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SYNTHETIC APPLICATIONS OF 2,6-DIAZIDOPURINE NUCLEOSIDES LEADING TO MODIFIED TRIAZOLYLPURINE DERIVATIVES

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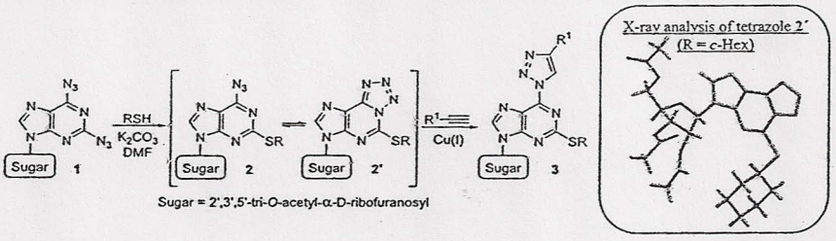
Synthesis and biological activity studies of triazolylpurine nucleosides is a constantly evolving field.[1] Recently, the synthesis of 2,6-bistriazolylpurine nucleosides and their applications in the aromatic nucleophilic substitution reactions were described.[2] Here we report the use of 2,6 -diazidopurine nucleoside 1 in the nucleophilic aromatic substitution reactions with different *S* -nucleophiles. The regioselectivity of these reactions depend on the nature of the *S*-nucleophile. When the S_NAr reaction between the diazide 1 and cyclohexanethiol was made, the C(2)-substitution product 2 (R = *c*-Hex) was obtained. It exists as a mixture of two tautomeric forms 2 : 2' = 78% : 22% ($CDCl_3$). The structure of tetrazole tautomer was unambiguously proved by X-ray diffraction analysis. The obtained intermediates of type 2 were further subjected to 1,3-dipolar cycloaddition reactions to obtain a novel type of purine-triazole conjugates 3 that are interesting structures in terms of medicinal chemistry.



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