

Mexidol®

International Non-Proprietary Name (INN): Mexidol (Emoxypine)

Dosage Form: pills (125 mg)

Structure: 1 capsule contains:

Active ingredient: ethylmethylhydroxypyridine succinate (2-ethyl-6-methyl-3-hydroxypyridine) – 125 mg.

Excipients: lactose monohydrate – 97.5 mg, povidone – 25 mg, magnesium stearate – 2.5 mg.

Film coat: opadrai II white 33G28435 – 7.5 mg (hypromellose 3 mg, titanium dioxide – 1.875 mg, lactose monohydrate – 1.575 mg, polyethylene glycol (macrogol) – 0.6 mg, triacetin – 0.45 mg)

Description:

The double radius pills are covered with a film coat in white or light creamy colour. On the cross-section there are two layers: the inner one (the core) is gray or gray-creamy and the outer one is white or white-creamy.

Pharmacological classification: antioxidant

ATC code: N07XX

Pharmacological action: antioxidant, anxiolytic, antihypoxic, anticonvulsant, anti-ischemic, nootropic, neuroprotective, anti-inflammatory and cardioprotective

Pharmacodynamics:

Mexidol is an inhibitor of free-radical processes and membrane protector with antihypoxic, stress-protective, nootropic, anticonvulsant and anxiolytic action. The drug increases the resistance of the body to various damaging factors (shock, hypoxia, ischemia, cerebral circulation disorders and intoxication with alcohol and antipsychotic drugs (neuroleptics)).

Mexidol has antioxidant, antihypoxic 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. The drug modulates the activity of membrane-bound enzymes (calcium of independent phosphodiesterase, adenylate cyclase and acetylcholinesterase) and receptor complexes (benzodiazepine, GABA and acetylcholine): it enhances their ability to bind to ligands, helps to preserve the structural and functional organization of biomembranes and neurotransmitter transport, and facilitates synaptic transmission improvement.

Mexidol causes an increase of dopamine in the brain. It enhances compensatory activation of aerobic glycolysis and weakens the inhibition of oxidative processes in the Krebs cycle under conditions of hypoxia with an increased ATP and creatine phosphate. The drug activates the energy-synthesizing functions of mitochondria and stabilization of cell membranes.

Mexidol improves the metabolism and blood supply of the brain, improves microcirculation and rheological properties of blood, and reduces platelet aggregation. It stabilizes the membrane structures of blood cells (erythrocytes and platelets) during hemolysis. The drug has a hypolipidemic effect and lowers the level of total cholesterol and low-density lipoproteins.

Anti-stress action of the drug normalizes post-stress behavior and somatic-vegetative disorders, restores sleep-wake cycles, disrupted learning and memory processes, and reduces dystrophic and morphological changes in various structures of the brain.

Mexidol has a pronounced antitoxic effect in patients with withdrawal syndrome. It eliminates neurological and neurotoxic manifestations of acute alcohol intoxication, restores behavioral disorders, vegetative functions, and is also capable of removing cognitive impairments caused by prolonged intake of ethanol and its discontinuation. Mexidol enhances the effect of tranquilizers, neuroleptics, antidepressants, hypnotics and anticonvulsants, so that it is possible to reduce their doses and diminish side effects.

Mexidol improves the functional state of the ischemic myocardium. In conditions of coronary insufficiency the drug increases collateral blood supply of the ischemic myocardium, preserve the integrity of cardiomyocytes and maintain their functional activity. It restores effectively myocardial contractility with reversible cardiac dysfunction.

Pharmacokinetics:

C_{max} in plasma for the dosage of 400-500 mg is 3.5-4.0 $\mu\text{g} / \text{ml}$ and is achieved within 0.45-0.5 hours. Mexidol is quickly distributed in organs and tissues. The average retention time of the drug in the body is 4.9-5.2 hours. The drug is metabolized in the liver by glucuronconjugation. $T_{1/2}$ is 2-2.6 hours. Mexidol is excreted from the body with urine mainly in glucuronoconjugate form and in small amounts in unchanged form.

Intended uses:

- consequences of acute cerebral circulation disorders, including after transient ischemic attacks, in the phase of subcompensation as a preventive measure;
- light craniocerebral injury, the consequences of craniocerebral trauma;
- encephalopathy of various genesis (dyscirculatory, dismetabolic, posttraumatic, mixed);
- vegetative dystonia syndrome;
- light cognitive disorders of atherosclerotic origin;
- anxiety disorders in neurotic and neurosis-like states;
- state after acute intoxication by antipsychotic drugs;
- asthenic conditions, and also to prevent the development of somatic diseases under the influence of extreme factors and loads;
- extreme (stress) factors impact;
- ischemic heart disease (as part of complex therapy);
- relief of alcohol withdrawal syndrome (predominance of neurosis-like and vegetative-vascular disorders), post-abstinence disorders.

Contraindications:

Individual intolerance, acute renal failure and acute hepatic failure. It is not recommended for children, pregnant and lactating ladies due to the lack of clinical research data.

Dosage and administration:

Orally, 125-250 mg (1-2 pills) 3 times a day. The maximum daily dose is 800 mg (6 pills).

Duration of the standard treatment course is 2-6 weeks (for relief of alcohol withdrawal syndrome 5-7 days). Treatment should be finished gradually, reducing the dosage within 2-3 days.

The initial dosage is 125-250 mg (1-2 pills) 1-2 times a day with a gradual increase till a therapeutic effect is obtained; the maximum daily dose is 800 mg (6 pills).

Duration of the course of therapy in patients with IHD (ischemic heart disease) is at least 1.5-2 months. Repeated courses (on doctor's recommendation) should be conducted preferably in the spring-autumn periods.

Side effects (rare): nausea, dry mouth, allergic reactions.

Overdose:

Overdose may develop drowsiness.

Interaction with other drugs:

Mexidol can be combined with all drugs used to treat somatic diseases. It enhances the action of benzodiazepine derivatives, antidepressants, anxiolytics, antiparkinsonian and anticonvulsants. Mexidol reduces the toxic effect of ethanol.

Special instructions:

In some cases (especially in predisposed patients with bronchial asthma and increased sensitivity to sulfites), severe hypersensitivity reactions can arise.

Pregnancy and lactation:

Mexidol is not recommended during pregnancy and lactation.

Influence on the ability to drive vehicles and operate mechanisms:

During the treatment period, care must be taken when driving vehicles and engaging in other potentially hazardous activities that require increased concentration of attention and speed of psychomotor reactions.

Terms of release from pharmacy: on prescription.

Storage conditions: store in a dry dark place at temperatures no higher than 25°C. Keep out of reach of children.

Shelf life: 3 years. Do not use beyond the expiration date printed on the package.

Country of manufacture: Russia