

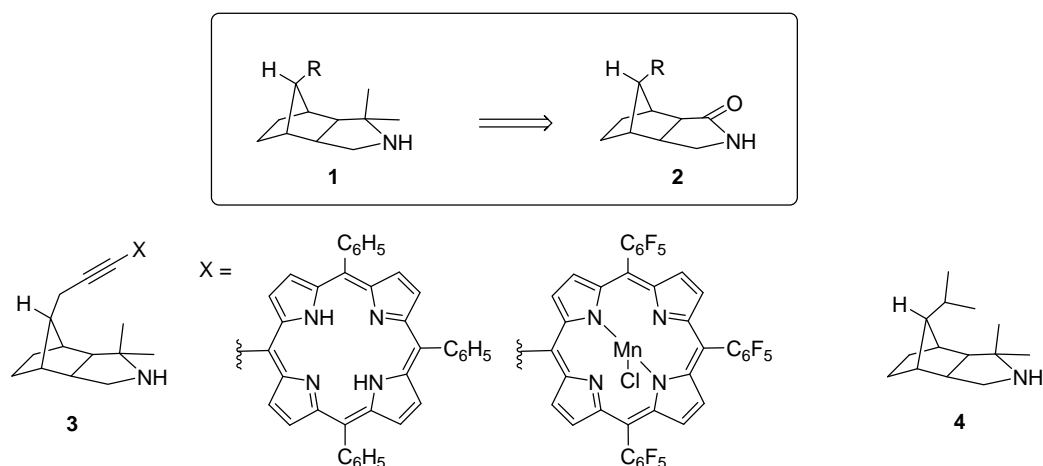
SYNTHESIS OF NEW STERICAL DEMANDING CHIRAL AMINES

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Activation of carbonyl compounds with chiral amines by formation of either an enamine or an iminium ion opens up various possibilities in the field of asymmetric catalysis [1, 2]. Existing catalyst families are based on proline or imidazolidinone structures. Selectivity in the formation of either an (*E*)- or (*Z*)- enamine/iminium ion is essential for an enantioselective course of the reaction. In addition, the attack of the activated species is envisioned to proceed in a chiral environment to obtain high enantioface differentiation.

In this work, the synthesis of chiral amines based on the octahydromethanoisindol-backbone **1** was realized. Catalyst design required geminal α -dimethylation to direct the enamine or iminium ion selectively to (*E*)-formation.



To achieve this new amine synthesis, the lactam motif of a currently used hydrogen-bond donating catalyst precursor **2** [3] was protected. After activation, dimethylation with a methyl cerium reagent [4] and subsequent deprotection, secondary amines were obtained in good yields. Installation of catalytic active or sterical demanding entities gave either bifunctional catalysts **3** or a sterical demanding amine **4** for covalent catalysis.

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[2] A. Erkkilä, I. Majander, P. M. Pihko, *Chem. Rev.* **2007**, *107*, 5416-5470.

[3] P. Fackler, C. Berthold, F. Voss, T. Bach, *J. Am. Chem. Soc.* **2010**, *132*, 15911-15913.

[4] A. Agosti, S. Britto, P. Renaud, *Org. Lett.* **2008**, *10*, 1417-1420.