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## Novel Acyclic Analogues of 3'-Azido-3' Deoxythymidine 1-Hydroxy-3-Azido-2-Propoxymethyl Derivatives

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## NOVEL ACYCLIC ANALOGUES OF 3'-AZIDO-3' DEOXYTHYMIDINE 1-HYDROXY-3-AZIDO-2-PROPOXYMETHYL DERIVATIVES

H.B. Lazrek \*\*, M. Taourirte +, J.-L. Barascut + and J.-L. Imbach ++

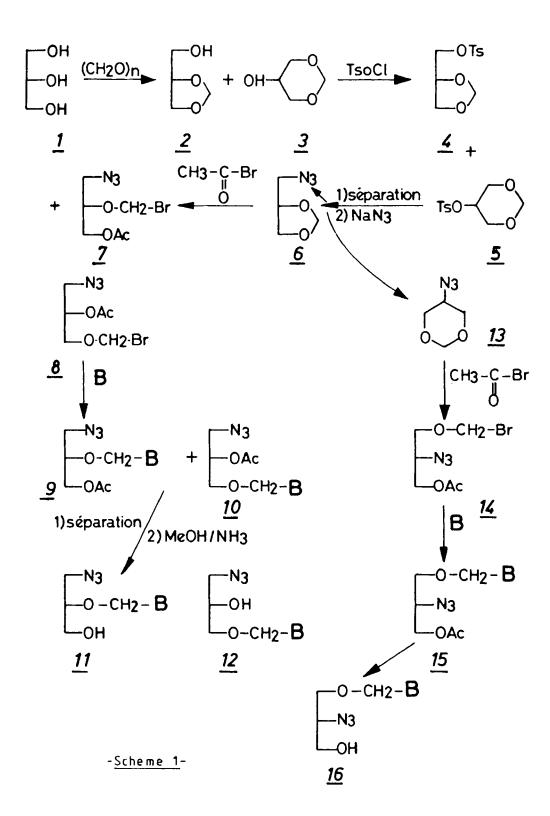
Abstract. Starting from glycerol, 1-hydroxy-3-Azido-2-propoxymethyl pyrimidines (T, U, C) are synthesized.

The 3'-Azido-3'-Deoxythymidine (AZT) is a very potent *in vitro* inhibitor of the replication of HTLV III (Human T-Lymphototropic virus). The combination between AZT and acyclovir exhibit a synergetic antiretroviral effect (1). Thus we have prepared some novel acyclic analogues of AZT to investigate their inhibitory properties.

The reaction of D-glycerol with para-formaldehyd catalysed by para-Toluene sulfonic acid has been reported (2) to give a mixture of glycerol formal  $\underline{2}$  and  $\underline{3}$ . The hydroxyl group is reacted with Tosyl chloride. After separation of the two isomers  $\underline{4}$  and  $\underline{5}$ , azide salt is reacted with 3-Tosyloxy-glycerol formal  $\underline{4}$  to give 3-azido glycerol formal  $\underline{6}$ . Treatment of cyclic formal at room temperature with acetyl bromide results in acylative cleavage of the C(2)-0 bond in a good yield. The condensation of the two isomers bromomethyl ether acetates 7 and 8 with

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silylated pyrimidines (T, C, U) produced a mixture of species  $\underline{9}$  and  $\underline{10}$  which were separated by chromatography. Deacetylation of  $\underline{9}$  and  $\underline{10}$  conducted respectively to  $\underline{11}$  and  $\underline{12}$  in a good yield (Scheme 1).

The acyclonucleoside  $\underline{16}$  is obtained from  $\underline{5}$  with the same protocole REFERENCES.

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