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ABSTRACT BOOK

P23 - Modification of purine base: S_NAr reactions of bistriazolylpurines and purine ring opening

1. Nucleoside Chemistry

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2,6-Bistriazolylpurine derivatives are useful moieties for S_NAr reactions with different nucleophiles. In our study we have developed mild conditions for S_NAr reactions with O, C, P and Se nucleophiles, using triazolyl ring at C6 position of purine as a good leaving group. Primary and secondary alcohols as O-nucleophiles were used for nucleophilic substitution reactions, giving products up to 85% yield [1]. Malonitrile, dimedone, ethyl cyanoacetate and diethyl malonate were used as C-nucleophiles in the presence of NaH for the introduction of C-C bounded substituent at C6 position of purine [1]. C6- phosphonated 2-triazolylpurine derivatives were obtained in S_NAr-Arbuzov type reaction between bistriazolylpurines and alkyl phosphites up to 82% yield [2]. 6-Selanyl-2-triazolylpurine nucleosides were obtained in yields up to 82%, using alkyl/aryl diselenides in the presence of reducing agent.

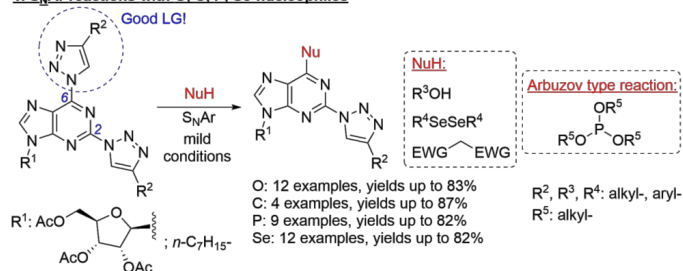
Purine derivatives can be opened at both pyrimidine and imidazole rings [3]. In our study azido group can be used as regioselectivity switch in S_NAr reactions of purines, due to the presence of azido-tetrazolo equilibrium. Tetrazole formed at C6 position of purine can direct the nucleophile towards an attack at C2 position. Based on this equilibrium and used optimized conditions pyrimidine ring of purine was opened, giving imidazolyl-tetrazole derivatives.

The synthetic routes towards C6-substituted 2-triazolylpurine nucleosides, C2 substituted purine derivatives and purine ring opening products will be discussed.

Selected references

1. Cīrule, D.; Novosjolova, I.; Bizdēna, Ē.; Turks, M. *Beilstein J. Org. Chem.*, **2021**, *17*, 410–419.
2. Kriķis, K.-Ē.; Novosjolova, I.; Mishnev, A.; Turks, M. *Beilstein J. Org. Chem.*, **2021**, *17*, 193–202.
3. Leškovskis, K.; Zaķis, J. M.; Novosjolova, I.; Turks, M. *Eur. J. Org. Chem.*, **2021**, *2021*, 36, 5027–5052.

1. S_NAr reactions with O, C, P, Se-nucleophiles



2. Purine ring opening

