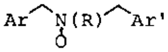
BASE- INDUCED REACTIONS OF N-SUBSTITUTED DIBENZYLAMINE-N-OXIDES AND RELATED COMPOUNDS

A NOVEL SYNTHETIC REACTION OF AZIRIDINE DERIVATIVES

Takashi Nomoto and Hiroaki Takayama

Faculty of Pharmaceutical Sciences, Teikyo University
Sagamiko, Kanagawa 199-01, Japan

Base-induced reactions of N-substituted dibenzylamine-N-oxides and related compounds have been investigated.

Tribenzylamine-N-oxide was reacted with n-BuLi in anhyd. ether under argon atomosphere at r.t to produce *cis*-isomer(42%) and *trans*-isomer of 1-benzyl-2,3-diphenylaziridine, dibenzylamine(32%), benzaldehyde(26%), dibenzyl-(1-phenylpentyl)amine(2%), and *trans*-stilbene(2%). Thus, this reaction was extended to the N-substituted-dibenzylamine-N-oxide analogues [ $Ar\frown\underset{O}{N}(R)\frown Ar'$ (R= n-butyl, cyclohexyl; Ar= p-X-phenyl; Ar'= p-X-phenyl, 2-thiophenyl, 3-pyridyl: X= H, Cl, OMe)] to give the corresponding aziridine derivatives in moderate yields(20-35%). The aziridine forming reactions were observed to be nearly stereospecific.

The N-oxides of 1-aza-dibenzo[c,f]bicyclo[3.3.1]nona-3.6-dienes, which were easily accessible by our double-cyclization reaction, were reacted with n-BuLi in dioxane to form 8-aza-dibenzo[b,e]tricyclo[3.3.1.$0^{1,7}$]nona-2,5-dienes in fair yields (30-50%), in contrast, with t-BuOK in t-BuOH to provide the corresponding 1-aza-2-t-buthoxy-dibenzo[c,f]bicyclo[3.3.1]nona-3,6-dienes in good yields(66-85%).

A reaction mechanism for the formation of aziridine derivatives, involving ionic process(*via* imminium ion and azomethine-ylid intermediate) and radical process (homolysis of N-O bond and *via* 1,3-biradical intermediate), is proposed.