Poster Session II

Herpesvirus Infections

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Some Aromatic Acyclonucleoside Analogs. G. Shaw and D.C. Agathocleous. University of Bradford, Bradford, West Yorkshire, U.K.

Phosphorylation of some imidazole nucleosides using a wheat phosphorylase led us earlier to observe that the presence of an aromatic ring in the nucleoside molecule enhanced the efficiency of the phosphorylation process. Accordingly we have prepared a series of aromatic acyclonucleoside analogs derived from o-aminomethyl-2-phenylethanol which retain the carbon skeleton of a ribo or deoxy ribo nucleoside. The amine (prepared by a much improved method from isochroman) was converted into 5-amino-1-o-(2-hydroxyethyl) benzylimidazole-4-carboxamide and this was cyclised to the corresponding hypoxanthine and guanine derivatives by standard procedures. The hypoxanthine derivative with phosphoryl chloride followed by ammonia gave the adenine analog. The thymine, 2-thiothymine and uracil derivatives were also prepared from the amine and 3-methoxy-2-methyl-N-ethoxycarbonylacrylamide, 3-methoxy-2-methylacryloyl isothiocyanate and ethoxymethylene malonylurethane respectively. The compounds were tested for anti-viral activity against HSV-1 in Veros cells. They were essentially inactive except at high concentrations when the guanine and thymine derivatives were slightly active with EC_{50} 150 and 250 and TC_{50} > 1000 μg per ml respectively.