

13th BLUE DANUBE SYMPOSIUM ON
HETEROCYCLIC CHEMISTRY

ADDENDA (pp. I–XI)

LIST OF ABSTRACTS (ADDENDA)

ABSTRACTS OF PAPERS (ADDENDA)

LIST OF AUTHORS (ADDENDA)

BLED, SEPTEMBER 20-23, 2009
SLOVENIA

LIST OF POSTERS (ADDENDA)

PO-85

Olga V. Serdyuk, Kristina V. Bosikova, Mickhail G. Totikov and Vladimir T. Abaev
PHENACYLFURANS VIA AROMATIC RADICAL SUBSTITUTION
UNDER FENTON CONDITIONS

PO-86

Zbyněk Hasník, Michal Hocek
SYNTHESIS OF (PURINE-6-YL)ACETATES AND THEIR
TRANSFORMATIONS

Abstracts of
POSTERS (ADDENDA)

PHENACYLFURANS VIA AROMATIC RADICAL SUBSTITUTION UNDER FENTON CONDITIONS

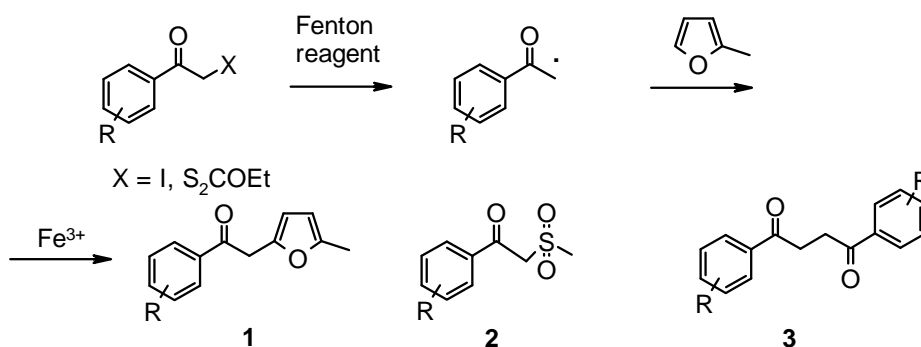
Olga V. Serdyuk^a, Kristina V. Bosikova^b, Mikhail G. Totikov^b and Vladimir T. Abaev^b

^a Department of Chemistry, Southern Federal University, Rostov-on-Don 344090, Russian Federation

^b North-Ossetian State University, Vladikavkaz 362025, Russian Federation

Phenacylfurans are the class of furan derivatives attractive as substrates in the intramolecular cyclization reactions affecting furan ring. This methodology for the synthesis of benzannulated heterocycles emerged within last decade. Although a few examples of the synthesis of target molecules exist, most of them are too specific, requiring not readily available reagents or reaction conditions. In attempt to find out a general method of their synthesis we reasoned that aromatic radical substitution reactions can serve as a good alternative to classical electrophilic substitution or organometallic approaches.

Progress in the field of aromatic radical substitution to the great extent aroused as a result of the elaboration of convenient methods of free radicals generation. Up to date a few reports on the radical alkylation of five membered aromatic heterocycles including furan under Zard conditions (xanthate/ dilauroyl peroxide/ substrate) [1], Fenton conditions (halide/ H₂O₂/ DMSO/ FeSO₄•7H₂O/ substrate) [2, 3] and triethylboron aerobic oxidation [4] were disclosed. Taking in mind close resemblance of carbalkoxymethyl and phenacyl radicals we studied radical phenacylation of 2-methylfuran both with phenacyl iodides and phenacyl xanthates under Fenton conditions. It's appeared that the main reaction pathway includes the formation of the corresponding phenacylfurans. In all cases the formation of varying quantities of phenacylmethylsulphones **2** and 1,4-diketones **3** was also observed. Although the yields of this transformation are in the range of moderate to good, cheap and readily available starting materials, very simple and scalable procedure render this reaction into a method of choice for the synthesis of the title compounds **1**.



[1] S. Z. Zard, *Angew. Chem. Int. Ed. Engl.*, **1997**, 36, 672-685.

[2] E. Baciocchi, E. Muraglia, G. Sleiter, *J. Org. Chem.*, **1992**, 57, 6817-6820.

[3] F. Loiseau, J-M. Simone, D. Carcache, P. Bobal, R. Neier, *Monatsh. für Chemie*, **2007**, 138, 121-129.

[4] E. Baciocchi, E. Muraglia, *Tetrahedron Lett.*, **1993**, 34, 5015-5018.

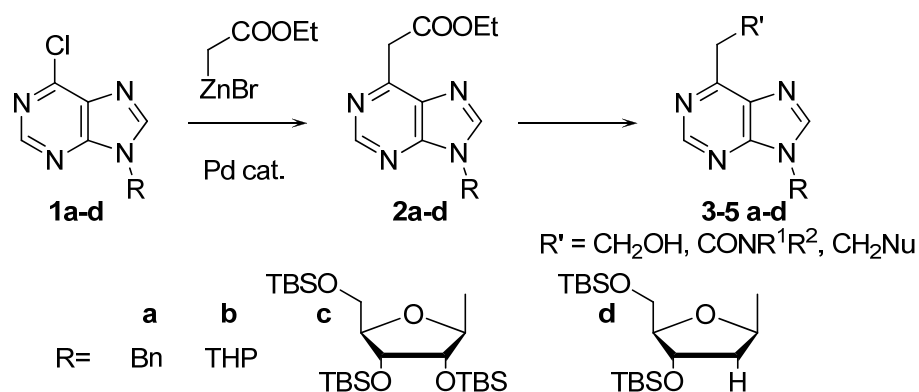
SYNTHESIS OF (PURINE-6-YL)ACETATES AND THEIR TRANSFORMATIONS

Zbyněk Hasník, Michal Hocek

Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic, Gilead Sciences & IOCB Research Centre; Flemingovo nám. 2, 166 10, Prague, Czech Republic

Several types of purines bearing C-substituents at position 6 are an important class of compounds possessing biological effects including cytostatic^[1], antiviral^[2] and antimicrobial^[3] activity. Little was known about biological activity of purines bearing functionalized C-substituents until recently we have developed methods of preparation purines with α - and β -derivated alkyl chains^[4].

A novel approach to the synthesis of (purin-6-yl)acetates **2a-d** was developed based on Pd-catalysed cross-coupling reactions of 6-chloropurines **1a-d** with the Reformatsky reagent^[5]. These intermediates were converted into diverse products by functional group transformations. Amides **3a-d** were prepared by amidation of ester group with amines, 6-(hydroxyethyl)purines **4a-d** by reduction with NaBH₄, and various β -substituted 6-ethylpurines **5a,d** by mesylation of 6-(hydroxyethyl)purines and subsequent nucleophilic substitutions.



Scheme 1 – Synthesis and transformations of (purine-6-yl)acetates **2a-d**

This work is a part of the research project Z4 055 0506. It was supported by the “Centre for New Antivirals and Antineoplastics” (1M0508), by the Programme of Targeted Projects of Academy of Sciences of the Czech Republic (1QS400550501) and by Gilead Sciences, Inc. (Foster City, CA, U. S. A.).

- [1] Hocek, M.; Holý, A.; Votruba, I.; Dvořáková, H. *Collect. Czech. Chem. Commun.* **2001**, *66*, 483–499.
 [2] Hocek, M.; Nauš, P.; Pohl, R.; Votruba, I.; Furman, P. A.; Tharnish, P. M.; Otto, M. *J. Med. Chem.* **2005**, *48*, 5869–5873.
 [3] Chang, L. C. W.; Spanjersberg, R. F.; von Frijtag Drabbe Kunzel, J. K.; Mulder-Krieger, T.; Brussee, J.; Izerman, A. P. *J. Med. Chem.* **2006**, *49*, 2861–2867.
 [4] (a) Šilhár, P.; Pohl, R.; Votruba, I.; Hocek, M. *Org. Lett.* **2004**, *6*, 3225–3228; (b) Kuchař, M.; Hocek, M.; Pohl, R.; Votruba, I.; Shih, I.-h.; Mabery, E.; Mackman, R. *Bioorg. Med. Chem.* **2008**, *16*, 1400–1424.
 (a) Hasník, Z.; Šilhár, P.; Hocek, M. *Tetrahedron Lett.* **2007**, *48*, 5589–5592; (b) Hasník, Z.; Pohl, R.; Klepetářová, B.; Hocek, M. *Collect. Czech. Chem. Commun.* **2009**, *in press*.

LIST OF AUTHORS (ADDENDA)

A

Abaev V. T. **OC-1**, PO-85

B

Bosikova K. V. PO-85

H

Hasník Z. **PO-86**

Hocek M. PO-3, **PO-15**, PO-73, PO-86

S

Serdyuk O. V. **PO-85**

T

Totikov M. G. PO-85