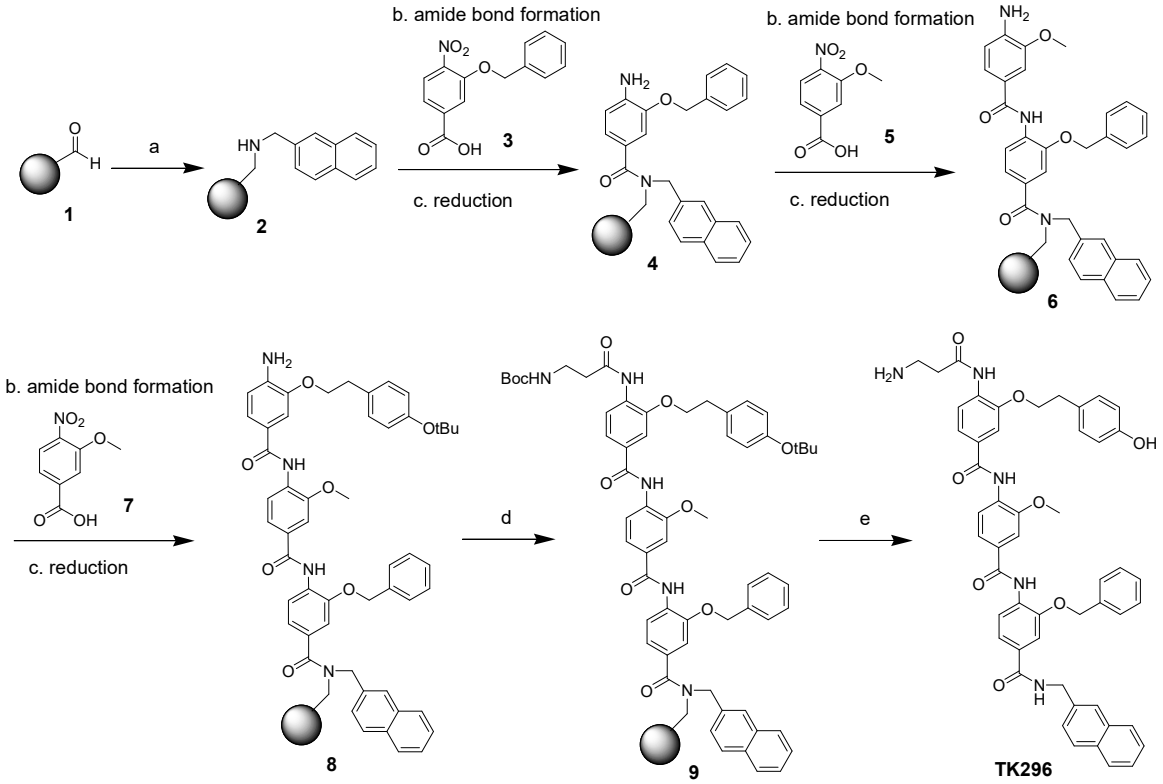
TK41: A 500 mL round-bottomed flask was charged with compound **9** (1.75 g), THF (300 mL) and conc. HCl (30 mL). The reaction mixture was stirred at room temperature for 24 h and then concentrated under reduced pressure. The resulting solid was filtered, washed with MeOH and dried *in vacuo* to give **TK11-41** as a light yellow solid (1.3 g, 57% over 2 reaction steps).



Scheme 2. Synthetic route to TK296.

Reagents and conditions: (a)), naphthalene-2-methaneamine hydrochloride, $NaBH_3CN$, 1% AcOH/DMF, rt, 24 h; (b) PyBroP, DIEA, DCM, rt, 24 h; (c) $Na_2S_2O_4$, 1,1'-di-n-octyl-4,4'-bipyridinium dibromide, K_2CO_3, H_2O/THF, rt, 24 h; (d) Boc-β-Ala-OH, DIC, DMF/DCM, rt, 24 h; (e) TFA, rt, 1 h.

Compound 1: AM PS resin (0.42 mmol/g, 3.0 g, 1.26 mmol) was swollen in DMF for 12 h and washed with DMF (3 × 1 min). A solution of BAL linker (676 mg, 2.52 mmol), PyBOP (1.44 g, 2.77 mmol) and DIEA (0.97 mL, 5.6 mmol) in DMF (25 mL) was added to the resin, shaken at room temperature for 24 h, and washed with DMF (3 × 1 min). The completion of the coupling reaction was confirmed by a negative Kaiser ninhydrin test.

Compound 2: A mixture of compound **1** (0.25 g, 0.11 mmol), naphthalene-2-methaneamine hydrochloride (85 mg, 0.44 mmol), $NaBH_3CN$ (29 mg, 0.44 mmol) in 1%