

The purpose of this research work was design and synthesis of novel thiosemicarbazones with a proper anticancer, antifungal and antibacterial activities. As the base for designing, I used the method of similarities of ligands, in particularly the concept of privileged structures (PS) - as the PS was used the piperazine.

Through the condensation of substituted thiosemicarbazides with aldehydes or ketons in acidic environment I obtained 102 compounds, which was a derivatives of 5-bromosalicylaldehyde, salicylaldehyde, 2-quinolinecarboxaldehyde, 2-chlorothioxanthone, 2,5-difluorobenzaldehyde, 2,6-difluorobenzaldehyde, 4-hydroxy-3-methoxybenzaldehyde, 2-nitrobenzaldehyde and 2-pyridinecarboxaldehyde.

The structure based of 3-aminopyridine-2-carboxaldehyde was particularly analyzed because it is the main substrate for synthesis of Triapine. In the literature there is a belief that biological activity of TSCs is related to their lipophilicity, therefore new compounds have been designed characterized by the presence of extensive aromatic substituents.

All of the received TSCs were tested for their anticancer activities - 26 compounds show a significant and another 26 shows moderate cytotoxic activity. All compounds were tested on human colon cancer cell line HCT116 p53<sup>+/+</sup> and HCT116 p53<sup>-/-</sup>, human glioblastoma cell line U-251 and Hs683 and human breast cancer cell line MCF-7. Test of the complex formation ability proved that the created ligands may work as the efficient chelators of copper and iron.

Analysis of the activity of synthesized compounds show the relationship between the structure and the activity of the obtained TSCs. Thiosemicarbazones which possess additional nitrogen atoms in their aromatic rings are characterized by a higher antitumor activity as well as antibacterial and antifungal activity, while the introduction of the piperazine ring increases the antitumor activity of Triapine analogues in comparison to itself.

The received results confirm the cytotoxic activity of the synthesized thiosemicarbazones and show how the changing substituents in the TSCs structure can drastically affect the activity of the compounds. The condensation method of thiosemicarbazides with aldehydes or ketones is a convenient synthetic method that allows to obtain many new thiosemicarbazones and modify their structure.