

October 28-29, 2021
Riga, Latvia

12th Paul Walden Symposium on Organic Chemistry



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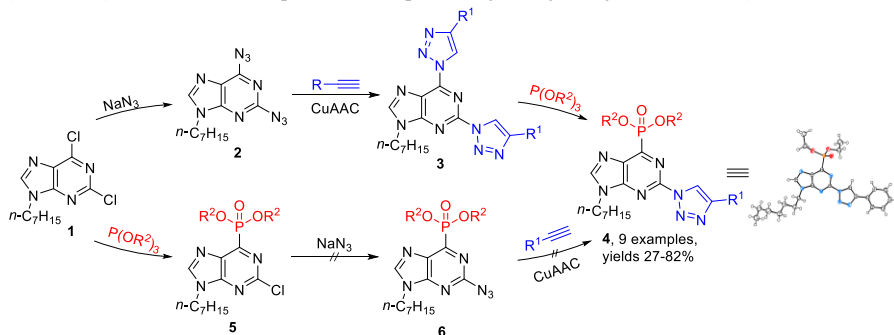
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1,2,3-Triazoles as Leaving Groups in S_NAr–Arbuzov Reactions: Synthesis of C6-Phosphonated Purine Derivatives

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Purine derivatives are widely studied due to their biological activity. They are used as anticancer and antiviral drugs, and as agonists and antagonists of adenosine receptors.¹ In our studies we proved that 1,2,3-triazoles can be used as leaving groups in S_NAr reactions with N-, S-, O-, C-nucleophiles.²⁻⁴ In this research we obtained 2,6-bis(triazolyl)purine derivatives **3** in CuAAC between diazide **2** and different alkyl-/arylalkynes and used them in reactions with phosphites, obtaining 2-triazolylpurine phosphonates **4** in yields up to 82%. Alternative pathway which starts with S_NAr–Arbuzov reaction on 2,6-dichloropurine **1**, yields phosphonates **5** and involves next S_NAr with NaN₃ and subsequent CuAAC did not give the desired products **4** (Scheme 1). Structure of compound **4** was proved by X-ray analysis (Scheme 1).⁵



Scheme 1. Synthesis of C6 purine phosphonate derivatives **4**.

Supervisor: Dr. chem. I. Novosjolova

Acknowledgements

This work was supported by the Latvian Council of Science grant LZP-2018/2-0037.

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