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Dragocins A –C

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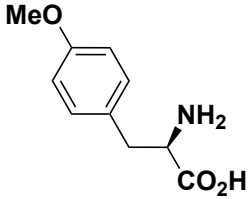


1. NaOH (aq.)
DIPEA, TsCl
Acetone,
0 °C → rt

2. $BH_3 \cdot SMe_2$
THF,
0 °C → rt
86%, 2 steps

A

3. TEMPO, KBr
NaOCl, $NaHCO_3$
CH_2Cl_2, H_2O, 0 °C

4.

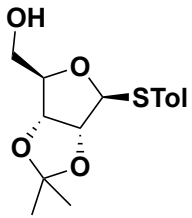
NaH,
MeCN/THF, rt
68%, 94%ee
2 steps

B

5. Li-Naphtalide
THF, −78 °C, 70%
6. PTSA, CH_2Cl_2, rt

then peracetic acid
0 °C → rt, 62%,
4.5:1 dr
7. BF_3 OEt_2, BzOH
DCE, 40 °C
then Na_2CO_3 (aq.)
methyl chloroformate
0 °C → rt, 78%, 4.5:1 rr

C



8. DMP, $MgSO_4$
CH_2Cl_2, rt

9. HCOH, NaOH
Dioxane
0 °C → rt
74%, 2 steps

Mechanism of 9.?

D

10. BnBr, TBAI, NaH
DMF, 0 °C → rt, 89%

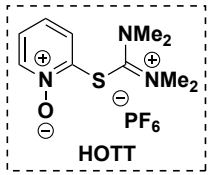
11. 9:1 TFA/H_2O, 0 °C
12. BzCl, DMAP
CH_2Cl_2, rt
45%, 2:1 dr
2 steps

E

13. **C**, NIS, 4 Å MS
AgOTf, CH_2Cl_2
−40 °C, 81%

14. $Pd(OH)_2$, H_2 (1 atm)
THF, rt, 82%

F



15. HFIP/DMSO
$Et_4N \cdot FSO_3$
(+)RVC/(−)RVC
52% two cycles
5.5:1 dr

G

16. TPAP, NMO
MeCN, rt, 68%

17. DMAP, HOTT
Et_3N, MeCN/CCl_4
80 °C, 48%

Mechanism of 17.?

H

18. $LiAlH_4$
THF, 0 °C

then aq. TFA

I

19. AgOTf
MeOH
65 °C
51%

R = Me

J

dragocin A

dragocin B (R = H) 23% + dragocin C (R = Me) 27%