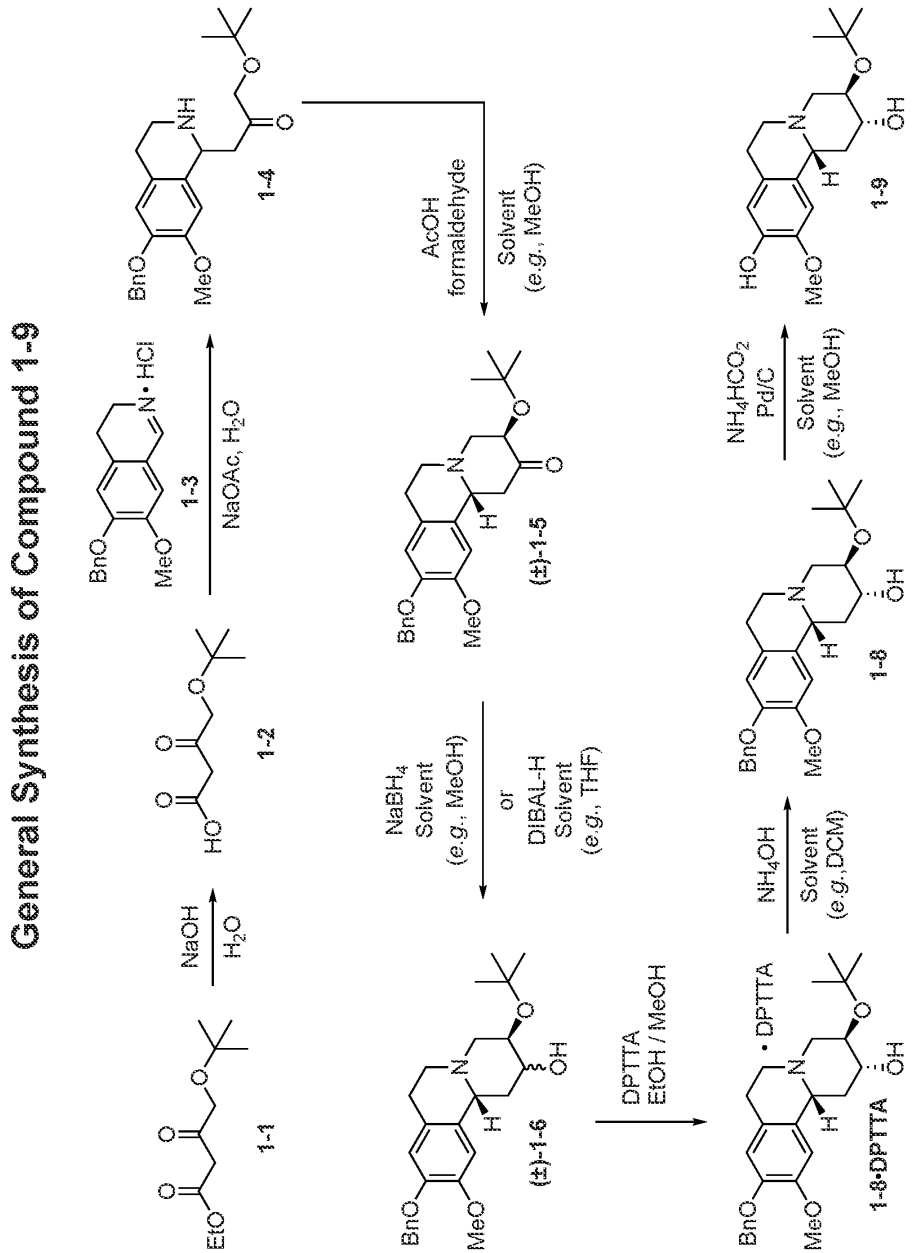

General Synthesis of Compound 1-9
1-1
NaOH
H2O
1-2
1-3
NaOAc, H2O
1-4
AcOH
formaldehyde
Solvent
(e.g., MeOH)
(±)-1-5
NaBH4
Solvent
(e.g., MeOH)
or
DIBAL-H
Solvent
(e.g., THF)
(±)-1-6
DPTTA
EtOH / MeOH
1-8·DPTTA
NH4OH
Solvent
(e.g.,DCM)
1-8
NH4HCO2
Pd/C
Solvent
(e.g., MeOH)
1-9

FIG. 1

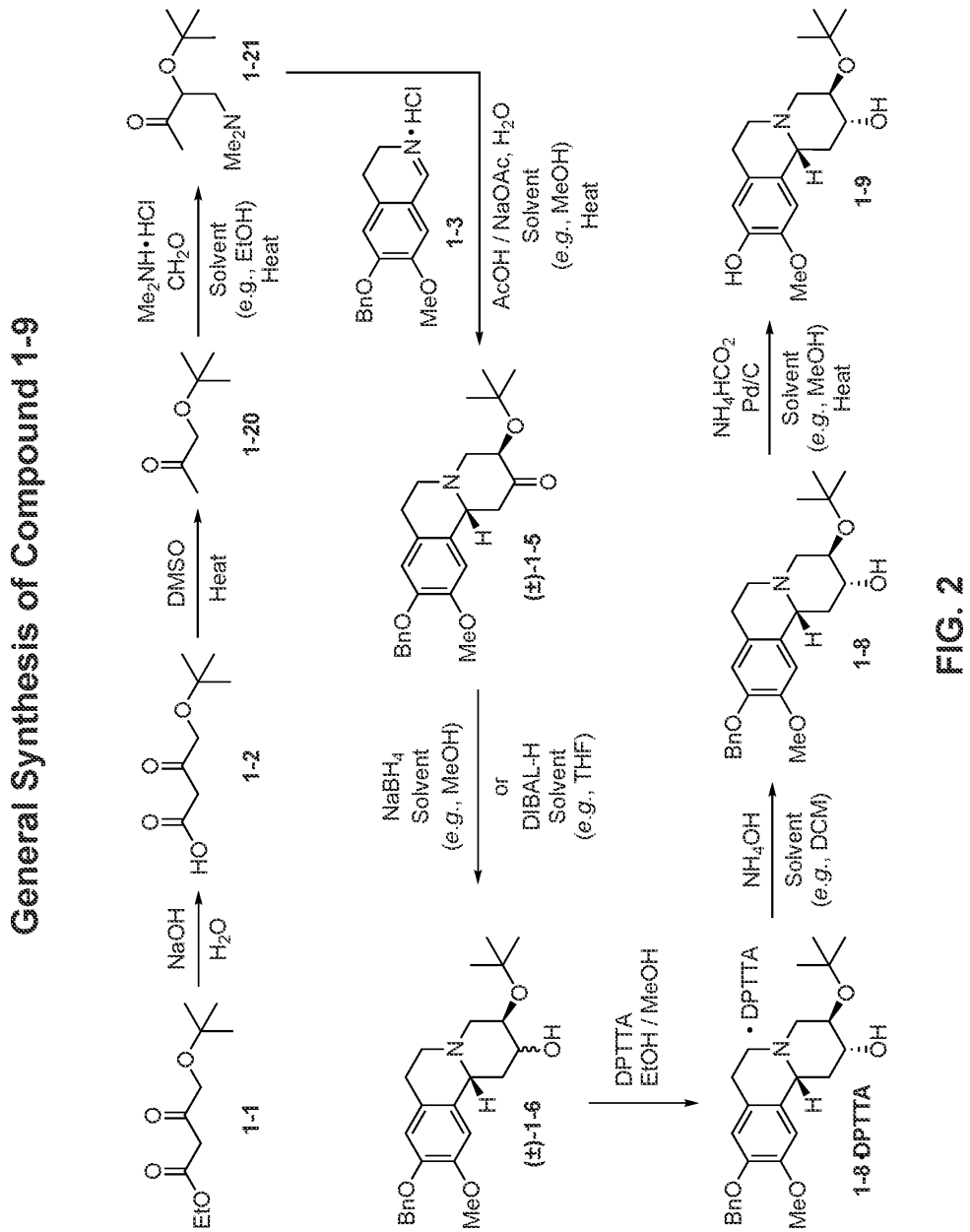

General Synthesis of Compound 1-9
1-1
NaOH
H2O
1-2
DMSO
Heat
1-20
Me2NH•HCl
CH2O
Solvent
(e.g., EtOH)
Heat
1-21
Me2N
1-3
AcOH / NaOAc, H2O
Solvent
(e.g., MeOH)
Heat
(±)-1-5
NaBH4
Solvent
(e.g., MeOH)
or
DIBAL-H
Solvent
(e.g., THF)
(±)-1-6
DPTTA
EtOH / MeOH
1-8 DPTTA
NH4OH
Solvent
(e.g., DCM)
1-8
NH4HCO2
Pd/C
Solvent
(e.g., MeOH)
Heat
1-9

FIG. 2

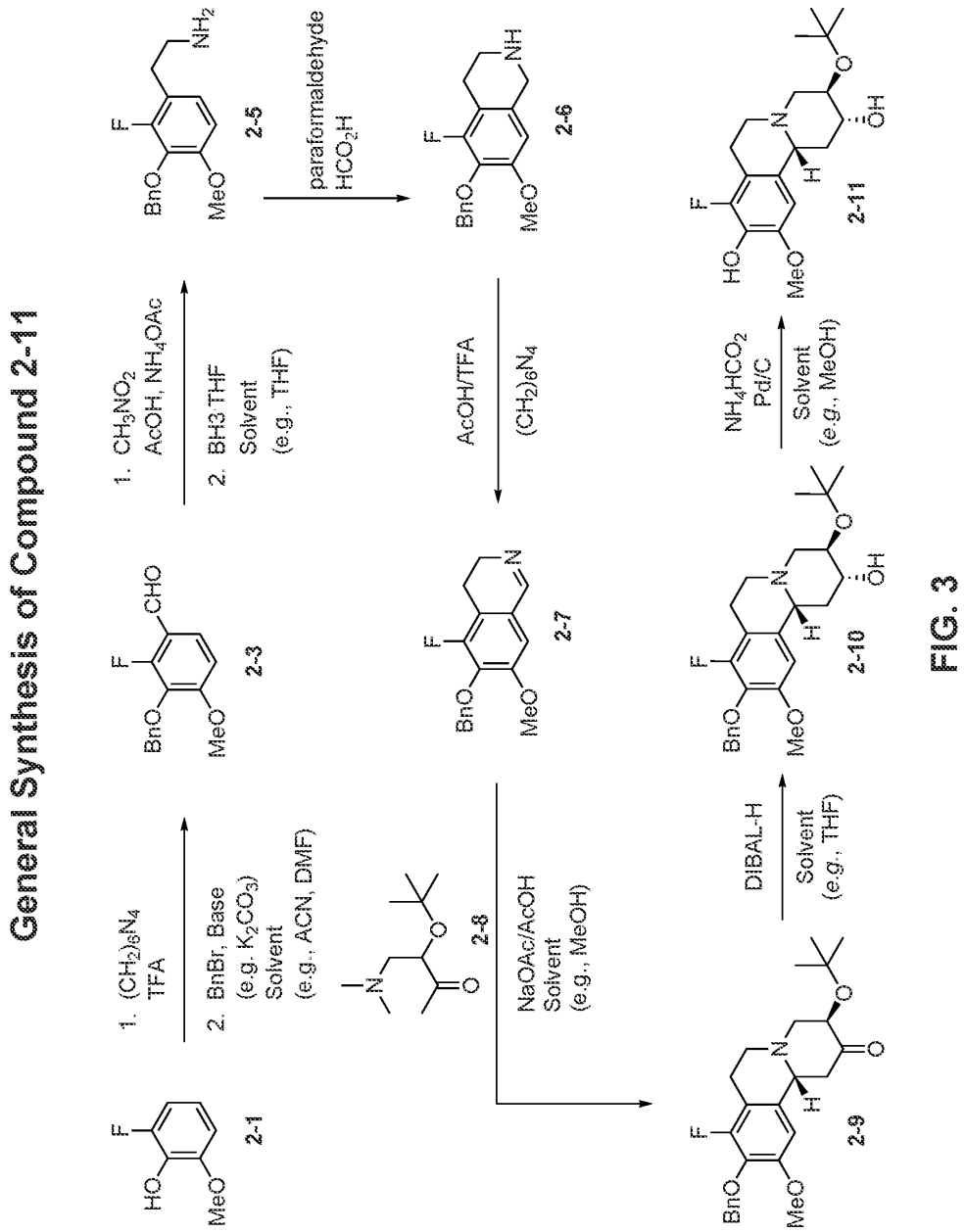

General Synthesis of Compound 2-11
1. $(CH_2)_6N_4$ TFA
2. BnBr, Base (e.g. K_2CO_3) Solvent (e.g., ACN, DMF)
1. CH_3NO_2 $AcOH$, NH_4OAc
2. BH_3 THF Solvent (e.g., THF)
paraformaldehyde HCO_2H
AcOH/TFA $(CH_2)_6N_4$
NaOAc/AcOH Solvent (e.g., MeOH)
DIBAL-H Solvent (e.g., THF)
NH_4HCO_2 Pd/C Solvent (e.g., MeOH)
2-1
2-3
2-5
2-6
2-7
2-8
2-9
2-10
2-11

FIG. 3

General Synthesis of Compound 3-1 and Compound 3-2

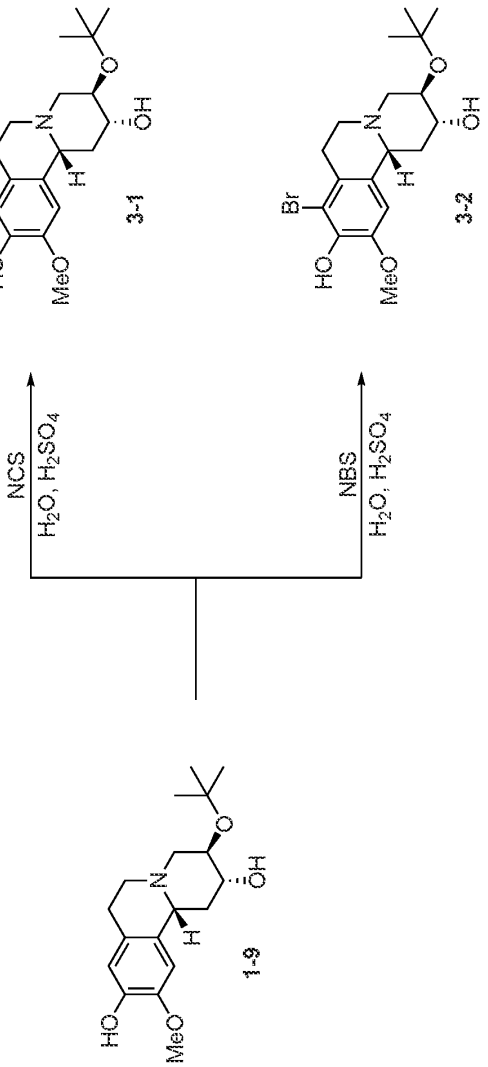


FIG. 4

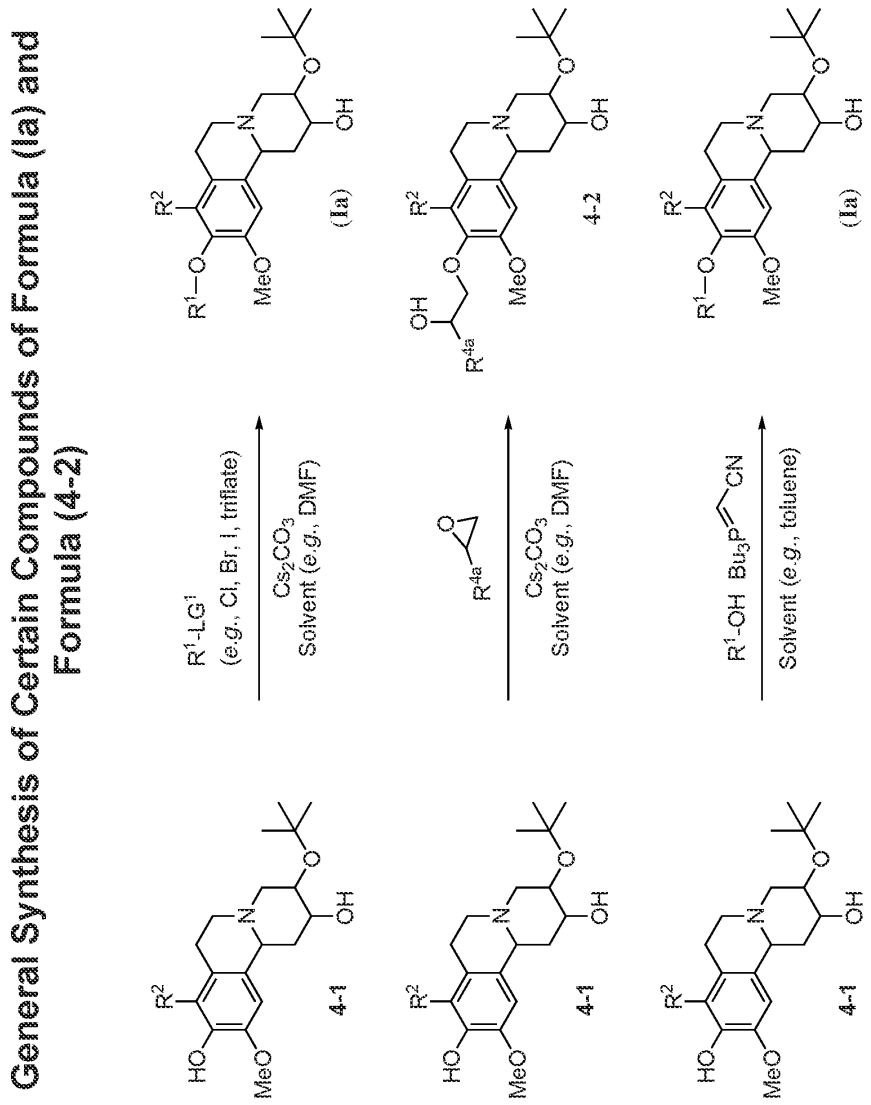

General Synthesis of Certain Compounds of Formula (Ia) and Formula (4-2)
4-1
R1-LG1
(e.g., Cl, Br, I, triflate)
Cs2CO3
Solvent (e.g., DMF)
(Ia)
4-1
Cs2CO3
Solvent (e.g., DMF)
4-2
4-1
R1-OH Bu3P
CN
Solvent (e.g., toluene)
(Ia)

FIG. 5

General Synthesis of Certain Compounds of Formula (Ia)

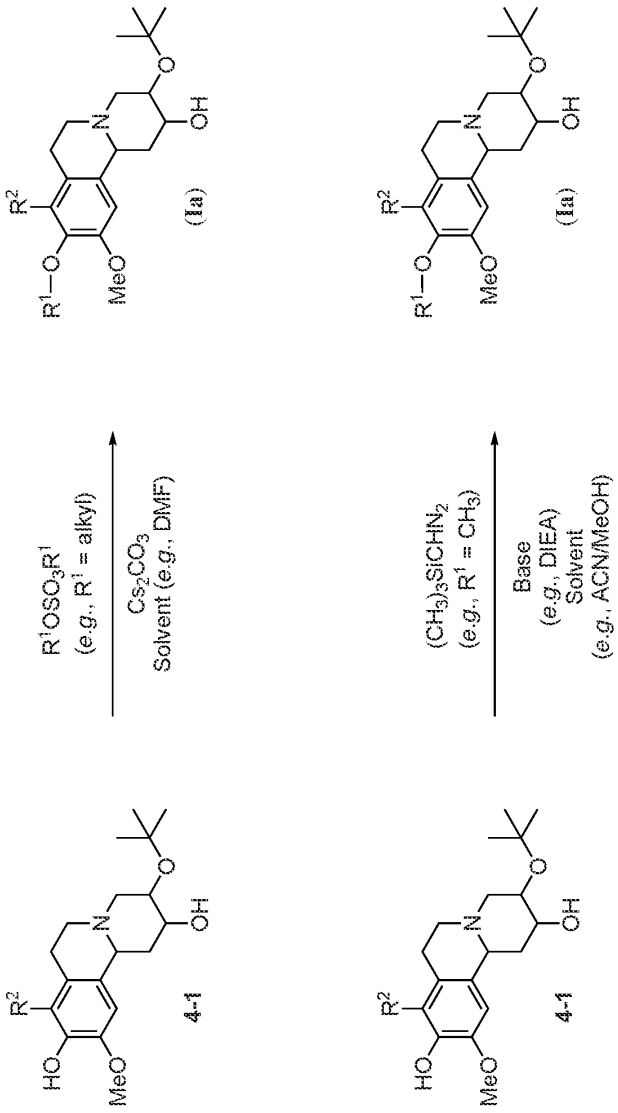


FIG. 6

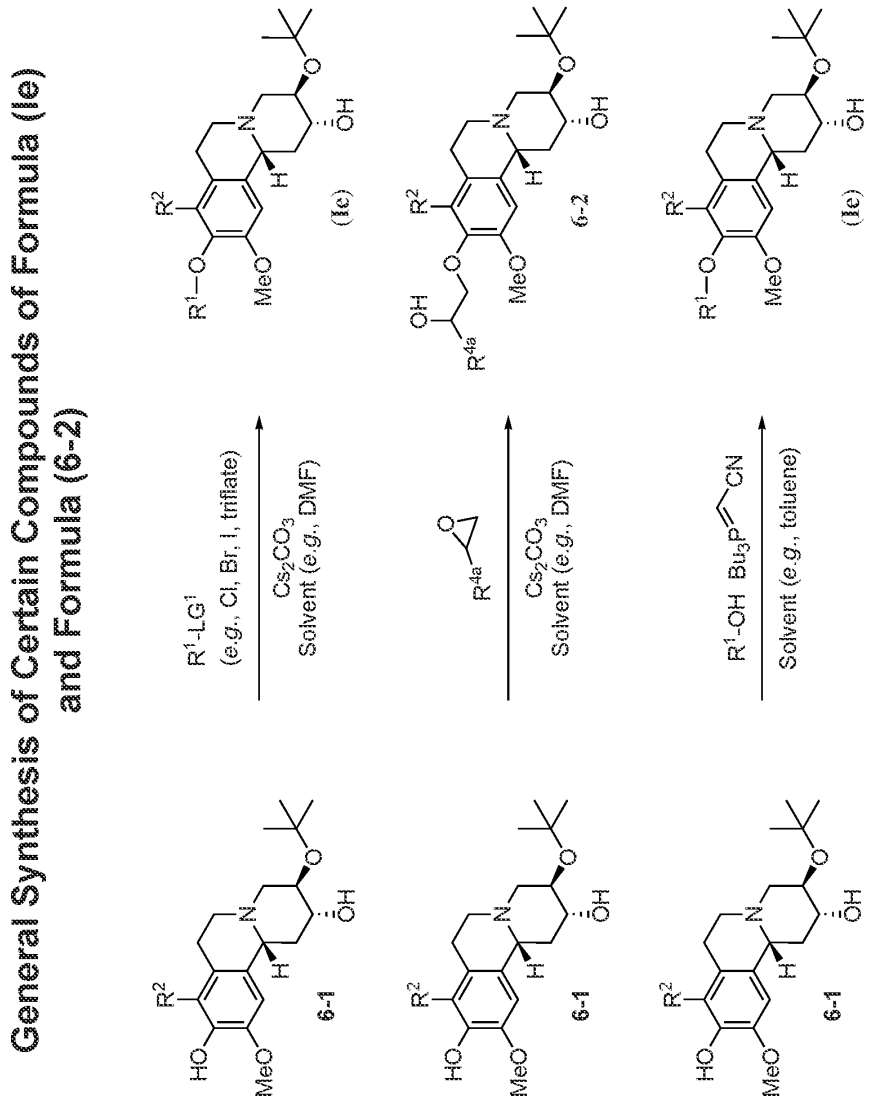

General Synthesis of Certain Compounds of Formula (Ie) and Formula (6-2)
6-1
R1-LG1 (e.g., Cl, Br, I, triflate)
Cs2CO3
Solvent (e.g., DMF)
(Ic)
6-1
Cs2CO3
Solvent (e.g., DMF)
6-2
6-1
R1-OH Bu3P CN
Solvent (e.g., toluene)
(Ie)

FIG. 7

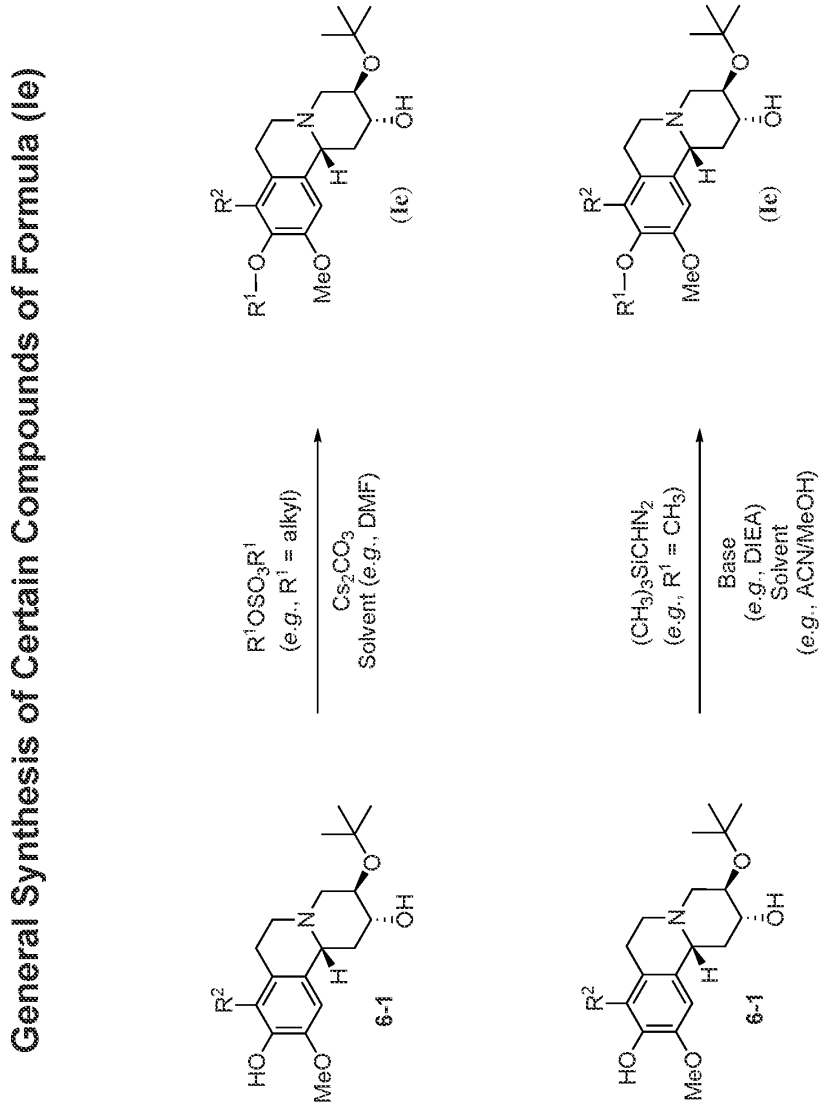

General Synthesis of Certain Compounds of Formula (Ie)
R1OSO3R1
(e.g., R1 = alkyl)
Cs2CO3
Solvent (e.g., DMF)
(CH3)3SiCHN2
(e.g., R1 = CH3)
Base
(e.g., DIEA)
Solvent
(e.g., ACN/MeOH)
6-1
(Ie)

FIG. 8