Abstract

4-Hydroxyproline Containing Podands: New Chiral Catalysts of the Asymmetric Biginelli Reaction †

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4-Aryl substituted dihydropyrimidines (DHPMs), the products of the multicomponent Biginelli reaction, are well known as cardiotropic, hypotensive, antitumor, anti-inflammatory, antifungal and antiviral agents. Considerable attention is paid to their asymmetric synthesis due to the fact that the pharmacological activity of enantiomers of chiral DHPMs can vary considerably.

Previously, we showed the prospects of using proline derivatives as chiral catalysts in the asymmetric Biginelli reaction [1,2].

Herein, we report the synthesis of new C2-symmetric chiral catalysts based on acyclic analogs of crown-ether (podands) and 4-hydroxyproline.

The combination of the S-shaped conformation of the polyester chain with the optically active centers of the proline fragment in C2-symmetric organocatalysts made it possible to obtain the product of the Biginelli reaction with 68–72% ee.

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References


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