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Synthesis and Properties of New Purine Nucleoside Analogs

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Nucleosides play central role in variety of biological processes. Therefore ability to modify nucleosides for novel applications in biochemistry, biology and medicine is of great importance. Modification of nucleosides has resulted in a wide range of pharmacologically important substances. High interest in developing methods for synthesis of C6 purine derivatives during last two decades is due to their application as adenosine receptor agonists or antagonists.[1,2]

We report here a new approach to synthesis of 6-*N*-substituted *arabino*-adenosine derivatives. 1,2,3-Triazol-1-yl analogues of N^6-methyladenosine have been described earlier, some of them exhibit high selectivity and affinity toward adenosine A_3 receptors.[1]

2,6-Bis-(1,2,3-triazol-1-yl)-purine *arabino*-nucleosides **1** we obtained in Cu(I) catalysed 1,3-dipolar cycloaddition reaction from 2,6-diazidopurine nucleoside and terminal alkynes. We observed that 6-(1,2,3-triazolyl) moiety in **1** easy underwent S_NAr reaction with various nucleophyles. It promted us to investigate reactions of **1** with amines in order to obtain 6-*N*-substituted arabinoadenosine derivatives.

Reactions of **1** with ammonia and secondary amines proceed smoothly in water solution at ambient or elevated (30-40 °C) temperature. Simultaneously deprotection of acetyl groups takes place. After evaporation of mixture *in vacuo*, **2** were purified by recrystallisation or silica gel column chromatography and isolated in 80-90% yield. Products **2** are water soluble, which is important for testing their biological activity. Properties of compounds **2**, among them fluorescence, will be discussed.

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1. Cosyn, L.; Palaniappan, K. K.; Kim, S-K.; Duong, H. T.; Gao, Z-G.; Jacobson, pK. A.; Calenbergh, S. V. *J. Med. Chem.* **2006**, 49, 7373-7383.
2. Mathew, S. C.; By, Y.; Berthault, A.; Virolleaud, M-A.; Carrega L.; *et al. Org. Biomol. Chem.* **2010**, 8, 3874-3881.