Book of Abstracts

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A Convenient Route to Isomeric Tetrazoloquinazolines

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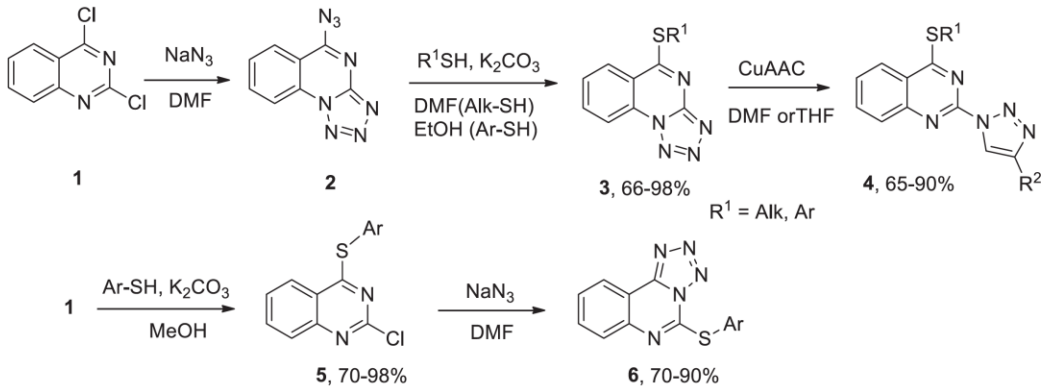
Quinazoline is an important structural motiff frequently occuring in natural products and in synthetic molecules with diverse pharmacological properties, such as antimicrobial, anticancer, anticonvulsant, anti-malarial and other activities. Interesting objects for synthesis and pharmacological screening are tetrazole derivatives Among their fused analogues there are a various biologically active substances. Extensive studies on design, synthesis and evaluation of biological activity of quinazolines were provided in the last decade. Potent microbial and antitumor agents were found among *S*-alkylated tetrazolo[1,5-c]quinazoline-5-thione derivatives.[1]

Previously we reported that nucleophilic aromatic substitution of 5-azidotetrazolo[1,5-a]quinazoline (**2**) with *N*-nucleophiles proceeds with high C(5) selectivity. For the first time the molecular structure of 5-(piperidin-1-yl)tetrazolo[1,5-a]quinazoline was proved by X-ray diffraction analysis. We have also shown that the obtained 5-amino derivatives undergo CuAAC reaction with terminal alkynes.[2]

Similarly the reactions of **2** proceed with alkyl- and arylthiols to give **3** with good yields. The structure of 5-(decylthio)tetrazolo[1,5-a]quinazoline was proved by X-ray diffraction analysis. CuAAC reaction of **3** with terminal alkynes gave 1,2,3-triazolyl derivatives **4** (**Scheme 1**). We have also found that starting material **2** is prone to reduction, and substantial amounts of products containing free amino group were obtained when **2** was treated with Cu(I) or arenethiols.

We developed also a convenient method for synthesis of 5-(arylthio)tetrazolo[1,5-c]quinazoline derivatives **6**. Series of **6** were obtained in reaction of 2-chloro-4-arylthioquinazolines **5** with sodium azide. Arenethiol appears to be a good leaving group, its application for the synthesis of novel quinazoline derivatives with interesting properties will be discussed.



Scheme 1: The synthesis of thioquinazoline derivatives.

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Synthesis and Photophysical Properties of *N*(9)-Alkylated 2,6-Substituted Purine Derivatives

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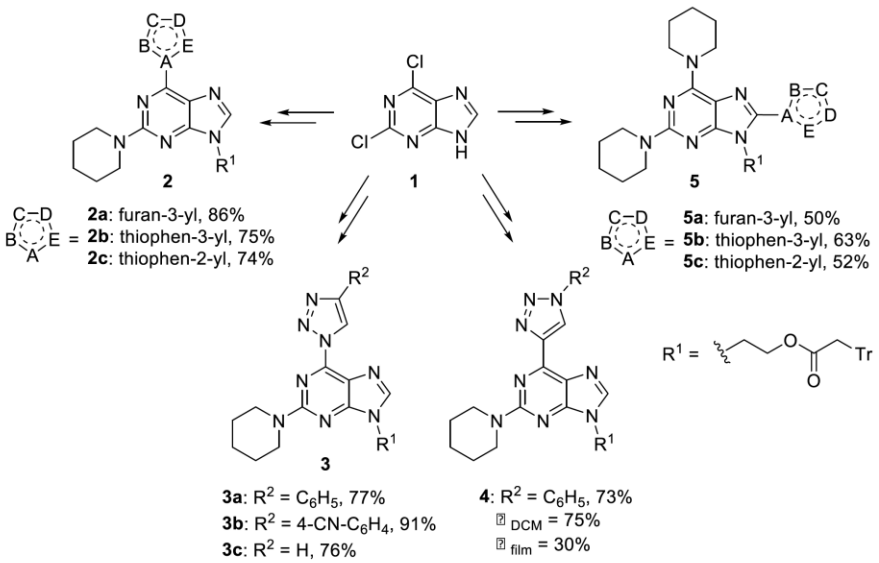
Fluorescent derivatives can be potentially used in organic light-emitting diodes, biosensors and cell imaging.[1] Recently we developed synthesis of *N*(9)-alkylated 2/6-amino-6/2-triazolylpurine derivatives and studied their photophysical properties.[2]

The main purpose of this study was to design and synthesize new fluorescent purine derivatives with amorphous properties and to investigate their potential applications in the OLED technology. For this purpose, we have introduced different five-membered heterocycles and piperidine at *C*(6)/*C*(2)/*C*(8) positions of purine and different amorphous groups at *N*(9) position. Compounds **2** and **5** were obtained using furan-3-yl, thiophen-2-yl and thiophen-3-yl boronic acid derivatives via Suzuki-Miyaura conditions. Previously developed combinations of S_NAr and CuAAC reactions[2] were used for the synthesis of compounds **3**. Combination of S_NAr, Sonogashira and CuAAC reactions led to compounds **4** where the triazolyl ring is attached to purine core via C-C bond and thus has higher chemical stability. The final products were obtained in 50–91% yields. Photophysical properties of the obtained products were studied both in the solution and in the film and will be discussed further.



Scheme 1: General synthetic route for target compounds **2-5**.

Acknowledgements: This work is supported by the ERDF 1.1.1.1. activity project Nr. 1.1.1.1/16/A/131.

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