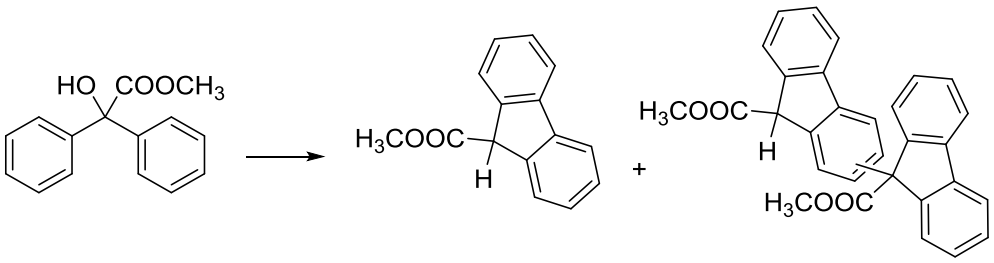
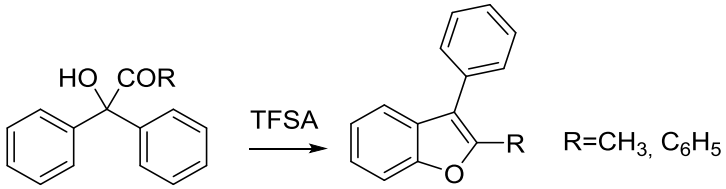


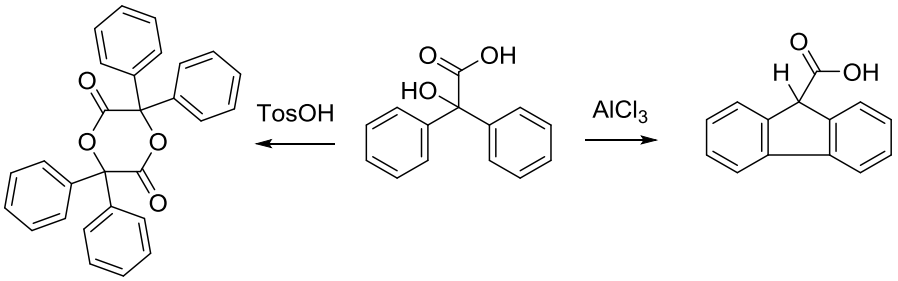
Scheme 3

TFSA is an effective catalyst for synthesis of 2,3-disubstituted benzofuranes using benzoyl- or acetyldiphenylmethanol as starting compounds (Scheme 4).



Scheme 4

Benzylic acid in the presence of aluminium chloride gives fluorene-9-carboxylic acid with almost quantitative yield. When boiled in xylene with *p*-toluenesulfonic acid benzylic acid gives benzylide (benzylic acid lactide) in place of fluorene derivatives (Scheme 5).



Scheme 5

Conclusions. Literature data show that benzylic acid can give various products depending on conditions applied. Analysis performed is useful for planning synthetic approaches towards novel bioactive compounds starting from benzylic acid.

INVESTIGATIONS ABOUT SYNTHESIS AND ANALYSIS IN THE RANGE OF POTENTIAL ANALGESICS

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Introduction. Probably, from ancient times, the problem of pain, more precisely, its relief, worried people. One careless movement - and acute traumatic pain can affect a healthy body. Or chronic pain, which over time suppresses, suppresses all vital functions of the body, and requires relief. On the one hand, pain is a sign that something is wrong in the human body that requires attention. On the other hand, the pain itself can cause very serious complications of the course of certain diseases. Traumatic, acute or prolonged pain can cause functional changes in peripheral and central nociceptive neurons, which can lead to sensitization, structural modification and prolonged potentiation.

On the other hand, pain is a sign that something is broken in the body: the more pain, the more dysfunctional, and the body signals that something is going wrong. Thus, the issue of reducing and

suppressing the signs of pain remains one of the interests of physicians today. And, accordingly, this is a matter of great interest to synthetic chemists, pharmacists, clinical specialists, and ordinary patients, which are finally the most important group of consumers.

Aim. The development of scheme of synthesis in the range of N-hetaryl-4-methyl-2,2-dioxo-1H-2$^{\lambda}$6,1-benzothiazine-3-carboxylic acid derivative, elaboration of methods for confirmation their quality and elaboration of techniques for their quantification, applied for purposes of pharmaceutical analysis.

Materials and methods. N, N-carbonyldiimidazole, 4-methyl-2,2-dioxo-1H-2 of 6,1-benzothiazine-3-carboxylic acid, dimethylformamide, derivatives of hetarylalkylamine, physico-chemical methods (determination of the melting point, elemental and biological analysis, chemical reaction for identification, titrimetric method for quantification).

Results and discussion. As it has been stated after biological investigations, the most potent representative in the range of amides of N-hetaryl-4-methyl-2,2-dioxo-1h-2$^{\lambda}$6,1-benzothiazine-3-carboxylic acid is N-(Pyridin-3-ylmethyl)-4-methyl-2,2-dioxo-1H-2*l*6,1-benzothiazine-3-carboxamide, which has shown the most potent analgesic activity comparatively with the Control.

Conclusions. The mentioned procedures of synthesis and methods for qualification and quantification will be worked out and improved on experimental samples of a newly synthesized substance.

SYNTHESIS AND ANTIMICROBIAL ACTIVITY OF 2-AMINO-4-(4-CHLORO-1-ETHYL-2,2-DIOXIDO-1*H*-BENZO[*C*][1,2]THIAZIN-3-YL)-4*H*-PYRAN-3-CARBONITRILES

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Introduction. Construction of the molecules comprising several pharmacophores is a promising way for development of new bioactive substances. 2,1-benzothiazine 2,2-dioxide and 2-amino-4*H*-pyrane cores are examples of such fragments. Derivatives of these heterocyclic systems have proven to be effective agents with antimicrobial, anti-inflammatory, analgesic and other activities. Owing to this we decided to join abovementioned pharmacophores in one framework and to examine microbiological activity of the products synthesized.

Aim. To synthesize derivatives containing both 1*H*-2,1-benzothiazine 2,2-dioxide and 2-amino-4*H*-pyrane cores and to study their antimicrobial activity.

Materials and methods. Standard methods of organic synthesis were applied in the research. Agar well diffusion method was used for evaluation of antimicrobial activity. 4-Chloro-1-ethyl-1*H*-2,1-benzothiazine-3-carbaldehyde 2,2-dioxide, malononitrile and CH_2CO-containing compounds were used as starting materials.

Results and discussion. Target compounds **4** were obtained by a three-component reaction of 4-chloro-1-ethyl-1*H*-2,1-benzothiazine-3-carbaldehyde 2,2-dioxide **1** with carbonyl compounds **2** and malononitrile **3** in moderate to high yields. Structure and purity of the products were proved by ^{1}H and ^{13}C NMR spectroscopy as well as HPLC-MS. The reactions were carried out in refluxing propan-2-ol in the presence of catalytic amount of a base for 1-4 hours. Strains of *S. aureus ATCC 25923, E. coli ATCC 25922, P. aeruginosa ATCC 27853, B. subtilis ATCC 6633, P. vulgaris ATCC 4636, C. albicans ATCC 885/653* were used for the activity evaluation. Tested compounds appeared to be active against all the strains. It should be noted that the highest activity was revealed against *C. albicans* and *B. subtilis* strains.