



Asymmetric Organocatalytic Synthesis of 2-Oxindole Based Heterocycle Precursors

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Abstract: Recent literature on the bioactivity of isatin (indoline-2,3-dione) derivatives triggered organic chemists to make use of the unique potential of isatin in asymmetric organocatalytic synthesis. Due to extensive presence of 2-oxindole skeleton, especially spiro-fused cycles, in many natural products, they drew the special interest in the disciplines of medicinal chemistry and agrochemistry. Due to highly reactive prochiral carbonyl group, isatins are potent precursors for the synthesis of 3,3-disubstituted spirooxindoles. Direct nucleophilic addition to isatin-derived ketimines is one of the straightforward approaches leading to α -chiral amines which are frequent subunits of pharmaceuticals and agrochemicals besides being heterocycle precursors. In this respect, asymmetric organocatalytic synthesis offers facile and environmentally benign reaction process and selectivity as well. Remarkable advantages of cooperative activation of substrates via bifunctional organocatalysts bearing H-bond donor components such as urea, thiourea and squaramide are indispensable. Modulation of sterically encumbered units such as 1-adamantyl, 2-adamantyl and *t*-butyl in the structure of organocatalyst reveals distinct changes in stereoselectivity of the synthetic transformations.

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