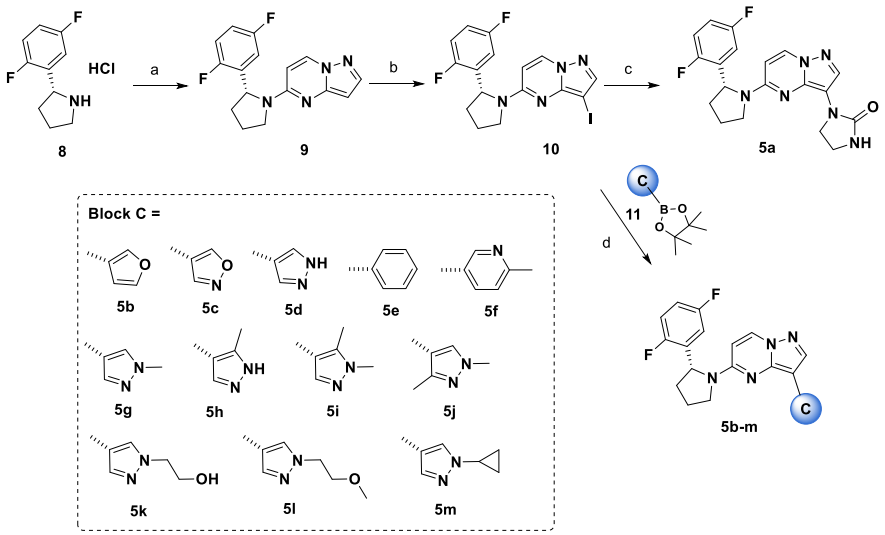
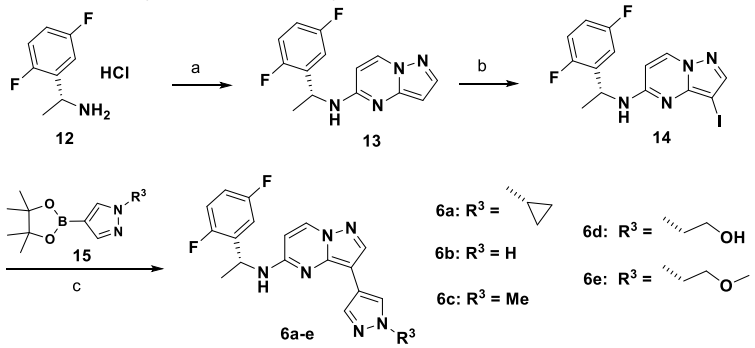
Scheme 1. Synthesis of Phenylpyrrole Derivatives **5a-m** [a].



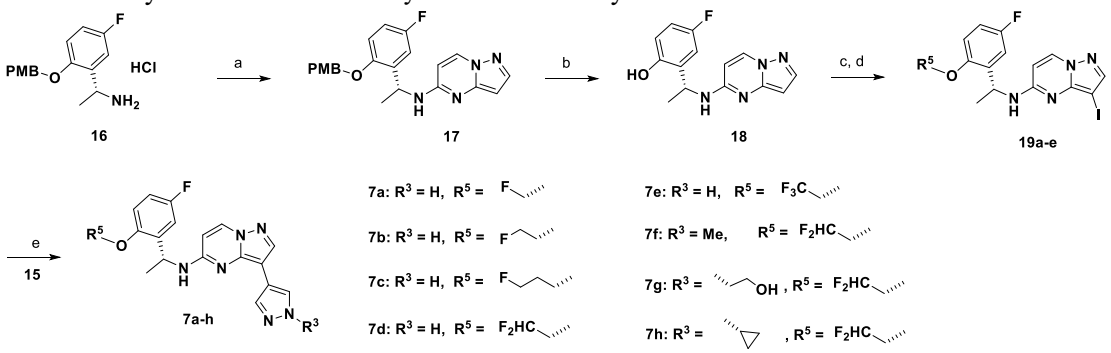
[a] Reagents and conditions: (a) 5-chloropyrazolo[1,5-a]pyrimidine, DIPEA, n-Butanol, 140°C, 89%; (b) NIS, CH_3CN, rt, 95%; (c) imidazolidin-2-one, CuI, K_3PO_4, (1R,2R)-N,N'-Dimethyl-1,2-cyclohexanediamine, DMF, 110°C, 81%; (d) boronic acid pinacol ester **11**, $Pd(PPh_3)_4$, K_2CO_3, DMF/H_2O, 100°C, 50–75%.

Scheme 2. Synthesis of Benzylamine Derivatives **6a-e** [a]



[a] Reagents and conditions: (a) 5-chloropyrazolo[1,5-a]pyrimidine, DIPEA, n-Butanol, 140°C, 90%; (b) NIS, CH_3CN, rt, 95%; (c) **14**, $Pd(PPh_3)_4$, K_2CO_3, DMF/H_2O, 100°C, 50–75%.

Scheme 3. Synthesis of Fluoroalkoxy-Substituted Benzylamine Derivatives **7a-h** [a].



[a] Reagents and conditions: (a) 5-chloropyrazolo[1,5-a]pyrimidine, DIPEA, n-Butanol, 140°C, 90%; (b) TFA, DCM, rt, 92%; (c) R^5I, K_2CO_3, DMF, 80°C, 56–83%; (d) NIS, CH_3CN, rt, 88–94%; (e) **14**, $Pd(PPh_3)_4$, K_2CO_3, DMF/H_2O, 100°C, 50–75%.