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Program and Abstracts

EFFICIENT SYNTHESIS OF 6-AMINO-2-TRIAZOLYL PURINE ARABINONUCLEOSIDES VIA 2,6-DITRIAZOLYL DERIVATIVES AND FLUORESCENT PROPERTIES THEREOF

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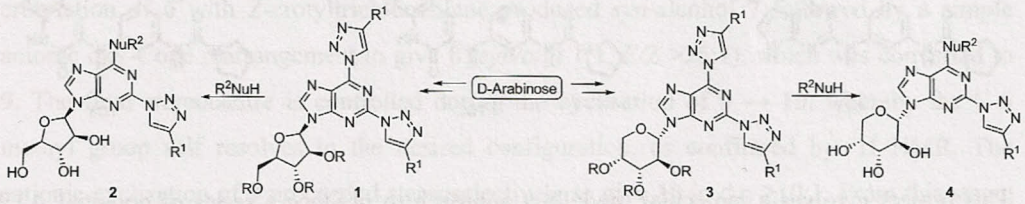
Application of copper catalyzed azide-alkyne 1,3-dipolar cycloaddition (CuAAC) in nucleoside, nucleotide and oligonucleotide chemistry was recently reviewed.[1] Since 2002, many different nucleoside and nucleotide derivatives containing 1,2,3-triazolyl moiety were synthesized and investigated. Nevertheless, only few literature report deal with either 2- or 6-(1,2,3-triazol-1-yl)purine nucleosides.[2]

The aim of this study was to develop synthetic methodologies towards different types of novel (1,2,3-triazolyl)purine nucleosides, including those substituted with two triazolyl moieties, and to study their chemical reactivity, fluorescence properties and biological activity.



2,6-Di-(1,2,3-triazolyl)purine arabinonucleosides (R^1 = phenyl, butyl, pentyl, hexyl, hydroxymethyl, acetoxymethyl, 1-hydroxycyclohexyl, 2-hydroxypropan-2-yl) **1** and **3** were obtained from diazido derivatives via CuACC reaction. Then, nucleophile-substituted nucleoside-triazole derivatives **2** and **4** were synthesized applying reactions with different nucleophiles. Both *N*- and *S*-nucleophiles (R^2NuH = methylamine, dimethylamine, pyrrolidine, piperidine, 1,1-dimethylhydrazine, undecane-1-thiol). Fluorescence properties of the nucleophilic substitution products **2** and **4** were studied in THF, MeCN, DMSO and water.

1. Amblard, F.; Cho, J. H.; Schinazi, R. F. *Chem. Rev.* **2009**, *109*, 4207-4220.
2. a) Cosyn, L.; Palaniappan, K. K.; Kim, S-K.; Duong, H. T.; Gao, Z-G.; Jacobson, K. A.; Van Calenbergh, S. *J. Med. Chem.* **2006**, *49*, 7373-7383; b) Lakshman, M. K.; Singh, M. K.; Parrish, D.; Balachandran, R.; Day, B. W. *J. Org. Chem.* **2010**, *75*, 2461-2473.