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Organocatalytic Asymmetric Biomimetic Transamination: From α -Keto Esters to Optically Active α -Amino Acid Derivatives

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Synthesis of α -Amino Acid Derivatives by Biomimetic Transamination

Plausible mechanism of the biomimetic transamination and proposed transition state:

Significance: Shi and co-workers have developed a methodology to synthesize α -amino acid derivatives **3** from α -keto esters **2**, catalyzed by cinchona alkaloid derivative **1**. This is the first catalytic highly enantioselective synthesis of α -amino acid derivatives **3** via biomimetic transamination. The proton of the ammonium ion in the transition state is delivered to the *si*-face of the substrate, affording the (*R*)- α -amino ester as the major enantiomer.

Comment: Optically active α -amino acids and their derivatives are an important class of molecules in biology and in organic synthesis. However, it remains a challenge to develop highly enantioselective syntheses of them to date. Here, a very efficient method for the synthesis of α -amino acid derivatives via biomimetic transamination has been reported, which also illustrates the synthetic potential of organocatalytic biomimetic transamination.

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