S Y N T H E S I S O F S U B S T I T U E D A R Y L G U A N I D I N E S A S P O T E N T I A L D R U G S V I .

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Background: High number of life imperilling mycotic infection carries with itself increased need of antifungal drugs and need of new substances. In usage of antimycobacterial drugs it is also the same. Increasing resistance of pathogenic organisms urges human population to search for new, effective drugs.

Aim of study: The aim of my diploma work was to prepare series of substitued arylguanidines, mainly 1-[3-chlor-4-(alkylsulfanyl)phenyl]guanidines, which are tested on antifungal and antimycobacterial activity.

Methods: 2-Chloro-1-(alkylsulfanyl)-4-nitrobenzenes were synthesized from 3,4-dichloronitrobenzene by custom methods; either by using of active copper or by using $Pd_2(dba)_3$ and Xantphos as catalyzers. The following reduction of nitrogroup to aminogroup was made by stannous chloride under nitrogen atmosphere. Rise of appropriate amonnium salts proceeded in reaction with gaseous dry hydrogen chloride, almost quantitatively. Reaction leading to rise of guanidines proceeded with cyanamide in the melt or ethanolic solution in autoclave at increased pressure.

Results: Structures of prepared substances were confirmed by IR, ^{13}C NMR and ^{1}H NMR spectra. Subsequently, antifungal and antimycobacterial activities were defined on final substances. Some of them have antifungal activity comparabale with antifungal ketoconazole against some species (*Trychophyton mentagrophytes, Aspergillus fumigatus, Absidia corymbifera*), some of them exceed activity of antituberculosis drug isoniazid against some species of mycobacterium (*Mycobacterium avium*, *Mycobacterium kansasii*).

Conclusions: Within diploma work it was prepared 42 substances, out of which 41 are new, till this time unpublished in literature. Final substances, i.e. 1-[3-chlor-4-(alkylsulfanyl)phenyl]guanidines were tested on antifungal and some on antimycobacterial activity.