Design and application of novel chiral organocatalysts in asymmetric synthesis

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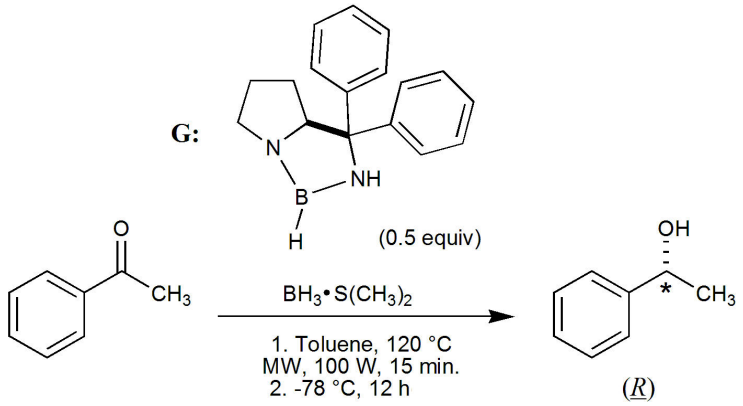
Among the available methods for the preparation of enantiopure chiral substances, asymmetric catalysis is particularly relevant since one molecule of the chiral catalyst is capable of producing hundreds or thousands of new chiral molecules. In asymmetric catalysis one finds biocatalysts such as enzymes (known since the XIXth century) and organometallic catalysts, developed in the second half of the XXth century. Nevertheless, it is remarkable that approximately half of the known enzymes do not require the presence of metals in their active site in order to perform their function. The possibility then arises that small organic molecules may be employed to catalyze chemical reactions, avoiding the use of (potentially toxic) metals. Of course, when such organic molecules are chiral the catalytic process becomes stereoselective. Indeed, organocatalysis is proving in the last decade to be an extremely useful tool in asymmetric synthesis, complementing bio- and metallo-catalysis.[1] Among the factors controlling stereoinduction by chiral organocatalysts are Van der Waals, electrostatic, and hydrogen bonding interactions.

In this presentation, recent results in *(i)* the use of novel chiral amines (Brønsted bases) containing the α-phenylethyl group for the enantioselective amination of ethyl α-phenyl-α-cyanoacetate,[2] *(ii)* the enantioselective allylation of *N*-benzoylhydrazones with allyltrichlorosilane organocatalyzed by C_2-symmetric *bis*-sulfoxides (Lewis bases),[3] and *(iii)* the enantioselective reduction of acetophenone with borane, catalyzed by diazaborolidine **G** (bifunctional Lewis acid / Lewis base) derived from *(S)*-proline.[4]



yield. 99%, ee = 84%

References

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