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Program and Abstracts

A NOVEL METHOD FOR C(6)-DERIVATIZATION OF PURINE RIBONUCLEOSIDES

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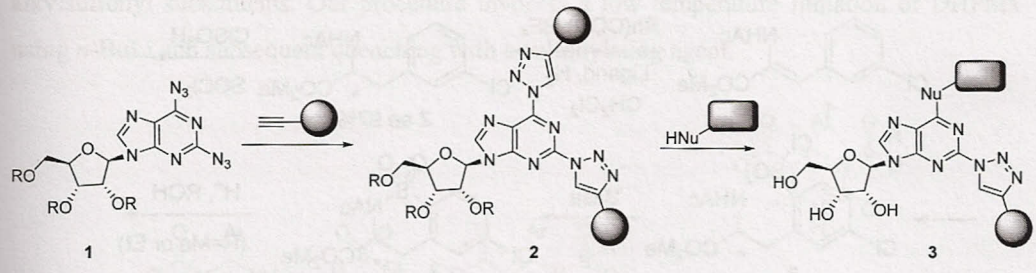
Purine nucleoside analogues play important role in modern antiviral and antitumor therapy.[1] Several C(6)-susbtituted purine derivatives have been synthesized in last years. Ribo- and deoxyribonucleoside analogs containing 2- or 6-(1,2,3-triazolyl)purines were described recently.[2] To the best of our knowledge, the ditriazolylnucleoside moieties for the synthesis of C(6)-purine derivatives have not been studied yet.



Click reaction was explored to synthesize series of 2,6-ditriazolylpurine ribonucleosides **2** from the corresponding 2,6-diazidopurine derivatives **1**. These intermediates have been exposed to various *N*- and *S*-nucleophiles. For example, the nucleophilic aromatic substitutions at C(6) with methyl- and dimethylamine, pyrrolidine, piperidine and other amines proceed smoothly at ambient temperature in water, water-THF or water-MeCN. Reaction times varied from 30 min to 2 h. Acetyl protecting groups were simultaneously removed by addition of these low molecular weight amines. On other hand, dipropylamine and morpholine required longer reaction times and elevated (40-50 °C) temperatures, and deprotection of monosaccharide was carried out separately with NH_3/EtOH or CH_3NH_2/H_2O. All obtained C(6)-substited 2-triazolylpurine derivatives demonstrated fluorescent properties.

1. Lagisetty, P.; Russon, L. M.; Lakshman, M. K. *Angew. Chem. Int. Ed.* **2006**, *45*, 3660-3663 and references therein.

2. Cosyn, L.; Palaniappan, K. K.; Kim, S.-K.; Duong, H. T.; Gao, Z.-G., Jacobson, K. A.; Calenbergh, S. V. *J. Med. Chem.* **2006**, *49*, 7373-7383.