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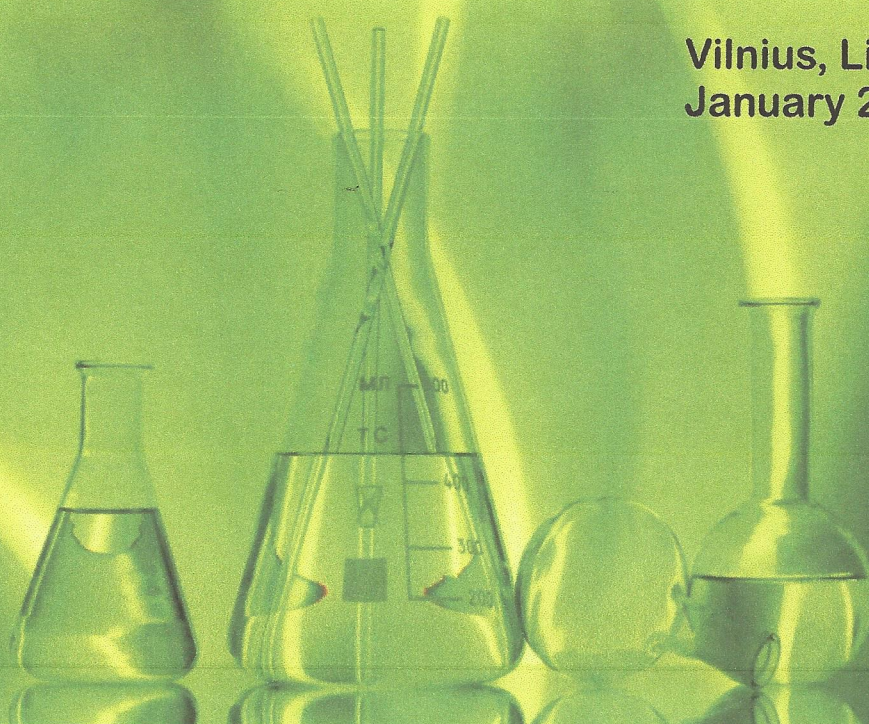
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on His 80th Birth Anniversary

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SYNTHESIS AND APPLICATIONS OF 2- AND 6-ALKYL/ARYLTHIOPURINE DERIVATIVES

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Synthesis of novel triazolylpurine nucleosides is constantly developing field because of the wide spectrum of their biological activity [1]. In 2013, the synthesis of 2,6-bis-triazolylpurine nucleosides and their applications in the nucleophilic heteroaromatic substitution reactions were described [2].

Here we report the use of 2,6-bis-triazolylpurine nucleoside **1** and 2,6-diazidopurine nucleoside **3** in the nucleophilic aromatic substitution reactions with different arylthiols. When the S_NAr reactions between bistriazole **1** and different arylthiols were made, C(6)-substituted products **2a-c** were obtained. While nucleophilic substitution reactions between diazide **3** and different arylthiols gave the mixture of possible products **4** and **5** which were subsequently subjected to 1,3-dipolar cycloaddition reaction and two differently substituted products **6** and **7** were obtained. For example, when 4-*t*-BuC₆H₄SH, K₂CO₃ and DMF were used in the S_NAr reaction followed by click reaction between intermediates **4** and **5** and phenylacetylene, products **6** and **7** were obtained in 19 and 33% yield, respectively. Interestingly, when *tert*-butylhydroquinone was added to the nucleophilic reaction between diazide **3** and 4-*t*-BuC₆H₄SH, subsequent click reaction gave products **6** and **7** in 2 and 61% yield. The comparison of chemical reactivity between bistriazole **1** and diazide **3** and different arylthiols is underway in our laboratory.

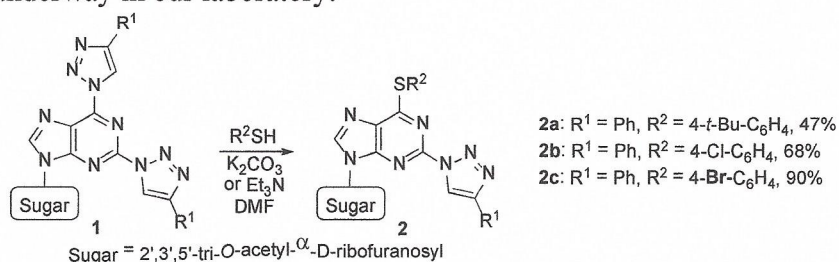


Fig. 1. Synthesis scheme of nucleosides **2**

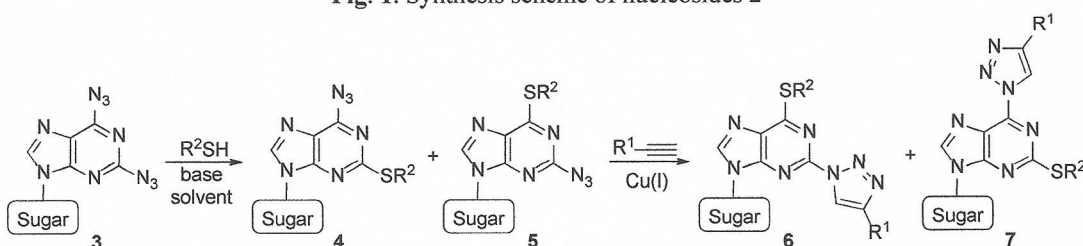


Fig. 2. Synthesis scheme of derivatives **6** and **7**

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