Category

Synthesis of Heterocycles

Key Words

organometallics
nucleophilic substitution
1,2-dialkyl-aziridines

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Coupling of 1-Alkyl-2-(bromomethyl)aziridines with Lithium Dialkylcuprates towards 1,2-Dialkylaziridines
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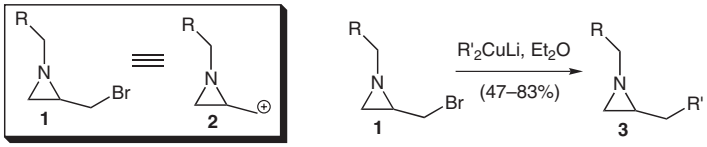

Significance: This work constitutes the first report of a reaction between an organocuprate and a 1-alkyl-2-(bromomethyl)aziridines **1**. Thus **1** may be considered as a synthetic equivalent of an aziridinylmethyl cation **2**. A variety of substituted 1,2-dialkylaziridines are be obtained by this route. However, in a few cases, using bis(isopropenyl)cuprate and lithium bis(allyl)cuprate, allylamines resulting from ring opening were isolated in 40–78%.

Comment: The reaction is of interest because, contrary to ring opening of a strained ring, either direct or via Payne rearrangement, side chain nucleophilic displacement is observed. 1,2-Dialkylaziridines are important substrates for making various cyclic and acyclic compounds such as oxazolidinones and 1,2-diamines.

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