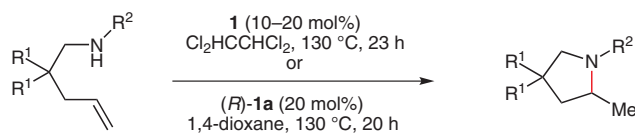
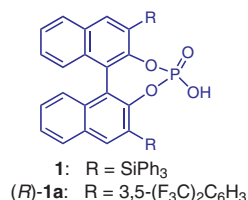


L. ACKERMANN,\* A. ALTHAMMER (GEORG-AUGUST-UNIVERSITÄT GÖTTINGEN, GERMANY)

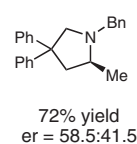
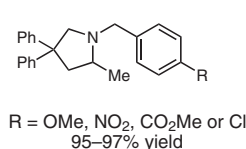
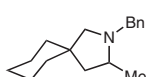
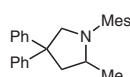
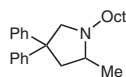
Phosphoric Acid Diesters as Efficient Catalysts for Hydroaminations of Nonactivated Alkenes and an Application to Asymmetric Hydroaminations

*Synlett* **2008**, 995-998.

## Hydroamination of Nonactivated Alkenes



Selected examples:



**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  
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alkenes

cycloisomerization

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*of the month*