[*Synlett*, 697-698 (1997)] [Lab. of Medicinal Chemistry]

A Novel Approach for the Synthesis of Purine Acyclonucleosides Using 9-D-Ribitylpurines as a Chiral Pool.

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Facile syntheses of L-eritadenine, (2*S*,3*R*)-9-(2,3,4-trihydroxybutyl)purines, and (2*S*,3*S*)-9-(2,3,4-trihydroxybutyl)adenine were achieved by using 9-D-(2,3-*O*-isopropylideneribityl)purines as a chiral pool. This methodology using 2',3'-*O*-isopropylidene protected 9-D-ribitylpurines as chiral starting materials was shown to be widely applicable to the synthesis of biologically interesting acyclonucleosides. Especially, the aldehydes prepared by $NaIO_4$ oxidation of the ribitylpurines are useful intermediates for the preparation of acyclonucleosides having a chiral glycol moiety at the 2', 3'-positions in the side-chain.

[*Synlett*, 1409-1410 (1997)] [Lab. of Medicinal Chemistry]

A New Synthesis of Pyrazolo[3,4-*d*]pyrimidine-4,6(5*H*,7*H*)-diones by Oxidative *N*-*N* Bond Formation of 6-Amino-5-(*N*-aryliminomethyl)uracils Using Iodobenzene Diacetate.

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The intramolecular cyclizations of 6-amino-5-(*N*-aryliminomethyl)-1,3-dimethyluracils involving the N-N bond formation were effected *via* a hypervalent iodine oxidation using iodobenzene diacetate. This method enabled a facile synthesis of 2-aryl-5,7-dimethylpyrazolo[3,4-*d*]pyrimidine-4,6(5*H*,7*H*)-diones (**3**) in moderate to excellent yields. The primary advantage of this N-N bond formation method is that various 2-aryl-substituted pyrazolo[3,4-*d*]pyrimidines can be provided from easily available starting 6-aminouracils under mild oxidative conditions.

[*Heterocycles*, **46**, 547-554 (1997)] [Lab. of Medicinal Chemistry]

A High Chemical Reactivity of 5-Azidouracils and Its Synthetic Application: Novel Synthesis of 8-Substituted 1,3-Dimethylxanthine Derivatives.

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A novel method for the preparation of 8-substituted 1,3-dimethylxanthine derivatives is described. Treatment of 6-alkylamino-5-bromo-1,3-dimethyluracils, easily prepared by bromination of the corresponding uracils, with sodium azide in DMF at ambient temperature allowed the direct formation of the 8-substituted 1,3-dimethylxanthines proceeding *via* a transient formation of the corresponding 5-azidouracils. The 5-bromo-1,3-dimethyluracils possessing an □-branched alkylamino group at the 6-position similarly react with sodium azide to afford 8,8-disubstituted 1,3-dimethyl-8*H*-xanthines (8,8-disubstituted 1,3-dimethyl-3,8-dihydropurine-2,6-diones).

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Convenient and Versatile Synthetic Methods for Furazano[3,4-*d*]pyrimidines.

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Furazano[3,4-*d*]pyrimidines have been demonstrated to be useful synthetic intermediates for the unequivocal preparation of 9-substituted adenines, 4-aminopyrrolo[3,2-*d*]pyrimidines, 4-amino(or alkoxy)pteridines, 4-amino-7-azapteridines, and 4-amino-dihydrohomopteridines, which are fused pyrimidines of natural occurrence or biological interest. There have been two primary methods for the construction of this fused heterocyclic ring system. These methods, however, possess intrinsic disadvantages in the preparation of the desired furazanopyrimidines because of many necessary steps starting from commercially available material or the use of a toxic oxidant. Treatment of the readily available 6-amino-5-nitrosopyrimidines with a slight excess of iodosylbenzene diacetate or *N*-iodosuccinimide in anhydrous DMF containing three equivalents of lithium hydride at ambient temperature resulted in the smooth and versatile formation of the corresponding furazano[3,4-*d*]pyrimidines.