

Tamoxifen

translated from original Russian leaflet by RussianMeds Store russianmeds.com

Relevant information on the use of tamoxifen in sports : russianmeds.com/tamoxifen-in-sports

Name in Cyrillic: Тамоксифен

Active substance: Tamoxifen

Pharmacologic effect: antitumor, antiestrogen.

Tamoxifen has a combined spectrum of pharmacological action - both an estrogen antagonist and an agonist in various tissues. In breast cancer patients, tamoxifen mainly exhibits an antiestrogenic effect in tumor cells, preventing estrogen from binding to estrogen receptors. Tamoxifen, as well as some of its metabolites, compete with estradiol for binding sites at cytoplasmic estrogen receptors in breast, uterine, vaginal, anterior pituitary, and high-estrogen tumors. In contrast to the estrogen receptor complex, the tamoxifen receptor complex does not stimulate DNA synthesis in the nucleus, but inhibits cell division, which leads to regression of tumor cells and their death.

Pharmacokinetics:

After oral administration, tamoxifen is well absorbed from the gastrointestinal tract. Cmax in serum is achieved within 4 to 7 hours after taking a single dose. C_{ss} in blood serum is usually reached in 3-4 weeks. Plasma protein binding - 99%. Metabolized in the liver with the formation of several metabolites. The excretion of tamoxifen from the body is biphasic with an initial T_{1/2} from 7 to 14 hours and followed by a slow terminal T_{1/2} for 7 days. It is allocated mainly in the form of conjugates, mainly with feces; in small amounts excreted in the urine.

Indications:

Breast cancer in menopausal women, breast cancer in men after castration, kidney cancer, melanoma (containing estrogen receptors), ovarian cancer; prostate cancer with resistance to other drugs, anovulatory infertility, some types of male infertility.

Contraindications:

Hypersensitivity to tamoxifen; pregnancy, lactation (breastfeeding); children's age - depending on the dosage form.

Use with caution:

renal failure, diabetes mellitus, eye diseases (including cataracts), deep vein thrombosis and thromboembolic disease (including history), hyperlipidemia, leukopenia, thrombocytopenia, hypercalcemia, concomitant therapy with indirect anticoagulants.

Pregnancy and breast-feeding:

Tamoxifen is contraindicated for use during pregnancy and lactation (breastfeeding). If necessary, use during lactation should stop breastfeeding. Tamoxifen inhibits lactation.

Side effects:

Dyspepsia, dizziness, edema, leukopenia, thrombocytopenia, hypercalcemia, keratopathy, retinopathy, dysmenorrhea, hot flashes, metrorrhagia, vulvar itching, reversible cystic ovarian swelling.

Interaction:

In patients receiving tamoxifen, prolongation of the neuromuscular blockade caused by atracurium is possible.

With the simultaneous use of bromocriptine, it is possible to increase the dopaminergic effect of bromocriptine.

In patients receiving tamoxifen, when using warfarin, there is a risk of developing a threatening clinical situation: prolongation of prothrombin time, hematuria, and hematoma are possible.

Simultaneous use with mitomycin increases the risk of developing hemolytic-uremic syndrome.

Estrogens may reduce the therapeutic effect of tamoxifen.

Drugs that reduce calcium excretion (for example, thiazide diuretics) may increase the risk of hypercalcemia.

The combined use of tamoxifen and tegafur may contribute to the development of active chronic hepatitis and cirrhosis of the liver.

The simultaneous use of tamoxifen with other hormonal drugs (especially estrogen-containing contraceptives) leads to a weakening of the specific action of both drugs.

It is possible to reduce the plasma concentration and clinical effect of tamoxifen with simultaneous use with potent inhibitors of the CYP2D6 isoenzyme (for example, paroxetine, fluoxetine, quinidine, cinacalcet, bupropion, antidepressants from the group of selective serotonin reuptake inhibitors).

The pharmacological action of anastrozole can be weakened by tamoxifen.

Dosing and Administration:

The dosage regimen is set individually, depending on the indications, the patient's condition and the antitumor therapy regimen used.

Special instructions:

It is possible to use Tamoxifen in children according to indications, in recommended doses and dosage forms. It is necessary to strictly follow the instructions in the instructions for tamoxifen preparations on contraindications for use in children of different ages of specific dosage forms of tamoxifen.

During the period of therapy, it is necessary to periodically monitor blood coagulation indicators, calcium content in the blood, blood picture (leukocytes, platelets), liver function indicators, blood pressure, and conduct an examination with an ophthalmologist.

Women taking tamoxifen therapy should have regular gynecological examinations.

In patients with hyperlipidemia during treatment, it is necessary to control the concentration of cholesterol and triglycerides in the blood serum.

Tamoxifen should not be used in combination with drugs containing hormones, especially estrogens.

With simultaneous use with drugs that affect the blood coagulation system, a dose adjustment of tamoxifen is necessary.

During the period of tamoxifen use, patients should be careful when driving vehicles and mechanisms, as well as when engaging in other potentially hazardous activities that require increased concentration and speed of psychomotor reactions.

Manufacturer: Ozon Pharm (Russia)

Reliable supplier with fast Worldwide shipping:

RussianMeds Online Store

russianmeds.com

Storage:

The temperature is not above 25 °C (77 °F)

Keep out of the reach of children.