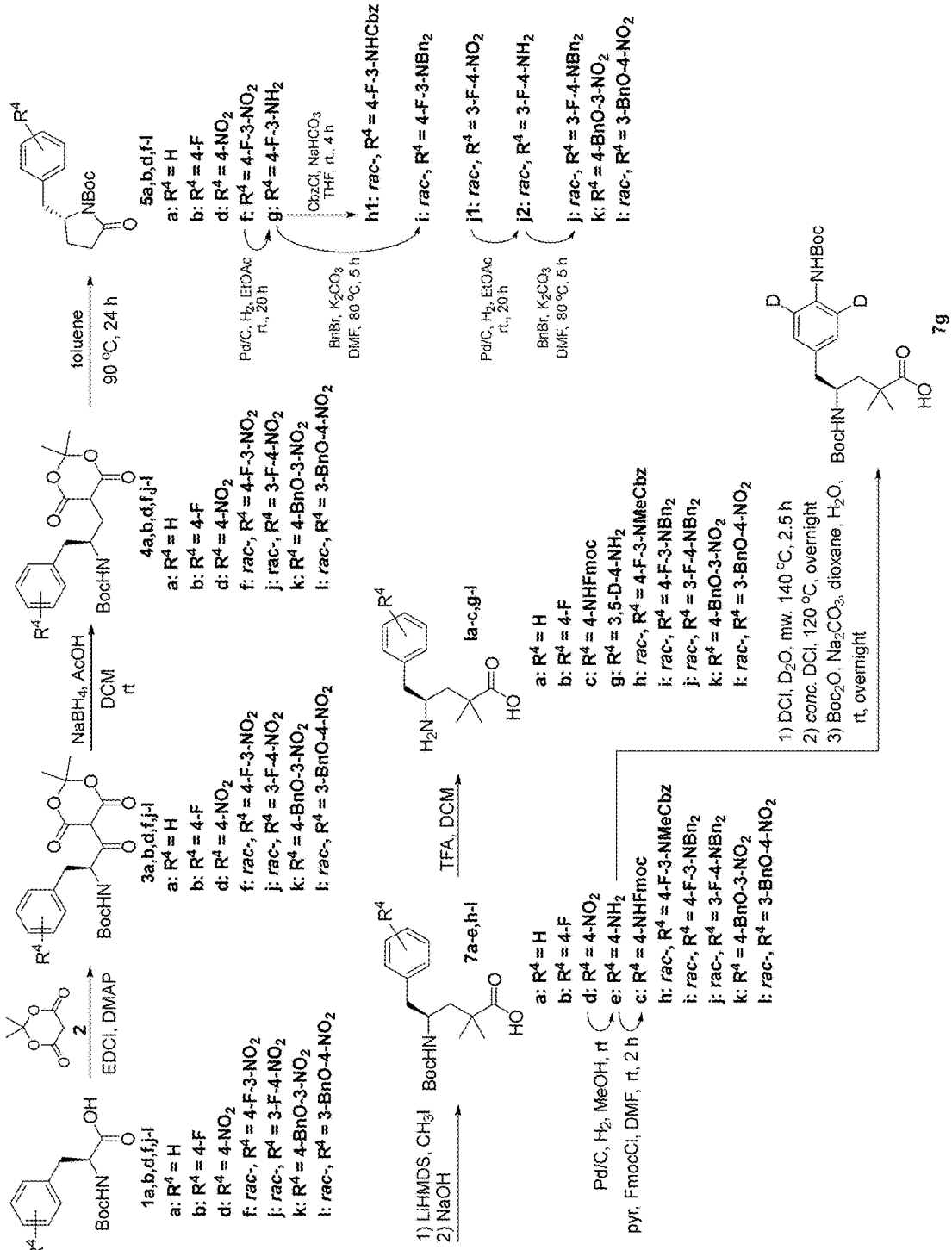
FIG. 1


1a,b,d,f,j,l
a: R4 = H
b: R4 = 4-F
d: R4 = 4-NO2
f: rac-, R4 = 4-F-3-NO2
j: rac-, R4 = 3-F-4-NO2
k: R4 = 4-BnO-3-NO2
l: rac-, R4 = 3-BnO-4-NO2
EDCI, DMAP
2
3a,b,d,f,j,l
NaBH4, AcOH
DCM
rt
4a,b,d,f,j,l
toluene
90 °C, 24 h
5a,b,d,f-l
Pd/C, H2, EtOAc
rt., 20 h
BnBr, K2CO3
DMF, 80 °C, 5 h
CbzCl, NaHCO3
THF, rt., 4 h
h1: rac-, R4 = 4-F-3-NHCbz
i: rac-, R4 = 4-F-3-NBn2
j1: rac-, R4 = 3-F-4-NO2
j2: rac-, R4 = 3-F-4-NH2
1) LiHMDS, CH3I
2) NaOH
7a-e,h-l
TFA, DCM
1a-c,g-l
Pd/C, H2, MeOH, rt
pyr, FmocCl, DMF, rt, 2 h
1) DCl, D2O, mw. 140 °C, 2.5 h
2) conc. DCl, 120 °C, overnight
3) Boc2O, Na2CO3, dioxane, H2O,
rt, overnight
7g