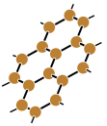
RIGA TECHNICAL UNIVERSITY

61st International Scientific Conference

"MATERIALS SCIENCE AND APPLIED CHEMISTRY 2020"



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Synthesis of 2-triazolyl purine C6 phosphonates in S_NAr-Arbuzov reaction

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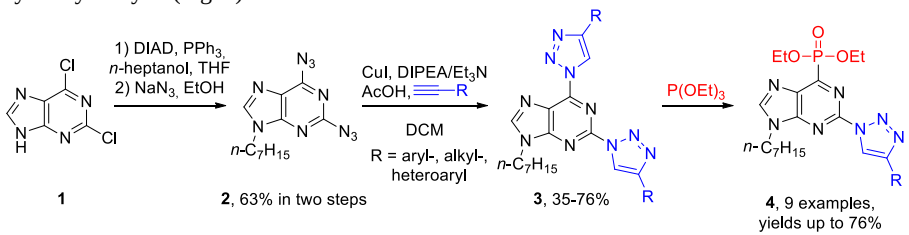
Purines and their derivatives show wide spectra of biological activities. They are widely used as antiviral and anticancer drugs. From the literature it is known that modification with phosphonate[1] and triazolyl[2] moieties could lead to novel class of biologically active compounds.

To obtain the target phosphonate derivatives, firstly 2,6-diazidopurine **2** was obtained using a sequence of Mitsunobu and S_NAr reactions. Then 2,6-bis-1,2,3-triazolylpurine derivatives **3** were synthesized via copper(I) catalysed azide-alkyne cycloaddtion (CuAAC) between diazide **2** and different alkyl/aryl/heteroaryl alkynes. Finally, 2-triazolyl C6 phosphonates **4** were obtained in S_NAr-Arbuzov type reaction between bistriazoles **3** and $P(OEt)_3$, using triazolyl ring at C6 position of purine as a good leaving group[3] (Scheme 1). The structure of compound **4** was proved by X-ray analysis (Fig. 1).



Scheme 1. Synthesis of 2-Triazolyl Purine C6 phosphonates **4**.

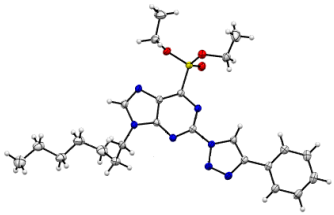


Figure 1. X-ray structure of diethyl (9-heptyl-2-(4-phenyl-1*H*-1,2,3-triazol-1-yl)-9*H*-purin-6-yl) phosphonate.

Acknowledgements

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