THE SYNTHESIS OF β,β-DISUBSTITUTED ALLYL ALCOHOLS AND THEIR TRANSFORMATION INTO UNNATURAL AMINO ACIDS

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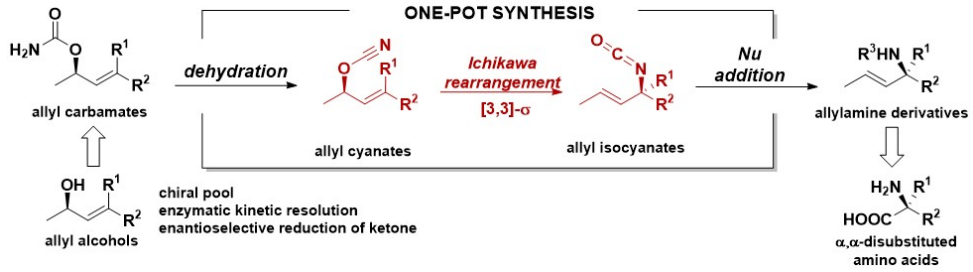
α,α-Disubstituted amino acid (α,α-AA) have attracted great interest due to their special properties as bioactive compounds and their potential application as building blocks for the synthesis of biologically active compounds or peptidomimetics. For instance, their incorporation into a peptide structure can cause conformational disorder and results in a change of its biological properties such as bioactivity or resistance to proteolytic enzymes.[1]

The allylamines, bearing a tertiary stereogenic center, are very attractive building blocks, and can serve as starting materials in the preparation of chiral α,α-AA. However, their synthesis, especially in enantiomerically pure form, is not a trivial task. A particularly attractive way of their preparation can be sigmatropic rearrangement reactions, such as Ichikawa reaction.[2]



The main aim of this project is to demonstrate that rearrangement of allyl cyanates can serve as a key step in preparation of α,α-AA. These reactive species can be prepared from the corresponding readily available allyl carbamates. The transformation of enantiomerically pure allyl carbamates enables the stereospecific formation of a new C-N bond with a complete chirality transfer through cyclic transition state.[3]

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