

9. Summary

This work is focused on the synthesis and biological evaluation of the derivatives of 1-aryl-5-(benzylsulphanyl)tetrazole and group of hybrid molecules of estrone.

It has been found before that alkylsulphanyl group bound to an electron deficient carbon of heterocyclic system represents a pharmacophore responsible for a significant antimycobacterial activity. It has been reported that some antimycobacterial compounds are often antifungal as well.

The study is subdivided into three parts. The first one compares the antimycobacterial activity of a group of derivatives of 1-aryl-5-(alkylsulphanyl)tetrazole derivatives (where ethyl, propyl, iso-propyl or allyl stands for the alkyl) and 1-aryl-5-(benzylsulphanyl)tetrazole. The benzyl derivatives exhibited significantly better activity, which was further confirmed by the QSAR analysis. Concerning the group of benzyl derivatives, it was observed that, substitution on the benzyl moiety leads to lower activity.

The second studied subject was the antifungal activity of the prepared 1-aryl-5-(benzylsulphanyl)tetrazoles evaluated on eight clinically important fungal strains. The activity was negligible with the exception of *Trichophyton mentagrophytes*. By means of QSAR analysis, it was found out in the studied group that the increase in the lipophilicity causes the decrease of the activity.

In the third part a group of hybrid molecules containing steroid unit linked by a polymethylene bridge to various heterocyclic moieties (selected tetrazole derivatives and benzylsulphanyl derivatives of pyridine) was studied. The selection of heterocycles resulted from the previously prepared compounds that exhibited promising activity by themselves. The aim was to find out whether their connection to a steroidal unit leads to biological activity enhancement. These compounds were evaluated against several mycobacterial and other bacterial strains and their antiproliferative and cytotoxic activity was also investigated. Charge on the molecule seemed to be necessary for any of the studied activities (as in the pyridinium salts of hybrid molecules).