

Antiemetics

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Vomiting is the basic mechanism protecting the organism against the absorption of poisons and toxins consumed. It might appear in the situations where it is not purposeful and harmful, for example during pregnancy, unusual movement, anxiety, surgical intervention or chemotherapy. In these cases, we need to alleviate the vomiting or prevent it.

Antiemetics can be divided based on their affinity and intrinsic activity on specific receptors listed below. The diversity of the form of medication enables its usage for individual conditions.

Antiemetics for prevention of kinetosis and vestibular disorders

Scopolamine

Scopolamine is one of the oldest medications used for curing the kinetosis and it is as effective as the new medication. In a patch form, it is used for example for prophylaxis of motion sickness. Side effects are sedation and dry mouth.

Antihistaminics

Antihistaminics are important for prophylaxis of kinetosis and also for suppressing already emerged kinetosis. **Dimenhydrinate** is used for treating nausea in pregnancy.^[1] It belongs to a weak group of antiemetics. We cannot forget some serious negative side effects (general sedation, orthostatic hypotension in susceptible individuals induced by antiadrenergic effects, blurry vision caused by strong „atropin-like“ effect on peripheral muscarinic receptors), which makes them not suitable for drivers of motor vehicles.

Specific agent in this group is **betahistine**, which is a H₃ receptor antagonist (and in stimulation also H₁ receptors). Its application leads to vasodilation of arterioles of inner ear, it mitigates nausea and vomiting from central source. It does not cause sedation.

For treatment of nausea and vomiting induced by vestibular defects, we can also use cinnarizine, vasodilator from the group of non-selective calcium channel antagonists.

Antiemetics agents for treatment of chemotherapy-induced vomiting

náhled|Metoklopramid

D₂ dopamine receptors antagonists (antidopamine effect)

Antagonism of D₂ receptors is associated with prokinetic effect. We put neuroleptics to the D₂ antagonists group which can be used in this indication. The sedative and antipsychotic effect caused by neuroleptics is used as premedication for operation^[1].

Benzamide (antidopamine effect) - the most common used antiemetic from this class is **metoklopramid**.

Fenothiazines (neuroleptics) - thiethylperazine (Torecan)

Butyrophenones (neuroleptics) are medium acting antiemetics.

- haloperidol, droperidol a domperidon,

Corticosteroids

Dexamethasone a methylprednisolone are used in middle to severe vomiting. We do not prescribe them in situation of severe vomiting due to for example cisplatin.

They are usually administered in combination with antidopamine antiemetics or 5-HT₃ receptor antagonists (*setrons*). Probably, they work due to changes of cell membrane permeability.

Cannabinoids



Metoclopramide ampule

Dronabinol a nabilon are used in patients with medium acting emetogenic chemotherapy. They are rarely used as a first line antiemetics because of the side effects (dysporia, hallucinations, sedation, nausea, dry mouth and disorientation. Due to their orexigenic effect they are suitable for cancer-associated cachexia in unresponsive patients to other type on antiemetics.

Benzodiazepines

Lorazepam a alprazolam are used in patients with anxiety related to chemotherapy (with primary disease).

5-HT₃ receptor antagonists

It is a second newest class of antiemetics. Well-known drug **ondansetron** belongs to this class, whose effect is identical to high-dose metoclopramide (with advantage- it does not elicit it's negative side effects). It acts against vomiting induced by all chemotherapeutics as well as radiotherapy, in the form of i.v. or per os. We use it for prevention of vomiting associated to chirurgical procedures. Recently, it has also shown to be highly effective against vomiting induced in individuals with AIDS after administering co-trimoxazole.

The disadvantage is its high price, the advantage is its rapid onset of action after only a few administrations. The negative side effects are mild and transient, for example headache, constipation (slowing down the movement of the gut), skin lesions, elevation of the levels of transaminases.

Next drugs in this class are **granisetron, tropisetron a dolasetron**.

NK₁ receptors antagonists

Neurokinin-1 receptor antagonists are the newest antiemetics agents. We use them for frequent vomiting during chemotherapy. The agent belonging to this class is **aprepitant**^[2].

Other antiemetics

Anxiolytics

For psychogenic vomiting and anxiety associated with nausea we can also use anxiolytics with sedative and antiemetic effect, i.e. **hydroxyzin**.

Links

Related articles

- Zvracení

References

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- 2.