

AZIRIDINES XIV. REACTION OF
1-AROYL AZIRIDINES WITH DIMETHYL SULFOXIDE

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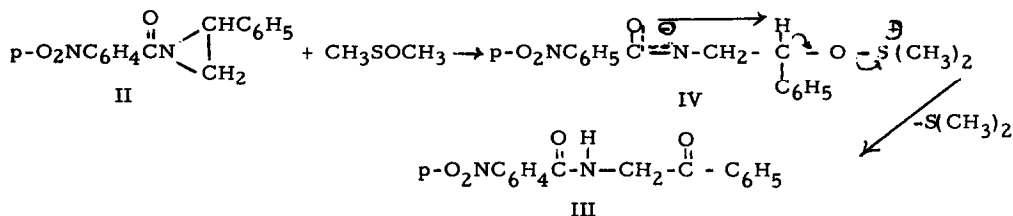
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The isomerization of 1-acylaziridines into 2-aryl- or 2-alkyl-2-oxazolines by nucleophiles such as iodide ion, thiocyanate ion, azide ion or tertiary amines is now well documented¹⁻⁹. A stereochemical study⁹ provided evidence that the iodide ion attacks the aziridinyl carbon of 1-acylaziridines to form an N-2-iodoethylbenzamido ion which subsequently cyclizes to the oxazoline.

We now wish to report the reaction of 1-acylaziridines with dimethyl sulfoxide. Here too, the dimethyl sulfoxide acts as a nucleophile but in contrast to the previous studies the products of reaction are not oxazolines but instead derivatives of N-phenacylbenzamides. Thus, an 80% yield of N-desyl-p-nitrobenzamide, m. p. 178-179°, was obtained by heating 1.38 g. of *cis*-1-p-nitrobenzoyl-2,3-diphenylaziridine (I)⁹ in 10 ml. of dry dimethyl sulfoxide for 17 hours at 117°. The product was obtained by adding 125 ml. of water into the cooled reaction mixture. Filtration was facilitated if the solution was allowed to stand overnight. The *trans* isomer⁹ of I yielded the same product, only in 52% yield. In a third example, 1-p-nitrobenzoyl-2-phenylaziridine (II), m. p. 126-127°, formed N-phenacyl-p-nitrobenzamide (III), a known compound¹⁰, in 83% yield.

The dimethyl sulfoxide most probably attacks (II) at the most positive carbon of the aziridine ring to form the ambident anion IV which then produces III and dimethyl sulfide.



The oxidation of epoxides by dimethyl sulfoxide in the presence of catalytic quantities of boron trifluoride has also been observed¹¹. In this case α -hydroxy ketones are products.

Extension of this reaction to other derivatives of aziridine is underway. Very satisfactory analyses were obtained for all the new compounds described in this communication.

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