

German-Polish-Baltic Conference on Organic Chemistry

Hamburg, 15th-19th May 2018, Book of Abstracts

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	Natural Product Chemistry II Chair: Slawomir Jarosz, Warsaw
14:00- 14:30	Roderich Süßmuth , Berlin, Keynote Lecture: <i>Ribosomal and Non-ribosomal Peptides from Bacteria and Fungi – Structural and</i> <i>Biosynthetic Aspects</i>
14:30- 14:40	Daniel Lücke et al., Hannover: Total Synthesis of Pericoannosin A
14:40- 14:50	Jan Rinkel et al., Bonn: Labelling Studies on CYP-catalysed Terpene Oxidations
14:50- 15:00	Janina Meyer et al., Hannover: Syntheses of Carolactone Derivatives as Highly Potent Biofilm Inhibitors
15:00- 15:20	Katarzyna Duda , Borstel, Invited Lecture: Lipids from Pollen: what are the Structures Behind Neglected Players in the Allergic Airway Inflammation
15:20- 15:30	Grete Hoffmann et al., Münster: Short and Protecting Group free Approach to t(-)-∆8-THC-Motif: Synthesis of THC- Analogues, (-)-Machaeriol B and D
15:30- 15:40	Kinga Kuczynska et al., Warsaw: The Transformation of Betulin Core
15:40- 16:10	Sabine Laschat, Stuttgart, Invited Lecture: Adventures and Detours in the Synthesis of Macrolides and Cembranoids
16:10- 16:40	Coffee break
	Various Topics in Organic Chemistry Chair: Thomas Hackl, Hamburg
16:40- 17:10	Various Topics in Organic ChemistryChair: Thomas Hackl, HamburgMaris Turks, Riga, Keynote Lecture:Fluorescent Triazolyl Purines and their Nucleoside Congeners
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Fluorescent Triazolyl Purines and their Nucleoside Congeners

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Keywords: purines, azoles, S_NAr reactions

Azolylpurines and azolylpurine nucleosides have important medicinal and biological applications.[1] We have developed a novel approach for the synthesis of C(2) and C(6) modified purines and purine nucleoside analogues of type **3** containing 1,2,3-triazolyl substituents.[2,3] The method uses 2,6-diazidopurine derivatives **1** as the key starting materials. The latter can be transformed into novel structural entities – 2,6-bis(1,2,3-triazol-1-yl)purine derivatives **2** – including nucleoside analogs. It was found that 1,2,3-triazolyl substituent acts as excellent leaving group and permits nucleophilic aromatic substitution ($2 \rightarrow 3$) (Fig. 1). Thus, regioselective S_NAr reactions with various nucleophiles like amines,[2,4] thiols,[3] amino acids and peptides,[5] hydrazines, anilines, alcohols and deprotonated C-H acids are possible for compounds **2** at C(6).

Further investigations lead to the use of diazide **1** as a substrate for S_NAr reactions. Depending on the nature of N(9) substituent (Q), the incoming nucleophile and the experimental conditions, selectivity towards differently substituted compounds **4** and **5** can be achieved. This is mainly determined by azide-tetrazole tautomerism **1-A** \leftrightarrow **1-T**. We have found that 2-(1,2,3-triazolyl)adenine/adenosine analogs **3** (Nu = NY₂) and their regioisomers **6** possess excellent fluorescent properties. Compounds **3** and **6** can be applied both for fluorescent oligonucleotide synthesis[4] and for OLED technologies. Moreover, the developed chemistry permits synthesis of novel purine conjugates containing 5-membered heterocycles at C(2) (compounds of type **7**).



Fig. 1. Azidopurines and (1,2,3-triazol-1-yl)purines as substrates in S_NAr reactions.

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