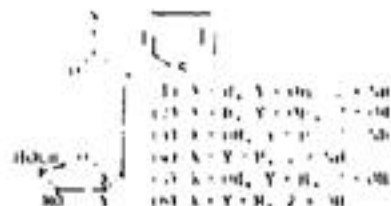


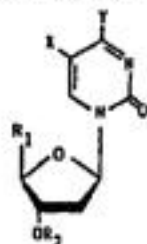
19. SYNTHESIS OF THIENO [2,3-d] PYRIMIDINE NUCLEOSIDES RELATED TO THE NUCLEOSIDES CYTIDINE AND URIDINE. V. D. Patel, D. S. Mies, L. B. Townsend, Division of Medicinal Chemistry, Department of Biopharmaceutical Sciences and Department of Chemistry, University of Utah, Salt Lake City, Utah 84112.

Condensation of 4-aminothieno [2,3-d] pyrimidin-2-one or thieno [2,3-d] pyrimidin-2,4-dione with 1-O-acetyl-2,3,5-tri-O-benzoyl-β-D-ribofuranose in the presence of stannic chloride afforded, after deprotection, 4-amino-1-β-D-ribofuranosylthieno [2,3-d] pyrimidin-2-one (1) or 1-β-D-ribofuranosylthieno [2,3-d] pyrimidine-2,4-dione (2), respectively. Each was converted, by use of a 2,2'-anhydro intermediate, into its respective 3'-O-arabinofuranosyl (3,4) and 2'-deoxy-β-D-erythro-pentofuranosyl (5,6) nucleosides. Additional conversions involving the aglycon portion of these nucleosides will be discussed.



20. SYNTHESIS AND BIOLOGICAL ACTIVITY OF SOME (2-DEOXY)-β-D-ERYTHRO-PENTOFURANOSYL-URONIC ACID)-, -URONAMIDE)-, AND -URONAMIDE)-PYRIMIDINES. Raymond F. Schinazi, William H. Prusoff, Dept. of Pharmacology, Yale University School of Medicine, New Haven, CT 06510

The recent interest in the modification at C-4' of nucleosides prompted us to re-examine the biological activity of a variety of 4'-carboxylic acids, esters, amides, and nitriles of 5-substituted and unsubstituted pyrimidine nucleosides. The carboxylic acids, prepared from the appropriate nucleoside by platinum-catalyzed oxidation, were converted into the methyl esters. Treatment with aqueous ammonia gave the amides. Acetylation gave the 3'-O-acetyl derivatives, which were dehydrated with phosphoryl chloride to the protected nitriles. Deacetylation gave the nitriles in good overall yields. The purity of the products was established by high-pressure liquid-chromatography. The effects of these compounds on Herpes simplex virus type 1, Sarcoma 180, and Streptococcus faecium--a folic acid-dependent, bacterial strain--have been evaluated in culture. Preliminary inhibitory activity of some of the derivatives on purified-enzyme systems will also be reported.



$X = H, F, Br, I, \text{ or } Me, Y = OH \text{ or } NH_2$
 $R_1 = COOH, COOMe, CONH_2, \text{ or } CH_3$
 $R_2 = H \text{ or } COMe$

21. A MILD PROCESS FOR THE OXIDATION OF NUCLEOSIDES. Roger W. Binkley, David G. Hehmann, Department of Chemistry, Cleveland State University, Cleveland, Ohio 44115.

A recently developed, photochemical oxidation technique has been used to convert four nucleoside derivatives, 1-4, into the corresponding 3-keto compounds. The conditions for these oxidations were sufficiently mild that the 3-ketonucleosides, relatively unstable structures that easily undergo 5-elimination, were isolated and characterized. Due to the mild conditions for this oxidation process, the photochemical oxidation sequence should prove quite valuable in transforming nucleoside derivatives that cannot be successfully oxidized by existing techniques.

3-THYMINE

