



1-ions concerning gram-positive bacteria (*Staphylococcus aureus* ATCC 25923) was 62,5 – 250 mcg/ml. A little less antibacterial action of these compounds was found concerning *Escherichia coli* ATCC 25922 (MBsC for the majority of compounds is on the level of 125-250 mcg/ml). The compounds examined revealed lower anticandidosis activity – minimal fungistatic concentrations of the compounds concerning *Candida albicans* ATCC 885-653 were from 125 to 500 mcg/ml. Minimal bactericidal and fungicidal (MBcC, MFcC) concentrations of the examined compounds concerning reference-strains were in the majority of cases on the level of 125-1000 mcg/ml.

The examined derivatives of 2,4-disubstitutive 3-(1-aryl-imidazole-5-il)propan-1-ions and 2,4-disubstitutive 3-(1-aryl-imidazole-5-il)propane-1-ions possess moderate antibacterial and antifungal activity. Higher antimicrobial activity was found in the derivatives of 2,4-disubstitutive 3-(1-aryl-imidazole-5-il)propane-1-ions as compared to the derivatives of 2,4-disubstitutive 3-(1-aryl-imidazole-5-il)propan-1-ions. Minimal bacteriostatic concentration of the examined derivatives of 2,4-disubstitutive 3-(1-aryl-imidazole-5-il)propane-1-ions concerning *Staphylococcus aureus* ATCC 25923 was 62,5 – 250 mcg/ml, *Escherichia coli* ATCC 25922 - 125-250 mcg/ml, and minimal fungistatic concentrations of the compounds concerning *Candida albicans* ATCC 885-653 were from 125 to 500 mcg/ml.

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ANTIMICROBIAL ACTION OF 2-(1-PHENYL-3-ARYL-1H-PYRAZOL-4-IL)BENZO[b]QUINOLIN-4-CARBONIC ACID DERIVATIVES

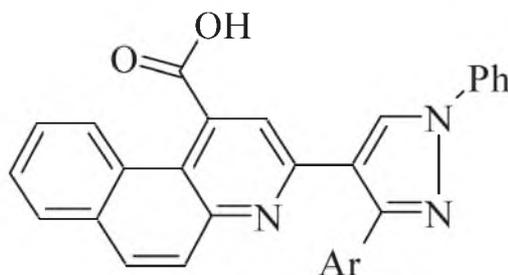
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The search of new biologically active substances in a number of quinolin-4-carbonic acid (cinchonine) derivatives is a very prospective area of investigation [Dubrovin A.N. et al., 2014]. Quinolinic nucleus is a wide-spread pharmacophore fragment. Quinolin-4-carbonic acid and its derivatives were studied more carefully than any other quinolinic acids, and the compounds with different biological activity were found among them [Skrobala V. et al., 2013]. Quinoxidine is used in medical practical work as an antibacterial means, and antimicrobial activity is found in isopropylamide 2-(2,4-dinitrophenylhydrazino) cinchoninic acid [Novikov M.V., 2009].

Although despite a rather wide use of 4-quinolincarbonic acids, the potential of their studies has not been exhausted. For example, the derivatives of 2-(1-phenyl-3-aryl-1H-pyrazol-4-il)benzo[b]quinolin-4-carbonic acid are not studied completely.

To study *in vitro* antimicrobial properties of new derivatives of 2-(1-phenyl-3-aryl-1H-pyrazol-4-il)benzo[b]quinolin-4-carbonic acid.

35 derivatives of 2-(1-phenyl-3-aryl-1H-pyrazol-4-il)benzo[b]quinolin-4-carbonic acid were selected for the study, of the common formula:



3-[(3-aryl)-1-phenyl-1H-pyrazol-4-il]benzo[b]quinolin-1-carbonic acid, for example, were received in the following way. The mixture of 0,0011 mole aldehyde, 0,16 g (0,0011 mole) β -naphthylamine and 0,1 g (0,0011 mole) of pyruvic acid in 5 ml of methanol was boiled during 3 hours. The sediment obtained was filtered, washed in 5 ml of methanol and dried in the air.

To study antimicrobial properties of the compounds examined generally accepted methods of two-time series dilution in a liquid nutrient medium were used. The museum strains of gram-positive bacteria (*Staphylococcus aureus* ATCC 25923), gram-negative bacteria (*Escherichia coli* ATCC 25922) and yeast-like fungi (*Candida albicans* ATCC 885-653) were used as test-objects. The following liquid nutrient medium was used: beef-extract broth (BEB) for bacteria, and Sabouraud's peptone agar for fungi.

A number of *in vitro* experiments proved that prevailing majority (82,86 %) of the compounds examined possess antimicrobial activity. Minimal bacteriostatic concentration of the majority of derivatives of 2-(1-phenyl-3-aryl-1H-pyrazol-4-il)benzo[b]quinolin-4-carbonic acid is within the limits of 250-1000 mc/ml. The compounds examined manifested the concentrations indicated both concerning gram-positive *S. aureus* ATCC 25923, and gram-negative *E. coli* ATCC 25922.

The analysis of the dependence of antimicrobial activity of 2-(1-phenyl-3-aryl-1H-pyrazol-4-il)benzo[b]quinolin-4-carbonic acid derivatives and their chemical structure has found the following. The nature of aryl fragment substitute in the position of 3-pyrazol effects the activity of the compounds examined. The presence of



halogen in the aromatic ring in the position of 3-pyrazol was found to intensify antimicrobial activity considerably (250-500 mcg/ml) concerning *Staphylococcus aureus* and *Escherichia coli*. The best antimicrobial activity (250 mcg/ml) of all the examined bacteria and fungi was demonstrated by the compound 3-[(3-(4-chlorophenyl)-1-phenyl-1H-pyrazol-4-yl)benzo[b]quinolin-1-carbonic acid.

It should be noted that introduction of a hetarylic fragment into the molecular structure of the acids examined in the position of 3-pyrazol nucleus do not result in an expected intensification of antimicrobial activity.

Detected antimicrobial activity of new derivatives of 2-(1-phenyl-3-aryl-1H-pyrazol-4-yl)benzo[b]quinolin-4-carbonic acid enables to recommend further search of antimicrobial means among the derivatives of quinolin-4-carbonic acid including goal-directed synthesis of new substances with predicted antimicrobial properties and wider spectrum of the examined strains of pathogenic and opportunistic microorganisms.

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TAXONOMY CONTENT AND POPULATION LEVEL OF RESIDENTIAL MICROBIOTA OF LARGE INTESTINE CONTENT IN PRACTICALLY HEALTHY PEOPLE WITH LACTOBACTERIAL TYPE

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Obligate microflora is the main, residential or indigenous microflora that consists of lacto bacteria, bifidobacteria, propionic bacteria, bacteroides that constitute approximately 90 % of the total amount of microorganisms. Optional microbiota – saprophytic and opportunistic ones – is represented by enterobacteria, peptogenic bacteria, Streptococci etc. They constitute 10 % of the total amount of microorganisms. The remaining one, including transitory microbiota, is represented by random microorganisms (citrobacter, enterobacter, yeast, clostridia, aerobic bacilli and others). It comprises only 1 % of the total amount of microorganisms but has its own peculiarities that require special investigation.

For specification of the generic content, population level of microorganisms that inhabit certain biotopes of the large intestine in practically healthy people, bacteriologic and mycological investigations are conducted. In this way, taxonomy content and population level of the large intestine microbiota in practically healthy people are studied.

In practically healthy people who were prior identified to have lacto bacterial type of the large intestine microbiota, taxonomic content is manifested by representatives of main, additional and random microflora that belongs to nine various taxonomic groups. The main residential microbiota of the large intestine in practically healthy people with lacto bacteria microbiota type is represented by obligate anaerobic bacteria of *Lactobacillus*, *Bifidobacterium*, *Bacteroides* and *Escherichia* genera that are identified in all (23) practically healthy people with lactobacteria microbiota type. These bacteria possess a high analytical index in the large intestine microbiota. Constancy index of this matter constitutes 100%, frequency of occurrence is 0,5 equivalent units (e.u.), Margalef's generic abundance index – 95,65, Whittaker's generic variety index – 3,25 e.u., Simpson and Berger-Parker's generic domination index – 0,27 and 1,00 respectively. The characteristic microbiota of this category of people is that bacteria of *Peptostreptococcus*, *Proteus* genera as well as yeast-like fungi of *Candida* genus are constant representatives of associate the large intestine microbiota. The latter are characterized by high (52,19 %) constancy index, frequency of occurrence is 0,08 e.u., Margalef's generic abundance index – 47,83 e.u., Whittaker's variety index – 1,70 e.u. The last two indices prove that apart from other types, optimal conditions for yeast-like fungi of *Candida* genus are created in the large intestine of practically healthy people with lactobacteria microbiota type: spatial-nutritional resources and environmental conditions for these microorganisms existence in the given biotope. This should be taken into account during the investigation of pathogenesis of intestinal infections and choice of therapeutic measures in practically healthy people with lacto bacteria microbiota type of the large intestine.

The study of population level of the main, additional and random microbiota of the large intestine content in practically healthy people with lactobacteria microbiota type suggested that lactobacteria possess the highest population level (8,78±0,11 lg CFU/g). *Bifidobacteria* appeared to have 26,15 % lower population level. It is also lower in bacteria of *Bacteroides*, *Peptostreptococcus* genera, as well as in 2,57 times lower in bacteria of *Proteus* genus, *Staphylococcus* – 72,50 %, yeast-like fungi of *Candida* genus – by 80,66 %. Bacteria of *Klebsiella* and *Enterococcus* genera are not detected in the large intestine content.

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MICROSCOPY CHARACTERISTIC OF THE LARGE INTESTINE MICROBIOTA IN PRACTICALLY HEALTHY PEOPLE WITH BACTEROID TYPE

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Neutral microflora of the intestinal tract is necessary and useful for the human body vital activity and presents rather complicated ecological system that finds itself in balance with a host body. Annually, the amount of information in scientific sources increases and that confirms that exactly microbiota is the chief function regulator of many human