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Organocatalytic Asymmetric Arylative Dearomatization of 2,3-Disubstituted Indoles Enabled by Tandem Reactions

**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.

**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.