



renal hypertension, did not show significant changes in the renal blood flow during the 6-month treatment period ( $p > 0.05$ ).

Thus, it has been determined that the combined use of lisinopril at a dose of 10 mg and amlodipine at a dose of 5 mg per day in the complex therapy of CKD stage I-II patients with AH stage II during a year contributes to the probable improvement of the renal blood flow indices ( $V_s$ ,  $V_d$ ,  $V_{vol}$ ,  $TAMX$ ,  $IR$ ) ( $p < 0.05$ ) of the small renal vessels (at the level of a.interlobaris).

**Palamar A.O.**  
**ANTIMICROBIAL AND ANTIFUNGAL ACTIVITY**  
**OF CERTAIN IMIDAZOLE COMPOUNDS**

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There is a tendency to sickness rate increase in the world at the moment. The optimal way to solve this problem is creation and study of new original medicines with a high selectivity of action along with low toxicity and minimal side effects. An expedient way to accomplish this task is to design new medicines by means of modification of already tested and approved bioactive substances and their targeted functionalization by pharmacophore groups. One of the most promising objects of this research type is heterocyclic system of imidazole known as a key structural fragment of many natural physiologically active substances, pharmacologically active substances and effective synthetic medicines (metronidazole, clotrimazole, losartan, etc.) which are characterized by a wide range of biological properties. The mentioned facts are evidence of expedience of search for new bioactive substances among imidazole derivatives functionalized with thioacetic acid residue in order to create new medicines.

The aim of the work was to search for new biologically active substances with antimicrobial and antifungal activity among derivatives of 4-thio-substituted 5-formylimidazoles in order to ascertain the 'structure-activity' patterns.

Taking into consideration the above mentioned facts new types of compounds, namely [5-(3-oxo-1-propenyl)-1H-imidazol-4-yl] thioacetic acids, thiosemicarbazones and (1,3-thiazol-2-yl)-hydrazones [(1-aryl-5-formylimidazol-4-yl) thio] acetic acids have been obtained, their structure was established and physicochemical as well as biological properties were studied.

Studies of *antimicrobial and antifungal activity* of synthesized compounds were performed according to generally accepted methods (modified micromethod of two-fold serial dilutions). The mentioned activity types were studied on five types of compounds: 5-(3-oxo-1-propenyl)-1H-imidazol-4-yl] thioacetic acids, thiosemicarbazones and (1,3-thiazol-2-yl) hydrazones of [1-aryl-5-formylimidazol-4-yl]thio] acetic acids, [(5-hydroxymethyl-1H-imidazol-4-yl)thio] acetic acids. The following reference test strains were used for assessment of antimicrobial and antifungal activity of the synthesized compounds: *S. aureus*, *E. coli*, *B. anthracis*, *C. albicans*, *Asp. niger*, *Asp. fumigates*.

For summarization of this study segment it should be noted that all compounds under study show moderate antimicrobial and antifungal activity. As a result of screening analysis a high sensitivity of microorganisms to the test compounds from the group of [5-(3-oxo-1-propenyl)-1H-imidazol-4-yl] thioacetic acids, in particular minimum bactericidal concentration (MBC) = 15,60  $\mu\text{g/ml}$ , while the best antifungal activity was shown by the compounds of [(5-hydroxymethyl-1H-imidazol-4-yl)thio] acetic acids.

As a result of studies of biological activity of derivatives of [(5-formyl-1H-imidazol-4-yl)thio] acetic acids certain 'structure-activity' patterns have been established, in particular modification of the position 5 of (imidazol-4-yl) thioacetic acids by a functional alkenyl fragment, namely by introduction of a vinyl ketone fragment into the structure of the imidazole cycle which leads to the appearance of antifungal and antimicrobial action. Thus, further search for new biologically active substances among compounds of this type is advisable.