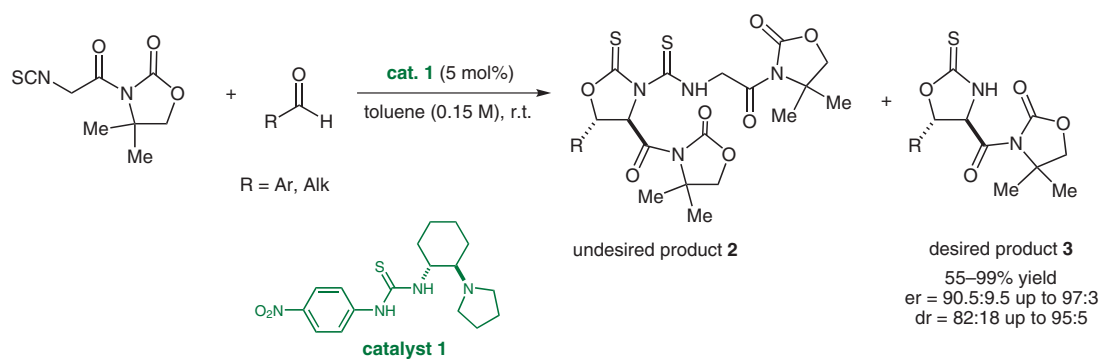


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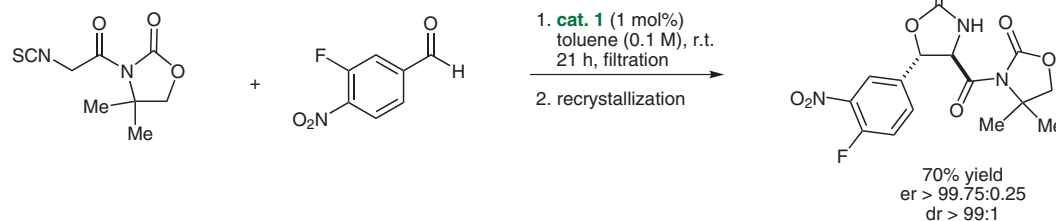
Catalytic Enantioselective Aldol Additions of α -Isothiocyanato Imides to Aldehydes

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



Large-scale synthesis:



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 diastereoselectivities 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.