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SUBSTANCES AFFECTING UTERUS MUSCLES

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Abstract: The article is filled with information about substances affecting the muscles of the uterus, their side effects, pharmacokinetics, pharmacodynamics, and cases of use. In the article, substances that increase uterine muscles (oxytocinic): oxytocin, pituitrin, prostaglandins; substances that reduce the contraction of uterine muscles (tocolytics): salbutamol, fenoterol are discussed in detail.

Key words: Uterus, oxytocin, pituitrin, prostaglandin, dinoprostone, hornwort extract, salbutamol, fenoterol, dinonrostone

Medicines that affect the muscles of the uterus are divided into the following groups:

- drugs that increase uterine contractions;
- drugs that increase the tone of the uterus;
- drugs that slow down uterine contractions;
- drugs that reduce the tone of the cervix.

Substances that increase the rhythmic contraction of the uterus (oxytocinic): oxytocin, pituitrin, prostaglandins.

Oxytocin is a hormone of the posterior pituitary gland. Currently, it is obtained synthetically (methyloxytocin). The main pharmacological property of oxytocin is the ability to cause strong rhythmic contractions of the uterus, especially in pregnant women. The effect of oxytocin increases as pregnancy progresses, reaches a maximum during labor and lasts for several days after birth. A non-pregnant uterus is less sensitive to oxytocin. The effect of oxytocin on the uterus is associated with increasing the permeability of myometrial cell membranes for potassium ions and their excitability. In addition, oxytocin stimulates the production of prolactin by the anterior pituitary gland and increases milk secretion. Oxytocin is administered intravenously or intramuscularly.

Prostaglandins are biologically active substances that are present in various organs and tissues of the body. For the first time, prostaglandins were identified in the prostate gland of men, so they were called prostaglandins. Prostaglandins are formed from arachidonic and other unsaturated fatty acids in the phospholipids of cell membranes with the participation of microsomal enzymes. Chemically, prostaglandins are unsaturated fatty acids with a cyclopentane structure. More than 20 prostaglandins have been obtained from body tissues, they are named with Latin letters A, E, F, B, additional numerical symbols PGE, PGE, PGG' are the secondary compounds next to prostaglandins. In medicine, prostaglandins obtained from natural sources and by synthesis are used. Prostaglandins have an effect similar to hormonal substances, they are even called local hormones that control the metabolism of our cells. Prostaglandins affect smooth muscle contractility, secretion, blood circulation - microcirculation, and other activities of the body. They reduce the secretion of gastric juice, reduce peripheral blood vessel resistance, blood pressure, and increase capillary permeability. Some prostaglandins increase adrenergic innervation and constrict blood vessels, while some prostaglandins decrease the activity of adrenergic innervation and dilate blood vessels. Prostaglandins increase or decrease cyclic AMF in cells, and have a positive effect on synaptic transmission in the nervous system. PGE2, PGF2a prostaglandins mainly increase the contractility of pregnant uterine muscles, some of them also contract non-pregnant uterine muscles. Dinoprost - PGF2a and dinoprostone - PGE2 are used to accelerate labor and abortion. Dinoprost rhythmically contracts the muscles of the uterus, both pregnant and non-pregnant, while dilating the cervix. Prostaglandins are administered intravenously, extra-amnially, intra-amnially, and vaginally to induce labor and abortion. To terminate pregnancy, it is recommended to use when the fetus is between 13 and 25 weeks.

Dinoprost can not only affect the myometrium, it can increase the contraction of the bronchial muscles, speed up the heart rate, and increase the permeability of blood vessels. It is forbidden to use the substance in the case of scarred uterus, heart and blood vessel insufficiency, tendency to contraction of bronchial muscles, glaucoma diseases. Dinoprostone - the effect of PGE2 on myometrium and its use is similar to dinoprost, the substance is in the form of tablets and is used by a separate method. Dinoprostone is sent intravenously, expands pulmonary vessels, bronchi. Prostaglandins can cause side effects such as nausea, vomiting, diarrhea, and phlebitis when administered intravenously.

Dinoprost is a dosage form of prostaglandin F 2a. Regardless of the length of pregnancy and the degree of dilatation of the cervix, it has a clear stimulating effect on the contraction of the uterus.

The main methods of administration of prostaglandins are intravenous, extra-amnial, intra-amnial and vaginal. The route of administration and dosage are selected depending on the indications and tolerance.

Dinonrostone is prostaglandin E 2 (PGE 2). Dinoprostone is similar to dinoprost in terms of effects on the uterus. The drug is prescribed orally or intravenously. Indications: Oxytocin and prostaglandins are used to induce and promote labor. Prostaglandins are also used for medical reasons, mainly late pregnancy termination. Contraindications: inconsistency between the size of the pelvis and the fetus, severe diseases of the cardiovascular system, kidneys, liver, hematopoietic system, bronchial asthma, glaucoma.

Substances that reduce the contraction of uterine muscles (tocolytics). Premature contraction of the uterine muscles poses a danger to the mother and the fetus, to reduce such contractions and save the fetus, β-adrenomimimetic-salbutamol, fenoterol substances are used. Substances can stimulate the β-adrenoreceptors of the uterus and accelerate the heartbeat of the mother and the fetus. Sodium oxybutyrate is used as a narcosis when the uterus contracts too much: the contraction of the uterine muscles is also reduced by parenterally administered magnesium sulfate, the substance prevents the passage of calcium ions necessary for contraction to the myometrial cells, and directly to the myometrium relaxes has an effect, tranquilizers are also used for this purpose. Vitamin E, which increases the release of gonadotropins, is used to prevent miscarriage.

Medicines that relax the muscles of the uterus (tocolytics)-

1. Ginipral (Gynipral). Synonyms: hexoprenaline.

Pharmacological effect. Due to the effect on 6eta2-adrenergic receptors of the uterus, it has a tocolytic (relaxing uterine muscle) effect. Compatible with Hexoprenaline.

Instructions for use. As a tocolytic agent, when there is a risk of premature birth (in the third trimester of pregnancy), in acute intrauterine asphyxia of the fetus (impaired blood supply to the fetus), during childbirth (in the case of labor disorders - abnormal contractions) is used. of the uterus during childbirth), to suppress uterine contractions before surgical intervention (cervical dissection, caesarean section).

Method of administration and dosage. Ginipral is used intravenously and orally (in tablets). The "loading" dose is administered intravenously (in acute cases) slowly - 5-10 μg of ginipral in 10-20 ml of isotonic sodium chloride solution. For infusions (for long-term treatment) 50 mcg (the composition of 2 ampoules of 25 mcg - ginipral "concentrate") is diluted in 500 ml of 5% glucose solution. Administer 25 drops per minute (approximately 0.125 mcg per minute). If necessary, increase the dose by 5 drops every 5 minutes. The minimum injection rate is 10 drops per minute, the maximum injection rate is 60 drops per minute.

Tablets should be taken 2-3 hours before the end of parenteral (intravenous) administration. Prescribe 1 tablet first, then 1 tablet every 4-6 hours after 3 hours; only 4-8 tablets per day.

Side effects. Possible headache, anxiety, tremor (shaking limbs), sweating, dizziness. In rare cases - nausea, vomiting. There are isolated reports of intestinal atony (loss of tone); an increase in the level of transaminases (enzymes) in the blood serum. Maternal heart rate may increase and blood pressure may decrease, especially diastolic ("low" blood pressure). In several cases, ventricular extrasystoles (disruption of the heart rhythm) and complaints of pain in the heart area were observed. These symptoms disappear after stopping treatment. In most cases, the fetal heart rate does not change or changes slightly. An increase in the concentration of glucose (sugar) in the blood. This effect is more pronounced in patients with diabetes. Decreased diuresis (urination), especially at the initial stage of treatment. In the first days of treatment, the concentration of calcium in the blood plasma may decrease; During further treatment, the calcium concentration will normalize.

Circumstances that cannot be used. thyrotoxicosis (thyroid disease); cardiovascular diseases, especially tachyarrhythmia (heart rhythm disorder), myocarditis (inflammation of the heart muscle), mitral valve damage, idiopathic hypertrophic subaortic stenosis (a non-inflammatory disease of the muscle tissue of the left ventricle, characterized by acute narrowing). its cavity); severe kidney and liver diseases; angle-closure glaucoma (increased intraocular pressure); heavy uterine bleeding; premature separation of the placenta; infectious lesions of the endometrium (inner lining of the uterus); hypersensitivity to the drug, especially in patients with bronchial asthma.

Release form. in ampoules containing 0.025 mg or 0.01 mg; tablets 0.5 mg.

Storage conditions. List B. In a place protected from light.

2. ISOXUPRINE (Isoxuprine). Synonyms: Duvadilan.

Pharmacological effect. Due to the stimulation of beta-adrenergic receptors, it has a tocolytic (relaxes the uterine muscles) effect. Skeletal muscles reduce the tone of smooth muscles of blood vessels, eliminate spasm of blood vessels (sharp narrowing of their lumen), increase blood supply to tissues.

Instructions for use. The threat of premature birth, obliterating endarteritis (inflammation of the inner lining of the arteries of the extremities with a decrease in their lumen), Raynaud's disease (narrowing of the lumen of the vessels of the limbs), spasm of peripheral vessels.

Method of administration and dosage. If there is a risk of premature birth, an intravenous drip infusion (100 mg of the drug in 500 ml of 5% glucose solution) is prescribed at a rate of 1-1.5 ml / min; gradually increase the injection rate to 2.5 ml / min. When the situation improves (contractions stop), they switch to injecting the drug into the muscle: for 24 hours - 10 mg every 3 hours. 10 mg every 4-6 hours for the next 48 hours. After that, isoxsuprine is prescribed 20 mg orally 4 times a day for 2 days. For peripheral vascular diseases, 20 mg is prescribed orally 4 times a day. In more severe cases, intravenous drip (20 mg of the drug per 100 ml of 5% glucose solution) is prescribed 2 times a day at a rate of 1.5 ml / min. The drug can also be administered intramuscularly, 10 mg 3-4 times a day.

Side effects. Tachycardia (increased heart rate), hypotension (low blood pressure), dizziness, reddening of blood to the upper half of the body, face when administered parenterally (intravenously and intramuscularly); nausea, vomiting, rash.

Circumstances that cannot be used. Recent bleeding, hypotension (low blood pressure), angina.

Release form. 0.02 g isoxsuprine hydrochloride tablets in a package of 50 pieces; solution for injection (5 mg of isoxsuprine hydrochloride in 1 ml) in ampoules of 2 ml in a pack of 6 pieces.

Storage conditions. List B. In a cool place.

3. PARTISTIST. Synonyms: Fenoterol.

Pharmacological effect. It has a tocolytic (uterine muscle relaxant) effect. Belongs to the group of beta2-agonists. It corresponds to the drug Fenoterol.

Instructions for use. The experience of using Partusisten shows that it is an effective tool to eliminate the risk of premature birth and does not have a negative effect on the fetus and newborn.

Method of administration and dosage. It is prescribed intravenously (drip) and orally in the form of tablets. Soon after the start of the intravenous injection, the pain usually decreases significantly, the tension in the uterus disappears, and then the pain and contractions of the uterus stop completely.

Take 5 mg orally every 2-3 hours; daily dose - up to 40 mg. In case of increased sensitivity (appearance of tachycardia / increased heart rate /, muscle weakness, etc.), reduce the single dose to 2.5 mg, and the daily dose to 30 mg. Duration of treatment is 1-3 weeks. It is injected intravenously (0.5 mg in 250-500 ml of 5% glucose solution) 15-20 drops per minute until the contractile activity of the uterus is suppressed.

Partusisten is used in specialized medical institutions under close medical supervision.

Side effects. The drug can cause tachycardia, tremors (shaking), muscle weakness, low blood pressure, sweating, nausea and vomiting. Verapamil - 30 mg IV has been reported to reduce side effects.

Circumstances that cannot be used. Heart defects, heart rhythm disorders, thyrotoxicosis (thyroid gland disease), glaucoma (increased intraocular pressure).

Release form. 0.025 mg ampoules; tablets 0.5 mg.

Storage conditions. List B. In a place protected from light.

4. RITODRINE (Ritodrinum). Synonyms: Prempar, Pre-Par, Yutopar.

Pharmacological effect. Its action is similar to fenoterol, salbupart and other beta2-adrenergic agonists.

Instructions for use. It is used as a tocolytic (relaxes the muscles of the uterus) when there is a risk of premature termination of pregnancy

Method of administration and dosage. It is prescribed 5-10 mg orally 4-6 times a day. Usually, these doses stop the contraction of the uterus and increase the chances of saving the pregnancy. The duration of use of the drug is 1-4 weeks. When early labor begins, oral administration (by mouth) is not effective enough, and the drug is administered intravenously; To do this, 50 mg of the drug is diluted in 500 ml of isotonic sodium chloride solution and administered drop by drop from 10 drops per minute, then gradually increase the rate of intake (15 drops) until the uterus is completely relaxed. To maintain the effect, the drug is administered intramuscularly at 10 mg every 4-6 hours, then 10 mg is prescribed 4-6 times a day with a gradual decrease in the dose.

Ritodrin, like partusisten, is used in specialized medical institutions.

Side effects and contraindications. Possible side effects and precautions are the same as with partusisten.

Release form. Tablets 5 mg; 10 mg ampoules.

Storage conditions. List B. In a place protected from light.

Ritodrin, like partusisten, is used in specialized medical institutions.

Side effects and contraindications. Possible side effects and precautions are the same as with partusisten.

Release form. Tablets 5 mg; 10 mg ampoules.

Storage conditions. List B. In a place protected from light.

5. SALBUPART. Synonyms: Salbutamol, Ventolin, Ecovent, etc.

Pharmacological effect. In terms of effect on the contractile activity of the uterus, salbupart is close to partusisten. Refers to beta2-agonists. It corresponds to the drug Salbutamol.

Instructions for use. As a tocolytic (uterine muscle relaxant), it is used to eliminate the risk of premature birth, as well as after operations on a pregnant uterus.

Method of administration and dosage. It is sent into a vein. The content of one ampoule (5 mg) is diluted in 400-500 ml of isotonic sodium chloride solution or 5% glucose solution. Enter at a rate of 15-20 drops per minute (from 5 drops). The rate of administration depends on the intensity

of uterine contractions and tolerance (monitoring of heart rate and other hemodynamic parameters). Duration of application - 6-12 hours.

Side effects and contraindications are the same as partusisten.

Release form. 0.1% solution in 5 ml (5 mg) ampoules.

Storage conditions. List B. In a place protected from light.

6. TERBUTALINE (Terbutaline). Synonyms: Bricanil, Arubendol, Betasmak, Brika-lin, Brikan, Brikar, Drakanil, Spiranil, Terbutol, Tergil, etc.

Pharmacological effect. Its pharmacological properties are similar to salbutamol. It has a tocolytic (uterine muscle relaxant) effect.

Instructions for use. It is used as a tocolytic (relaxes the muscles of the uterus) to eliminate the risk of premature birth.

Method of administration and dosage. As a tocolytic agent (in obstetrics practice), it is used in the form of drip intravenous infusions (10-25 μg per minute in an isotonic solution of glucose or sodium chloride), then switching to subcutaneous injections (250 μg = 1/2 ampoule).) 4 times a day for 3 days. At the same time, 5 mg is prescribed orally 3 times a day.

Side effects and contraindications are the same as partusisten (see page 378).

Release form. 0.0025 g (2.5 mg) tablets in a package of 20 pieces; Terbutaline sulfate 0.05% solution (0.5 mg) in ampoules of 1 ml, in a package of 10 ampoules.

Storage conditions. List B. In a place protected from light.

6. Tropacin (Tropacinum)

Synonyms: Diphenyltropine hydrochloride, Tropazine.

Pharmacological effect. In its pharmacological properties, tropacin is similar to atropine (see page 92). In obstetric practice, it is used as an antispasmodic (relieving spasms) agent that inhibits the contractile activity of the uterus.

Instructions for use. Tocolytic (relaxes the muscles of the uterus) as a remedy for premature labor and the threat of abortion.

Method of administration and dosage. 0.02 g orally 2 times a day as a tocolytic agent. Release form- Tablets 0.001; 0;003; 0.005; 0.01; 0.015 g in a package of 10 pieces. Storage conditions - Protected from light, in a well-closed container.

Conclusion: The tests showed that the oxytocin drug is used to increase contraction of the uterine muscles when labor is slow, and it is also used for uterine atony and uterine bleeding after childbirth. Every woman in labor receiving intravenous oxytocin should be in a hospital and under the constant supervision of experienced specialists with experience in identifying complications.

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