

[Heterocycles, 24, 2293 (1986)]

**Pyrimidines. Part 57. A Versatile Synthesis of Pyrimido [4,5-*d*]-
pyrimidine-2,4,5-trione Derivatives**

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Bicyclic fused pyrimidines have been received much attention in connection with the biologically significant system such as purines and pteridines. Synthesis of the title compounds was accomplished by cyclization of 6-amino-1,3-dimethyl-5-(*N*-substituted carbamoyl or thiocarbamoyl) uracil derivatives (1), easily prepared from 6-amino-1,3-dimethyluracil and isocyanates, with one-carbon reagents. The treatment of (1) with dimethylformamide dimethylacetal, acetic anhydride, benzoic anhydride, and *N*, *N'*-carbonyldiimidazole gave the corresponding 7-*H*, methyl, phenyl, and hydroxypyrimido [4,5-*d*]-pyrimidines, respectively, in high yields.

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**Novel Reaction of 5-Nitro (or Carbamoyl) uracil Derivatives with Amines.
Thermal Exchange Reaction of *N*₁-position of the Uracils for Amines
via Ring-Opening and Ring-Closure Processes**

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A novel type of reaction of uracils with amines under thermal conditions is described. The reaction of 1,3-disubstituted uracils (1) possessing an electron withdrawing group, such as nitro and carbamoyl groups, at the 5-position with ammonia and primary amines induced exchange of *N*₁-portion of the uracil ring for amines. This reaction was accelerated by substitution of phenyl groups at the *N*₁-position. The reaction intermediate, an amine-adduct of (1), was isolated. Two plausible reaction mechanisms for the exchange reaction are proposed on the basis of reactivity of the adduct.

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Reactions of 10-Thiaisoalloxazines with Alkyl Amines and Benzyl Alcohol.

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Upon treatment with benzylamine and *n*-propylamine, 10-thiaisoalloxazines (1) undergo a smooth ring-contraction initiated by nucleophilic addition of alkyl amines to the conjugated diimine bond in the molecule to give the corresponding 6'-substituted amino-spiro [benzothiazoline-2,5'-pyrimidine-2',4' (3'*H*, 5'*H*)-diones], whereas thermal reaction of 1 with benzyl alcohol results in the preferential formation of the redox products, 1,5-dihydro-10-thiaisoalloxazines and benzaldehyde, proceeding via an initial single-electron transfer process. The latter result is suggestive of the presence of an alternative process not involving the carbanion intermediates in the flavin-catalyzed oxidation of alcohols.