# Afobazole®

translated from original Russian leaflet by RussianMeds Store <a href="https://russianmeds.com">https://russianmeds.com</a>

Name in Cyrillic: Афобазол®

Active substance: Fabomotizole

**Pharmachologic effect:** Afobazole® is a selective non-benzodiazepine anxiolytic.

## **Pharmacodynamics:**

By acting on sigma-1 receptors in the nerve cells of the brain, Afobazole® stabilizes GABA / benzodiazepine receptors and restores their sensitivity to endogenous mediators of inhibition. Afobazole® also increases the bioenergetic potential of neurons and has a neuroprotective effect: it restores and protects nerve cells.

The effect of the drug is realized mainly in the form of a combination of anxiolytic (anti-anxiety) and mild stimulating (activating) effects. Afobazole® reduces or eliminates feelings of anxiety (concern, bad feelings, fears), irritability, tension (fearfulness, tearfulness, inability to relax, insomnia), depressed mood, somatic manifestations of anxiety (muscle, sensory, cardiovascular, respiratory, gastrointestinal symptoms), autonomic disorders (dry mouth, sweating, dizziness), cognitive disorders (difficulty concentrating, memory impairment), incl. arising from stress disorders (adjustment disorders). The use of Afobazole is especially indicated for persons with predominantly asthenic personality traits in the form of anxious suspiciousness, uncertainty, increased vulnerability and emotional lability, a tendency to emotional stress reactions.

The effect of the drug develops on the 5-7th day of treatment. The maximum effect is achieved by the end of 4 weeks of treatment and remains after the end of treatment for an average of 1-2 weeks.

Afobazole® does not cause muscle weakness, drowsiness and does not have a negative effect on concentration and memory. When taking Afobazole, addiction and withdrawal syndrome do not develop.

#### **Pharmacokinetics:**

After oral administration, Afobazol® is well and quickly absorbed from the gastrointestinal tract.

The maximum concentration of the drug in plasma (Cmax) is  $0.130 + 0.073 \,\mu\text{g}$  / ml; the time to reach the maximum concentration (Tmax) -  $0.85 + 0.13 \,\text{hours}$ .

Metabolism: Afobazole® undergoes a "first pass effect" through the liver, the main directions of metabolism are hydroxylation at the aromatic ring of the benzimidazole cycle and oxidation at the morpholine fragment.

Afobazole® is intensively distributed over well-vascularized organs; it is characterized by rapid transfer from the central pool (blood plasma) to the peripheral (highly vascularized organs and tissues).

The half-life of Afobazole® when taken orally is 0.82 + 0.54 hours. The short half-life is due to the intensive biotransformation of the drug and the rapid distribution from blood plasma to organs and tissues. The drug is excreted mainly in the form of metabolites and partially unchanged in the urine and feces.

#### **Indications:**

Afobazole® is used in adults with anxiety conditions: generalized anxiety disorders, neurasthenia, adjustment disorders, in patients with various somatic diseases (bronchial asthma, irritable bowel syndrome, systemic lupus erythematosus, ischemic heart disease, hypertension, arrhythmias), dermatological, oncological and other diseases. In the treatment of sleep disorders associated with anxiety, neurocirculatory dystonia, premenstrual syndrome, alcohol withdrawal syndrome, to alleviate the withdrawal syndrome in smoking cessation.

#### **Contraindications:**

Individual intolerance to the drug. Galactose intolerance, lactase deficiency or glucose-galactose malabsorption. Pregnancy, lactation. Children under the age of 18.

## Pregnancy and breast-feeding:

The use of Afobazole® is contraindicated in pregnancy. If it is necessary to use the drug during lactation, breastfeeding should be discontinued.

#### Side effects:

Allergic reactions are possible.

Rarely - headache, which usually goes away on its own and does not require discontinuation of the drug.

#### Interaction:

Afobazole® does not interact with ethanol and does not affect the hypnotic effect of thiopental. Afobazole enhances the anticonvulsant effect of carbamazepine, enhances the anxiolytic effect of diazepam.

# **Dosing and Administration:**

10mg tablets (Afobazole®):

The optimal single dose is 10 mg, after meals. Daily allowance - 30 mg, divided into 3 doses during the day.

30mg prolonged release tablets (Afobazole® Retard):

1 tablet 1 time per day, in the morning, regardless of food intake.

The duration of the course of the drug is 2-4 weeks.

If necessary, the daily dose of the drug can be increased to 60 mg, and the duration of treatment up to 3 months.

#### Overdose:

With a significant overdose and intoxication, it is possible to develop a sedative effect and increased drowsiness without manifestations of muscle relaxation. As an emergency, caffeine 20% solution is used in ampoules of 1.0 ml 2-3 times a day subcutaneously.

#### **Special instructions:**

Afobazole does not have a negative effect on driving vehicles and performing potentially hazardous activities that require increased concentration of attention and speed of psychomotor reactions.

Manufacturer: OTC Pharm (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.

Shelf-life of the drug is 3 years (Afobazole®), 2 years (Afobazole® Retard)