LITERATURE CITED

1. Yu. I. Ryabukhin, V. D. Karpenko, and G. N. Dorofeenko, in: The Reactivities of Azines [in Russian], Novosibirsk (1979), p. 86.
2. G. N. Dorofeenko, Yu. I. Ryabukhin, S. B. Bulgarevich, V. V. Mezheritskii, and O. Yu. Ryabukhina, Zh. Org. Khim., 13, 2459 (1977).
3. H. Böhme and W. Smidt, Arch. Pharm., 286/58, 437 (1953).
4. L. Conti and G. Leandri, Boll. Sci. Fac. Chim. Ind. Bologna, No. 15, 37 (1957).

SYNTHESIS OF 4-(1-METHYL-4-HYDROXY-4-PIPERIDYL)QUINOLINE AND 4-(1-METHYL-4-HYDROXY-4-PIPERIDYL)-1,2-DIHYDROQUINOLINE

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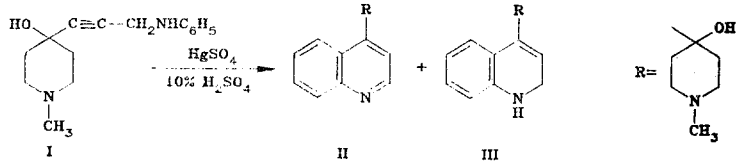
We have established that 1-methyl-4-(3-anilino-1-propynyl)-4-piperidol (I) undergoes cyclization to give new, difficult-to-obtain, 4-substituted quinoline derivatives II and 1,2-dihydroquinoline III when it is heated in sulfuric acid in the presence of catalytic amounts of mercuric sulfate.



1-Methyl-4-(3-anilino-1-propynyl)-4-piperidol (I) was obtained by the reaction of 107 mmole of 1-methyl-4-piperidone and 107 mmole of N-propargylaniline in 200 ml of absolute ether in the presence of 214 mmole of KOH for 7-8 h at 0-5°C. The yield of piperidol I, with mp 113-114°C [from benzene–petroleum ether (1:1)], was 70%. IR spectrum (KBr): 1600 (benzene ring), 2170 (C≡C), 3100-3150 (OH), and 3315 cm^{-1} (NH). PMR spectrum ($CDCl_3$): 2.06 (3H, s, NCH_3), 3.8 (2H, d, J = 4 Hz, CH_2NH), 4.62 (1H, broad s, OH), and 6.5-7.35 ppm (5H, m, aromatic protons).

4-(1-Methyl-4-hydroxy-4-piperidyl)quinoline (II) and 4-(1-methyl-4-hydroxy-4-piperidyl)-1,2-dihydroquinoline (III) were formed by heating 84 mmole of piperidol I in 100 ml of 10% H_2SO_4 in the presence of 1 g of $HgSO_4$ for 5 h at 70-80°C. The precipitate that formed after cooling and neutralization of the reaction mixture was removed by filtration and separated with a column packed with aluminum oxide (the eluent was $CHCl_3$ saturated with NH_3) to give 60% of quinoline II with mp 181-182°C (from benzene). IR spectrum ($CHCl_3$): 1580 and 1590 (quinoline ring); 3590 cm^{-1} (OH). PMR spectrum ($CDCl_3$): 2.03 (3H, s, NCH_3), 5.05 (1H, s, OH), 6.87 (1H, d, J = 4 Hz, 3-H), 7.37 (2H, m, 6-H and 7-H), 7.87 (1H, d, J = 8 Hz, 5-H), 8.30 (1H, d, J = 4 Hz, 8-H), and 8.79 ppm (1H, d, J = 7 Hz, 2-H). The yield of dihydroquinoline III, with mp 120-121°C (from benzene), was 10%. IR spectrum ($CHCl_3$): 1685 (benzene ring), 1610 (C C), 3460 (NH), and 3585 cm^{-1} (OH). PMR spectrum (d_6-DMSO): 2.16 (3H, s, NCH_3), 3.32 (2H, d, J = 4 Hz, 2-H), 3.91 (1H, s, OH), 5.75 (1H, t, J = 3 Hz, 4-H), and 6.50-7.35 ppm (4H, m, 5-H, 6-H, 7-H, 8-H). The results of elementary analysis of I-III were in agreement with the calculated values.

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