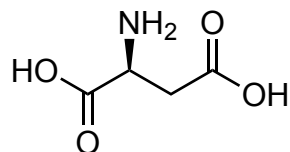


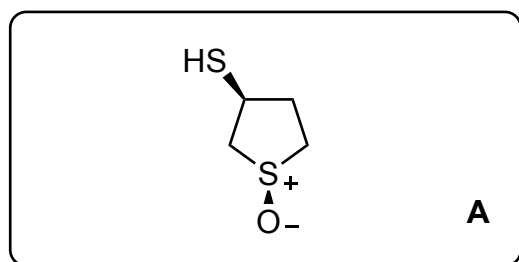
Development of a Practical and Convergent Process for the Preparation of Sulopenem

Pfizer Team

Org. Process Res. Dev. **2012**, 16, 1348-1359.



1-9

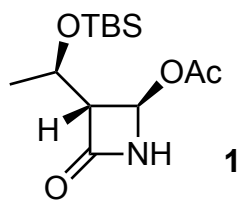


- 1) NaBr, NaNO₂, H₂SO₄
- 2) BH₃ (4 eq "H⁻")
- 3) Cs₂CO₃
- 4) CH₃SO₂Cl, Et₃N
- 5) Na₂S (1 eq)
- 6) TsCl, DMAP
- 7) Oxone (1 eq)
- 8) KSCoCH₃, acetone
- 9) NaOEt, EtOH

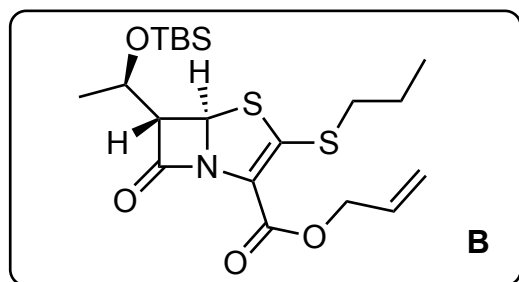
1) Explain stereochemical outcome
Retention via double inversion (3-membered lactone intermediate)

5) Two transformations
Mesylate displacement, then intramolecular epoxide opening

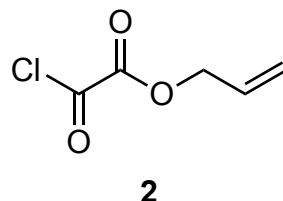
7) d.r. > 10:1



10-12



- 10) *n*-PrSH, NaH, then CS₂, then **1**
- 11) **2**, Et₃N
- 12) MeP(OEt)₂ (2 eq), 90 °C

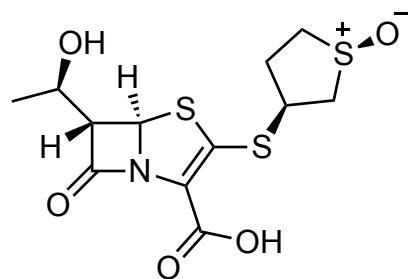


10) Explain stereochemical outcome
Proceeds through a flat 4-membered iminium intermediate

12) Provide name and mechanism?
A variant of Eschenmoser sulfide contraction
Hint: First byproduct is MeP(=O)(OEt)₂
Second byproduct is MeP(=S)(OEt)₂

B

13-16

**Sulopenem**

- 13) Urea • H₂O₂ (1 eq)
14) **A**, Et₃N
15) Pd(OAc)₂/P(OEt)₃ (cat.), PhSO₂Na
16) TBAF

