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Enantioselective Total Synthesis of (+)-Homochelidonine by a Pd^{II}-Catalyzed Asymmetric Ring-Opening Reaction of a *meso*-Azabicyclic Alkene with an Aryl Boronic Acid
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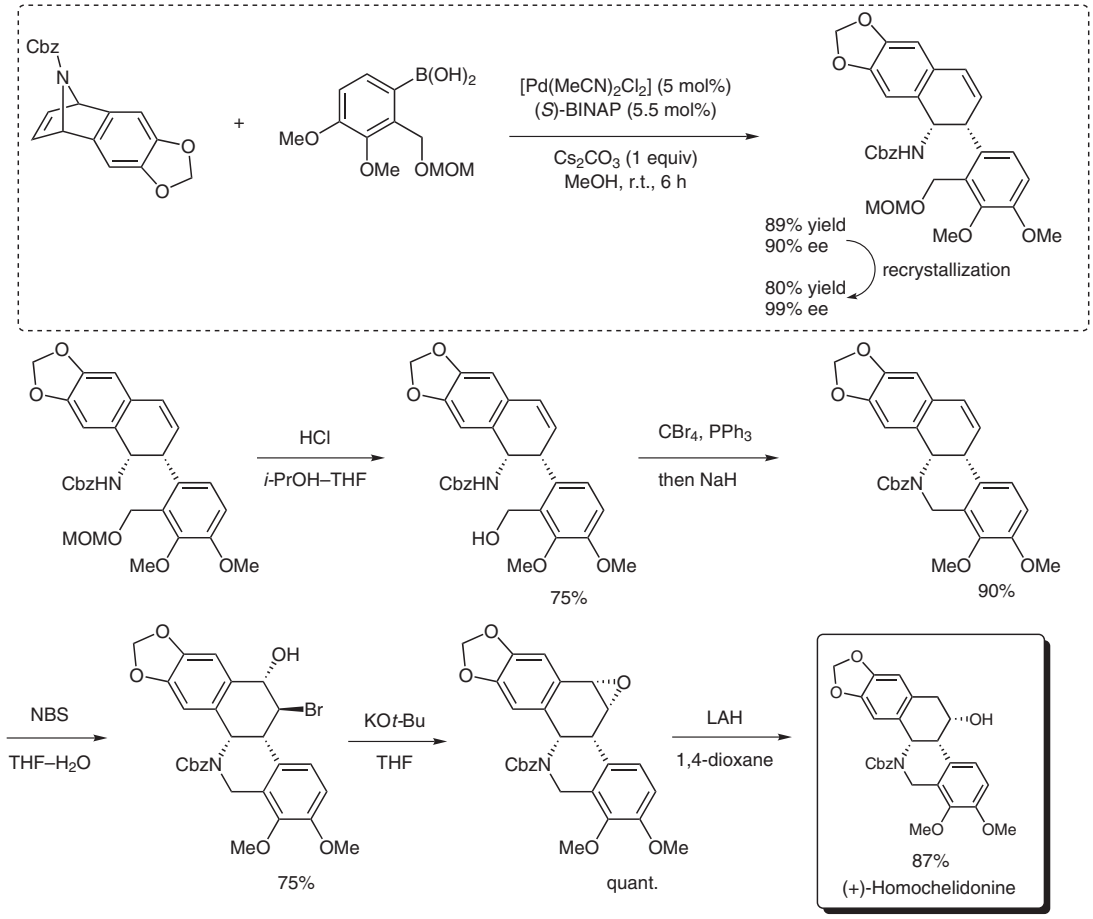
Ring Opening of a *meso*-Azabicyclic Alkene with an Arylboronic Acid



Significance: The first enantioselective total synthesis of the biologically important (+)-homochelidonine was accomplished utilizing an excellent desymmetrization of a *meso*-bicylic alkene based on a palladium-catalyzed ring-opening reaction. This method creates two contiguous *syn* stereocenters from readily available *meso* compounds. Once this chirality is installed, subsequent diastereoselective bromohydrin formation leading to an epoxide is expertly accomplished.

Comment: The Lautens group has further utilized their ring-opening reactions to include an asymmetric variant for the addition of arylboronic acid nucleophiles. While they had previously reported the racemic version of this reaction (M. Lautens, C. Dockendorff *Org. Lett.* **2003**, *5*, 3695-3698) they show here that (*S*)-BINAP is a good ligand for this transformation. Importantly, arylboronic acids are now added to the list of nucleophiles suitable for this type of ring-opening reaction.

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Category

Metal-Catalyzed Asymmetric Synthesis and Stereoselective Reactions

Key words

palladium

asymmetric ring opening

arylboronic acids

SYNFACT of the month

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