ADDITION REACTIONS OF ACID ANHYDRIDES TO ISOQUINOLINE AND ITS RELATED COMPOUNDS

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Reactions of quinoline, isoquinoline and their N-oxides with acid anhydrides were investigated.

When quinoline N-oxide was treated with diketene in acetic acid, a product 2,6-dimethyl-3-(2-quinolyl)-4-pyrone (39%) was obtained. On the contrary, isoquinoline N-oxide gave three products in the same reaction condition. The structures of these products have been assigned as 2,6-dimethyl-3-(1-isoquinolyl)-4-pyrone (30%), 1-acetonyl-2-acetyl-4-acetoxy-1,2-dihydroisoquinoline (13%) and 4-methyl-2-oxo-2*H*-benzo[*a*]quinolizine (10%), respectively.

The second product was also obtained from the reaction of 4-acetoxyisoquinoline with diketene in acetic acid. This fact might suggest that addition of diketene take place to the C=N double bond of the isoquinoline ring. In fact, isoquinoline was transformed to 1-acetonyl-2-acetyl-1,2-dihydroisoquinoline (43%) in a manner similar to that given for isoquinoline N-oxide.

As diketene is considered to be an acid anhydride, isoquinoline was heated in acetic anhydride without addition of any other substance to give 2-acetyl-1,2-dihydroisoquinoline-1-acetic acid (43%) whose spectral data are consistent with the structure. This compound was converted to 1-(2-hydroxyethyl)-2-ethyl-1,2,3,4-tetrahydroisoquinoline which was identical with the authentic specimen.

Although such heteroaromatics containing isoquinoline type C=N double bond as phthalazine and 1,6-naphthyridine also reacted with diketene in acetic acid yielding similar adducts, reactions of quinoline and quinoxaline with diketene or acetic anhydride were resulted in the recovery of the starting materials.