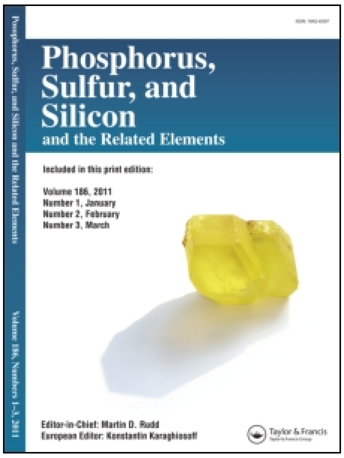


Phosphorus, Sulfur, and Silicon and the Related Elements
Publication details, including instructions for authors and subscription information:
http://www.informaworld.com/smpp/title~content=t713618290

Synthesis of Thiazolium Substituted gem-Bisphosphonates and Investigation of Some their Properties

Miron Lozinsky[a]; A. Chuiko[a]; Pawel Kafarski[b]; I. Jasicka-misiak[b]; L. Filonenko[a]; A. Borisevich[a]
[a] Institute of Organic Chemistry NASU, Kyiv, Ukraine [b] Institute of Organic Chemistry, Biochem. and Biotechn.; Technical University of Wroclaw, Wroclaw, Poland

To cite this Article Lozinsky, Miron , Chuiko, A. , Kafarski, Pawel , Jasicka-misiak, I. , Filonenko, L. and Borisevich, A.(1999) 'Synthesis of Thiazolium Substituted gem-Bisphosphonates and Investigation of Some their Properties', Phosphorus, Sulfur, and Silicon and the Related Elements, 147: 1, 241

To link to this Article: DOI: 10.1080/10426509908053601

URL: http://dx.doi.org/10.1080/10426509908053601

Phosphorus, Sulfur and Silicon, 1999, Vol. 147, pp. 241-241
Reprints available directly from the publisher
Photocopying permitted by license only

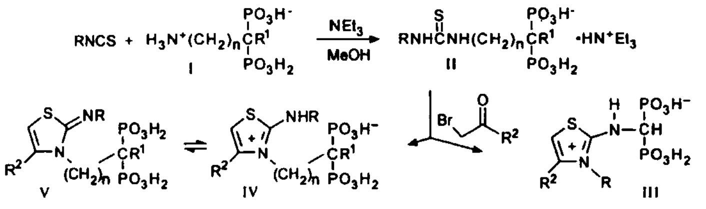
Synthesis of Thiazolium Substituted gem-Bisphosphonates and Investigation of Some their Properties

MIRON LOZINSKY[a], A. CHUIKO[a], PAWEL KAFARSKI[b], I. JASICKA-MISIAK[b], L. FILONENKO[a] and A. BORISEVICH[a]

[a]*Institute of Organic Chemistry NASU. Murmanskaya Str. 5, Kyiv 253660, UKRAINE and* [b]*Institute of Organic Chemistry, Biochem. and Biotechn.; Technical University of Wroclaw, Wybrzeze Wyspianskiego 27, 50–370 Wroclaw, POLAND*

Keywords: bisphosphonates; thiazoles; phosphonic acids

In the course of our investigation on aminobisphosphonic acids we have developed the synthesis of thioureidoalkylidene- (II) and aminothiazole-1,1-bisphosphonic acids (III, IV):



We have established that thioureas (II) by the reaction of Hantzsch with α-bromoketones yielded the thiazolium substituted bisphosphonates (III) or (IV) depending on the nature of the substituents R and the steric hindrance. As a rule only less hindered nitrogen atom is involved into the cyclization with formation of one from two of possible isomers. Compounds (IV) are formed if R=Ar and n>0. Compounds (III) are formed if $R=R^3CH_2$- and n=0. In the case when R=Ar and n=0 mixture of both (III) and (IV) forms. Reaction of sterically hindered thioureas (II) with n=0 and R=Adamantyl- or *tert*-Bu- with bromoacetone leads to thiazole (III) also. But reactions with bromoacetophenones stop before dehydratation step on thiazolines in these cases.

Obtained thiazoliumalkylidene-1,1-bisphosphonic acids are high-melting, crystalline substances insoluble in usual organic solvents and soluble in water in the presence of alkali or amines. On the screening we have established that some ureas (II) and thiazoliums (III, IV) possessed the active growth-regulating, antistressing and fungicide properties.

References

[1] Alexey Chuiko, Ludmila Filonenko, Anatoly Borisevich, Miron Lozinsky, *Phosphorus, Sulfur, and Silicon,* **111,** 65 (1996).
[2] A.L. Chuiko, L.P. Filonenko, A.N. Borisevich, M.O. Lozinsky, *Zh. Obsch. Chim. (russ),* **61,** 2552 (1991).