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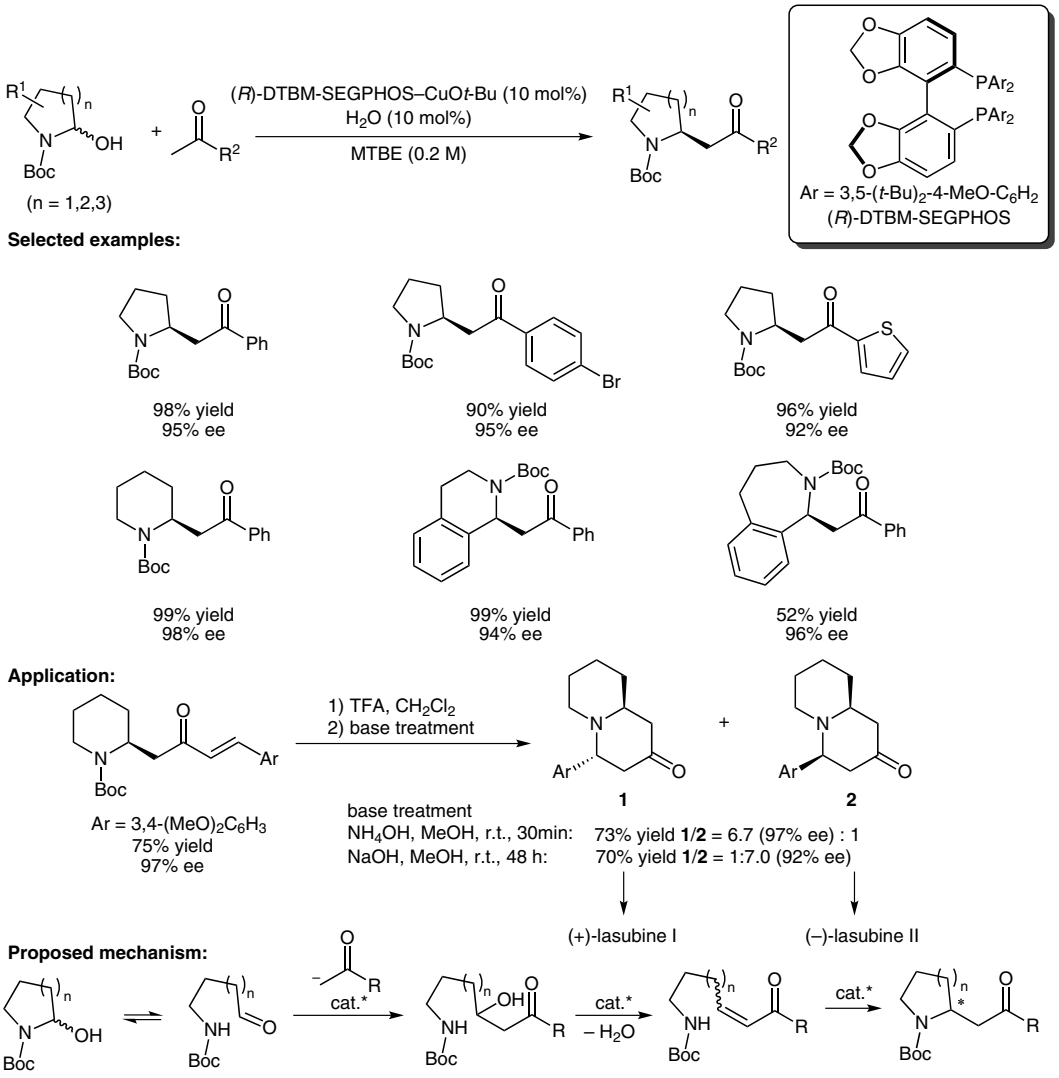
Copper(I)-Catalyzed Enantioselective Incorporation of Ketones to Cyclic Hemiaminals for the Synthesis of Versatile Alkaloid Precursors

J. Am. Chem. Soc. **2012**, *134*, 17019–17022.

Copper-Catalyzed Enantioselective Incorporation of Ketones to Hemiaminals



Significance: The authors developed a copper-catalyzed enantioselective incorporation of ketones to cyclic hemiaminals. A series of hemiaminals, including five-, six- and seven-membered rings, were applicable to provide versatile alkaloid precursors in high yield with excellent enantioselectivity.

Comment: This reaction proceeds through three successive steps: aldol reaction, dehydration and intramolecular enantioselective aza-Michael reaction. Employment of this pathway contributed to improve the reaction conditions and expand the substrate scope. Synthetic utility was demonstrated by the preparation of alkaloid and drug precursors.

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Synfacts 2013, 9(1), 0056 Published online: 17.12.2012
DOI: 10.1055/s-0032-1317777; **Reg-No.:** H15712SF