NOVEL β-AMINO ACID DERIVED ASYMMETRIC ORGANOCATALYSTS TOWARDS 3,3-DISUBSTITUTED-2-OXINDOLES'

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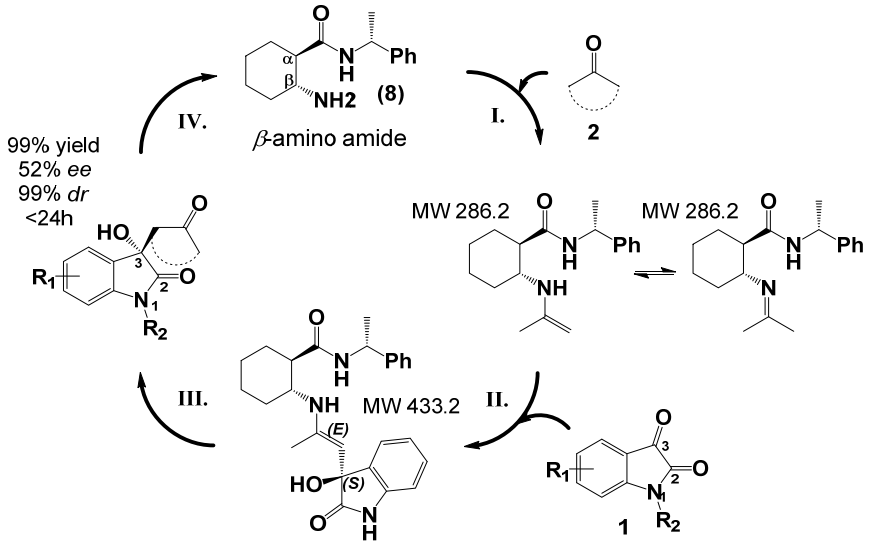
The use of proline derivatives, and related α-functionalized secondary amine organocatalysts, in organic reactions has received extensive consideration over the last two decades. [1]–[3] In this work, a novel primary β-amino amide structural framework was synthesised, generating a series of novel organocatalysts which were applied in the challenging ketone-ketone cross aldol reaction towards substituted oxindoles. Following a comprehensive study, the optimum catalyst **8** provided an enantioselective strategy for the synthesis of 3,3-disubstituted-2-oxindole derivatives', a privileged scaffold possessing a potent anti-cancer, anti-HIV and other biological properties, [4] in up to 99% yield, 52% *ee* and >99% *dr*.

Direct infusion ESI-MS detection of intermediate species (MW 433.2 and MW 286.2), and a screen of structurally similar catalysts were used to propose the catalytic cycle of the optimum catalytic system in the aldol reaction of isatin **1** with ketone **2**.



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[3] G. D. Yadav and S. Singh, *Tetrahedron Asymmetry*, vol. 27, no. 11–12, pp. 463–466, 2016.

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