SHORT COMMUNICATIONS

The Preparation of Mesoionic 5-Imino-3,5-dihydro-1,3-oxazole Derivatives

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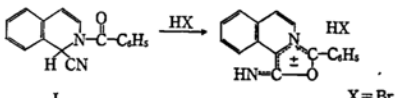
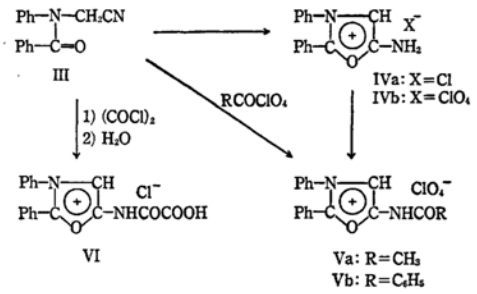
Of the mesoionic oxazoles with an exo-imino group, only fused ring compounds called Reissert-imine salts (II), have isolated and characterized as intermediates in the acid hydrolysis of Reissert compounds (I).[1,2]



I II X=Br X=ClO4

However, in the case of the acid hydrolysis of *N*-benzoylanilinoacetonitrile (III), the intermediacy of the mesoionic compound (IV) seemed to be unlikely, since III, in contrast with I, underwent normal hydrolysis to benzoic acid instead of to benzaldehyde.[3]



III IVa: X=Cl IVb: X=ClO4 VI Va: R=CH3 Vb: R=C6H5

We wish to report here the successful preparation of IV (a and b) and exo-*N*-acyl derivatives (Va and Vb) from III.

Hydrogen chloride was introduced into a solution of III in methylene chloride until the saturation point had been reached. By adding ether to the resulting solution, 5-imino-2,3-diphenyl-3,5-dihydro-1,3-oxazole hydrochloride (IVa), mp 130—135°C (decomp.)[4] was separated out in an almost quantitative yield. The treatment of IVa with silver perchlorate in chloroform gave the hydroperchlorate (IVb), mp 200—202°C (decomp.). IVb was acetylated with acetic anhydride to give the exo-*N*-acetyl derivative (Va) in a 90% yield. Va was also obtained in an almost quantitative yield by the treatment of III with acetyl perchlorate in benzene. The *N*-benzoyl derivative of IV (Vb), mp 215—220°C (decomp.), was formed similarly in a 65% yield by the treatment of III with benzoyl perchlorate. The treatment of III with oxalyl chloride, followed by hydrolysis with water, gave VI, mp 180—185°C (decomp.).

The details of the present investigation will be present in a subsequent paper.

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1) L. W. Davis, *J. Org. Chem.*, **25**, 376 (1960).
2) I. W. Elliott and J. O. Leflore, *ibid.*, **28**, 3181 (1963).
3) I. W. Elliott, *J. Am. Chem. Soc.*, **77**, 4408 (1955).
4) All the melting points were determined on a hot plate and were not corrected.