Category

Organo- and Biocatalysis

Key words

a-isothiocyanato imides

 β -hydroxy- α -amino acids

thiourea catalyst



L. LI, E. G. KLAUBER, D. SEIDEL* (RUTGERS, THE STATE UNIVERSITY OF NEW JERSEY, PISCATAWAY, USA)

Catalytic Enantioselective Aldol Additions of α -Isothiocyanato Imides to Aldehydes *J. Am. Chem. Soc.* **2008**, *130*, 12248-12249.

Gold-Free Aldol-Type Additions of α -Isothiocyanato Imides to Aldehydes

Significance: Seidel and co-workers report an organocatalytic diastereo- and enantioselective aldol addition of α -isothiocyanato imides to aldehydes. The reaction is catalyzed by bifunctional thiourea catalyst 1. A sterically demanding substitution on the imide is necessary for high diastereo-selectivities and moreover for suppressing the formation of undesired product 2. The applicability was shown by preparing the protected β -hydroxy- α -amino acid 3 on a large scale and reducing the catalyst loading to 1 mol%.

Comment: A direct organocatalytic and highly diastereo- and enantioselective approach to protected *syn*-β-hydroxy-α-amino acids under mild conditions with low catalyst loadings is presented. The approach complements one of the first catalytic asymmetric aldol reactions by Ito and Hayashi (*J. Am Chem. Soc.* **1986**, *108*, 6405). So far, the majority of methods available to synthesize *syn*-β-hydroxy-α-amino acids rely on diastereoselective approaches, for example the use of chiral auxiliaries. A catalytic enantioselective approach to these compounds remains challenging. An expansion of this method to different imides would be desirable.

 SYNFACTS Contributors: Benjamin List, Kristina Zumbansen

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