

# Zoloft (Sertraline)

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**Name in Cyrillic :** ЗОЛОФТ

**Active substance :** Sertraline

**Pharmacologic effect :** antidepressive

## **Pharmacodynamics:**

Sertraline - antidepressant, a powerful specific inhibitor of the reuptake of serotonin (5-HT) neurons. It has very little effect on the reuptake of noradrenaline and dopamine. At therapeutic doses, sertraline blocks uptake of serotonin in human platelets. It has no stimulating, sedative or anticholinergic effects. Due to the selective inhibition of 5-HT capture, sertraline does not enhance adrenergic activity. Sertraline has no affinity for muscarinic (cholinergic), serotonergic, dopaminergic, adrenergic, histaminergic, GABA or benzodiazepine receptors.

Sertraline does not cause drug dependence, and weight gain during chronic administration.

## **Indications :**

Depression different etiology (treatment and prevention);

obsessive-compulsive disorder;

panic disorder;

post-traumatic stress disorder (PTSD);

social phobia.

## **Contraindications :**

known hypersensitivity to sertraline;

simultaneous use of MAO inhibitors and pimozone;

pregnancy;

during breastfeeding (See "Pregnancy and lactation".);

Children up to age 6 years.

Precautions: organic brain disease (including mental retardation); epilepsy; liver and / or kidney failure; marked reduction in body weight.

## **Pregnancy and lactation :**

There are not any monitored results of the application of sertraline in pregnant women, so the drug should be assigned to them only if the expected benefit to the mother outweighs the potential risk to the fetus. Women of reproductive age, which is supposed to appoint sertraline should be advised to use effective contraception.

Sertraline is found in breast milk, therefore the treatment with this drug is not recommended during breast-feeding. No reliable data on safety of its use in this case. If treatment is necessary, it is better to stop breast-feeding.

## **Side effects:**

*Digestive system:* dyspepsia (bloating, nausea, vomiting, diarrhea, constipation), abdominal pain, pancreatitis, dry mouth.

*Cardiovascular system:* palpitations, tachycardia, hypertension.

*Musculoskeletal system:* arthralgia, muscle cramps.

*Central nervous system and peripheral nervous system:* extrapyramidal disorder (dyskinesia, akathisia, gnashing of teeth, gait disturbance), involuntary muscle contractions, paresthesia, syncope, somnolence, headache, migraine, dizziness, tremor, insomnia, anxiety, agitation, hypomania, mania, hallucinations, euphoria, nightmares, psychosis, decreased libido, suicide, coma.

*Respiratory system:* bronchospasm, yawning.

*Urinary system:* bedwetting, incontinence or urinary retention.

*Reproductive system and breast:* sexual dysfunction (delayed ejaculation, decreased potency), galactorrhea, gynaecomastia, menstrual disorders, priapism.

*View:* blurred vision, mydriasis.

*Endocrine system:* hyperprolactinemia, hypothyroidism, syndrome of inappropriate secretion of ADH.

*Hepatobiliary:* hepatitis, jaundice, hepatic failure.

*Allergic reactions:* urticaria, pruritus, anaphylactoid reactions.

*Other:* weakness, skin redness or flushing, tinnitus, alopecia, angioedema, face edema, periorbital edema, photosensitivity reactions, purpura, increased sweating, decreased appetite (rarely - increased) up to anorexia, decreased or increased body weight, bleeding (including nasal, gastrointestinal or hematuria), peripheral edema occasionally Stevens-Johnson syndrome, epidermal ne-

crolysis.

According to laboratory tests: rare (in the case of long-term use) there is asymptomatic increase of transaminases in blood serum. Removal of the drug, in this case leads to a normalization of enzyme activity.

The development of leukopenia and thrombocytopenia is possible, as well as increase the level of cholesterol in the blood serum.

When stopping treatment with sertraline rare cases of withdrawal are described. May appear paresthesia, hypoesthesia, depressive symptoms, hallucinations, aggressive reaction, agitation, anxiety or psychotic symptoms that are indistinguishable from symptoms of the underlying disease.

#### **Interaction :**

*Pimozide.* When the joint application of sertraline and pimozide levels showed an increase when administered in a single low dose (2 mg). Increased pimozide levels were not associated with any ECG changes. Since the mechanism of this interaction is unknown, and pimozide different narrow therapeutic range, concomitant use of pimozide and sertraline is contraindicated.

*MAO inhibitors.* There have been severe complications, while the use of sertraline and MAO inhibitors (including the selective effect - selegiline and reversible type of action - moclobemide and linezolid). There may be development of serotonin syndrome (SS) (hyperthermia, rigidity, myoclonus, lability of the autonomic nervous system (rapid fluctuations of breathing parameters and cardiovascular) changes in mental status, including increased irritability, marked agitation, confusion, which in some cases can go into delirious state or someone). Similar complications, sometimes fatal, occur in the appointment of MAO inhibitors during treatment with antidepressants, depressing neuronal uptake of monoamines, or immediately after their withdrawal.

*Drugs depressing the central nervous system, and ethanol.* The combined use of sertraline and substances which depress the central nervous system requires attention; also prohibited the use of alcohol and drugs containing alcohol during treatment with sertraline. There was no potentiation of ethanol effects, carbamazepine, haloperidol or phenytoin on cognitive and psychomotor performance in healthy subjects; however it is not recommended sertraline and alcohol combined use.

*Anticoagulants of indirect action (warfarin).* At their joint appointment with sertraline been a slight but statistically significant increase in PV (in these cases it is recommended to control the PV at the beginning of treatment with sertraline and after its cancellation).

#### **Pharmacokinetic interactions :**

Sertraline is bound to plasma proteins. It is therefore necessary to consider the possibility of interaction with other drugs that bind to the protein (eg diazepam and tolbutamide).

*Cimetidine.* Simultaneous application substantially reduces the clearance of sertraline.

*Drugs metabolized isoenzyme cytochrome P450 2D6.* Long-term treatment with sertraline 50 mg / day increases the plasma concentration of both drugs used in the metabolism which takes part this enzyme (tricyclic anti-depressants, anti-arrhythmic drugs class IC - propafenone, flecainide).

*Drugs metabolized by cytochrome P450 other enzyme systems.* Experiments on the interaction in vitro showed that carried isoenzyme CYP3A3 / 4 beta-hydroxylation of endogenous cortisol, as well as the metabolism of carbamazepine and long-term administration of terfenadine with sertraline at a dose of 200 mg / day does not change. Tolbutamide concentration in the plasma (but while taking tolbutamide reduces clearance - is necessary to monitor blood glucose, while the application), warfarin and phenytoin prolonged assignment of sertraline in the same dose also varies. Thus, we can conclude that sertraline does not inhibit CYP2C9 isoenzyme.

Sertraline not affect the diazepam concentration in the serum, indicating no inhibition of CYP2C19 isoenzyme. According to studies in vitro, sertraline or hardly affects minimally inhibits isozyme CYP1A2.

*Lithium.* The pharmacokinetics of lithium is not changed by concomitant administration of sertraline. However, the tremor occurs more often when they are used together. As well as the appointment of other SSRIs, concomitant use of sertraline with drugs that affect the serotonergic transmission (eg lithium), requires increased caution.

*Agents acting on serotonergic transmission.* When replacing one inhibitor of neuronal uptake of serotonin on the other in a period of no need of laundering. However, you want to be careful with changes in the course of treatment. Avoid concomitant administration of tryptophan or fenfluramine with sertraline.

*The induction of microsomal liver enzymes.* Sertraline causes minimal induction of liver enzymes. Co-administration of sertraline at a dose of 200 mg and a flame retardant results in a small (5%) but statistically significant decrease in T1 / 2 of antipyrine.

*Atenolol*. If co-administration of sertraline does not change its beta-adrenoceptor blocking action.

*Glibenclamide and digoxin*. Interactions with these drugs have not been identified.

*Phenytoin*. Long-term use of sertraline at a dose of 200 mg / day has no clinically significant effect and does not inhibit the metabolism of phenytoin. Although it is recommended that careful monitoring of phenytoin levels in blood plasma of the designation of sertraline with a corresponding adjustment of phenytoin doses.

*Sumatriptan*. There have been very rare cases of weakness, increased tendon reflexes, confusion, anxiety and agitation in patients concurrently treated with sertraline and sumatriptan. It is recommended to monitor the patients, who have the relevant clinical reasons for the simultaneous reception of sertraline and sumatriptan.

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

Take 1 time per day, morning or evening, regardless of the meal.

##### The initial dose:

Depression and OCD. Treatment with sertraline should start with a dose of 50 mg / day.

Panic Disorder, PTSD, and social phobia. Treatment is initiated with a dose of 25 mg / day, which increased after 1 week to 50 mg / day. Use of the drug for such a scheme to reduce the frequency of early treatment of adverse effects characteristic of panic disorder.

##### Dose selection:

Depression, OCD, panic disorder, PTSD, and social phobia. With little effect in patients applying the sertraline dose of 50 mg / day, its daily dose may be increased. The dose should be increased at intervals of not more than once a week, to a maximum recommended dose of 200 mg / day.

Some therapeutic effect may occur within 7 days, but the overall effect is usually achieved after 2-4 weeks (or even for a longer time in OCD).

##### Maintenance therapy:

Maintenance dose by prolonged treatment should be minimal effective - with its respective changes depending upon the therapeutic effect.

#### ***Application for the treatment of children:***

The safety and efficacy of sertraline established in children with OCD (aged 6 to 17 years). In adolescents (aged 13-17 years), suffering from OCD, sertraline treatment should be started at a dose of 50 mg / day. In children (aged 6-12 years) OCD therapy is started with a dose of 25 mg / day, 1 week, it increased to 50 mg / day. Subsequently, with little effect of the dose can be increased by steps of 50 mg / day to 200 mg / day, as needed. In clinical trials in patients with depression, OCD and aged 6 to 17 years it has shown that the pharmacokinetic profile of sertraline is similar to that of adults. However, to avoid overdosing with increasing doses of 50 mg to take into account the smaller body weight in children as compared to adults.

Selection of doses in children and adolescents.  $T_{1/2}$  of sertraline is approximately 1 day, so the dose changes should occur at intervals of not less than 1 week.

#### ***Special patient groups:***

Aged people. In old age, the drug is used in the same dose range as that of younger people.

Abnormal liver function. Sertraline should be used with caution in patients with liver disease. Patients with hepatic insufficiency should use lower doses or increase the interval between doses of the drug (see. "Special Instructions").

Impaired renal function. Sertraline largely metabolized in the body. In unaltered with urine output only a small amount of the drug. As expected, given the slight renal excretion of sertraline, the dose correction, depending on the severity of renal failure, it is not required (see. The "special instructions").

**Manufacturer :** Pfizer , made in Italy

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

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#### **Storage**

Store in dark place, the temperature is not higher 25° C.

Keep out of the reach of children.

Shelf-life of the drug is 5 years.