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Faculty of Material Science and Applied Chemistry

## ABSTRACTS

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# New Approach to the Synthesis of Triazolyl Purine Nucleosides

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## INTRODUCTION

Modified purine derivatives are broadly used as anticancer and antiviral drugs [1]. They have found significant applications also as agonists and antagonists of adenosine receptors [2].

Since 2002, many different nucleoside and nucleotide derivatives containing 1,2,3-triazolyl moiety have been synthesised and investigated. Nevertheless, only a few literature reports deal with either 2- or 6-(1,2,3-triazol-1-yl)-purine nucleosides [3]. In 2013, we developed the process of synthesis of new *N*<sup>6</sup>-substituted-2-triazolyl adenine derivatives [4].

## RESULTS AND DISCUSSION

A straightforward way to the synthesis of 2,6-bis-(1,2,3-triazol-1-yl)-purine nucleosides **2** (Figure 1) has been developed from 2,6-diazidopurine nucleosides and various terminal alkynes (for example, phenylacetylene, 4-bromophenylacetylene, 4-*tert*-butylphenylacetylene, 2-methyl-3-butyne-2-ol and others).

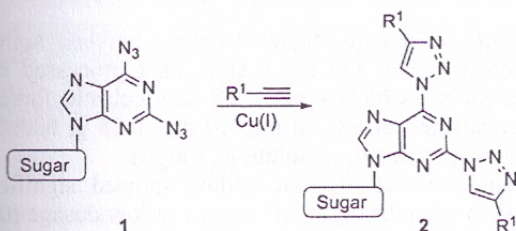


Figure 1. General synthesis of bis-triazolyl purine nucleosides **2**

We have discovered that triazolyl moiety at C(6) of the purine base undergoes a facile heteroaromatic nucleophilic substitution with variety of *N*- and *S*-nucleophiles. The substitution at C(6) position was proven by X-ray analysis (Figure 2).

Recently, we have discovered that in the reaction between 2,6-diazidopurine nucleoside **1** and some *S*-nucleophile we obtain C(2) substituted product **3** and the following “click” reaction gives triazolyl moiety in C(6)

position of purine ring. The study of this approach is still in progress.

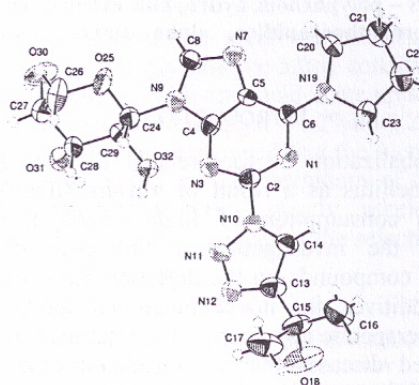


Figure 2. X-ray of 9-( $\alpha$ -D-arabinopyranosyl)-2-(4-(2-hydroxypropan-2-yl)-1H-1,2,3-triazol-1-yl)-6-(pyrrolidin-1-yl)-9H-purine

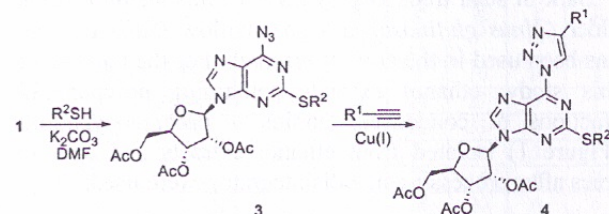


Figure 3. New approach to the synthesis of 6-triazolyl purine derivatives **4**

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