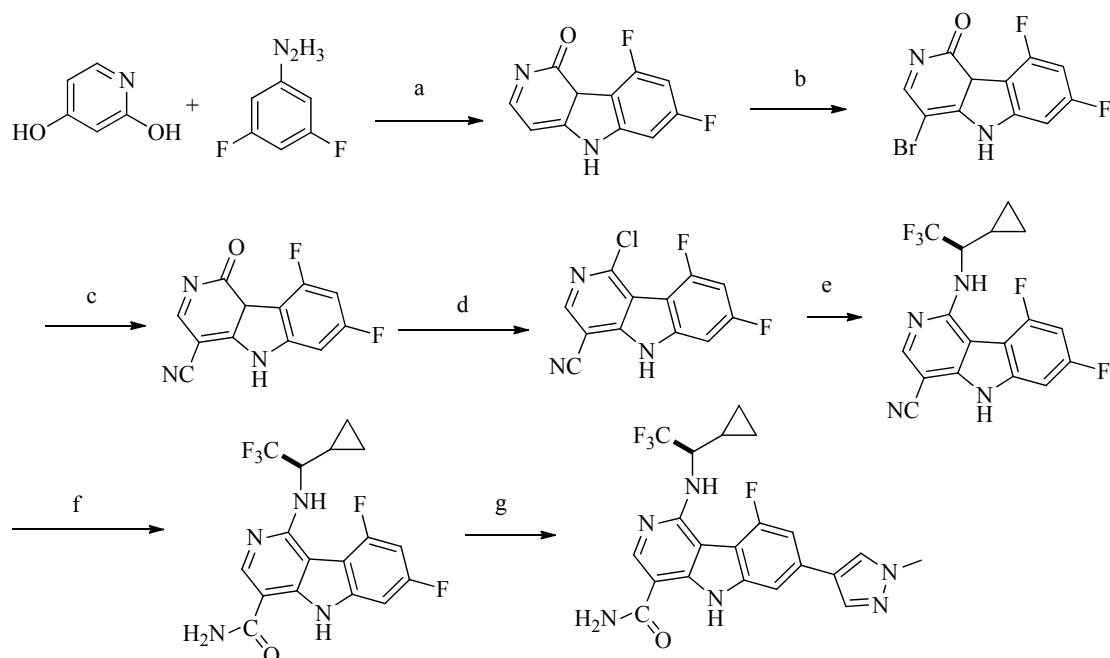


Supplementary Information

Scheme 1. The synthesis of representative compound D2. Compound D2 was synthesized from Fischer indole which was synthesized between 2,4-dihydropyridine and 3,5-difluorophenylhydrazine hydrochloride.



(a) Dean-Stark trap, Ph_2O ; (b) NBS, DMF; (c) $\text{Zn}(\text{CN})_2$, $\text{Pd}(\text{PPh}_3)_4$, DMF; (d) POCl_3 ; (e) (1R)-1-cyclopropyl-2,2,2-trifluoroethanaminium chloride, $\text{Pd}_2(\text{dba})_3$, BINAP, NaO^tBu , DME; (f) Aqueous H_2O_2 , K_2CO_3 , DMSO; (g) 1-methyl-4-(4,4,5,5-tetra-methyl-1,3,2-dioxaborolan-2-yl)-1H-pyrazole, $\text{Pd}_2(\text{dba})_3$, PCy_3 , K_3PO_4 .

MS(ESI) 447.4, ^1H -NMR (400MHz, DMSO-d_6) δ 0.27–0.34 (m, 1H), 0.47–0.53 (m, 1H), 0.58–0.62 (m, 1H), 0.68–0.75 (m, 1H), 1.73–1.79 (m, 1H), 3.95 (s, 3H), 4.80–4.88 (m, 1H), 6.90 (d, $J = 8.8$ Hz, 1H), 7.25 (br, s, 1H), 7.44 (s, 1H), 7.80 (s, 1H), 7.85 (s, 1H), 7.89 (br, s, 1H), 8.09 (s, 1H), 8.44 (s, 1H), 11.50 (s, 1H).

IC_{50} values for inhibitors of JAK2 were determined using HTRF detection technology. 20 pM JAK2 was incubated in 50 mM Tris, 5 mM MgCl_2 , 100 mM NaCl, 0.1 mg/mL BSA, 2 mM DTT, 5 μM ATP and 2 μM amino hexanoyl biotin-EQEDEPGDYFEWLE-NH₂ for 60 min at ambient temperature. Inhibitors were tested at a final concentration of 5% DMSO.

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