SYNTHESIS OF 1-SUBSTITUTED 4-(2-HYDROXYETHYL)-3,5-DIMETHYLPYRAZOLES

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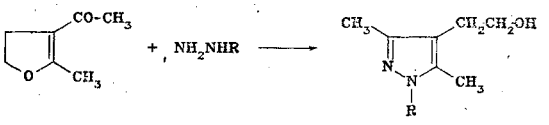
A new method of synthesizing 4-substituted pyrazoles and oxazoles containing a β-X-ethyl substituent from 1,1-diacyl derivatives of cyclopropane has been developed previously [1, 2]. However, the authors concerned [1, 2] succeeded in obtaining the β-hydroxyethyl derivatives of this class only by a roundabout route.

We have found that the reaction of 3-acetyl-2-methyl-4,5-dihydrofuran (II) with the hydrazines (IIa-c) leads to the formation of the (β-hydroxyethyl)pyrazoles (III):



I II III

II, III a R=H; b R=C_6H_5; c R=*p*-$CH_3C_6H_4SO_2$

The reaction takes place at room temperature when the dihydrofuran (I) is stirred with an equimolar amount of the corresponding hydrazine in methanol or ethanol. We have obtained: 4-(2-hydroxyethyl)-3,5-dimethylpyrazole with a yield of 54%, mp 121-122°C (from methanol), M^+ 140; 4-(2-hydroxyethyl)-3,5-dimethyl-1-phenylpyrazole with a yield of 47%, mp 97-98°C (from ether), M^+ 216; and 4-(2-hydroxyethyl)-3,5-dimethyl-1-tosylpyrazole with a yield of 62%, mp 165-166°C (from ethanol), M^+ 294. The PMR spectra of the compounds obtained unambiguously show the formation of a pyrazole ring in the reaction. Thus, in the spectra of the compounds two triplets of the A_2X_2 type (J = 6.5-7.0 Hz) that are characteristic for pyrazoles of this class are observed, and for the N-arylpyrazoles a multiplet at 7.5 ppm. In solution in DMSO-d_6, the signal of the proton of the OH group of compound (IIIc) appears as a triplet at 5 ppm (J = 6 Hz). The elementary analyses corresponded to the calculated figures.

LITERATURE CITED

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