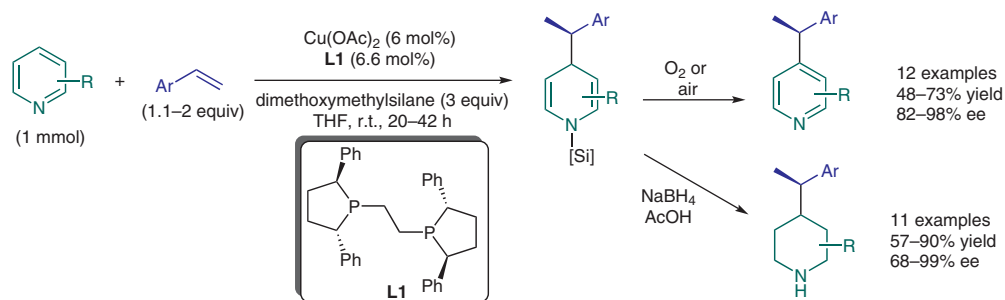


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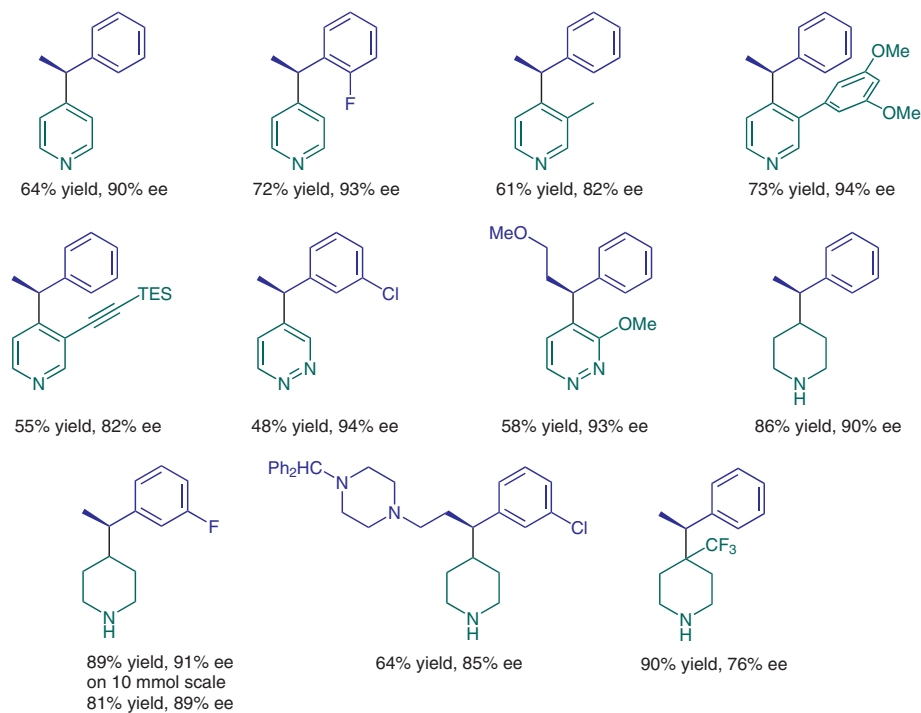
Asymmetric Cu-Catalyzed 1,4-Dearomatization of Pyridines and Pyridazines without Preactivation of the Heterocycle or Nucleophile

J. Am. Chem. Soc. **2018**, *140*, 5057–5060.

Enantioselective Dearomatization of Pyridines with Styrene-Derived Nucleophiles



Selected examples:



Significance: Dearomatization of heteroaromatics is a valuable transformation in organic chemistry to create new carbon–carbon bonds. Herein, Buchwald and co-workers report the dearomatization of pyridines with a chiral benzylic copper species generated through an enantioselective copper hydride addition to the corresponding styrene.

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Comment: The intermediate dihydropyridine could be oxidized to regenerate the pyridine or reduced to give the piperidine scaffold. A variety of substituents could be tolerated on either the styrene or pyridine, including halogens and alkyl or alkynyl groups. The alkene could also be a β -substituted styrene containing a heteroatom in the alkyl chain.