

11th Paul Walden Symposium on Organic Chemistry

PROGRAM AND ABSTRACT BOOK

Riga, Latvia
19-20 September, 2019

<http://walden.lv/>

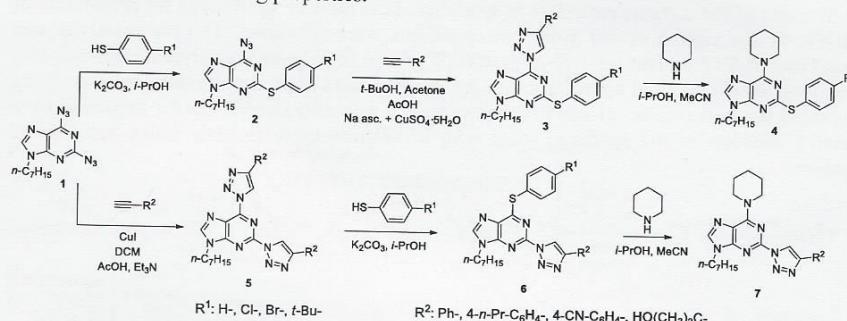
Arylthiols in S_NAr Reactions with 2,6-Diazidopurine Derivatives

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Purine and thiopurine derivatives are widely studied due to their broad spectrum of biological activity. Some of them have already been used as an effective tool in the treatment of cancer and autoimmune disorders.¹

2,6-Diazidopurine substrate **1** has been proven to be a valuable starting material in the synthesis of new arylthiopurine derivatives. Nucleophilic substitution with thiophenols can be mainly observed at C2 position of purine, providing 2-arylthio-6-azidopurine derivatives **2** with yields up to 74% (Scheme 1).² In solution these compounds exist in azide-tetrazole equilibrium which is dependent on several factors, such as temperature, solvent polarity and substituent electron donating properties.



Scheme 1. Synthesis of arylthiopurine derivatives and their further modification.

Further CuAAC reaction and different sequence of the reactions led to 2-arylthio-6-triazolyl- and 6-arylthio-2-triazolylpurine derivatives **3** and **6**. Regioselectivity of obtained products was confirmed by NMR and UV absorbance data. Despite the location of triazolyl- and thiogroups following nucleophilic substitution with piperidine was observed regioselectively at C6 position of purine (products **4** and **7**).

Supervisors: Dr. chem. Ē. Bizdēna, Dr. chem. I. Novosjolova

Acknowledgements

This work was supported by the Latvian Council of Science grant No LZP-2018/2-0037.

References

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