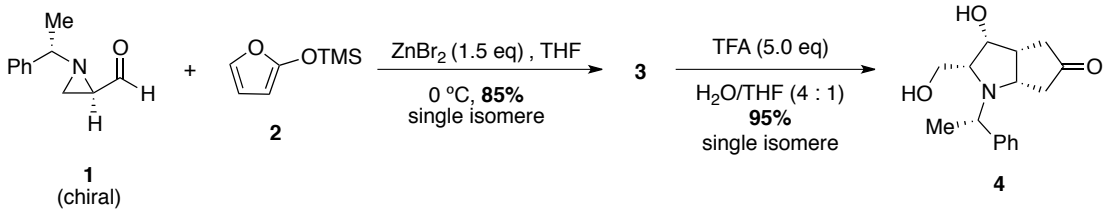
Millius Nicolas

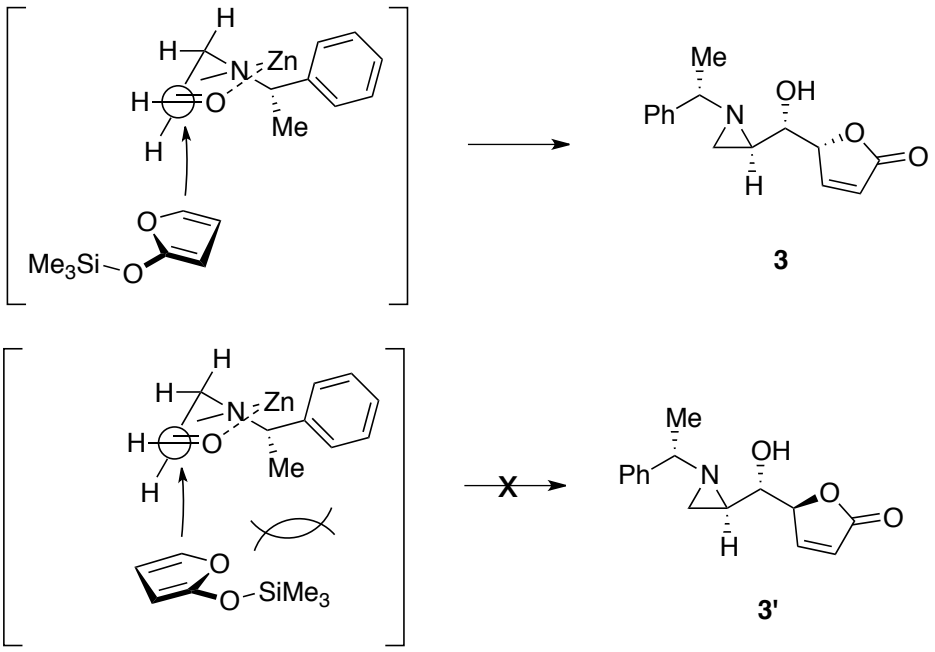
Highly stereoselective directed reactions and an efficient synthesis of azafuranoses from a chiral aziridine

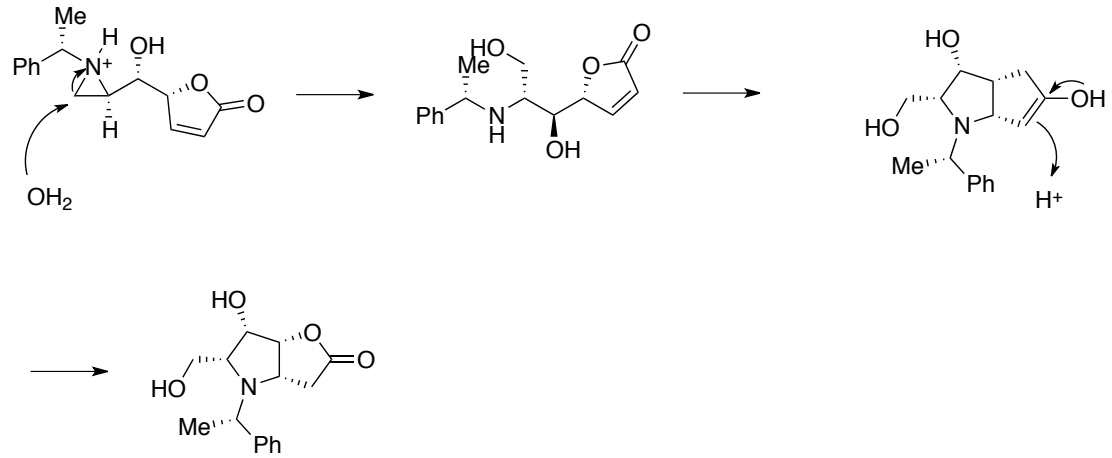
Problem:



- Give the structure of **3** and explain the stereoselectivity
- Give the mechanism for the formation of **4**
- Give a possible synthesis for starting material **1**
  (Hint: During the synthesis diastereomeres were separated by FC)

Solution:

- 
H
H
N
Zn
H
O
Me
H
O
Me3Si
O
Me
OH
Ph
N
O
O
H
3
H
H
N
Zn
H
O
Me
H
O
O
SiMe3
X
Me
OH
Ph
N
O
O
H
3'

- 
Me
H
Ph
N+
OH
O
O
H
OH2
HO
Me
Ph
N
H
O
O
OH
HO
HO
N
OH
Me
Ph
H+
HO
HO
N
O
O
Me
Ph
4

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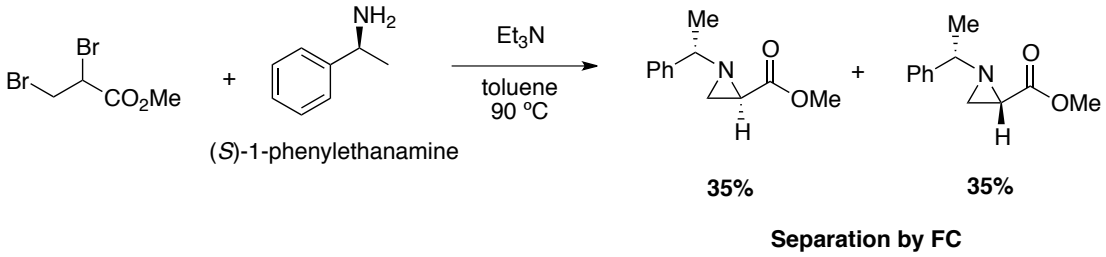
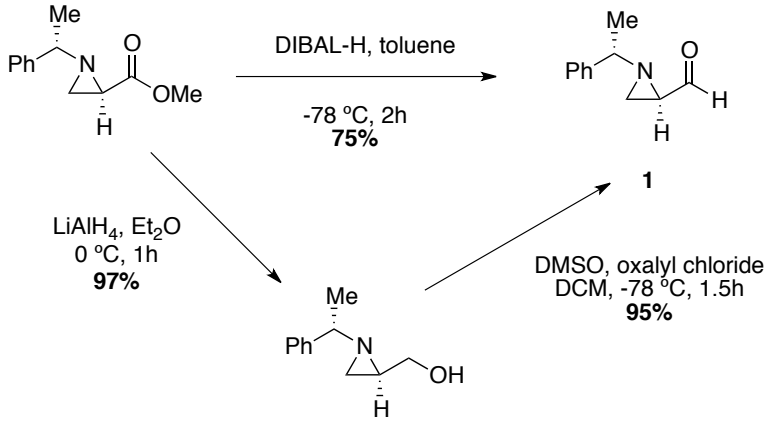


Ref: M.-C. Wang, Y.-H. Wang, G.-W. Li, P.-P. Sun, J.-X. Tian, H.-J. Lu, *Tet. Asymm.* **2011**, *22*, 761.



Ref: W. K. Lee, H.-J. Ha, *Aldrichimica Acta* **2003**, *36*, 57.

Comments:
The newely formed C-N bond for the ring was controlled only by the configuration of the lactone to lead to the [5,5']-bicyclic compound.

References:
H. Lee, J. H. Kim, W. K. Lee, J. Cho, W. Nam, J. Lee, H.-J. Ha, *Org. Biomol. Chem.* **2013**, accepted: 19.02.2013.

Keywords:
Stereoselective aziridine opening (chelation control), intramolecular cyclization