ISSN 1407-7353 print
ISSN 2255-8713 online

ANNO 1862

Scientific Journal of Riga Technical University
Rīgas Tehniskās universitātes zinātniskie raksti

MATERIAL SCIENCE AND APPLIED CHEMISTRY
MATERIĀLZINĀTNE UN LIETIŠĶĀ ĶĪMIJA

2015 / 31

Editor-in-Chief Mārcis Dzenis

RTU PRESS
Riga 2015

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ISBN 978-9934-10-692-7

Material Science and Applied Chemistry is a peer-reviewed scientific journal.
Materiālzinātne un lietišķā ķīmija ir recenzētais zinātniskais rakstu krājums.

Abstracted&indexed: *Chemical Abstracts, EBSCO, ProQuest* and *VINITI* databases.
Atreferēts un indeksēts: *Chemical Abstracts, EBSCO, ProQuest* un *VINITI* datu bāzēs.

Published by RTU Press, Riga Technical University, 1 Kalku street, Riga, LV-1658, Latvia
Printed by RTU Printing House

B4

Synthesis of New Fluorescent 9-Alkyl-2-(1,2,3-triazol-1-yl) Adenine Analogs

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INTRODUCTION

Fluorescent purine derivatives are useful as sensors and reporters for biological applications. In recent years new purine derivatives with improved photophysical properties have been synthesized and investigated [1]–[2]. On the other hand, acyclic nucleoside phosphonates represent catabolically stable nucleoside analogs with a variety of antiviral properties [3]. The synthesis of fluorescent 6-amino 2-triazolyl derivatives of purine nucleosides was reported by our group earlier [4].

RESULTS AND DISCUSSIONS

In this research a new synthetic strategy towards fluorescent purine derivatives was developed. As the starting material we used commercially available 2,6-dichloro-9*H*-purine (**1**). Alkylation of **1** at *N*(9) with different alkyl halides or alcohols, such as triethylene glycol *C*-phosphonate (Mitsunobu reaction) gave 9-alkylated purines **2**. In reaction of **2** with sodium azide 2,6-diazidopurines **3** were obtained. Further, two different routes towards **6** were possible. In the first method azido group at *C*(6) was replaced by different amines. The second step was copper (I) catalyzed azide-alkyne 1,3-dipolar cycloaddition (CuAAC) with different terminal alkynes using sodium ascorbate and copper (II) sulfate as catalyst generating system. In the second method amination and CuAAC were made *vice versa*. Triazole formations proceeded at 65 °C – 90 °C in DMF. Overall yield (**1**→**6**) was 20 % – 35 %.

Derivatives **6** exhibit strong fluorescence with emission maxima around 430 nm and quantum yields up to 50 % (reference: quinine sulfate in 0.1 M H_2SO_4).

In conclusion, two new synthetic routes towards **6** were developed. A novel acyclic nucleoside *C*-phosphonate ester **6f** was obtained. The properties of compounds **6a-f** and synthesis of the related compounds are under investigation and will be discussed.

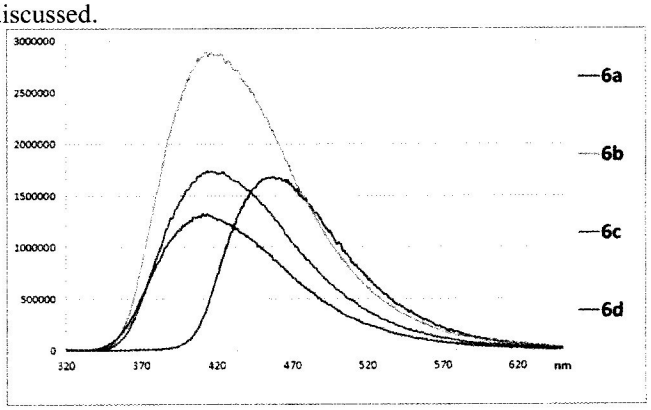


Fig. 1. Emission spectra for selected 9-alkyl-6-amino-2-triazolylpurines **6** (for **6a-d** NR^3R^4=piperidin-1-yl).

Supervisor: Dr. chem. Ērika Bizdēna

ACKNOWLEDGEMENT

Authors thank JSC *Olainfarm* for scholarships. The work was supported by Latvian-Lithuanian-Taiwanese co-project No 2015/2.

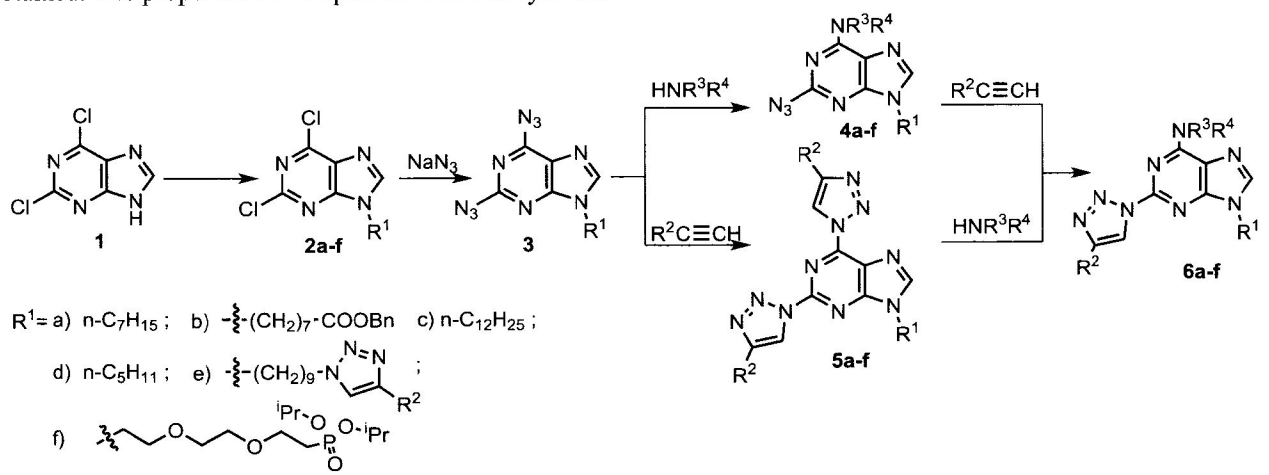
REFERENCES

[1] Dyrager, C.; Bõrjesson, K.; Dinér, P.; Elf, A.; Albinsson, B.; Wilhelmsson, L.M.; Grøtli M. *Eur. J. Org. Chem.* 2009, 1515-1521.

[2] Yang, Y.; Cohn, P.; Dyer, A.L.; Eom, S-H.; Reynolds, J.R.; Astellano, R.K.; Xue, *J. Chem. Mater.* 2010, 22, 3580-3582.

[3] De Clercq, E. *Antiviral Research* 2007, 75, 1-13.

[4] Kovaļovs, A.; Novosjolova, I.; Bizdēna, Ē.; Bižāne, I.; Skardziute, L.; Kazlauskas, K.; Jursenas, S.; Turks, M. *Tetrahedron Lett.* 2013, 54, 850-853.



Scheme 1. Synthesis of 9-alkyl-2-(1,2,3-triazol-yl) adenine analogs