# Mexidol<sup>®</sup>

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# Name in Cyrillic: Мексидол®

Active substance: Ethylmethylhydroxypyridine succinate

#### Pharmachologic effect:

Mexidol<sup>®</sup> is an inhibitor of free radical processes, membrane protector with antihypoxic, stress-protective, nootropic, anticonvulsant and anxiolytic effects.

Mexidol<sup>®</sup> increases the body's resistance to the various damaging factors (shock, hypoxia and ischemia, cerebral circulation disorders, alcohol intoxication and antipsychotic drugs - neuroleptics).

The mechanism of action of Mexidol<sup>®</sup> is due to its antioxidant, antihypoxant and membrane-protective action. It inhibits lipid peroxidation, increases the activity of superoxide dismutase, increases the lipid-protein ratio, reduces the viscosity of the membrane, and increases its fluidity.

Mexidol<sup>®</sup> modulates the activity of membrane-bound enzymes (calcium-independent PDE, adenylate cyclase, acetylcholinesterase), receptor complexes (benzodiazepine, GABA, acetylcholine), which enhances their ability to bind to ligands, contributes to the preservation of the structural and functional organization of biomembranes and transport of neuromembranes.

Mexidol<sup>®</sup> increases the content of dopamine in the brain. It causes an increase in the compensatory activation of aerobic glycolysis and a decrease in the degree of inhibition of oxidative processes in the Krebs cycle under conditions of hypoxia with an increase in the content of ATP and creatine phosphate, activation of the energy-synthesizing functions of mitochondria, and stabilization of cell membranes.

Mexidol<sup>®</sup> improves metabolism and blood supply to the brain, improves microcirculation and rheological properties of blood, reduces platelet aggregation.

It stabilizes the membrane structures of blood cells (erythrocytes and platelets) during hemolysis. Has a hypolipidemic effect, reduces the content of total cholesterol and LDL.

The antistress effect is manifested in the normalization of post-stress behavior, somatovegetative disorders, restoration of sleep-wakefulness cycles, impaired learning and memory processes, reduction of dystrophic and morphological changes in various structures of the brain.

Mexidol<sup>®</sup> has a pronounced antitoxic effect in withdrawal symptoms. It eliminates the neurological and neurotoxic manifestations of acute alcohol intoxication, restores behavioral disorders, autonomic functions, and is also able to relieve cognitive impairment caused by long-term ethanol intake and its cancellation.

Under the influence of Mexidol<sup>®</sup>, the effect of tranquilizing, neuroleptic, antidepressant, hypnotic and anticonvulsant drugs is enhanced, which makes it possible to reduce their doses and reduce side effects.

Mexidol<sup>®</sup> improves the functional state of the ischemic myocardium. In conditions of coronary insufficiency, it increases collateral blood supply to the ischemic myocardium, contributes to the preservation of the integrity of cardiomyocytes and the maintenance of their functional activity. Effectively restores myocardial contractility in reversible cardiac dysfunction.

#### Pharmacokinetics:

Mexidol® is rapidly absorbed when taken orally.

When taken orally in doses of 400-500 mg, Cmax is 3.5-4 mcg / ml. It is quickly distributed in organs and tissues. The average retention time of the drug in the body when taken orally is 4.9-5.2 hours.

When administered intramuscularly, the drug is determined in blood plasma for 4 hours after administration. Tmax - 0.45–0.5 hours. Cmax when administered in doses of 400–500 mg is  $3.5-4 \ \mu g \ ml$ .

Mexidol<sup>®</sup> quickly passes from the bloodstream to organs and tissues and is quickly eliminated from the body. The retention time of the drug is 0.7-1.3 hours.

Mexidol® is metabolized in the liver by glucuron conjugation. 5 metabolites have been identified: 3-hydroxypyridine

phosphate - is formed in the liver and, with the participation of alkaline phosphatase, decomposes into phosphoric acid and 3-hydroxypyridine; 2nd metabolite - pharmacologically active, is formed in large quantities and is found in urine on the 1st or 2nd day after administration; 3rd - excreted in large quantities in the urine; 4th and 5th - glucuron conjugates.

T1/2 when taken orally is 2–2.6 hours. It is quickly excreted in the urine, mainly in the form of metabolites and in small amounts unchanged. It is most intensively excreted during the first 4 hours after taking the drug. The indicators of excretion in the urine of unchanged drug and metabolites have individual variability.

# Indications:

consequences of acute disorders of cerebral circulation, incl. after transient ischemic attacks, in the phase of subcompensation as preventive courses;

slight traumatic brain injury, the consequences of traumatic brain injury;

encephalopathy of various origins (dyscirculatory, dysmetabolic, post-traumatic, mixed);

autonomic dystonia syndrome;

mild cognitive disorders of atherosclerotic genesis;

anxiety disorders in neurotic and neurosis-like states;

ischemic heart disease (as part of complex therapy);

relief of withdrawal symptoms in alcoholism with a predominance of neurosis-like and vegetative-vascular disorders, post-withdrawal disorders;

conditions after acute intoxication with antipsychotic drugs;

asthenic conditions, as well as for the prevention of the development of somatic diseases under the influence of extreme factors and loads;

exposure to extreme (stress) factors.

#### **Contraindications:**

increased individual sensitivity to the drug and its components;

acute abnormalities in liver function;

acute abnormalities in kidney function;

lactose intolerance, lactase deficiency, glucose-galactose malabsorption;

pregnancy, breastfeeding (due to insufficient knowledge of the effect of the drug)

children's age (due to insufficient knowledge of the effect of the drug).

# Pregnancy and breast-feeding:

Mexidol® is contraindicated during pregnancy and during breastfeeding.

#### Side effects:

The incidence of side effects is defined as very rare.

#### Interaction:

Mexidol<sup>®</sup> is compatible with all drugs used to treat somatic diseases. Mexidol<sup>®</sup> enhances the effect of benzodiazepine drugs, antidepressants, anxiolytics, anticonvulsants, antiparkinsonian drugs. Reduces the toxic effects of ethyl alcohol.

#### **Dosing and Administration:**

TABLETS :

125-250 mg 3 times a day; the maximum daily dose is 800 mg .

The duration of treatment is 2–6 weeks; for relief of alcohol withdrawal - 5-7 days. The treatment is stopped gradually, reducing the dose within 2-3 days.

The initial dose is 125-250 mg (1-2 tablets) 1-2 times a day with a gradual increase until a therapeutic effect is obtained; the maximum daily dose is 800 mg.

The duration of the course of therapy in patients with coronary artery disease is at least 1.5–2 months. Repeated courses (on the recommendation of a doctor), preferably in the spring and autumn periods.

#### INJECTABLES :

Intramuscularly or intravenously (stream or drip). When administered by infusion, the drug should be diluted in 0.9% sodium chloride solution.

With stream injection Mexidol<sup>®</sup> is injected slowly over 5-7 minutes, drip - at a rate of 40-60 drops per minute. The maximum daily dose should not exceed 1200 mg.

In case of acute disorders of cerebral circulation, Mexidol<sup>®</sup> is used in the first 10-14 days - intravenous drip of 200-500 mg 2-4 times a day, then 200-250 mg i / m 2-3 times a day for 2 week

In case of TBI and the consequences of TBI Mexidol<sup>®</sup> is used for 10-15 days intravenous drip, 200-500 mg 2-4 times a day.

In case of discirculatory encephalopathy in the decompensation phase, Mexidol<sup>®</sup> should be administered intravenously in a stream or drip at a dose of 200-500 mg 1-2 times a day for 14 days. Then - intramuscularly at 100-250 mg/day for the next 2 weeks.

For the course prevention of discirculatory encephalopathy, the drug is administered intramuscularly at a dose of 200–250 mg 2 times a day for 10–14 days.

For mild cognitive impairment in elderly patients and with anxiety disorders, the drug is used intramuscularly in a daily dose of 100-300 mg / day for 14-30 days.

In acute myocardial infarction, as part of complex therapy, Mexidol<sup>®</sup> is administered intravenously or intramuscularly for 14 days with traditional therapy of myocardial infarction, including nitrates, β-blockers, ACE inhibitors, thrombolytics, anticoagulant and antiplatelet agents, as well as symptomatic agents according to indications. In the first 5 days, in order to achieve the maximum effect, it is advisable to administer the drug intravenously, in the next 9 days Mexidol<sup>®</sup> can be administered intramuscularly.

Intravenous administration of the drug is carried out by drop infusion, slowly (to avoid side effects) on 0.9% sodium chloride solution or 5% dextrose (glucose) solution in a volume of 100–150 ml for 30–90 minutes. If necessary, a slow jet injection of the drug is possible, lasting at least 5 minutes.

The drug is administered (intravenously or intramuscularly) 3 times a day, every 8 hours. The daily therapeutic dose is 6-9 mg / kg of body weight per day, a single dose is 2-3 mg / kg of body weight. The maximum daily dose should not exceed 800 mg, single dose - 250 mg.

In case of open-angle glaucoma of various stages, Mexidol<sup>®</sup> is administered intramuscularly at 100-300 mg / day, 1-3 times a day for 14 days.

In case of alcohol withdrawal syndrome, Mexidol<sup>®</sup> is administered in a dose of 200–500 mg intravenously or intramuscularly 2-3 times a day for 5–7 days.

In case of acute intoxication with antipsychotic drugs, the drug is administered intravenously at a dose of 200–500 mg / day for 7–14 days.

In case of acute purulent-inflammatory processes in the abdominal cavity (acute necrotizing pancreatitis, peritonitis), Mexidol<sup>®</sup> is prescribed on the first day both in the preoperative and postoperative periods. The doses administered depend on the form and severity of the disease, the prevalence of the process, and the options for the clinical course. Mexidol<sup>®</sup> should be withdrawn gradually only after a stable positive clinical and laboratory effect. In acute edematous (interstitial) pancreatitis Mexidol<sup>®</sup> is prescribed 200-500 mg 3 times a day intravenously (in 0.9% sodium chloride solution) and intramuscularly. Mild severity of necrotizing pancreatitis - 100-200 mg 3 times a day intravenous drip (in 0.9% sodium chloride solution) and intramuscularly. Average severity - 200 mg 3 times a day intravenous drip (in 0.9% sodium chloride solution). Severe course - at a pulse dosage of 800 mg on the first day, with a double reception mode ; then - 200-500 mg 2 times a day with a gradual decrease in the daily dose. Extremely severe course - at an initial dosage of 800 mg / day until persistent relief of the manifestations of pancreatogenic shock, upon stabilization of the state - 300-500 mg 2 times a day intravenous drip (in 0.9% sodium chloride solution) with a gradual decrease in the daily dosage.

#### Overdose:

Symptoms: drowsiness, insomnia.

Treatment: due to low toxicity, overdose is unlikely. Treatment, as a rule, is not required, the symptoms disappear on their own within 24 hours. With pronounced manifestations, supportive and symptomatic treatment is carried out.

# Special instructions:

During the period of taking the drug, care should be taken when working that requires the speed of psychophysical reactions (driving vehicles, mechanisms, etc.).

Manufacturer: Pharmasoft (Russia)

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

# Storage:

The temperature is not above 25 °C (77 °F) Keep out of the reach of children. Shelf-life of the drug is 3 years.