Yu. A. Sharanin and G. V. Klokol

UDC 547.859 812.5.07

It is known that barbituric acid adds to 2-furylethylenes with an activated double bond to give adducts of the Michael type. However, other unsaturated compounds, particularly nitriles, have not been investigated in this reaction [1].

For the first time we have shown that 7-amino-5-aryl-6-cyano-5H-pyrano[2,3-d] pyrimidine-2,4-(1H,3H)-diones (IV, V) are formed in high yields as a result of the simple reaction of mixtures of barbituric acid (I) with arylidenemalononitriles II and III in aqueous dioxane (2:1) by heating to the boiling point for a short time (1-2 min).

11, 1V Ar = $4-FC_6H_4$; 111, V Ar = $4-BrC_6H_4$

The reaction proceeds in the first step as a Michael addition with subsequent spontaneous cyclization to pyrano[2,3-d] pyrimidine-2,4-(1H,3H)-dione derivatives IV and V.

7-Amino-5-(4-fluoropheny1)-6-cyano-5H-pyrano[2,3-d]pyrimidine-2,4-(1H,3H)dione (IV) was obtained in 93% yield and had mp 225-226°C (from aqueous DMF). IR spectrum (KBr): 3000-3400, 1638 (NH, NH₂); 2191 (CN); 1676, 1719 cm⁻¹ (CO). PMR spectrum (Brucker XN-90E spectrometer, d₆-DMSO, tetramethylsilane): 12.05 (s, 1H, 3-H); 11.02 (s, 1H, 1-H); 7.13 and 7.05 (m, 6H, aromatic CH and NH₂); 4.23 ppm (s, 1H, 7-H).

7-Amino-5-(4-bromophenyl)-6-cyano-5H-pyrano [2,3-d]pyrimidine-2,4-(1H,3H)dione (V) was obtained in 85% yield and had mp 226-227°C (from aqueous DMF). IR spectrum: 3000-3380, 1632 (NH, NH₂); 2198 (CN); 1672, 1715 cm⁻¹ (CO). PMR spectrum: 12.04 (s, 1H, 3-H); 11.20 (s, 1H, 1-H); 7.16 (d, 2H, aromatic CH) and 7.42 (d, 2H, aromatic CH) with J = 5.8 Hz; 7.08 (s, 2H, NH₂); 4.21 ppm (s, 1H, 7-H).

The results of elementary analysis of the synthesized substances for their C, H, and N content were in agreement with the calculated values.

LITERATURE CITED

1. V. G. Kul'nevich and G. P. Fedoseeva, in: The Chemistry and Technology of Furan Compounds [in Russian], No. 256, Krasnodar (1977), p. 57.

T. G. Shevchenko, Voroshilovgrad State Pedagogical Institute, Voroshilovgrad 348011. Translated from Khimiya Geterotsiklicheskikh Soedinenii, No. 2, p. 277. February, 1983. Original article submitted August 17, 1982.