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ABSTRACTS

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2,6-Diazidopurine Nucleosides and Their Reactions with Alkynes

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Nucleoside analogues, in which modified purine structures are frequently found, play important role in modern antiviral and antitumor therapy. Important starting material for synthesis of various 2- and/or 6-substituted purine nucleosides are their azidoderivatives.

In course of this investigation we developed straightforward methods for synthesis of 2,6-diazidopurine nucleosides of *ribo*- and *arabino*- series. 2,6-Diazidopurine arabinoside **1a** was synthesized in four steps from commercially available D-arabinose and 2,6-dichloropurine with overall yield 30-35%.

2,6-Diazidopurine ribonucleoside **1b** we obtained in quantitative yield by glycosylation of tetra-*O*-acetylarabinose with 2,6-diazidopurine. Azide-tetrazole equilibrium of azidopurine nucleosides was observed earlier[1], however, we found no evidence about presence of tetrazole form for **1a-b**. Physical properties of diazides **1a-b** will be discussed.

Diazides **1a-b** undergo Cu(I) catalyzed 1,3-dipolar cycloaddition reaction (*click* reaction) with terminal alkynes. *Click* reaction has found a variety of applications in nucleoside chemistry[2], however, synthesis of 2,6-bis-(1,2,3-triazolyl)-nucleoside derivatives have not been described so far.

In reactions of diazides **1a-b** with terminal alkynes we obtained series of ditriazolyl-derivatives of *ribo*- and *arabino*-nucleosides (**2a-b**). Various reaction conditions were investigated and will be discussed. We obtained compounds **2a-b** in moderate (35-65%) yields and isolated reaction side products: 2-triazolyl-6-amino- and 2-triazolyl-6-azidopurine nucleoside derivatives.

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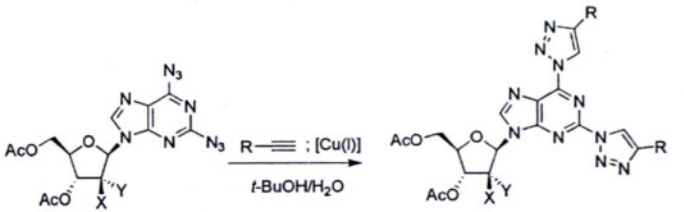
2. Amblard, F.; Cho, J. H.; Schinazi, R. F. *Chem.Rev.* **2009**, *109*, 4207-4220, and references cited therein.



1a X=OAc, Y=H
1b X=H, Y=OAc

2a X=OAc, Y=H
2b X=H, Y=OAc

R = alkyl-, aryl-, cycloalkyl-, oxyalkyl-, acyloxyalkyl-