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PREPARATIONS BASED ON PARA-AMINOPHENOL

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Abstract. This article describes the structure, mechanism of action of paracetamol and phenacetin, which are derivatives of para-aminophenol, and methods for verifying the authenticity of preparations.

Keywords: para-aminophenol, paracetamol, phenacetin, analgesic, antipyretic.

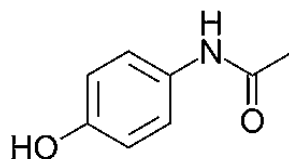
Аннотация. В статье описаны структура, механизм действия парацетамола и фенацетина, являющихся производными парааминофенола, и методы проверки подлинности препаратов.

Ключевые слова: парааминофенол, парацетамол, фенацетин, анальгетик, жаропонижающее.

Paracetamol and phenacetin are derivatives of the para-aminophenols group, which are widely used in medicine as powerful analgesics and antipyretics. According to their chemical structure, they are derivatives of aniline. By itself, pure aniline has a negative effect on the body. In addition to the fact that it has the ability to form a strong methemoglobin in the blood, it also has a positive property to reduce the temperature in the body. Considering this property of aniline, an acetanilide (antifebrin) drug with a slightly less toxic effect on the body was obtained on its basis, which was used for a long time as an antipyretic agent. Then it became known that antifebrin turns into aniline in the body and poisons the body. In addition, it was found that a certain part of the aniline formed in the body is oxidized to p-aminophenol, which is associated with analgesic effects and fever. Later, this led to scientific research on the creation of new less toxic drugs based on p-aminophenol, as a result of which the drug phenacetin was discovered.

Paracetamol - Paracetamol, p-acetaminophenol. White or pinkish-white, odorless crystalline powder Difficult to dissolve in water; easily soluble in 95%

alcohol; soluble in alkali solution; almost insoluble in ether. Produced in the form of powder, tablets.

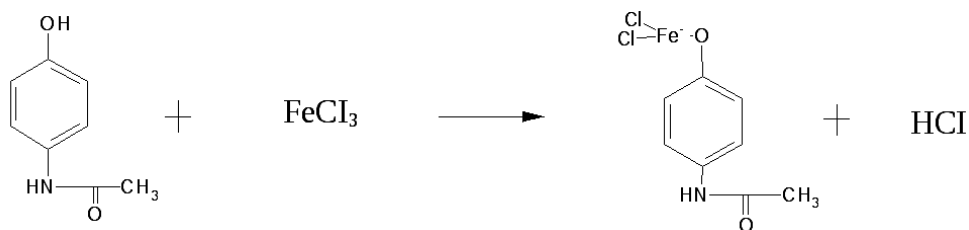


Paracetamol has an analgesic and antipyretic effect. The drug affects the pain and thermoregulatory centers, mainly blocks cyclooxygenases I and II in the central nervous system. In inflamed tissues, cellular peroxidases neutralize the action of paracetamol on cyclooxygenase, which explains its almost complete lack of anti-inflammatory action. Due to the lack of prostaglandin synthesis in peripheral tissues, the drug does not have a negative effect on water-salt metabolism (sodium and water retention) and the mucous membrane of the gastrointestinal tract.

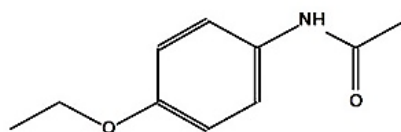
0.5-1 g 2-3 times a day with an interval of at least 4 hours. For adults and children over 15 years of age, the maximum single dose is 1 g, the daily dose is 4 g. In patients with impaired liver or kidney function, Gilbert's syndrome and in elderly patients, the interval between taking the drug should be at least 8 hours, and the daily dose should be reduced.

Children are prescribed 10-15 mg / kg of body weight 3-4 times a day (maximum 3 days).

The authenticity of paracetamol is determined by the formation of a blue-violet compound under the action of an iron (III) chloride solution based on phenol hydroxyl. Determination of the authenticity of paracetamol and phenacetin by the reaction of the formation of indophenols is presented as the main reaction in the regulatory document. To do this, the drug is first transferred to p-aminophenol by heating it with hydrochloric acid. Then, when it enters the mixture formed from the FeCl₃ solution, a blue-violet color appears. From here you can find out that the phenol group is free.



Phenacetin - Phenacetin-1-ethoxy-4-acetaminobenzene. Phenacetin is a slightly bitter white fine powder, odorless, slightly soluble in water, ether and chloroform, soluble in alcohol. It liquefies at a temperature of 134-136 °C.



Phenacetin has analgesic, antipyretic and anti-inflammatory effects. However, the latter is somewhat lower than that of salicylates and pyrazolone derivatives. The drug is well absorbed in the gastrointestinal tract. In the body it turns into para-aminophenol, which, in combination with glucuronic acid, is excreted by the kidneys.

Phenacetin is used as an antipyretic for diseases accompanied by fever, but it should be borne in mind that its effect is almost 3 times weaker than antifebrin.

Usually phenacetin is well tolerated by animals, without causing pronounced changes in the mucous membrane of the gastrointestinal tract. In some cases, phenacetin can give allergic, skin reactions, methemoglobinemia and anemia. There are indications that the drug sometimes causes moderate euphoria. Cases of “phenacetin” nephritis are also described, characterized by tubular insufficiency with acidosis, polyuria, increased blood urea, etc. It is possible that kidney damage can be caused by an impurity contained in phenacetin - para-chloroacetanilide. Therefore, modern requirements strictly limit the content of this impurity in phenacetin.

The drug is used as an antipyretic for diseases accompanied by symptoms of fever.

Phenacetin is a part of the combined tablets: analfen, asfen, nomigrofen, pyrafen, pircofen, fenalgin and others.

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