New Synthesis of Pteridines from the Reaction of 6-Amino-1,3-dimethyl-5-nitrosouracil with Phenacylidenetriphenylphosphoranes

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Summary Treatment of 6-amino-1,3-dimethyl-5-nitrosouracil with phenacylidenetriphenylphosphoranes gave the corresponding 7-substituted 1,3-dimethyl-lumazines.

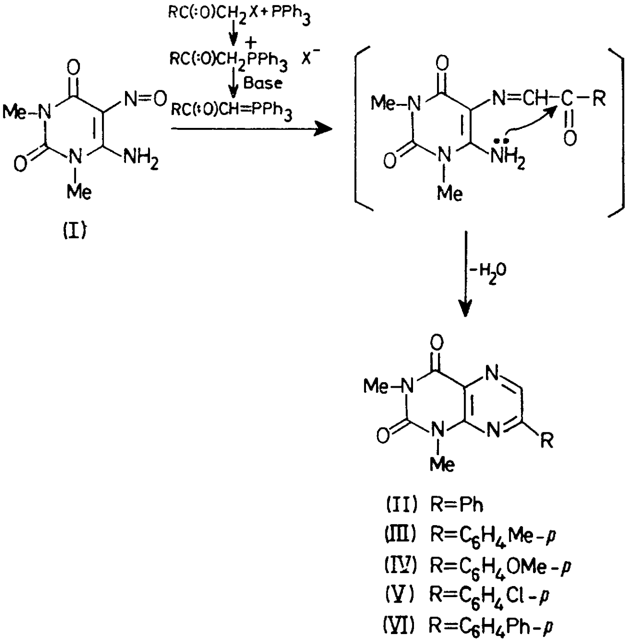
A recent paper[1] described a new synthesis of purines by the reaction of 6-amino-1,3-dimethyl-5-nitrosouracil (I) with benzylidenetriphenylphosphoranes. We now report a new, convenient synthesis of pteridines by treatment of (I) with phenacylidenetriphenylphosphoranes.

Table

Phenacyl halide		Product[a]	Yield/%
$PhCOCH_2Br$	.. ..	(II)	67
p-$MeC_6H_4COCH_2Br$	..	(III)	39
p-$MeO{\cdot}C_6H_4COCH_2Br$	..	(IV)	55
p-$ClC_6H_4COCH_2Br$ ..	..	(V)	55
p-$PhC_6H_4COCH_2Br$	..	(VI)	64

[a] None of the products melted below 300 °C.

To a pre-boiled (30 min) suspension of (I) (0·5 mmol), phenacyl bromide (1·5 equiv.) and Ph_3P (1·5 equiv.) in tetrahydrofuran–aqueous NaOH (10%, 0·5 ml) was added and the mixture was refluxed for 30 min. Evaporation *in vacuo*, followed by dilution with ethanol caused the separation of 1,3-dimethyl-7-phenyl-lumazine (II)[2] in good yield (Scheme). Other substituted phenacyl halides† provided the corresponding pteridines (see Table).‡



Scheme

This new pteridine synthesis presumably proceeds through the initial formation of the pyrimidine anil§ by a type of Wittig reaction between the nitroso-group of (I) and phenacylidenetriphenylphosphoranes and subsequent dehydrative cyclization. The formation of phenacylidenetriphenylphosphoranes (Wittig reagents) seems reasonable, since in the absence of base or Ph_3P no reaction occurred.

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† In these instances, prolonged pre-boiling (*ca.* 1–2 h) is necessary for the completion of the formation of corresponding phenacylidenetriphenylphosphonium salts.

‡ Satisfactory analytical and spectral data were obtained for all products.

§ The reaction of diphenylmethylidenetriphenylphosphorane or fluorenylidenetriphenylphosphorane with nitrosobenzene has been reported to give benzophenone anil and fluorenone anil, respectively (A. Schönberg and K. H. Brosowski, *Chem. Ber.*, **1959**, **92**, **2602**).

[1] K. Senga, H. Kanazawa, and S. Nishigaki, *J.C.S. Chem. Comm.*, 1976, 155.
[2] G. P. G. Dick, H. C. S. Wood, and W. R. Logan, *J. Chem. Soc.*, 1956, 2131.