

Abstract

Organocatalysis, along with catalysis by metal complexes or enzymes, has become one of the very useful tools of asymmetric synthesis. Different activation modes or their combinations into sequences (domino reactions) enable effective access to enantiomerically enriched complex substances.

The objective of this work was the preparation of chiral molecules from simple precursors activated by amine-based organocatalysts. In particular, we were focused on a study of asymmetric allyl substitution of Morita-Baylis-Hillman carbonates and two-component domino reactions on sulfur-containing heterocycles.

The thesis deals with the organocatalytic allyl amination of Morita-Baylis-Hillman carbonates with aromatic amines catalyzed by β -isocupreidine. The corresponding allylic amines were obtained in high yields (90–96%) with moderate enantiomeric excess. It was possible to get substances of high optical purity (*ee* 82–99%) by recrystallization of selected products. Furthermore, the developed method was applied in the preparation of enantiomerically enriched β -lactams, which represent valuable precursors, for example, in the synthesis of the drug Ezetimibe.

The second part of the work is focused on stereoselective cyclization reactions comprising selected sulfur heterocycles. Although the cyclization reaction of alkylidene-*N*-fenyrlhodanine with aromatic hydroxyenal gave us unsatisfactory results, we successfully developed a domino reaction of 2-alkylidene-benzo[*b*]thiophenones and enones catalyzed by *Cinchona* alkaloid amines. Corresponding spirocompounds bearing a cyclohexane ring with three stereogenic centers were prepared by one-step synthesis with high yields (88–96%), diastereoselectivity (*dr* \sim 14/2/1) and excellent optical purity of major diastereomers (*ee* 85–97%).

Also, we aimed to perform enantioselective transformations affording dihydro-2*H*-pyrane derivatives of various sulfur heterocycles. The synthetic approach is based on a formal [4+2] cycloaddition reaction between 3-alkylidene-benzo[*b*]thiophenes and allenates catalyzed by quinidine. Corresponding enantiomerically enriched products (*ee* 66–99%) were obtained in moderate to excellent yields (36–94%), while it was possible to isolate them from the reaction mixture by simple filtration. The methodology was also successfully applied to other selected heterocycles containing alkylidene unit.