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RESEARCH OF HEPATOPROTECTORAL ACTIVITY OF DERIVATIVES OF 1,2,4-TRIAZOLE-3-THIONE CONTAINING HYDROXY(PHENYL)METHYL SUBSTITUENT IN A FIFTH POSITION

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Introduction. The relevance of the creation of drugs with high effectiveness and low cost for the prevention and treatment of diseases of the hepatobiliary system is indisputable, because, despite the innumerable research and the search for new substances that can correct hepatic homeostasis, there are no effective domestic hepatoprotectors. Therefore, the search for hepatoprotectors in the series of 1,2,4-triazole derivatives has not only theoretical but also practical significance.

Aim. is to test the first synthesized 1,2,4-triazole-3-thione derivatives containing a hydroxy(phenyl)methyl substituent in the fifth position under tetrachloromethane hepatitis.

Materials and methods. As a research object, derivatives of 1,2,4-triazole were used, the structure of which was confirmed by physical-chemical methods.

To simulate toxic hepatitis the hepatotoxic xenobiotic-tetrachloromethane was used, which was injected as a 50% oil solution to rats. The study of biochemical indicators of liver condition was carried out 24 hours after the last administration of tetrachloromethane.

The ability of the compounds studied to restore the integrity of the hepatocyte membranes was determined by anti-cytolytic action (decreased alanine aminotransferase (ALAT) activity, aspartate aminotransferase (AST) activity. The activity of ALAT and AST was determined using the Sormay diagnostic sets.

An arithmetic average, standard error of the arithmetic mean, Student's t-criterion for independent samples was calculated for independent samples. A correlation analysis of the dependence of the levels of ALAT and AST on the use of 1,2,4-triazole derivatives using the Pearson correlation coefficient was conducted.

Results and discussion. According to the study, it was found that out of 43 of the studied compounds, 5 were able to prevent survival at 85.71% level, while the injection of tiotriazoline product resulted in survival at 42.86 – 57.14%.

Among the substances studied, the compound 2-((4-ethyl-5- (hydroxy (phenyl) methyl)-4*H*-1,2,4-triazole-3-yl)thio)acetonitrile should be regarded as the most effective compound, which contributed to survival of 85.71% of experimental animals and a decrease in the level of ALAT by 33.33% and of the AST by 34.33% respectively. Herewith, there was a very weak reciprocal relationship between the above indicators ($r = -0.31$).

It was found that the survival rate of experimental animals was reduced when the phenyl substituent of the initial thiones was injected by N4 nitrogen atom.

Conclusions. The derivatives of 1,2,4-triazole are a promising class of compounds for the search of effective hepatoprotectors that detect the hydroxy(phenyl)methyl substituent in the fifth position.

The most effective hepatoprotector, among the investigators, is 2-((4-ethyl-5- (hydroxy(phenyl)methyl)-4*H*-1,2,4-triazole-3-yl)thio)acetonitrile.

Some patterns of the chemical structure from the hepatoprotective effect of 1,2,4-triazole derivatives have been established, so the survival rate of experimental animals was reduced when phenyl substituent of the initial thiones was injected by the N4 nitrogen atom.

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