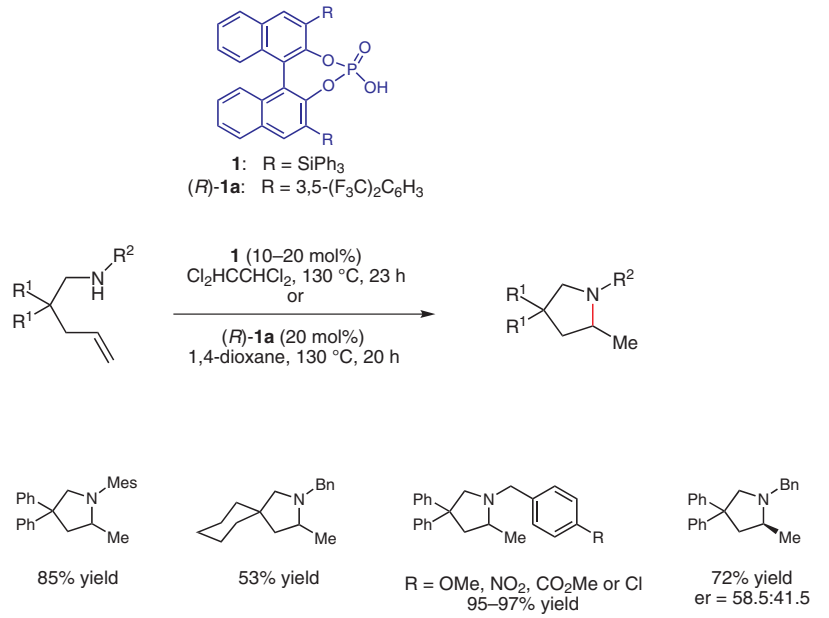
L. ACKERMANN,* A. ALTHAMMER (GEORG-AUGUST-UNIVERSITÄT GÖTTINGEN, GERMANY)

Phosphoric Acid Diesters as Efficient Catalysts for Hydroaminations of Nonactivated Alkenes and an Application to Asymmetric Hydroaminations
Synlett **2008**, 995-998.

Hydroamination of Nonactivated Alkenes



Significance: A catalytic amount of Brønsted acid enables high yielding intramolecular hydroaminations of electronically unactivated alkenes with basic amines. Screenings identified phosphoric acid **1** as the most active catalyst for this cycloisomerization. Substrates with ether, nitro, ester, or chloro functionalities are tolerated. By using enantiomerically pure phosphoric acid (*R*)-**1a** the authors show an unprecedented example of a metal-free asymmetric hydroamination of nonactivated alkenes, albeit with modest selectivity (er = 58.5:41.5).

Comment: This intramolecular addition of an amine to a C–C double bond depicts a direct and atom-economical access to N-heterocycles, which are ubiquitous in natural products as well as biologically active compounds. Most organocatalytic additions of N-nucleophiles to olefins require activation of the alkene functionality. The observed effectiveness of this addition might be due to the intramolecular nature of this cycloisomerization. A screening of different enantiopure phosphoric acids might result in higher enantiomeric ratios.

SYNFACTS Contributors: Benjamin List, Frank Lay
Synfacts 2008, 6, 0639-0639 Published online: 21.05.2008
DOI: 10.1055/s-2008-1072762; **Reg-No.:** B04008SF

Category
Organo- and Biocatalysis

Key words
hydroamination
phosphoric acid
alkenes
cycloisomerization