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SYNTHESIS OF 3-ARYLFERVENULINS

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Treatment of 6-hydrazino-1,3-dimethyl-5-nitrosouracil with benzyl halides (or phenacyl halides) in dimethyl sulfoxide gave the corresponding 3-arylfervenulins.

3-Arylfervenulins (3-aryl-6,8-dimethylpyrimido[5,4-*e*]-*as*-triazine-5,7(6H,8H)-diones) have been prepared by several routes.[1] We wish to report a new synthesis of these derivatives by the reaction of 6-hydrazino-1,3-dimethyl-5-nitrosouracil (I)[2] with benzyl halides (or phenacyl halides) in dimethyl sulfoxide (DMSO).

Treatment of (I)(0.001 mol) with the benzyl halides (or phenacyl halides)(0.0015 mol) in DMSO (0.2 ml) at 95° for 5 min, followed by dilution with ethanol caused the separation of the corresponding 3-arylfervenulins (IIa-g)(Table).[3]

As depicted in the Scheme, the formation of (IIa-g) presumably proceeds by the condensation of (I) with aryl aldehydes (or arylglyoxals) which arised from the oxidation of benzyl halides (or phenacyl halides) with DMSO,[4] followed by cyclization and subsequent aromatization by loss of water (or formic acid).

Scheme

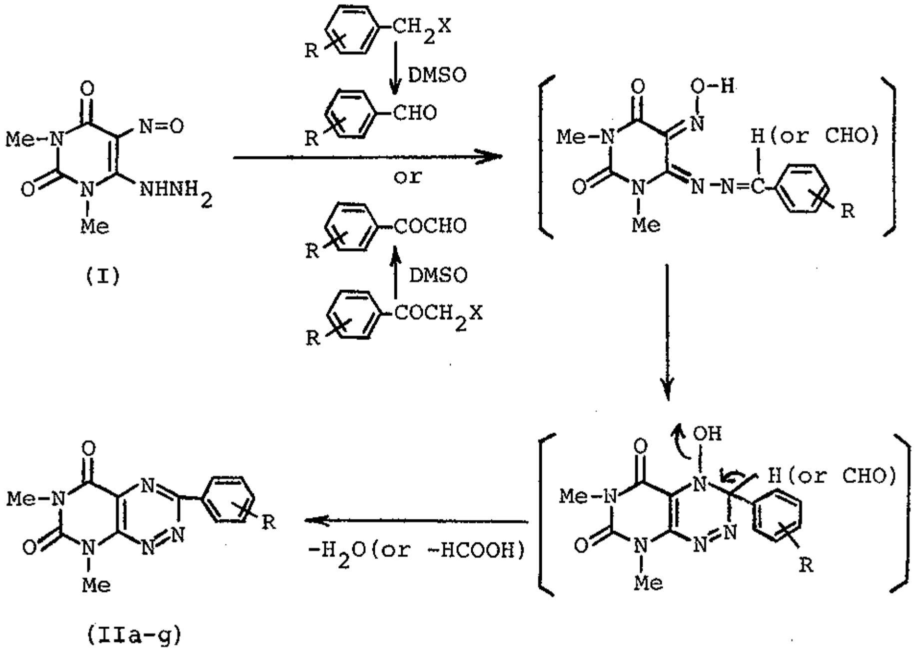

Table 3-Arylfervenulins

Halide	Product	R	Mp(°C) (Lit.^{1d}Mp)^{a}	Yield(%)
C_6H_5-CH_2Br	IIa	H	273-275 (270)	56
C_6H_5-$COCH_2Br$	IIa	H		30
4-Br-C_6H_4-$COCH_2Br$	IIb	4-Br	>300 (303)	20
4-Cl-C_6H_4-CH_2Cl	IIc	4-Cl	280-283 (280)	20
4-Cl-C_6H_4-$COCH_2Br$	IIc	4-Cl		19
4-NO_2-C_6H_4-CH_2Br	IId	4-NO_2	>300 (323)	47
3,4-Cl_2-C_6H_3-CH_2Cl	IIe	3,4-Cl_2	259-260 (249)	36
4-Me-C_6H_4-CH_2Cl	IIf	4-Me	286-287	21
4-Me-C_6H_4-$COCH_2Br$	IIf	4-Me		11
4-MeO-C_6H_4-$COCH_2Br$	IIg	4-MeO	263-264 (268)	23

a) All products were recrystallized from ethanol.

REFERENCES AND NOTES

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2 W. Pfleiderer and K.-H. Schündehütte, *Annalen*, 1958, **615**, 42.

3 Satisfactory elemental analyses and spectral data were obtained for all products.

4 Benzyl halides and phenacyl haldies have been reported to undergo oxidation with DMSO to give the corresponding carbonyl compounds: a) N. Kornblum, J.W. Powers, G.J. Anderson, W.J. Jones, H.O. Larson, O. Levand, and W.M. Weaver, *J. Am. Chem. Soc.*, 1957, **79**, 6562; b) N. Kornblum, W.J. Jones, and G.J. Anderson, *J. Am. Chem. Soc.*, 1959, **81**, 4113.

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