

# Synthesis of Homoallylic Amines via 2-Aza-Cope Rearrangement

Category

Organo- and Biocatalysis

Key words

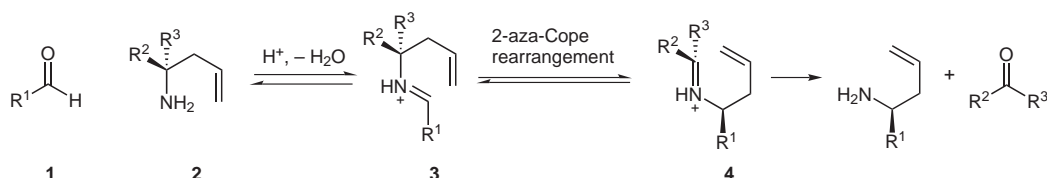
aminoallylation

homoallylic amines

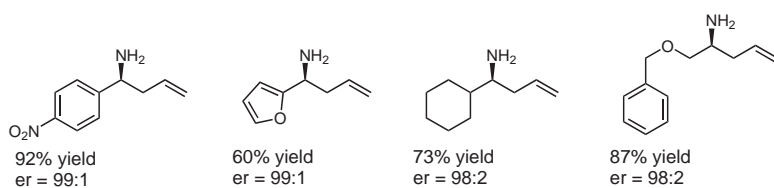
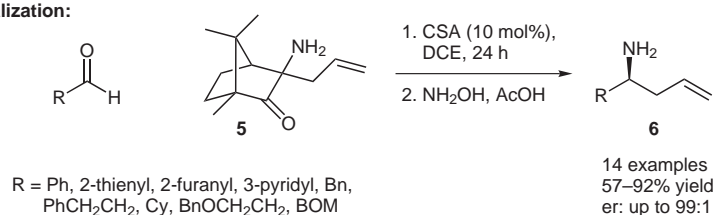
aza-Cope rearrangement

**SYNFACT**  
*of the month*

General concept:



Realization:



**Significance:** The enantioselective transfer aminoallylation catalyzed by a Brønsted acid leading to homoallylic primary amines **6** is described. Starting from aldehyde **1** and chiral homoallylamine **2**, an imine-intermediate **3** is formed, which can undergo a 2-aza-Cope rearrangement to imine **4**. Finally, the homoallylic amine **6** is released after cleavage of the imine bond with hydroxylamine. The authors have employed camphorsulfonic acid as a catalyst, leading to homoallylic amines **6** in good yields and excellent enantiomeric ratios.

**Comment:** Homoallylic amines are typically prepared by addition of allylic nucleophiles to imine electrophiles. In most cases, protected homoallylic amines are obtained although the formation of primary homoallylic amines would be highly desirable. The same group has recently reported a non-asymmetric variant starting from aldehydes, ammonia and an allylboronate yielding the primary amines directly (*Chem. Commun.* **2005**, 104-106). Now, they report a highly enantioselective approach to homoallylic primary amines **6** via a 2-aza-Cope rearrangement using the optically active amine **5**.