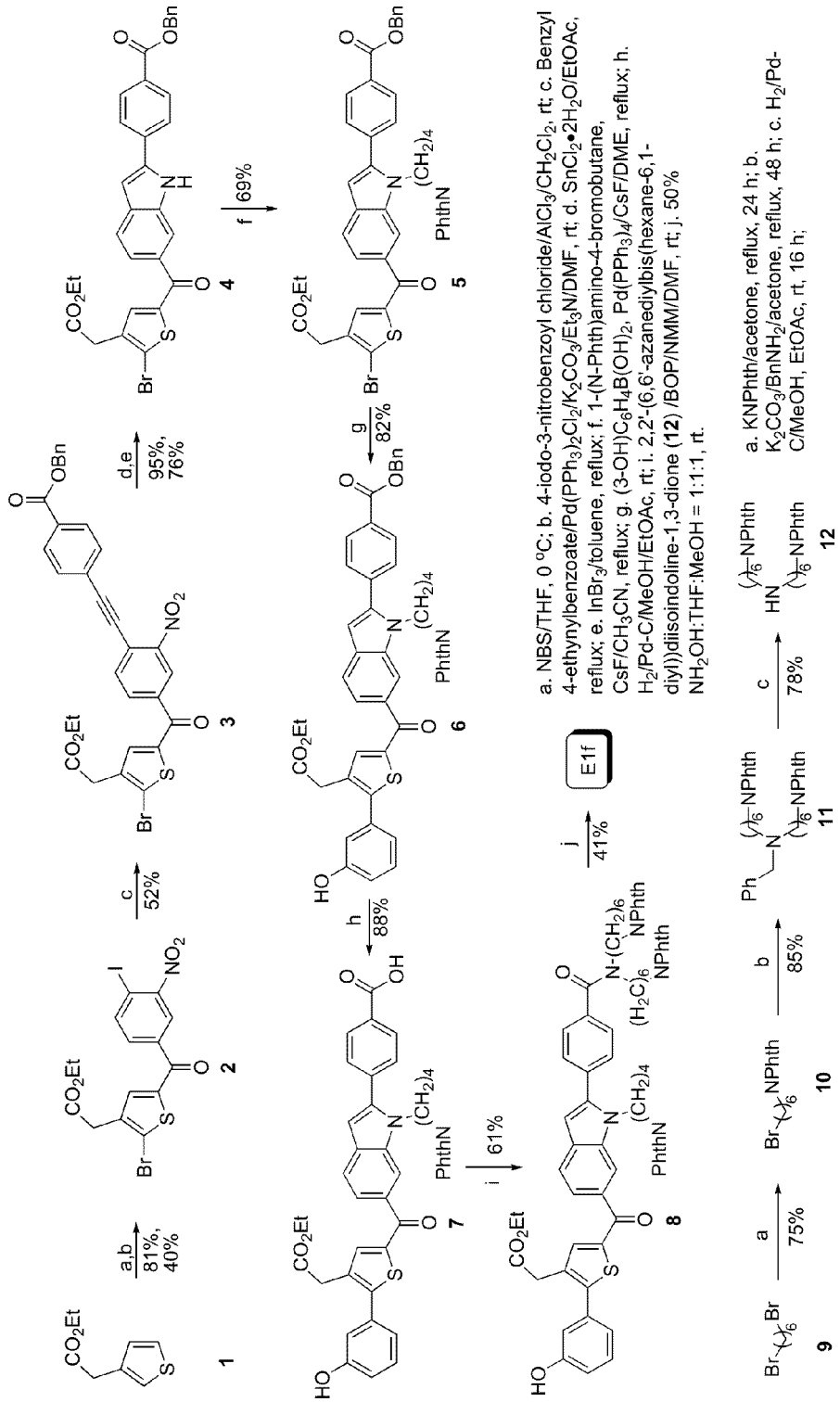
a. NBS/THF, 0 °C; b. 4-iodo-3-nitrobenzoyl chloride/AlCl3/CH2Cl2, rt; c. Benzyl 4-ethynylbenzoate/Pd(PPh3)2Cl2/K2CO3/Et3N/DMF, rt; d. SnCl2•2H2O/EtOAc, reflux; e. InBr3/toluene, reflux; f. 1-(N-Phth)amino-4-bromobutane, CsF/CH3CN, reflux; g. (3-OH)C6H4B(OH)2, Pd(PPh3)4/CsF/DME, reflux; h. H2/Pd-C/MeOH/EtOAc, rt; i. 2,2'-(6,6'-azanediylbis(hexane-6,1-diyl))diisoindoline-1,3-dione (12) /BOP/NMM/DMF, rt; j. 50% NH2OH:THF:MeOH = 1:1:1, rt.
a. KNPhth/acetone, reflux, 24 h; b. K2CO3/BnNH2/acetone, reflux, 48 h; c. H2/Pd-C/MeOH, EtOAc, rt, 16 h;
a,b 81%, 40%
c 52%
d,e 95%, 76%
f 69%
g 82%
h 88%
i 61%
j 41%
a 75%
b 85%
c 78%
E1f

FIG. 1