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ABSTRACTS

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Contents

Purine Nucleoside Platform for Azide-Alkyne Cycloaddition Reactions

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Keywords **– Purine nucleoside, *deoxyribo*-nucleoside, *click* chemistry.**

I. Introduction

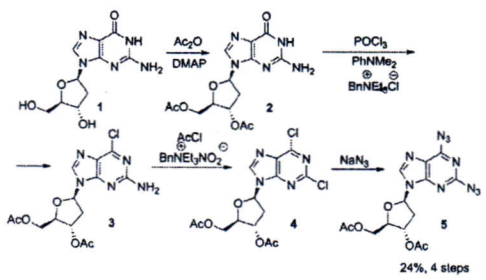
Antiviral and anticancer activity are among the most interesting features observed for some purine nucleosides. The triazolyl- monosubstituted derivatives have shown similar activity [1]. Due to the lack of knowledge about 2,6-bistriazolyl purine nucleosdies, our group is exploring the synthesis and properties of compounds in *ribo*-, *deoxyribo*- and *arabino*- series [2, 3].

To prepare the bistriazolyl compounds via Cu(I) catalysed azide-alkyne cycloaddition (*Click* chemistry), corresponding azides are used. In this article two methods for the synthesis of the key intermediate 2,6-diazidopurine deoxyribonucleoside are reported.

II. Results and Discussion

A. Transformations of Deoxyguanosine

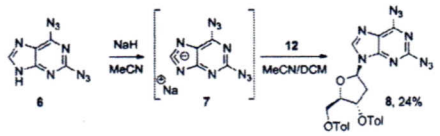
First we tried a four-step synthesis from deoxyguanosine **1**, as shown in Scheme 1. 2,6-Dichloropurine derivative **4** [4] was obtained and reacted with NaN_3 to give **5**. The overall yield in 4 steps was 24%.



Scheme 1. Synthesis of 2,6-Diazidopurine Deoxynucleoside from Deoxyguanosine

B. The Glycosylation of 2,6-Diazidopurine

The second attempt was the glycosylation reaction between sodium salt of 2,6-diazidopurine **6** and furanosyl chloride **12** (Scheme 2). The sodium salt **7** was prepared in dry acetonitrile at 0 °C and afterwards reacted with chlorosugar **12** [5]. After column chromatography and crystallisation the product **8** was isolated in 24 % yield. The structure was approved by X-ray analysis (Figure 1).



Scheme 2. Synthesis of 2,6-Diazidopurine Deoxynucleoside in Glycosylation Reaction

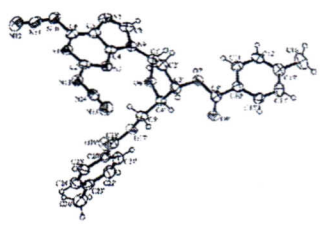
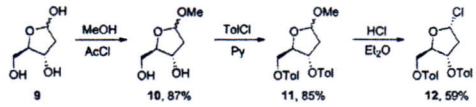


Figure 1. X-Ray Image of the Glycosylation Product **8**

Furanosyl chloride **12** was prepared from 2'-deoxy-D-ribose **9** according to Scheme 3 [6].



Scheme 3. Preparation of Glycosyl Chloride

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