3H-thiazolo[4,5-b]pyridine-2-one derivatives in order to identify antioxidant agents based on the same congeneric series.

Conclusions. The obtained models are using for current in silico screening of new thiazolo[4,5-*b*]pyridin-2-ones in search for antioxidant hit-compounds.

THE SYNTHESIS OF NEW HETEROCYCLIC ASSEMBLY COMPRISING POWERFUL PHARMACOPHORIC MOIETIES OF 2-AMINO-4*H*-PYRAN, 1*H*-2,1-BENZOTHIAZINE 2,2-DIOXIDE AND QUINOLIN-2(1*H*)-ONE

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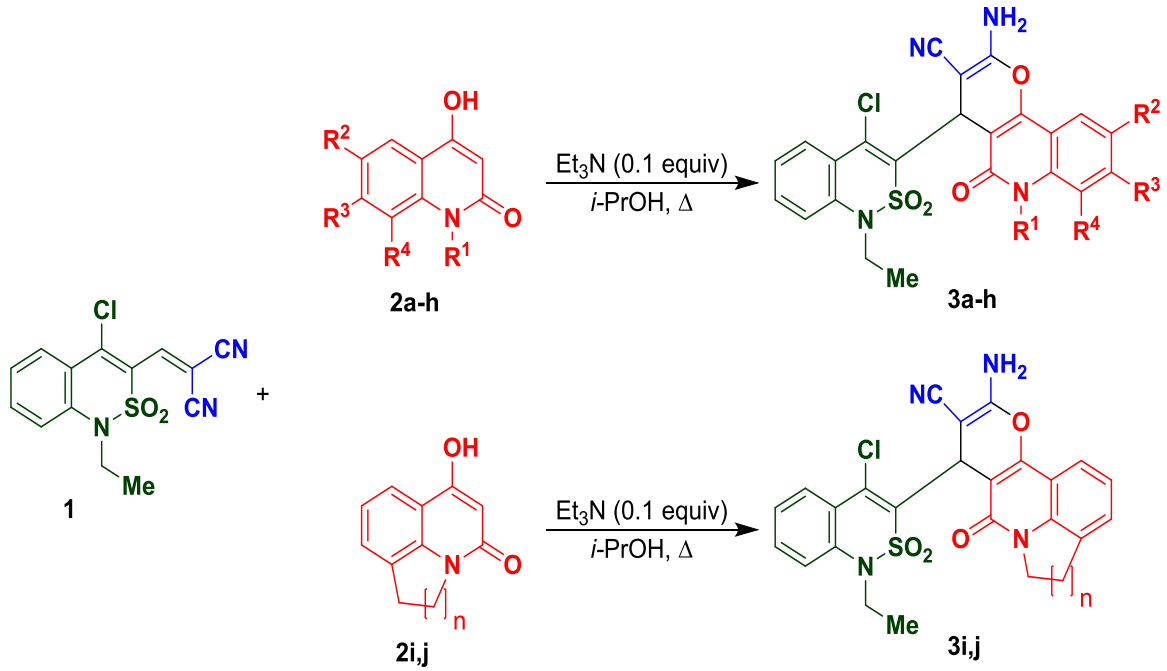
Introduction. Joining several structural fragments responsible for a certain kind of bioactivity within a single molecular platform is one of the ways of new drug-like molecules creation. Such a way known as pharmacophore approach still keeps remaining valuable in searching for a new lead compound.

A number of previous researches proved that 2-amino-4*H*-pyran, 1*H*-2,1-benzothiazine 2,2-dioxide and quinolin-2(1*H*)-one fragments are important moieties in creating anti-inflammatory, analgesic and antimicrobial agents. This fact determined the direction of our investigations.

Purpose of the research. To synthesize compounds comprising 2-amino-4*H*-pyran, 1*H*-2,1-benzothiazine 2,2-dioxide and quinolin-2(1*H*)-one and to confirm their structure.

Materials and methods. Standard methods of organic synthesis were applied in the research. Structure elucidation involved ^{1}H and ^{13}C NMR spectroscopy, IR spectroscopy as well as HPLC-MS method. 2-((4-Chloro-1-ethyl-2,2-dioxido-1*H*-benzo[*c*][1,2]thiazin-3-yl)methylene)malononitrile and a series of 4-hydroxyquinolin-2(1*H*)-ones were used as the starting materials.

Obtained results. Usually 2-amino-4*H*-pyran core can be constructed by the reaction of a,b-unsaturated nitriles with enols. In this way, to reach the compounds we were aiming to obtain the interaction between dinitrile **1** and 4-hydroxyquinolin-2(1*H*)-ones **2** was examined. In the research we utilized enols **2a-h** with various substitution patterns and 2,3-dihydroindoles **2i,j** with embedded 4-hydroxyquinoline fragment as well. Our experiments revealed that carrying out the reaction in *i*-PrOH in the presence of catalytic amounts of triethylamine resulted in the expected heterocyclic assembly **3a-j** in moderate to high yields which did not require additional purification procedures. The structure and purity of the compounds synthesized were confirmed by full set of instrumental methods including ^{1}H and ^{13}C NMR spectroscopy, IR spectroscopy and HPLC-MS experiments.



a: R^1 = H, R^2 = H, R^3 = H, R^4 = H; **b**: R^1 = Me, R^2 = H, R^3 = H, R^4 = H; **c**: R^1 = Ph, R^2 = H, R^3 = H, R^4 = H; **d**: R^1 = H, R^2 = Me, R^3 = H, R^4 = H; **e:** R^1 = H, R^2 = H, R^3 = Me, R^4 = H; **f:** R^1 = H, R^2 = H, R^3 = H, R^4 = Me; **g:** R^1 = H, R^2 = H, R^3 = OMe, R^4 = H; **h:** R^1 = H, R^2 = H, R^3 = H, R^4 = OMe; **i:** n = 1; **j:** n = 2

Conclusions. Complex polyheterocyclic compounds comprising powerful pharmacophoric moieties of 2-amino-4*H*-pyran, 1*H*-2,1-benzothiazine 2,2-dioxide and quinolin-2(1*H*)-one have been synthesized by a simple one-step procedure in good yields. They are considered by us to be valuable material while searching for anti-inflammatory, analgesic and antimicrobial agents.

NOVEL TELLURIUM-FUNCTIONALIZED THIAZOLOQUINAZOLINE SYSTEMS WITH ANTIMALARIAL ACTIVITY IN VITRO

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Introduction. Malaria causes millions of victims every year around the world.

Purpose of the research. Among the considered druggable targets to develop new malaria chemotherapy agents, proteolytic enzymes are very attractive due to their critical roles in the life cycle of malaria parasites. During the erythrocytic stage of infection, Plasmodium proteases process host´s hemoglobin and also facilitates parasite invasion and evasion from erythrocytes. Thus, protease inhibitors are promising therapeutical agents for malaria treatment.

Materials and methods. Organotelluranes, 3D7 strain of Plasmodium falciparum, HUVEC cells, recombinant Falcipain II.