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SYNTHESIS AND EVALUATION OF ANTIVIRAL ACTIVITY OF HIGHER HOMOLOGUES OF XYLO-CARBOCYCLIC NUCLEOSIDES

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SYNTHESIS AND EVALUATION OF ANTIVIRAL ACTIVITY OF HIGHER HOMOLOGUES OF XYLO-CARBOCYCLIC NUCLEOSIDES

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ABSTRACT

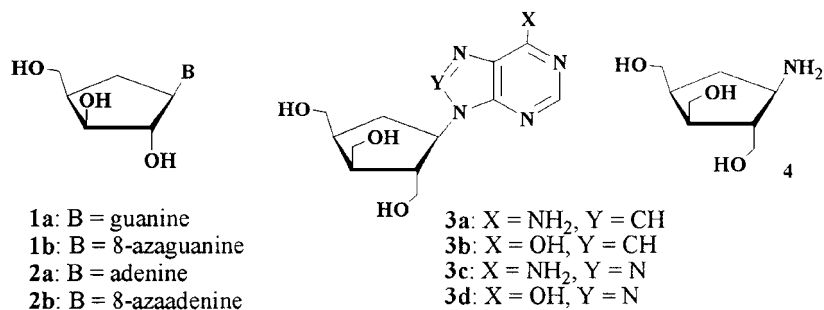
New carbocyclic nucleosides with purine (compounds **3a** and **3b**), and 8-azapurine (compounds **3c** and **3d**) as base were prepared and assayed for *in vitro* activity.

Several carbonucleosides with *xylo* configuration in their pseudo-sugar moiety have shown interesting antiviral or antineoplastic properties. Thus, carbocyclic xylofuranosylguanine **1a** and its 8-aza analogue **1b** were active against herpes simplex virus (types 1 and 2) and **1b** also exhibited potent activity against human cytomegalovirus (CMV) and varicella-zoster virus (VZV) (1). Besides, carbocyclic xylofuranosyladenine **2a** and the corresponding 8-aza derivative **2b** exhibited significant *in vivo* antitumor activity (2).

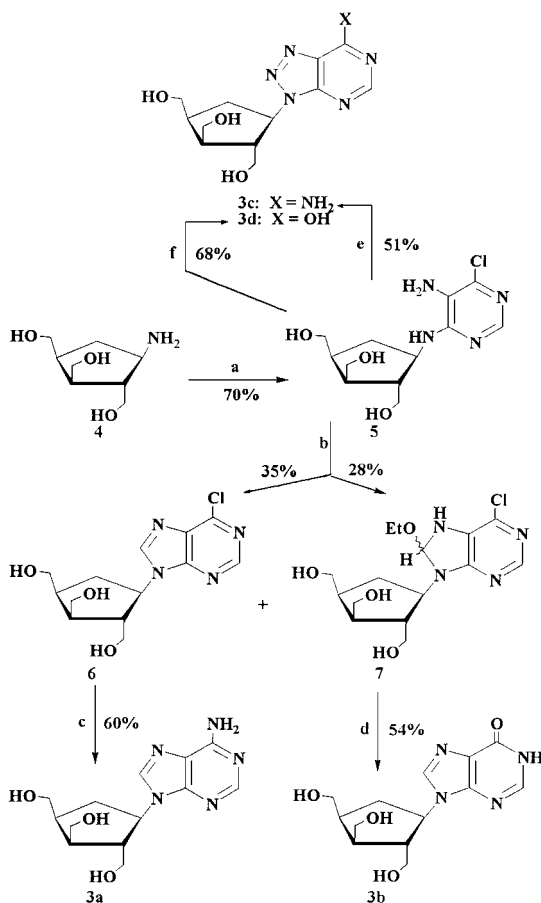
In a search for novel structures with biological activity, we set about to prepare higher homologues of **2**, of type **3**.

The synthesis of a series of new carbonucleosides **3a–d**, using the aminocyclopentanetrismethanol (\pm)-**4** as key intermediate, is presented in this communication (3).

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Compounds **3** were assayed against a variety of viruses, including HIV, CMV, TK⁺ VZV and TK⁻ VZV, and also evaluated as antineoplastic agents. Some of these compounds showed only marginal antiviral activity at subtoxic concentrations.



- a) 5-Amino-4,6-dichloropyrimidine, Et₃N, n-butanol, reflux, 56 h; b) CH(OEt)₃, 12N HCl, r.t., 18 h;
c) 14N NH₄OH, reflux, 29 h; d) 1N HCl, reflux, 2.5 h; e) NaNO₂, H₂O, 14N NH₄OH, reflux, 2 h;
f) NaNO₂, AcOH, H₂O, r.t., 18 h.

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2. R. Vince, J. Brownell, S. Daluge, *J. Med. Chem.* **1984**, 27, 1358–1360.
3. All compounds had spectral and analytical data consistent with their structures.



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