## 4-CHLORO-1-ETHYL-1*H*-2,1-BENZOTHIAZINE-3-CARBALDEHYDE 2,2-DIOXIDE IN SYNTHESIS OF 2-AMINO-4*H*-PYRANS

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**Introduction.** 2-Amino-4*H*-pyrans represent famous class of biologically active compounds. Our previous investigations have been dedicated to exploring 1-ethyl-1*H*-2,1-benzothiazin-4-(3*H*)-one 2,2-dioxide reactivity in the reaction with aldehydes and active methylene nitriles. In most cases this interaction resulted into condensed 2-amino-4*H*-pyrans. Formylation of the benzothiazine under the Vilsmeier–Haack reaction conditions gave 4-chloro-1-ethyl-1*H*-2,1-benzothiazine-3-carbaldehyde 2,2-dioxide. Application of the latter as aldehyde component in the abovementioned reaction might lead to 2-amino-4*H*-pyrans containing 1*H*-2,1-benzothiazine 2,2-dioxide core in the position 4.

**Aim.** To study the interaction of 4-chloro-1-ethyl-1*H*-2,1-benzothiazine-3-carbaldehyde 2,2-dioxide with malononitrile and carbonyl compounds aiming to synthesize 2-amino-4*H*-pyrans □-linked to 1*H*-2,1-benzothiazine 2,2-dioxide core.

**Materials and methods.** 4-Chloro-1-ethyl-1*H*-2,1-benzothiazine-3-carbaldehyde 2,2-dioxide, malononitrile and series of compounds containing $CH_2CO$ moiety were used in the research as starting materials. While carrying out the research standard methods of organic synthesis were applied.

**Results and discussion.** It was found out that the three-component reaction (way 1) of 4-chloro-1-ethyl-1*H*-2,1-benzothiazine-3-carbaldehyde 2,2-dioxide 1 with malononitrile 2 and carbonyl compounds 3 in most cases yielded 2-amino-*4H*-pyran-3-carbonitriles 5. Unexpected outcome of the reaction occurred when 3-methyl-1*H*-pyrazol-5(4*H*)-one was utilized and compound 6 comprising novel condensed heterocyclic system of 7,10-dihydro-5*H*-benzo[*c*]pyrazolo[4',3':5,6]pyrano[2,3-*e*][1,2]thiazine 6,6-dioxide was isolated. It was also applied two-component format (way 2) towards 5 and 6 which turned out to be more convenient.

**Conclusions.** Series of 2-amino-4*H*-pyrans □-linked to 1*H*-2,1-benzothiazine 2,2-dioxide core was obtained. New product type of the reaction was isolated.

## AMINOMETHYLQUINOLONES: A PROMISING CLASS OF NEW PSYCHOACTIVE SUBSTANCES

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**Introduction.** Our research focused on the class of new biologically active molecules – 3-aminomethylsubstituted 2-methylquinolin-4-ones. Initially, aminomethylquinolones were synthesized in National University of Pharmacy and considered as potential psychotropic agents because of their certain structural similarity with molecule of 5-hydroxytryptamine (5-HT).

**Aim.** Present study was undertaken to implement the *in vivo* profiling of psycho- and neurotropic properties of new 3-(N-R,R'-aminomethyl)-2-methyl-1H-quinolin-4-ones and reveal the possible «structure-activity relationships» (SAR) features for these derivatives.

**Materials and methods.** 3-(N-R,R'-Aminomethyl)-2-methyl-1H-quinolin-4-ones was synthesized from 2-methyl-1H-quinolin-4-one *via* aminomethylation and further interaction of the Mannich base obtained with the corresponding amines. N-Benzoylated derivatives were obtained by acylation of 2-methyl-3-(phenylaminomethyl)-1H-quinolin-4-one with benzoyl chloride or *o*-chlorobenzoyl chloride in the appropriate conditions. The identity of the compounds synthesized was confirmed by 1H-NMR spectroscopy.