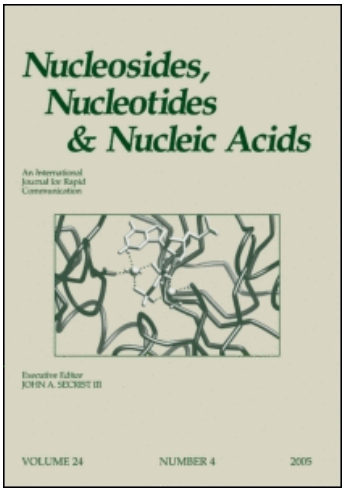

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The Synthesis and Antiherpetic Activity of DHBG and Some Analogs

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THE SYNTHESIS AND ANTIHERPETIC ACTIVITY OF DHBG AND SOME ANALOGS

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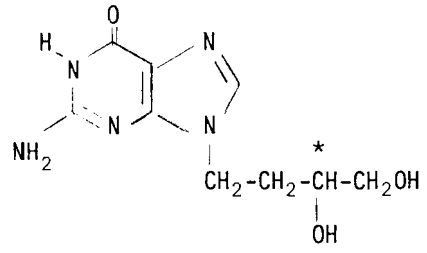
Summary. Several acyclic guanosine analogs have been synthesized and tested for antiviral activity.

The synthesis involves a reaction under basic conditions between 2-amino-6-chloropurine and ω-halo alkyl derivatives. Subsequent separation of the 7 and 9 isomers and hydrolysis gave the actual compounds.

R(+) 9-(3,4-dihydroxybutyl)guanine is a potent inhibitor of Herpes virus type 1 and 2 multiplication.



R(+) DHBG requires HSV thymidine kinase (TK) for activtion. It has a good affinity for HSV-1 TK (K_i = 1.5) and for HSV-2 TK (K_i = 5.7) and a phosphorylation rate relative to tymidine of 0.73 and 1.65, respectively.

R(+) DHBG has a very good antiherpetic effect against systemic HSV-1 and HSV-2 infection in mice, despite moderate ED_{50} values in the plaque reduction assay (2 μM and 8 μM, respectively).

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