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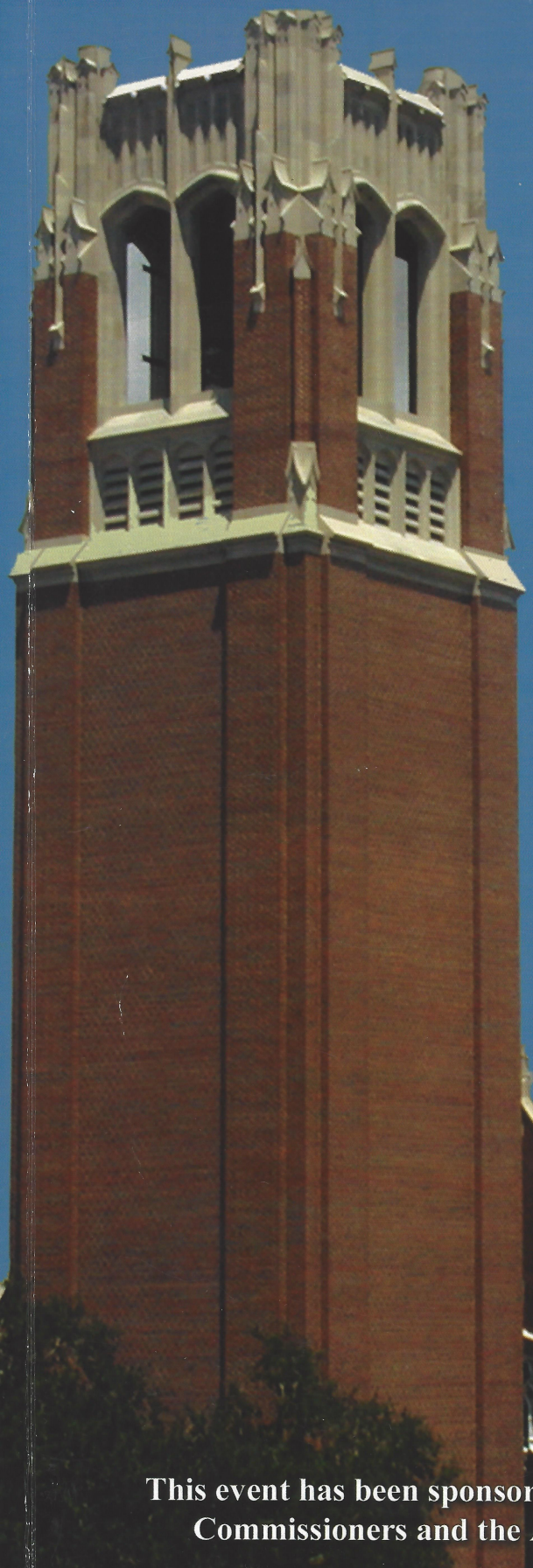

FloHet-2015 FLORIDA HETEROCYCLIC AND SYNTHETIC CONFERENCE

March 1st - March 4th, 2015

Organized by ARKAT USA, Inc.

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Triazolylpurine Nucleosides: Synthetic Approaches and Biological Activity

Triazolylpurine Nucleosides: Synthetic Approaches and Biological Activity

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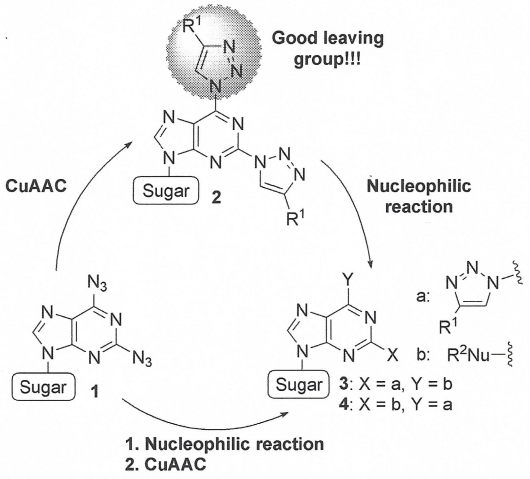
A novel method for the synthesis of C(2) and C(6) modified purine nucleoside analogues has been developed. Firstly, we used 1,3-dipolar cycloaddition reactions between 2,6-diazidopurine nucleosides **1** and different terminal alkynes, forming bis-triazolylderivatives **2**, which have subsequently been substituted with different *N*- and *S*-nucleophiles, forming monotriazolyl compounds **3**. Secondly, the nucleophilic substitution reactions between 2,6-diazidopurine nucleosides **1** and different nucleophiles and subsequent CuAAC reactions were made, resulting in products **3** and **4**. The regioselectivity of these reactions depends on the nature of the nucleophile. Thereby, the reactivity between bis-triazolylpurine nucleosides **2** and 2,6-diazidopurine nucleosides **1** were compared. In the result, 2,6-bis-triazolylpurine derivatives **2** are faster reacting in the nucleophilic substitutions.



The obtained triazolyl purine nucleosides **2-4** were tested for their activity against Chikungunya virus, murine Norovirus, enterovirus 71 and yellow fever virus. Their cytotoxicity also was examined.

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