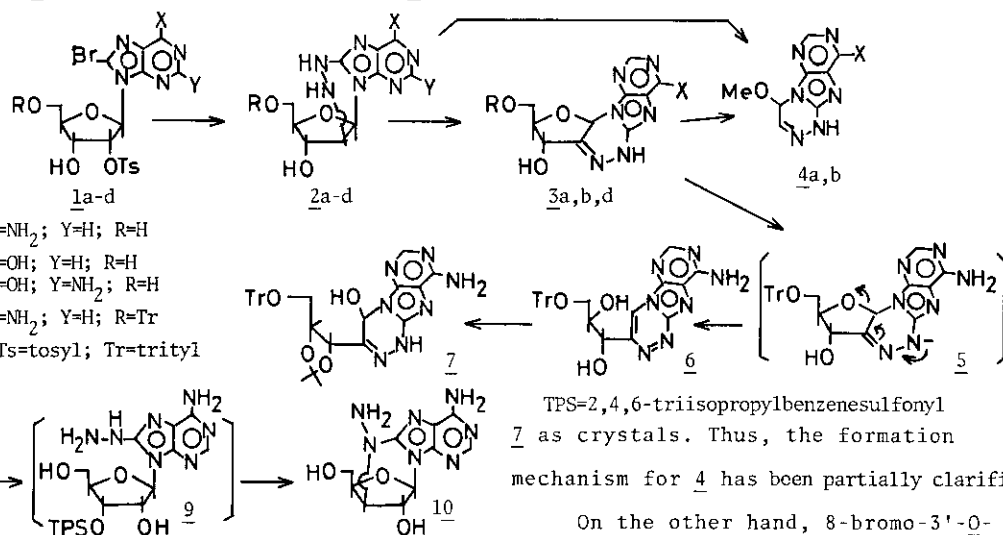


SYNTHESIS AND PROPERTIES OF PURINE 8,2'- AND 8,3'-HYDRAZO CYCLONUCLEOSIDES

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As part of our recent program to expand the range of model conformations of purine cyclonucleosides by bonding the base and sugar moieties with a diatomic bridge,¹⁻³ this report deals with the synthesis and some of the chemical properties, mainly, of 8,2'-hydrazo-bridged purine cyclonucleosides. 8-Bromo-2'-O-tosyl purine nucleosides 1a-d with 20 fold excess hydrazine in MeOH in a pressure tube at 90-100° gave the corresponding 8,2'-hydrazo cyclonucleosides 2a-d in 65-80% yields. Oxidation of 2a,b with NBA/90% MeOH or of 2d with NaIO₄/DMF gave 2',N^β-didehydro compounds 3a,b,d in high yields. The NaOMe-catalyzed air oxidation of 2a,b or of 3a,b led to the formations of new heterocycles 4a,b in low isolated yields apparently through fragmentation of the sugar part. The same treatment of 3d gave 6 via rearrangement as in 5. Attempted purification of 6 through acetonation and preparative TLC gave



On the other hand, 8-bromo-3'-O-2,4,6-triisopropylbenzenesulfonyl adenosine (8) with hydrazine gave a 8,3'-aminimino compound 10 via 9 but no hydrazo-bridged compound.

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