

# Grandaxin® instructions

translated from original Russian instructions by Extrapharmacy Online Store

<http://extrapharmacy.ru>

**Name in Cyrillic :** ГРАНДАКСИН

**Active substance :** Tofisopam

**Pharmacologic effect :** anxiolytic , tranquilizer

## **Pharmacodynamics:**

Grandaxin is the drug from the group of benzodiazepine derivatives (atypical benzodiazepine derivative)

Grandaxin has an anxiolytic effect, almost not-accompanied by a sedative, muscle relaxant, anticonvulsant action. Grandaxin is a psychovegetative regulator, it eliminates various forms of autonomic disorders. It has a mild stimulating activity. Due to the lack miorelaxant effect Grandaxin can also be used in patients with myopathy and myasthenia. Because of atypical chemical structure, in contrast to the classical benzodiazepine derivatives, in therapeutic doses Grandaxin hardly causes the development of physical, psychological dependence and withdrawal.

Grandaxin refers to the day anxiolytics.

## **Pharmacokinetics:**

Tofisopam is rapidly and almost completely absorbed from the gastrointestinal tract. Blood Cmax is reached within 2 hours after which the plasma concentration is reduced monoexponential. Tofisopam not accumulates in the body. Its metabolites do not possess pharmacological activity. Extracted mainly in the urine (60-80%) in the form of conjugates with glucuronic acid and to a lesser extent (about 30%) - with the feces. T1 / 2 is 6-8 hours.

## **Indications :**

neuroses and neurosis-like states (state, accompanied by emotional stress, autonomic disorders, moderate anxiety, apathy, decreased activity, obsessive feelings);

reactive depression with moderately severe psychopathological symptoms;

mental adaptation disorder (PTSD);

climacteric syndrome (as a standalone tool, as well as in combination with hormonal therapy);

premenstrual syndrome;

cardialgia (alone or in combination with other drugs);

alcohol withdrawal syndrome;

myasthenia, myopathy, neurogenic muscular atrophy and other pathological conditions with secondary neurotic symptoms when anxiolytics are contraindicated with pronounced muscle relaxant effect.

## **Contraindications**

Hypersensitivity to Tofisopam or any other benzodiazepines;

State, accompanied by severe psychomotor agitation, aggressiveness, or severe depression;

decompensated respiratory failure;

I trimester of pregnancy; lactation;

apnea syndrome during sleep (in history);

simultaneous application of tacrolimus, sirolimus, cyclosporine;

galactose intolerance, congenital lactase deficiency or malabsorption syndrome of glucose and galactose (product contains lactose monohydrate).

Precautions: decompensated chronic respiratory distress, acute respiratory failure in the history of angle-closure glaucoma, epilepsy, organic brain damage (such as atherosclerosis).

## **Side effects**

Digestive tract: loss of appetite, constipation, increased separation of gas, nausea, dry mouth. In some cases possible congestive jaundice.

CNS: there may be headache, insomnia, irritability, agitation, confusion, seizures may occur in patients with epilepsy.

Allergic reactions: rash, scarlatiniform rash, pruritus.

Musculoskeletal system: muscle tension, muscle aches.

Respiratory system: respiratory depression.

## **Interaction**

Concomitant use of tacrolimus, sirolimus, cyclosporine and Tofisopam is contraindicated. Plasma concentrations of drugs that are metabolized by CYP3A4, can be increased if they are taking at the same time with Tofisopam.

Application of Tofisopam with drugs that suppress the central nervous system (analgesics, general anesthetics, antidepressants, H1-antihistamines, sedatives, hypnotics, antipsychotics), reinforces their effects (eg sedation or respiratory depression).

Hepatic enzyme inducers (alcohol, nicotine, barbiturates, antiepileptics) may enhance metabolism of Tofisopam, which may lead to a decrease in its plasma concentration and weakening of therapeutic effect.

Some antifungal drugs (ketoconazole, itraconazole) may slow hepatic metabolism of Tofisopam, which leads to an increase in its concentration in plasma.

Some antihypertensives (clonidine, calcium channel antagonists) may enhance the effects of Tofisopam. Beta-blockers may slow the metabolism of Tofisopam, but this effect has no clinical significance.

Tofisopam can increase digoxin plasma levels.

Benzodiazepines can affect the anticoagulant effect of warfarin.

Long-term use of Disulfiram can inhibit the metabolism of Tofisopam.

Antacids can interfere with the absorption of Tofisopam. Cimetidine and omeprazole inhibit metabolism of Tofisopam.

Oral contraceptives can reduce the intensity of metabolism of Tofisopam.

Tofisopam weakens the inhibitory effect of alcohol on the central nervous system.

## **Dosing and Administration**

The mode set individually, taking into account the patient's condition, the clinical form of the disease and individual sensitivity to the drug. Adults appoint 50-100 mg (1-2 tablets.) 1-3 times a day. At irregular application can take 1-2 tablets.

The maximum daily dose - 300 mg.

Elderly patients and patients with kidney failure the daily dose reduced about 2-fold.

## **Overdose**

To remove hypotension - the best is intravenous administration of fluids and transfer the patient in the Trendelenburg position. If these steps do not restore normal blood pressure, you can enter the dopamine or norepinephrine. Dialysis and induced diuresis are ineffective.

As an antagonist - Flumazenil can be entered, but its use in Tofisopam overdose is not clinically tested.

## **Pregnancy and breast-feeding**

Grandaxin is contraindicated in I trimester of pregnancy. At the time of treatment should stop breastfeeding.

## **Special instructions**

It should be noted that patients with mental retardation, elderly patients, as well as having disorders of the kidneys and / or liver disease are more likely than other patients may experience side effects.

Tofisopam is not recommended with chronic psychosis, phobias or obsessive-compulsive disorder. In these cases, the risk of suicide attempts and aggressive behavior is increased. Therefore Tofisopam is not recommended as monotherapy of depression or depression accompanied by anxiety.

Care should be taken when treating patients with depersonalization, and organic brain damage (such as atherosclerosis). In patients with epilepsy Tofisopam may increase the seizure threshold.

Each tablet of Grandaxin contains 92 mg of lactose, which should be considered for patients suffering from lactose intolerance (see. "Contraindications").

## **Manufacturer**

EGIS, Hungary

## **Reliable supplier with fast Worldwide shipping**

Extrapharmacy Online Store <http://extrapharmacy.ru>

## **Storage**

The temperature is 15- 25 ° C. Keep out of the reach of children. Shelf-life of the drug is 5 years.