1. INTRODUCTION

The broad spectrum of biological activity of furan derivatives continues to attract the attention of synthetic chemists to this class of compounds.

In the last decade interest in furan derivatives has remained quite high — new types of compounds have been synthesized, and new forms of activity for known types have been discovered. Since 1988, the furan derivative ranitidin, which is an antagonist of histamine H₂ receptors, has steadfastly remained in first place with respect to total sales of medicinals on the world market.

The existing reviews contain data on the biological activity of furan derivatives up to 1982 [1-8]. We have correlated information on the biological activity of furan derivatives for the period 1981-1991.

2. ANTIBACTERIAL ACTIVITY

Antibacterial agents that are products of condensation of the formyl group of 5-nitrofurfural with compounds that contain an amino (hydrazino) group — nitrofurantoin, furazolidone, nifurimide, etc. — are widely used in medical practice. The search for new antibacterial agents that have general formula I continues.

\[
\begin{array}{c}
\text{O}_2\text{N} \\
\text{O} \\
\text{CH=NR}
\end{array}
\]

As shown in [9], I (R = 4-HOC₆H₄CONH, R = PhCH₂NH, R = 2-furylcarbonylamino, R = 4-H₂NC₆H₄CONH) inhibit the growth of both Gram-positive and Gram-negative microorganisms. Compound I with R = PhCH₂ also has bactericidal activity [10].

The condensation of 2-di(acetoxy)methyl-5-nitrofuran with derivatives of 4-amino-5-alkyl(or aryl)-2,4-dihydro-1,2,4-triazol-3-ones in the presence of HCl gave the corresponding 4-(5-nitro-2-furfurylideneamino) derivatives II [11] (see scheme 1 on the following page).

All of the investigated compounds displayed antibacterial activity only with respect to Gram-positive bacteria. The most pronounced activity with respect to \textit{Staphylococcus aureus} was discovered when R¹ = an aromatic substituent and R² = (CH₂)₂OH. The antibacterial activity of the three best compounds was additionally investigated with respect to 36 strains of \textit{S. aureus}. Compound II with R¹ = p-MeOC₆H₄ and R² = (CH₂)₂OH has greater activity with respect to \textit{S. aureus} than the commercial nitrofurantoin [minimum bacteriostatic concentration (MBC) = 4-8 μg/ml].

Among the products of condensation III of 4-amino-3-alkyl(aryl)-1,2,4-triazol-3-ones with 5-nitrofuraldehyde [12], the most active with respect to *S. aureus* 209P were IIIe and IIIf (MBC = 1 and 0.25 μg/ml, respectively).

Organosilicon derivatives IV of furan display antibacterial and fungicidal properties in a concentration of 1% [13]. Compounds IV are easily attached to the surface of materials that have OH and other reactive groups (glasses, papers, wool), as a consequence of which they can be used as a means of sanitary treatment.

An increase in the number of double bonds between the nitrofuran fragment and the nitrogen atom usually increases the antibacterial activity of the compound. Thus the activity of furagin is higher than the activity of nitrofurantoin.

However, the antimicrobial activity of furan compounds V with respect to *S. aureus* 209P, *Escherichia coli* 675, and *Microsporum lanosum* was low (MBC of all of the compounds > 200 μg/ml). In the opinion of Lukevits and coworkers [14], the slight bacteriostatic activity of trimethylsilylfuran derivatives constitutes evidence for the decisive effect of the nitro group on the existence of antimicrobial activity in compounds of the furan series.