

SEARCH OPTIMIZATION OF BIOLOGICALLY ACTIVE SUBSTANCES AMONG DERIVATIVES ORTOHALOHENBENZOIC ACIDS

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Among the aromatic acids important are substituted benzoic acids and their derivatives, interest which is due to high chemical activity, allowing their use for the synthesis of a number of structures. Accumulated a wealth of information about the biological properties of the molecular mechanism of action, metabolism and pharmacokinetics derivatives orto-halobenbenzoic acids.

Based on nitro-, bromo-, chloro-, 3-oxamoyl (succinoyl)- and 3- or 5-sulfamoyl substituted ortho-halobenbenzoic acids synthesized and studied biological activity of these compounds: D-(+)-glucosylcammonium salts; D-(+)-glucosamine, methyl esters, alkyl-, aryl- and heterylamides, hydrazides and their derivatives – R-idenhydrazydes, arensulfohydrazides, β -N-acylhydrazides, β -N-(o-tolylsuccinamido) hydrazides, hydrazides, R-idenhydrazides 3-carboxy-2-chloroxanilic and 3-carboxy-2-chlorsuccynanilic acids and their derivatives, benzoic peroxide and perbenzoic acid with chlorine, bromine, sulfamoylic and nitro- substituents in the benzene ring, potassium, sodium, copper and aluminum salts, ortho-substituted halogenbenzoates 9 aminoacridin; ortho-substituted halogenbenzoates 8-oxyquinoline.

During the pharmacological screening of derivatives of ortho-halogenbenzoic acids for 22 tests found substances that exhibit high choleric (18), inflammatory (17), analgesic (12), antioxidant (10), diuretic (14), local anesthetic (5), antiarrhythmic (7), funhistic (10), bacteriostatic (22), antiviral (3) and other biological effects. At 27 substances as BAS (biologically active substances) obtained 18 patents of Ukraine and certificates.

A structural and pharmacological analysis of pharmacological studies 356 agents and offered a number of ways to optimize the search of biologically active substances among derivatives of ortho-halogenbenzoic acids.

Thus, the established patterns of connection “structure - pharmacological activity - toxicity” in a series of derivatives of ortho-halogenbenzoic acids can be used for further synthesis of biologically purposeful and recommended for the development of drugs with a wide spectrum of pharmacological action.