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New perspective on the synthesis with chiral aziridines

Hyun-Joon Ha
Hankuk University of Foreign Studies, South Korea

Chiral aziridine-2-carboxylate possesses two important functional groups including carboxylate and aziridine ring which are useful for the synthetic purposes. Since both of (2R)- and (2S)-aziridine-2-carboxylates with phenylethyl group at the ring nitrogen were commercialized in optically pure forms, we have studied to extend their synthetic utilities for the construction of various nitrogen containing molecules in optically pure forms. The C-2 ester group can be transformed to aldehyde, alcohol, amide, ketones, ketoesters and amines bearing diverse substituents with proper stereochemistry in high yields. To carry out the ring-opening or ring-transformation of this aziridine, it should be activated as aziridinium ion or its equivalent with an assistance of proper electrophiles. The regiochemical pathway with substituents at C2 of this non-activated aziridine was disclosed to be dependent on the characteristics of the substituent, electrophile and nucleophile. The aziridine ring opening reaction can be performed with properly functionalized side chain at the aziridine ring by various nucleophiles, which provided an easy access to the diverse nitrogen-containing cyclic and acyclic molecules in asymmetric manner. The highlight of this chemistry was exemplified by an efficient and highly stereoselective synthesis of many biologically important molecules, including sphingosine, D-ribo-(2S,3S,4R)-phytosphingosine, various azasugars, MeBmt, calyculin fragment and tyroscherin.

Biography

Hyun-Joon Ha has obatined his BA from Seoul National University (1982) and PhD from Brown University (1987). He has done his Post-doctoral studies from Stanford University (1987-1988). Then he came back to Korea and worked as a Senior Research Scientist at KIST. In the year 1991, he joined the faculty of the Chemistry department at Hankuk University of Foreign Studies, and is now a Professor of the Chemistry department at the same University. His research includes aziridine chemistry, synthetic methodology, lipase-mediated reactions, asymmetric synthesis with publications of more than 140 papers and 25 patents. He serves as an Associate Editor of *Asian J.Org. Chem*.

hjha@hufs.ac.kr

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