Parasympatholytics

Parasympatholytics are substances that antagonize the effects of parasympathetic irritation. This effect is achieved by the reversible *blockade of muscarinic receptors* of the parasympathetic. A typical example is atropine.

Pharmacological effects

Glandular secretion:

- reduction of secretion of salivary, tear, sweat and bronchial glands.

Eye:

- dilation of the pupil,
- paralysis of accommodation,
- increased intraocular pressure,
- reduction of lacrimation.

Cardiovascular system:

- reduction of the effects of n. vagus to the heart,
- increase in heart rate.

Respiratory system:

- bronchodilation,
- inhibition of mucociliary function.

The digestive tract:

- relaxation of hollow organs,
- decrease in motility and tone.

CNS:

- depending on the type of stimulatory and inhibitory effects,
- affecting extrapyramidal system,
- can raise the temperature,
- reduction of tremors and muscle rigidity.

Clinical Use

- **spasmolytics** - substances with quaternary nitrogen are preferred,
- **bronchodilation** - the advantage is that the effect does not decrease with long-term administration,
- **antiarrhythmics** - drugs of choice for bradyarrhythmias,
- to reduce glandular secretion,
- mydriatics – used to examine the fundus of the eye,
- premedication before general anesthesia – blocking unwanted vagal reflexes
- antiemetics – mainly for motion sickness, but no parasympatholytics are currently registered for this indication,
- **antiparkinson drugs** - they are effective against tremors, but only serve as additional therapy,
- **in case of poisoning by organophosphates or direct muscarinics**,
- the administration of atropine during therapy myasthenia gravis antagonizes the adverse effects of acetylcholinesterase inhibitors.

Side effects

They also result from blockade of M receptors. They are:

- **dry mouth**,
- hyperthermia caused by *inhibition of sweating*,
- effects on the eye: **increased intraocular pressure'** (glaucoma), **mydriasis**, **cycloplegia**,
- effects on the heart: **tachycardia**, parasympatholytics can trigger an attack of angina pectoris or worsen heart failure,
- GIT side effects: mainly **constipation**,
- urinary tract: difficulty urinating, **retention of urine**,
- CNS: **stimulation**, can lead to hallucinations, convulsions, coma.

Contraindications

- glaucoma,
- benign prostatic hyperplasia, urinary retention,
- intestinal atony,
- tachycardia,
- ischaemic heart disease (relative CI, but caution is advised).

Drug Interactions

Drug interactions occur with drugs that can potentiate the antimuscarinic effect. These include **antihistamines**, **neuroleptics**, **antidepressants**, quinidine or antiparkinson drugs.

Therapeutically used parasympatholytics

Substances with tertiary nitrogen

They are characterized by good absorption and distribution to the CNS.

Atropine

It is a natural alkaloid. It is mainly given for **bradyarrhythmias**, before general anesthesia, in case of intoxication with acetylcholinesterase inhibitors, spasmolytic of the digestive and urinary tracts.

Scopolamine

It is a natural alkaloid similar to atropine. It penetrates into the CNS more easily than atropine. Causes CNS depression. Scopolamine is characterized by antiemetic effects, but it is not currently registered in the Czech Republic.

Homatropin

It is an ester of mandelic acid with tropine. It is most commonly used in *ophthalmology*.

Benzatropine and biperiden

They are indicated for **parkinsonism'** as an adjunctive therapy.

Parasympatholytics with quaternary nitrogen

They have a strong antispasmodic effect. Due to the presence of quaternary nitrogen, they do not penetrate the CNS, but due to their low absorption from the GIT, they must be administered parenterally. They are used for functional disorders of the digestive and urinary systems or inhaled as bronchodilators.

Representatives

- ***ipratropium*** - non-selective muscarinic antagonist, effect lasts 4-6 hours, bronchodilator,
- ***tiotropium*** - long-term effective M_1 and M_3 antagonist - the effect lasts 24-36 hours, it is also used as a bronchodilator,
- ***Butyl scopolamine*** (N-butyl scopolamine) – used to relax the muscles of the digestive tract, urogenital tract or bladder.

Substances used in incontinence:

- ***tolterodine***, ***fesoterodine***, ***oxybutynine***, ***trospium'***,
- *M_3 selective agents:* ***solifenacin***, ***darifenacin***.

Other representatives:

- ***oxyphenone***,
- ***otilonium***,
- ***poldine***.

Selective parasympatholytics

The representative is '**pirenzepine**'. Improves microcirculation in the gastric mucosa. It is mainly used for stomach ulcers, duodenal ulcers and other gastropathies.

Links

Related Articles

- Asthma bronchiale
- Pesticide intoxication

References

- LINCOVÁ, Dagmar, et al. *Základní a aplikovaná farmakologie.* 1. vydání. GALÉN, 2002. 601 s. ISBN 80-7262-168-8

Recommended literature

- MLADĚNKA, Přemysl. *Parasympatomimetika, nikotin, parasympatolytika - seminář* [přednáška k předmětu Farmakologie, obor Farmacie, FaF HK UK v Praze]. Hradec Králové. 13. 4. 2011