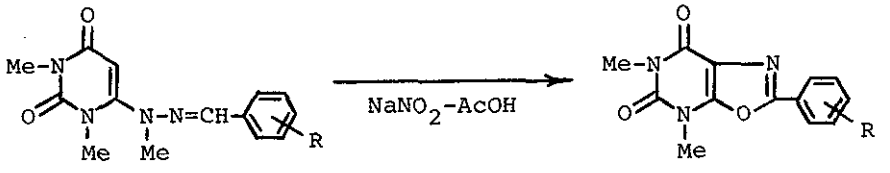
# AN ABNORMAL FORMATION OF OXAZOLO[5,4-d]PYRIMIDINES FROM 6-(BENZYLIDENE-1'-METHYLHYDRAZINO)-1,3-DIMETHYLURACILS

Keitaro Senga,* Yukako Kanamori, and Sadao Nishigaki
Pharmaceutical Institute, School of Medicine, Keio University, 35, Shinanomachi, Shinjuku-ku, Tokyo 160, Japan

Treatment of 6-(benzylidene-1'-methylhydrazino)-1,3-dimethyluracils with sodium nitrite in acetic acid gave the corresponding 2-aryl-5,7-dimethyloxazolo[5,4-d]-pyrimidine-4,6(5H,7H)-diones.

Recent papers[1,2] from our laboratory described a new, facile syntheses of oxazolo[5,4-d]pyrimidine derivatives by the reaction of 5-benzylideneamino-1,3-dimethylbarbituric acids with thionyl chloride or N-bromosuccinimide. This paper is concerned with an abnormal formation of these derivatives consisting of the treatment of 6-(benzylidene-1'-methylhydrzino)-1,3-dimethyluracils (Ia-g)[3] with sodium nitrite in acetic acid.

Refluxing the uracils (Ia-g) (0.0005 mol) with sodium nitrite (0.001 mol) in acetic acid (3 ml) for 1 hr afforded the corresponding 2-aryl-5,7-dimethyloxazolo[5,4-d]pyrimidine-4,6(5H,7H)-diones (IIa-g),[1] which were isolated by concentration of the reaction mixture and addition of aqueous ethanol (Table).[4]




(Ia-g) (IIa-g)

Table Oxazolo[5,4-d]pyrimidine Derivatives

| Compd.[a] | R | Mp(°C) | Yield(%) |
|---|---|---|---|
| IIa | H | 240-242 | 19 |
| IIb | 4-Br | 259 | 20 |
| IIc | 4-Cl | 259 | 34 |
| IId | 3,4-$Cl_2$ | 255-257 | 16 |
| IIe | 4-$NO_2$ | 275 | 10 |
| IIf | 4-Me | 233-235 | 10 |
| IIg | 4-MeO | 255 | 23 |

a) All compounds were recrystallized from ethanol.

This novel reaction involves without doubt the initial nitrosation at the position 5 of (I), however, the definite mechanism for the formation of (II) is currently under investigation.

REFERENCES AND NOTE

1 K. Senga, J. Sato, and S. Nishigaki, Heterocycles, 1977, 6, 689.

2 K. Senga, J. Sato, K. Shimizu, and S. Nishigaki, Heterocycles, "accepted" (COM-77-117).

3 F. Yoneda and T. Nagamatsu, Bull. Chem. Soc. Japan, 1975, 48, 1484.

4 The compounds (IIa-g) were identical in all respects with the authentic samples.[1]

Received, 29th August, 1977