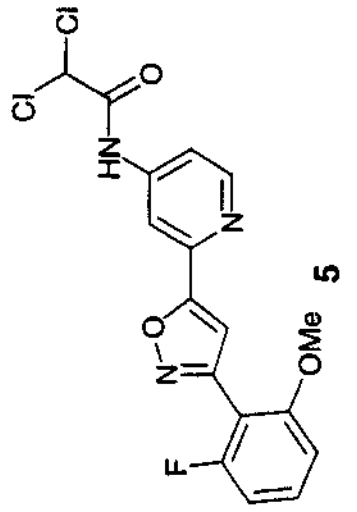
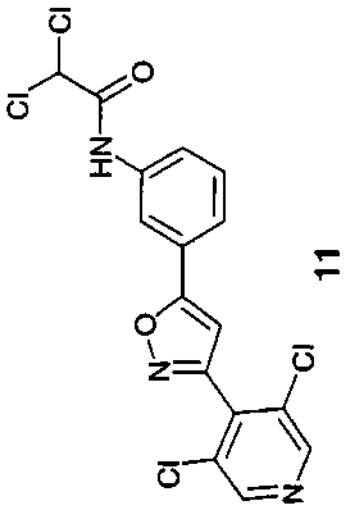
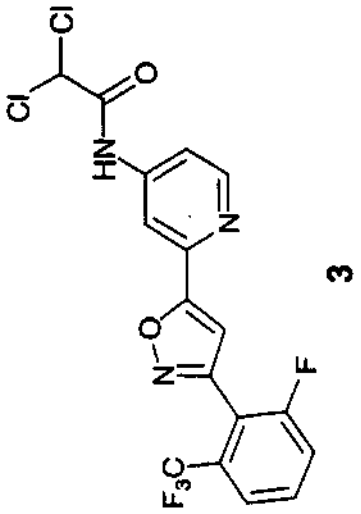
FIG. 1A



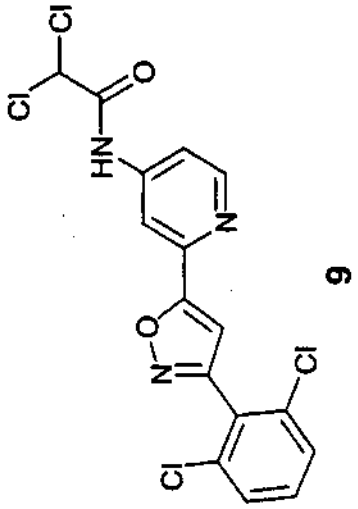
5



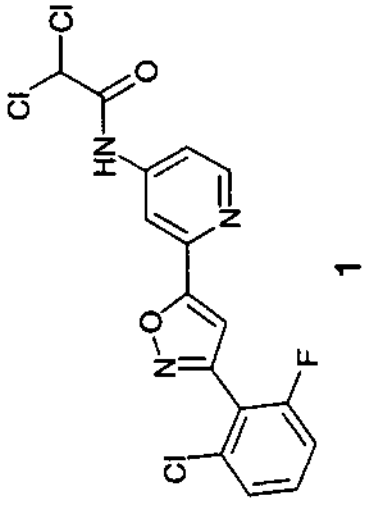
11



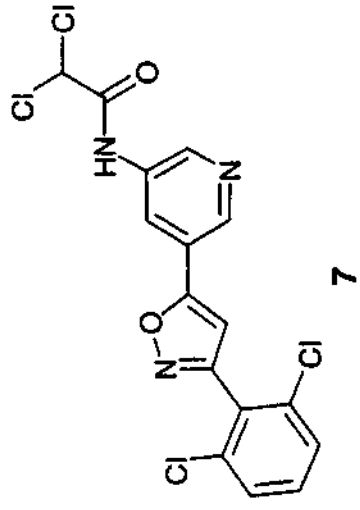
3



9

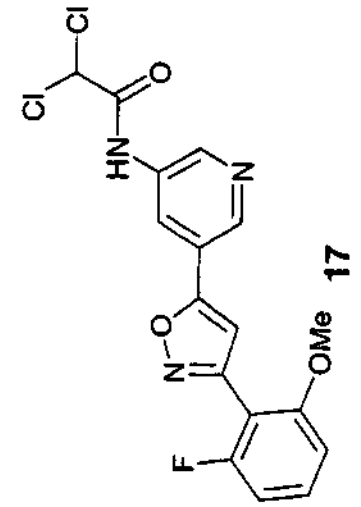


1

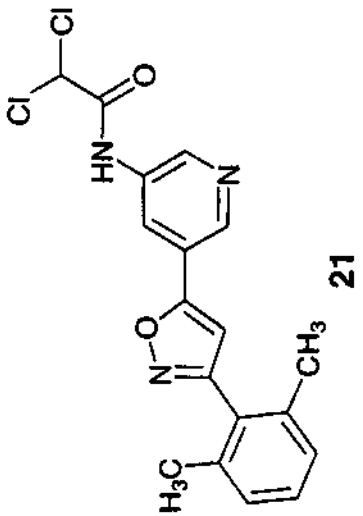


7

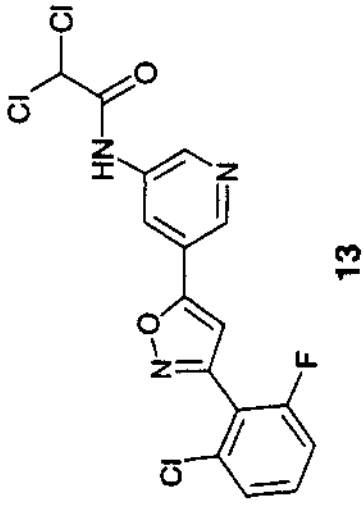
FIG. 1B



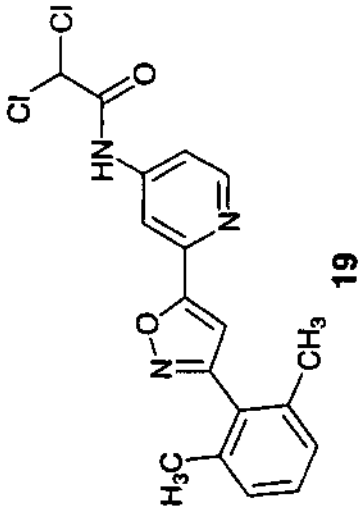
17



21

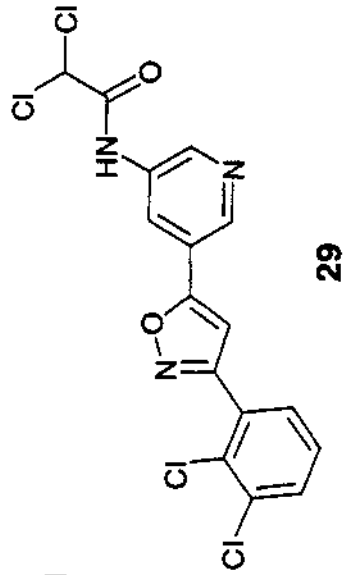


13

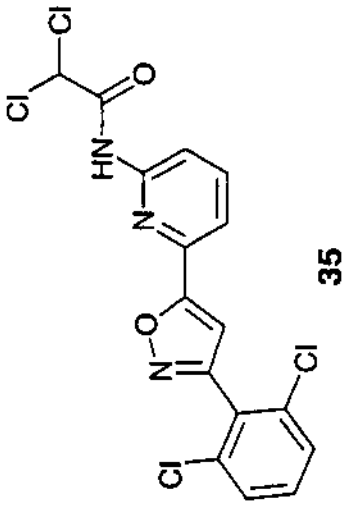


19

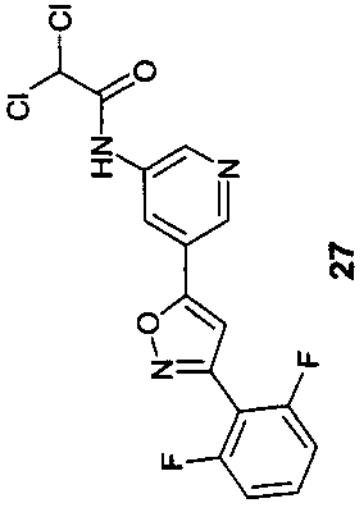
FIG. 1C



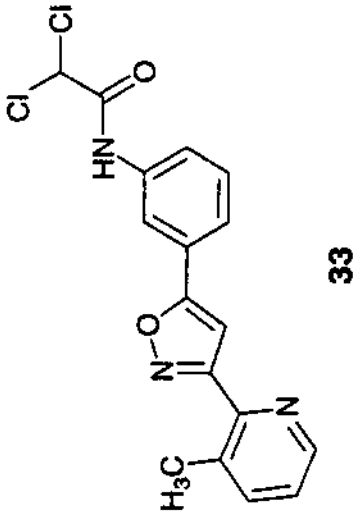
29



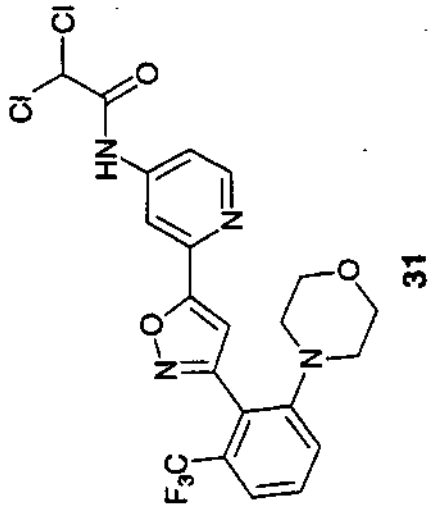
35



27

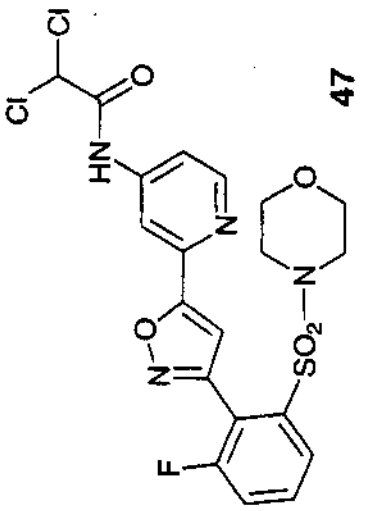


33

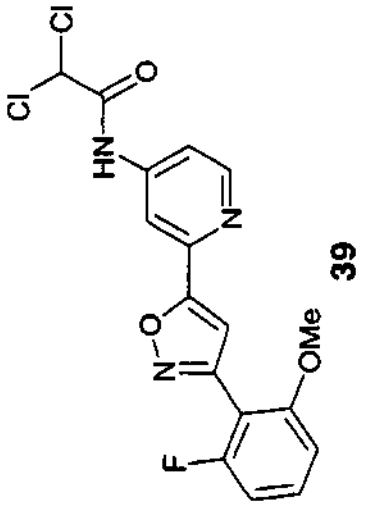


31

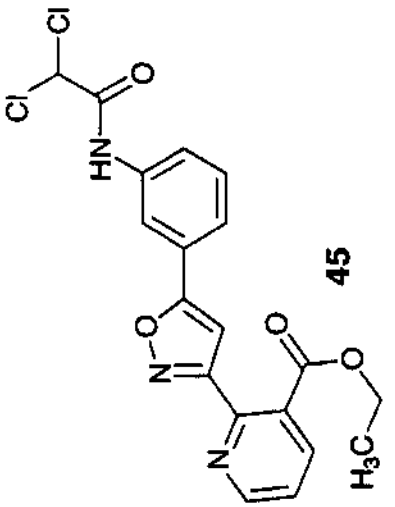
FIG. 1D



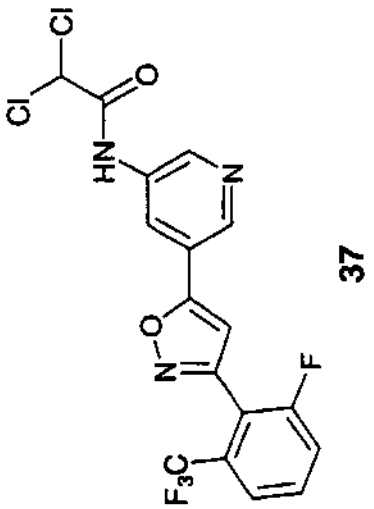
47



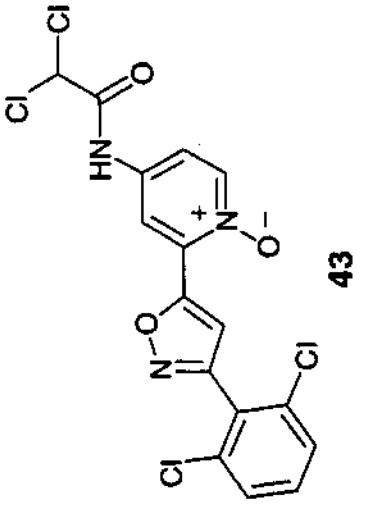
39



45

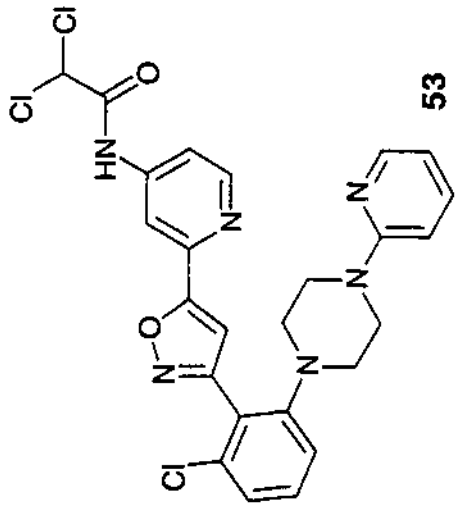


37

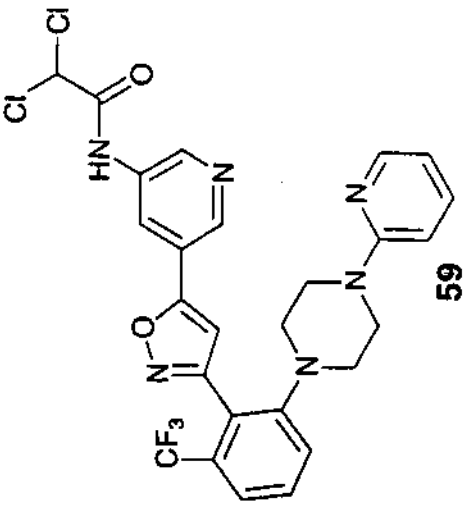


43

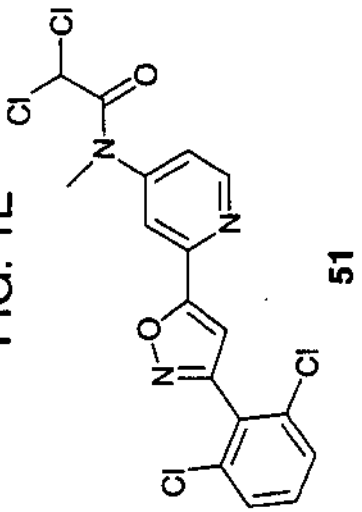
FIG. 1E



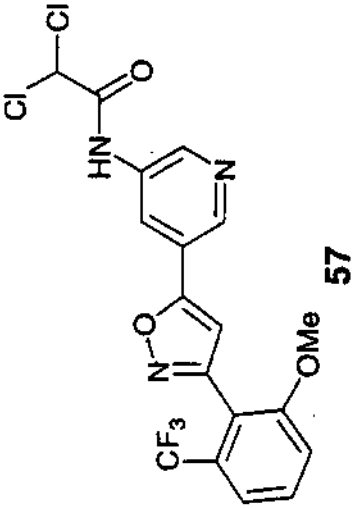
53



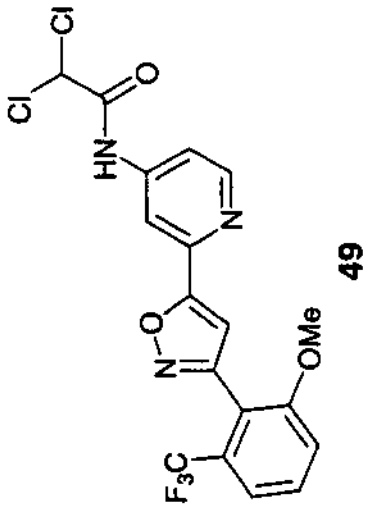
59



51

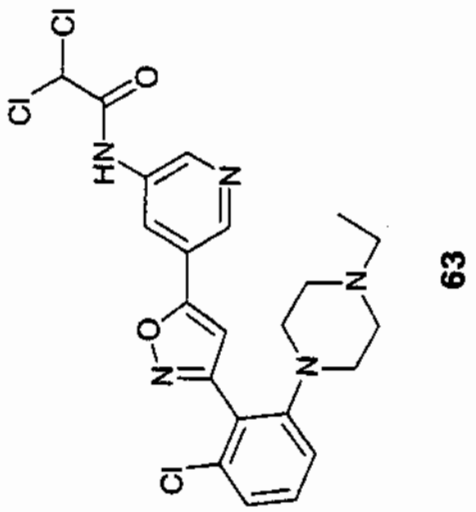


57

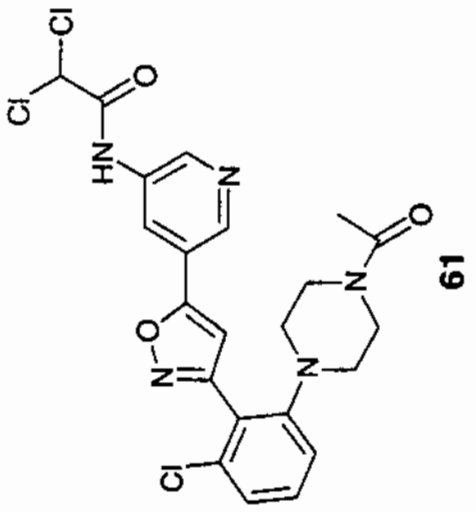


49

FIG. 1F



63



61

FIG. 2A

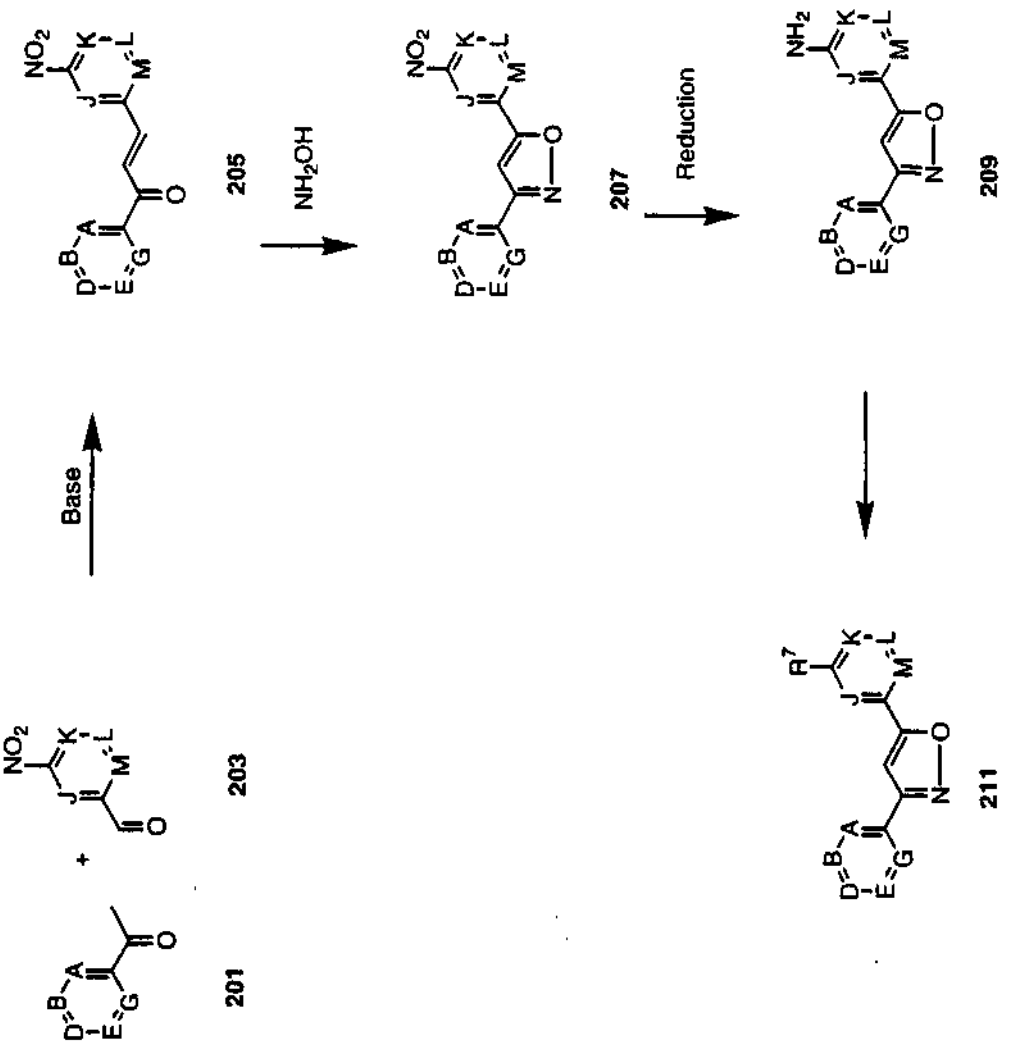


FIG. 2B

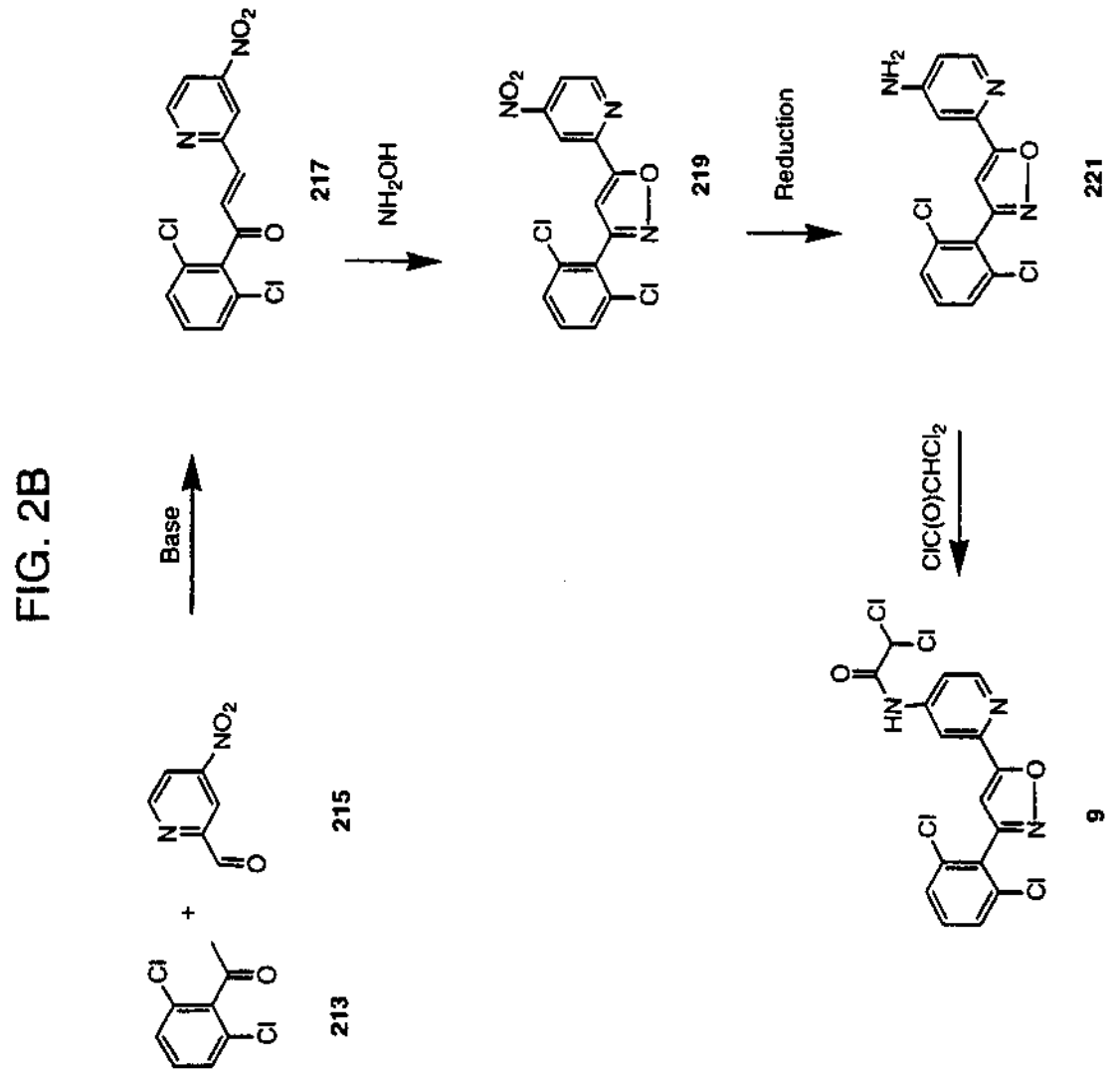


FIG. 3A

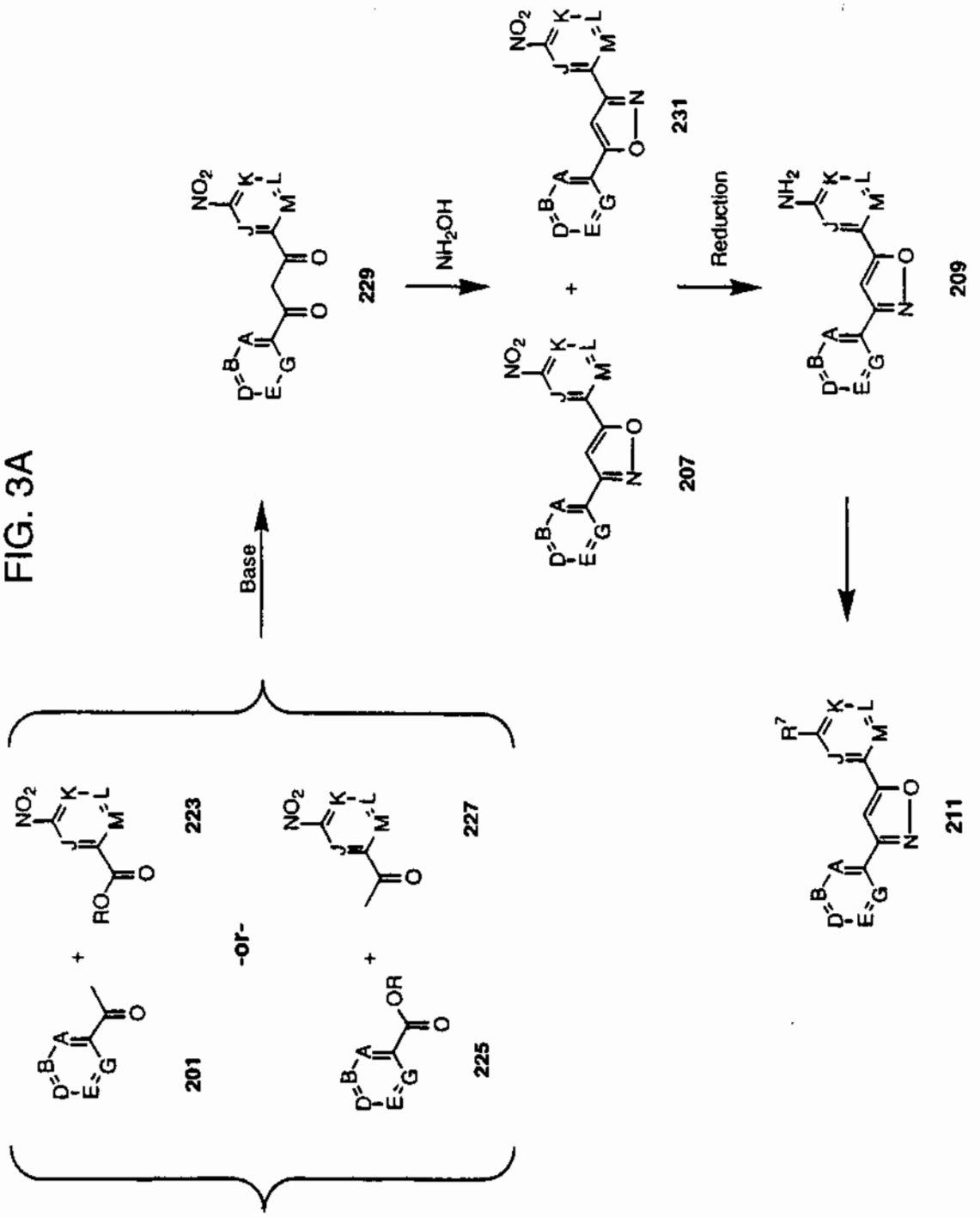


FIG. 3B

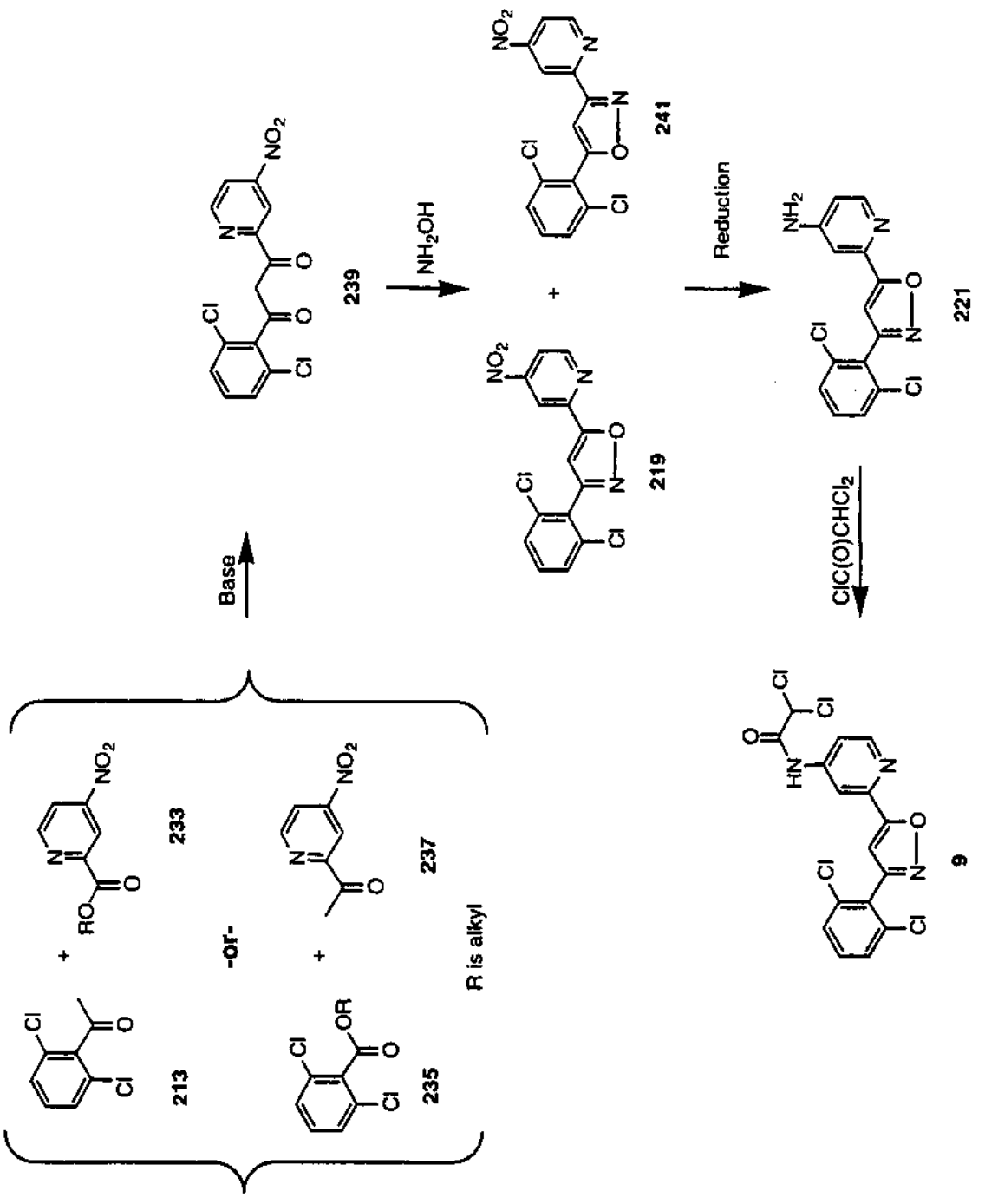

Base
239
NH_2OH
241
219
Reduction
221
$ClC(O)CHCl_2$
9
233
237
213
235
-or-
R is alkyl

FIG. 4A

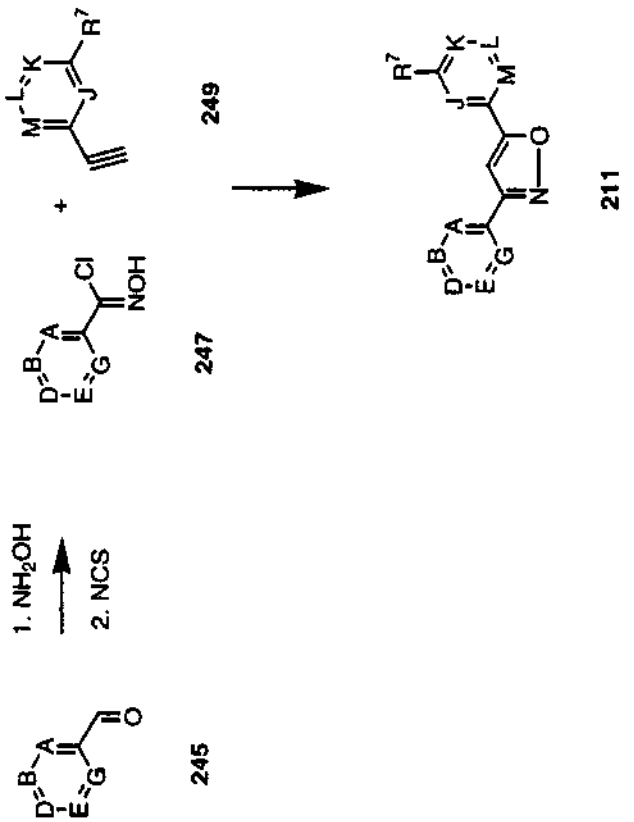
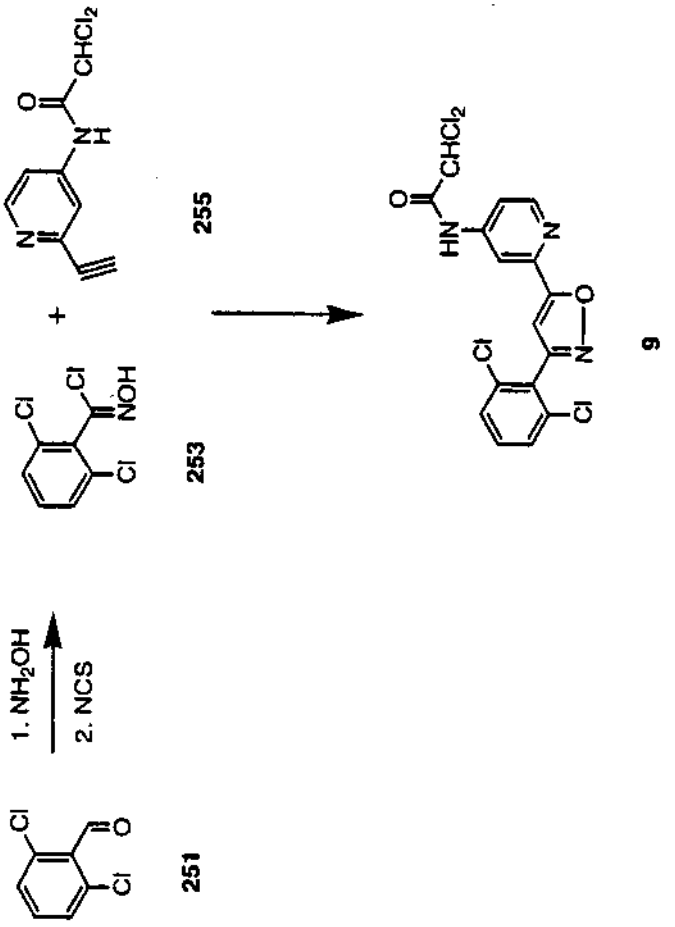


FIG. 4B



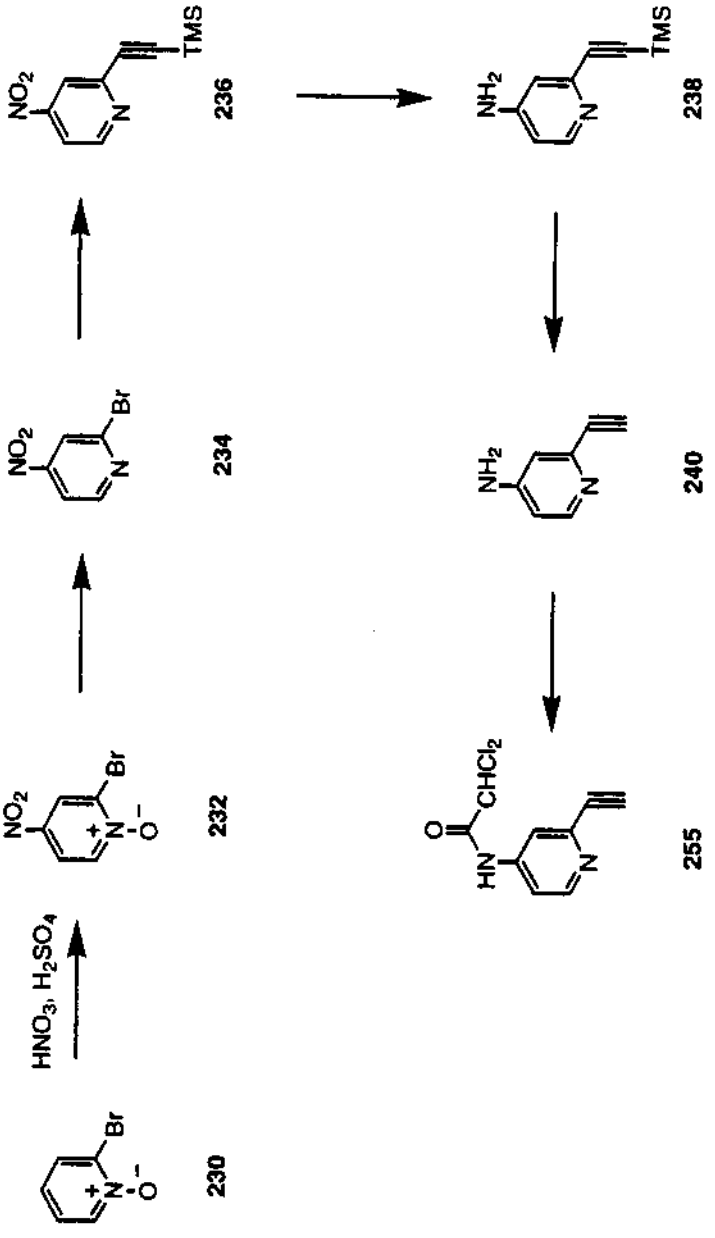

NO2
Br
N
O
HNO3, H2SO4
230
232
234
NO2
TMS
236
NH2
238
240
HN
CHCl2
255

FIG. 4C

FIG. 4D

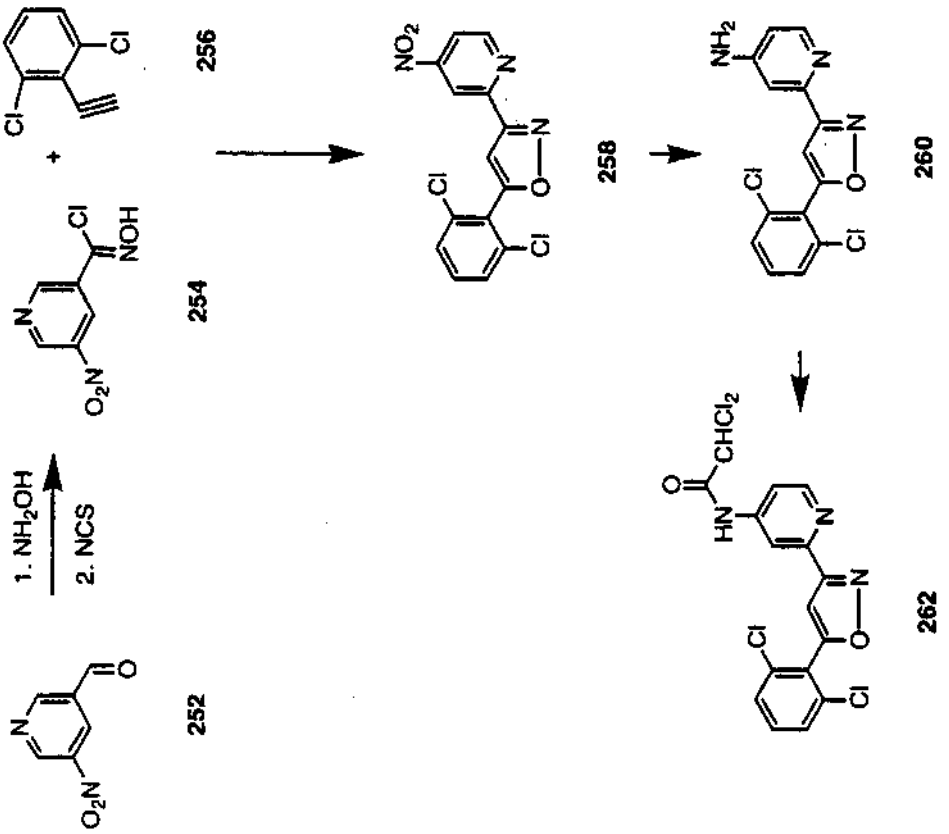


FIG. 5A

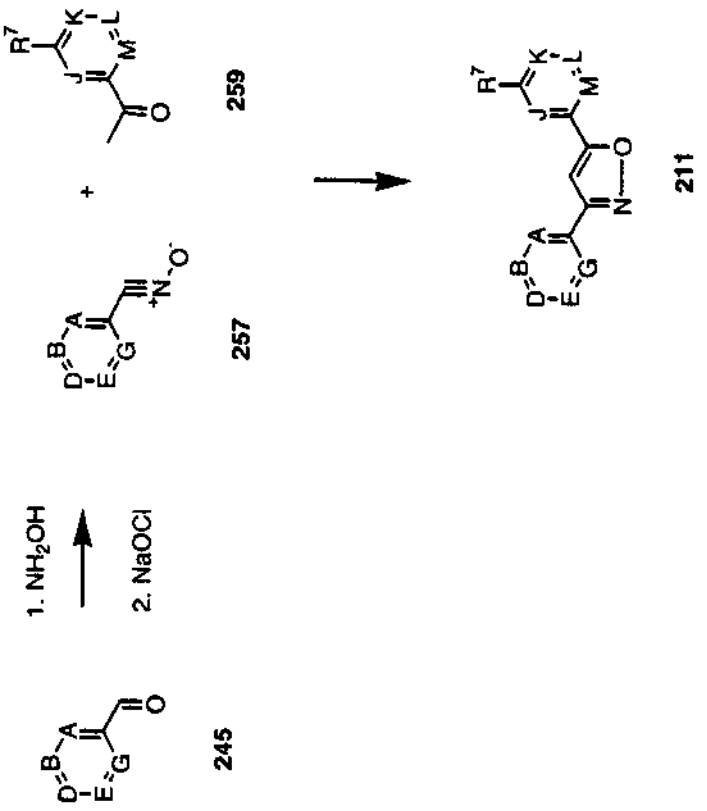


FIG. 5B

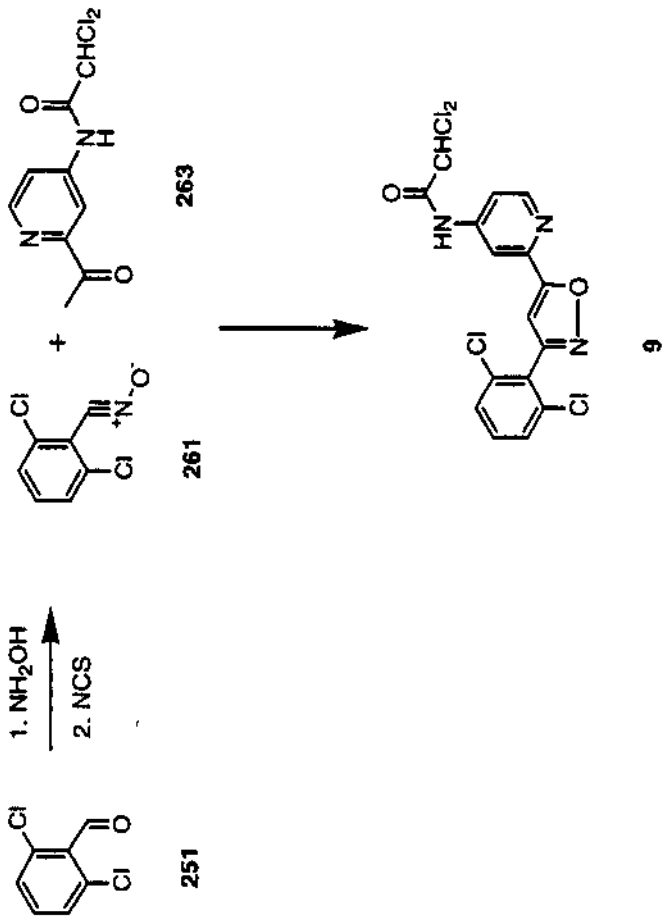


FIG. 6A

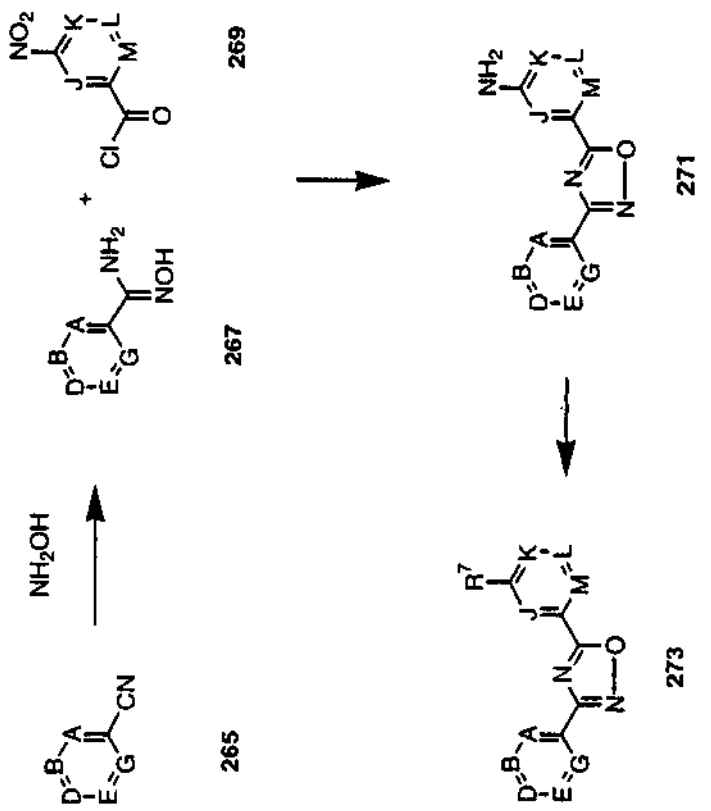


FIG. 6B

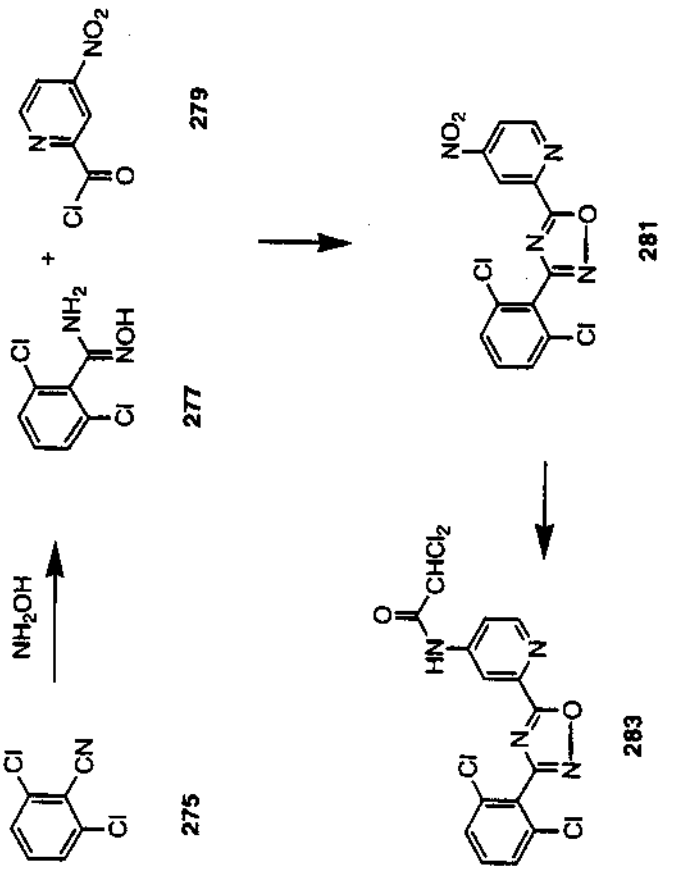

NO2
N
O
Cl
279
+
NH2
NOH
Cl
Cl
277
NH2OH
Cl
CN
Cl
275
NO2
N
O
N
N
Cl
Cl
281
O
CHCl2
HN
N
O
N
N
Cl
Cl
283

FIG. 7A

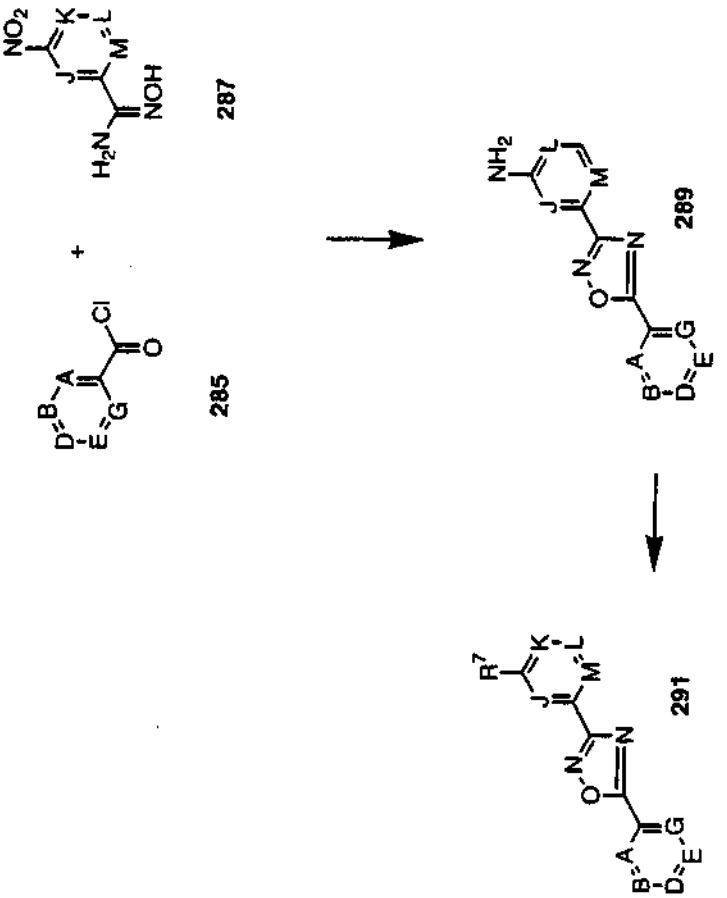


FIG. 7B

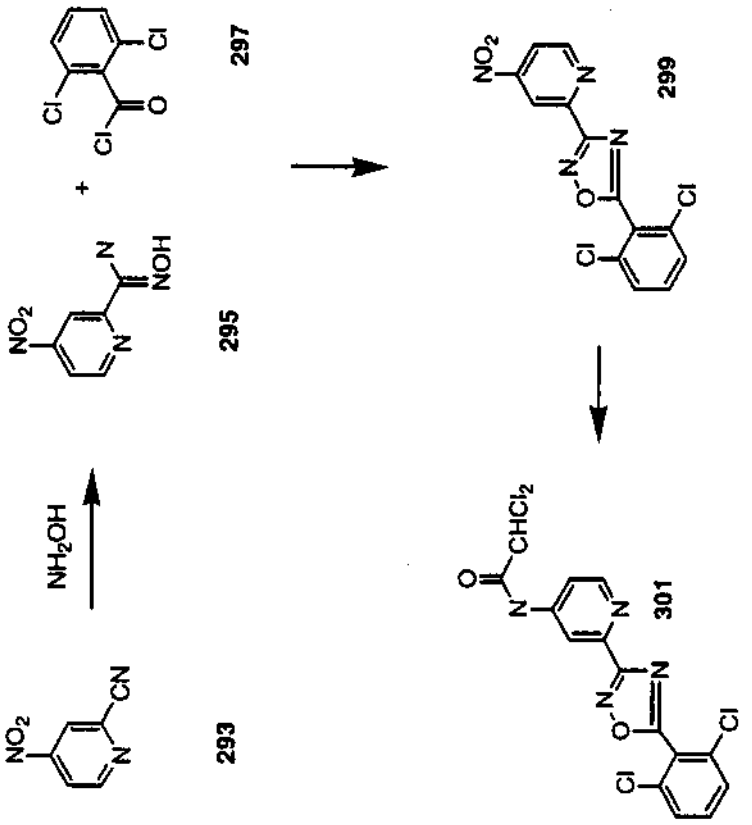


FIG. 8A

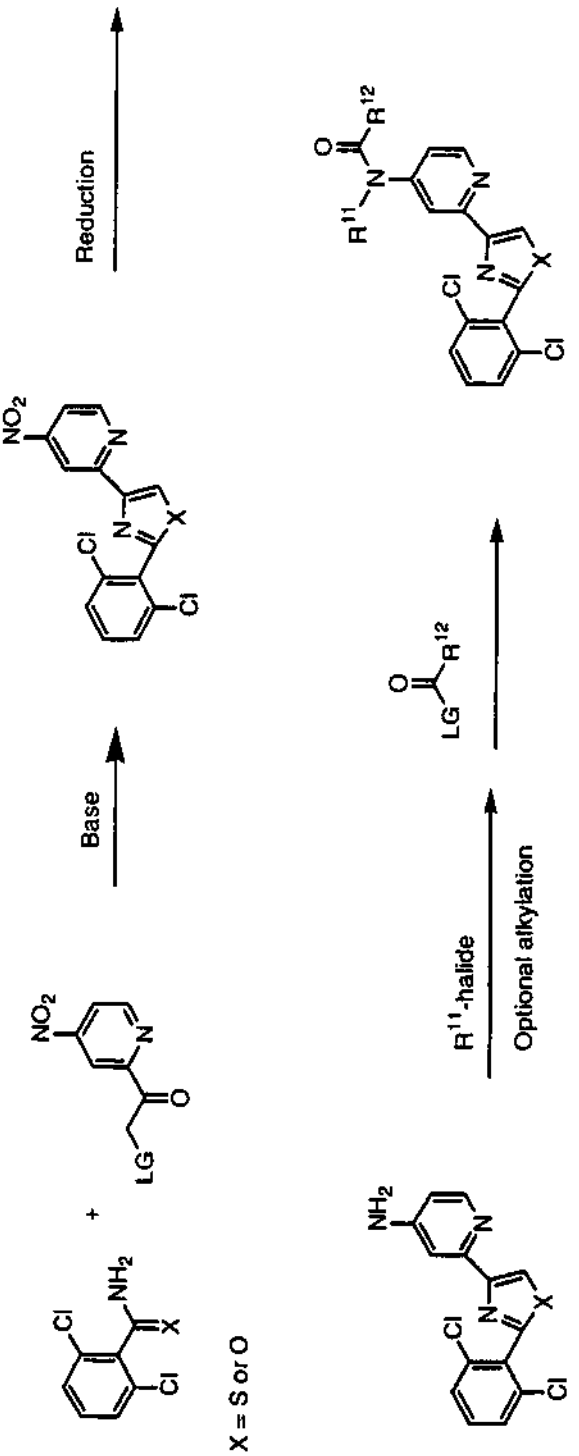


FIG. 8B

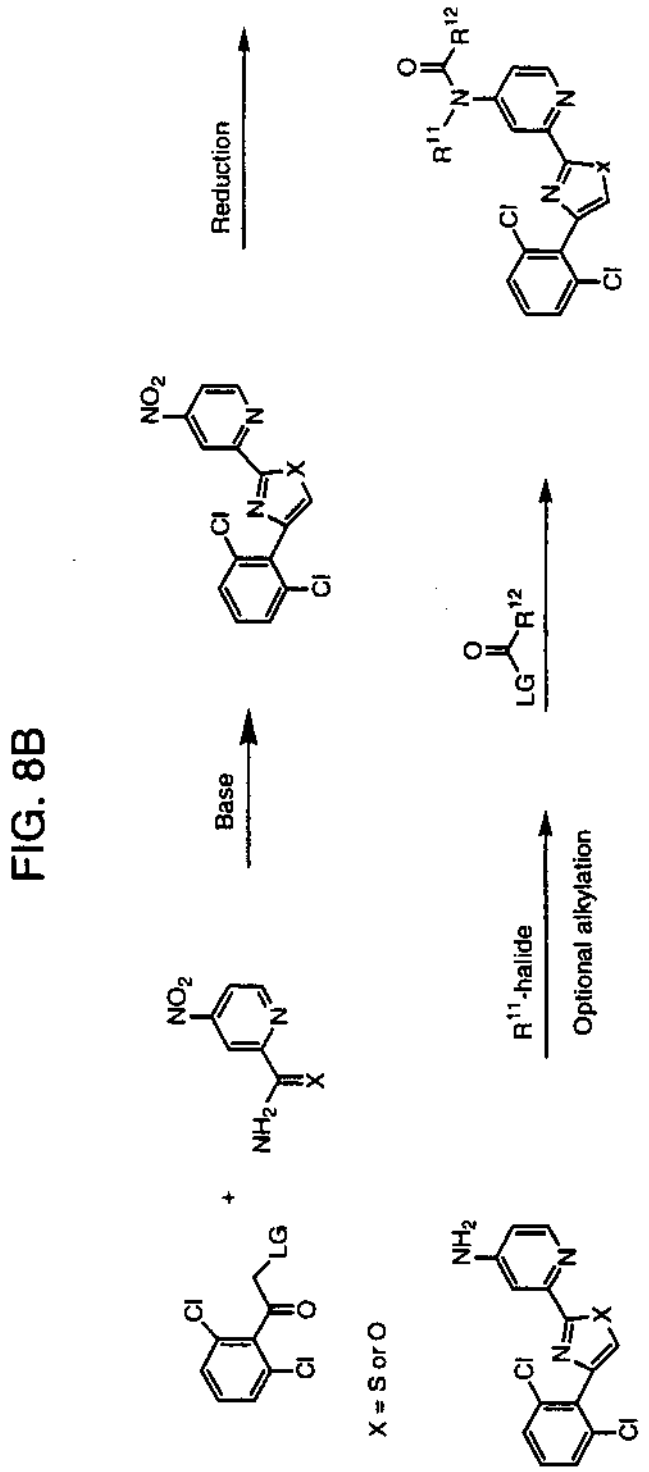


FIG. 9A

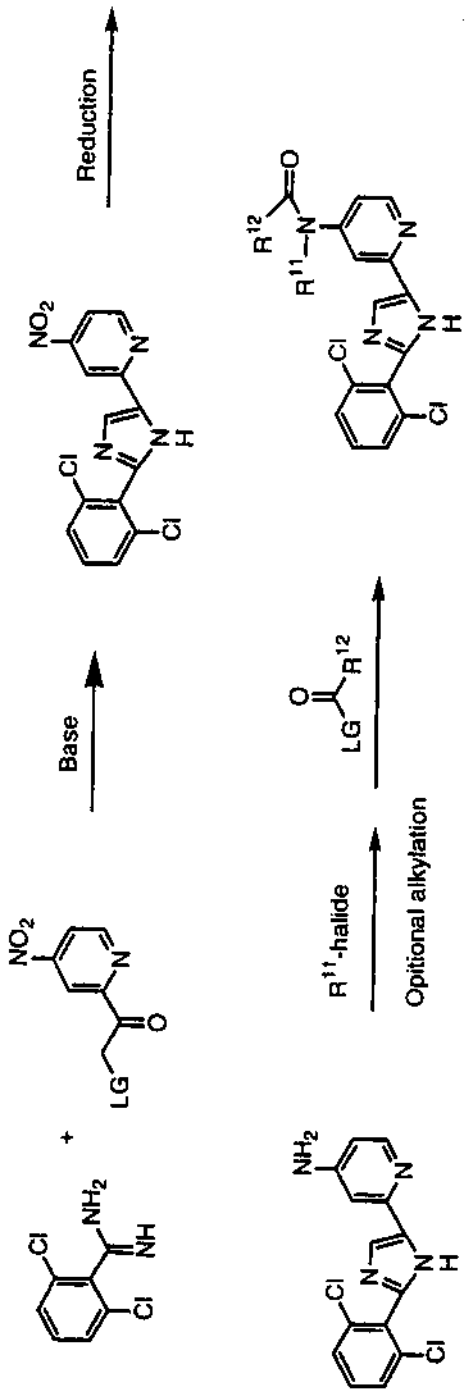

Reduction
Base
R11-halide
Optional alkylation
LG
NO2
NH2
Cl
N
H
O

FIG. 9B

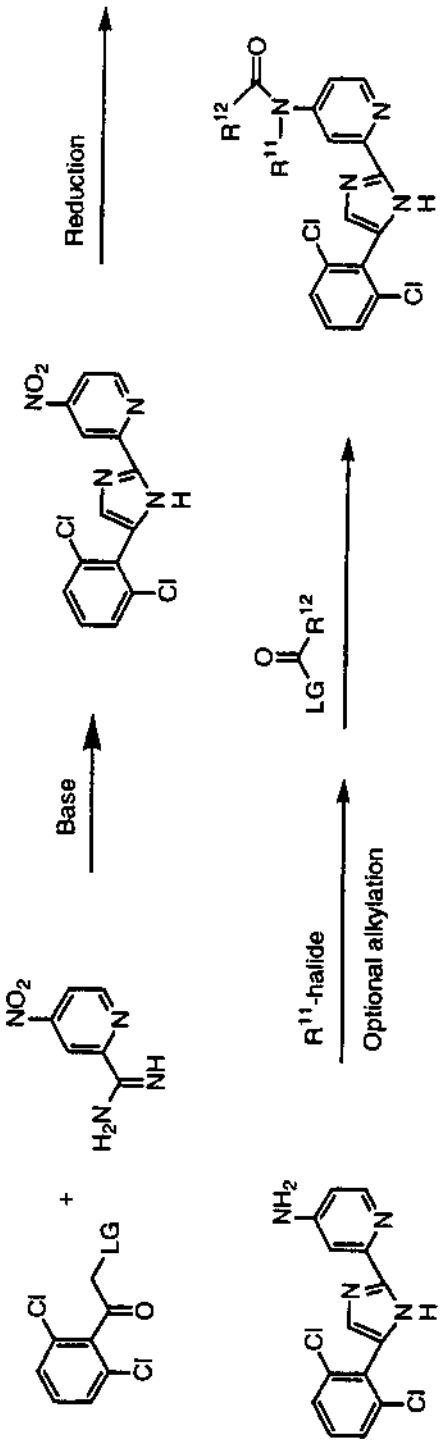

Reduction
Base
R11-halide
Optional alkylation
LG
Cl
Cl
O
NO2
NH
H2N
N
H
R12
R11
NH2

FIG. 10A

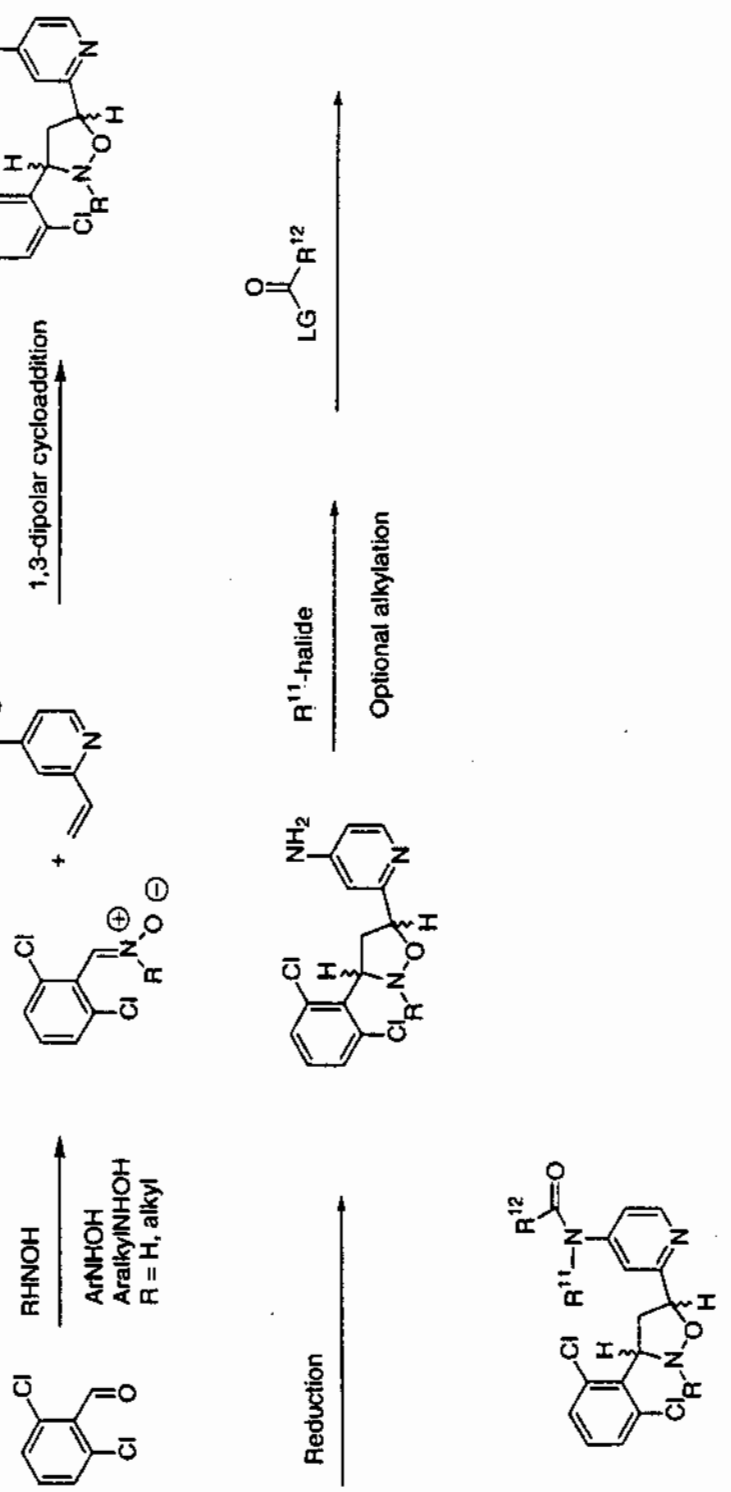

RHNOH
ArNHOH
AralkylNHOH
R = H, alkyl
1,3-dipolar cycloaddition
R11-halide
Optional alkylation
Reduction

FIG. 10B

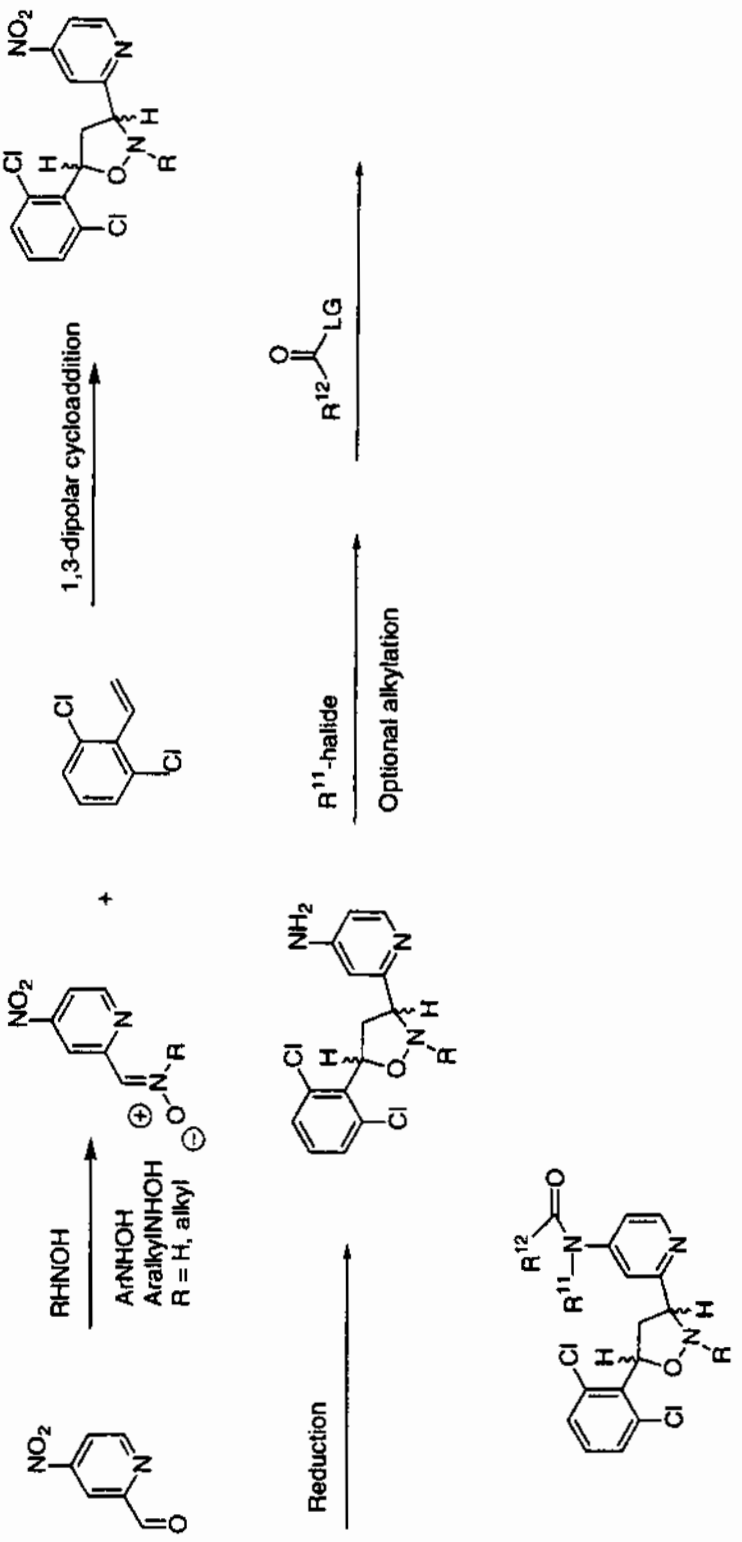

RHNOH
ArNHOH
Aralkyl/NHOH
R = H, alkyl
1,3-dipolar cycloaddition
Reduction
R11-halide
Optional alkylation
LG

FIG. 11A

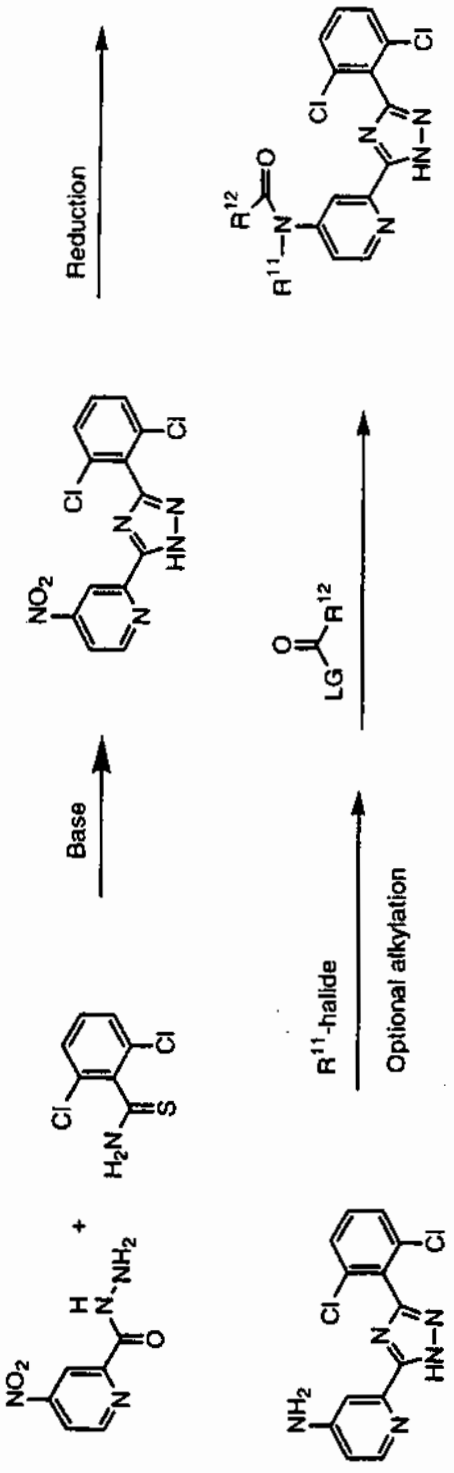


FIG. 11B

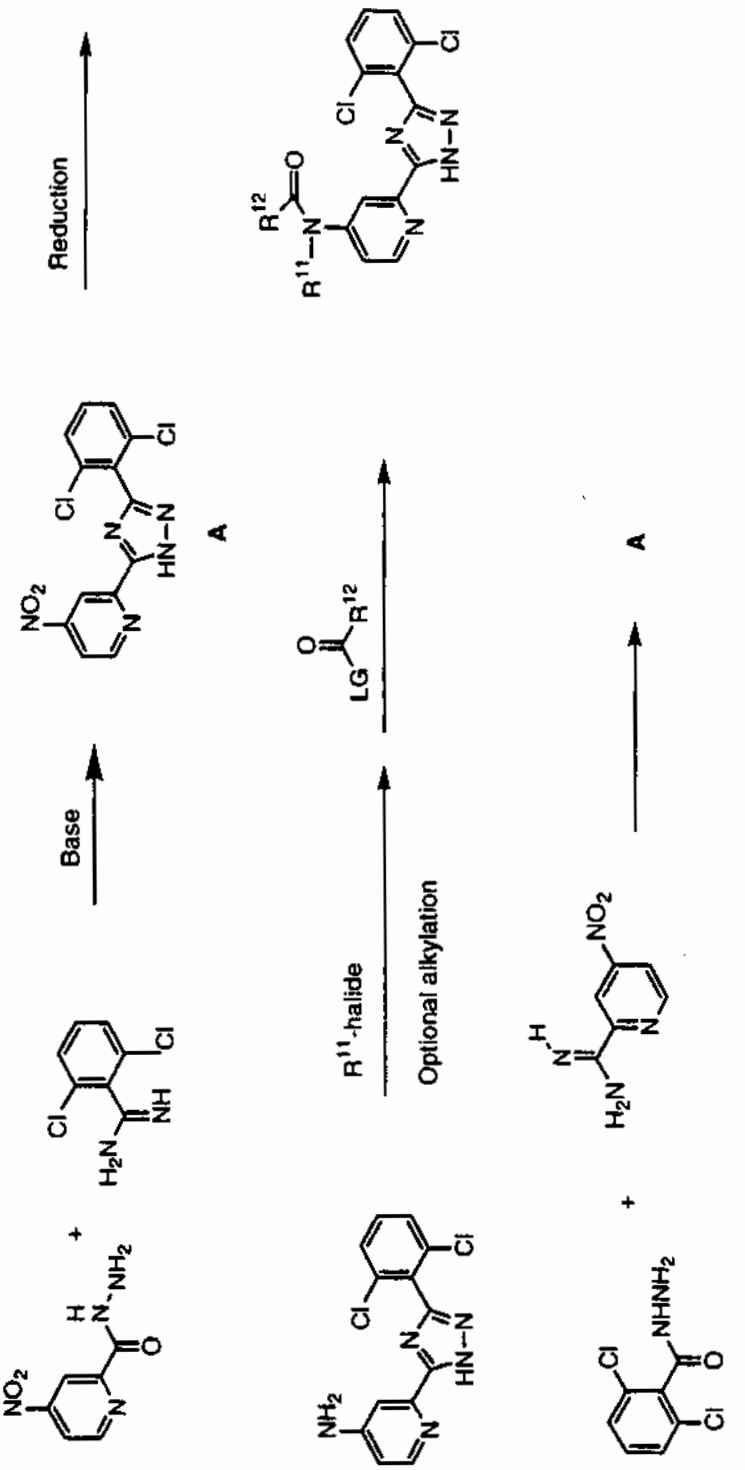


FIG. 12A

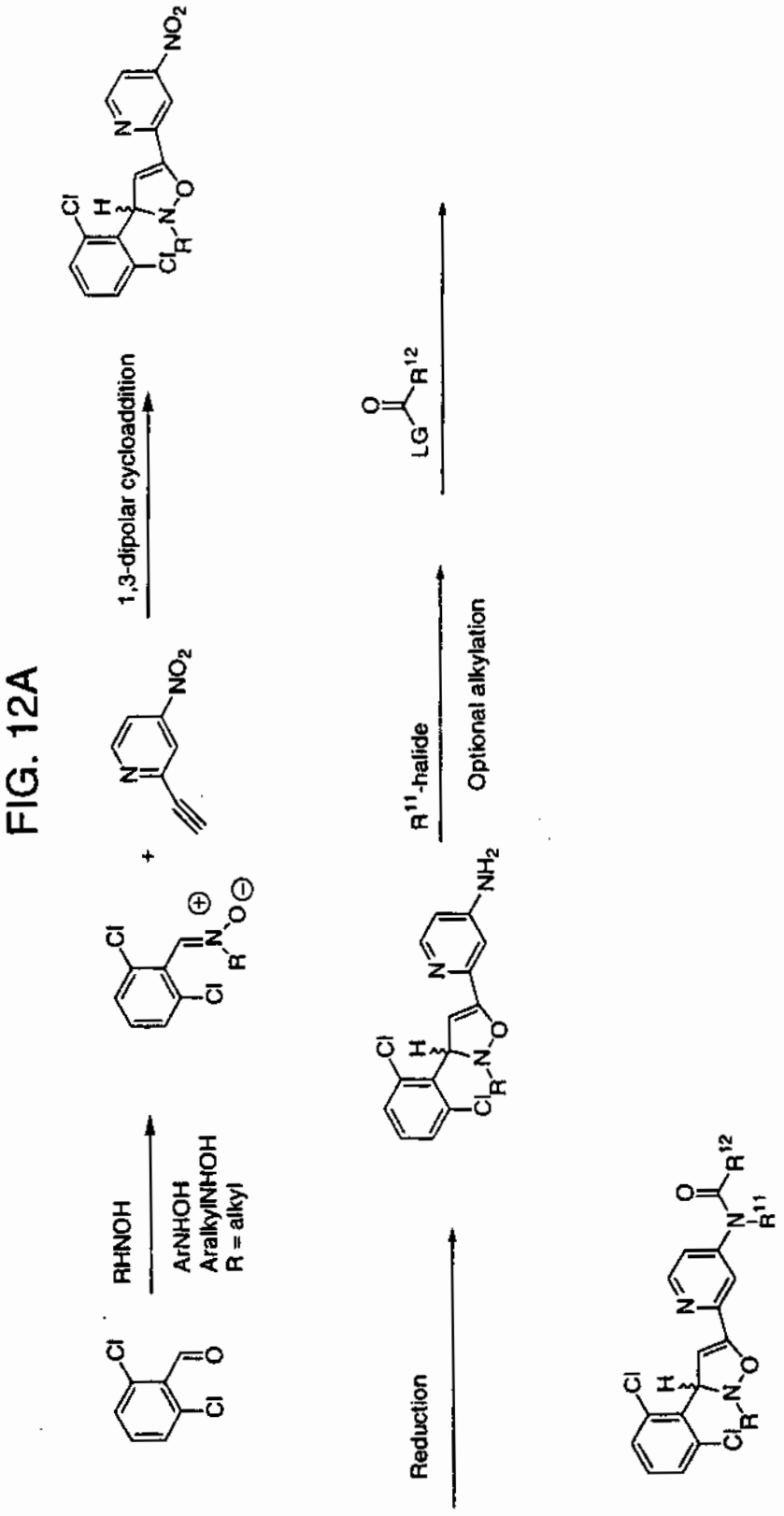
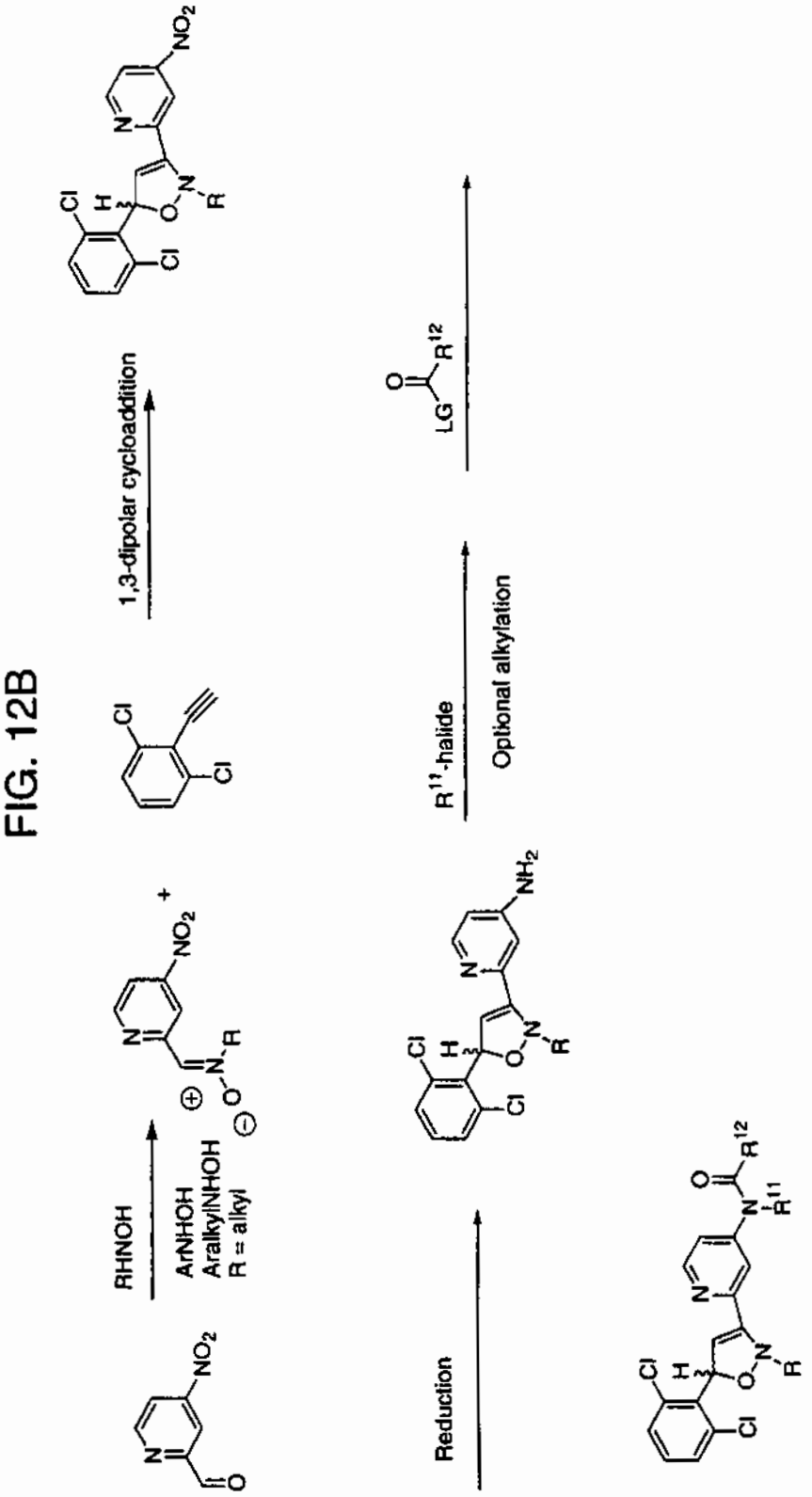

RHNOH
ArNHOH
AralkylNHOH
R = alkyl
1,3-dipolar cycloaddition
R11-halide
Optional alkylation
Reduction

FIG. 12B


RHNOH
ArNHOH
AralkylNHOH
R = alkyl
1,3-dipolar cycloaddition
R11-halide
Optional alkylation
Reduction

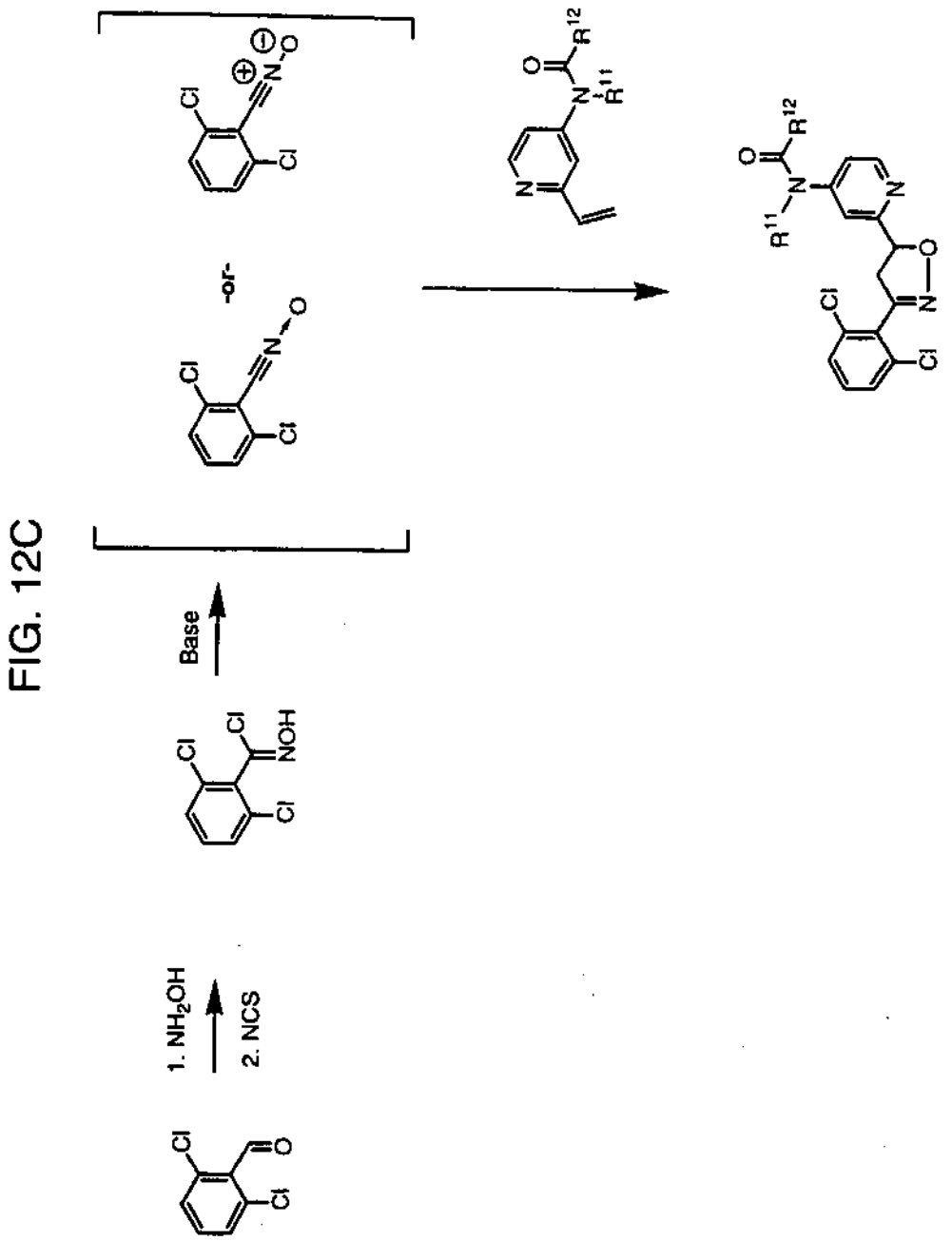
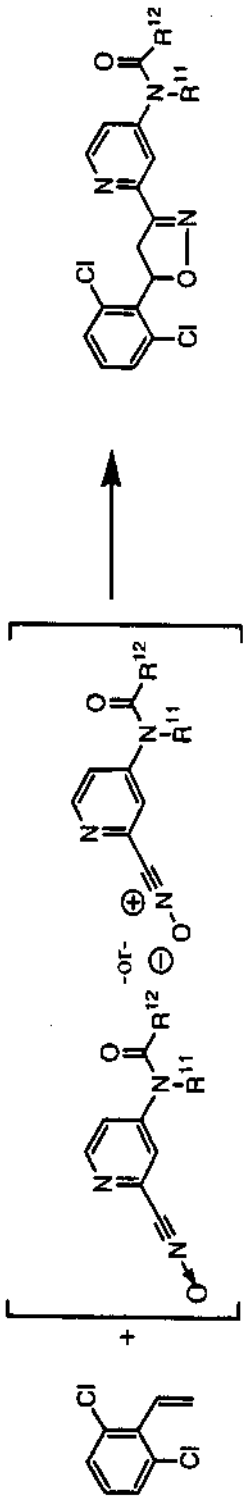


FIG. 12C

FIG. 12D



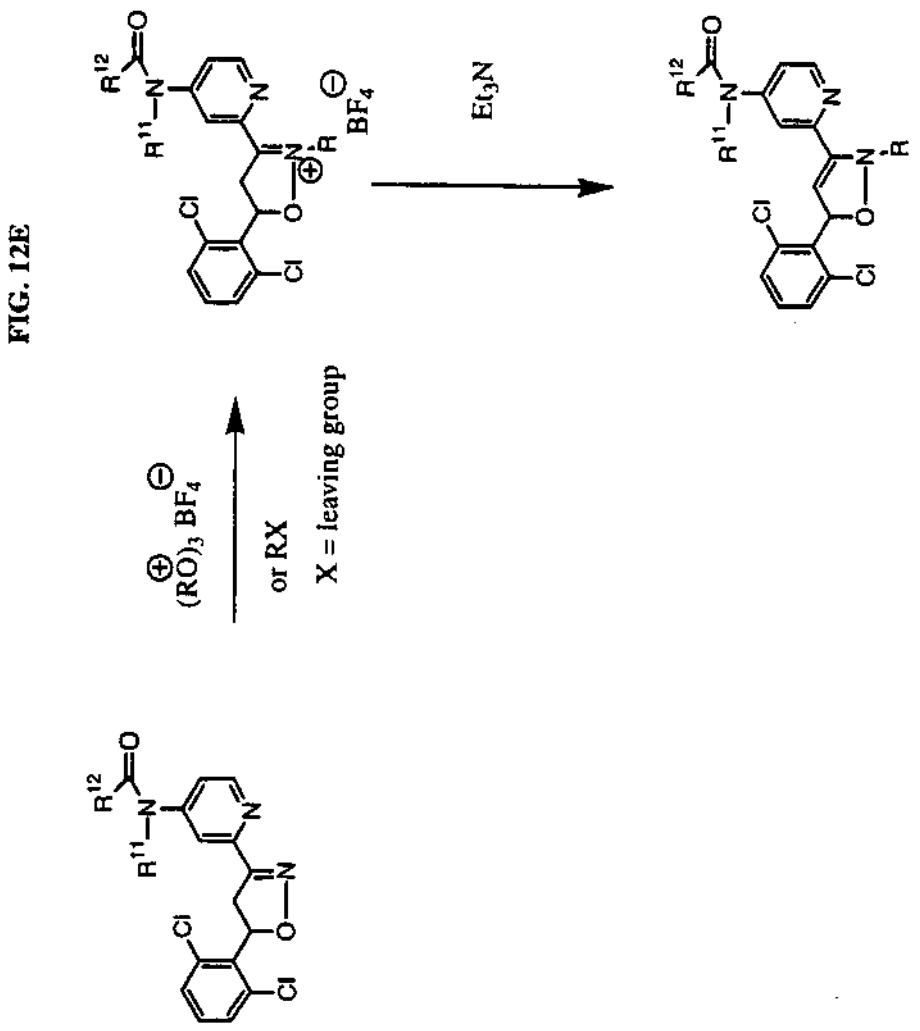
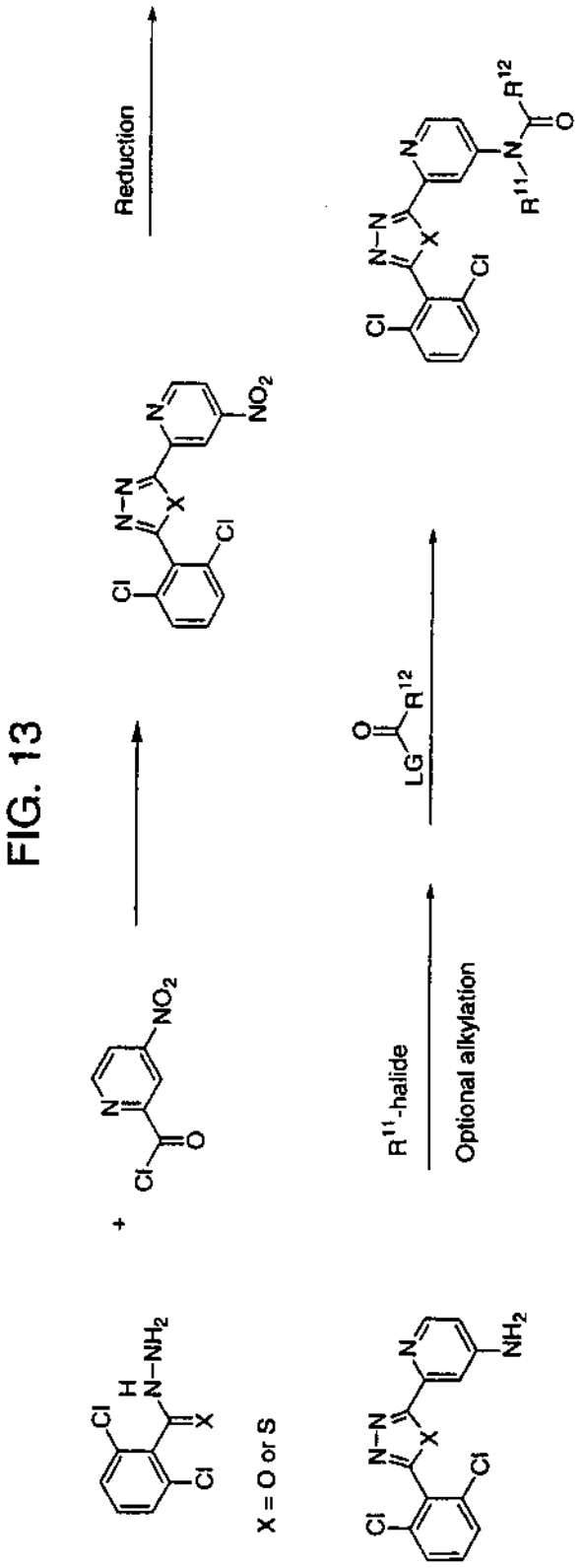


FIG. 12E

FIG. 13



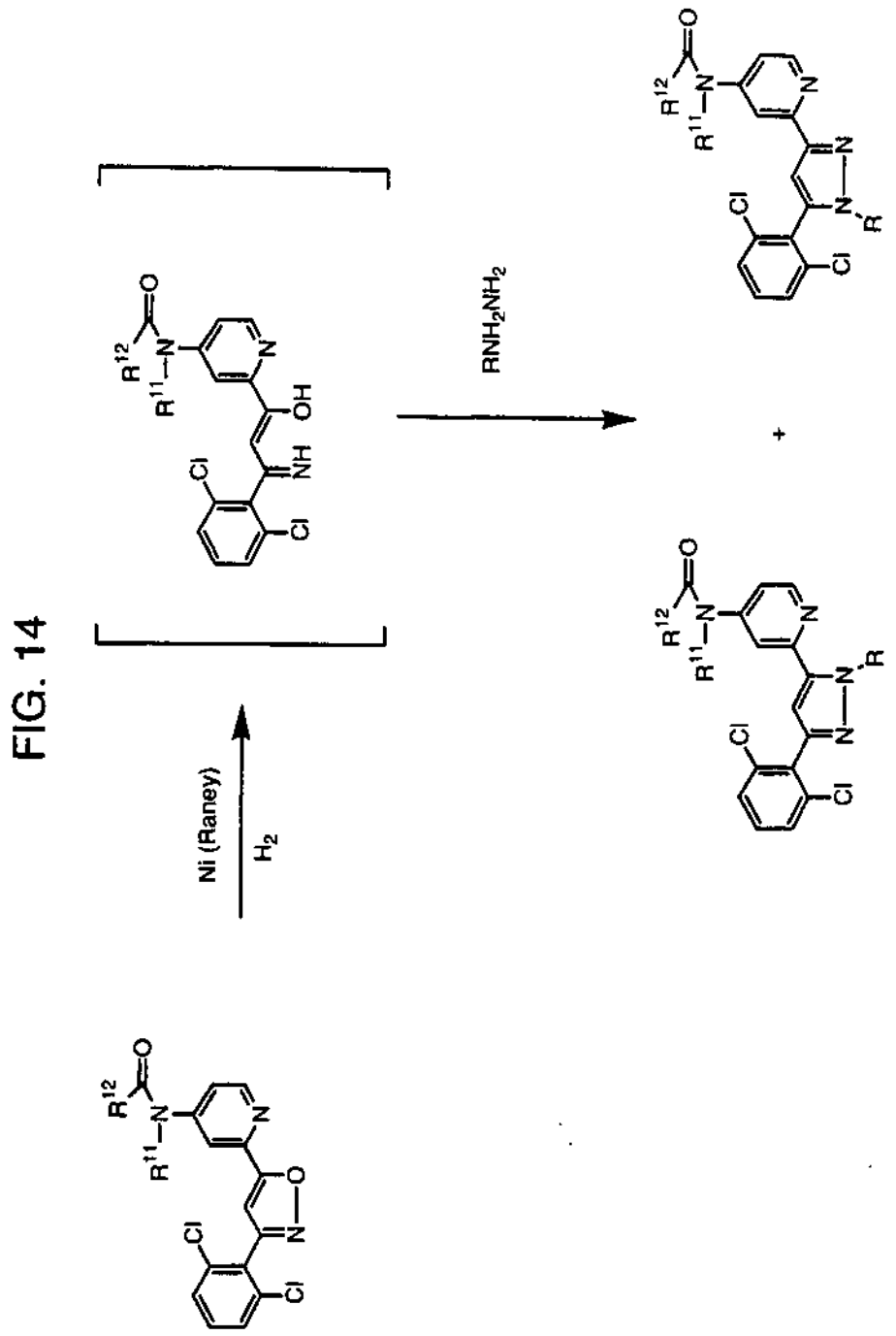


FIG. 14

Figure 15

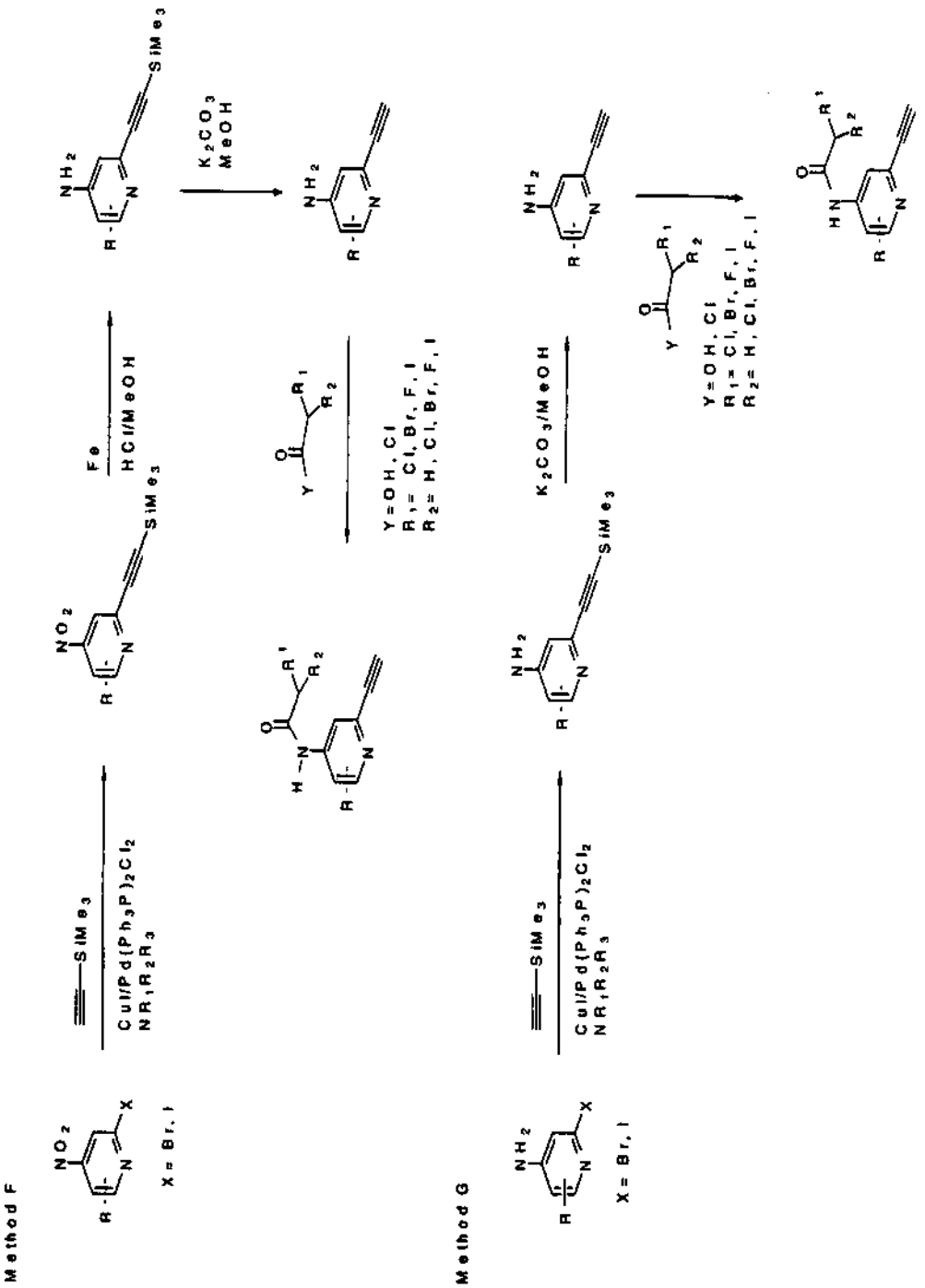
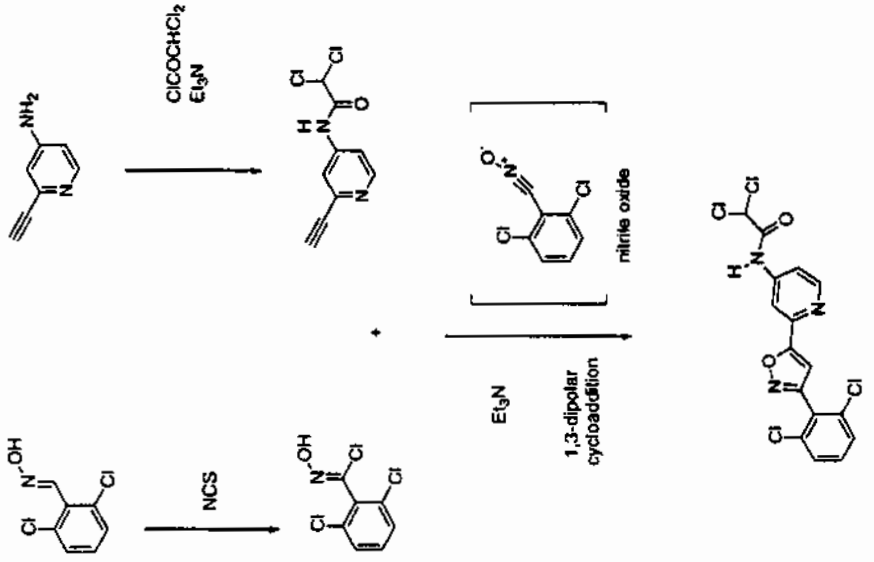

Method F
X = Br, I
Cul/Pd(Ph3P)2Cl2
NR1R2R3
SiMe3
Fe
HCl/MeOH
K2CO3
MeOH
Y = OH, Cl
R1 = Cl, Br, F, I
R2 = H, Cl, Br, F, I
Method G
X = Br, I
Cul/Pd(Ph3P)2Cl2
NR1R2R3
SiMe3
K2CO3/MeOH
Y = OH, Cl
R1 = Cl, Br, F, I
R2 = H, Cl, Br, F, I

Figure 16
Para C-ring phenyl isomer - isoxazole series



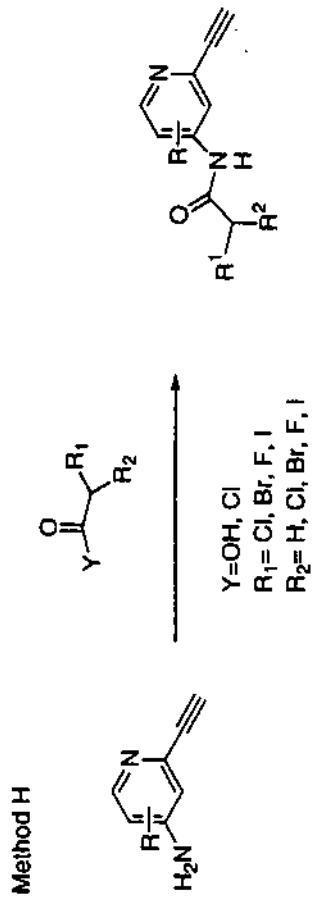


Figure 17

Figure 18
Reverse meta-isoxazole

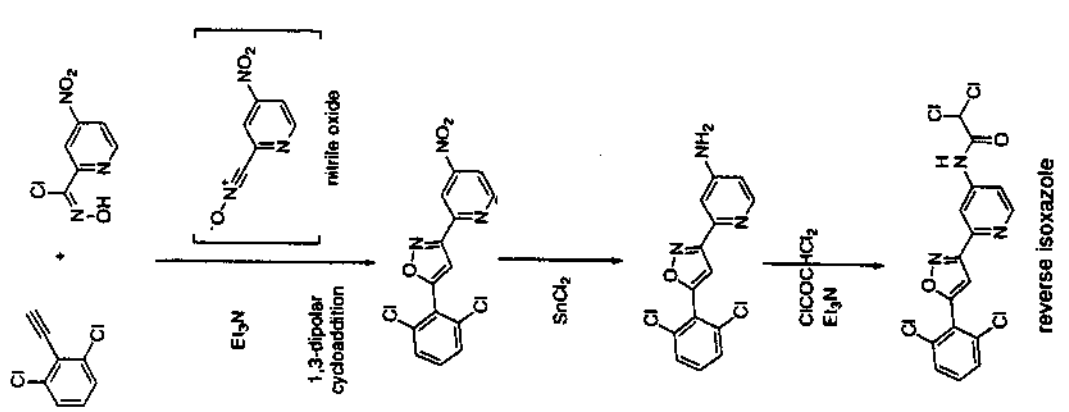


Figure 19
Reverse 2-isoxazoline

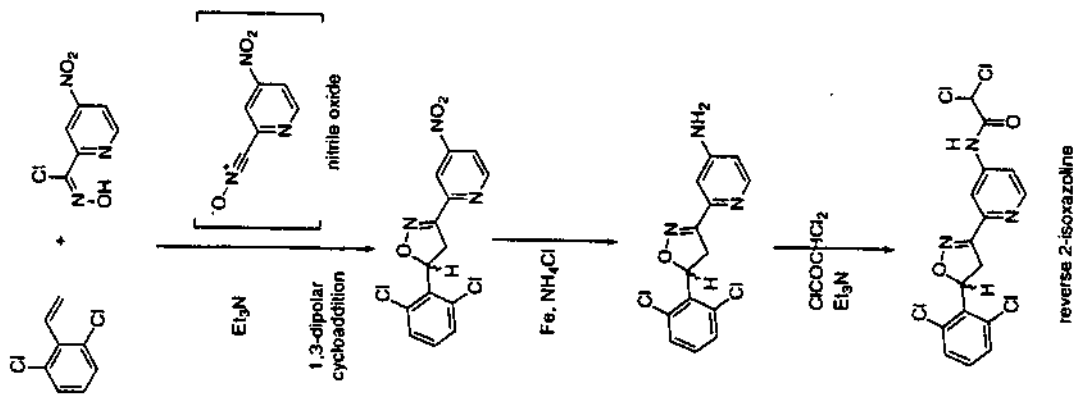


Figure 20
2-Isoxazoline

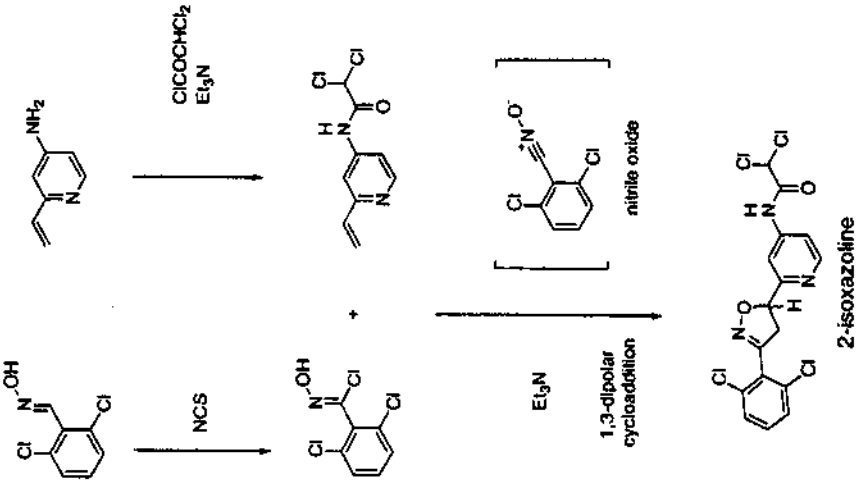


Figure 21
4-Isoxazoline

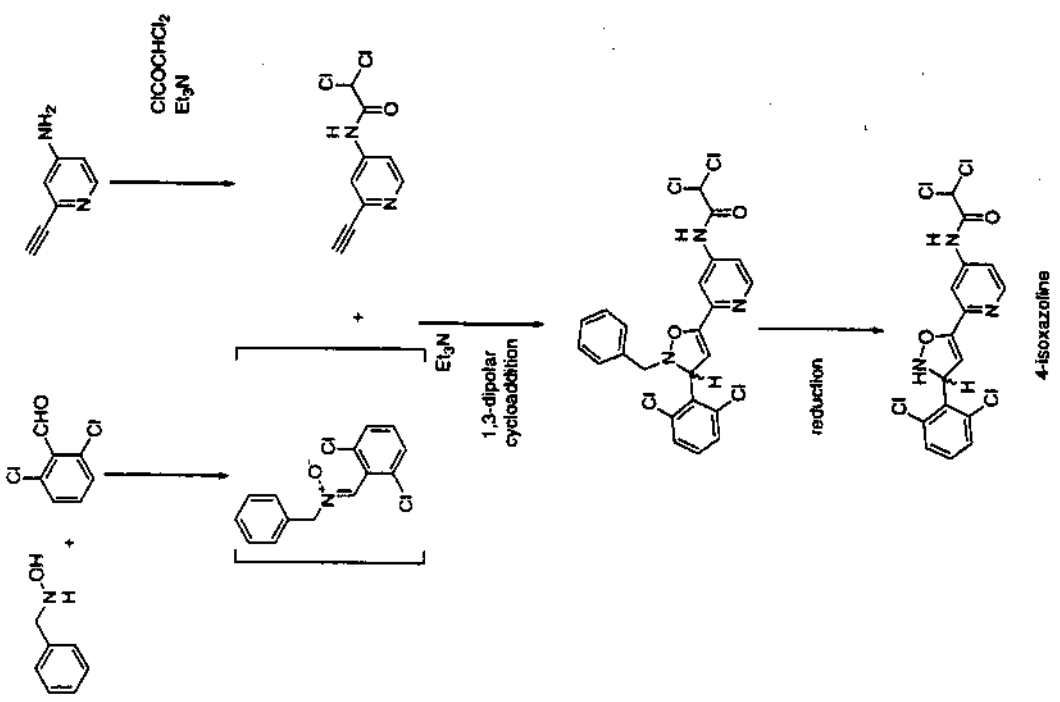


Figure 22
Reverse 4-Isoxazoline

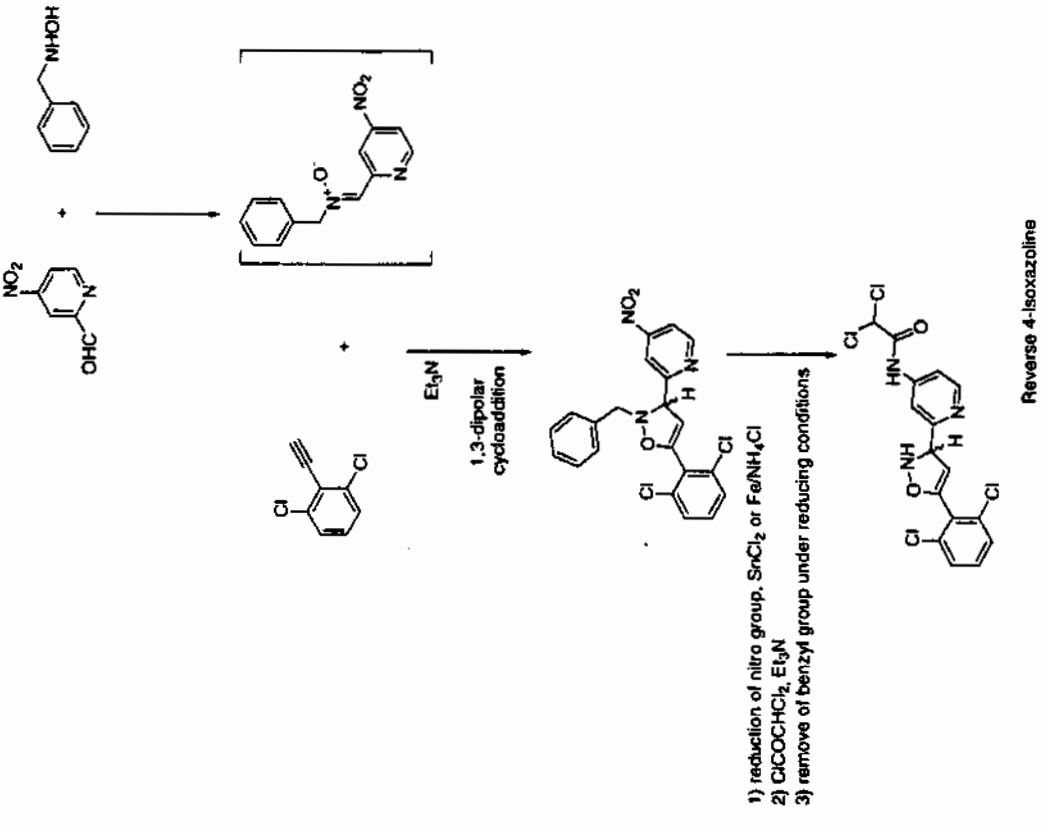


Figure 23
3-Isoxazoline

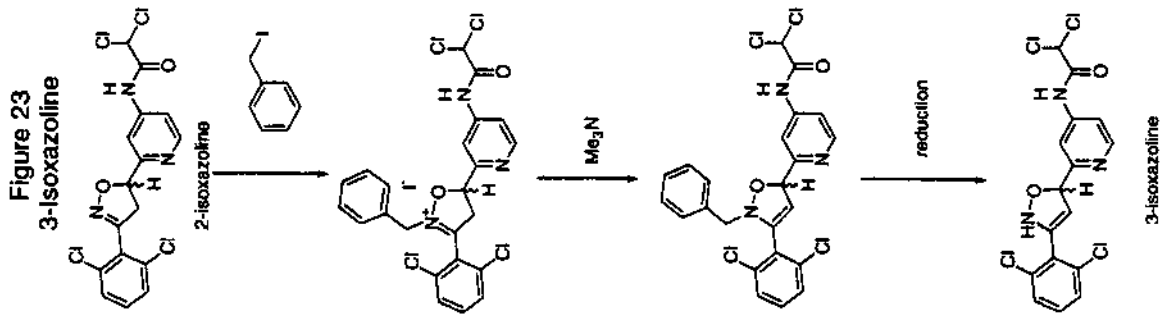


Figure 24
Reverse 3-isoxazoline

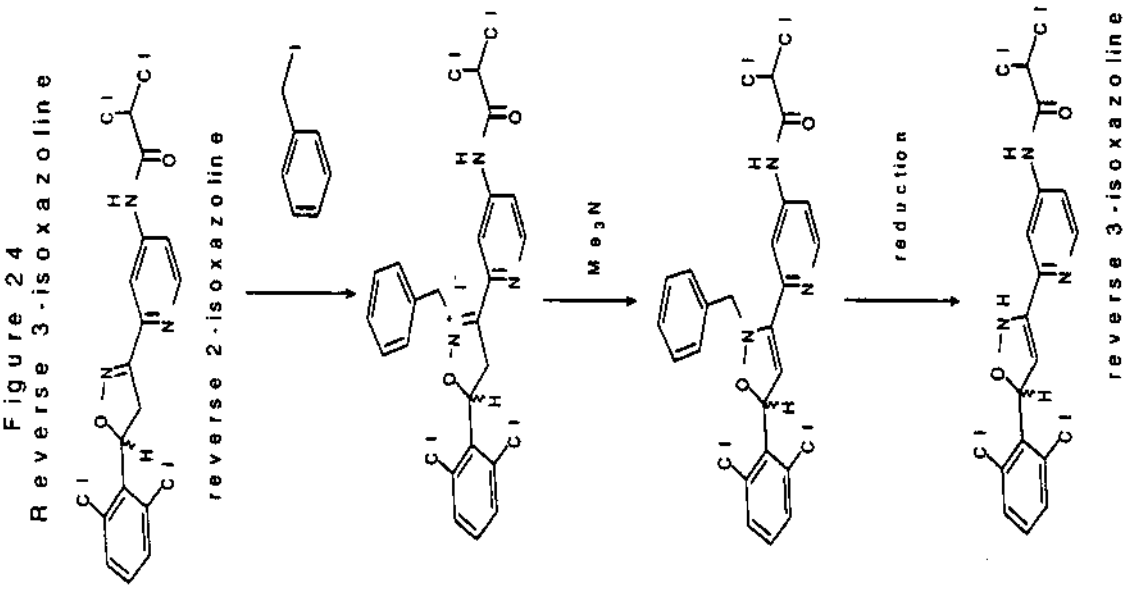


Figure 25
Isoxazolidines

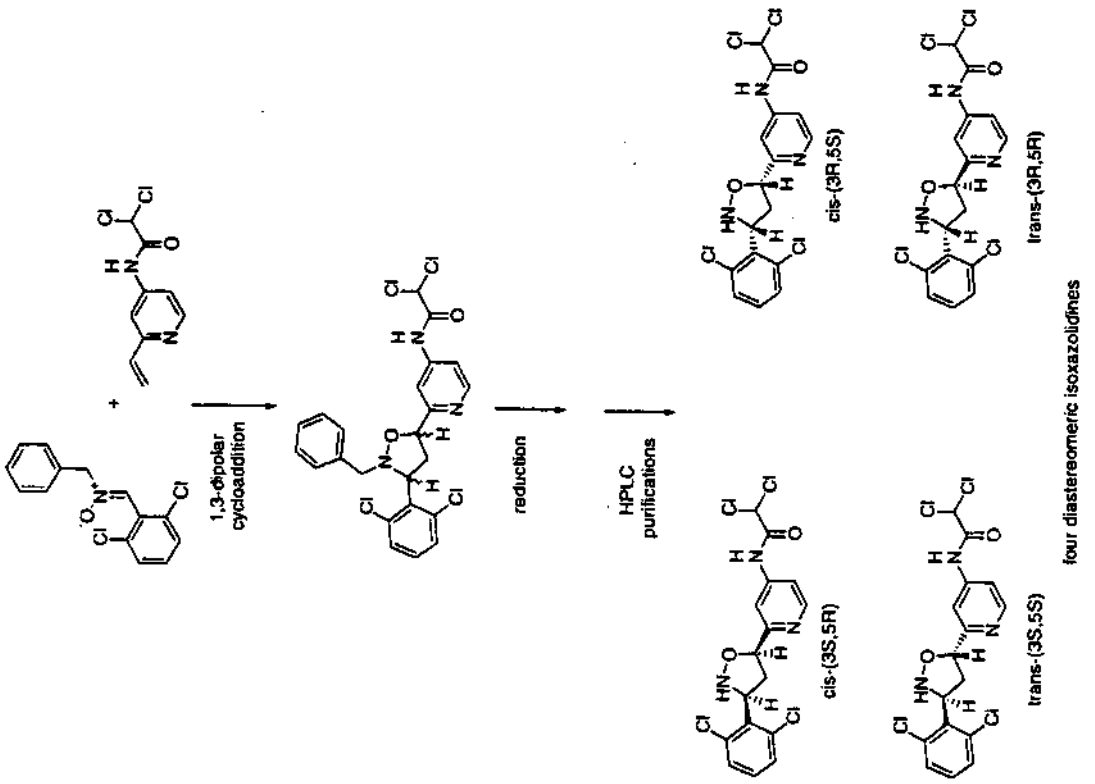


Figure 26
Reverse isoxazolidines

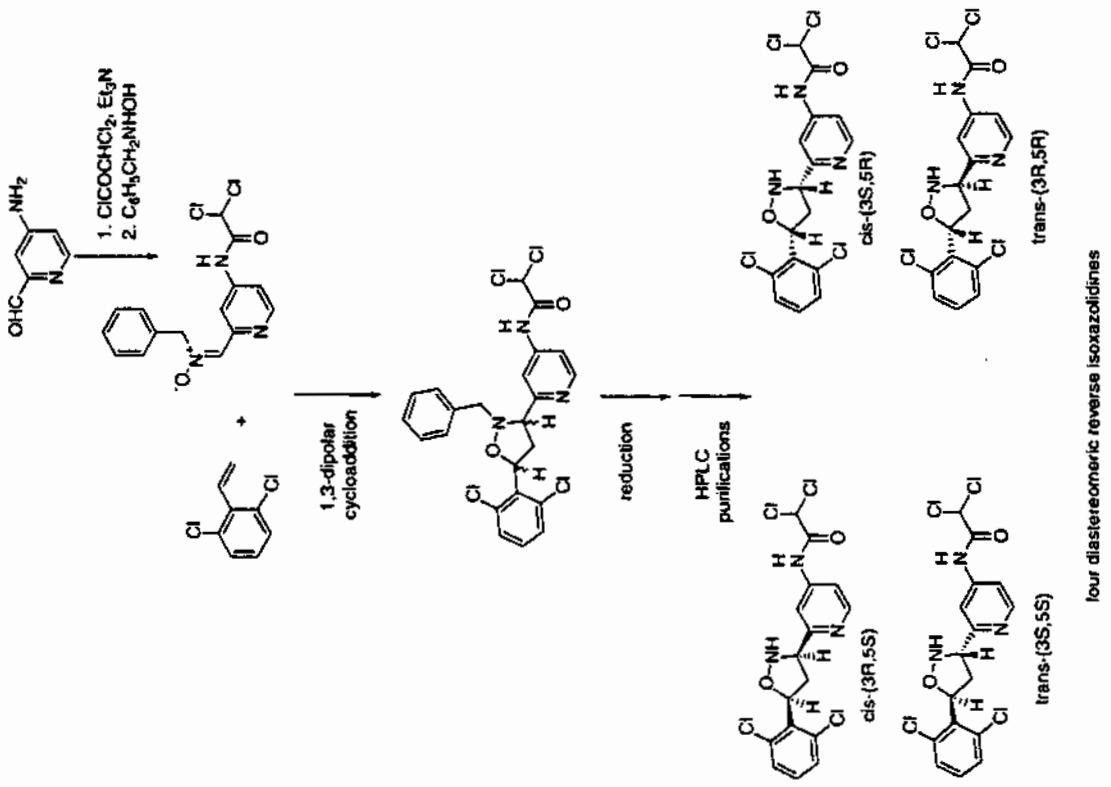


Figure 27
1,2-pyrazolidines

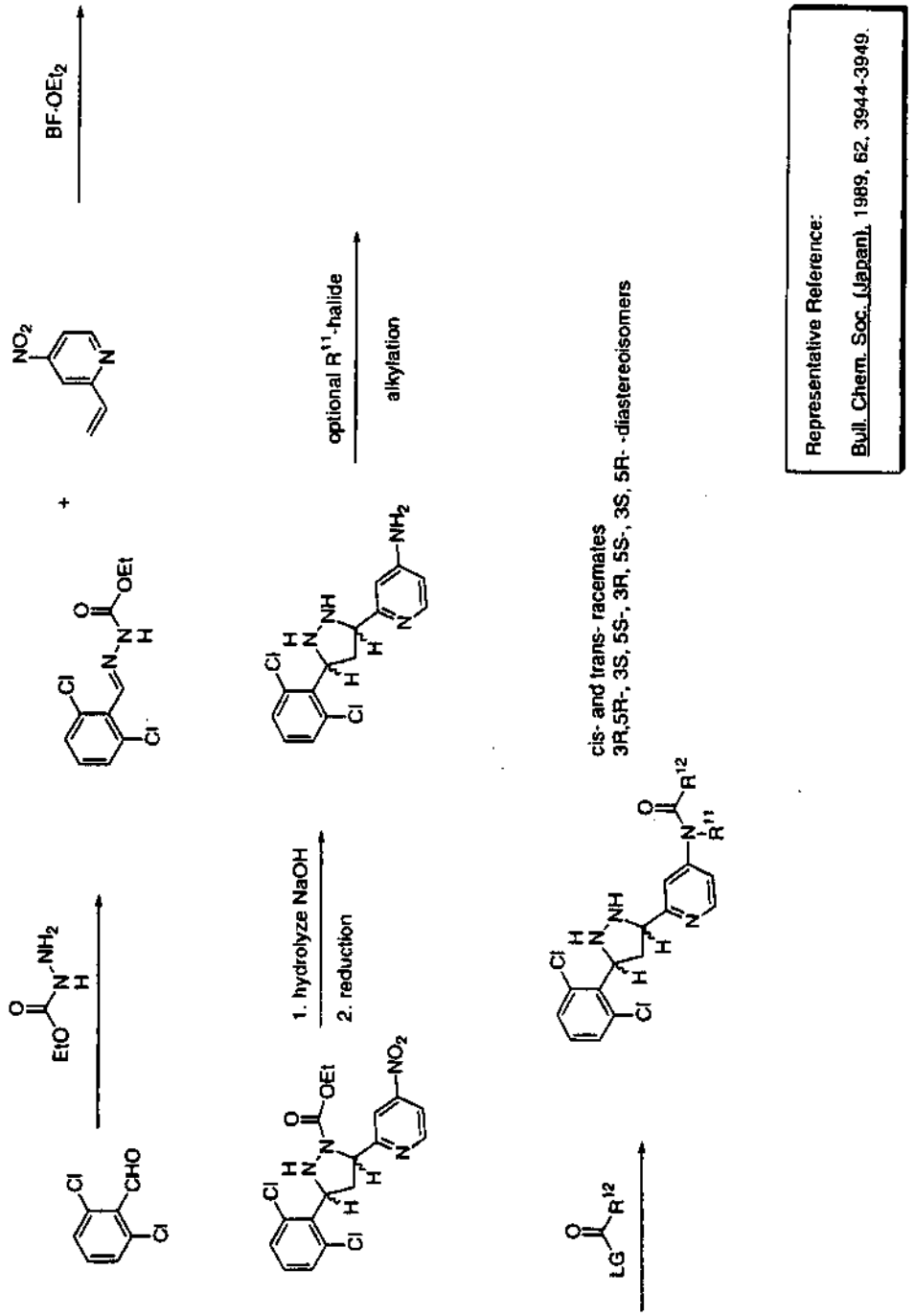


Figure 28
4,5-dihydro-oxadiazoles

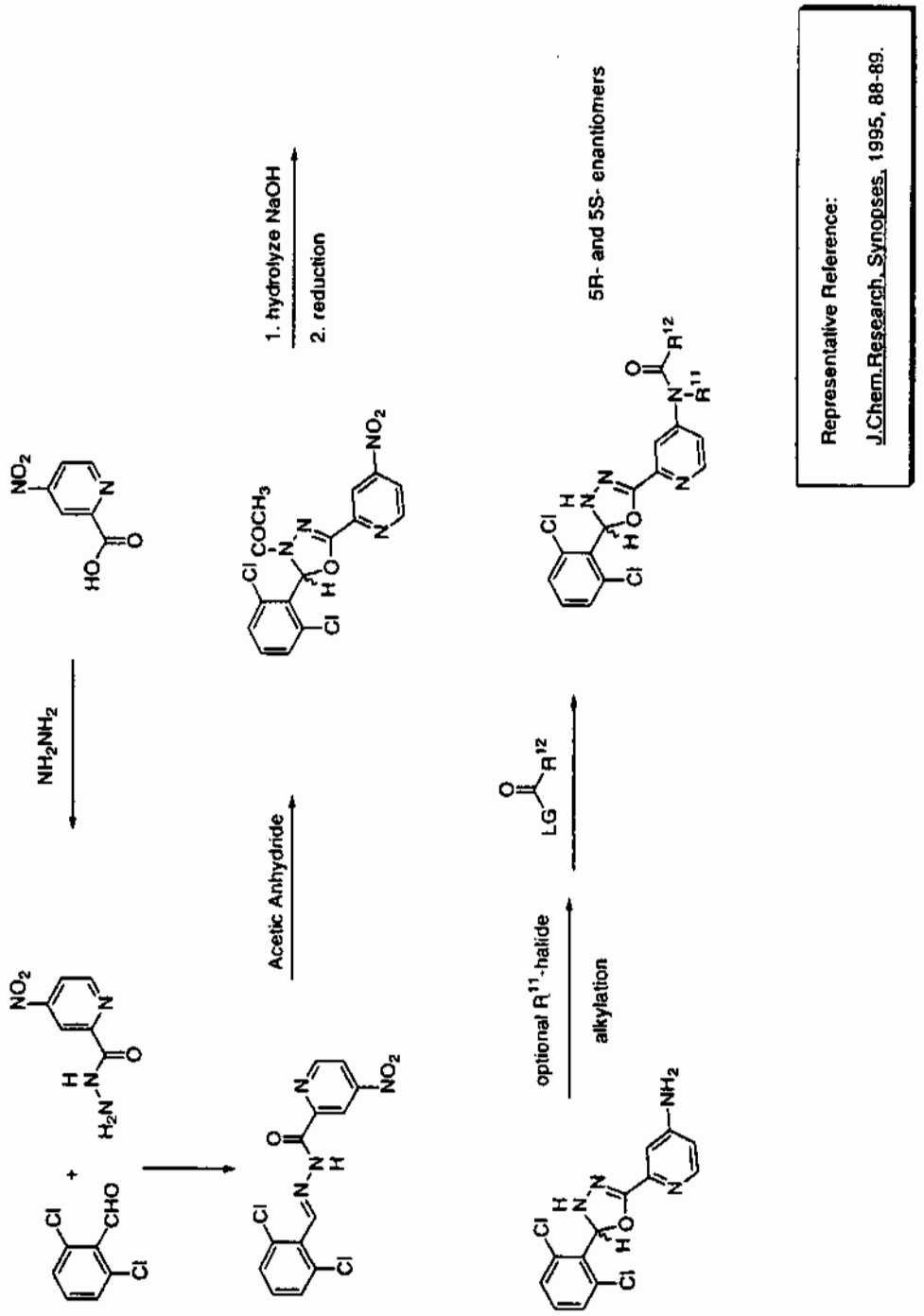
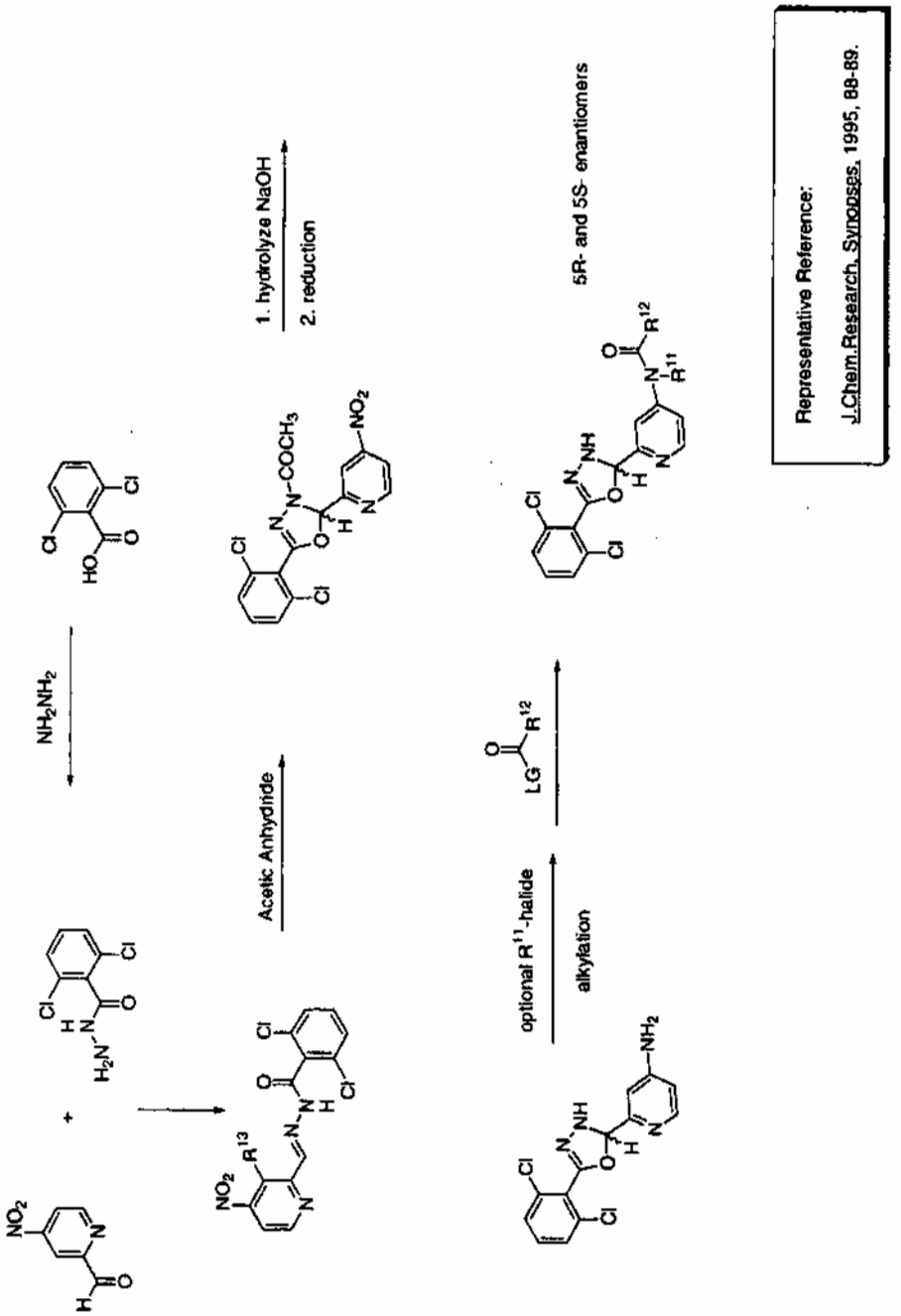


Figure 29
Reverse 4,5-dihydro-oxadiazoles



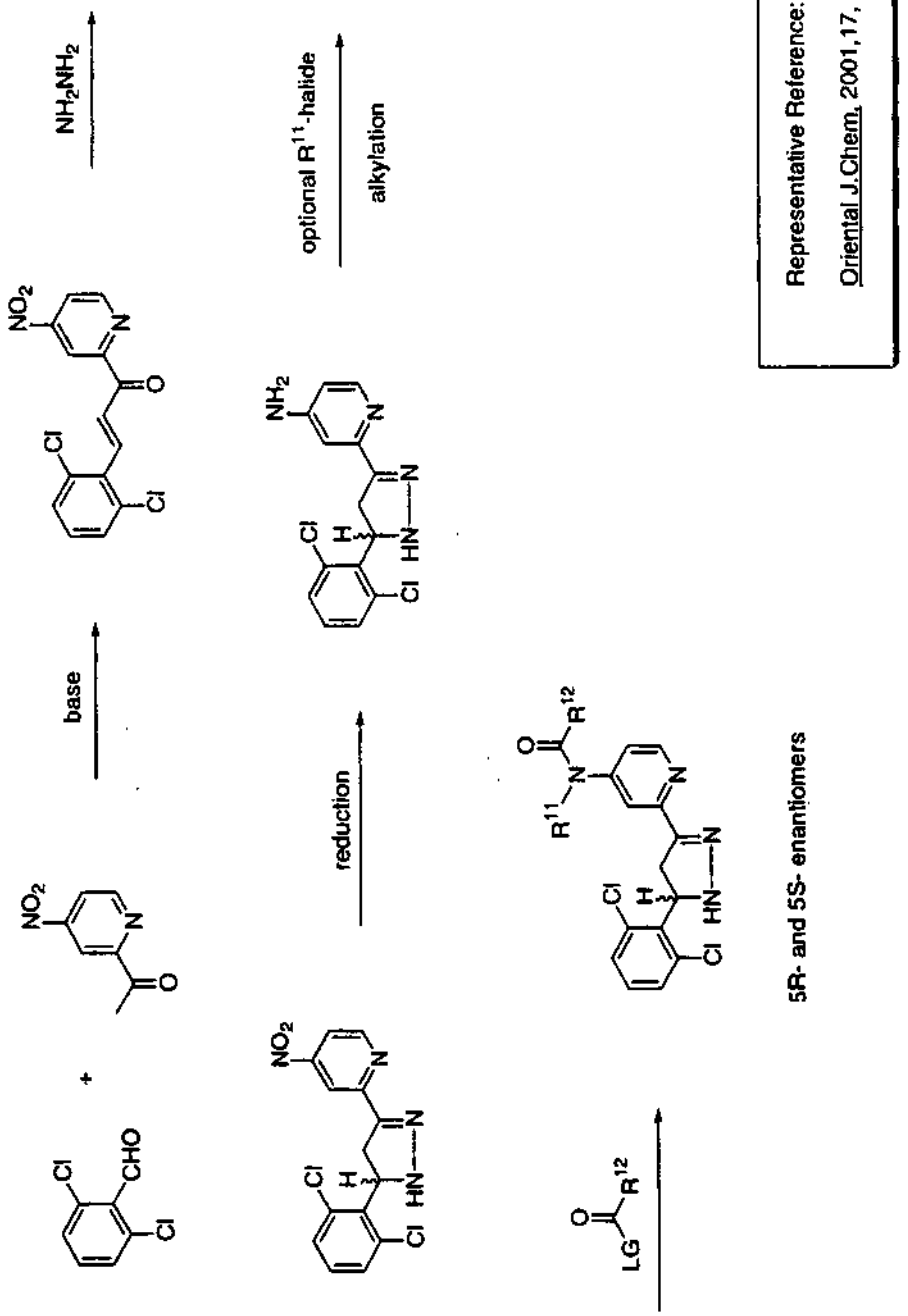
Representative Reference:
J.Chem.Research, Synopses, 1995, 88-89.

Figure 30
2-pyrazolines

Representative Reference:

Oriental J.Chem. 2001,17, 513-514.

Figure 31
reverse 2-pyrazolines



Representative Reference:
Oriental J.Chem, 2001,17, 513-514.

Figure 32
3-pyrazolines

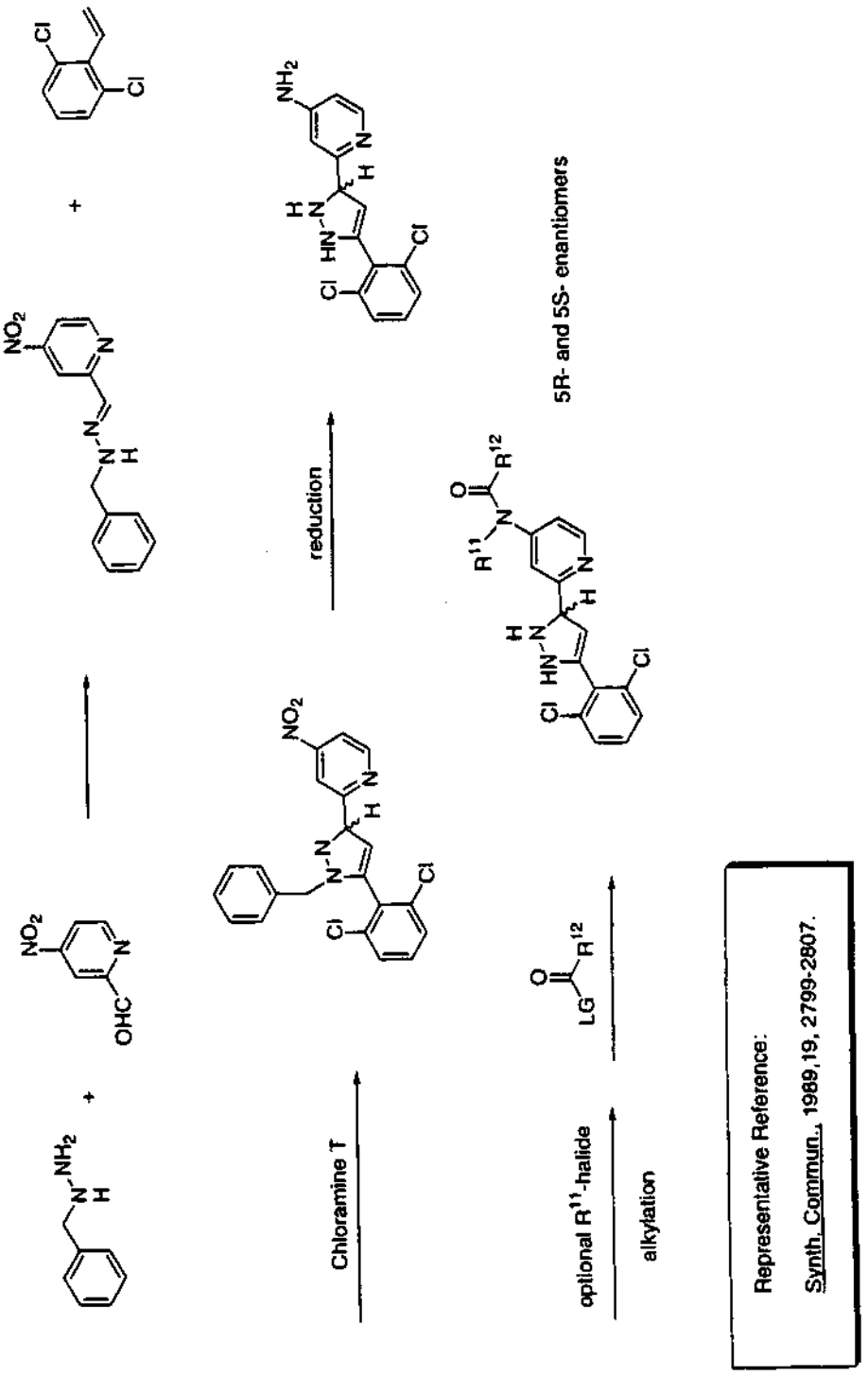


Figure 33
Reverse 3-pyrazolines

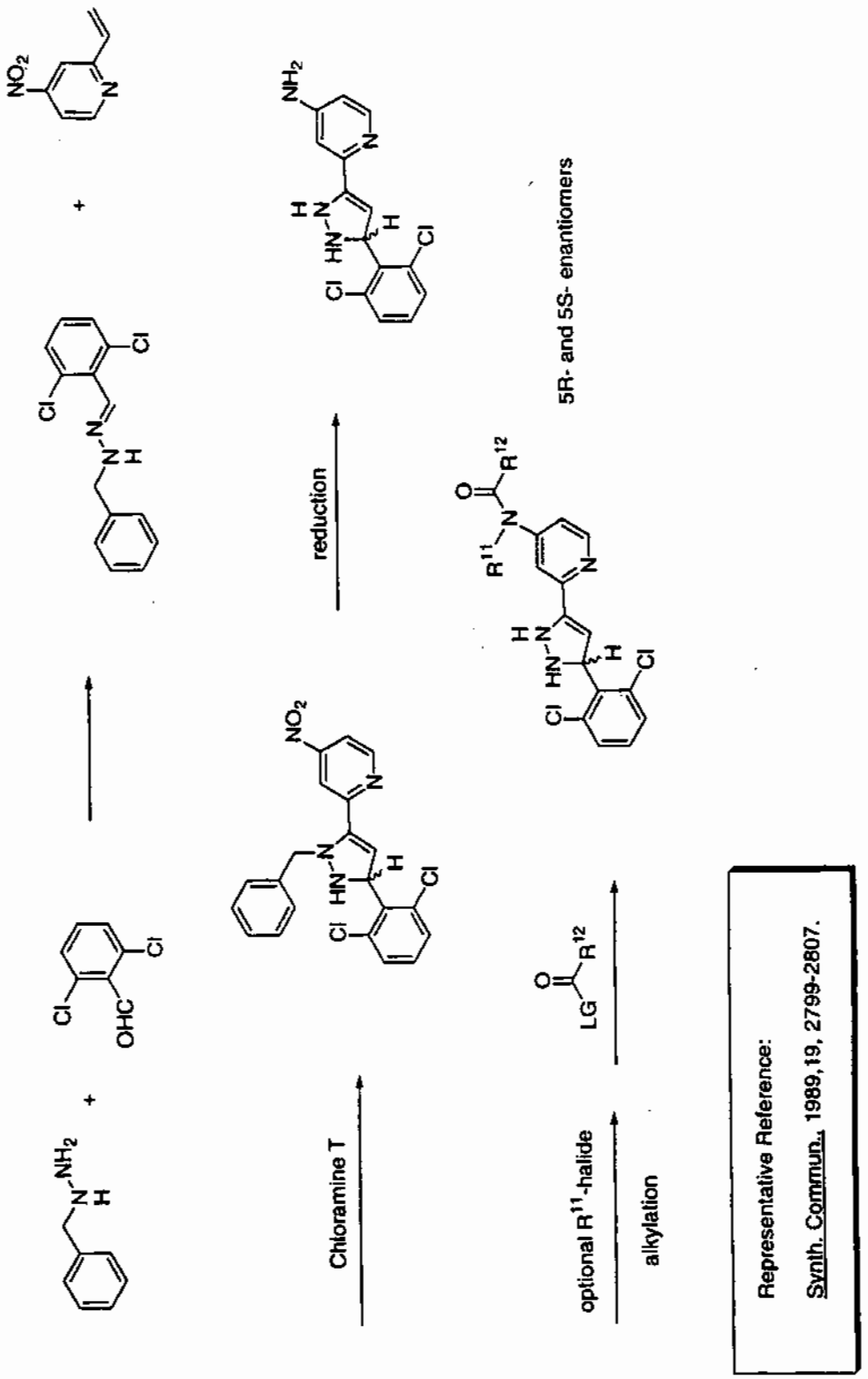


Figure 34
Isothiazole

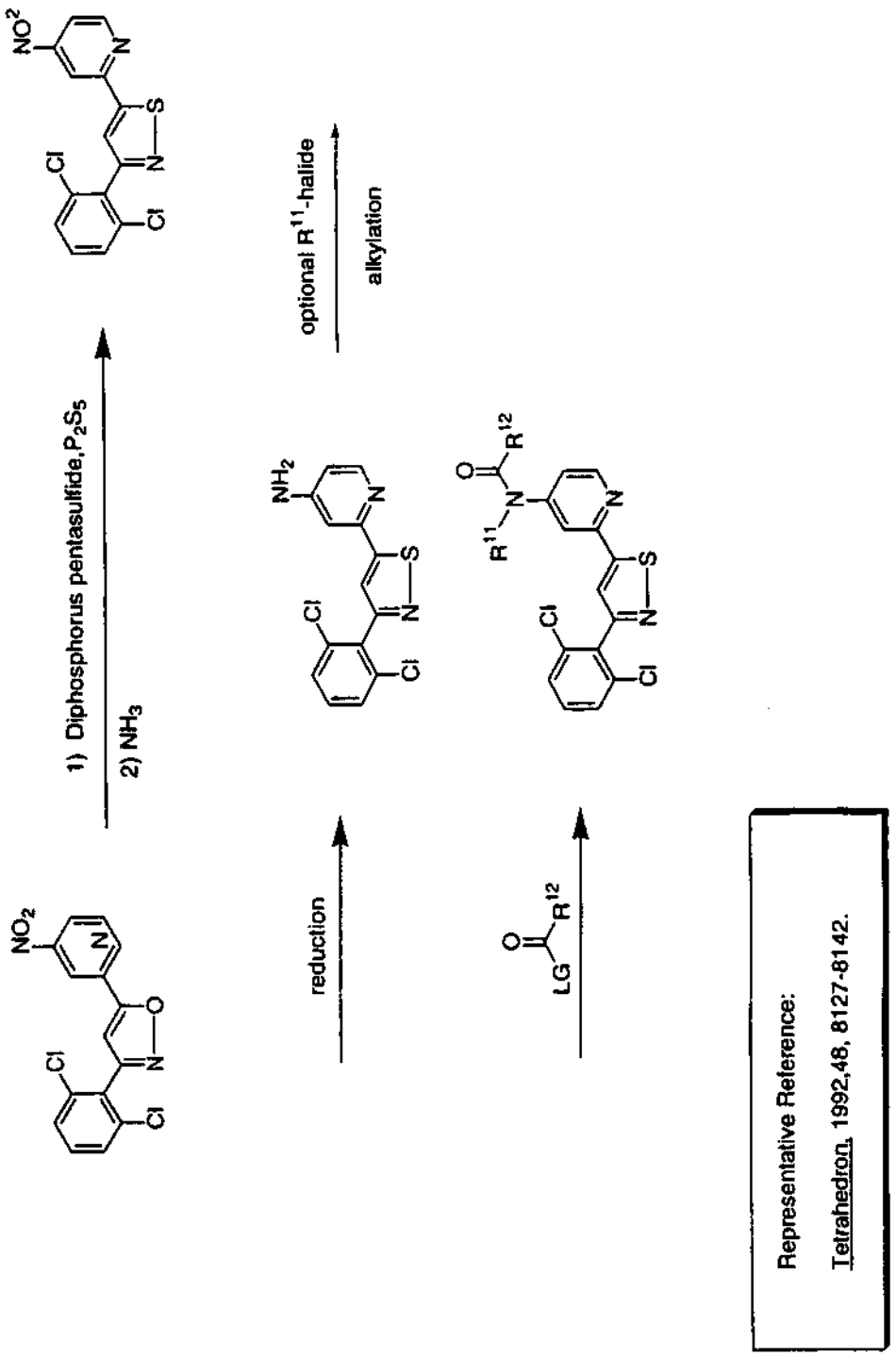


Figure 35
Reverse Isothiazole

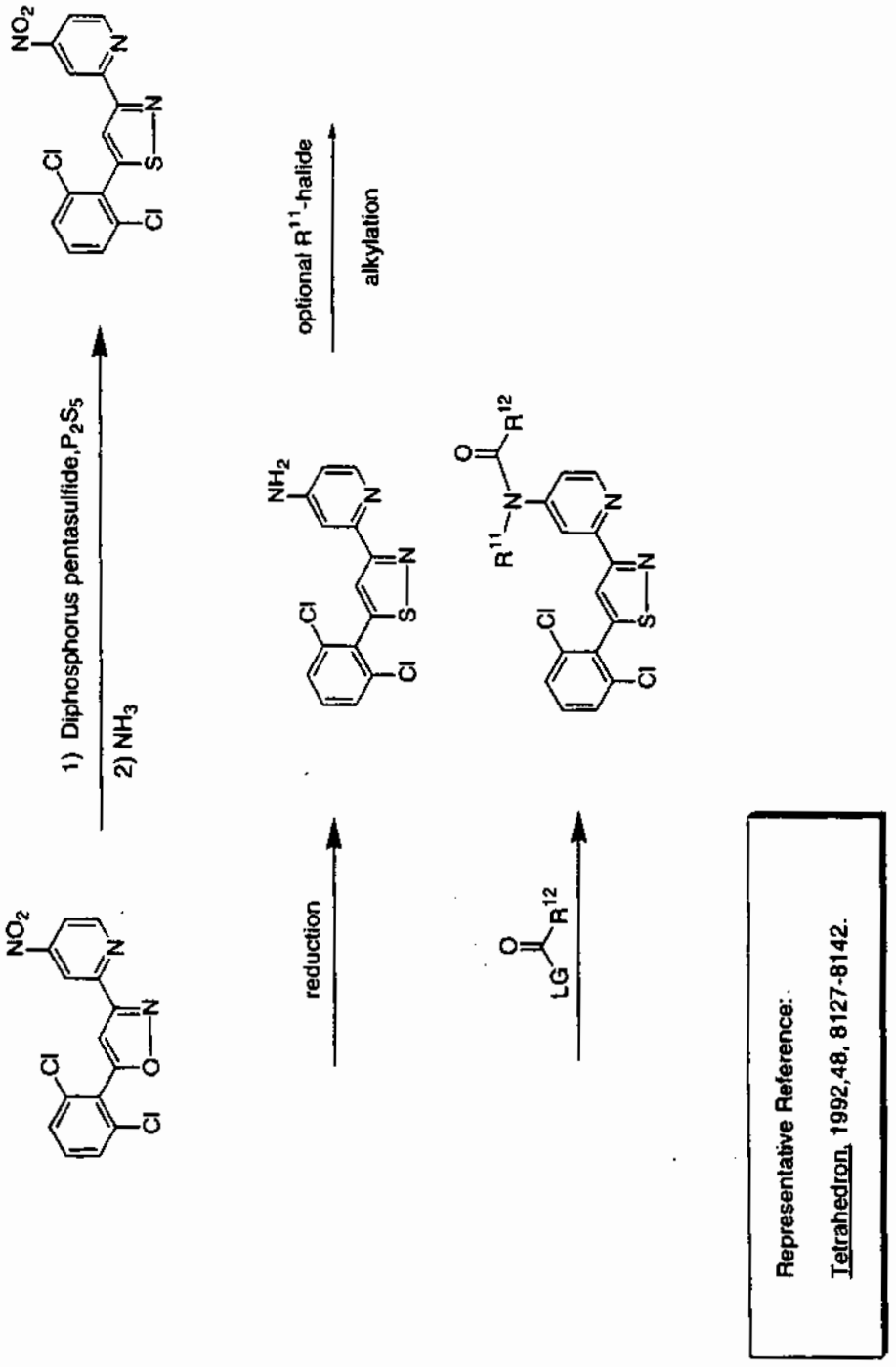


Figure 36
2-Isothiazoline

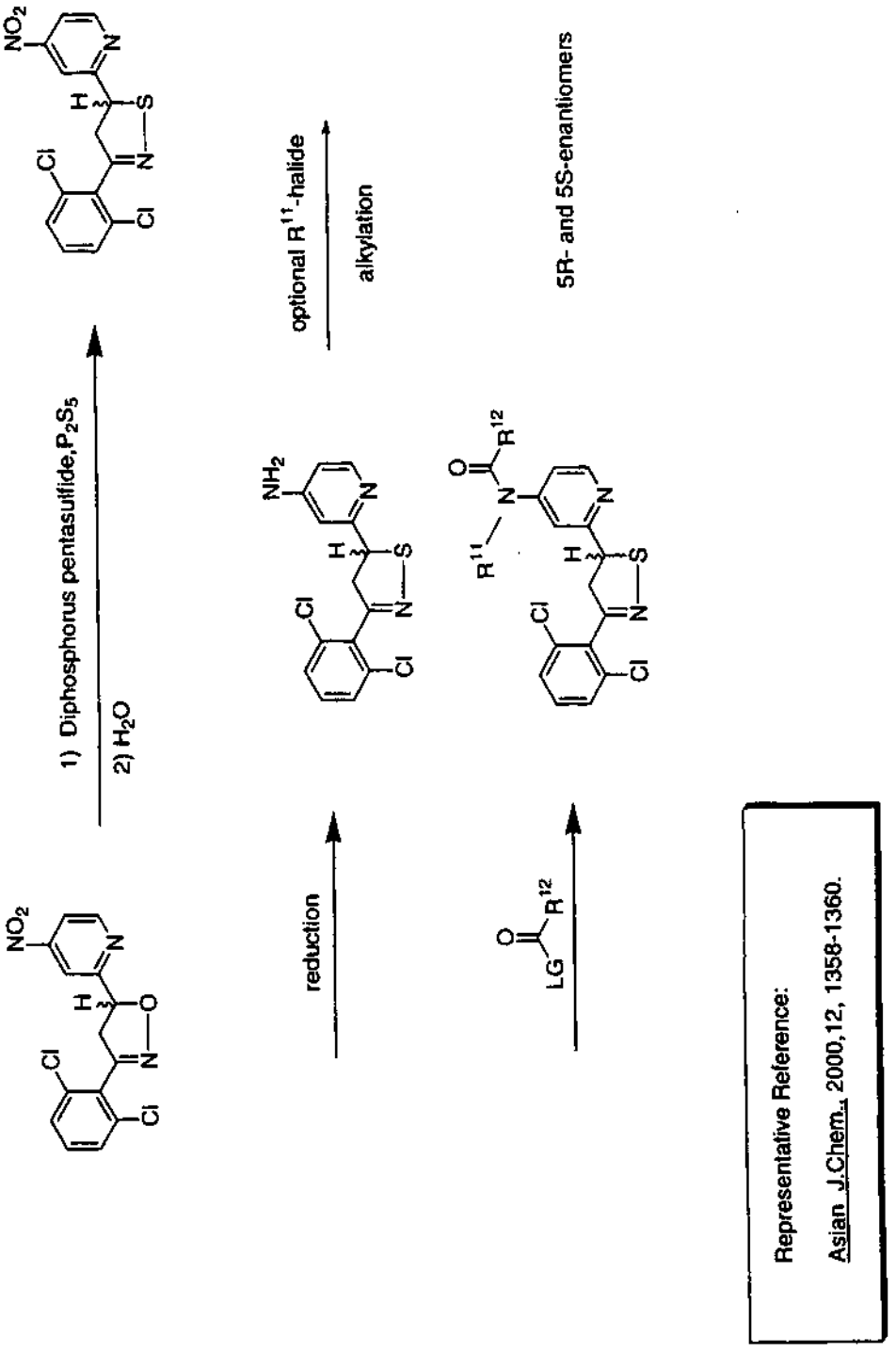


Figure 37
Reverse 2-Isothiazoline

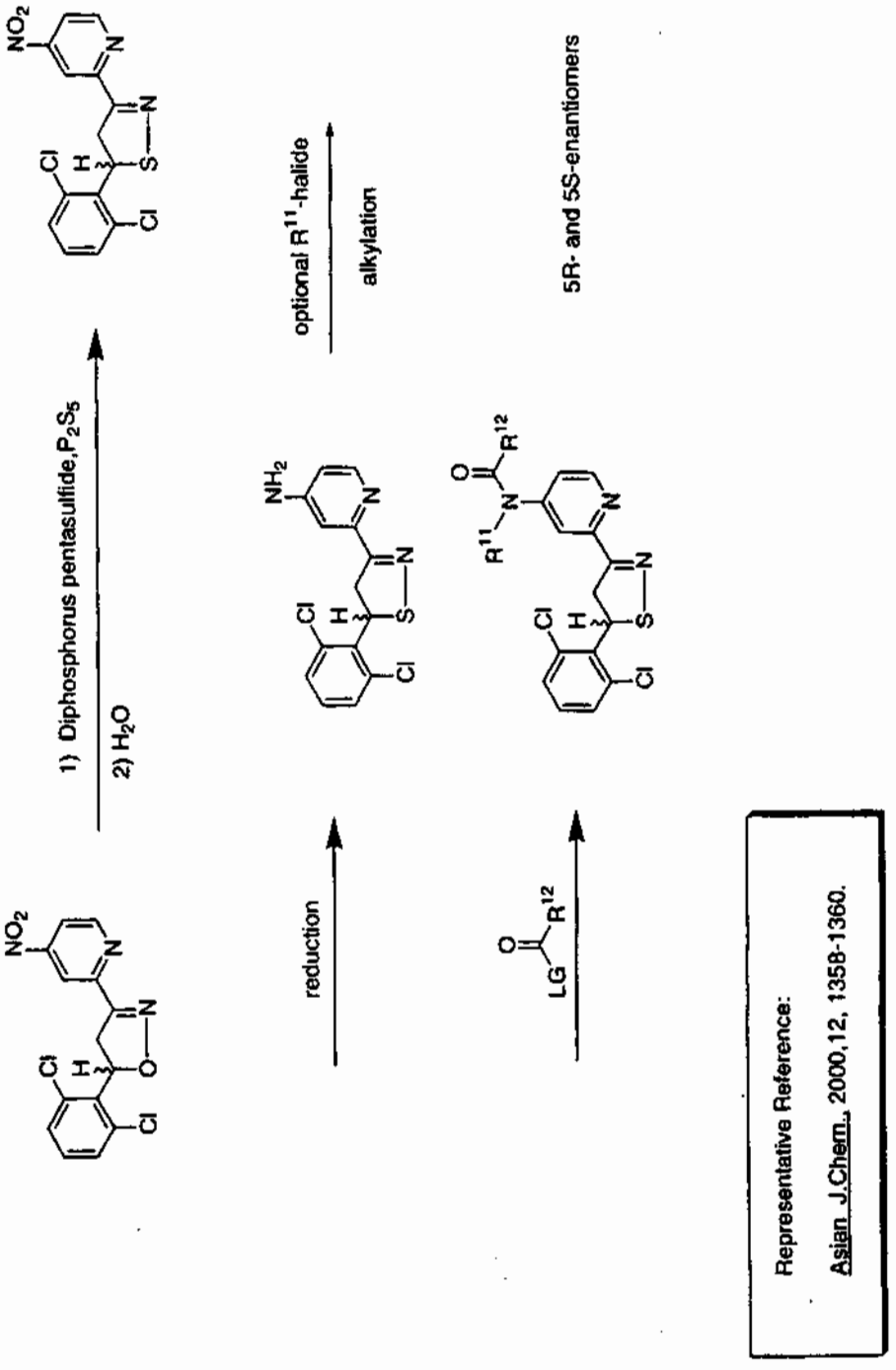
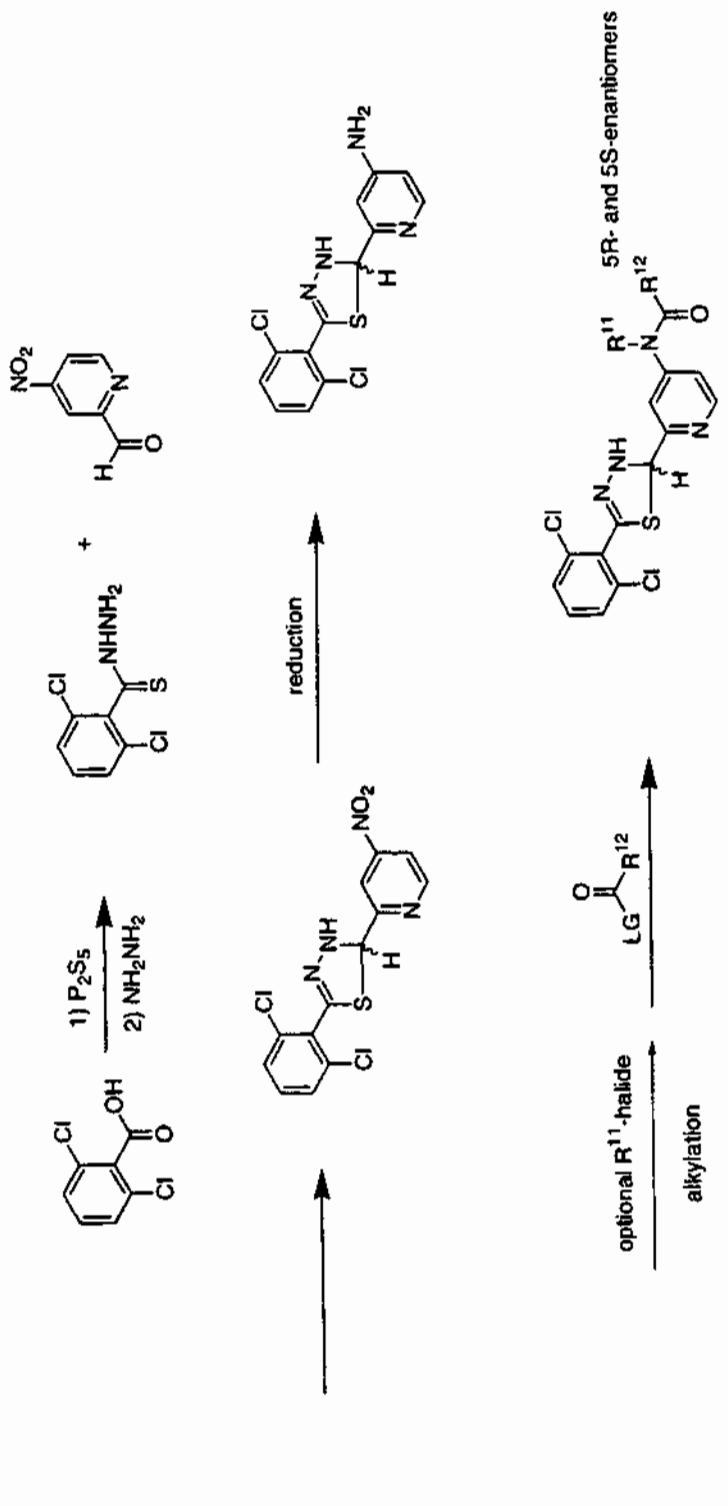


Figure 38
4,5-Dihydro-1,3,4-thiadiazole



Representative Reference:

Sovrem. Aspekty Teroii i Prakt. Farmatsii. L., 1988, 90-96.

Figure 39
Reverse 4,5-Dihydro-1,3,4-thiadiazole

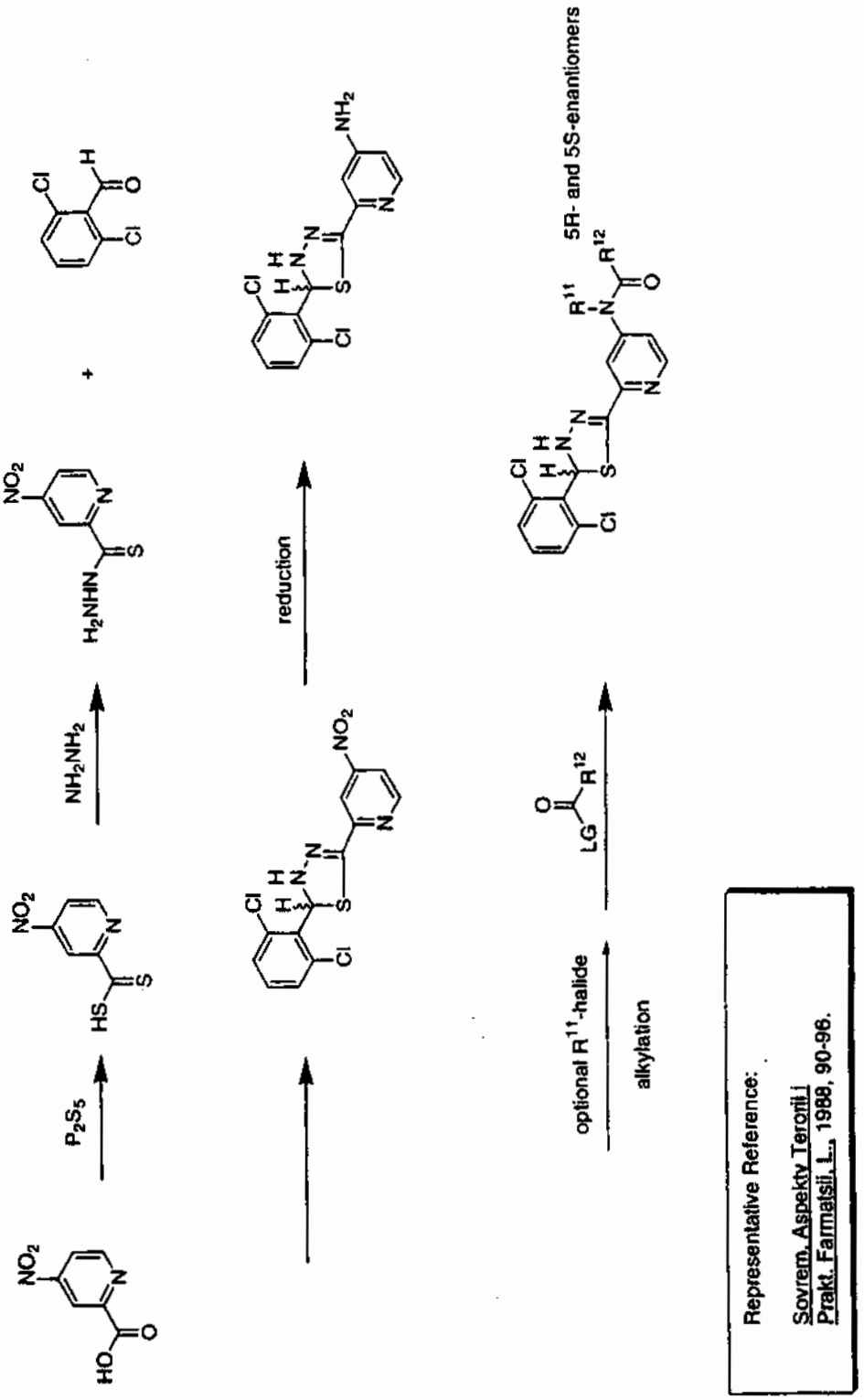


Figure 40
2-Thiazoline

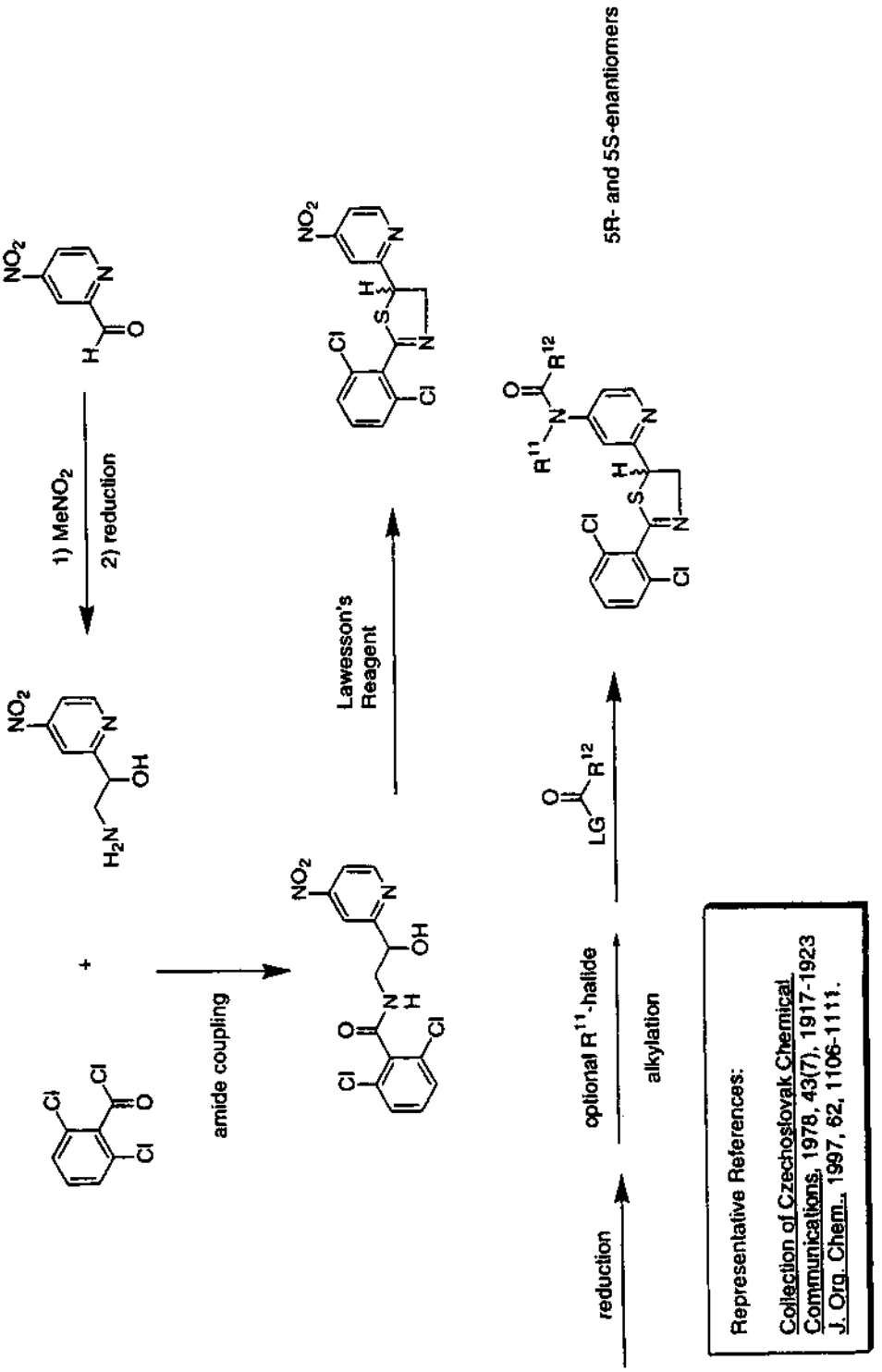


Figure 41
Reverse 2-Thiazoline

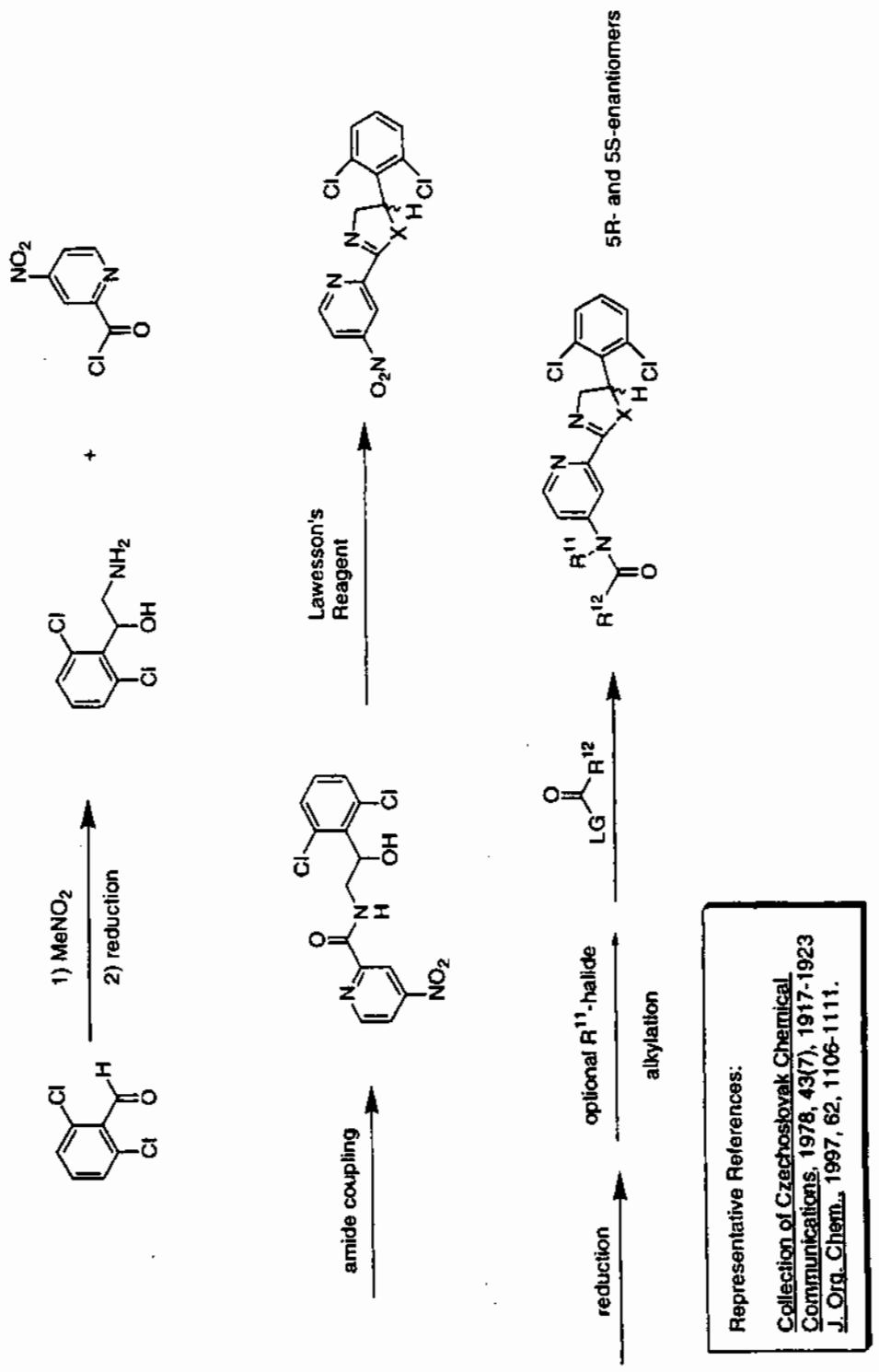


Figure 42
Thiazolidines

Representative Reference:

See March's Advanced Organic Chemistry 5th Ed. John Wiley & Sons, Inc. 2001. Topics related to catalytic hydrogenation.

Figure 43
Reverse Thiazolidines

Figure 44
Oxazole

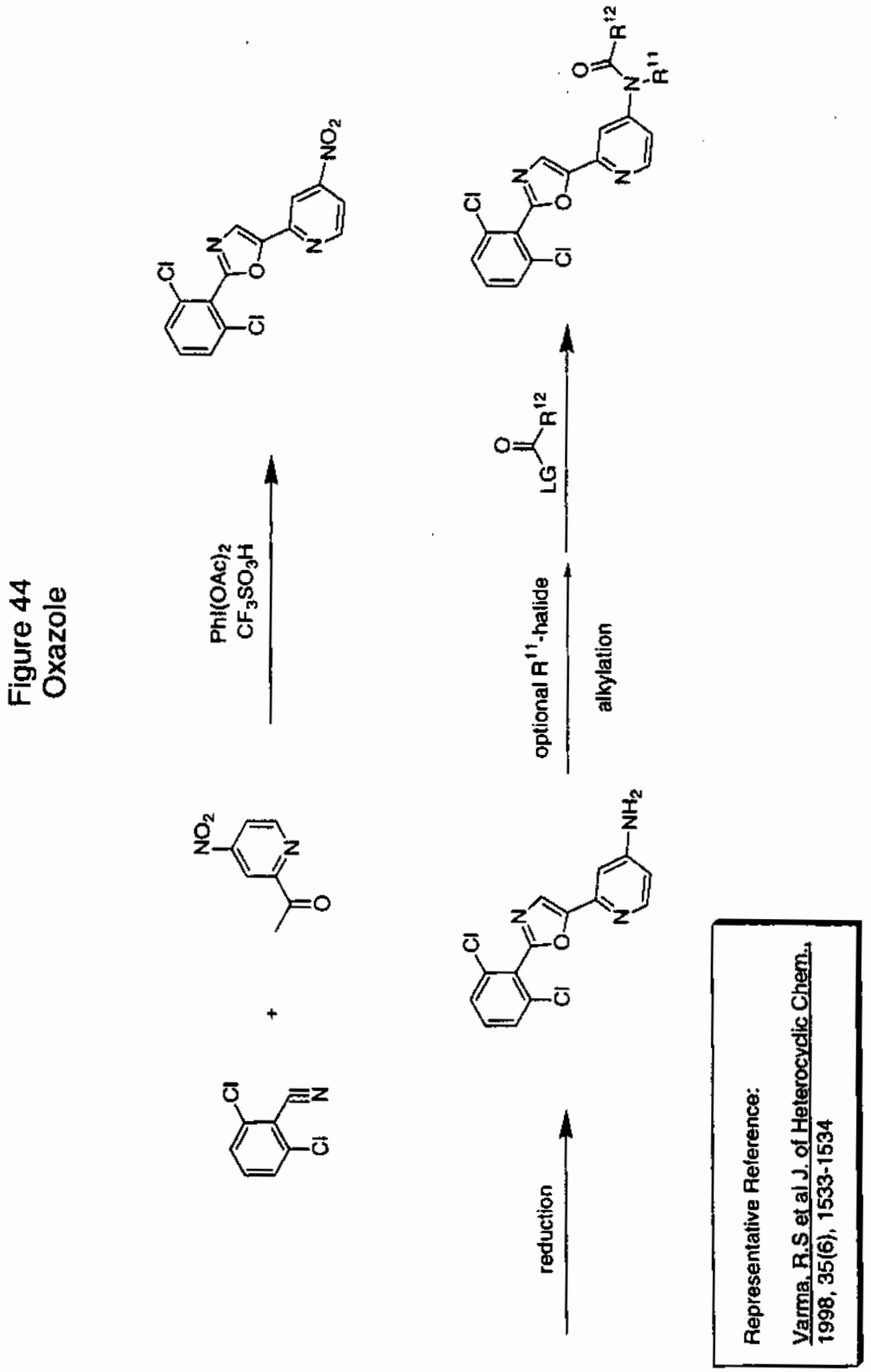


Figure 45
Reverse Oxazole

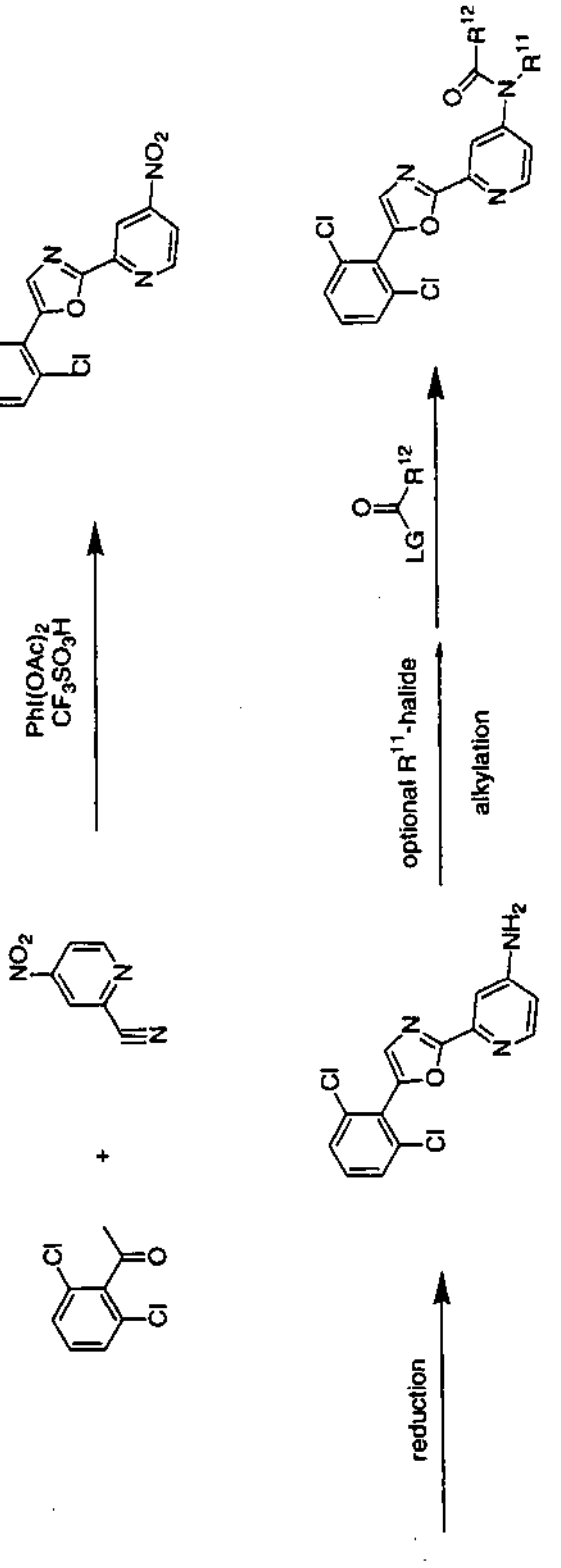


Figure 46
2-Oxazoline

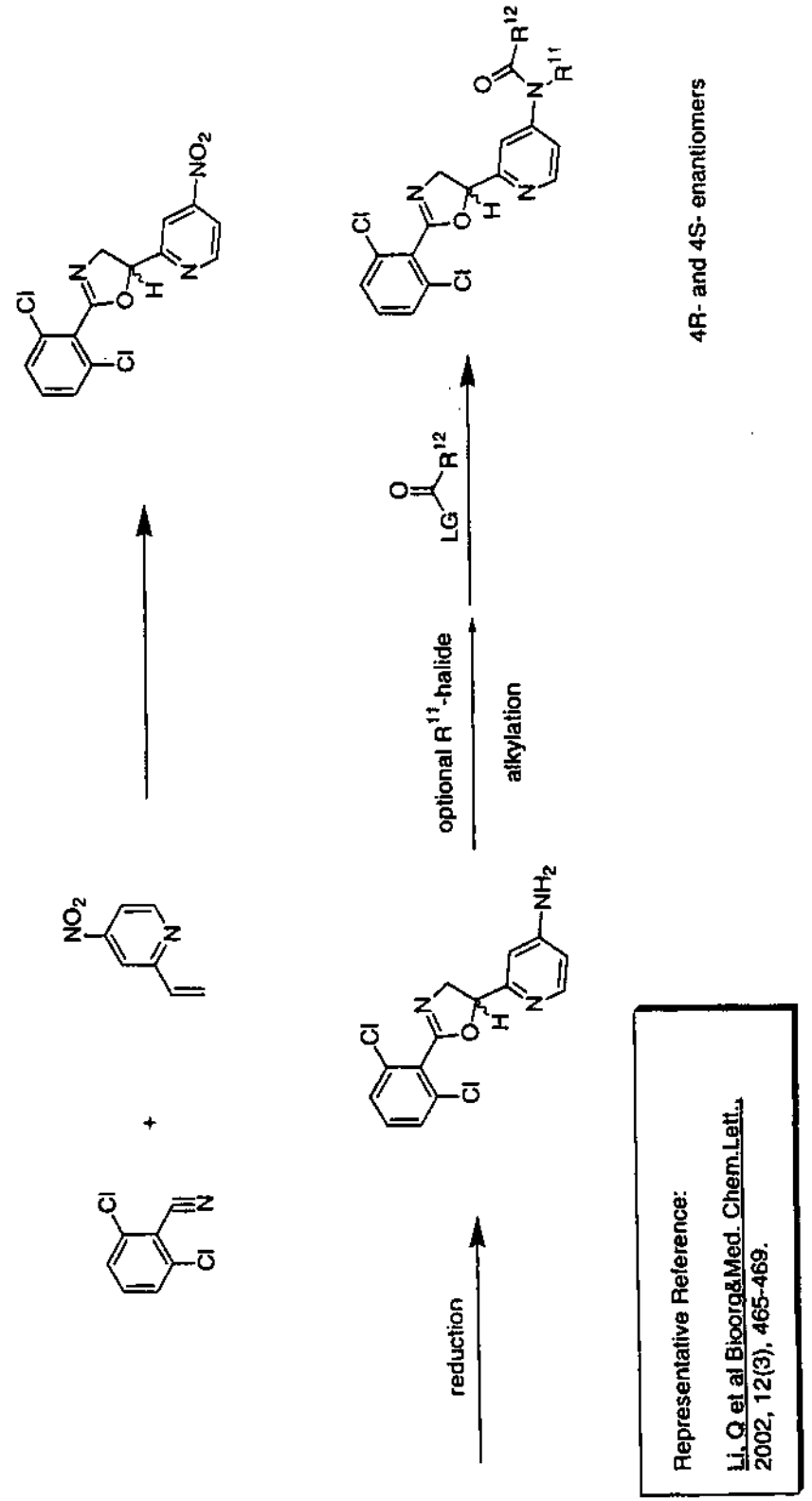


Figure 47
Reverse 2-Oxazoline

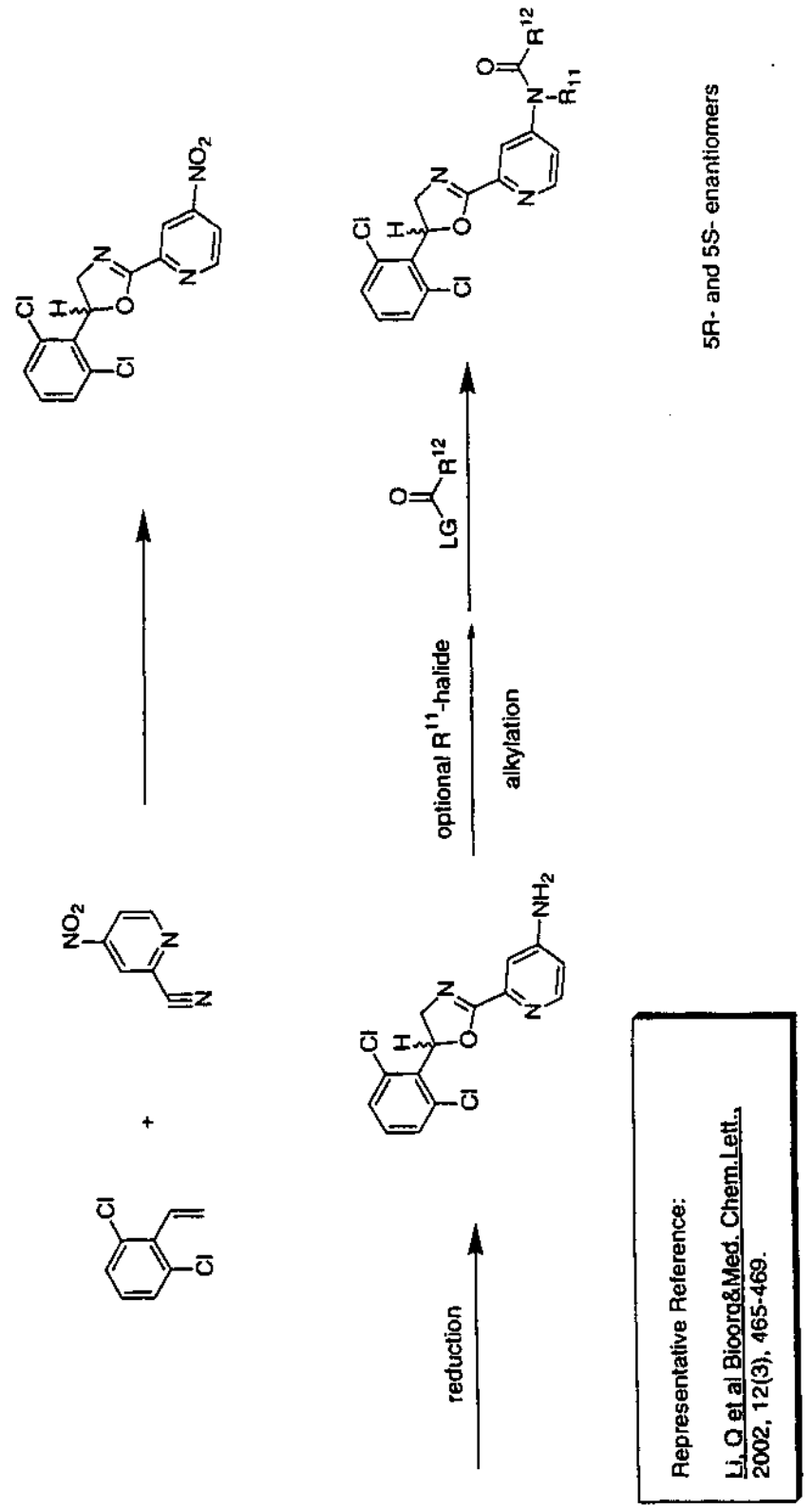


Figure 48
3-Oxazoline

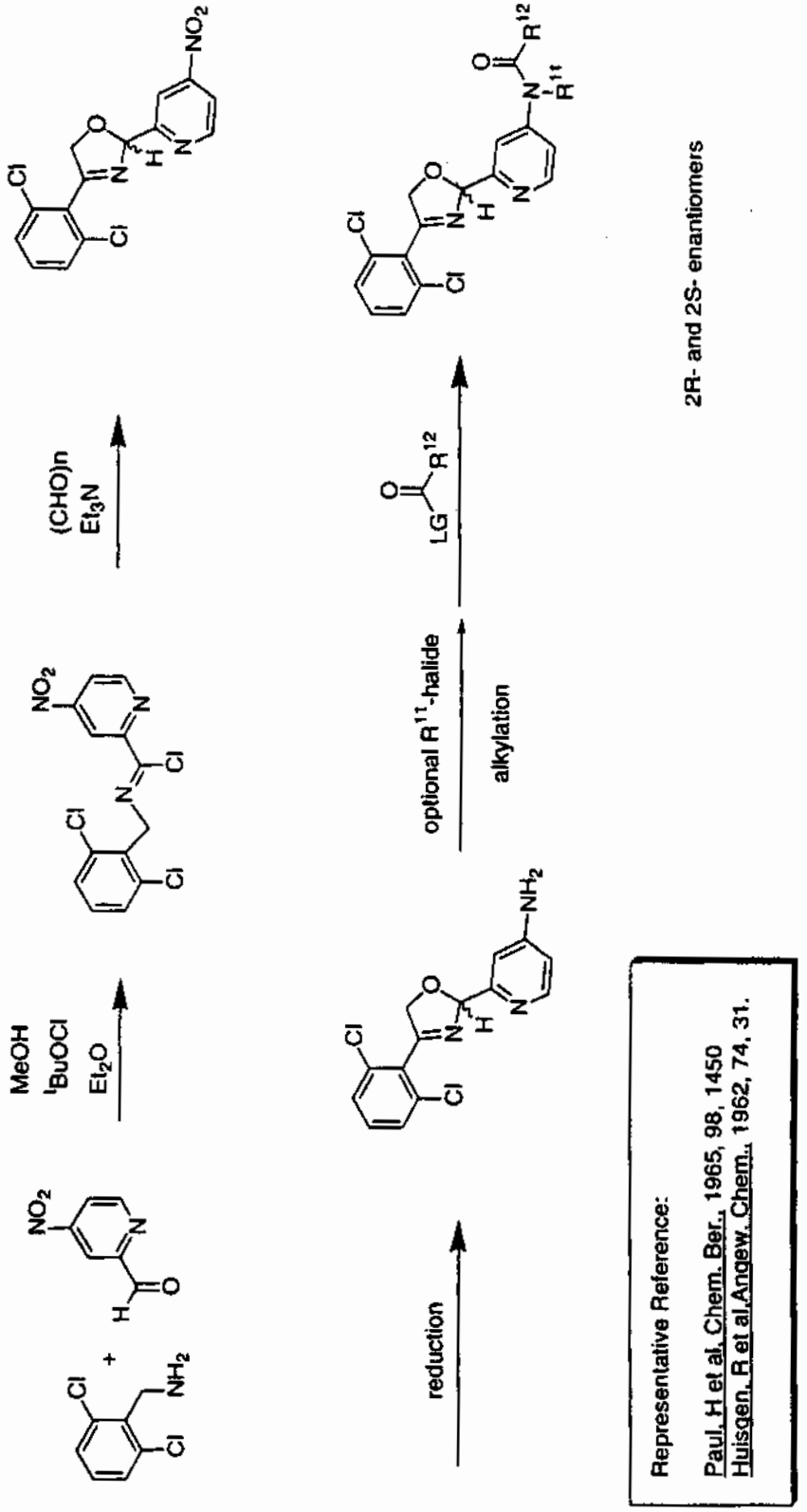


Figure 49
Reverse 3-Oxazoline

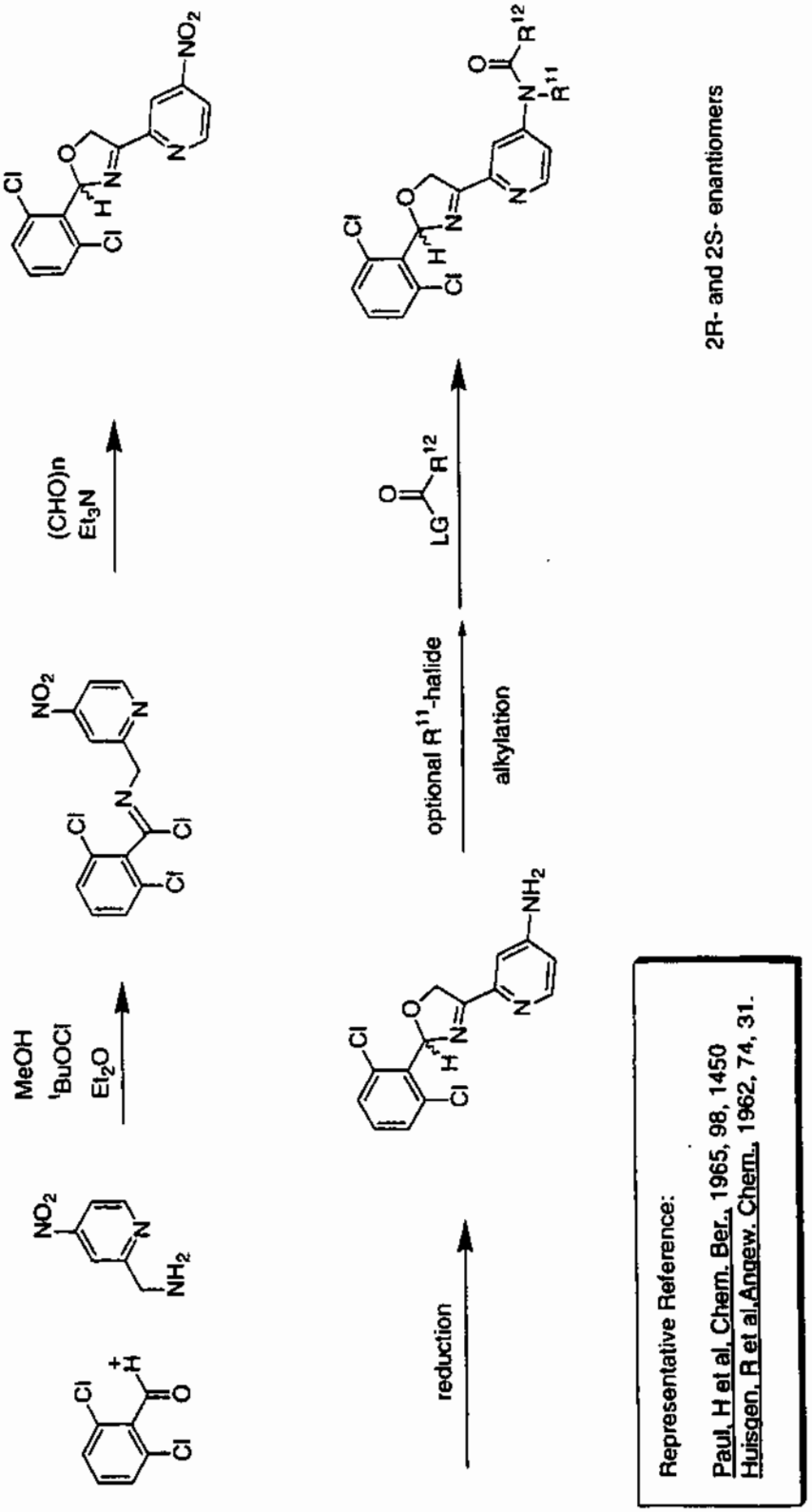
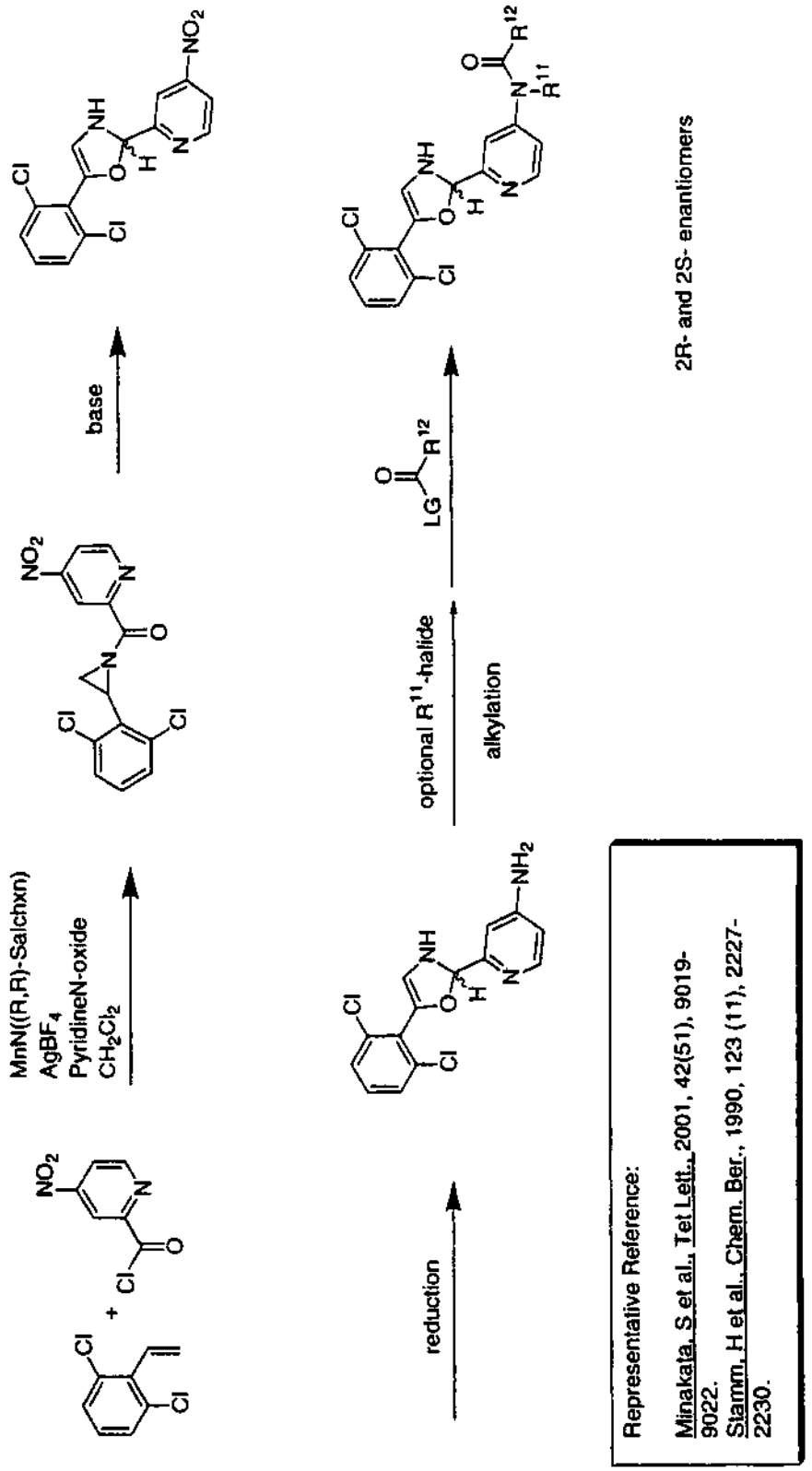


Figure 50
4-Oxazoline

Representative Reference:

Minakata, S et al., Tet Lett., 2001, 42(51), 9019-9022.
Stamm, H et al., Chem. Ber., 1990, 123 (11), 2227-2230.

Figure 51
Reverse 4-Oxazoline



Representative Reference:

Minakata, S et al., Tet Lett., 2001, 42(51), 9019-9022.
Stamm, H et al., Chem. Ber., 1990, 123 (11), 2227-2230.

FIGURE 52
OXAZOLIDINES

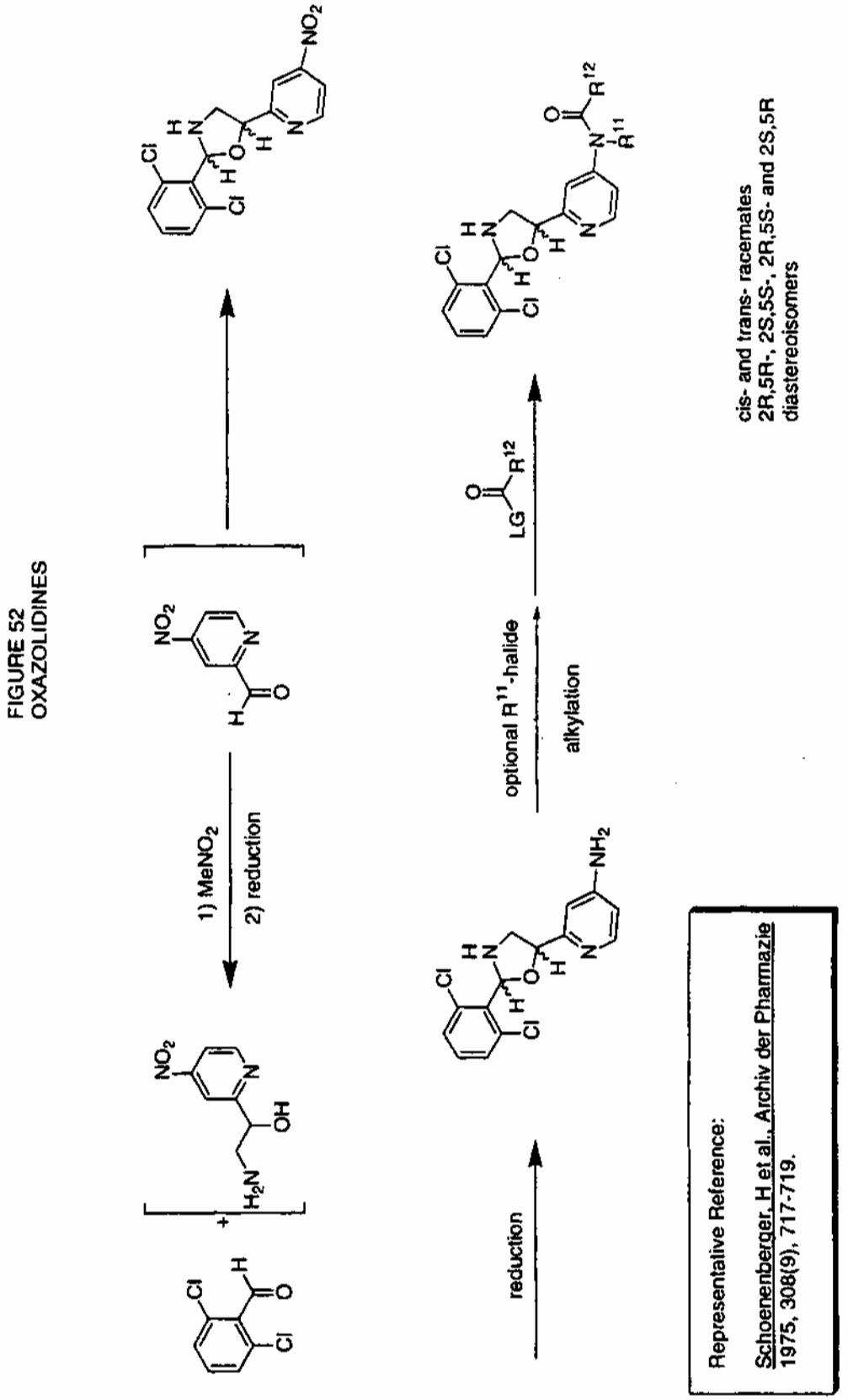
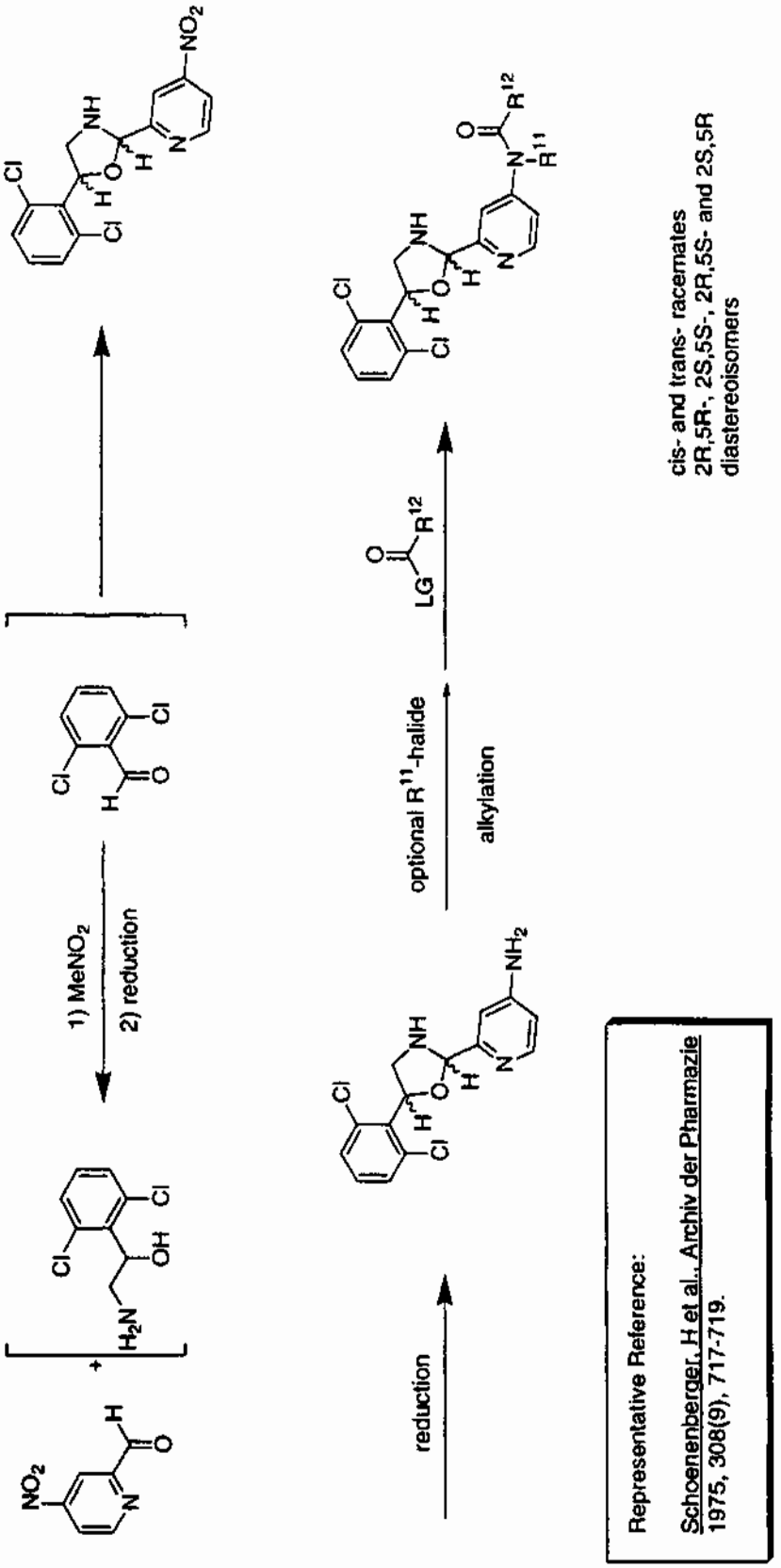


Figure 53
Reverse Oxazolidines



Representative Reference:

Schoenenberger, H et al., Archiv der Pharmazie 1975, 308(9), 717-719.

Figure 54
Imidazole

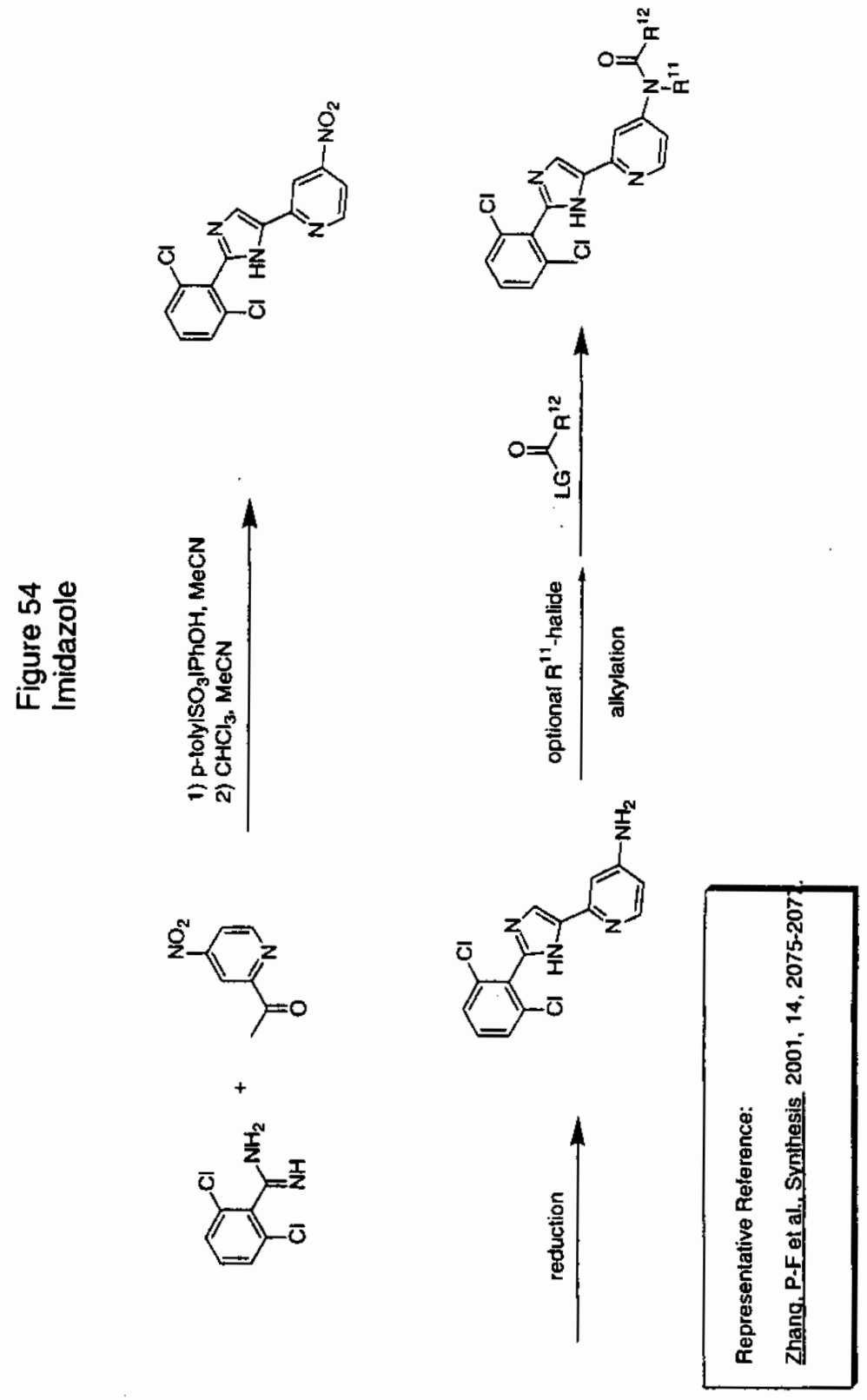


Figure 55
Reverse Imidazole

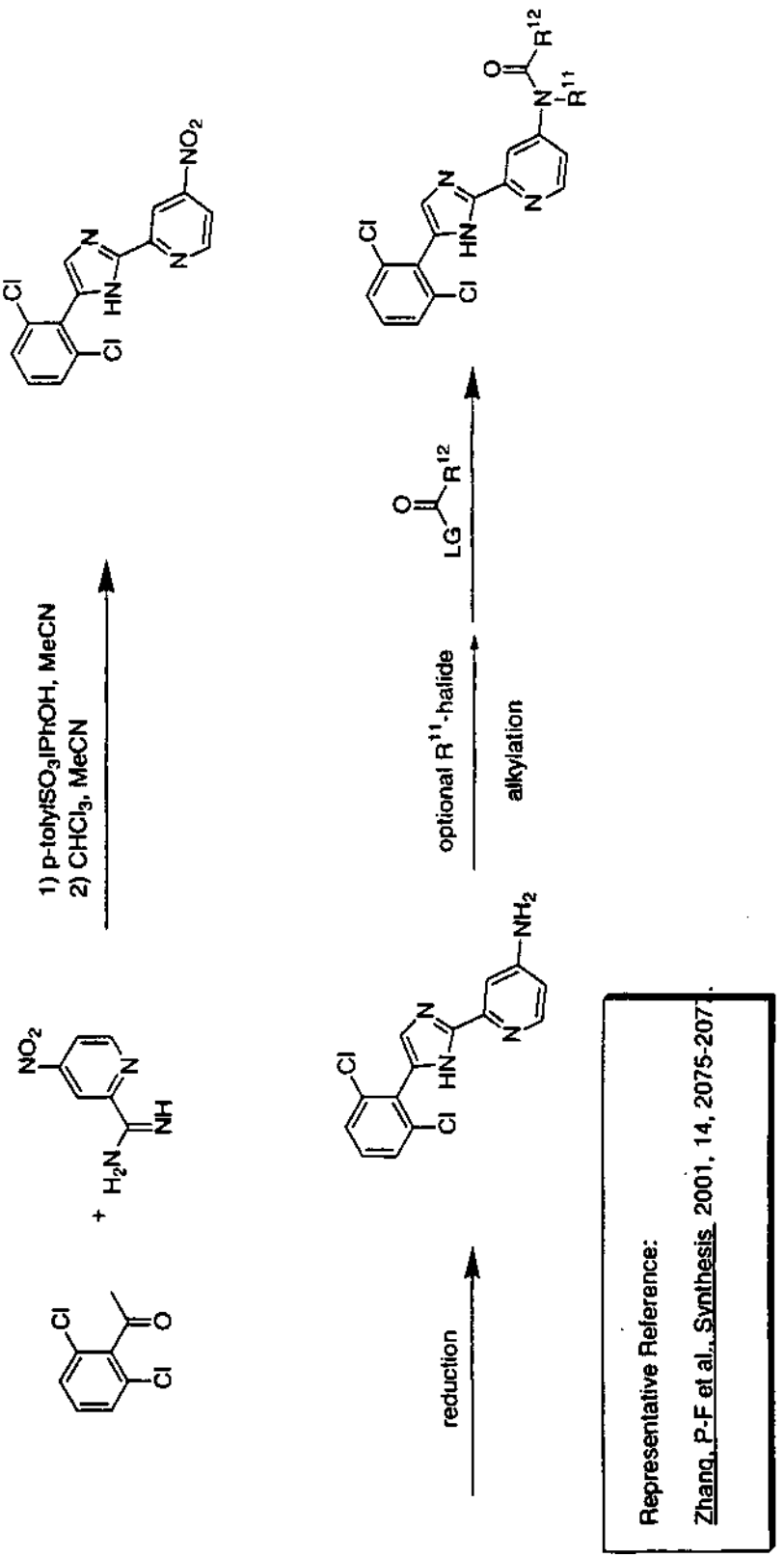


Figure 56
2-Imidazoline

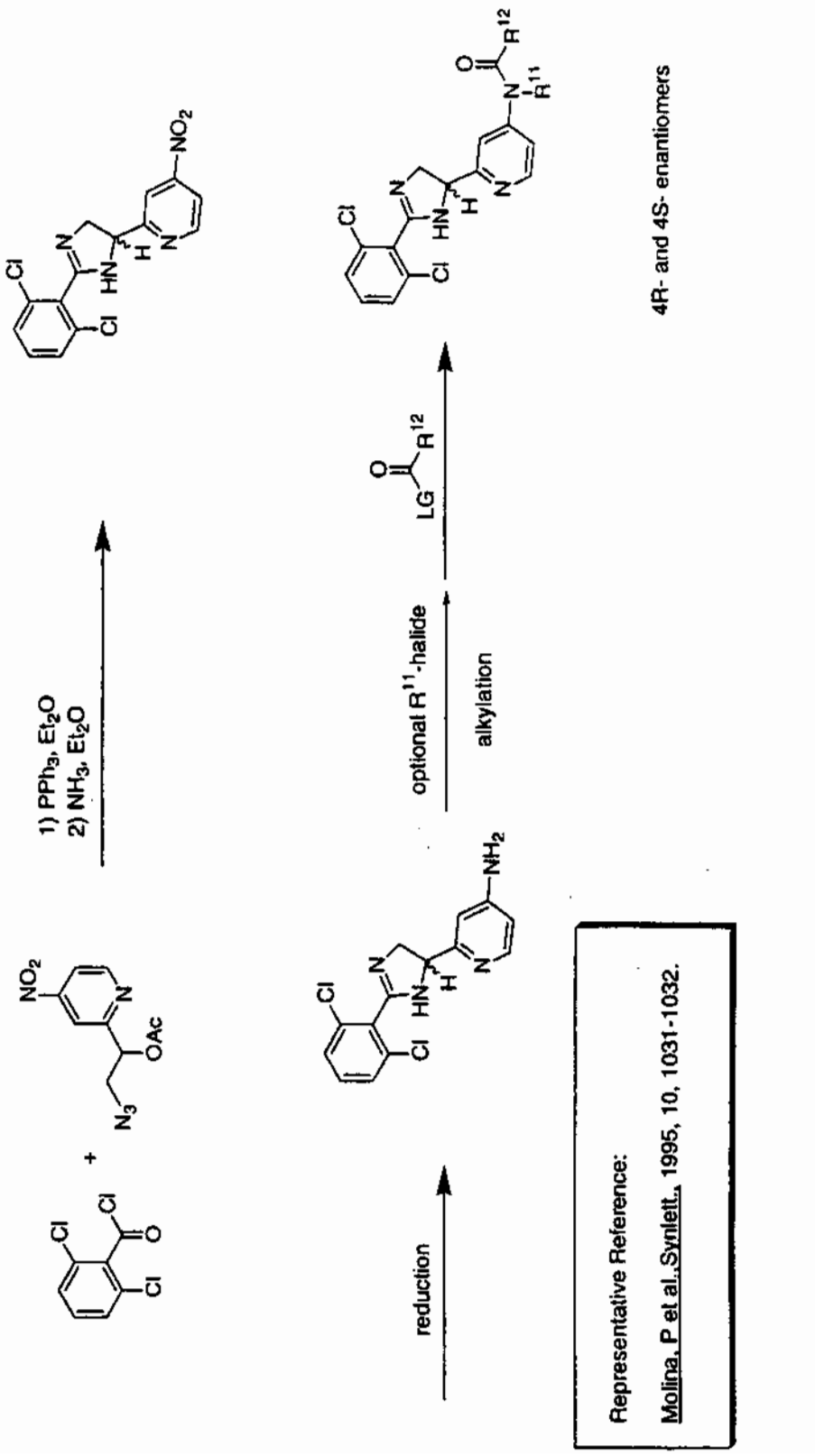


Figure 57
Reverse 2-Imidazoline

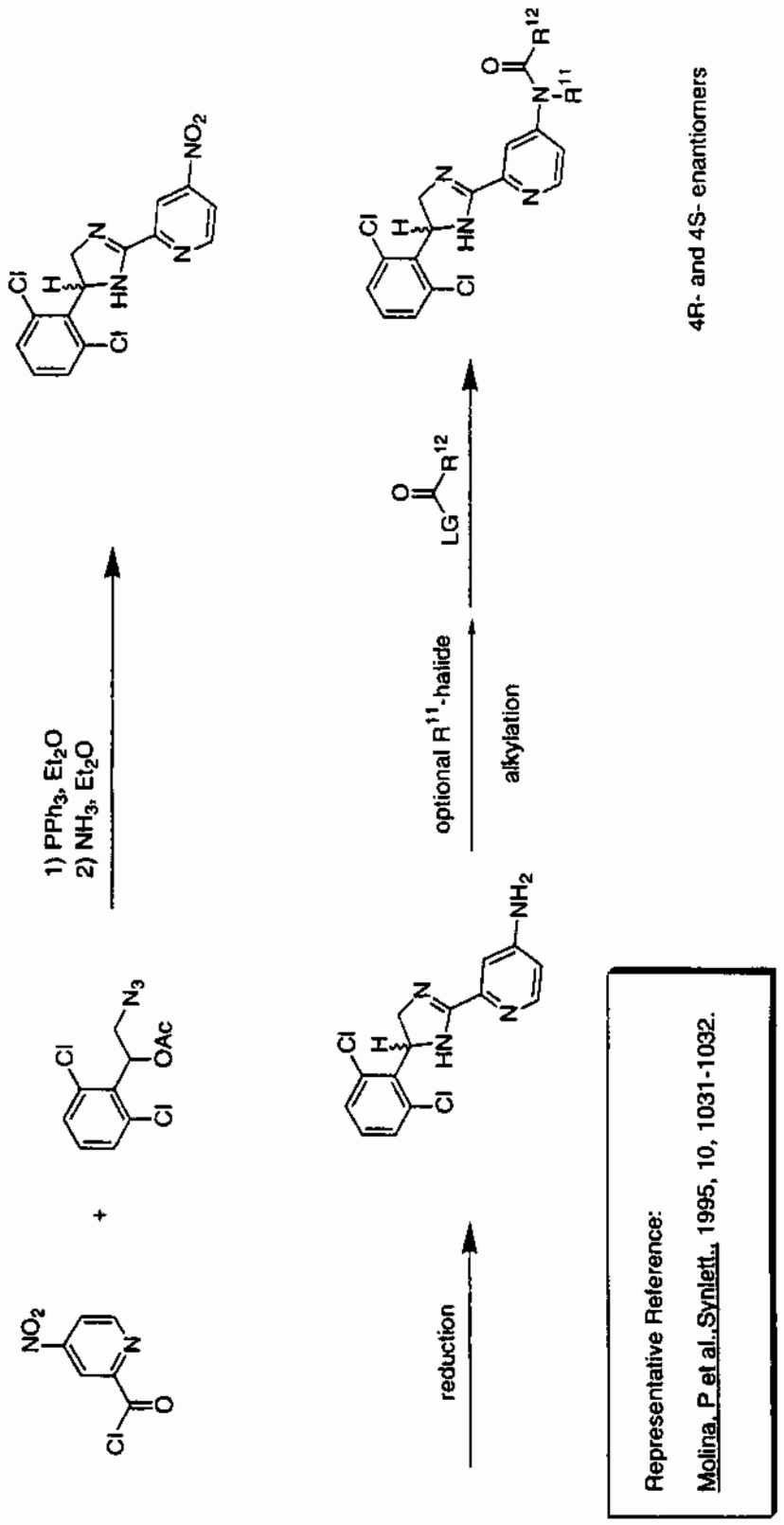
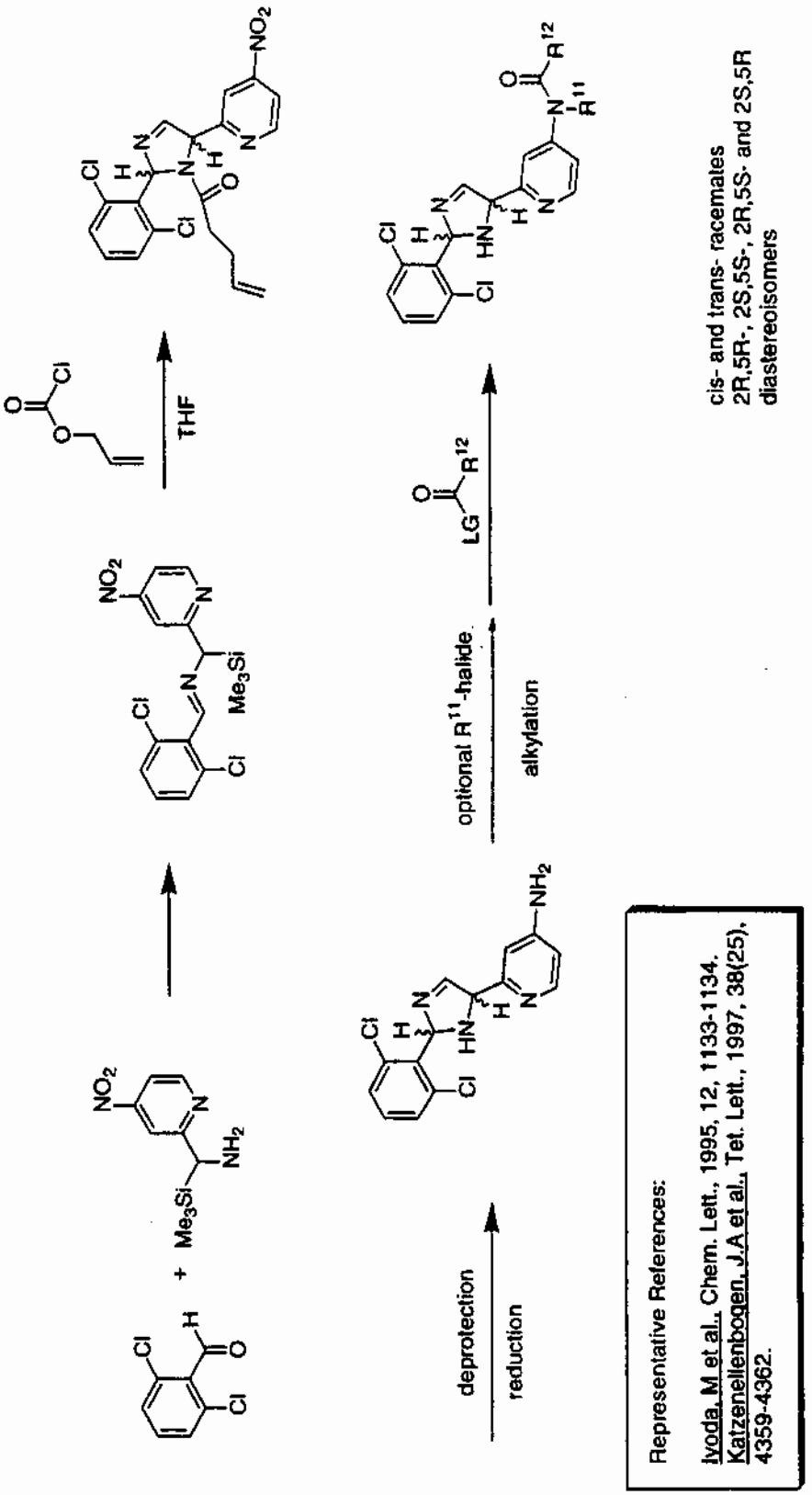


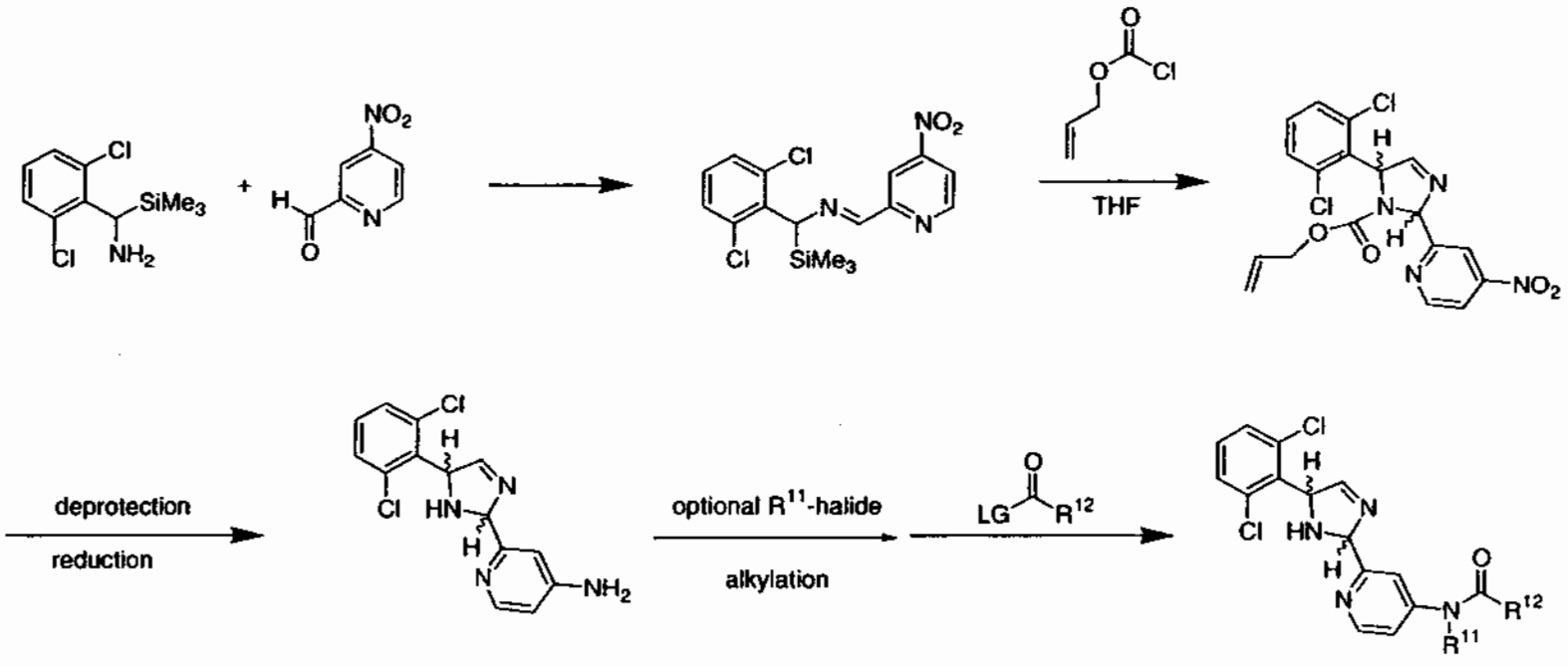
Figure 58
3-Imidazolines



Representative References:

Iyoda, M et al., Chem. Lett., 1995, 12, 1133-1134.
Katzenellenbogen, J.A et al., Tet. Lett., 1997, 38(25), 4359-4362.

Figure 59
Reverse 3-Imidazolines



Representative References:

Iyoda, M et al., Chem. Lett.,1995, 12, 1133-1134.
Katzenellenbogen, J.A et al., Tet. Lett., 1997, 38(25), 4359-4362.

cis- and trans- racemates
2R,5R-, 2S,5S-, 2R,5S- and 2S,5R diastereoisomers

Figure 60
Imidazolidines

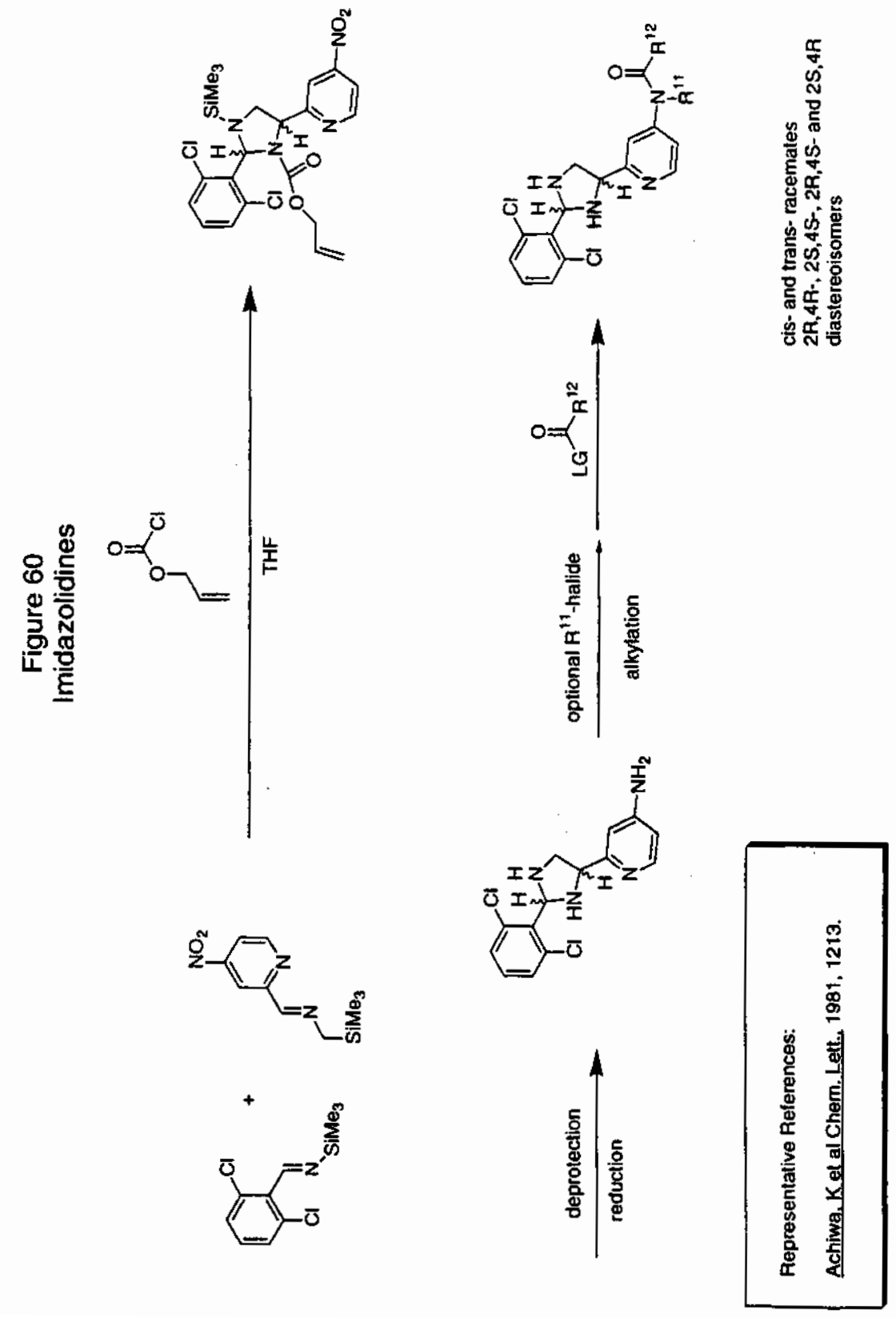


Figure 61
Reverse Imidazolidines

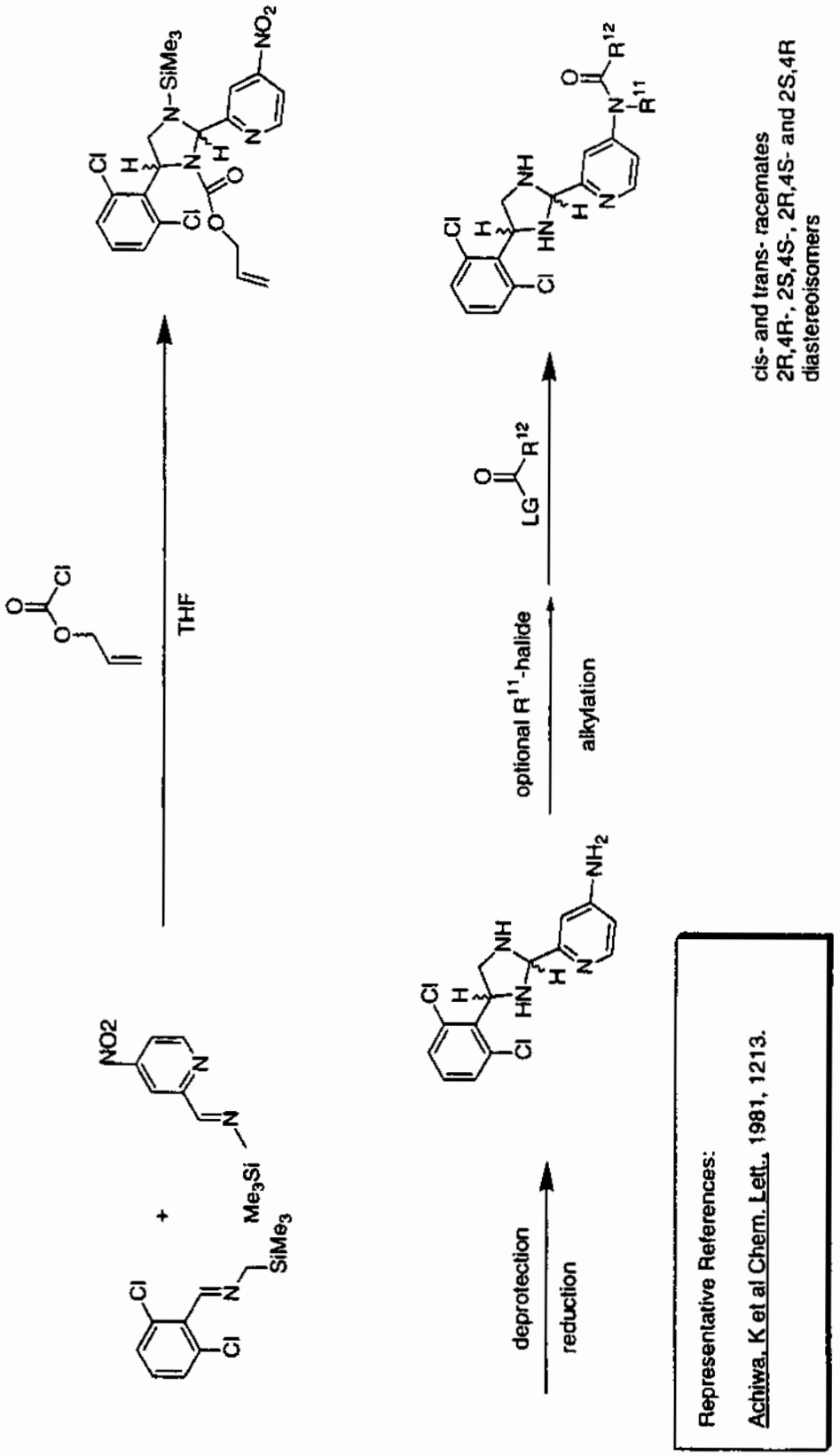
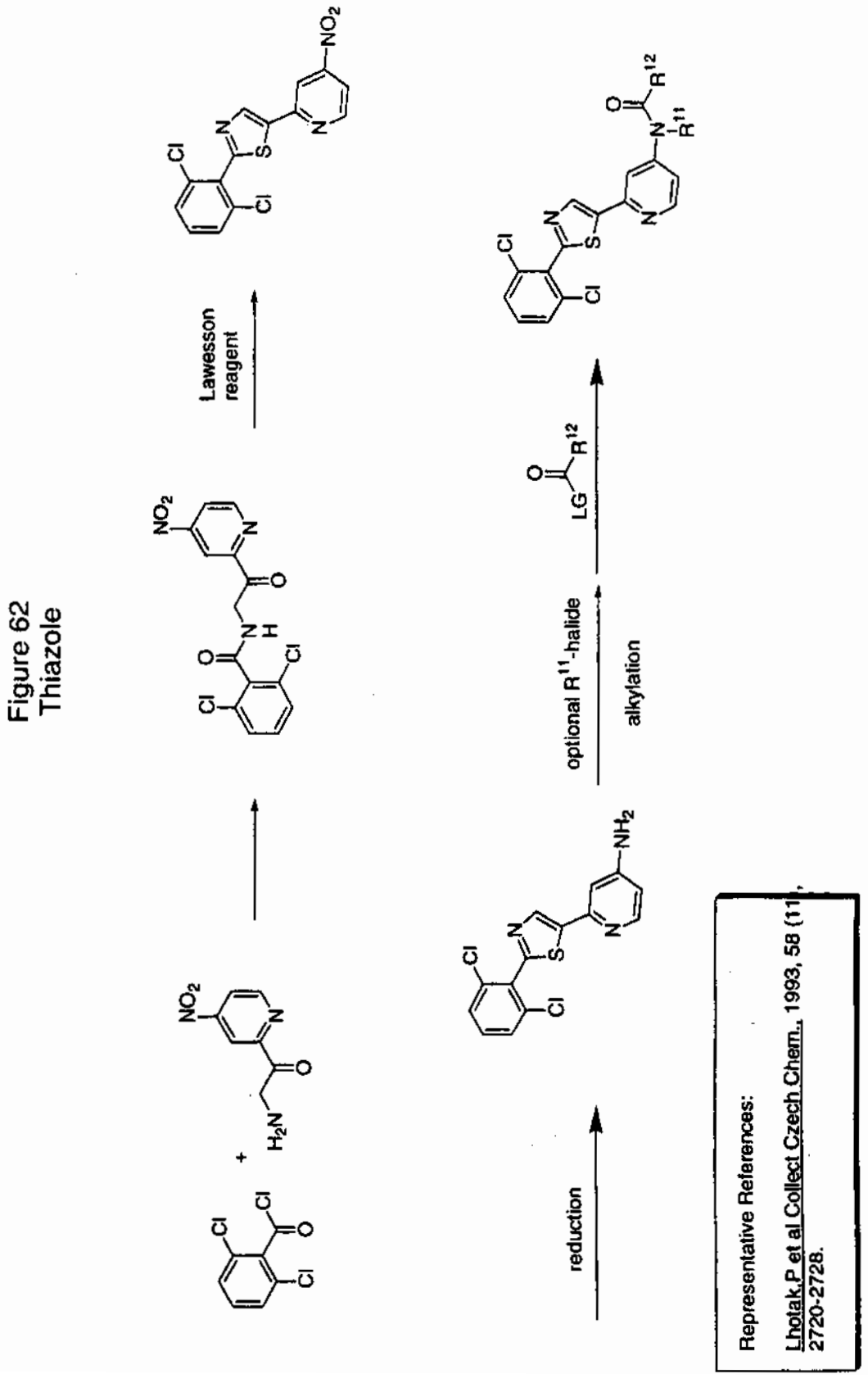


Figure 62
Thiazole



Representative References:

Lhotak,P et al Collect Czech Chem., 1993, 58 (11), 2720-2728.

Figure 63
Reverse thiazole

