Abstract

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Title of diploma thesis: Synthesis of substituted arylguanidines as potential drugs XVI.

Continually increasing number of systemic mycosis and the genesis of new diseases caused by fungi resistant to existing antifungal drugs is a huge problem in protecting human health. Around 1,2 billion individuals worldwide suffer from fungal infections. Primarily patients with insufficient immune function [1] are at risk, their number is growing as a result of the spread of HIV infection or intensive cancer therapy, in conditions after organ transplants or suffering from autoimmune diseases that require immunosuppressive therapy.

Research is in progress worldwide on guanidine-derived substances with potential activity against many strains of fungi and bacteria. The Faculty of Pharmacy in Hradec Králové has also been researching these substances for several years. The aim is to obtain the most active compounds of substituted arylguanidines in an effort to find the structure-activity relationship for these substances.

In my thesis, two series of arylguanidine derivatives were synthesized. The products were synthesized in a four-step synthesis. In the first step, a sulfide was formed by the reaction of substituted chloronitrobenzene and thiols. In the next step, the nitro group was reduced to the amino group. The aniline was converted to aniline chloride using hydrogen chloride gas. In the last step, aniline chloride reacted with cyanamide to form arylguanidine.

Infrared and NMR spectra were measured on the synthesized substances. Antifungal and antibacterial tests on the synthesized substances were performed at the Department of Biological and Medical Sciences of the Faculty of Pharmacy of Charles University.