Diploma thesis title:

RHODANINE DERIVATIVES AS POSSIBLE THERAPEUTICS I.

Author: Diana Kešetovičová

ABSTRACT

The raise of microbial resistance towards commonly used antimicrobial agents enhances the need for new active substances with novel modes of action. Rhodanine (2-thioxo-1,3-thiazolidin-4-one) represents a structural motif that has not yet been applied in any antimicrobial drug. Rhodanine derivatives have already been described as substances possessing a wide spectrum of biological activity.

Within this diploma thesis, condensation products of rhodanine and 3-(2-hydroxyethyl)-rhodanine with *ortho*-, *meta*- and *para*-substituted nitro-benzaldehydes have been prepared. The reaction was carried out in water-alcoholic medium using NH_4OH/NH_4Cl as a catalyst. The antifungal and antimycobacterial properties of these derivatives and their inhibitory activity on photosynthetic processes were then evaluated.

A medium antifungal activity against *C. albicans*, *T. asahii*, *T. mentagrophytes* and *A. fumigatus* have been observed with the *N*-unsubstituted derivatives, while the *N*-substituted derivatives were inactive. The antimycobacterial properties of the tested compounds were not high enough (inhibition of at least 90% in the primary test) to undergo further studies. The antimycobacterial activity of the *N*-substituted derivatives has not yet been examined. The *N*-unsubstituted derivatives have shown a high percentage of inhibition of chlorophyll synthesis in *Chlorella vulgaris*. Conversely, the inhibition of oxygen evolution rate (OER) exhibited by 3-(2-hydroxyethyl)-5-(2-nitrobenzyliden)-2-thioxo-1,3-thiazolidin-4-one was higher than that of its *N*-unsubstituted analogue. Unfortunately, the results of inhibitory activity of the two remaining *N*-substituted derivatives could not be determined.