CHEMISTRY OF $TRPV_1$ ANTAGONISTS – THE NOVEL CLASS OF ANALGESICS

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Introduction. Pain accompanies many pathological states in human organism. In many cases it spoils the mood of a patient and results in complications in treatment. Nowadays there are many well-known drugs helping effectively to relieve pain. However, they have many side effects, which narrows down their application scope. There are also some other disadvantages of their use. Due to these facts the search of the new biochemical mechanisms of analgesic activity and the potential drug-discovery target are of the great importance.

Aim. To study the ways of $TRPV_1$ antagonists chemistry development process, in view of their highly promising prospects as the new class of anlagesics.

Materials and methods. The information from the open Internet sources.

Results and discussion. The history of $TRPV_1$ antagonists is in clothe relation with the well-known and widely used crop Capsicum annuum (Chili pepper), whose pods are used as spices for cooking of many dishes. The drug form prepared from this plant is Capsicum Plaster (lat. Emplastrum Capsici), it is a pain relief patch for external use, which is effective in relieving rheumatism, muscular fatigue, back pain and stiff shoulders. The structure of its main components capsaicin was first determined in 1919. Soon the synthetic way of its preparation was developed, and its local analgesic activity revealed. The further studies of capsaicin showed the main molecular action target for this substance was $TRPV_1$ ionic channel; capsaicin is a $TRPV_1$ antagonist. However, the internal use of this drug met the problem due to its irritative properties (a sensation of burning in any tissue with which it comes into contact). Therefore an important achievement on the way to applicable TRPV antagonists was synthesis of Capsazepine (a derivative of 1,3-di(arylalkyl)thioureas) developed by Novartis. This drug did not reach the clinical trial step though; it appeared to be not stable and did not meet the requirements according to its pharmacokinetic parameters. The synthesis of Capsazepine started the era of synthetic TRPV antagonists; later the new classes of the inhibitors like Di(arylalkyl)- and aryl(arylakyl)ureas, Cinnamides, Carboxamides, and also different heterocyclic derivatives (imidazoles, pyrimidines, quinolines) were reported. Pharmaceutical companies spend much effort on their research with the aim to present their TRPV antagonists to the market.

Conclusions. The $TRPV_1$ antagonists can be the new and promising group of substances with analgesic effect.

ANTI-INFLAMMATORY ACTIVITY GLUCOSE AMMONIUM SALTS AND GLUCOSYL AMIDES OF NITROBENZOIC AND N-PHENYLANTHRANILIC ACIDS

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Introduction. Inflammatory processes are the common adverse factor that complicates the course of many pathological conditions. Additionally, arthritis and arthrosis of various joints causes a frequent disability of patients when untimely treatment. Benzoic and N-phenylanthranilic acids, as well as compounds with glucosamine are the objects of close attention of foreign and local scientists. Their derivatives have been widely used in medical practice as non-steroidal anti-inflammatory drugs and compounds that improve cartilage trophism.