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Environmental protection, alternative energy sources
Nanotechnology
Apparatus, separation processes
Kinetics, thermodynamics
Other

93
participants

56
plenary
presentations

73
poster
presentations

17
articles

8.14 Synthesis of new, axial and central chiral (thio)squaramides, and their application as organocatalysts in enantioselective reactions

Gyula Dargó¹, Sándor Nagy¹, Péter Kisszékelyi¹, Béla Mátravölgyi¹, Péter Huszthy¹, József Kupai^{*,1}

- 1 Faculty of Chemical Technology and Biotechnology, Budapest University of Technology and Economics, Budapest, Hungary

KEYWORDS: organocatalysis, cinchona, catalyst recovery, asymmetric reaction.

After the tragical story of the drug called Contergan in the 1950s only the enantiopure active pharmaceutical ingredient (API) could be used as a drug component. The enantiopure API could be produced by enantioselective synthesis. In our research group during enantioselective reactions, asymmetric organocatalysts provide the necessary chiral environment. The term organocatalysis means a non metal-based catalysis using small organic molecules. In my research work I aimed to produce new axially, and central chiral, bifunctional, binaphthyl-cinchona-(thio)squaramide organocatalysts (4a, 4b, see Figure 8.14.1).

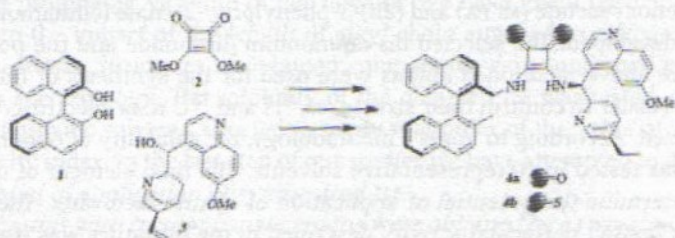


Figure 8.14.1: Synthesis of new (thio)squaramide organocatalyst

The catalytic activity of the prepared organocatalysts (4ab) was studied in enantioselective *Michael* addition which can be widely used as a C–C coupling step in the synthesis of many drug intermediates (eg. prostaglandin E₁, baclophen, or oseltamivir). With the benefit of the basic character of catalysts, we would like to recycle them by a simple aqueous extraction after salt formation.

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* Corresponding author, e-mail: jkupa@mail.bme.hu

8.15 Development and application possibilities of new, hydrogen bond donor organocatalysts

Gyula Dargó¹, Sándor Nagy¹, Péter Kisszékelyi¹, Béla Mátravölgyi¹, Péter Huszthy¹, József Kupai^{*,1}

¹ Faculty of Chemical Technology and Biotechnology, Budapest University of Technology and Economics, Budapest, Hungary

KEYWORDS: *organocatalysis, hydrogen bond donor, (thio)squaramide, (thio)deltamide, enantioselective reactions.*

The importance of hydrogen donor scaffolds is increased during the past decade. They can be used in many fields of chemistry, for instance, as recognition motifs in anion receptors, or as a subunit in organocatalysts. Their activities are directly related to their hydrogen bond donation capability which is correlated with the acidity of the NH groups. The first, dual hydrogen bond donor unit was the widely known urea. During the evolution of hydrogen bond donors many other strained ring structure were discovered, which have even higher acidity of NH groups (Figure 8.15.1).

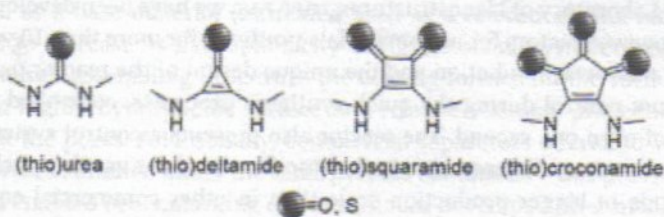


Figure 8.15.1: Synthesis of new (thio)squaramide organocatalyst

During our work new cinchona-deltamide and (thio)squaramide derivatives were synthesized. These organocatalysts were applied in Michael addition of 1,3-dioxo compounds to β -nitrostyrene with excellent enantiomeric excesses (up to 99 % ee). It is important to note that this was the first preparation and organocatalytic application of the deltamide skeleton in asymmetric reactions.

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* Corresponding author, e-mail: jkupai@mail.bme.hu

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Antonina Krawczyk, krawczyk.antonina@gmail.com

Paper review team

Lead by Joanna Latocha

Nina Borzęcka, Piotr Cendrowski, Katarzyna Dobrowolska

Typesetting team

Layout and formatting by Mateusz Bartczak

Mieszko Boczkowski, Dominika Kasprzak, Dominika Kwarta,
Olga Przybył, Adrian Okołowicz, Izabela Słomska, Maria Ziąbska

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