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论文

二磺酸纳儿茶酚等七种药物对三价锑剂的解毒机制及锑在血液中存在形式的初步分析

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摘要:

酒石酸锑钾与二磺酸钠儿茶酚、二巯基丁二酸钠及其它一些能与锑螯合的药物混合后,能或多或少地生成(?)波芬、二巯基丁二酸锑钠及其它一些相应的锑剂.而这些能与锑螯合的药物,亦能降低锑剂杀血吸虫的作用,并与浓度有关.二磺酸钠儿茶酚或二巯基丁二酸钠分别与酒石酸锑钾在血液中混合后,亦可形成(?)波芬或二巯基丁二酸锑钠.二磺酸钠儿茶酚与酒石酸锑钾先后注射于同一小白鼠的腹腔中,其半数致死量与(?)波芬相同.这些结果说明锑剂进入血液后,可能与某些能和锑螯合或“结合”的物质在一定条件下形成一种动态的平衡,而解毒药物对锑剂的解毒机制在于与机体中某些重要的物质相互竞争锑的缘故.

关键词:

STUDIES ON MECHANISMS OF ACTION OF CERTAIN ANTIDOTES AGAINST TRIVALENT ORGANIC ANTIMONIALS AND ON THE FATE OF ANTIMONY IN THE BLOOD

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Abstract:

It has been shown that when tartar emetic (TE) is mixed with one of the 2 well- known antidotes, sodium 1,2-dihydroxy benzene 3,5-disulphonate (SDD) or sodium di- mercaptosuccinate (SMS), fouadin and sodium antimonyl dimercaptosuccinate will be formed, and that, when TE is mixed with sodium gluconate, cysteine or EDTA, the cor- responding antimonials will be formed. On the other hand, if fouadin, sodium anti- monyl dimercaptosuccinate, sodium Sb^{III}-gluconate, Sb^{III}-cysteine, or Sb^{III}-EDTA is se- parately added to a solution of tartrate, TE will also be formed in various amount. The *in vitro* effects of TE and fouadin on survival of schistosomes in Tyrode's solu- tion were studied. The antischistosomal activity of the antimonials were reduced by adding SDD, cysteine, sodium gluconate, sodium citrate or sodium tartrate. On the other hand, these drugs were shown to prevent the Sb of TE from combining with the blood cells. SMS or SDD was shown to be able to "rob" the Sb which already com- bined with the blood cells or schistosomes. When SDD and TE (molecular ratio, 2:1) were injected to the same mouse intra- peritoneally, the acute LD_{50} was 32.1 mg/kg. When fouadin was administered alone, its acute LD_{50} was 32.2 mg/kg. These results revealed that the mechanisms of antidotes against antimonials were to become the corresponding antimonials and to "rob" the Sb in TE or in tissues. On account of the fact that cysteine, glutathione, proteins or enzymes containing sulfphydryl groups and certain hydroxylic acids, such as lactate and citrate, etc., were found to exist in blood or tissues, these compounds may chelate with the Sb in antimonials. Therefore, the forms of Sb in the blood are to be decided by various relative materials and condi- tions.

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