

Amines Q 0120

30- 065

Activation of Hemiaminal Ethers by Chiral Broensted Acids for Facile Access to Enantioselective Two-Carbon Homologation Using Enecarbamates. — Chiral phosphoric acids (I) are used to catalyze the transformation for aromatic and aliphatic substrates. A sequential transformation involving homologation and Friedel—Crafts reaction proceeds in one pot whereby the catalyst also accelerates the subsequent introduction of indole to give the desired product (XII) in nearly optically pure form, albeit with moderate diastereoselectivity. The method enables facile access to highly enantioenriched 1,3-diamine derivatives which are potentially useful intermediates of biologically interesting molecules. — (TERADA*, M.; MACHIOKA, K.; SORIMACHI, K.; Angew. Chem., Int. Ed. 48 (2009) 14, 2553-2556; Dep. Chem., Grad. Sch. Sci., Tohoku Univ., Aoba, Sendai 980, Japan; Eng.) — S. Adam

A): 1M K-Selectride, toluene, -78 -> +10°C

B): 2 mol% (R)-lb (cat.), toluene, 25°C



70% (52% d.e., >99% e.e.)

$$IIa + Me \xrightarrow{H} Moc \xrightarrow{1. c)} Boc-NH Ph \xrightarrow{E} Me H$$

$$XIII \qquad (-)-XIV*$$

Moc: -CO-O-Me 99% (96% d.e., 94% e.e.)

C): 2 mol% (R)-[a (cat.), CH₂Cl₂, 25°C, [24 h]