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Merging Synthesis and Enantioselective Functionalization of Indoles by a Gold-Catalyzed Asymmetric Cascade Reaction

Oxazinoindoles via Gold-Catalyzed Stereoselective Cascade Reaction

Significance: The authors developed a gold-catalyzed hydroamination followed by an asymmetric nucleophilic allylic substitution cascade to access oxazino[4,3-a]indoles in good yields and enantioselectivities. Owing to pharmacologically active indole alkaloids, this rapid synthesis and asymmetric decoration of the polycyclic indolyl core is highly important.

Comment: Amazingly, the stereodifferentiating event in this gold-catalyzed asymmetric domino process takes place at the late stage, which is somewhat unusual and more challenging. Extensive mechanistic studies support the stepwise $S_N2'$-type mechanism for the final allylic alkylation ring closure (cycle II).

Selected examples:

Proposed mechanism: