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CONFERENCE PROCEEDINGS

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2,6-BIS-TRIAZOLYL PURINE *DEOXYRIBO*-NUCLEOSIDES AND THEIR REACTIONS WITH *N*-NUCLEOPHILES

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The synthesis of substances analogous to naturally occuring nucleosides has proven itself as an important tool in preparation of biologically active compounds. In last years, after the introduction of Cu(I) catalyzed azide-alkyne cycloaddition reaction (the *Click* reaction), the incorporation of triazole cycle has become more convenient in nucleoside chemistry. It has been shown that triazole-modified purine nucleosides have a broad range of biological effects including antiviral and anticancer activities[1]. To continue our previous efforts on chemistry of bis-triazolyl purine *ribo*- and *arabino*-nucleosides we report here the synthesis of the novel bis-triazolyl purine *deoxyribo*-nucleosides.

Click reactions on diazido purine derivative **1** were performed in *t*-BuOH/H_2O solutions with addition of a small amount of acetic acid. Alkyne was used in 4- to 5-fold molar excess. To obtain the Cu(I) source in reaction media and maintain its catalytic properties, several portions containing 5 mol% of $CuSO_4 \cdot 5H_2O$ and 10 mol% of sodium L-ascorbate were added during reaction. After work-up procedure (silica-gel column chromatography), the bistriazoles **2a-f** were obtained in 35-70% yields.

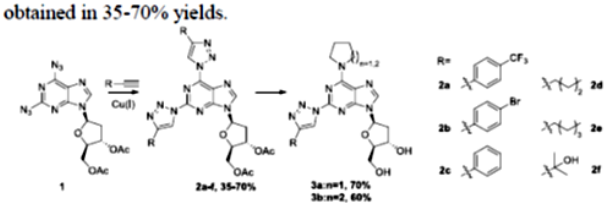

Fig. 1. Bis-triazolyl purine *deoxyribo*-nucleosides **2a-f** and their reactions with *N*-nucleophiles.

Next, we have tried heteroaromatic nucleophilic substitution reactions. Bis-triazoles **2a** and **2b** were reacted with either pyrrolidine or piperidine in THF/water solutions to give products **3a** and **3b** in 60-70% yield, which posses fluorescent properties.

References

1. F. Amblard, J. H. Cho, R. F. Schinazi. Chem. Rev. 2009, 109, 4207-4227 and references cited therein.