ISOCS-26

26th INTERNATIONAL SYMPOSIUM ON ORGANIC CHEMISTRY OF SULFUR

24-29 AUGUST 2014

ISTANBUL, TURKEY

ISOCS-26

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PROGRAMME

TÜBİTAK

ISOCS-26
INT ERNATIONAL SYMPOSIUM ON ORGANIC CHEMISTRY OF SULFUR
24-29 August, Istanbul, TURKEY

SYMPOSIUM PROGRAMME

Day 1: August 24th, 2014 Sunday

10:00 – 18:30	Registration (ITU Suleyman Demirel Cultural Center Atrium)
18:30 - 20:00	Welcome Reception at Suleyman Demirel Cultural Center

Day 2: August 25th, 2014 Monday

08:30– 09:30	Registration & Welcome Coffee/Tea (ITU Suleyman Demirel Cultural Center Atrium)	
09:30 – 10:00	**OPENING CEREMONY (Conference Hall) Prof. Dr. Turan OZTURK & Prof. Dr. Richard Glass**	
10:00-10:45	**SESSION 1 (Conference Hall)**	
	Session Chair : Dr. Clemens LAMBERTH	
10:00-10:45	Prof. Dr. Lary OVERMAN Deparment of Chemistry, University of California, Irvine U.S.A	Synthesis of Epipolythiodiketopiperazine Natural Products and Simple Analogs Having Promising Antitumor Activity
	10:45 - 11:00 Coffee/Tea Break	
11:00-12:10	**SESSION 2 (Conference Hall)**	
	SESSION Chair :Prof. Dr. Kei GOTO	
11:00 – 11:30	Prof. Dr.Satoshi OGAWA Department of Chemistry and Bioengineering, Faculty of Engineering, Iwate University, Morioka 020-8551, Japan	A comparative study of the electronic property of a series of 2,4,6-tri(5-aryl-2-thienyl)-1,3,5-triazines controlled by the electronic nature of the aryl groups
11:30 – 11:50	Ms. Irina NOVOSJOLOVA Faculty of Material Science and Applied Chemistry, Riga Technical University, Riga Latvia	Synthesis of Novel 2- and 6-Alkyl/Arylthiopurine Derivatives
11:50 – 12:10	Ms.Marta RUSEK Medical University of Lublin, Dept. of Pathophysiology, Medical University, Lublin, POLAND	Defect in the Intramolecular and Intermolecular Cross-linking of Collagen Caused by Hcy-thiolactone
	12:10 - 13:20 LUNCH	
13:20 – 14:30	**SESSION 3 (Conference Hall)**	
	Session Chair :Prof. Dr.Ahmet M. ONAL	
13:20 – 13:50	Prof. Dr. Yusuf YAGCI Istanbul Technical University, Chemistry Deparment TURKEY	Unconventional Sulfur Chemistries for Macromolecular Syntheses
13:50 - 14:10	Prof. Dr. Michio IWAOKA Department of Chemistry, School of Science, Tokai University, Kitakaname, Hiratsuka-shi JAPAN	Seeking Chalcogen Bonds in Proteins
14:10 - 14:30	Prof. Dr. Yahia Nasser Mabkhoot Department of Chemistry, College of Science, King Saud University, SAUDI ARABIA	Synthesis, Crystal Structure and POM Analyses of ß-Glucuronidase Inhibiton Activity of Substituted Thieno[2,3-b]thiophene Derivatives
	14:30 - 14:50 Coffee/Tea Break	
14:50 – 16:00	**SESSION 4 (Conference Hall)**	
	Session Chair :Prof. Dr.Lucia PASQUATO	
14:50 – 15:20	Prof. Dr. Jeffrey Pyun Department of Chemistry, University of Arizona, U.S.A	Polymerizations With Elemental Sulfur: Novel Polymeric Materials For Sustainability, Energy and Defense
15:20 – 15:40	Ms.Xinrui Zhou State Key Laboratory of Fine Chemicals, Dalian University of Technology CHINA	Catalytic Oxygenation of Dibenzothiophenes to Sulfones Based on Fe^{III} Porphyrin Complex and Fe^{III} phthalocyanine
15:40-16:00	Dr. Luca SANCINETO Dipartimento di Scienze Farmaceutiche, Universita'di Perugia ITALY	Design and Synthesis of Diselenides Derivatives as ANTI-HIV Agents

POSTER SESSION A (Click to View)

16:00-17.00	SESSION A.1	SESSION A.2	SESSION A.3:
	Atrium 1st. Floor	Atrium 1st. Floor	Atrium 1st Floor
	Advanced Materials and Nanotechnology	Synthesis	Catalysis

OP1 SYNTHESIS OF NOVEL 2- AND 6-ALKYL/ARYLTHIOPURINE DERIVATIVES

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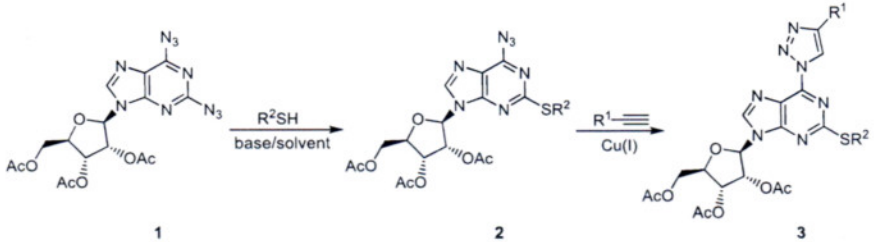
The importance of modified thiopurine derivatives in the treatment of cancers and autoimmune disorders is widely recognized.[1] In 2013, we have introduced bis-triazolyl purine moiety as useful intermediate for facile substitution with various *N*- and *S*-nucleophiles.[2]

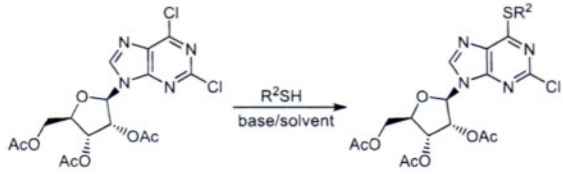
Thus, we decided to compare the chemical reactivity between 2,6-diazidopurine, 2,6-bis-triazolylpurine and 2,6-dichloropurine nucleosides in reactions with different *S*-nucleophiles. Only few literature reports deal with nucleophilic aromatic substitution reactions with thiols on 2,6-dichloropurine and 2,6-diazidopurine derivatives **1** and **4** till now.[3]

We have studied S_NAr approach on the 2,6-diazidopurine derivative **1** with dodecanethiol.[2] Surprisingly, we have obtained the products with opposite regioselectivity (general formula **2**) which exist in two tautomeric forms - azide and tetrazole. With azidopurine derivatives **2** in hand, we proceeded to the synthesis of the corresponding purine-triazole conjugates. The obtained products **3** differ from the previously obtained substances which were synthesized in the direct process from bis-triazolyl starting materials.



1 **2** **3**

Despite the fact that reactions of 2,6-dichloropurine nucleosides with some *S*-nucleophiles are known, they were poorly studied before. To fill this gap we synthesized some 6-alkyl/arylthiopurine derivatives **5** from starting materials **4** and aliphatic, cycloaliphatic and aromatic thiols. In this process substitution occurred in C(6) purine position, according to the literature.[3]



4 **5**

5a: $SR^2 = C_6H_5CH_2S$, 59%
5b: $SR^2 = CH_3(CH_2)_{11}S$, 88%
5c: SR^2 = 4-Cl-C_6H_4-S, 98%
5d: SR^2 = BuS, 94%
5e: SR^2 = 4-Br-C_6H_4-S, 79%

We have compared the reactivity of 2,6-bis-triazolylpurine, 2,6-dichloropurine and 2,6-diazidopurine nucleosides in the nucleophilic aromatic substitution reactions with thiols. In this way various 2- and 6-alkyl/arylthiopurine derivatives are available for further applications in medicinal chemistry which will be discussed in details.

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[1] Fotoohi, A. K.; Coulthard, S. A.; Albertioni, F. *Biochem. Pharmacol.* **2010**, *79*, 1211.

[2] a) Kovaļovs, A.; Novosjolova, I.; Bizdēna, Ē.; Bižāne, I.; Skardziute, L.; Kazlauskas, K.; Jursenas, S.; Turks, M. *Tetrahedron Lett.* **2013**, *54*, 850; b) Novosjolova, I.; Bizdēna, Ē.; Turks, M. *Tetrahedron Lett.* **2013**, *54*, 6557.

[3] a) Pütz, C.; Sundermann, B.; Sundermann, C.; Ijzermann, A.; Tromp, R.; von Frijtag Drabbe Kuenzel, J. EP1352910A1, Grünenthal GmbH; b) Zhong, M.; Nowak, I.; Cannon, J. F.; Robins, M. J. *J. Org. Chem.* **2006**, *71*, 4216.