Synthesis of α-Amino Acid Derivatives by Biomimetic Transamination

**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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**Plausible mechanism of the biomimetic transamination and proposed transition state:**

1. **1) chiral base (B*)**
2. **2) H3O+**
3. **32**
4. **47–71% yield**
5. **er = 94:6 to 96:4**

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*Synfacts* 2011, 10, 1127-1127  Published online: 20.09.2011  DOI: 10.1055/s-0030-1261125; Reg-No.: B10111SF