OXIDATION OF HETEROCYCLIC ß-DICARBONYL COMPOUNDS

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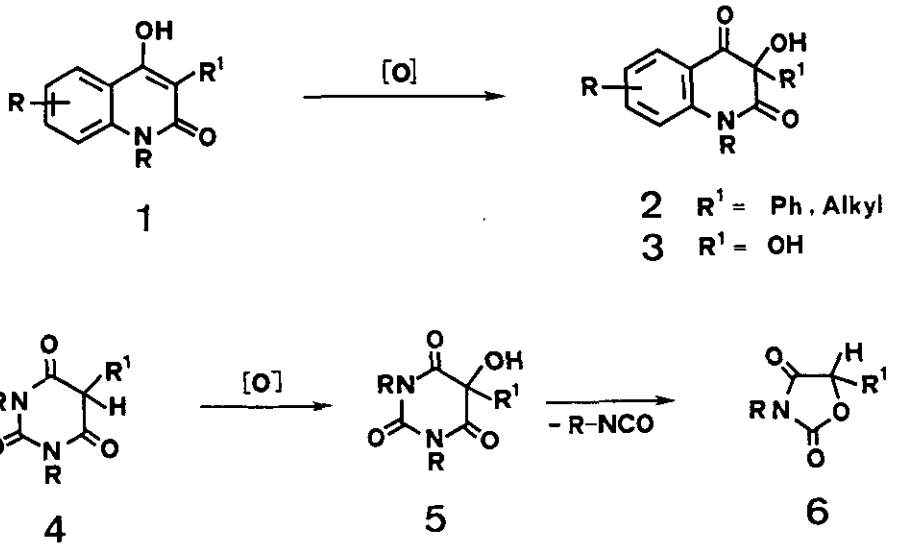
3-Alkyl- and 3-arylsubstituted 4-hydroxy-2-quinolones (1) having an enolized ß-dicarbonyl moiety were found to react with oxidizing agents such as 3-chloroperoxybenzoic acid, alkaline hydrogen peroxide, t-butylhydroperoxide or UV-irradiation in the presence of oxygen to yield 3-hydroxy-3-R-quinoline-2,4-diones (2). Analogs of 2 (R^1 = n-heptyl, n-nonyl) have been isolated from bacterium pyocyaneus (pseudomonas aeruginosa)[1]. Oxidation of the 3-chloro compound (1, R^1 = Cl) leads to quinisatine hydrate 3.

The extension of this reaction to barbituric acids (4) shows, that the oxidation leads in the first step to the corresponding 5-hydroxy-barbituric acids 5. In alkaline hydrogen peroxide this step is followed immediately by ring contraction under the loss of isocyanate and formation of the oxazole-2,4-dione 6, which is also a side product in the UV-oxidation reaction of the barbituric acids 4.



1 W. Neuenhaus, H. Budzikiewicz, H. Korth and G. Pulverer, Z. Naturforsch. 34b, 313 (1979).