

Chiral phosphoric acid-Au(I) hybrid complex catalyzed asymmetric synthesis of indole fused seven-membered ring compounds

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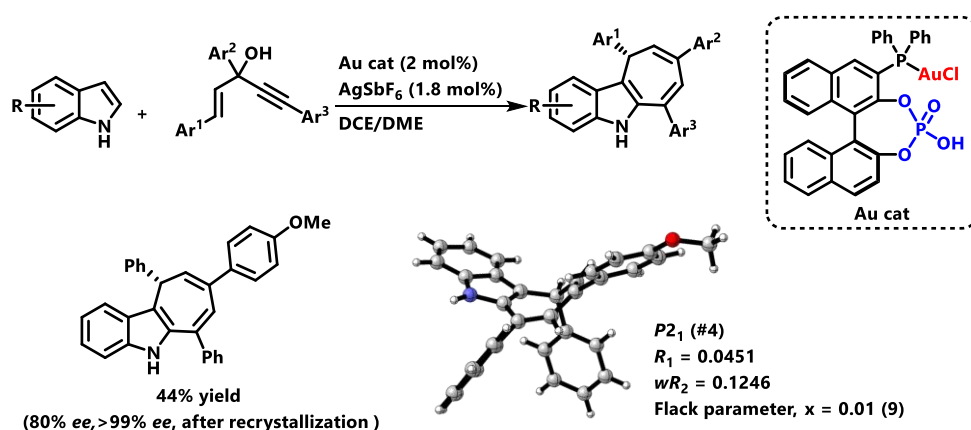
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Au(I) complexes are well known as a useful catalyst for the construction of polycyclic compounds via cyclization triggered by the π -activation of alkynes. In the pharmaceutical science, the development of synthetic method of chiral polycyclic compounds is one of the important researches. In spite of the tremendous efforts to develop the Au(I)-catalyzed enantioselective cyclization reactions, the asymmetric activation of alkynes still represents a great challenge.

Recently, we developed an Au(I) complex bearing the hybrid phosphine ligand endowed with an acid-base bifunctional chiral phosphoric acid, and we found that the Au(I) complex showed the catalytic activity for the indole-fused seven-membered rings formation reaction¹⁾ with moderate enantioselectivity (up to 61% *ee*)²⁾. We herein report the recent progress in the chiral Au(I)-catalyzed asymmetric construction of indole fused seven-membered ring compounds, including the expansion of the scope and limitation of the substrates as well as the determination of the absolute configuration of the reaction product by means of X-ray diffraction study. In addition, the enantio-determining step in this reaction, inferred from density functional theory (DFT) calculations, will also be discussed.



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