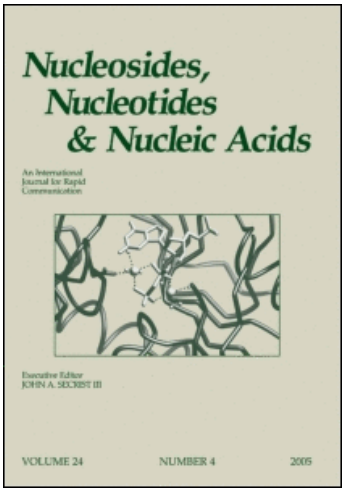

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Synthesis of Acyclic Nucleoside Using Potassium Iodide as Catalyst

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SYNTHESIS OF ACYCLIC NUCLEOSIDE USING POTASSIUM IODIDE AS CATALYST

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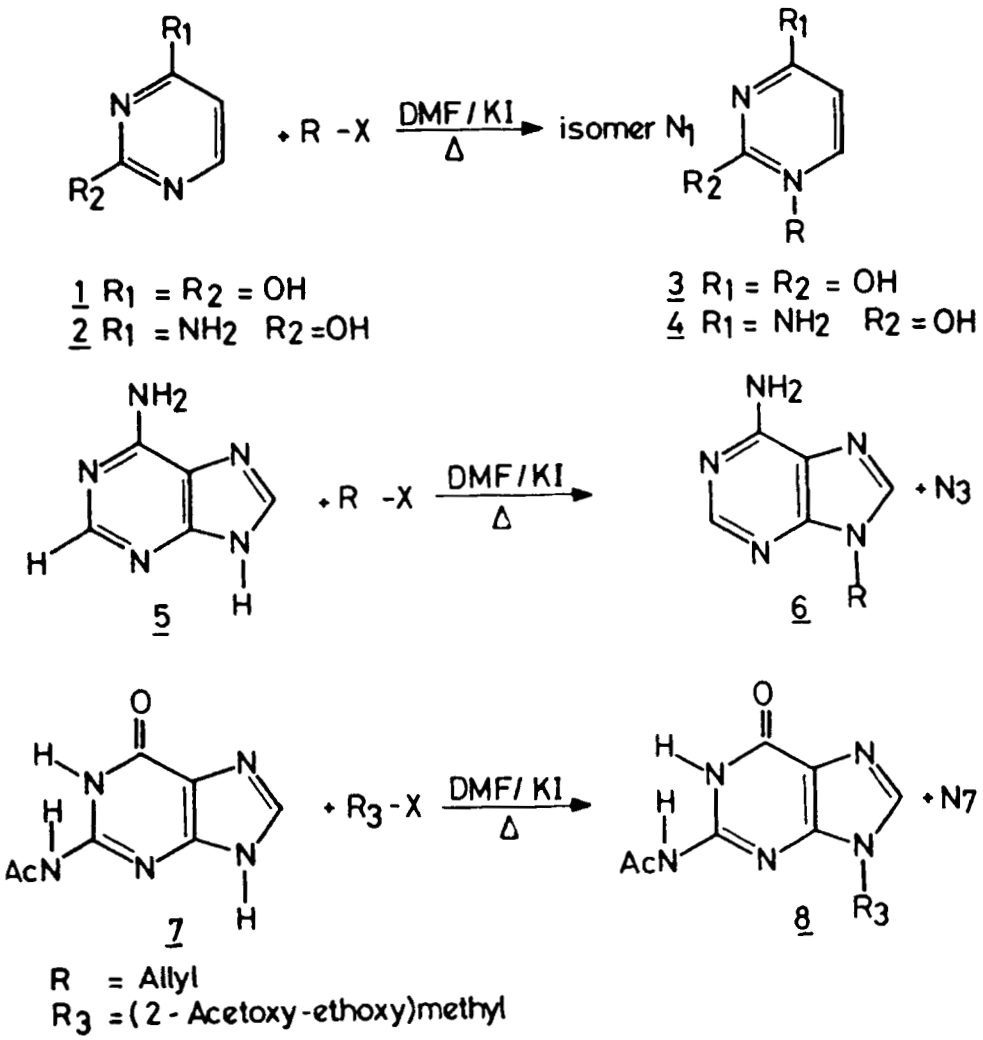
Abstract. An effective method for the synthesis of acyclonucleosides is reported. It is based on the use of potassium iodide as catalyst which enables mild condensation conditions.

Recent progress in the application of acyclonucleosides as antiviral agents creates the need for a simple and more general approach to their synthesis. We have recently reported (1) a rapid method based on the use of phase transfert catalysis. When we used alkylating agents having a base labile protecting group we observed the formation of a side product due to a partial deprotection (2). In order to overcome this problem we have developped milder conditions using potassium iodide as the catalyst (Scheme 1).

The condensation between various heterocycles (pyrimidines or purines) with acyclic chains (allyl bromide or (2-acetoxyethoxy)methyl bromide) has been made in the presence of potassium iodide. This selec-



-Scheme 1-

-Table 1-

Base	Solvant	temp: °C	time hours	catalyseur[a]	yield(b) %	isomers	UV(MeOH)
U	DMF	60	8	KI	36	N_1	263 nm
C	DMF	80	2	KI	30	N_1	272 nm
A	DMF	80	2	KI	50[c]	N_9+N_3	(N_3)= 273nm (N_9)= 261nm
G	DMF	130	4	KI	40[d]	N_9+N_7	260nm 280 nm

(a) *1mol base —— 1mol KI .*

(b) *all yield are given after column chromatography.*

(c) *40 % (N_9) , 10% (N_3)*

(d) *26 % (N_9) , 14% (N_7)*

tively afforded N_1 isomers with pyrimidines but N_9 , N_3 or N_9 , N_7 isomer mixture with purines (Table 1).

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