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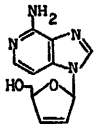
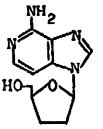
Synthesis of 2',3'-Dideoxy-2'3'-didehydro- and 2'3'-Dideoxy-3-deazaadenosine as potential anti-HIV Agents. P. Franchetti, C. Cristalli, M. Grifantini, L. Cappellacci, S. Vittori, M.E. Marongiu*, A. Pani*. Dipartimento di Scienze Chimiche, Università di Camerino, 62032 Camerino, (*)Dipartimento di Biologia sperimentale, Università di Cagliari, 09124 Cagliari, Italy.

2',3'-Dideoxynucleosides, such as AZT, ddC, ddA, ddI, and the unsatured nucleoside analogues d4T, d4C and dddA have been reported to exert potent anti-HIV activity and represent the most promising class of anti-AIDS agents. In this communication, we report the synthesis of 2',3'-dideoxy-2',3'-didehydro (I) and 2',3'-dideoxy-3-deazaadenosine (II). Reaction of 3-deazaadenosine with 2-acetoxyisobutyryl bromide (Mattocks's bromide) provided a mixture of 5'-O-protected trans-3'(2')-bromo-2'(3')-O-acetyl-3'(2')-deoxyarabinofuranosyl-3-deazaadenine which are readily transformed to the corresponding olefinic 2',3'-dideoxy derivative (I). The saturated 2',3'-dideoxy analogue (II) was obtained by catalitic reduction of nucleoside I.
The anti-HIV activity of nucleosides I and II will be reported.



I



II

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Herbal Extracts and Their Components as a Novel Class of Inhibitors for HIV-Reverse Transcriptase. K. Ono. Laboratory of Viral Oncology, Aichi Cancer Center Research Institute, Nagoya, Japan.

Various herbal extracts and the components thereof have been shown to be inhibitory to the activity of HIV-reverse transcriptase. These herbal extracts include those from *Millettia pachycarpa, Mallotus apelta, Scutellaria baicalensis,* and *Camellia sinensis* as the most potent class of inhibitors for HIV-reverse transcriptase. An effective component of *Scutellaria baicalensis* has been identified as a flavonoid, 5,6,7-trihydroxyflavone (baicalein). Comparative studies revealed that, besides baicalein, three flavonoids such as quercetin, quercetagetin, and myricetin were also strong inhibitors for HIV-reverse transcriptase. The extract of *Scutellaria baicalensis* has been included as an ingredient of a traditional Kampo drug "Sho-Saiko-To" which has been shown to be inhibitory to HIV multiplication in intact cell culture system. The strongest inhibition of HIV-reverse transcriptase was, however, found with (-)-epigallocatechin gallate, a major component of green tea extract (*Camellia sinensis*), as shown by the lowest Ki value (2.8 nM) in the inhibition. Almost all of these natural products inhibit the enzyme activity in competitive fashion with respect to the template·primer, indicating that the inhibition is due to interference by these substances with template·primer binding to the enzyme.