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Preparation and synthetic application of 1-Azoniabicyclo[n.1.0]alkanes

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Efficient and selective syntheses of nitrogen-containing heterocycles have attracted considerable attention from many chemists due to their particular interest within the realm of organic and medicinal chemistry. Recent advances in the field of ring-expansion chemistry, involving 1-azoniabicyclo[n.1.0]alkane scaffolds (bicyclic aziridinium ions) as a key transient intermediates, made it possible to efficiently construct a broad variety of medium- and large-sized functionalized nitrogen-containing heterocycles. The deployment of 2-(3-hydroxypropyl)aziridine for the synthesis of a variety of 3-substituted piperidines and their regioisomeric pyrrolidines has extensively been investigated. The structure of the decisive 1-azoniabicyclo [3.1.0] hexane tosylate was identified by means of NMR analysis, which was treated with various nucleophiles in CH_3CN . The ring-opening reactions proceed through two different pathways to yield either pyrrolidines or piperidines, depending on the nature of the selected nucleophile. These regioselective nucleophile-dependent ring transformations were also supported by DFT-calculations to rationalize the observed reactivities. In the same manner 1-azoniabicyclo [4.1.0] heptane tosylate was prepared and its ring opening was also achieved by various nucleophiles. The regiochemical pathways of its ring opening are quite similar to the previous case in 1-azoniabicyclo [3.1.0] hexane tosylate depending on the nature of nucleophile to afford either piperidine and (or) azepane as the kinetic and the thermodynamic products respectively.

Biography

Hyun-Joon Ha obtained his BA from Seoul National University (1982), PhD from Brown (1987) University and Postdoctoral studies from Stanford University (1987-1988). Then he came back to Korea for his first position as a Senior Research Scientist at KIST. In 1991, he joined the faculty of the Chemistry Department at Hankuk University of Foreign Studies, and is now a Full Professor of this department. 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.*

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