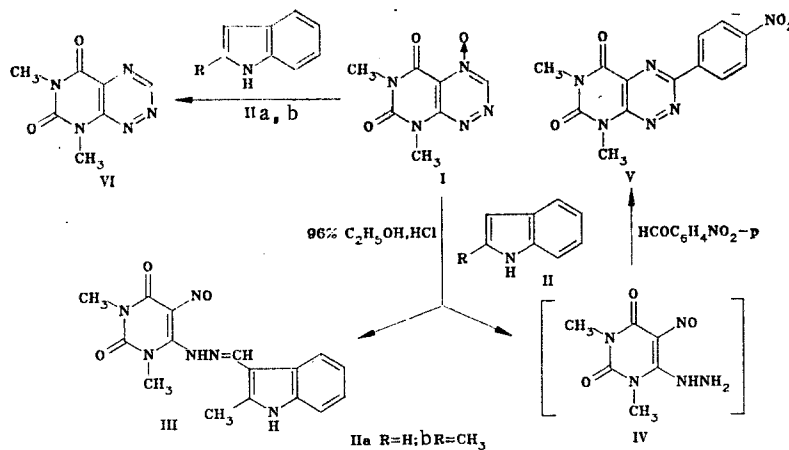


We found that fervenulin 4-oxide (I) reacts with α -methylindole (IIb) on heating in a 96% aqueous alcohol for 15-20 min in the presence of hydrochloric acid with the formation of 1,2,3,4-tetrahydro-1,3-dimethyl-5-nitroso-6-(2-methylindolyl-3-methylidene)hydrazinopyrimidine-2,4-dione (III). Compound III can be obtained in a free state in a yield of 65-70% by brief heating of the hydrochloride obtained in water, red crystals, mp 190-192°C (from DMFA). PMR spectrum (DMSO-D₆): 2.45 (s, CH₃), 3.12 (s, CH₃), 3.32 (s, CH₃), 6.90-8.10 (m, CH arom.), 8.65 ppm (s, CH). IR spectrum (in mineral oil): 1675, 1685, 1735 (CO), 3246 cm⁻¹ (NH).

Besides the formation of III, a splitting of fervenulin 4-oxide to the known nitrosohydrazine IV takes place in the course of the reaction.

The presence of compound IV in the reaction mixture was confirmed by isolation of 3-p-nitrophenylfervenulin (V) described in [1], in a yield of 10-15%, as the result of treatment of the mother liquid, obtained after the separation of compound III, with p-nitrobenzaldehyde. In the case of indole, no formation of a compound of type III was observed. In this case, compound V was obtained in a 70-75% yield.



In the reaction of N-oxide I with compounds IIa,b in the absence of acid, the splitting of the triazine ring does take place. Thus, it was found that, as a result of heating, fervenulin 4-oxide with indoles IIa,b in boiling butanol for 2 h, it is reduced to fervenulin (VI) in a yield of 50-55%. All the compounds obtained gave satisfactory results for elemental analysis.

The above-described transformations open previously unknown paths of synthesis of fervenulin derivatives from fervenulin 4-oxide. Fervenulin 4-oxide is thus activated by a mineral acid instead of the customary activation of N-heterocyclic N-oxides by acylating agents.

LITERATURE CITED

1. G. Blankenhorn and W. Pfeleiderer, Chem. Ber., 105, 3334 (1972).