Kinetic Resolution of Primary Amines through Chiral Phosphoric Acid Catalysis

**Significance:** The List group reports a kinetic resolution of primary amines by selective condensation with a 1,3-diketone. The reaction is catalyzed by a chiral BINOL-derived phosphoric acid. The method is applicable to both benzylamine derivatives and aliphatic substrates.

**Comment:** The authors demonstrated an acid-catalyzed enantioselective carbonyl–amine condensation through a kinetic resolution of primary amines. There is great potential of the observed reactivity in many other transformations.

**Selected examples:**

- **NH₂**
  - 47% conversion
  - s = 30
- **NH₂**
  - 50% conversion
  - s = 17
- **F NH₂**
  - 49% conversion
  - s = 32
- **NH₂**
  - 50% conversion
  - s = 31
- **NH₂**
  - 45% conversion
  - s = 23

**Gram-scale reaction:**

- **NH₂**
  - 46% yield, er = 95:5
  - s = 46
- **NH₂**
  - 41% yield, er = 94:6
  - er = 94:6