SYNTHESIS AND REACTIONS OF 2,6-DIAZIDOPURINE DEOXYNUCLEOSIDE

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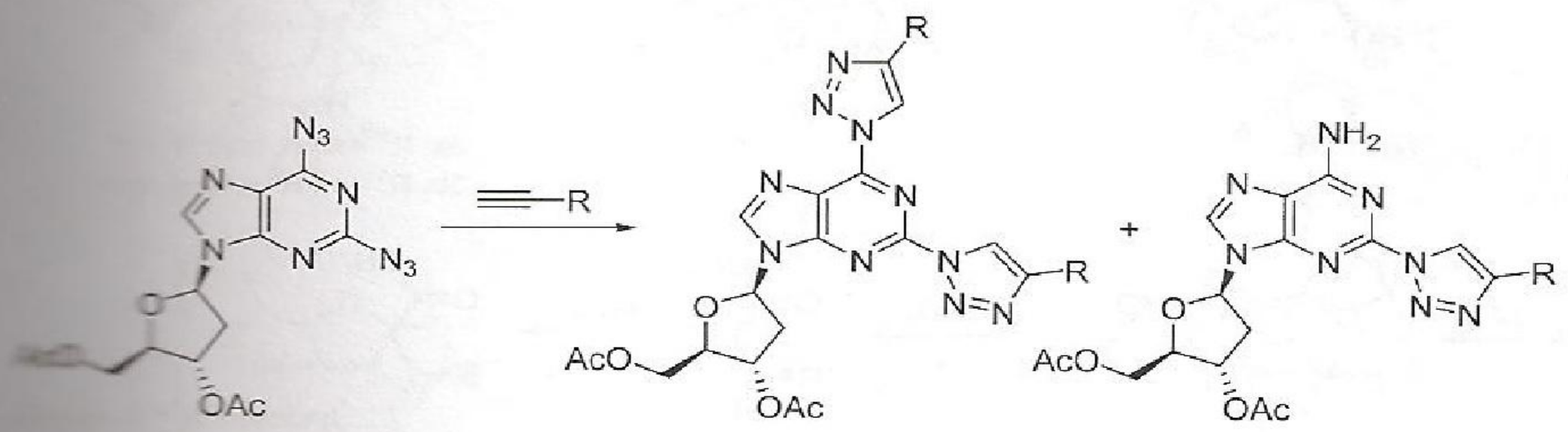
In recent years a number of novel 1,2,3-triazolylpurine nucleosides have been synthesized including compounds with biological activity: adenosine receptor antagonists and agonists, anticancer and antiviral agents [1]. The synthesis of ribo and arabinonucleoside bistriazolyl derivatives has been reported earlier [2]. In this research synthesis of 2,6-bistriazolyl deoxynucleosides in Cu(I) catalysed 1,3-dipolar azide-alkyne cycloaddition reaction (*Click* reaction) has been investigated (Scheme 1).

Diazide **1** was obtained in 4 step synthesis from deoxyguanosine and reacted with different terminal alkynes in stirred *tert*-butanol-water solution at room temperature. Five-fold excess of alkyne was used. Acetic acid, sodium ascorbate and $CuSO_4 \cdot 5H_2O$ were added as catalyst generating system. After purification on silica gel column products **2a-d** were obtained in 36-45% yields.

Formation of amines **3a-d** was observed if amount of sodium ascorbate exceeded 10 mol%. Isolated yield of amine **3b** is 13%.



1 **2** **3**

2a R = phenyl
2b R = butyl
2c R = pentyl
2d R = 1-hydroxy-1-methylethyl

3a R = phenyl
3b R = butyl
3c R = pentyl
3d R = 1-hydroxy-1-methylethyl

Scheme 1. Diazide reactions with alkynes. Reagents and conditions: $CuSO_4 \cdot 5H_2O$, sodium ascorbate, acetic acid, *t*-BuOH/H_2O, r.t., 4 h.

REFERENCES

1. Amblard, F.; Cho, J. H.; Schinazi, R. F. *Chem. Rev.* **2009**, *109*, 4207 and references cited therein.
2. Kuvajovs, A.; Novosjolova, I.; Bizdēna, Ē.; Bižāne, I.; Skardziute, L.; Kazlauskas, K.; Jursenas, S.; Turks, M. *Tetrahedron Lett.* **2013**, *54*, 850.