Asymmetric Aldol reaction of isatins with alkanones using an amino amide organocatalyst

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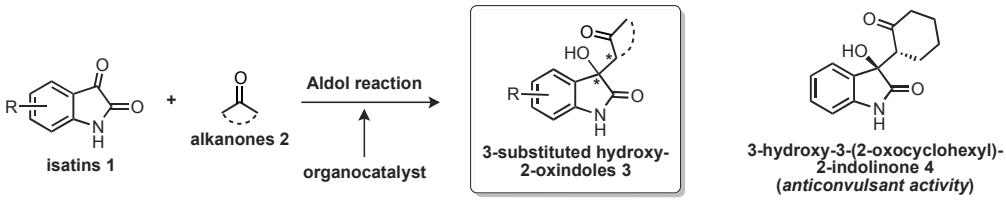
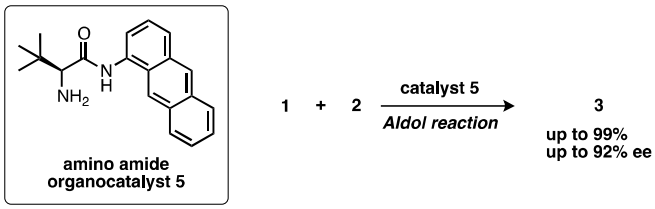
Organocatalyzed asymmetric Aldol reaction of isatins **1** with alkanones **2** is efficient reaction for the construction of optically active 3-substituted 3-hydroxy-2-oxindoles **3** and the resulted oxindoles can be used as useful synthetic intermediates for the synthesis of some biologically active compounds such as 3-hydroxy-3-(2-oxocyclohexyl)-2-indolinone **4** having anticonvulsant activity[1].



Chiral primary amino amide organocatalyst **5** was designed and synthesized as new organocatalyst for the asymmetric Aldol reactions of isatines **1** with alkanones **2** to produce chiral oxindoles **3**.

We found that amino amide organocatalyst **5** bearing a polycyclic aromatic hydrocarbon group showed superior catalytic activity in Aldol reaction for affording high optically active 3-substituted 3-hydroxy-2-oxindoles **3** (up to 99%, up to 92% ee). This work will be presented and discussed in detail.



1. (a) M. Raj, N. Veerasamy, V. K. Singh, *Tetrahedron Lett.*, **2010**, *51*, 2157-2159.

(b) Y. Tanimura, K. Yasunaga, K. Ishimaru, *Eur. J. Org. Chem.*, **2013**, 6535-6539.