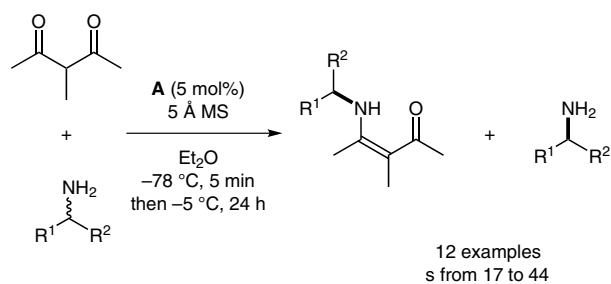


S. DAS*, N. MAJUMDAR*, C. K. DE*, D. S. KUNDU*, A. DÖHRING*, A. GARCZYNSKI*,
B. LIST* (MAX-PLANCK-INSTITUT FÜR KOHLENFORSCHUNG, MÜLHEIM AN DER RUHR,
GERMANY)

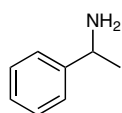
Asymmetric Catalysis of the Carbonyl-Amine Condensation: Kinetic Resolution of Primary Amines
J. Am. Chem. Soc. **2017**, *139*, 1357–1359.

Kinetic Resolution of Primary Amines through Chiral Phosphoric Acid Catalysis

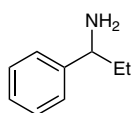


R¹ = Ar, Alk
R² = Me, Et

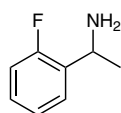
Selected examples:



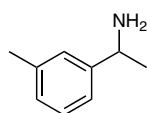
47% conversion
s = 30



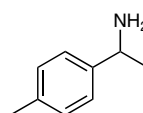
50% conversion
s = 17



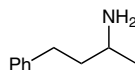
49% conversion
s = 32



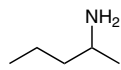
50% conversion
s = 31



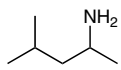
45% conversion
s = 23



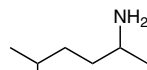
49% conversion
s = 27



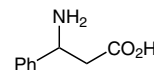
51% conversion
s = 17



45% conversion
s = 31

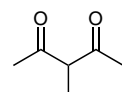


46% conversion
s = 44

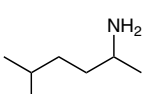


no reaction

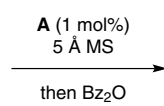
Gram-scale reaction:



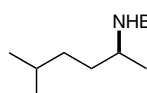
(0.55 equiv)



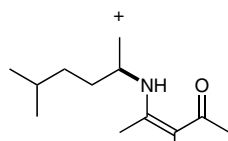
(1.0 g)



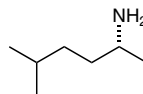
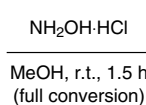
51% conversion
s = 46



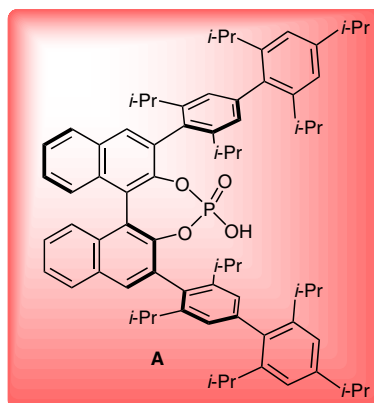
46% yield, er = 95:5



41% yield, er = 94:6



er = 94:6



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.

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