HETEROCYCLES, Vol. 4, No. 11, 1976

REACTIONS OF HETEROAROMATIC N-OXIDES WITH ACID CHLORIDE IN THE PRESENCE OF POTASSIUM CYANIDE

(The Extension of the Reissert-Henze Reaction)

Eisaku Hayashi and Akira Miyashita
Shizuoka College of Pharmacy,
2-2-1 Oshika, Shizuoka

It has been well known that heteroaromatic N-oxides react with acid chloride in the presence of cyanide ion to give the α-cyano derivatives. (Reissert-Henze reaction). But, the reactions of α-substituted heteroaromatic N-oxides were not reported, so we examined the reaction of α-alkyl(or phenyl)-quinoline, isoquinoline, phthalazine, quinoxaline N-oxides with acid chloride in the presence of cyanide ion.

The reactions of 2-alkyl(phenyl)-, or 2,3-dialkyl(phenyl)-quinoline 1-oxides in H_2O gave the corresponding 3-acyloxy-2-hydroxy-1,2,3,4-tetrahydroquinoline-4-carbonitriles(II). When these reactions were carried out in MeOH, 3-acyloxy-2-methoxy-1,2,3,4-tetrahydroquinoline-4-carbonitriles(V) were obtained.

The reactions of 1-alkyl(or phenyl)-isoquinoline 2-oxides afforded the corresponding 4-acyloxyisoquinolines(VII), and 1,4-dialkyl(phenyl)-isoquinoline 2-oxides gave the 4-acyloxy-1,4-dihydroisoquinaldonitriles(VIII).

The reactions of 1-alkyl(or phenyl)-phthalazine 2-oxides gave ring transformation products with ring cleavage and denitrogenation. Thus 1-ethyl-, 1-isopropyl-, 1-phenyl-phthalazine 2-oxide afforded the correspoding cyclobutabenzenes(IX), 1-isobutyl-, 1-phenethyl-phthalazine 2-oxide gave the corresponding indanes(X), and 1-n-propyl-, 1-n-butyl-phthalazine 2-oxide formed the corresponding benzocycloheptanes(XI).

The reactions of 2,3-diphenyl(or alkyl)-quinoxaline 1-oxides gave the 1-acyloxy-1,2-dihydroquinoxaline-2-carbonitriles(XII).

The possible formation mechanisms of II, V, VII, VIII, IX, X,XI, XII were proposed and discussed.