Natural Products Synthesis by Means of Stereoselective Construction of Heterocycles

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Natural products containing heterocyclic rings often possessed a unique bioactivity. Since they are expected to be a lead compound for drug development, development of an efficient synthetic method has been strongly required. In this seminar, I would like to talk about our recent progress for synthetic study on heterocyclic natural product such as serotobenine, acromeric acid and Epigallocatechin gallate (EGCG).

Recently we found that an efficient construction of optically active *trans*-2,3-dihydro-3-benzofuran derivatives was accomplished by combination of Davies' Rh catalyst and the chiral auxiliary. An application of this method of the optically active dihydrobenzofuran of serotobenine would be synthesized by intramolecular C-H insertion reaction. The synthesis of serotobenine was started from Leimgruber-Batcho indole synthesis and regioselective Claisen rearrangement. After conversion to diazoester, the key C-H insertion reaction was achieved by treatment with $Rh_2(OAc)_4$ catalyst to afford dihydrobenzofuran in high diastereoselectivity. Construction of 8-membered lactam ring was efficiently conducted with the activated ester. Finally, after the deprotection, total synthesis of (-)-serotobenine has been accomplished.

Additionally, synthetic study on acromeric acid *via* intermolecular C-H insertion reaction and EGCG by means of a stereoselective 6-end cyclization reaction of epoxy alchol would be also presented in this seminar.