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IDENTIFICATION OF AN INTERMEDIATE COMPOUND IN THE KOST REACTION

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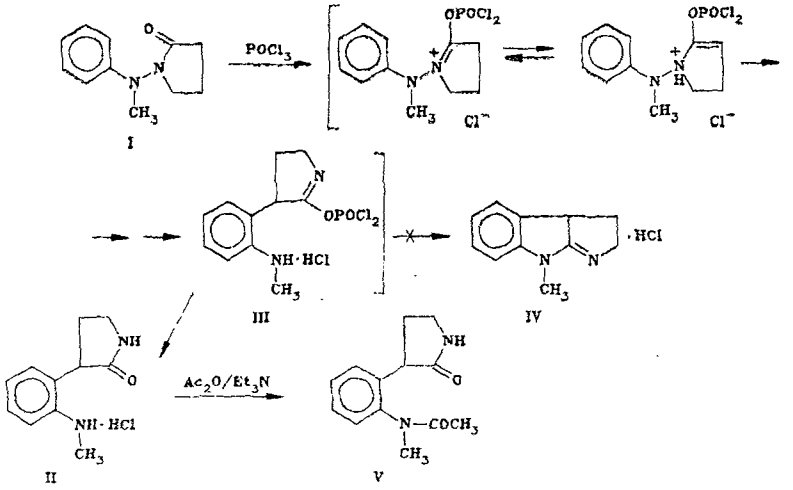
To explain experimental data obtained in the reaction of carboxylic acid hydrazides with phosphorus oxychloride, leading to the corresponding 2-aminoindoles, a scheme [1] has been proposed, which, however, was not confirmed by the isolation and identification of intermediate compounds.

After the reaction of N-methylphenylaminopyrrolid-2-one (I) with an excess of phosphorus oxychloride has been carried out in dry dioxane, and subsequent treatment, we isolated 3-[2-(methylamino)phenyl]pyrrolid-2-one, which could only be formed from the intermediate product in the Kost reaction of type III, but probably because of steric factors, this is not converted further into the derivatives of ezerine IV.



The yield of compound II was about 30%, mp 195-196°C (from acetone). IR spectrum: 3200-2600 ($\overset{+}{N}H$), 1710 (C=O), 1620 cm^{-1} (C=C). PMR spectrum (DMSO-D_6), δ: 1.8-4.3 (4H, m, CH_2), 3.50 (3H, s, N-CH_3), 4.5-5.1 (1H, m, CH), 6.7-7.7 ppm (4H, m, C_6H_4).

To confirm the structure of compound II, we prepared its N-acetyl derivative V, mp 123-124°C (from benzene). IR spectrum: 3290 (NH), 3080-3060 (CH), 1700 (C=O of pyrrolidone), 1640 ($COCH_3$), 1615 cm^{-1} (C=C). PMR spectrum (DMSO-D_6), δ: 1.77 (3H, s, $COCH_3$), 1.8-2.1 (2H, m, CH_2), 3.0-3.2 (2H, m, CH_2), 3.10 (3H, s, N-CH_3), 3.47 (1H, t, CH), 6.9-7.3 (4H, m, C_6H_4), 7.87 ppm (NH, br). Mass spectrum: M^+ 232. The course of fragmentation does not contradict the proposed structure. The data of elemental analysis of compounds I, II, and V agree with the calculated values.

The results obtained confirm the mechanism of the Kost reaction, including the formation of an intermediate of type III at one of its stages.

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