Under microwave irradiation conditions obtained tetrasubstituted imidazolidines incur aza-Claisen rearrangement with the nine-membered allene formation, which than immediately undergoes nucleophilic attack of the nitrogen atom on to the central carbon atom of the allene fragment. Followed oxidation of double electron-enriched bond by atmospheric oxygen finishes domino process by tetrasubstituted pyrrole forming.

In conclusion, new in-one-pot domino route to polysubstituted pyrroles from easily available 2-imidazolines and electron-deficient alkynes via 3,3-sigmatropic rearrangement/nucleophilic attack/oxidation has been demonstrated.

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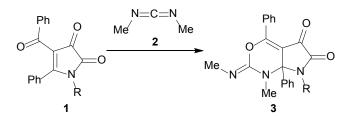
E. E. Stepanova, E. V. Khokhlova, E. A. Lystsova, A. N. Maslivets

Perm State University, 614990, Russia, Perm, Bukireva St., 15, koh2@psu.ru

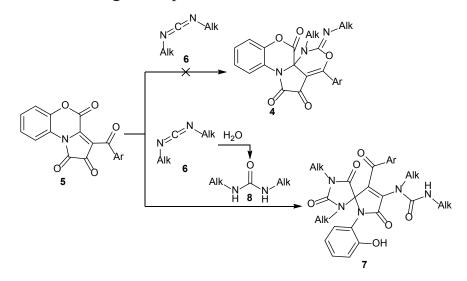
REACTION OF 3-AROYLPYRROLO[2,1-*c***][1,4]BENZOXAZINE-1,2,4-TRIONES WITH CARBODIIMIDES***

Keywords: carbodiimide, Chapman rearrangement, 1*H*-pyrrole-2,3-dione, spiroheterocycles, spiroheterocyclization.

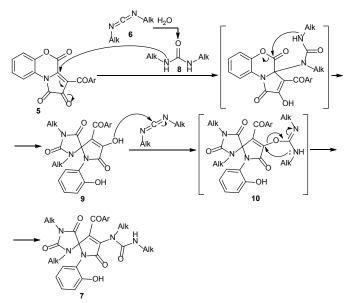
4-Benzoyl-5-phenyl-1*H*-pyrrole-2,3-diones **1** are known to readily undergo a [4+2]-cycloaddition reaction with dimethylcarbodiimide **2** to afford pharmaceutically interesting pyrrolo[2,3-d][1,3]oxazines **3** [1].



In order to obtain compounds 4, tetracyclic analogs of pyrrolo[2,3-d][1,3] oxazines 3, we investigated reaction of 3-aroylpyrrolo[2,1-c][1,4]benzoxazine-1,2,4-triones 5 [2, 3] with dialkylcarbodiimides 6. As a result, spiroheterocycles 7 were obtained instead of the target compounds 4.



We assume that compounds 7 were formed in several stages. The first stage was the hydrolysis of dialkylcarbodiimides 6 affording dialkylureas 8. Then, dialkylureas 8 attacked on C^{3a} and C^4 atoms of compounds 5 to form spirocompounds 9. Next, spirocompounds 9 reacted with the excess of dialkylcarbodiimides 6 to result in isourea derivatives 10. The last stage was Chapman rearrangement of isourea derivatives 10 to compounds 7. This hypothesis was proved by the alternative synthesis of compounds 7 by the stepwise subsequent reaction of compounds 5 with dialkyureas 8 and dialkylcarbodiimides 6.



Compounds 7, 9 were examined for antibacterial activity against ESKAPE pathogens. Some compounds were found to be active against *Acinetobacter baumannii* and *Candida albicans*. Antimicrobial screening was performed by CO-ADD (The Community for Antimicrobial Drug Discovery), funded by the Wellcome Trust (UK) and The University of Queensland (Australia).

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M. P. Stukalova¹, A. N. Fedorov², Yu. G. Trishin³

 ¹Peter the Great St. Petersburg Polytechnic University, High School of Biotechnology and Food Technology, 19402, Russia, St. Petersburg, Novorossiyskaya St., 4, ²All-Russian Institute of Plant Protection, 196608, Russia, St. Petersburg – Pushkin, Podbelsky Highway, 3,
³Saint-Petersburg State University of Industrial Technologies and Design, Higher School of Technology and Energetics, 198095, Russia, St. Petersburg, I. Chernykh St., 4, moamasha18@gmail.com

SYNTHESIS OF (3,28-DIACETYLLUP-20(29)-EN-30-YL)EUGENOL

Keywords: eugenol, betulin, natural compounds, biological activity.

Natural compounds play an important role in development of new pharmaceuticals. Biologically active compounds from renewable plant sources serve as a target structure for different synthetic approaches to be applied. As a result of these chemical modifications a large variety of substances with valuable properties has been synthesized. The list of natural products being researched is limited according to several criteria: the compound should be simply isolated from