SYNTHESES AND REACTIONS OF BENZOTHIAZOLINES

Mikio Hori, Tadashi Kataoka, Hiroshi Shimizu, and Yutaka Imai

Gifu College of Pharmacy

Mitahora, Gifu 502

Reaction of 3-methyl-2-phenylbenzothiazolium iodide (I) with $LiAlH_4$ or $NaBH_4$ was carried out under nitrogen stream to give 3-methyl-2-phenylbenzothiazoline (II), whereas the similar reaction under air resulted in the formation of o-(N-benzoyl-N-methylamino)phenyl disulfide (III) which is the oxidative ring-opening product of II, as main product. On the other hand, I was allowed to react with C_6H_5MgBr to form only a stable 3-methyl-2,2-diphenylbenzothiazoline (IV).

Thus, the mechanism for the formation of III by the reaction mentioned above, is proposed to proceed in the course of radical reaction.

By the reactions of 2,2-dimethylbenzothiazoline (V) with monochloroacetyl chloride in the presence of K_2CO_3 in ether, 3-chloroacetyl-2,2-dimethylbenzothiazoline (VI), α-chloromethylbenzothiazole (VII), and 4-chloroacetyl-4H-1,4-benzothiazin-3-one (VIII) have been isolated. The mechanism of the thiazoline (VI)— thiazine (VIII) transformation is also postulated.