

Hydrochlorides of Products of O-Acylation of Amidoximes of β -Aminopropionic Acids and their *in vitro* Antitubercular Activity

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In accordance with actuality of elaboration of new antitubercular drugs, nontoxic, active against resistant and sensitive strains of *M.tuberculosis* we conducted synthesis and carried out *in vitro* investigation of antitubercular activity of 6 new hydrochlorides of O-acylation products of amidoximes of β -aminopropionic acids.

For the synthesis of these samples amidoximes of β -piperidino-, β -(N,N-di-n-amil)amino-, β -(benzimidazol-1-yl)propionic acids were taken by us as substrata and chlorides of benzoyl, para-tolyl and acetic acids as acylating agents. Tested samples were prepared by technological regiospecific three-stage synthesis with high yield.

X-Ray analysis of hydrochlorides of products of O-acylation of amidoximes of β -aminopropionic acids showed Z-disposition of group NH_2 and N-O bond relatively of C=N bond; carbonyl group and N-O bond has S-trans disposition relatively of C-O bond.

Definition of bactericidal and bacteriostatic activities of samples was fulfilled *in vitro* on museum strains of *M.tuberculosis H37Rv* and *M.bovis* 8 and on wild strain of *M.tuberculosis*. Sowings were conducted on solid egg medium of Levenshtein-Yenssen, as sample of comparison was basic tuberculostatic rifampicine.

Bacteriostatic action of PK-30 sample against *M.tuberculosis H37Rv* and wild strain of *M.tuberculosis* was discovered in dose 20 mkg/ml; bactericidal effect was achieved at dose 50 and 100 mkg/ml, correspondingly.

PK-30 possesses by bacteriostatic effect in dose 50 mkg/ml against *M.bovis* 8 and by bacteriostatic effect in dose 100 mkg/ml.

Sample PK-33 has smaller activity on all tested strains; it was discovered bacteriostatic action at 50 mkg/ml and bactericidal - at 100 mkg/ml. Sample PK-31 doesn't possess of antitubercular properties.

Middle bactericidal action against *M.tuberculosis H37Rv* was discovered for hydrochlorides of products of O-acylation of amidoximes of β -(benzimidazol-1-yl)propionic acid (PK-32, 34, 35) in doses 60-70 mkg/ml. Bactericidal effect of these samples was monitored at 40-60 mkg/ml.

It was discovered in investigation of action of rifampicine on investigating strains that it possesses by bactericidal properties at 50 mg/ml.