ABSTRACT

Title of diploma thesis: Pyrazine dervatives as potential drugs I.

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Tuberculosis belongs between wide-world spreaded infections diseases, by which is infected about one third of human population. Due to attempts with potencial pyrazine antituberculotics at our department of Pharmaceutical Chemistry were developed series of substituted arylaminopyrazines. The first one, 3-chloropyrazine derivate, provided via coupling (aminodehalogenation) with aromatic amine acquired compounds. Structure modifications was achived by changing of carboxylic functions groups, e.g. dehydrogenation of carboxamide (origin of carbonitrile) or by adition of monosulphane (originates carbothioamide). We gained 8 absolutely new substances, which have not been described before, so they were characterized by TLC, melting point, elementary analysis, IR, 1H,13C NMR spectrum and log P values. These new substances are under the testing in vitro of their activity, against Mycobacterium tuberculosis H37Rv under international research program for new antituberculotics testing (TAACF, USA), resultes are not known yet. Mentioned compounds were in vitro tested for antifungal activity against determine fungal pathogens on Departement of biological and medicinal sciencis and against four tribes of mycobacteria in Hospital of Hradec Kralove.

KEY WORDS: Pyrazine, Lipofility, Antimycobacterial and antifungal screening