[*Nucleosides & Nucleotides*, **17**, 1333-1345 (1998)] [Lab. of Medicinal Chemistry]

A Convenient Synthesis of Acyclic Adenosines with an Unsaturated Side Chain by Modification of 9-(2,3-*O*-Isopropylidene-D-ribityl)adenine.

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In expectation of discovering their antiviral activity, acyclic adenosine derivatives were designed as analogs of neplanocin A (NPA) and L-eritadenine which are strong inhibitors of *S*-adenosyl-L-homocysteine hydrolase. The 1',5'-*seco*-analog of 4'-deoxymethyl-NPA (DHCA) was synthesized by dideoxygenation of 9-(2,3-*O*-isopropylidene-D-ribityl)adenine. Acyclic DHCA analogs were obtained by Wittig reaction of the aldehyde with $Ph_3P{=}CHCO_2Et$ and $Ph_3P{=}CHCN$, respectively. Hydrolysis of the ester afforded a vinylog of L-eritadenine. The synthesized acyclic nucleosides were evaluated for antiviral activity, however, none of them showed any significant antiviral activity.

[*Heterocycles*, **47**, 871-882 (1998)] [Lab. of Medicinal Chemistry]

Convenient Synthesis of Pyrido[4,3-*d*]pyrimidine-2,4 (1*H*,3*H*)-diones.

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A convenient synthesis of pyrido[4,3-*d*]pyrimidine-2,4(1*H*,3*H*)-diones is described. Treatment of 5-formyl-1,3-dimethyl-6-(2-dimethylamino)vinyluracil with ammonia and hydrazines affords the corresponding pyrido[4,3-*d*]pyrimidine-2,4(1*H*,3*H*)-diones, respectively. Similar reaction of 5-cyano- and 5-ethoxycarbonyluracils with ammonia led to formation of 5-amino- and 5-hydroxylpyrido[4,3-*d*]pyrimidine-2,4(1*H*,3*H*), respectively. Among the compounds synthesized here, 5-amino-1,3-dimethyl-pyrido[4,3-*d*]pyrimidine-2,4(1*H*,3*H*)-dione exhibited greater inhibitory activity against cyclic AMP phosphodiesterase than theophylline.

[*Heterocycles*, **49**, 475-479 (1998)] [Lab. of Medicinal Chemistry]

Reduction of Uracil Derivatives with an NADH Model, 1-Benzyl-1,4-Dihydronicotinamide.

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Among various *C*(5)-, *N*(1)-, and *N*(3)-substituted uracils, 1-substituted 5-nitrouracil derivatives were reduced by an NADH model, 1-benzyl-1,4-dihydronicotinamide, to give 5,6-dihydro-5-nitrouracil derivatives, the formation of which was accelerated to a large extent by the use of Mg^{2+} as a catalyst.

[*J. Chem. Soc., Perkin Trans. 1*, 941-946 (1998)] [Lab. of Medicinal Chemistry]

Novel Synthesis of Purine Acyclonucleosides Possessing a Chiral 9-Hydroxyalkyl Group by Sugar Modification of 9-D-Ribitylpurines.

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A novel approach for the synthesis of purine acyclonucleosides having chiral carbons in the N_9-hydroxyalkyl chain was achieved by using 9-(2,3-*O*-isopropylidene-D-ribityl)purines, which were readily prepared from commercially available purine nucleosides. 9-[(2*S*,3*R*)-2,3,4-Trihydroxybut-1-yl]purines, 9-[(2*S*,3*S*)-2,3,4-trihydroxybut-1-yl]purines, L-eritadenine, and its analogue were conveniently synthesized *via* key intermediates, (2*S*,3*S*)-2,3-dihydroxy-2,3-*O*-isopropylidene-4-(purin-9-yl)butanals prepared by $NaIO_4$ oxidation of 9-(2,3-*O*-isopropylidene-D-ribityl)purines.