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A Review on Recent Approaches to the Asymmetric Synthesis of Aziridines Derivatives

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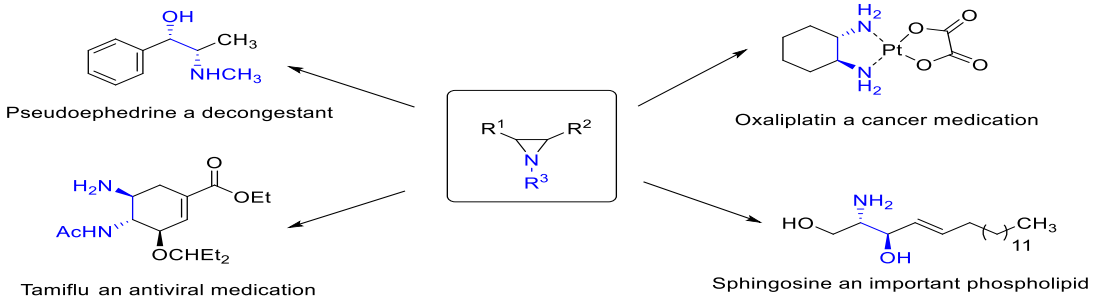
ABSTRACT

The aziridines are an important class of heterocyclic compounds that are used for the synthesis of high valuable compounds containing biological and natural products. This review summarizes the recent advances in asymmetric synthesis of aziridines derivatives employing different strategies under different conditions.

1. Introduction

Aziridines are saturated three-membered ring compounds containing a nitrogen and two carbon atoms.[1] The first report on the synthesis of aziridines derivatives from 2-bromoethylamine hydrobromide in the presence of catalytic amounts of silver oxide as a catalyst was given by Gabriel in 1888.[2,3] The interior angles of aziridines are 60° which are considerably less than preferential tetrahedral angle (109.5°). The non-ideal bond angles result angle strain and additional instability in these heterocyclic organic compound. Forasmuch as nucleophilic attack on the aziridine derivatives allow ideal bond angles in tetrahedral carbon to be restored, the aziridine derivatives show high electrophilic reactivity.[4]

The aziridine derivatives are valuable intermediates in organic synthetic transformations as a wide variety of important compounds, such as natural products exhibiting diverse biological activities and medical compounds, could be synthesized by opening their three-membered ring. The preparation of Pseudoephedrine, Sphingosine, Tamiflu and Oxaliplatin are many interesting examples in the pharmaceutical industry that utilizes an aziridine ring moiety as the key synthetic intermediate (Scheme 1).[5]



Scheme 1. The some important medical compounds can be prepared by aziridine derivatives ring opening

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