Enantioselective Dearomatization of Indoles

Significance: Bandini and co-workers report an enantioselective dearomatization of indoles. Using 1 to 10 mol% of chiral phosphoric acid catalyst 1, the desired 3,3-disubstituted indolenines are obtained in moderate to high yields and good to excellent enantioselectivities.

Comment: The authors developed an enantioselective electrophilic activation of allenamides, generating enantoenriched dearomatized 3,3-disubstituted indolenines as products. Additionally, a dearomatization–hydrogen transfer cascade was conducted. Performing the reaction in the presence of molecular sieves and Hantzsch ester, the corresponding indolines are obtained in good yields and with high diastereo- and enantioselectivities.

Selected examples of dearomatization–hydrogen transfer cascade:

Selected examples:

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