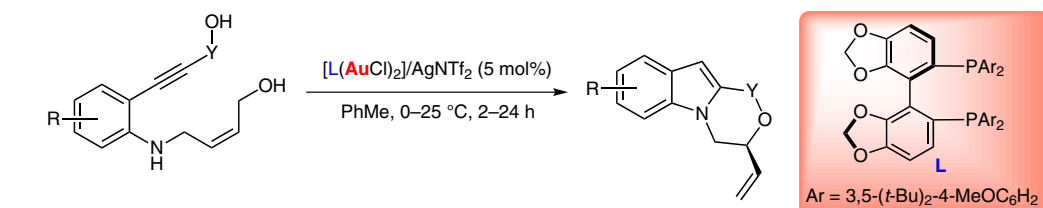


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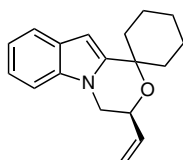
Merging Synthesis and Enantioselective Functionalization of Indoles by a Gold-Catalyzed Asymmetric Cascade Reaction

Angew. Chem. Int. Ed. **2013**, *52*, 10850–10853.

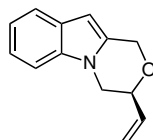
Oxazinoindoles via Gold-Catalyzed Stereoselective Cascade Reaction



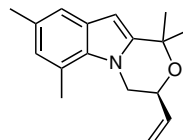
Selected examples:



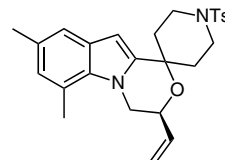
72% yield
84% ee



88% yield
85% ee

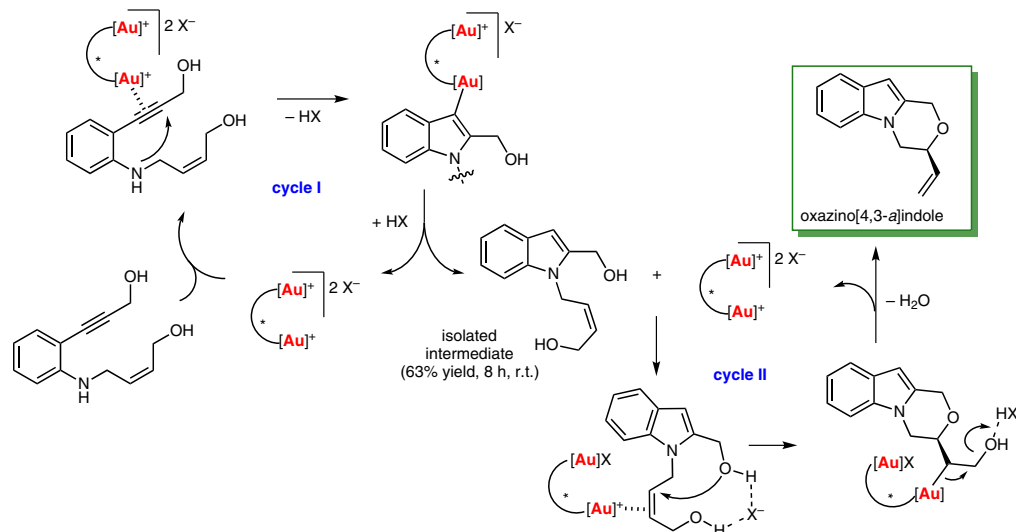


93% yield
90% ee



62% yield
98% ee

Proposed mechanism:



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.

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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).