

REACTIONS OF 3-SUBSTITUTED QUINOLINE N-OXIDES

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Treatment of 3,2'-diquinolyl in chloroform with hydrogen peroxide-acetic anhydride or m-chloroperbenzoic acid affords 1-oxide or 1,1'-dioxide, respectively. The 1-oxide group is somewhat more polar than the usual aromatic N-oxide and undergoes deoxygenation with carbon disulfide in hot DMF. 3,2'-diquinolyl 1-oxide gives a small amount of the 1,3-cycloadduct besides 2-anilino derivative when heated with phenyl isocyanate (I) in DMF. The reaction of 1-methoxy-3,2'-diquinolylum methylsulfate with potassium cyanide yields also relatively stable 1-methoxy-2-cyano-1,2-dihydro-3,2'-diquinolyl.

3-Nitro-, 3-bromo- (II) and 3,6-dibromoquinoline N-oxides react with I in hot DMF to afford 3H-2-oxo-3-phenyloxazolo[4,5-b]quinoline or its 7-bromo derivative by the course which involves the N-O bond fission of the primary 1,3-cycloadduct initially formed and the concerted C-O bond formation on the 3-position of the quinoline ring followed by loss of nitrous acid or hydrogen bromide. The reaction of II with 1-morpholinocyclohexene leads to the formation of 2-(2'-cyclohexanonyl)-3-morpholinoquinoline by a similar but a little different path.

Further, 3-bromo-4-nitroquinoline N-oxide is found to undergo the 1,3-dipolar cycloaddition with enamines and produce the corresponding furoquinolines by the same type of transformation of the primary adduct as that observed in the reaction of II with I; for example, 2,3,4,5-tetrahydro-11-nitrobenzofuro[3,2-b]quinoline is obtained in high yields from the reaction with enamines of cyclohexanone.