Synthesis of \( \alpha \)-Amino Acid Derivatives by Biomimetic Transamination

**Significance:** Shi and co-workers have developed a methodology to synthesize \( \alpha \)-amino acid derivatives 3 from \( \alpha \)-keto esters 2, catalyzed by cinchona alkaloid derivative 1. This is the first catalytic highly enantioselective synthesis of \( \alpha \)-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 \( (R) \)-\( \alpha \)-amino ester as the major enantiomer.

**Comment:** Optically active \( \alpha \)-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 \( \alpha \)-amino acid derivatives via biomimetic transamination has been reported, which also illustrates the synthetic potential of organocatalytic biomimetic transamination.

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

![Plausible mechanism](image)

1. \( R \)CO\(_2\)C\(_2\)Et\(_3\)
2. Ar, Alk
3. 22 examples
4. 47–71% yield
5. \( er = 94:6 \) to \( 96:4 \)
6. \( \text{On-Bu} \)
7. \( \text{OH} \)
8. \( \text{H}^+ \)
9. \( \text{N} \)