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Synthesis, the structure of arylsalicylic amides, which have anthelmintic activity

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Abstract

Salicylamides (*N*-aryl-substituted hydroxybenzamides): well-known organic compounds with diverse biological activity, which were originally investigated as antimicrobial and antifungal substances. Salicylamides have also been used as anthelmintic or molluscicidal agents in human and veterinary medicine. The most famous is 5,2'-dichloro-4'-nitrosalicylamide (niclosamide, yomezan – Germany), resynthesized in the USSR under the name of fenasal, which is effective in treating diphyllobothriasis and hymenolepidosis and has molluscicidal properties. The works of domestic and foreign scientists F.S. Mikhaylitsyn, H. Vanden Bosshe, L.M. Scheibel, P. Andreus, G. Bonse, and others are devoted to the synthesis of salicylamides, their biological activity. I. Arkhipov, R. Gonnert, studied the anthelmintic activity of salicylamides. E. Schraufstatter, H. Mrozik et al. In the works of various authors the "structure-activity linkage" of the synthesized amides is shown. It has been established that the presence of an amide or thioamide bond, substituted amides, is important for anthelmintic activity. The presence of a hydroxyl group in the 2nd position of the aromatic ring of the salicylamide acid fragment is the main condition for the manifestation of activity with respect to tape helminths and trematodes. The best profile of the biological activity of salicylamides was obtained by introducing chlorine into various positions of acid and amine fragments. Acylation of the hydroxyl group in salicylamides leads to a decrease in their toxicity.

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