Supporting Information

CDK7 Inhibitors in Cancer Therapy: The Sweet Smell of Success?

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Scheme S1: Synthetic route to CT7001. S1

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Scheme S1: Synthetic route to CT7001. **Reagents and conditions:** (a) benzylamine, EtOH, reflux, 16 h, 96%; (b) Boc₂O, DMAP, THF, rt, 20 h, 100%; (c) (3R,4R)-tert-butyl 4-(aminomethyl)-3-(methoxymethoxy)piperidine-1-carboxylate, Pd₂(dba)₃, rac-BINAP, t-BuONa, toluene, 95 °C, 16 h, 75 %; (d) 5 M HCl/MeOH, rt, 5 h, 99 %. 
Scheme S2: Synthetic route to SY-1365. Reagents and conditions: (a) (i) DPPA, Et$_3$N, toluene, reflux, 1 h, (ii) BnOH, Et$_3$N, 100 °C, 72 h, 60% over (i) and (ii), (iii) preparative chiral HPLC (Chiralpak IA, 5 μm, 20 × 250 mm; hex/MeOH/DCM = 90/5/5); (b) 4 M HCl in 1,4-dioxane, 1,4-dioxane, rt, 16 h, 100%; (c) 3-(2,5-dichloropyrimidin-4-yl)-1-(phenylsulfonyl)-1H-indole, DIPEA, NMP, 135 °C (microwave), 1 h, 54%; (d) 1 M BBr$_3$ in DCM, DCM, MeOH, rt, 1 h, 83%; (e) 5-aminopicolinic acid, HBTU, DIPEA, DMF, rt, 5 h, 79%; (f) 2 M NaOH in H$_2$O, 60 °C, 1 h, 100%; (g) (i) (E)-4-bromobut-2-enoyl chloride in DCM, DIPEA, THF/NMP, -78 °C, 4 h, (ii) 2 M dimethylamine in THF, rt, 45 min, 22%.