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# **Content**

- 1. History**
- 2. Classification**
- 3. Agonists of opiate receptors**
- 4. Agonists-antagonists of opiate receptors**
- 5. Antagonists of opiate receptors**
- 6. Non-Narcotic Analgesics**

# History

Before the advent of modern analgesics for pain relief were used in various ways to relieve the pain: for example, during the operation patients have drunk alcohol, scopolamine, opium, Indian hemp.

In folk medicine used willow bark. The willow bark contains the substance salicin, which on hydrolysis is converted into salicylic acid, which has anti-inflammatory and analgesic effect.

Acetylsalicylic acid was synthesized in 1853 and was used in medicine until 1899: during these 46 years of accumulated data on its effectiveness in arthritis and good endurance.

# Classification

Narcotic analgesics:

1. Agonists of opioid receptors (morphine, promedol, fentanyl)
2. Agonists-antagonists and partial agonists of opioid receptors (pentazocine, butorphanol, buprenorphine)

Non-narcotic analgesics:

1. Salicylic acid derivatives: aspirin, sodium salicylate.
2. Pyrazolone derivatives: dipyron, phenylbutazone, aminopyrine.
3. Aniline derivatives — phenacetin, paracetamol.
4. Alkane acid derivatives — ibuprofen, flurbiprofen, diclofenac sodium.
5. Anthranilic acid (mefenamic acid and flunarone).
6. Other — piroxicam, dimexide, hotazel.

In biochemical activity :

1. Acting on the center of pain (blocks the production of prostaglandins).
2. Blocking the transmission of pain signals in the brain.

## Drug activity :

1. Opioid (narcotic) analgesics to relieve severe pain, mainly affect the Central nervous system, can cause mental and physical dependence, and in large doses can cause death from overdose, so opioid analgesics are used in a certain amount, and efficiently stored under the supervision of doctors.

2. Non-opioid (non-narcotic) analgesics are used to relieve temperature.

## Anti-inflammatory effect :

1. Not inhibit inflammatory processes (antipyretics).

2. Inhibit inflammation (Nonsteroidal anti-inflammatory drugs).

# Agonists of opiate receptors

- Morphine is an alkaloid isolated from frozen milky juice of the opium poppy (opium). The chemical structure refers to a derivative of phenanthrene. (Opium contains another group of alkaloids - thinline (papaverine), which possess antispasmodic action).



- Fentanyl is a synthetic narcotic analgesic belonging to the group fenilpiperidina. Provides strong, fast, but short-term analgesic effect the analgesic activity of 100 times higher than that of morphine. Like morphine, it depresses the respiratory center and increases the activity of the vagus nerve, causing bradycardia



# Agonists-antagonists of opiate receptors

Agonists-antagonists act differently on different types of opiate receptors: some receptors they stimulate (agonist effect), or block (antagonistic) effect. These drugs, having a strong analgesic effect, have less severe side effects than morphine.



Butorphanol is similar to morphine but effective in smaller doses than morphine. Compared with morphine has low narcotic effect and decrease the ability to cause physical dependence. Butorphanol is used for severe pain: in the aftercare period, the cancer patients with renal colic, severe trauma. The drug is administered intramuscularly or intravenously. When applying butorphanol possible respiratory depression, drowsiness, weakness.



Buprenorphine. On analgesic activity is superior to morphine in 20-30 times and a more extended (6 hours). From the gastrointestinal tract well absorbed. Often the drug is administered enterally.



# Antagonists of opiate receptors

Opioid antagonists are naloxone and naltrexone, which eliminate all effects of morphine and its derivatives (respiratory depression, euphoria, analgesia, etc.)

Naloxone is the blocker of all types of opioid receptors. The drug is administered intramuscularly or intravenously. After 1-2 minutes after intravenous administration begins the normalization of breathing. Naloxone acts within an hour. Indications for use: acute intoxication of narcotic analgesics. Naltrexone is also an antagonist of opiates, but it works stronger and longer than naloxone. Effective when taken orally.



# **Non-Narcotic Analgesics**

Non-narcotic analgesics by the nature and strength of analgesic action, as well as on a number of other properties different from those of narcotic analgesics

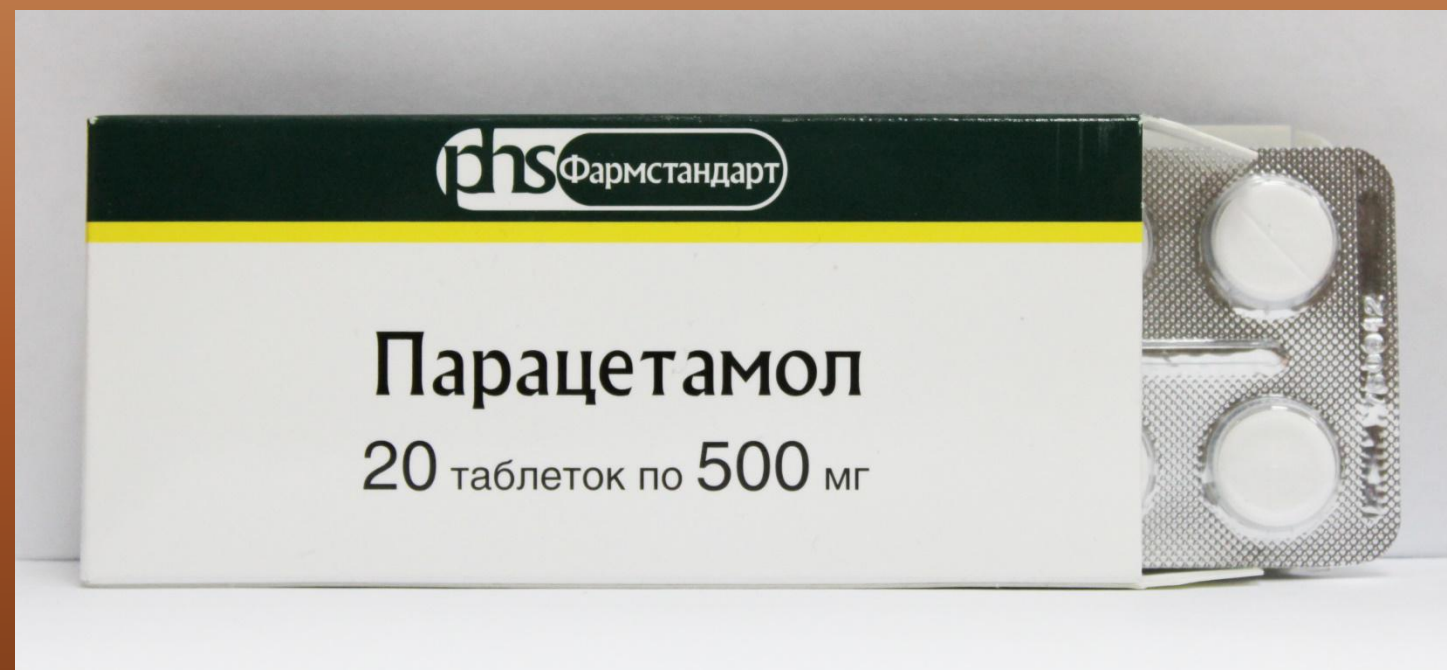
I. Derivatives of salicylic acid: acetylsalicylic acid (aspirin), salicylate of sodium, acelysin, salicylamide, methyl salicylate. Representatives of this group are characterized by low toxicity, but a marked irritant effect (risk of ulcer formation and bleeding). This group of drugs is contraindicated in children under 12 years.



II. Pyrazolone derivatives: dipyron (metamizol), aminopyrine (aminofenazon), phenylbutazone (phenylbutazone), antipyrine (phenazone). Drugs have a small breadth of therapeutic action, depress the blood, therefore are not assigned for a long time. Analgin due to its good water solubility is used intramuscularly, subcutaneously and intravenously for anesthesia and emergency treatment of hyperthermia, aminopyrine increases convulsive readiness in young children and decreases diuresis.

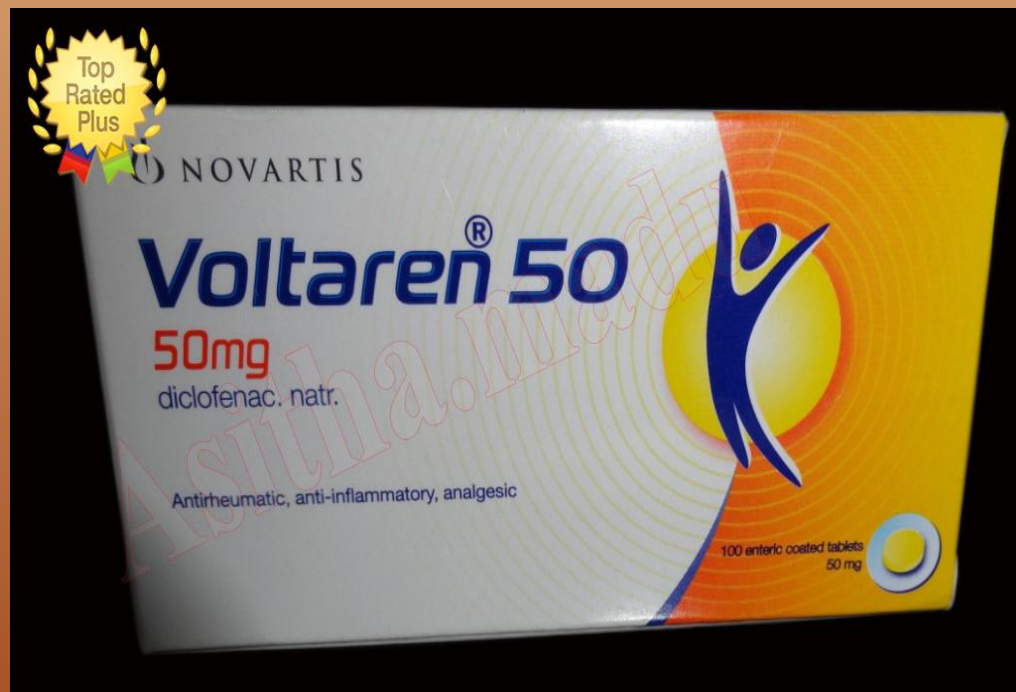


III. Derivatives of para-aminophenol: paracetamol and phenacetin. Representatives of this group are deprived of anti-inflammatory activity, antiplatelet and Antirheumatic actions. Practically do not cause ulcer formation, inhibit renal function, do not increase the seizure activity of the brain. Paracetamol is the drug of choice in the treatment of hyperthermia, especially in children. Phenacetin with long-term use causes nephritis.





IV. Derivatives of phenylacetic acid: diclofenac sodium (ortofen, voltaren). This drug rarely causes ulceration and is used mainly as an anti-inflammatory and Antirheumatic drug.



**Thank you for  
your attention !**