OXIDATIVE AMINATION OF 7-HYDROXY-1-ISOQUINOLONES

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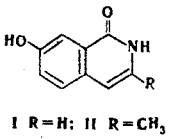
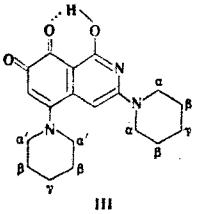
Continuing our study of the effect of carbonyl groups of heterocyclic quinones on the reactivity of the heteroring, we carried out the oxidative amination of 7-hydroxy-1-isoquinolone (I) and 3-methyl-7-hydroxy-1-isoquinolone (II). It was shown that both compounds (I and II) on oxidation in the presence of the Cu^{2+}-piperidine complex form a copper chelate of 1-hydroxy-3,5-dipiperidinoisoquinoline-7,8-quinone (III), which is decomposed after treatment of the reaction mixture with ethylenediaminetetracetic acid or acidification to pH 2-3. Approximately 2 moles of oxygen are absorbed in the oxidation of I, as compared with 2.7 moles in the oxidation of II. Quinone III, which was characterized by the IR and PMR spectral data and the results of elementary analysis, exists in the free state in the hydroxy form stabilized by an intramolecular hydrogen bond.



I R=H; II R=CH_3



III

The results can be explained by invoking the known reactions of quinones that include 1,4-addition – amination of the quinone ring and substitution of the alkyl group by an amine residue [1]. In this case one should allow for the possibility of 1,6-addition with nucleophilic attack at the C_3 atom, aromatization, and oxidation to quinone III.

1-Hydroxy-3,5-dipiperidinoisoquinoline-7,8-quinone (III) was obtained as red crystals with mp 220-221° (dec., from ethyl acetate). IR spectrum: 1650 (C=O), 1610, 1585, and 1535 cm^{-1}. PMR spectrum (in $CDCl_3$), δ, ppm: 1.78 (12H, m, β,γ-CH_2); 3.22 (4H, m, α-CH_2); 3.80 (4H, m, α'-CH_2); 5.93 (H, s, 4-H), 6.52 (H, s, 7-H), and 13.67 (H, s, OH).

LITERATURE CITED

1. W. M. Horspool, P. I. Smith, and J. M. Tedder, J. Chem. Soc., Perkin I, 1024 (1972).

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