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NOVEL TRIAZOLE DERIVATIVES OF PURINE ARABINONUCLEOSIDES

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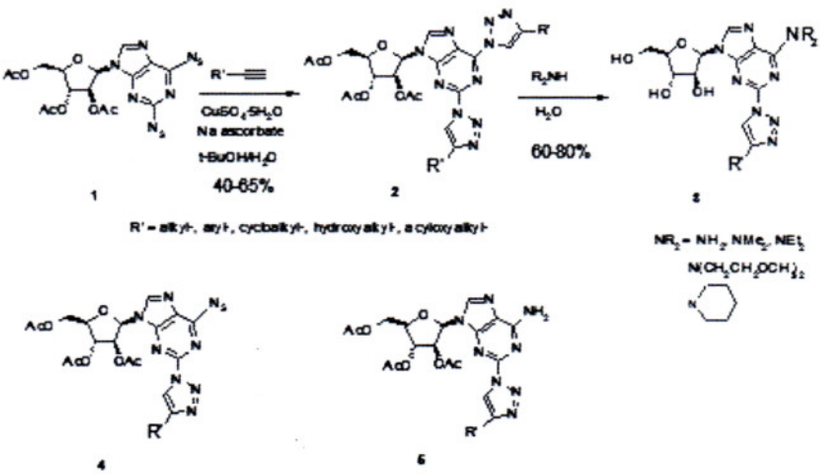
Modified nucleosides are of significant interest due to their potential pharmacological application. A large number of 1,2,3-triazolyl-functionalized nucleosides have been synthesized and their biological activity investigated in recent years[1]. However, only few examples of synthesis and biological activity of nucleoside analogues containing 1,2,3-triazolyl-modified purine bases are described so far[2-4].

We report here the synthesis of novel bis-triazolyl-functionalised purine arabinonucleosides **2** in copper-catalyzed 1,3-dipolar cycloaddition reaction of 9-(tri-*O*-acetyl-β-D-arabinofuranosyl)-2,6-diazidopurine **1** with various terminal alkynes. Diazide **1** was obtained in nearly quantitative yield from corresponding 2,6-dichloropurine arabinoside.



Compounds **2** were obtained in moderate yields. As reaction side products 6-amino- and 6-azidoderivatives (**4** and **5**) were isolated.

Nucleophylic substitution of 6-triazolyl moiety in **2** with ammonia and secondary amines and simultaneous deprotection of acetylgroups gave new water soluble arabinoadenosine derivatives **3.**

References

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