NEW SYNTHESES OF PURINES AND OXAZOLO[5,4-d]PYRIMIDINES

Keitaro Senga,* Junko Sato, Kayoko Shimizu, and Sadao Nishigaki

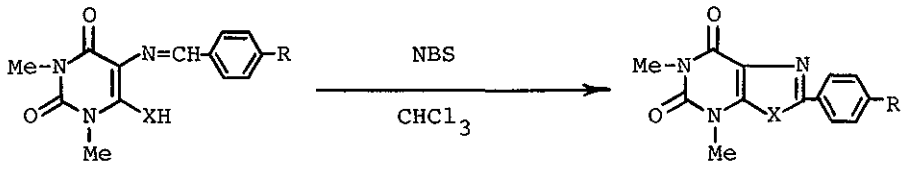
Pharmaceutical Institute, School of Medicine, Keio University, 35, Shinanomachi, Shinjuku-ku, Tokyo 160, Japan

Treatment of 6-amino-5-benzylideneamino-1,3-dimethyluracils and 5-benzylideneamino-1,3-dimethylbarbituric acids with N-bromosuccinimide in chloroform afforded the corresponding purines and oxazolo[5,4-d]pyrimidines respectively.

We have recently described that the reaction of 6-amino-5-benzylideneamino-1,3-dimethyluracils and 5-benzylideneamino-1,3-dimethylbarbituric acids with thionyl chloride gives the corresponding purines[1] and oxazolo[5,4-d]pyrimidines[2], respectively. We now report that N-bromosuccinimide (NBS) is also an effective reagent for these cyclizations.

Refluxing the 6-amino-5-benzylideneamino-1,3-dimethyluracils (Ia-c)[1] (0.001 mol) with NBS (0.001 mol) in chloroform (10 ml) for 10 min provided the corresponding 8-aryltheophyllines (IIa-c)[1] in excellent yields.[3] Similarly, treatment of the 5-benzylideneamino-1,3-dimethylbarbituric acids (Id-f)[2] with NBS in chloroform under

the same conditions furnished the respective 2-aryl-5,7-dimethyl-oxazolo[5,4-d]pyrimidine-4,6(5H,7H)-diones (IId-f)[2] in good yields[3] (Table).



Ia-f IIa-f

Table Purines and Oxazolo[5,4-d]pyrimidines

Starting material	X	R	Product	Mp(°C)	Yield(%)
Ia	NH	H	IIa	>300	94
Ib	NH	Br	IIb	>300	91
Ic	NH	OMe	IIc	>300	93
Id	O	H	IId	240-242	68
Ie	O	Br	IIe	259	71
If	O	OMe	IIf	255	68

REFERENCES AND NOTE

1 K. Senga, K. Shimizu, and S. Nishigaki, Chem. Pharm. Bull. (Tokyo), 1977, 25, 495.

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

3 Compounds (IIa-f) were isolated by evaporation of the reaction mixture and addition of saturated aqueous sodium bicarbonate. These products were identical in all respects with the authentic samples.[1,2]

Received, 22nd August, 1977