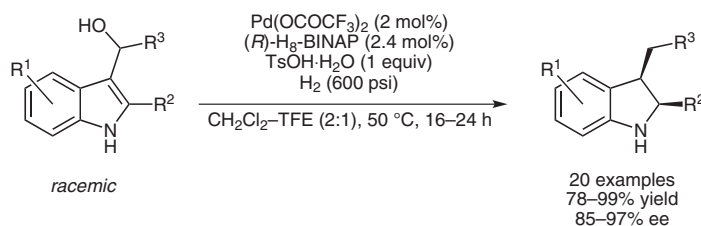
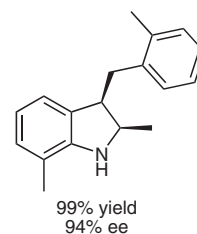
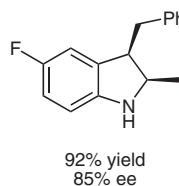
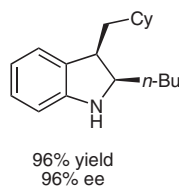
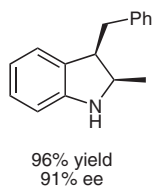


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P. R. OF CHINA)
Dehydration Triggered Asymmetric Hydrogenation of 3-(α -Hydroxyalkyl)indoles
Chem. Sci. **2011**, 2, 803-806.

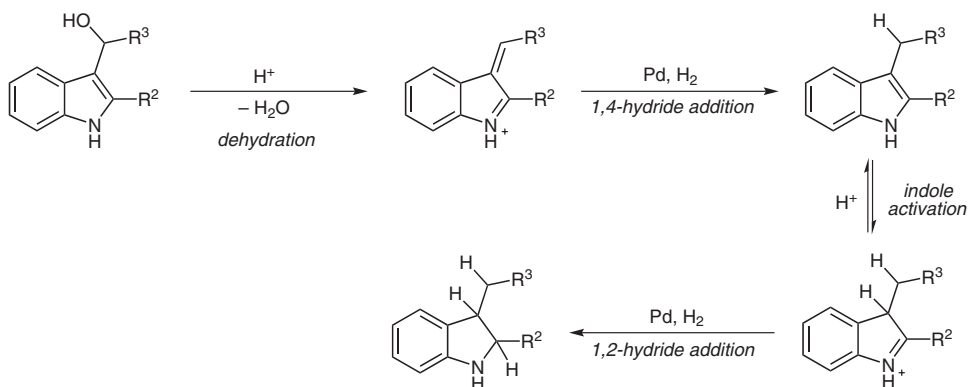
Synthesis of 2,3-Disubstituted Indolines by Asymmetric Hydrogenation



Selected examples:



Proposed mechanism:



Significance: Asymmetric hydrogenation of heterocycles is a challenging transformation due to the need to destroy aromaticity. The authors have previously developed a method for activating unprotected indoles for hydrogenation (*J. Am. Chem. Soc.* **2010**, 132, 8909). In the present report, they utilize 3-(α -hydroxyalkyl)indoles, which can be activated by dehydration, allowing for a highly enantioselective palladium-catalyzed hydrogenation to take place.

Comment: The starting indoles can be accessed by formylation of the corresponding 2-substituted indole followed by addition of Grignard reagents. The proposed mechanism is supported by the use of deuterated solvent and deuterium gas. The ability of the catalyst to withstand both the water generated in the reaction and the acidic environment indicates a highly robust system.

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