

HORMONAL AND ANTIHORMONAL DRUGS (part II)

DRUGS WITH INFLUENCE ON THE TONE AND CONTRACTILE ACTIVITY OF THE MYOMETER

A. Actuality. Currently, there is an increase in the incidence of pathological conditions - caused by the insufficiency or hyperfunction of the endocrine glands. It is obvious that in the first case hormonal drugs are administered as replacement therapy, and in the second case antihormonal drugs are indicated. Hormonal drugs are also used in the treatment of non-endocrine diseases, as pathogenic therapy.

Medicines, which stimulate the uterine muscles, are widely used in obstetrics and gynecology to induce and support labor, possibly to cause abortion, as well as to prevent or stop uterine metrorrhagia. Medicines that decrease the contractility of the uterus are useful for the prophylaxis and treatment of impending abortion and premature birth.

B. The purpose of the training is to study the pharmacology of hormonal and anti-hormonal preparations, the principles of selecting drugs according to the pathology and the correct prescription of prescriptions, according to the indications. Familiarization of students with the pharmacology of preparations with influence on the contractile activity of the myometrium

C. Learning objectives:

1) The student **must know:** the definition and classification of hormonal, antihormonal, oxytocic and tocolytic drugs, their pharmacokinetics and pharmacodynamics, the form of delivery and the routes of administration of the main drugs, the basic indications and contraindications, side effects.

2) The student **must be able to:** prescribe the necessary drugs in different medicinal forms, indicate them according to the pathological condition and emergency situations.

D. Knowledge of previous and related disciplines necessary for interdisciplinary integration.

Human anatomy. Endocrine glands. The structure of the uterus. Functional changes of the uterus. Vessels and nerves of the uterus.

Human physiology. General characteristic of endocrine glands. The hormones. Participation in the body's integral reactions. Adrenal cortex. The importance of steroids in the body. Sex glands. Sexual hormones and their importance for the body. Parturition. Increased uterine contractility before the onset of labor. The effect of oxytocin on the uterus. The beginning of labor - the theory of its initiation through positive feedback. Parturition mechanics. Separation and expulsion of the placenta. Involution of the uterus.

Histology. Endocrine system. Structure of endocrine glands. The adrenal glands. The male and female genital system. The uterus. Development. Structure. Vascularization and innervation of the uterus.

Biochemistry. Glucocorticoids, mineralocorticoids, regulation of their biosynthesis and secretion. Influence on carbohydrate, protein, lipid and hydrosaline metabolism. Sexual hormones: structure, influence on metabolism and function of sexual organs. Prostaglandins. Structure and nomenclature. Biosynthesis and metabolism. Biological action.

The pathophysiology. Pathophysiology of adrenal cortex, sex glands.

Morphopathology. Morphological changes in endocrine pathology. Morphopathological changes of the uterus.

D. Self-training questions

1. Mineralocorticoid drugs: mechanism of action, influence on the body, indications, side effects.
2. Glucocorticoid drugs. Classification by route of administration and basic effects. Genomic and non-genomic mechanism of action. Influence on carbohydrate, protein, lipid and hydrosaline metabolism, on mesenchymal tissue, cardiovascular system, CNS, muscles and blood. Mechanisms of anti-inflammatory, anti-allergic, immunosuppressive and anti-shock action of glucocorticoids.

3. Indications of glucocorticoids. Dosing principles. Side effects.
4. Hormonal estrogens drugs: classification, mechanism of action, effects, indications, sideeffects.
5. Hormonal drugs of progestogens: classification, mechanism of action, effects, indications,side effects.
6. Anti-estrogen drugs: classification, mechanisms of action, indications.
7. Antiprogestants drugs: mechanism of action, effects, indications.
8. Contraceptives: classification, mechanism of action, effects, indications, side effects.
9. Androgens drugs hormones: classification, mechanism of action, effects, indications, sideeffects.
10. Androgen antagonists (antiandrogens): classification, mechanisms of action, indications.
11. Classification of anabolic drugs. Steroid anabolics: effects, indications, contraindications,side effects.
12. Non-steroidal anabolic agents: classification, mechanism of action, effects, indications.
13. Classification of drugs that influence the tone and contractile activity of the myometrium.
14. Oxytocic classification, mechanism of action, effects, indications, side effects.
15. Tocolytics: classification, classification, mechanism of action, effects, indications, sideeffects.
16. Drugs that increase myometrial tone (ergot alkaloids): mechanism of action, effects,indications, side effects.
17. Drugs that reduce the tone of the cervix: mechanism of action, effects, indications.

F. Individual works for the student's (points 1, 2, 3 and 4 is obligatory and is done in written form while preparing for the lesson)

1) To prescribe the following drugs in all possible medicinal forms: 1. Deoxycorticosterone acetate. 2. Hydrocortisone acetate. 3. Prednisolone. 4. Dexamethasone. 5. Fluticasone. 6. Estradiol. 7. Progesterone. 8. Methyltestosteron. 9. Nandrolone 10. Clomiphene citrate. 11. Cyproterone. 12. Finasteride. 13. Dinoprostone. 14. Dinoprost. 15. Trizistone.16. Methylergometrine. 17. Fenoterol.

<i>No.</i>	<i>The name drug</i>	<i>Form of delivery , dose</i>
1	Dexamethasone	Compr. 0.0005 Sol. 0.4%- 1 and 2 ml in ampoules
2	Fluticasone	Aerosol 0.05; 0.25 mg/ dose 60 and 120 doses Nasal aerosol 0.5 mg/ dose 60 and 120 doses Ointment 0.005%-15.0 Cream 0.05%-15.0
3	Hydrocortisone acetate	Sol. 2.5% -1ml in ampoules Ointment 1%-10.0 Suppository rectal 0.025 Susp. injectable in ampoules 2.5%-2ml (intra-articular, peri-articular)

4	Prednisolone	Tablets 0.005 Syrup 0.3%-240 ml Sol. 0.3%-1 ml in ampoules Ointment 0.5%-10.0 and 15.0 Cream 0.25%-50.0 Sol. ophthalmic 0.5%- 2.5ml Gel 0.5 %- 2.5 Suppositories 0.01 and 0.2
5	Desoxycorticosterone acetate	Sol. 0.5 and 2.5%- 1 ml In ampoules
6	Estradiol	Tablets 0, 0005 and 0.002 Sol. 2%-5 ml in ampoules Gel 0.06%-80.0 Cream 0.01%-42.5
7	Progesterone	Oily sol 1% and 2.5%- 1 ml in ampoules ; Capsules 0.1 and 0.2 Gel 1%-40.0 and 80.0 Vaginal gel 8%-1.125; Vaginal suppositories 0.2 Vaginal tablets 0.1;
8	Methyltestosterone	Tablets 0.025g
9	Nadrolone	Sol. 10 %- 1 ml in ampoules Sol. 2.5%-10ml in vials
10	Clomiphene	Tablets 0.05
11	Cyproterone acetate	Tablets 0.05
12	Finasteride	Tablets 0.005 Capsules 0.005
13	Dinoprostone	Tablet vaginal 0.003 Vaginal gel 0.01%; 0.03% - 3.0 Sol. 0.05%- 1 ml in ampoules Suppository vaginal 0.02g
14	Dinoprost	Sol. 0.5%- 30 and 100 ml in vials
15	Methylergometrine	Sol. 0.02 %- 1 ml in ampoules Tablets 0.000125 Sol. (for internal use) 0.25 %- 10 ml in vials
16	Fenoterol	Tablets 0.0025 Aerosol 10 ml (100 mcg/ dose) Sol. 0.05 %- 10 ml in ampoules Syrup 0.05%-50ml in vials Sol. for inhalation 0.1%-20ml
17	Trisyston	Tablets No. 21

2) List the groups and drugs used in (for): Acute adrenal insufficiency, chronic adrenal insufficiency, systemic connective tissue diseases, rheumatoid arthritis, rheumatism, nonspecific ulcerative colitis, Quincke's edema, anaphylactic shock, bronchial asthma, status asthmaticus, cerebral edema, hemolytic anemia, ovarian insufficiency, imminence of abortion, male hypogonadism , aplastic anemia, osteoporosis, prevention of unwanted pregnancy, breast cancer, causing abortion, prostate adenoma and cancer, labor induction and augmentation, postpartum uterine hemorrhage, preeclampsia, prophylaxis and treatment of

3) Tables ((knowledge consolidation)

Table 1

Physiological effects of glucocorticoids

Target organs and tissues	Effects	Effect mechanism
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Metabolic effects	Carbohydrate metabolism		
	Protein metabolism		
	Lipid metabolism		
Hydro-electrolytic metabolism	Content in the body: H ₂ O		
	Na ⁺		
	K ⁺		
	Ca ⁺⁺		
Blood system	Content in the blood: Erythrocytes		
	Thrombocytes		
	Neutrophils		
	Eosinophils		
	T - lymphocytes		
Central nervous system			
Cardiovascular system	Blood pressure		
hypothalamo-hypophyseal-suprarenal system			

Table 2

Indications of estrogen hormones

Indications	Estrone	Estradiol	Ethinyl-estradiol	Hexestrol	Fosfestrol
Ovarian hypofunction (primary and secondary hypogonadism)					
Dysmenorrhea (menstrual cycle disorder)					
Insufficient contractile activity of the myometrium in the natal period					
Substitution therapy in natural or surgical menopause					
Peroral contraception (combined with progestogen)					
Prostate cancer					

Table 3

Indications of progestogens

Indications	Progesterone	Hydroxyprogesterone	Medroxyprogesterone acetate	Norethisterone

		caproate		
Dysmenorrhea (menstrual cycle disorder)				
The imminence of spontaneous abortion (caused by yellow body insufficiency)				
Endometriosis				
Peroral contraception (combined with estrogen)				
Parenteral contraception (injectible)				
Substitution therapy in natural or surgical menopause (combined with estrogen)				
Cancer of the endometrium and mammary gland				

Note. note the presence of the effect with the "+" sign.

Table 4

Indications of hormonal contraceptives

Group of drugs	Women up to 40 years, without contraindications to hormonal contraception	Women up to 40 years, with contraindications to estrogen usage	Female smokers older than 35	Women during lactation	Women older than the reproductive period
Combined oral contraceptives					
Contraceptives containing only progestin					

Table 5

Implications of different receptors in the contractile activity of the uterus

Myometrium receptors	Stimulating effect	Depressing effect
Stimulation of alpha – adrenoreceptors		
Stimulation beta 2 - adrenoreceptors		
Stimulation M - cholinoreceptors		
Stimulation H2 – histamine receptors		
Stimulation of oxytocin receptors		
Stimulation of prostaglandin receptors		

Note: Note the presence of the effect with the “+” sign.

Table 6

Indications of oxytocin drugs

Indications	Oxytocin and its analogs	Prostaglandins	Ergot alkaloids
Stimulation of labor			
Artificial interruption of pregnancy in its different periods			

Artificial interruption of pregnancy in late period			
Acceleration of uterine involution in postpartum period			
Postpartum hemostasis			

4) Problems of situation:

To control hemorrhages caused by postpartum uterine atony , the parturient was prescribed a drugs 2 times a day for 3 days. Contrary to the indications, the patient used the drugs 4 times a day for a week. The bleeding stopped, appeared pain in the extremities.

What drugs was prescribed to the patient?

What is the cause of appeared complications?

5)Tests for self-training (Guidelines for Laboratory Work in Pharmacology).

G) Interactive activity

- 1. Experimental and virtual didactic movie (elaboration of minutes, conclusions).**
- 2. Clinical case (Guidelines for Laboratory Work in Pharmacology).**
- 3. Virtual situations (Guidelines for Laboratory Work in Pharmacology).**