Totalsynthese von (+)-Roseophilin


NH
N
Ts
NaBH₄
N
H
Ts
N
TIPS
Cl
O
OMe
N
TIPS
Cl
O
OMe
COOH
N
N
MeO
2
S
NH
+ 
O
Grubbs II-Katalysator
HSiMe₂
r-t-Bu
KH, 18-Krone-6, THF, 0 °C
ClP(OEt)₂, Luft, rt, 3 h
Pd(OAc)₂
PCy₃
N
TIPS
Cl
O
OMe
O
N
P
O
KHMDS
18-Krone-6
THF, -78 °C → 55 °C

KH, 18-Krone-6, THF, 0 °C
ClP(OEt)₂, Luft, rt, 3 h
71%

O
EtO
EtO
55 °C
-KPO₂
2
(OEt)
2
66%

TIPS/H = 10:1
NH
Cl
O
OMe
O
H
H
HN
95%
32% über 2 Stufen

99%

1.
DCM, 40 °C, 24 h
2.
Toluol, 60 °C, 8 h

O
EtO
55 °C

1.
NEt₃, THF, reflux , 18 h
2.
DCM, 0 °C
85% über 2 Stufen

99% 90%

i-PrOH
85° C, 24 h
81%

K₂CO₃, MeOH
71%

71%

1.
Phosphoryltransfer
55 °C

unstable at rt

TIPS:H = 10:1

(+)-Roseophilin