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[Lab. of Medicinal Chemistry]

Conversion of *D*-Glucose into the β -Hydroxy- δ -lactone Moiety of Mevinic Acids and Congeners via *D*-Idose as a Key Chiral Intermediate.

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(4*R*, 6*S*)-4-Hydroxy-6-hydroxymethyl-3,4,5,6-tetrahydro-2*H*-pyran-2-one and (4*R*, 6*S*)-4-hydroxy-6-hydroxymethyl-2-methoxytetrahydropyran, chirons of the β -hydroxy- δ -lactone moiety of mevinic acids [*i.e.*, mevastatin and lovastatin; potent inhibitors of 3-hydroxy-3-methylglutaryl coenzyme A (HMG-CoA) reductase which is one of enzymes responsible for the early steps of cholesterol biosynthesis] and congeners, were derived from 1,2,3,6-tetra-*O*-acetyl- α -*D*-idose, which is easily available from penta-*O*-acetyl- β -*D*-glucose.

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[Lab. of Medicinal Chemistry]

Mechanistic Aspects of the Oxidation of 1,3-Disubstituted 6-Amino-5-nitrosouracils with Lead Tetraacetate: The Formation of Pyrimido-[5,4-*g*] pteridinetetrone 10-Oxides.

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Lead tetraacetate oxidation of 1,3-disubstituted 6-amino-5-nitrosouracils (**1**) in glacial acetic acid resulted in the smooth formation of the corresponding 1,3,6,8-tetrasubstituted pyrimido[5,4-*g*]pteridine-2,4,5,7(1*H*,3*H*,6*H*,8*H*)-tetrone 10-oxides (**2**), along with minor amounts of 4,6-disubstituted furazano [3,4-*d*]-pyrimidine-5,7(4*H*,6*H*)-diones. ESR studies and a number of chemical observations suggest a novel reaction sequence for the formation of (**2**), which involves oxidative dimerization of (**1**) followed by intramolecular cyclization, oxidation, and homolytic elimination of nitrous oxide.

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A Versatile and Convenient Method for the Syntheses of Pyrimido-[4,5-*b*] [1,4]-thiazine and -thiazepine Ring Systems.

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Treatment of 5-hydroxyuracil and 5-hydroxysicytosine with *N*-bromosuccinimide in ethanol followed by the thermal condensation with β - and γ -amino thiols such as 2-aminothiophenol, cysteamine, *L*-cysteine, and *D,L*-homocysteine resulted in the formation of the corresponding pyrimido [4,5-*b*] [1,4] thiazin-4 (3*H*)-ones and pyrimido [4,5-*b*] [1,4] thiazepin-4 (3*H*)-ones. The present new method for the construction of pyrimido-[4,5-*b*] [1,4]-thiazine and -thiazepine ring systems was shown to involve the condensation of 5,6-diethoxy-5-hydroxy-5,6-dihydropyrimidin-4 (3*H*)-one intermediate with the β - and γ -amino thiols which is accelerated in the presence of acid-catalyst.