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Received August 7, 2000

The reaction of 6-hydrazino uracils **1** and nitrones **2** result in an efficient one-pot synthesis of pyrazolo[3,4-*d*]pyrimidines **3** in excellent yields. The isolation of the by-product aniline from the reaction mixture supported the plausible mechanism for the formation of pyrazolo[3,4-*d*]pyrimidines.

J. Heterocyclic Chem., **38**, 491 (2001).

The importance of uracil and its annelated substrate is well recognised by synthetic [1] as well as biological [2] chemists. Pyrazolo[3,4-*d*]pyrimidines are a class of annulated uracils of special interest in medicinal chemistry [3], which have been studied extensively as antitumor agents [4]. 4-(*n*-Propylamino)-pyrazolo[3,4-*d*]pyrimidine has been examined in clinical studies against disseminated tumors and human leukemia [5]. Interestingly, the literature survey revealed only few reports for the synthesis of pyrazolo[3,4-*d*]pyrimidines the majority of which require drastic conditions and complex synthetic pathways. As a part of our research programme on uracils [6] we report here a very simple, mild and efficient method for the synthesis of Pyrazolo[3,4-*d*]pyrimidines by exploring the nucleophilic double bond of uracils.

A previous synthesis for pyrazolo[3,4-*d*]pyrimidine reported by Yoneda *et al.* [7] involved the cycloaddition of azahexatriene obtained from the reaction of arylaldehyde and 6-uracil hydrazone. One disadvantage of this approach is the concomitant alkylation of the pyrazolo moiety. Another synthesis reported by Maki *et al.* [8] required the cycloaddition of arylhydrazones with 6-chloro-5-nitro uracils involving several steps. Kanazawa *et al.* [9] synthesised pyrazolo[3,4-*d*]pyrimidines by the reaction of 6-arylidinehydrazinouracils with *N*-bromosuccinimide (NBS) in acetic acid under refluxing conditions, which yield triazino and pyridazinouracils in addition to the pyrazolo[3,4-*d*]pyrimidines.

Our synthetic strategy utilising 6-hydrazinouracils **1** with nitrones **2** at room temperature afforded an unprecedented one pot synthesis of pyrazolo[3,4-*d*]pyrimidines **3** in excellent yields.

In a very simple experimental procedure equimolar amount of 6-hydrazino uracil **1** and nitrone **2a** were stirred at room temperature for 12 hours (Scheme 1). The product appeared as a thick precipitate which was filtered, washed with a small amount

Scheme 2

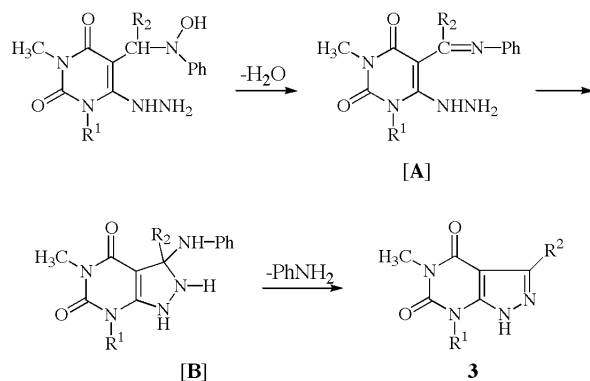


Table 1

Compound No.	Molecular Formula	Analytical Data: Calcd./Found		
		C	H	N
3a	$\text{C}_{13}\text{H}_{12}\text{N}_4\text{O}_2$	60.93/60.85	4.72/4.65	21.86/21.75
3b	$\text{C}_{14}\text{H}_{14}\text{N}_4\text{O}_3$	58.73/58.70	4.93/4.98	19.57/19.40
3c	$\text{C}_{13}\text{H}_{11}\text{N}_4\text{O}_2\text{Cl}$	57.79/57.70	3.79/3.75	19.31/19.25
3d	$\text{C}_{11}\text{H}_{10}\text{N}_4\text{O}_3$	53.66/53.80	4.09/4.05	22.75/22.63
3e	$\text{C}_{12}\text{H}_{10}\text{N}_4\text{O}_2$	59.50/59.41	4.16/4.22	23.13/23.02
3f	$\text{C}_{10}\text{H}_8\text{N}_4\text{O}_3$	51.7		

3-Furyl-5-methyl-1,7-dihydropyrazolo[3,4-*d*]pyrimidine-4,6-dione (**3f**).

Compound **3f** was obtained in 85% yield, mp. 243 °C; ¹H NMR (deuteriochloroform + trifluoroacetic acid): δ 3.00 (s, 3H), 6.30-6.45 (m, 2H), 7.10 (d, 1H, J = 2.6). IR 3245, 3120, 1695 cm⁻¹, MS 232 (M⁺).

cis-5,7-Dimethyl-3-(2-phenylethenyl)-1,7-dihydropyrazolo[3,4-*d*]pyrimidine-4,6-dione (**3g**).

Compound **3g** was obtained in 90% yield, mp. 251 °C; ¹H NMR (deuteriochloroform + trifluoroacetic acid): δ 3.00 (s, 3H), 3.45 (s, 3H), 6.30 (d, 1H, J = 10.4), 6.75-7.20 (m, 5H), 7.85 (d, 1H, J = 12.4). IR 3225, 1705 cm⁻¹, MS 282 (M⁺).

cis-5-Methyl-3-(2-phenylethenyl)-1,7-dihydropyrazolo[3,4-*d*]pyrimidine-4,6-dione (**3h**).

Compound **3h** was obtained in 90% yield, mp. 265 °C; ¹H NMR (deuteriochloroform + trifluoroacetic acid): δ 3.00 (s, 3H), 6.30 (d, 1H, J = 12.6), 6.75-7.20 (m, 5H), 7.85 (d, 1H, J = 10.6). IR 3225, 1705 cm⁻¹, MS 268 (M⁺).

REFERENCES AND NOTES

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