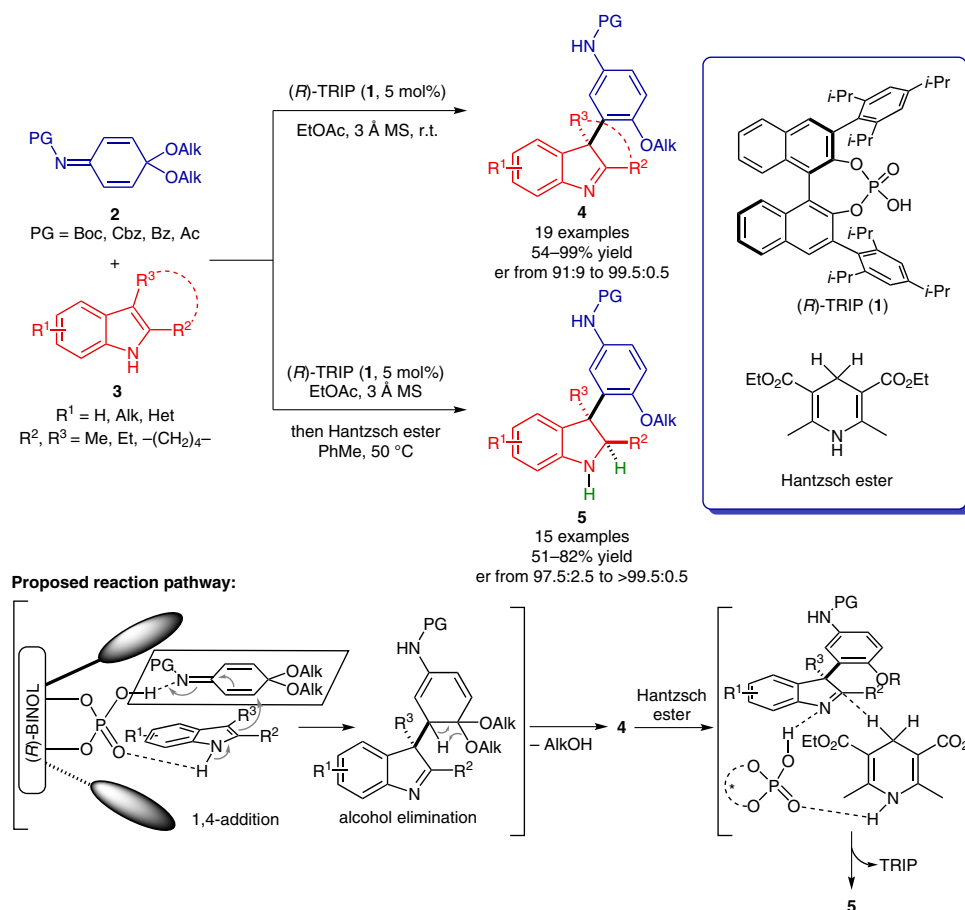


Asymmetric Arylative Dearomatization of 2,3-Disubstituted Indoles



Significance: A chiral phosphoric acid catalyzed asymmetric arylative dearomatization of indoles is reported. The chiral phosphoric acid (*R*)-TRIP (**1**) promotes the cascade 1,4-addition–alcohol elimination of quinone imine ketals **2** and disubstituted indoles **3** to give arylation products **4**. If the reaction is followed by the addition of a Hantzsch ester, a one-pot tandem arylative dearomatization–transfer hydrogenation can be promoted to give indolines **5** possessing two consecutive stereocenters in high yields and excellent enantioselectivities.

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Comment: The authors propose that TRIP activates the indole nucleophile and the α,β -unsaturated imine electrophile through dual hydrogen bonding, promoting the enantioselective 1,4-addition, which is followed by alcohol elimination. The transfer hydrogenation step occurs with excellent diastereoselectivity, controlled by the first stereocenter. However, the enhanced enantiomeric excess of products **5** compared to products **4** is due to a kinetic resolution effect facilitated by the catalyst.