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:

- 47% conversion (s = 30)
- 50% conversion (s = 17)
- 49% conversion (s = 32)
- 50% conversion (s = 31)
- 45% conversion (s = 23)

Gram-scale reaction:

- 46% yield, er = 95:5
- 46% conversion (s = 46)
- 41% yield, er = 94:6
- 45% conversion (s = 31)
- 46% conversion (s = 44)
- no reaction