

HISTORY OF DRUGS: IBUPROFEN

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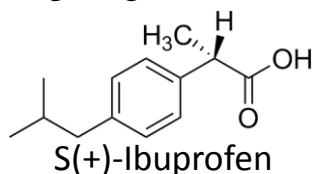
Introduction. Currently, more than 20% of the world's population is constantly using non-steroidal anti-inflammatory drugs (NSAID) - available and effective drugs, which include over 70 biologically active molecules of different chemical structure. Derivatives of salicylic, anthranilic, indolacetic, phenylacetic, propionic acids, pyrazolones and medicines of other chemical groups are among them. However, their main drawback is the ulcerogenic effect on the gastrointestinal tract. This problem in 1969 allowed scientists to discover one of the world's famous drug – “Ibuprofen”. Its chemistry and properties were studied in our work.

Aim. The aim of is work is the study of chemical structures, mechanisms of action of NSAID, analysis of available methods of synthesis, search and study of dependencies in the field of "structure-biological activity" of drugs.

Materials and methods. We used original protocols and studied procedures and reports of initial design of NSAID from the group of propionic acids. Methods of scientific-bibliographic research were also applied.

Results and discussion. In this work, we studied basic precondition of origin and milestones of the development of NSAID chemistry from quinine to ibuprofen. The last one was used as an example for detailed study of its properties, methods of synthesis, protocols of clinical trials. We found historical documents, the first research and stages of synthesis of the drug, analyzed all available schemes of industrial synthesis of ibuprofen. The most rational among them is the “green synthesis”. Also we generalized some dependencies “structure-activity”.

Conclusions. It was that ibuprofen exists in two isomers. One of them is biologically active substance - S(+)-Ibuprofen. The drug is a weak acid ($pK_a=5.2$), that allows it to bind to plasma proteins and to accumulate in inflamed tissues, acting longer and more effectively, in such a manner. Ibuprofen has a weak ulcerogenic



effect, because of selectivity to COX- 2 inhibitor. As it has a methyl group, bonded to α -carbon atom, it possesses lower hepatotoxicity. However, this is true when the dose is low. Ibuprofen has side effects too, but in comparison with

other NSAIDs they are expressed weakly. That's why Ibuprofen is one of the most popular and well-sold drug all over the world.