Metoclopramide

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Name in Cyrillic: Метоклопрамид

Pharmachologic effect: prokinetic, anti-hiccup, antiemetic.

Pharmacodynamics:
Metoclopramide is an antagonist of dopamine (D2) receptors, as well as serotonin (5-HT3) receptors (in high doses). Metoclopramide stimulates the motor activity of the upper gastrointestinal tract (including regulates the tone of the lower esophageal sphincter at rest) and normalizes its motor function. Metoclopramide enhances the tone and amplitude of gastric contractions (especially the antrum), relaxes the sphincter of the pylorus and duodenal bulb, increases peristalsis and accelerates gastric emptying. Metoclopramide normalizes the separation of bile (increases pressure in the gallbladder and bile ducts), reduces spasm of the sphincter of Oddi, eliminates dyskinesia of the gallbladder.
Antiemetic activity is due to the blockade of central and peripheral D2-dopamine receptors, which results in inhibition of the trigger zone of the vomiting center and a decrease in the perception of signals from afferent visceral nerves. As an antiemetic, Metoclopramide is effective for nausea and vomiting of various etiologies, incl. caused by cancer chemotherapy (prevention), associated with anesthesia, side effects of drugs (digitis, cytostatics, anti-tuberculosis drugs, antibiotics, morphine), liver and kidney diseases, uremia, traumatic brain injury, vomiting of pregnant women, in violation of the diet. In migraine, metoclopramide is used to prevent gastric stasis and nausea, as well as to stimulate the absorption of antimigraine drugs taken orally. Metoclopramide is ineffective in vestibular vomiting.
It suppresses the central and peripheral action of apomorphine, increases the secretion of prolactin, causes a transient increase in the level of aldosterone (a short-term fluid retention is possible), increases the sensitivity of tissues to acetylcholine (the action does not depend on vagal innervation, but is eliminated by anticholinergics).

Pharmacokinetics:
Metoclopramide is quickly and well absorbed after oral administration, Cmax is achieved 1-2 hours after taking a single dose, bioavailability - 60-80%. Plasma protein binding is approximately 30%. Easily passes through histohematic barriers, incl. through the BBB, the placental barrier, penetrates into breast milk. The volume of distribution is 3.5 l / kg. Biotransformed in the liver. T1 / 2 with normal kidney function is 4-6 hours, with impaired renal function - up to 14 hours. Metoclopramide is excreted by the kidneys (when taken orally, approximately 85% of the dose appears in the urine unchanged within 72 hours and in the form of sulfate and glucuronide conjugates) .
It begins to act 1-3 minutes after i / v administration, 10-15 minutes after i / m administration, 30-60 minutes after ingestion; the effect lasts 1-2 hours.

Indications:
Nausea, vomiting, hiccups of various origins (in some cases it can be effective for vomiting caused by radiation therapy or cytostatics), functional digestive disorders, gastroesophageal reflux disease, atony and hypotension of the stomach and duodenum (including postoperative) , biliary dyskinesia, flatulence, exacerbation of peptic ulcer of the stomach and duodenum (as part of complex therapy), preparation for diagnostic studies of the gastrointestinal tract.

Contraindications:
Hypersensitivity, bleeding from the gastrointestinal tract, pyloric stenosis, mechanical intestinal obstruction, perforation of the wall of the stomach or intestines (including conditions where increased motor activity of the gastrointestinal tract is undesirable), glaucoma, pheochromocytoma (hypertensive crisis is possible due to the release of catecholamines from the tumor) , epilepsy (the severity and frequency of epileptic seizures may increase), Parkinson's disease and other extrapyramidal disorders (exacerbation is possible), prolactin-dependent tumors, early childhood up to 2 years (increased risk of dyskinetic syndrome).

Use with caution:
Bronchial asthma (the risk of bronchospasm increases), arterial hypertension (with intravenous administration, the condition may worsen due to the release of catecholamines), liver and / or kidney failure, old age, children under 14 years of age (for parenteral administration).

Pregnancy and breast-feeding:
During pregnancy, the use is possible only if necessary (adequate and strictly controlled studies in humans have not been conducted).

Side effects:
restlessness (about 10%), drowsiness (about 10%, more common with high doses), unusual tiredness or weakness (about 10%). Extrapyramidal disorders, incl. acute dystonic reactions (0.2% at doses of 30-40 mg / day), such as convulsive twitching of the facial muscles, trismus, opisthotonus, muscle hypertonicity, spastic torticollis, spasm of the extraocular muscles (including oculogyric crisis), rhythmic protrusion language, bulbar type of speech; rarely - stridor and dyspnea, possibly due to laryngospasm. Parkinsonian symptoms: bradykinesia, tremor, muscle rigidity - a manifestation of dopamine-blocking action, the risk of development in children and adolescents increases when the dose of 0.5 g / kg / day is exceeded. Tardive dyskinesia, including involuntary movements of the tongue, puffing out of the cheeks, uncontrolled chewing movements, uncontrolled movements of the arms and legs (see also "Precautions"). Insomnia, headache, dizziness, disorientation, depression (symptoms were moderate to severe and included suicidal thoughts and suicide), anxiety, confusion, tinnitus; rarely - hallucinations. There are rare reports of the development of neuroleptic malignant syndrome (hyperthermia, muscle rigidity, impaired consciousness, autonomic disorders)

Interaction:
Antipsychotics (especially phenothiazines and butyrophenone derivatives) increase the likelihood of developing extrapyramidal disorders. With simultaneous use reduces the effectiveness of levodopa. When taken with drugs that cause CNS depression - an increase in the sedative effect. When co-administered with cyclosporine, the reduction in gastric emptying time caused by metoclopramide may increase the bioavailability of cyclosporine (monitoring of cyclosporine concentrations may be necessary). Metoclopramide may reduce gastric absorption of digoxin (adjustment of digoxin dose may be necessary). May accelerate the absorption of mexiletine. Metoclopramide accelerates the absorption of paracetamol, tetracycline. Co-administration with alcohol may enhance the inhibitory effect of alcohol or metoclopramide on the central nervous system, as well as accelerate the removal of alcohol from the stomach, thus probably increasing the rate and extent of its absorption in the small intestine. Combined use with drugs containing opioids can block the effect of metoclopramide on gastrointestinal motility. Simultaneous use with metoclopramide may reduce the effect of cimetidine due to a decrease in its absorption.

Dosing and Administration:
Adults: orally - 5-10 mg 3 times a day before meals; intramuscularly or intravenously - 10 mg; the maximum single dose is 20 mg, the maximum daily dose is 60 mg (for all routes of administration). Children over 2 years old : the dose is setted depending on age. For patients with hepatic insufficiency, the initial dose is reduced by 2 times due to an increase in T1/2. In case of impaired renal function, the dose is selected depending on creatinine clearance.

Manufacturer: Renewal (Russia)

Reliable supplier with fast Worldwide shipping:
RussianMeds Online Store
https://russianmeds.com

Storage:
The temperature is not above 25 °C (77 °F)
Keep out of the reach of children.