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 Et:** 50% conversion, s = 17
- **NH₂ Ph:** 49% conversion, s = 27
- **NH₂ CO₂H:** no reaction

**Gram-scale reaction:**

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

**Key words**
- phosphoric acid
- kinetic resolution
- amines
- asymmetric catalysis
- condensation