

HIGHLY ENANTIOSELECTIVE MANNICH REACTION CATALYZED BY CHIRAL PHOSPHINOYL-AZIRIDINES

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Asymmetric synthesis is still extensively explored field of organic chemistry due to high interest on developing new methods of producing optically pure compounds. Each enantiomer could have significantly different biological activities or organoleptic properties, which often occurs in compounds used in pharmaceutical or cosmetic industry. Organocatalysis could be the right option for the synthesis of optically active (or pure) compounds since there is no presence of metal ions. It is also noteworthy that catalyst molecules are usually quite simple in structure and easy to synthesize. Over the last decade, one or more organocatalytic approaches were applied in synthesis of biologically active species [1]. The organocatalyzed enantioselective Mannich reaction constitutes one of the ways on constructing nitrogen-containing systems. Various molecules (originated from the nature) such as proline, D-glucosamine derivatives or protease were tested in this transformations.

The chiral aziridines containing phosphinoyl moiety are only scarcely mentioned in chemical literature. Taking into account our experience in the area of asymmetric organocatalysis we decided to prepare series of chiral phosphinoyl-functionalized aziridines in order to investigate their activity in the direct asymmetric Mannich reaction of *p*-anisidine, hydroxyacetone and various aromatic aldehydes (Fig. 1). The influence of the catalyst on the absolute configuration of the desired product is discussed [2].

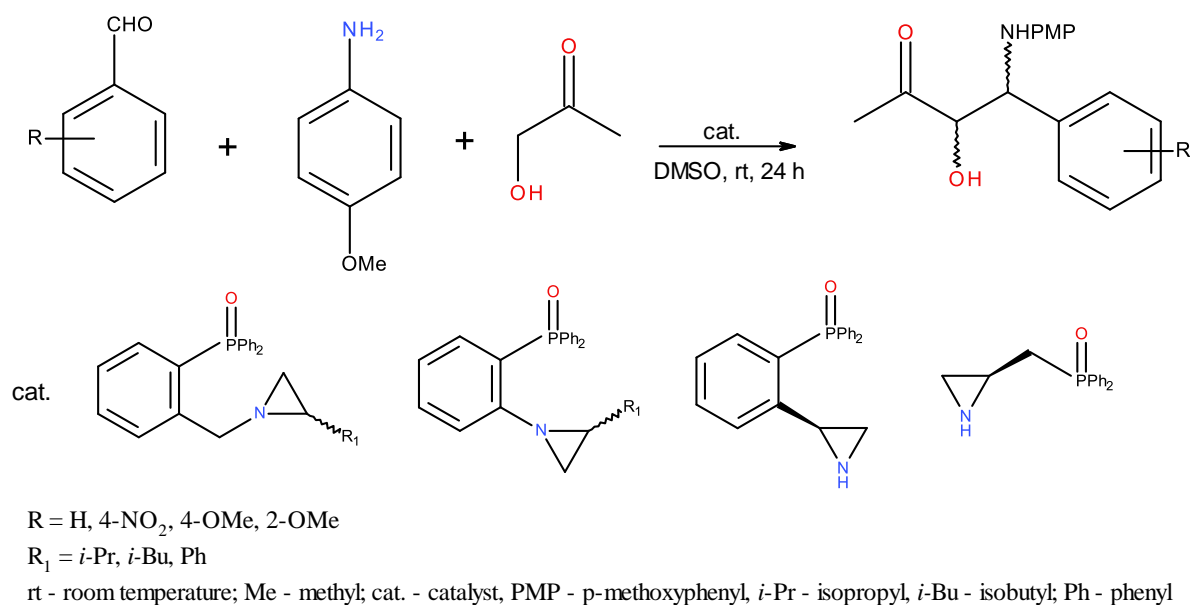


Fig. 1. Organocatalytic Mannich reaction promoted by chiral phosphinoyl-aziridines.

This project is supported by National Science Centre (NCN) (Grant no. 2016/21/B/ST5/00421).

- [1] V. da Gama Oliveira, M. F. do Carmo Cardoso, L. da Silva Magalhães Forezi, Organocatalysis: a brief overview on its evolution and applications, *Catalysts* **8**, 605-634 (2018).
[2] A. Buchcic, A. Zawisza, S. Leśniak, J. Adamczyk, A. M. Pieczonka, M. Rachwalski, Enantioselective Mannich reaction promoted by chiral phosphinoyl-aziridines, *Catalysts* **9**, 837-846 (2019).