solid (29 mg, 0.095 mmol, 33%). ^1H NMR (400 MHz, CDCl_3) δ 8.43 – 8.37 (m, 2H), 7.51 – 7.45 (m, 2H), 6.91 – 6.76 (m, 3H), 6.03 (s, 2H), 3.86 (t, $J = 7.1$ Hz, 2H), 2.97 (t, $J = 7.6$ Hz, 2H), 2.61 (p, $J = 7.4$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 154.19, 148.91, 148.40, 148.24, 143.54, 138.29, 128.23, 123.87, 123.16, 120.79, 109.44, 109.18, 101.62, 44.21, 26.15, 23.66. HRMS-ESI (m/z): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{16}\text{N}_3\text{O}_2$, 306.1243; found, 306.1239. LC: $T_{\text{R}} = 3.77$ min, purity >98%.

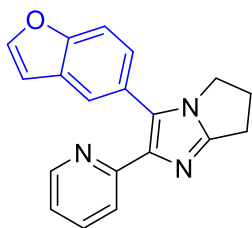


3-(2,3-dihydrobenzo[b][1,4]dioxin-6-yl)-2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-25). Compound ALM-DAI-25 was synthesized from ALM-DAI-2 (70

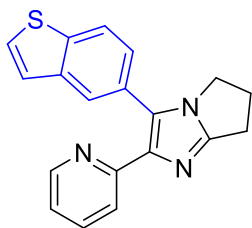
mg, 0.27 mmol) and (2,3-dihydrobenzo[b][1,4]dioxin-6-yl)boronic acid (57 mg, 0.32 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (60 → 95% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a pale yellow solid (28.9 mg, 0.09 mmol, 34%). ¹H NMR (400 MHz, CDCl₃) δ 8.50 (d, *J* = 4.8 Hz, 1H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.55 (td, *J* = 7.7, 1.9 Hz, 1H), 7.08 – 7.00 (m, 1H), 6.94 (d, *J* = 2.0 Hz, 1H), 6.92 – 6.82 (m, 2H), 4.31 – 4.23 (m, 4H), 3.94 (t, *J* = 7.0 Hz, 2H), 3.01 (t, *J* = 7.5 Hz, 2H), 2.61 (p, *J* = 7.3 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 153.62, 153.56, 149.31, 143.67, 143.50, 140.23, 136.09, 127.54, 123.84, 122.84, 121.53, 121.27, 118.06, 117.48, 64.54, 64.44, 44.78, 26.23, 23.68. HRMS-ESI (*m/z*): [M + H]⁺ calcd for C₁₉H₁₈N₃O₂, 320.1399; found, 320.1397. LC: T_R = 4.09 min, purity >98%.



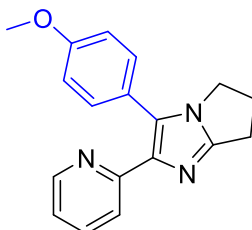
3-(3,4-dimethoxyphenyl)-2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-26). Compound **ALM-DAI-26** was synthesized from **ALM-DAI-2** (70 mg, 0.27 mmol) and (3,4-dimethoxyphenyl)boronic acid (58 mg, 0.32 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (60 → 95% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a pale yellow solid (40 mg, 0.124 mmol, 47%). ¹H NMR (400 MHz, CDCl₃) δ 8.49 (dt, *J* = 4.8, 1.4 Hz, 1H), 7.63 (d, *J* = 8.0 Hz, 1H), 7.55 (td, *J* = 7.7, 1.9 Hz, 1H), 7.09 – 7.02 (m, 2H), 6.98 (dd, *J* = 8.3, 2.0 Hz, 1H), 6.89 (d, *J* = 8.2 Hz, 1H), 3.98 (t, *J* = 7.1 Hz, 2H), 3.91 (s, 3H), 3.78 (s, 3H), 3.03 (t, *J* = 7.6 Hz, 2H), 2.63 (p, *J* = 7.3 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 153.53, 149.17, 149.08, 148.78, 139.93, 136.14, 127.98, 123.11, 121.60, 121.47, 121.37, 113.27, 111.15, 56.02, 56.01, 44.91, 26.25, 23.70. HRMS-ESI (*m/z*): [M + H]⁺ calcd for C₁₉H₂₀N₃O₂, 322.1556; found, 322.1555. LC: T_R = 3.93 min, purity >98%.



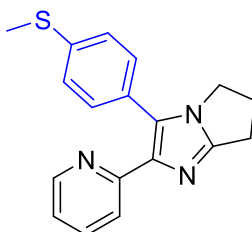
3-(benzofuran-5-yl)-2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-27). Compound **ALM-DAI-27** was synthesized from **ALM-DAI-2** (70 mg, 0.27 mmol) and benzofuran-5-ylboronic acid (52 mg, 0.32 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (60 → 95% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a yellow solid (32.4 mg, 0.108 mmol, 41%). ¹H NMR (400 MHz, CDCl₃) δ 8.45 (d, *J* = 4.9 Hz, 1H), 7.68 – 7.62 (m, 2H), 7.55 (t, 1H), 7.52 – 7.46 (m, 2H), 7.33 (dd, *J* = 8.5, 1.8 Hz, 1H), 7.05 – 6.98 (m, 1H), 6.76 (s, 1H), 3.94 (t, *J* = 7.0 Hz, 2H), 3.02 (t, *J* = 7.5 Hz, 2H), 2.62 (p, *J* = 7.3 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 154.69, 153.81, 153.66, 149.30, 145.71, 140.57, 136.00, 128.20, 127.79, 126.14, 125.58, 122.12, 121.36, 121.17, 111.59, 106.86, 44.62, 26.22, 23.70. HRMS-ESI (*m/z*): [M + H]⁺ calcd for C₁₉H₁₆N₃O, 302.1293; found, 302.1291. LC: T_R = 4.39 min, purity >98%.



3-(benzo[*b*]thiophen-5-yl)-2-(pyridin-2-yl)-6,7-dihydro-5*H*-pyrrolo[1,2-*a*]imidazole (ALM-DAI-28). Compound **ALM-DAI-28** was synthesized from **ALM-DAI-2** (70 mg, 0.27 mmol) and benzo[*b*]thiophen-5-ylboronic acid (57 mg, 0.32 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (65 → 95% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a white solid (44.7 mg, 0.141 mmol, 53%). ¹H NMR (400 MHz, CDCl₃) δ 8.45 (d, *J* = 4.9 Hz, 1H), 7.91 – 7.83 (m, 2H), 7.60 (d, *J* = 7.9 Hz, 1H), 7.52 (t, 1H), 7.47 (d, *J* = 5.4 Hz, 1H), 7.38 (d, *J* = 8.4 Hz, 1H), 7.32 (d, *J* = 5.4 Hz, 1H), 7.07 – 7.00 (m, 1H), 3.97 (t, *J* = 7.0 Hz, 2H), 3.04 (t, *J* = 7.5 Hz, 2H), 2.63 (p, *J* = 7.3 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 153.88, 153.67, 149.29, 140.69, 139.88, 139.50, 136.11, 128.02, 127.24, 126.94, 125.85, 124.15, 124.11, 122.60, 121.45, 121.33, 44.79, 26.24, 23.72. HRMS-ESI (*m/z*): [*M* + *H*]⁺ calcd for C₁₉H₁₆N₃S, 318.1065; found, 318.1064. LC: *T_R* = 4.73 min, purity >98%.

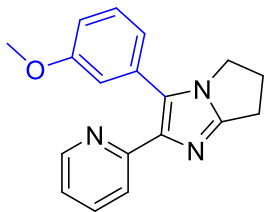


3-(4-methoxyphenyl)-2-(pyridin-2-yl)-6,7-dihydro-5*H*-pyrrolo[1,2-*a*]imidazole (ALM-DAI-29). Compound **ALM-DAI-29** was synthesized from **ALM-DAI-2** (70 mg, 0.27 mmol) and (4-methoxyphenyl)boronic acid (48 mg, 0.32 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (65 → 95% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a pale yellow solid (28 mg, 0.096 mmol, 36%). ¹H NMR (400 MHz, CDCl₃) δ 8.48 (d, *J* = 4.6 Hz, 1H), 7.58 (d, *J* = 7.9 Hz, 1H), 7.53 (td, *J* = 7.6, 1.8 Hz, 1H), 7.35 (d, *J* = 8.6 Hz, 2H), 7.02 (ddd, *J* = 6.8, 4.8, 1.4 Hz, 1H), 6.91 (d, *J* = 8.6 Hz, 2H), 3.93 (t, *J* = 7.1 Hz, 2H), 3.83 (s, 3H), 3.00 (t, *J* = 7.5 Hz, 2H), 2.61 (p, *J* = 7.3 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 159.47, 153.82, 153.55, 149.29, 140.22, 136.02, 130.65, 127.83, 123.07, 121.37, 121.16, 114.06, 55.39, 44.64, 26.24, 23.67. HRMS-ESI (*m/z*): [*M* + *H*]⁺ calcd for C₁₈H₁₈N₃O, 292.1450; found, 292.1449. LC: *T_R* = 4.18 min, purity >98%.

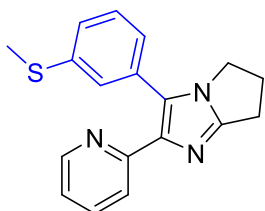


3-(4-(methylthio)phenyl)-2-(pyridin-2-yl)-6,7-dihydro-5*H*-pyrrolo[1,2-*a*]imidazole (ALM-DAI-30). Compound **ALM-DAI-30** was synthesized from **ALM-DAI-2** (80 mg, 0.3 mmol) and (4-(methylthio)phenyl)boronic acid (61 mg, 0.36 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (65 → 90% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid was collected by filtration to yield the title compound as a pale yellow solid (23.6 mg, 0.077 mmol, 26%). ¹H NMR (400 MHz, CDCl₃) δ 8.48 (d, *J* = 4.9 Hz, 1H), 7.66 (d, *J* = 8.1 Hz, 1H), 7.58 (td, *J* = 7.7, 1.9 Hz, 1H), 7.36

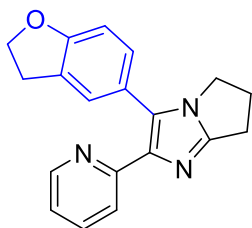
(d, $J = 8.0$ Hz, 2H), 7.25 (d, $J = 7.9$ Hz, 2H), 7.11 – 7.03 (m, 1H), 3.97 (t, $J = 7.1$ Hz, 2H), 3.07 (t, $J = 7.6$ Hz, 2H), 2.64 (p, $J = 7.4$ Hz, 2H), 2.51 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 153.84, 153.36, 149.22, 140.28, 138.76, 136.24, 129.68, 127.56, 127.07, 126.98, 126.25, 121.49, 44.91, 26.22, 23.66, 15.57. HRMS-ESI (m/z): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{18}\text{N}_3\text{S}$, 308.1221; found, 308.1220. LC: Tr = 4.61 min, purity >98%.



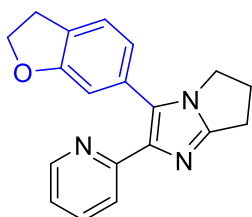
3-(3-methoxyphenyl)-2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-31). Compound **ALM-DAI-31** was synthesized from **ALM-DAI-2** (80 mg, 0.3 mmol) and (3-methoxyphenyl)boronic acid (55 mg, 0.36 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (65 \rightarrow 90% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a brown solid (36.5 mg, 0.125 mmol, 41%). ^1H NMR (400 MHz, CDCl_3) δ 8.50 (ddd, $J = 4.8, 1.8, 1.0$ Hz, 1H), 7.60 (dt, $J = 8.1, 1.2$ Hz, 1H), 7.55 (td, $J = 7.7, 1.8$ Hz, 1H), 7.29 (t, $J = 7.9$ Hz, 1H), 7.05 (ddd, $J = 7.3, 4.8, 1.4$ Hz, 1H), 7.03 – 6.95 (m, 2H), 6.88 (ddd, $J = 8.3, 2.6, 1.0$ Hz, 1H), 3.98 (t, $J = 7.1$ Hz, 2H), 3.76 (s, 3H), 3.02 (t, $J = 7.6$ Hz, 2H), 2.62 (p, $J = 7.3$ Hz, 2H). ^{13}C NMR (100 MHz, CDCl_3) δ 159.59, 153.92, 153.63, 149.27, 140.80, 136.09, 131.96, 129.60, 127.79, 121.73, 121.52, 121.41, 114.96, 113.83, 55.37, 44.94, 26.25, 23.66. HRMS-ESI (m/z): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{18}\text{N}_3\text{O}$, 292.1450; found, 292.1447. LC: $T_{\text{R}} = 4.14$ min, purity >98%.



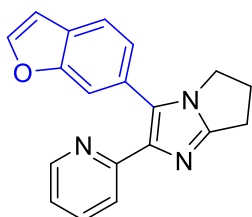
3-(3-(methylthio)phenyl)-2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-a]imidazole (ALM-DAI-32). Compound **ALM-DAI-32** was synthesized from **ALM-DAI-2** (80 mg, 0.3 mmol) and (3-(methylthio)phenyl)boronic acid (61 mg, 0.36 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (65 \rightarrow 90% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a yellow solid (25.9 mg, 0.084 mmol, 32%). ^1H NMR (400 MHz, CDCl_3) δ 8.47 (ddd, $J = 4.8, 1.9, 1.0$ Hz, 1H), 7.66 (dt, $J = 8.0, 1.1$ Hz, 1H), 7.58 (ddd, $J = 8.0, 7.3, 1.8$ Hz, 1H), 7.38 – 7.33 (m, 1H), 7.33 – 7.27 (m, 1H), 7.23 (ddd, $J = 7.9, 2.0, 1.2$ Hz, 1H), 7.18 (dt, $J = 7.5, 1.5$ Hz, 1H), 7.07 (ddd, $J = 7.4, 4.9, 1.3$ Hz, 1H), 3.98 (t, 2H), 3.09 – 3.00 (m, 2H), 2.71 – 2.58 (m, 2H), 2.42 (s, 3H). ^{13}C NMR (100 MHz, CDCl_3) δ 153.98, 153.30, 149.20, 140.61, 138.87, 136.27, 131.22, 128.90, 127.51, 127.33, 126.30, 125.81, 121.68, 121.61, 45.05, 26.23, 23.69, 15.84. HRMS-ESI (m/z): $[\text{M} + \text{H}]^+$ calcd for $\text{C}_{18}\text{H}_{18}\text{N}_3\text{S}$, 308.1221; found, 308.1221. LC: $T_{\text{R}} = 4.55$ min, purity >98%.



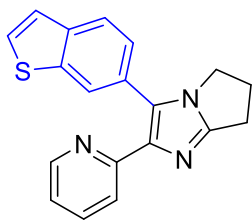
3-(2,3-dihydrobenzofuran-5-yl)-2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-*a*]imidazole (ALM-DAI-33). Compound **ALM-DAI-33** was synthesized from **ALM-DAI-2** (80 mg, 0.3 mmol) and (2,3-dihydrobenzofuran-5-yl)boronic acid (60 mg, 0.36 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (65 → 90% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a brown solid (41.1 mg, 0.135 mmol, 45%). ¹H NMR (400 MHz, CDCl₃) δ 8.49 (ddd, *J* = 4.9, 1.8, 1.0 Hz, 1H), 7.62 (dt, *J* = 8.0, 1.2 Hz, 1H), 7.56 (td, *J* = 7.7, 1.8 Hz, 1H), 7.26 (s, 1H), 7.21 – 7.12 (m, 1H), 7.05 (ddd, *J* = 7.3, 4.8, 1.3 Hz, 1H), 6.80 (d, *J* = 8.2 Hz, 1H), 4.61 (t, *J* = 8.7 Hz, 2H), 3.95 (t, 2H), 3.22 (t, *J* = 8.7 Hz, 2H), 3.07 (t, *J* = 7.6 Hz, 2H), 2.71 – 2.58 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 160.43, 153.20, 152.91, 149.28, 139.01, 136.27, 129.61, 128.35, 127.60, 126.17, 122.25, 121.47, 121.43, 109.54, 71.63, 44.90, 29.75, 26.19, 23.78. HRMS-ESI (*m/z*): [*M* + *H*]⁺ calcd for C₁₉H₁₈N₃O, 304.1450; found, 304.1450. LC: *T_R* = 4.16 min, purity >98%.



3-(2,3-dihydrobenzofuran-6-yl)-2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-*a*]imidazole (ALM-DAI-34). Compound **ALM-DAI-34** was synthesized from **ALM-DAI-2** (80 mg, 0.3 mmol) and (2,3-dihydrobenzofuran-6-yl)boronic acid (60 mg, 0.36 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (65 → 90% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a pale yellow solid (44.1 mg, 0.145 mmol, 48%). ¹H NMR (400 MHz, CDCl₃) δ 8.49 (ddd, *J* = 4.9, 1.8, 1.0 Hz, 1H), 7.59 – 7.47 (m, 2H), 7.17 (dt, *J* = 7.6, 1.2 Hz, 1H), 7.02 (ddd, *J* = 6.9, 4.9, 1.6 Hz, 1H), 6.88 (dd, *J* = 7.6, 1.5 Hz, 1H), 6.82 (d, *J* = 1.5 Hz, 1H), 4.58 (t, *J* = 8.7 Hz, 2H), 3.92 (t, *J* = 7.1 Hz, 2H), 3.22 (t, *J* = 8.7 Hz, 2H), 2.97 (t, 2H), 2.64 – 2.52 (m, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 160.27, 154.09, 153.79, 149.35, 141.12, 135.87, 130.76, 127.89, 126.92, 124.89, 121.68, 121.58, 121.08, 110.06, 71.44, 44.65, 29.71, 26.22, 23.60. HRMS-ESI (*m/z*): [*M* + *H*]⁺ calcd for C₁₉H₁₈N₃O, 304.1450; found, 304.1449. LC: *T_R* = 4.14 min, purity >98%.



3-(benzofuran-6-yl)-2-(pyridin-2-yl)-6,7-dihydro-5H-pyrrolo[1,2-*a*]imidazole (ALM-DAI-35). Compound **ALM-DAI-35** was synthesized from **ALM-DAI-2** (80 mg, 0.3 mmol) and benzofuran-6-ylboronic acid (59 mg, 0.36 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (60 → 95% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a pale yellow solid (54 mg, 0.179 mmol, 59%). ¹H NMR (400 MHz, CDCl₃) δ 8.50 – 8.42 (m, 1H), 7.64 (d, *J* = 2.2 Hz, 1H), 7.62 – 7.55 (m, 3H), 7.52 (td, *J* = 7.7, 1.9 Hz, 1H), 7.28 (dd, *J* = 8.1, 1.5 Hz, 1H), 7.02 (ddd, *J* = 7.4, 4.8, 1.3 Hz, 1H), 6.78 (d, *J* = 2.0 Hz, 1H), 3.96 (t, *J* = 7.1 Hz, 2H), 3.01 (t, *J* = 7.6 Hz, 2H), 2.61 (p, *J* = 7.3 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 154.94, 153.96, 153.95, 149.28, 145.82, 141.04, 135.99, 127.97, 127.22, 127.05, 124.40, 121.51, 121.23, 121.09, 112.19, 106.71, 44.73, 26.23, 23.66. HRMS-ESI (*m/z*): [*M* + *H*]⁺ calcd for C₁₉H₁₆N₃O, 302.1293; found, 302.1293. LC: *T_R* = 4.32 min, purity >98%.



3-(benzo[*b*]thiophen-6-yl)-2-(pyridin-2-yl)-6,7-dihydro-5*H*-pyrrolo[1,2-*a*]imidazole (ALM-DAI-36). Compound **ALM-DAI-36** was synthesized from **ALM-DAI-2** (80 mg, 0.3 mmol) and 2-(benzo[*b*]thiophen-6-yl)-4,4,5,5-tetramethyl-1,3,2-dioxaborolane (95 mg, 0.36 mmol) following general procedure C. The crude product was purified by flash chromatography on silica (65 → 95% EtOAc in Hexane). The product was triturated with EtOH/Hexane (1:10) and the solid collected by filtration to yield the title compound as a pale yellow solid (58.1 mg, 0.183 mmol, 61%). ¹H NMR (400 MHz, CDCl₃) δ 8.48 – 8.42 (m, 1H), 7.95 (s, 1H), 7.79 (d, *J* = 8.3 Hz, 1H), 7.61 (d, 1H), 7.52 (td, *J* = 7.7, 1.8 Hz, 1H), 7.46 (d, *J* = 5.4 Hz, 1H), 7.40 (dd, *J* = 8.3, 1.6 Hz, 1H), 7.33 (d, *J* = 5.4 Hz, 1H), 7.03 (ddd, *J* = 7.5, 4.8, 1.2 Hz, 1H), 3.96 (t, *J* = 7.0 Hz, 2H), 3.01 (t, *J* = 7.6 Hz, 2H), 2.61 (p, *J* = 7.3 Hz, 2H). ¹³C NMR (100 MHz, CDCl₃) δ 154.04, 153.91, 149.27, 141.14, 139.94, 139.16, 136.03, 127.83, 127.29, 126.97, 125.87, 123.79, 123.51, 122.97, 121.45, 121.27, 44.76, 26.23, 23.66. HRMS-ESI (*m/z*): [*M* + *H*]⁺ calcd for C₁₉H₁₆N₃S, 318.1065; found, 318.1065. LC: *T_r* = 4.63 min, purity >98%.