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КРАТКО О ЛЕКАРСТВЕННЫХ СРЕДСТВАХ

Учебно-методическое пособие
для студентов 3 и 6 курсов факультета иностранных студентов
учреждений высшего медицинского образования

В двух частях
Часть 2

DRUGS IN SHORT

Practical workbook
for 3 and 6 year students Faculty for International Students
of medical higher educational institutions

In two parts
Part 2

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Авторы:
Е. И. Михайлова, В. А. Саварина, Г. М. Бронская, О. Л. Палковский, Л. И. Новогран, А. В. Сенникова, Ж. В. Шуляк, Б. С. Ярошевич, Т.П. Яшина
Рецензенты:
доктор медицинских наук, доцент, заведующий хирургическим отделением гепатологического центра Гомельской областной специализированной клинической больницы ***В. М. Майоров;***
кандидат медицинских наук, заведующий оториноларингологическим отделением Гомельской областной клинической больницы ***А. В. Черныш***

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Учебно-методическое пособие содержит сведения о классификациях, синонимах, механизмах действия, фармакодинамике, показаниях к применению, побочных эффектах, противопоказаниях и условиях рационального применения лекарственных средств из групп периферических и центральных нейротропов, а также средств, влияющих на функции органов дыхания и пищеварения.
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CONTENTS

LIST OF ABBREVIATIONS

ACE — angiotensin-converting enzyme
AIDS — acquired immunodeficiency syndrome
AH — arterial hypertension
ATP — adenosine triphosphate
BP — blood pressure
CHF — chronic heart failure
CNS — central nervous system
COX — cyclooxygenase
CPK — creatine phosphokinase
FAD — flavin adenindinucleotide
FMN — flavin mononucleotide
GIT — gastrointestinal tract
HIV — human immunodeficiency virus
H. pylori — Helicobacter pylori
IM — intramuscularly
IOP — intraocular pressure
IV — intravenous
MI — myocardial infarction
m/o — microorganisms
MRSA — methicillin-resistant staphylococcus aureus
MRSE — methicillin-resistant epidermal staphylococcus

NA — noradrenaline
Na_2-EDTA — disodium salt of ethylenediaminetetraacetic acid
NAD — nicotinamide adenine dinucleotide
NADP — nicotinamide adenine dinucleotide phosphate
NIRTs — nucleoside reverse transcriptase inhibitors
NNIRTs — non-nucleoside reverse transcriptase inhibitors
NSAIDs — non-steroidal anti-inflammatory drugs
PABA — para-aminobenzoic acid
Per os — orally
PRSA — penicillin-resistant staphylococcus aureus
RAAS — renin-angiotensin-aldosterone system
RSV — respiratory syncytial virus
STIs — sexually transmitted infections
THF — tetrahydrofolic acid
TPR — total peripheral resistance
VMC — vasomotor center

INTRODUCTION

This guide will help you to study drugs affecting the cardiovascular system, kidney function, the blood system, regulating tissue metabolism, chemotherapeutic agents, and also reflects the principles of treatment of acute drug poisoning.

The study guide contains information on 14 topics according to the program. Pharmacological characteristics include modern classifications, the nomenclature of drugs, mechanisms and spectra of action, indications, side effects and contraindications. So it's a rather big amount of information but it is self-explanatory: these tables will help you to study pharmacological logic. If you know mechanism of action you will know drugs pharmacodynamics. If you know pharmacodynamics you will identify indications for use. If you know side effects you will understand contraindications.

This study guide is written in a simple form and contains obvious information you should know after a year of pharmacology.

1. CARDIOTONICS. ANTIARRHYTHMIC DRUGS

Cardiotonic agents

Cardiotonics (inotropics) are drugs that affect the strength of cardiac contraction

Classification	Cardiac glycosides	Non-glycoside agents		
Drugs	*Drugs of digitalis:* 1. Digoxin (Lanicore, Dilacor) 2. Digitoxine (Cardiotoxin) 3. Lanatoside (Celanide, Isolanide) 4. Methylldigoxine (Bemecor, Digi-cor)	*Drugs of strophant:* 5. Strofantin 6. Strofantin G *Drugs of lily of the valley:* 7. Corglycon *Drugs of Adonis:* 8. Adonisid	9. Dobutamine (Dobutrex) 10. Dopamine	11. Amrinon (Vincoram, Inocor) 12. Milrinon (Primacor, Corothrop)
Mechanism of action	Block of SH-group of Na+/K^+-ATPase → violation of Na^+ and K^+ flowinside the cell ↓ K^+ and ↑ Na^+ → ↓ difference between intra- andextracellular concentration of Na^+→ ↓ transmembrane Na^+/Ca^{2+} metabolism → ↓ elimination of Ca^{2+} from the celland ↑ its intracellular concentration; Ions of Ca^{2+} interact with the troponin complex and eliminateits inhibitory effect on contractile proteins of the myocardium → there is an interaction of actin with myosin → rapid and severe myocardial contraction.		1. See "Adrenergic drugs" (9) 2. Stimulation of peripheral dopamine receptors, ß1-, α-adrenergic receptors (10)	Inhibition of phosphodiesterase (III) → ↑ cAMP → ↑ intake of Ca2+ into myocardial cells and stimulation of the function of contractileproteins
Pharmacological effects	*Cardiac:* 1. Positive inotropic effect (strengthening and shortening of the systole, ↑ minute and stroke volume of the heart); 2. Positive bathmotropic effect (↑ excitability of the myocardium); 3. Negative chronotropic effect (bradycardia → elongation of the diastole); 4. Negative dromotropic effect (↓ conduction of the myocardium). *Extra-cardiac:* 5. ↑ *diuresis* (inhibition of Na+/K^+-ATPase in the cells of the epithelium of the renal tubules and ↓ reabsorption of Na+), 6. ↑ *glomerular filtration* (improvement of renal circulation by increasing the impact and minute volume of the heart), *7.* ↓ *edema* (↑glomerular filtration and diuresis); 8. *Vasodilating effect and* ↓ *activity of RAAS* (due to the depression of the sympathoadrenal system), 9. ↑ *smooth muscle tone* (inhibition of Na^+/K^+-ATPase of smooth muscle cells).		1. Positive inotropic effect 2. Positive chronotropic effect 3. ↑ blood flow in internal organs (10)	1. Positive inotropic effect 2. Vasodilating effect
Indications	1. Acute heart failure (3.5–7) 2. Chronic heart failure (1–4,8) 3. Supraventricular tachyarrhythmias (1,2,7)		1. Acute heart failure 2. Chronic heart failure (CHF), exacerbation According to some data, the use of PDE inhibitors in chronic heart failure leadstoanincrease in the death rate of	

		patients.	
Side effects	1. Extrasystole, bradycardia, AV blockade 2. Nausea, vomiting, diarrhea 3. Visual impairment (↓ acuity, impaired perception of the spectrum, ↓ visualfields)	1. Tachyarrhythmias, headache 2. Exacerbation of existing myocardial ischemia	1. Tachyarrhythmia, ↓ BP 2. Thrombocytopenia, hepatotoxicity 3. Nausea, vomiting
Contraindications	1. Digital intoxication 2. Severe bradycardia, WPW syndrome and sick sinus syndrome 3. Acute myocarditis, endocarditis, unstable angina 4. Hypertrophic and restrictive cardiomyopathy 5. Paroxysmal ventricular tachycardia	1. Cardiac tamponade, pericarditis, severe aortic stenosis 2. Ventricular arrhythmias	1. Obstructive cardiomyopathy 2. Acute hypovolemia
NB!	Physico-chemical structure of cardiac glycosides: polar glycosides (strophanthin, corglycon), relatively polar (digoxin, celanide), nonpolar (digitoxin). Polar drugs are administered parenterally, act briefly, have a predominant systolic effect; non-polar act for a long time, are administered orally, have a predominant diastolic effect. Disadvantages of cardiac glycosides: narrow therapeutic window → possibility of intoxication; no effect in hyperthyroidism, mitral stenosis, chronic pulmonary heart.		
	In decompensation of CHF and acute heart failure, levosimendan can be used. This substance increase sencitivity of contractile proteins to calcium ions. Currently, levosimendan has not yet become wide spread in the clinic		

Glycoside intoxication

Clinics:	Treatment:
1. CVS: arrhythmias (AV blockade, ventricular extrasystoles, etc.) 2. GIT: anorexia, nausea, vomiting and diarrhea 3. Central nervous system: dizziness, headache, hallucinations, etc. 4. Visual function: xantopsy (visual impairment, in which all objects appear yellow-colored), photophobia, loss of visual fields, mydriasis.	1. The withdrawal of the drug; 2. Antidotes for cardiac glycosides: digitalis-antidote (antibodies to cardiac glycosides), unitiol (donor of SH-groups that binds cardiac glycosides) and EDTA (binds calcium ions); 3. Preparations of K^+: KCl (1–1.5 g in 100 ml of 5 % glucose + 4 units of insulin, up to 8 g of potassium chloride per day) into the vein, or tablets "Asparcam", "Panangin"; 4. Antiarrhythmics: lidocaine, phenytoin (difenin), β-adrenoblockers, in AV blockade — muscarinic antagonists (atropine).

ANTIARRHYTHMICS

Antiarrhythmic agents are drugs used to treat heart rhythm disturbances (arrhythmias).

Classification	**Class I (Na^+-channel blockers)**			**Class II (ß-blockers)**	**Class III (K^+-channel blocker)**	**Class IV (Ca^{2+}-channel blockers)**
	IA	**IB**	**IC**			
Drugs	1. Quinidine 2. Procainamide 3. Dysopyramide	4. Lidocaine 5. Phenytoin	6. Propaphenone 7. Ethacizinev	8. Propranolol 9. Atenolol 10. Metoprolol	11. Amiodarone 12. Bretiliumtosylate	13. Verapamil
Mechanism of action	↓ Permeability of membranes for Na^+andCa^{2+} ions→↓ Depolarization rate; ↓ automaticity and conductivity; ↑ repolarization.	Blockage of Na^+ entry in the phase 4 and ↑ permeability of membranes for K^+ions in the phase 3 → ↓ automaticity; ↓ duration of repolarization. *Do not affect the conductivity and heart beat strength*	Na^+-channel blockage→ ↓ depolarization and automatism. *Do not affect repolarization.*		1. ↓ permeability of the cardiomyocyte membrane for potassium ions, delay repolarization (11) 2. NA synaptic release blockage and ↓ of the effect of the neurotransmitter on adrenoceptors (12)	The slow transmembrane current of Ca 2+ ions is blocked in the cell → phase 0 inhibition in the cells with "slow response" → ↓ automaticity of SA- and AV-nodes and ectopic foci.
Pharmacological effects	1. Antiarrhythmic 2. Anticonvulsant (5) 3. Local anesthetizing (4)			See the topic «Adrenergic drugs»	1. ↓ permeability of the cardiomyocyte membrane for potassium ions, delay repolarization (11) 2. NA synaptic release blockage and ↓ of the effect of the neurotransmitter on adrenoceptors (12)	The slow transmembrane current of Ca 2+ ions is blocked in the cell → phase 0 inhibition in the cells with "slow response" → ↓ automaticity of SA- and AV-nodes and ectopic foci.
Indications for use	1. Atrial fibrillation (1, 2) 2. Ventricular tachycardia 3. Supraventricular paroxysmal tachycardia (1–3, 7) 4. Atrial fibrillation / flutter (2, 6)				1. Supraventricular and ventricular tachyarrhythmia, including life threatening 2. Refractory arrhythmias	1. Supraventricular tachyarrhythmia and extrasystoles 2. Angina pectoris 3. Arterial hypertension
Side effects	1. Negative inotropic effect 2. Nausea, vomiting 3. Cholinolytic effect 4.α-blocking effect (1)	1. Headache, dizziness 2. Tremor 3. Gingival enlargement (5)	1. Negative inotropic effect 2.Proarrhythmo genicaction 3. Headache		1. Intestinal pneumonia; 2.Hypo-/hyperthyroidism (11) 3. Hypotension 4. Ataxia, tremor (11) 5. Deposition of lipofuscin in the cornea (11)	1. Nausea, vomiting 2. Hyperemia of the face 3. Bradycardia, AV blockade 4. Peripheral edema 5. Constipation

Classification	Class I (Na^+-channel blockers)			Class II (ß-blockers)	Class III (K^+-channel blocker)	Class IV (Ca^{2+}-channel blockers)
	IA	IB	IC			
Contraindications	1. Intra cardiac blockades 2. Decompensation of heart failure	1. Sick sinus syndrome 2. Liver diseases	1. Sick sinus syndrome 2. Severe heart failure		1. Sick sinus syndrome (11) 2. Violation of thyroid function (11) 3. Arterial hypotension (12)	1. Nausea, vomiting 2. Hyperemia of the face 3. Bradycardia, AV blockade 4. Peripheral edema 5. Constipation
NB!	• Treatment of bradyarrhythmia: *muscarinic antagonists* (eliminate the influence of the vagus nerve); *ß1-agonists* (dobutamine, dopamine). • Additional drugs for the treatment of arrhythmias: *cardiac glycosides* for supraventricular arrhythmias, *potassium* preparations (panangin, asparcam) in arrhythmias to prevent hypokalemia; *dihydropyridine calcium channel blockers* (nifedipine, amlodipine, etc.) in brady-dependent arrhythmias (↑ heart rate); inhibitors of angiotensin-converting enzyme (captopril, enalapril, etc.) for ventricular arrhythmias. Lidocaine is a drug of choice for ventricular tachiarrythmias in myocardial infarction.					

2. ANTIANGINAL AGENTS. LIPID-LOWERING DRUGS

Antianginal drugs are substances used for angina pectoris — pain in the heart due to ischemia (usually because of coronary atherosclerosis).

Classification	Nitrates and *sydnonimine derivatives	ß-adrenoblockers	Calcium channel blockers
Drugs	1. Nitroglycerine *Short-acting (*tablets Nitrolingual, Nitrostat; spray Nitromist) *Long-acting* (buccal form Nitrogard; patch Minitran) 2. Isosorbide dinitrate (Isordil) 3. Isosorbide-5-mononitrate (Imdur, Ismo) *4. Molsidomine	*Non-selective ß-blockers:* 5. Propranolol *Selective ß1-blockers:* 6. Atenolol, Metoprolol, Bisoprolol *ß1, α1-blockers with vasodilating activity:* 7. Carvedilol, Labetalol *With ISA (intrinsic sympathomimetic activity)* 8. Acebutalol, Talinolol	*Dihydropyridine:* 9. Nifedipine 10. Amlodipine *Phenylalkylamine:* 11. Verapamil *Benzothiazepine:* 12. Diltiazem
Mechanism of action	SH-groups → are metabolized into S-nitrosothiols with NO release → activate guanylate cyclase, intracellular cGMP is accumulated → ↓ flow into the cells and accelerates the release of Ca^{2+}, relaxes the smooth muscles of the veins and arterioles (including the coronary vessels) (1-3). *Is converted to NO, does not form S-nitrosothiols (4). Blockage of ß-adrenergic receptors → ↓ cAMP → ↓ Ca^{2+}entry and ↓ intracellular concentration of Ca^{2+}→ ↓ force of the heart contractions.	Blockage of ß-adrenergic receptors → ↓ cAMP → ↓ Ca^{2+}entry and ↓ intracellular concentration of Ca^{2+}→ ↓ force of the heart contractions.	Blockade of slow calcium channels ↓ entry of Ca^{2+}ions into the cell → ↓ conversion of phosphate energy into mechanical work → muscle fiber does not develop sufficient mechanical stress.

Pharmacological effects	1.Antianginal (↓pre- and afterload) 2. Antiplatelet	1. Antianginal 2. Hypotensive 3. Antiarrhythmic	1. Antianginal 2. Hypotensive 3. Antiarrhythmic (11,12)
Indications	1. Angina pectoris (all kinds) 2. Acute myocardial infarction (IV 1, 2) 3. Chronic heart failure (2–4) 4. Pulmonary edema (1)	1. Angina pectoris 2. Arterial hypertension 3. CHF 4. Tachyarrhythmia 5. Migraines	1. Angina pectoris, vasospastic angina 2. Arterial hypertension 3. Supraventricular tachyarrhythmias (11, 12)
Side effects	1. Headache, tinnitus, reflex tachycardia 2. Hypotension, orthostatic collapse 3. Nausea, vomiting 4. Tolerance (1–3) 5. ↑ intraocular and intracranial pressure	1. Bronchospasm 2. Hypotonia 3. Bradycardia, AV blockade	1. Headache, dizziness, skin hyperemia, tachycardia, legs edema (9, 10) 2. Bradycardia, AV blockage (11) 3. Tachy-, bradycardia (12)
Contraindications	1. Allergy 2. Arterial hypotension 3. ↑ intraocular pressure 4. Closed-angle glaucoma	1. Bronchial asthma 2. Bradycardia, AV blockade 3. Arterial hypotension, severe CHF 4. Pregnancy	1. Severe hypotension 2. Acute MI, progressive HF 3. Sick sinus syndrome
NB!	Angina attack treatment: nitroglycerine sublingually.	New drugs: ivabradine (funny channel blocker, ↓HR, doesn't affect BP conductivity)	Metabolic therapy: trimetazidine (preductal), nicorandil, meldonium (mildronate).

LIPID-LOWERING DRUGS

Lipid-lowering drugs — agents decreasing level of plasma lipids.

Classification	**Statins**	**Bile acid sequestrants**	**Fibrates**	**Derivatives of nicotinic acid**	**Inhibitors of sterol intestinal absorption**	**Other**
Drugs	1. Atorvastatin 3. Pravastatin 2. Lovastatin 4. Simvastatin	5. Cholestyramine 6. Colestypol	7. Fenofibrate 9. Ciprofibrate 8. Gemfibrozil	10. Nicotinic acid (niacin)	11. Ezetimibe	12. Probucol

Classification	Statins	Bile acid sequestrants	Fibrates	Derivatives of nicotinic acid	Inhibitors of sterol intestinal absorption	Other
Mechanism of action	1.↓synthesis of cholesterol in the liver due to competitive inhibition of the enzyme HMG-CoA reductase → ↑ number of receptors for LDL → ↑ capture of cholesterol from the plasma 2.The LDL particles also contain triglycerides (TG) → ↓ TG	↑catabolism and excretion of bile acids and cholesterol	1. Violate lipid metabolism → stimulated lipoprotein lipase and ↑ catabolism of VLDL 2. Inhibit acetyl-CoA carboxylase, inhibition of lipolysis → ↓ synthesis of TG 3. ↑ intake of cholesterol and TG by HDL	1. Directly inhibits hepatic VLDL → ↓ synthesis of TG 2. ↓ plasma cholesterol level	Selectively inhibits the absorption of phytosterol and cholesterol in the small intestine	Inhibits the synthesis of lipids, ↓ absorption of cholesterol and atherogenic properties of lipoproteins
Pharmacological effects	1. ↓ total cholesterol plasma level 2. ↓ triglycerides plasma level (1–4, 7–10)			3. ↑ HDLP level (1–4, 7–9, 12) 4. Antiplatelet (1–4)		
Indications	1. Atherosclerosis, 2.Hyperlipoproteinemia IIa; IIb (1–4, 7–12); III and IV (1–4, 7–9, 10),			3.Hypercholesterolemia (1–6, 10, 11) 4.Hypertriglyceridemia (1–4, 7–10)		
Side effects	1. Dyspepsia 2.Liver function impairment 3. Myalgia, myosite	1. Constipation, bloating 2. Malabsorbtion	1.Nausea, vomiting, diarrhea 2. ↑ bile cholesterol level → ↑ cholelythiasis risk 3. ↑ ALT, AST	1. Skin hyperemia 2. Hepatotoxicity 3. Hyperuricemia	1. Liver function impairment	1.Diarrhea, bloating, nausea 2.QT widening
Contraindications	1. ↑ ALT, AST 2. ↑ creatinkynase 3.Pregnancy, lactation, age before 18	1. Severe hypertriglyceridemia	1. Hepatitis 2. Cholelithiasis	1. Gastroduodenal ulcers 2. Liver function impairment 3. Gout	1. Hepatic diseases 2. Hyper sensibility	1.QT widening, ventricular tachyarrhythmia 2.Pregnancy, lactation
NB!	1. The basic treatment of hyperlipidemia is the DIET, not the drugs! 2. Bile acid sequestrants should be taken during meal. 3. Statins are taken in the evening before going to bed because cholesterol is synthesized in the night.			4. Omega-3 polyunsaturated fatty acids have lipid-lowering (↓ TG, VLDL), antiplatelet, anti-inflammatory effects. Can be used as a supplement for lipid-lowering therapy.		

Myocardial infarction management (MI is ischemic necrosis of heart muscle because of prolonged lack of oxygen supply — ischemia)

Aim	Group	Drugs
1. Pain management	1.1*Opioid analgesics* 1.2 Neuroleptanalgesia 1.3 Inhalation anesthesia	Morphine, Promedol, Fentanyl Fentanyl + droperidol Nitrous oxide (80 vol % N_2O and 20 vol % O_2)

2.Restoration of coronary blood flow(trombolysis) and thrombi formation prevention	2.1 *Fibrinolytics* 2.2 *Anticoagulants* 2.3 *Antiplatelets*	Alteplase, Tenteplase (no antigenicity); Streptokinase Heparin, Enoxaparin, Fondaparinux Acetylsalicylic acid (250–500 mg to be chewed), Clopidogrel 300 mg
3. Necrosis zone restriction	3.1 *Nitrates (в/в)*	Nitroglycerin, isosorbide dinitrate
4. Acute cardiac uploading	4.1 *ß-blockers* 4.2 *ACE inhibitors*	Metoprolol, Bisoprolol, Carvedilol, Atenolol Captopril, Enalapril, Lisinopril, Perindopril
5. Atherosclerotic plaque stabilization	5.1 *Statins*	Atorvastatin, Rosuvastatin

3. ANTIHYPERTENSIVE AGENTS. ANTIHYPOTENSIVE AGENTS

Antihypertensives are medicines used for the treatment of hypertension. Ist line drugs are used in the first complaints of the patient.

<table>
<tr><td rowspan="2">Classification</td><td colspan="3">Drugs affecting the RAAS</td><td rowspan="2">Diuretics</td><td rowspan="2">β-blockers</td><td rowspan="2">Calcium channel blockers (calcium antagonists, CCB)</td></tr>
<tr><td>Angiotensin converting enzyme inhibitors (ACE inhibitors)</td><td colspan="2">Angiotensin II receptor antagonists (sartans)</td></tr>
<tr><td>Drugs</td><td>Sulfhydryl-containing agents:
1. Captopril (Capoten)
Dicarboxylate-containing agents:
2. Enalapril (Enap)
3. Lisinopril (Diroton)
4. Ramipril (Tritace)
Phosphonate-containing agents:
5. Fosinopril (Monopril)
Hydroxame-containing agents:
6. Idrapril</td><td colspan="2">Losartan (Cozaar)
Valsartan (Diovan)
Irbesartan (Aprovel)
Candesartan (Atacand)
Eprosartan (Teveten)
12. Telmisartan (Micardis)</td><td rowspan="8">See the topic "Diuretics. Drugs affecting the tone and contraction activity of the myometrium»</td><td rowspan="8">See the topic «Adrenergic drugs»</td><td rowspan="8">See the topic «Antianginal and hypolipidemic agents»</td></tr>
<tr><td>Mechanism of action</td><td>1. Inhibition of ACE → violation of the conversion of angiotensin I to angiotensin II → vasodilation, ↓ retension of Na and H_2O, ↓ stimulating effect on the sympathetic innervation → ↓ BP.
2. Inhibition of ACE → ↓ inactivation of bradykinin→ vasodilation.</td><td colspan="2">1. Antagonists of the angiotensin receptors → eliminate all the effects of angiotensin II (vasopressor action, ↑ production of aldosterone, stimulation of adrenergic innervation)</td></tr>
<tr><td>Pharmacological effects</td><td colspan="3">1. Hypotensive
2. Protection of organs (cardio, angio - and nephroprotective action)</td></tr>
<tr><td>Indications</td><td colspan="3">1. Arterial hypertension
2. Diabetic nephropathy
3. CHF
4. Postinfarction condition
5. Intolerance to ACE inhibitors (7–12)</td></tr>
<tr><td>Side effects</td><td colspan="2">1. Dry cough, bronchospasm
2. Hyperkalemia
3. Deterioration of renal function in chronic renal failure.
Hypotension</td><td>Rarely:
1. Hypotension
2. Dyspepsia
3. Hyperkalemia</td></tr>
<tr><td>Contraindications</td><td colspan="2">1. Pregnancy and lactation
2. Stenosis of the renal arteries
3. Severe and chronic renal failure or hyperkalemia</td><td>1. Pregnancy and lactation
2. Hyperkalemia</td></tr>
<tr><td>NB!</td><td colspan="6">Classification of ACE inhibitors by duration of action: short-acting (captopril), intermediate-acting (enalapril), long-acting (ramipril, lisinopril).
The majority of ACE inhibitors (except captopril and lisinopril) are prodrugs.</td></tr>
</table>

ANTIHYPERTENSIVES (CONTINUED)

IInd line drugs are used when the Ist line drugs are non-effective.

Classification	**Central-acting drugs**	**Ganglionic blockers**	**α-adrenoblockers**	**Sympatholytics**	**Potassium channels openers**
Drugs	1. Clonidine hydrochloride (Clopheline) 2. Moxonidine 3. Methyldopha (Dopegit, Aldomet)	*Quaternary ammonium compounds:* 4. Hygronium 5. Azamethonium bromide 6. Hexamethonium *Amines*: 7. Pempidine	*Selective α1-adrenergic blockers:* 8. Prazosin (Minipress) 9. Doxazosin (Cardura) 10. Terazosin (Kornam)	11. Reserpine (Serpasil) 12. Octavin	13. Minoxidil 14. Diazoxide
Mechanism of action	1. Effect on α2-adrenoreceptors (1,3) and imidazoline I1 receptors (1,2) of solitary tract nuclei → oppression of VMC and ↑ tonus of the vagus nerve → ↓ cardiac workput, ↓ release of renin and ↓ TPR → ↓ AD (1–3) 2. Stimulation of peripheral pre-synaptic α2-adrenergic receptors → ↓ of norepinephrine release in synaptic cleft (1)	See the topic «Cholinergic drugs. Nicotinic receptor agonicts. Nicotinic receptor antagonists (ganglionic blockers, neuromuscular blockers)» Not for long-time administration	See the topic «Adrenergic drugs»	Violate noradrenalin storing in the vesicles → ↓amount of the mediator released in response to nerve impulses	Open potassium channels in the smooth muscle vessels → vasodilation and ↓ BP.
Indications	1. Hypotensive 2. Sedative (1,3) 3. ↓ IOP			1. Hypotensive 2. ↓IOP (12) 3. Sedative, antipsyhotic (11)	1. Hypotensive
Side effects	1. Arterial hypotension 2. The withdrawal syndrome (1.3) 3. Drymouth (1,3) 4. Drowsiness			1. Resistant AH	1. Resistant AH 2. Hypertensivecrisis
Contraindications	1. Arterial hypotension 2. Depression 3. Sick sinus syndrome, AV-blockade			1. Peripheral edema 2. Pain in the chest 3. Bradycardia 4. Dyspepsia	1. Peripheraledema 2.Tachycardia, arrhythmia
NB!	Other drugs with antihypertensive action: nitrates, dibazol, magnesium sulfate.				

Antihypotensive drugs — drugs increasing BP.

Group	Drug
1. α-adrenomimetics	Phenylephrine (Mezaton), Midodrine
2. β_1-adrenomimetics	Dobutamine
3. Dopaminomimetics	Dopamine
4. Analeptics	Nikethamide (Coramine), Caffeine
5. Non-selective α- and β-adrenomimetics	Epinephrine, Ethylphrine
6. Plant stimulants	Extracts and tinctures of ginseng and eleutherococcus

Hypertensive crisis —an umbrella term for hypertensive urgency and hypertensive emergency. These two conditions occur when blood pressure becomes very high, possibly causing organ damage.

*Hypertensive urgency (*no impairment of organ systems*)*	
Captopril	12,5–50 мг orally orsublingually
Nifedipine	5–20 mg sublingually
Metoprolol	25–50mg orally
Propranolol	10–40 mg orally
Clonidine (clonidine)	0,075–0,15 mg orally
Moxonidine	0,4 mg orally
*Hypertensive emergency (*acute life-threatening impairment of organ systems, especially the CNS, cardiovascular systems or the kidneys. Management depends on complications*)*	
Sodium nitroprusside (for pulmonary edema, aortic dissection)	0,25–10 mkg/kg/min IV slowly
Nitroglycerine (for pulmonary edema, aortic dissection)	50–200 mkg/min IV slowly
Enalapril (for pulmonary edema, ischemic stroke, subarachnoid hemorrhage)	1,25–5 mg IV quickly
Labetalol (for aortic dissection, ischemic stroke, subarachnoid hemorrhage)	20–80 mgquickly, 1–2 mg/min quickly
Furosemide (for pulmonary edema)	40–200 mg IV
Magnesium sulfate (for convulsions, eclampsia – complication of pregnancy)	5–20 ml 20 % solution IV quickly
Clonidine	IV 0,5–1,0 ml 0,01% solution or IM 0,5–2,0 ml 0,01 % solution

4. DRUGS AFFECTING TONE OF UTERUS

Tocomimetics are drugs increasing tone of uterus

Classification	Labor inducing drugs (drugs increasing the rhythmic contraction of the myometrium)			Drugs for hypotonic uterine bleeding (agents increasing tonic contraction of the myometrium)		
	Hormonal drugs of the pituitary gland	Estrogenic preparations and antiprogestagens *	Prostaglandins and their synthetic analogues *	Ergot preparations	Ganglionic blockers	Herbal preparations
Drugs	1. Oxytocin 2. Demoxytocin	3. Estrone (Folliculin) 4. Estradiol dipropionate (Femoston) 5. Mifepristone * (Gynepriston)	6. Dinoprost 7. Dinoprostone (Prostin E2) 8. Misoprostol * (Mirolut)	9. Ergometrine maleate (Ergonovin) 10. Ergotamine	11. Pachycarpine	12. Grass of shepherd's purse
Mechanism of action	1. Violation of the transmembrane motion of ions in smooth musculature myometrium → uterine contraction (1–5). 2. Stimulation of cervical ripening вue to the increased activity of collagenase and hyaluronidase → the opening of the cervix during normal delivery (3–8).			1. Direct stimulation of the myometrium; Partial agonist / antagonist of α-adrenergic, dopaminergic and serotonergic receptors (9, 10) 2. Reduces the excitability of the ganglia of the vegetative nervous system and inhibits conduction of nerve impulses (11). 3/ Contains vitamin K, choline and acetylcholine, tyramine, organic acids and saponins, due to which increases blood coagulability (12).		
Pharmacological effects	1. Increase the tone and enhance rhythmic contractions of the myometrium (1–8, 11). 2. Causes prolonged tonic contractions of the uterus, vasoconstrictor effect, influence on the central nervous system (9,10). 3. Increase the sensitivity of the uterus to oxytocin and prostaglandins (3–5). 4. Strengthen the walls of the vessels of the uterus (12).					
Indication	1. Weak contractions, premature labor (1–8). 2. Hypotonic uterine bleeding, involution of the uterus after childbirth and abortion (1, 2, 9–11).			3. Termination of pregnancy for medical reasons (5–8). 4. Climax, infertility, amenorrhea (3, 4). 5. Dysfunctional uterine bleeding and bleeding against fibroids (12).		
Side effects	1. Allergic reactions, dyspeptic disorders (1–12). 2. Bradycardia, bronchospasm, water retention (1, 2). 3. Depression, weight gain, endometrial hyperplasia, tenderness of the mammary glands, edema, liver damage (3–5).			4. Atony of the intestine and bladder (11). 5. Increase in blood clotting, lowering blood pressure for a long-time application (12).		
Contraindications	1. Pregnancy.4. Inflammatory pelvic disease. 2. Hypersensitivity.5. Presence of factors predisposing to uterine rupture. 3. Wrong fetal position 6. Severe diseases of the heart, kidneys and liver.					
NB!	Oxytocin to be used cautiously in combination with sympathomimetics; when intravenous injection are performed constant monitoring is needed. Ergometrine maleate strengthens the action of other vasoconstrictors. It is not recommended to take dinoprostone more than for 2 days.					

Tocolytics and drugs reducing the tone of the uterus

Classification	**β2- adrenomimetics**	**Gestagenic agents**
Drugs	1. Fenoterol (Partusisten) 2. Ritodrine 3. Hexoprenaline (Ginipralin) 4. Salbutamol	5. Allylestrenol (Turinal) 6. Dydrogesterone (DUFASTON) 7. Progesterone (Utrogestan)
Mechanism of action	Excitation of β2-adrenoreceptors of myometrium → muscular relaxation	Interact with steroid membrane and cytosolic receptors → physiological and morphological changes in target organs
Pharmacological effects	1. Tocolytic (1–7). 2. Bronchodilating (1–4).	
Indications	1. Prevention and treatment of threatening abortion and premature labor (1–7). 2. Violation of utero-placental circulation, endometriosis, infertility, dysmenorrhea, premenstrual syndrome, breast diseases, postmenopausal replacement therapy (5–7).	
Side effects	1. Allergic reactions. 2. Tachycardia, pain behind the sternum. 3. Tremor, anxiety, headache, dizziness 4. Dyspeptic disorders. 5. Hyperglycemia, hyperkalemia. 6. Muscle weakness, spasms.	1. Headache, drowsiness, decreased libido. 2. Hirsutism, acne, weight gain. 3. Depression. 4. Edema
Contraindications	1. Hypersensitivity. 2. Hypertrophic obstructive cardiomyopathy, tachyarrhythmias.	1. Hypersensitivity. 2. Malignant neoplasms of genital organs and breast. 3. Vaginal and uterine bleeding of unknown etiology. 4. Diseases of the liver.
NB!	When using β 2 -adrenomimetics in obstetrics, it is recommended to monitor potassium levels in blood, blood pressure, heart rate in pregnant women, and the heart rate in the fetus. During treatment with progesterone concentration is dicreased (care must be taken when driving vehicles and engaging in other potentially dangerous activities requiring rapidity of psychomotor reactions). The use of any progestogen to prevent a habitual miscarriage currently in Western countries is considered unfounded.	

5. DRUGS AFFECTING BLOOD

Agents increasing blood coagulation

Classification	Hemostatic agents		Inhibitors of fibrinolysis
	Topical	Resorptive	
Drugs	1. Thrombin 2. Hemostatic sponge	3. Fibrinogen 4. Vitamin K1 (phytomenadione), K3 (vicasol) 5. Ethamylate (dicinone) 6. Anti hemophilic Factor VIII, Factor VIIa, IX	7. Aminocaproic acid 8. Tranexamic acid 9. Aminomethyl benzoic acid (ambene) Inhibitors of proteolytic enzymes: 10. Aprotinin (contrycal, gordox)
Mechanism of action	The natural components of the coagulation system — provide the formation of blood clot (1–4, 6), ↑ formation of thromboplastin (5).		Inhibition of activation of the plasminogen → plasmin formation inhibition. Brakekinin systems and the activity of fibrinolysis (7–9). Inhibit fibrinolysin (plasmin), heparin → inhibit fibrinolysis and ↑ activity of the coagulation system blood (10).
Pharmacological effects	1. Hemostatic 2. Anti allergic effect, ↑ liver detoxification (7) 3. Inhibition of proteolytic enzymes (trypsin, chymotrypsin, kallikrein, plasmin) (10)		
Indications	1. Bleeding: capillary (1, 2, 5) and parenchyma (1, 2, 5). 2. Hypofibrinogenemia: postpartum hemorrhage. DIC-syndrome (3) 3. Bleeding against liver diseases and vitamin K absorption disorders (4) 4. Congenital/acquired coagulation factors deficiency (6)		1. Local (nasal bleeding, tonsillectomy, extraction of teeth, etc.) and generalized (in thoracic and abdominal surgery) 2. Acute pancreatitis (contrycal), ↑ risk of bleeding (gordox) 3. Bleeding during an overdose of fibrinolytic agents
Side effects	1. Allergic reactions, nausea, headache (5)		1. Intra vascular thromboses 2. Hypotension, arrhythmia 3. Impairment of color vision (8) 4. Allergic reactions (8, 10)
Contraindications	1. Increased blood clotting 2. Thrombo embolism		1. DIC-Syndrome 2. Bleeding from the kidneys and ureters 3. Propensity for thrombosis and embolism 4. Pregnancy
NB!	Not for IM or IV use → thrombosis	Not for IM or IV use → thrombosis	*Aprotinin*is is used for extra corporeal circulation of blood during heart operations and liver transplantation.
	Vegetable coagulant: Leaves of nettle, yarrow, corn bark, arnica		

Blood thinners

Anti platelets are drugs decreasing platelet aggregation

Classification	**Cyclooxygenase (COX) inhibitors**	**Phosphodiesterase inhibitors**	**ADP receptor blockers**	**Glycoprotein IIb / IIIa receptors blockers**
Drugs	1. Acetyl salicylic acid (aspirin) *in small doses*	2. Dipyridamole	3. Ticlopidine 4. Clopidogrel	5. Abciximab 6. Tirofiban
Mechanism of action	Their reversible blockade of COX of thrombocytes (an enzyme involved in the formation of thromboxane A2 and prostacyclin from arachidonic acid).	It blocks phosphodiesterase and adenosine uptake → ↑ cAMP level ↓ intracellularcontentof Ca2 + → ↓ platelet aggregation and has a vasodilating effect.	Block ADP receptors on the platelet membrane → interfere with the interaction of platelet receptors with fibrinogen.	Eliminate the activation of glycol protein receptors GP IIb / IIIa → disrupt platelet aggregation.
Pharmacological effects	1. Antiplatelet 2. Improve myocardial and cerebral microcirculation 3. Coronary vasodilatation (2)			
Indications	1. Angina pectoris 2. Prevention of MI (in the presence of risk factors) 3. Prevention of thrombosis and embolism after operations on the heart and vessel	1. Prophylaxis of ischemic trokein chronic cerebrovascularin sufficiency 2. Prevention of thrombo embolic complications after operations on peripheral vessels	1. Prophylaxis of thrombosis in patients with is chemic heart disease (after MI) 2. Atherosclerosis of cerebral and perioreric vessels 3. Intolerance to acetylsalicylic acid	1. Acute coronary syndrome 2. Atherectomy and angioplasty operations (in combination with aspirin and heparin).
Side effects	1. Dyspepsia 2. Risk of bleeding 3. Allergic reactions	1. Coronary steal when IHD. 2. Dyspepsia 3. ↓ AP, headache	1. Dyspeptic disorders 2. Thrombocytopenic purpura 3. Neutropenia, agranulocytosis (3)	1. Bleeding, thrombocytopenia 2. Allergic reactions
Contraindications	1. Exacerbation of erosion-ulcerative lesions of the gastrointestinal tract 2. Pregnancy 3. as an anti pyretic for viral infection in children	1. Acute myocardial infarction, unstable angina	1. Increased risk of bleeding 2. The gastro duodenal ulcer 3. Liver disease	1. Thrombocytopenia 2. Hemorrhagic diathesis 3. Aneurysm
NB!	The COX of the vascular wall restores its activity for several hours in contrast to the COX of platelets →anti trimboxane effect of prostacyclin. For ↓ irritating effect on the stomach → enteric-coated forms	Effective only in combination with aspirin or in direct anticoagulants	Antiplatelet effect → in 24–48 h. Peak action→ in 3–10 days, and for acetylsalicylic acid in 1 h.	In the congenital absence of this re-receptor complex, blood loss develops-Glanzmann's thrombasthenia

Blood thinners (continued)

Anticoagulants — drugs reducing blood coagulation and prolonging coagulation time.

Classification	**Direct anticoagulants**		**Indirect anticoagulants**	**Direct oral factor Xa inhibitors**
	***Indirect* thrombin inhibitors**	***Direct* thrombin inhibitors**		
Drugs	1. Heparin *Low molecular weight heparins (LMWHs):* 2. Nadroparin (Fraxiparine) 3. Enoxaparin (Clexane) 4. Dalteparin (Fragmin) *Synthetic LMWH:* 5. Fondaparinux	6. Lepirudin, 7. Bivalirudin 8. Argatroban	9. Warfarin 10. Fenindione, 11. Acenocoumarol (syncumar) 12. Ethyldicoumarol (Neodicum Marine)	13. Rivaroxaban 14. Apixaban
Mechanism of action	*1. Heparin* + Antithrombin III → blockage of thromb inactive center → *inactivation of thrombin* (factorIIa); *inhibition* of a number of activated c*oagulation factors* (XIIa, XIa, IXa and especially Xa (prothrombinase)). *2. LMWH* practically do not effect thrombin, mostly *effect X coagulation factor* (increase the effect of anti thrombin III on factor Xa).	Independently attach to the active center of thrombin and do not require binding to anti thrombin III.	Vitamin K antagonists: block the synthesis of vitamin K-dependent coagulation factors (II - prothrombin,VII,IX,X) in the liver.	Selectively inhibit pro thrombinase (factorXa) → the reisn convertion of prothrombin to thrombin.
Pharmacological effects	1. Anticoagulant 2. Anti platelet 3. ↓ plasma lipid level (1,6–8) 4. Hypoglycemic, diuretic, anti-inflammatory, antiallergic, vasodilating (1) 5. Cholagogue, relax the smooth musculature of the vessels, analgesic and sedative action (9–12)			
Indications	Prevention and therapy of thromboembolic diseases and their complications (prevention of thrombosis during surgery, unstable angina, acute myocardial infarction, thrombosis and embolism of peripheral arteries and deep veins)			
Side effects	1. Bleeding of various localization, thrombosis-mourning 2. Paradoxical thrombosis (antibodies to heparin) 3. Allergic reactions	1. Bleeding	1. Bleeding 2. Alopecia 3. ↑ level of liver enzymes	1. Bleeding 2. ↑ level of hepatic enzymes 3. Nausea
Contraindications	1. Hemophilia, thrombocytopenia, hemorrhagic diathesis, bleeding 2. Malignant neoplasm and ulcerative lesions of the digestive tract 3. Dysfunction of the liver and kidneys			
NB!	Heparin is given to increase PPT (activated partial thromboplast in time) twice (30–35 sec) — this is optimal dose. Antidote for overdose – protamine sulfate.	For the treatment or prevention of thromboses associated with heparin-induced thrombocytopenia.	INR (international normalized ratio) should be controlled (INR < 2–3). Antidote is vitamin K (phytomenadione).	Do not require a regular study of blood clotting.

<table>
<tr><td colspan="3">Fibrinolytics– drugs disolving blood clots.</td><td colspan="2">Anemia drugs (erythropoiesis-stimulating agents)
Anemia is a medical condition in which the red blood cell count or hemoglobin is less than normal.</td></tr>
<tr><td>Classification</td><td>I generation</td><td>II generation</td><td>Pathology</td><td>Drugs</td></tr>
<tr><td>Drugs</td><td>1. Streptokinase
2. Urokinase
3. Antistreplase</td><td>1. The tissue activator of plasminogen (alteplase)
2. Recombinant plasminogen activator (reteplase)
3. Tenecteplase</td><td>Iron deficiency anemia (hypo chromic)
NB! Ferrous iron (Fe^{2+}) c combination with vitamin C is absorbed better.
An exception is preparations of iron (III)-hydroxyl deploy maltose complex (IPC, Maltofer)</td><td>Iron supplements:
1.Ferrousfumarate (Ferrocite)
2.Ferrousgluconate (Fergon, Ferralet)
3.Ferroussulfate (Ferrousal, Ferosul)
4.Maltofer
Cobalt supplements:
5. Ionic cobalt
Human recombinantery thropoietin:
6. Epoetin alfa — IV, s/c</td></tr>
<tr><td>Mechanism of action</td><td>Equally activate both plasminogen on the surface of the thrombus and plasminogenin the plasma → plasmin (fibrinolysin)</td><td>Activate predominantly plasminogen on the surface of the thrombus</td><td>Megaloblasticanemia</td><td>Cyanocobalamin (B_{12}),
folicacid (B_c)</td></tr>
<tr><td>Pharmacological effects</td><td colspan="2">1. Fibrinolytic (dissolve the filaments of fibrin, destroy fresh thrombi in the arteries, veins and cavities)</td><td colspan="2" rowspan="5">Rules for the prescribing of iron supplements:
1. Treatment begins with oral administration of drugs;
2. Iron preparations are taken in 1 hour before meals or 2 hours after meals;
3. Monitor the effectiveness of therapy (a week later an increase in the number of reticulocytes, a month later — hemoglobin);
4. If oral use has no effect the drugs should be given intravenously;
5. Treatment begins with parenteral administration of drugs (afirst a tolerance test). In impaired absorption (diseases of the stomach and intestines) and with the aim of achieving rapid effects in severe anemia;
6. Prevent the simultaneous intake of iron preparations by mouth and by injection;
7. The duration of the treatment is at least 2 months.
8. To avoid darkening of the teeth, you should thoroughly rinse your mouth after taking iron-
Containing drugs.
Side effects: Metallic taste in the mouth, nausea, vomiting, decreased appetite, constipation, black stool.
Iron poisoning: Necrotizing gastroenteritis, vomiting, abdominal pain, bloody diarrhea, shock, metabolic acidosis, coma and death.
Help with poisoning: gastriclavage, antidote is deferoxamine, symptomatic treatment (correction of acidosis, anti-shock measures, gastrointestinal bleeding management.</td></tr>
<tr><td>Indications</td><td colspan="2">1. Thrombosis of veins and arteries
2. Acute myocardial infarction (1–2 days)
3. Pulmonary thrombo embolism</td></tr>
<tr><td>Side effects</td><td colspan="2">1. Bleeding
2. Allergic reactions (1–3)</td></tr>
<tr><td>Contraindications</td><td colspan="2">1. Acute bleeding
2. Recent (up to 10 days) surgery and trauma
3. Violations of the blood coagulation system
4. Recent hemorrhagic stroke
5. Dissecting aortic aneurysm</td></tr>
<tr><td>NB!</td><td colspan="2">1. Apart from streptokinase, all thrombolytic drugs are administered together with heparin (unfractionatedorLMWHs), usually for 24 to 48 hours.
2. Trombolysis shouldn’t be done in patients with acute coronary syndrome but without ST-segment elevation.</td></tr>
</table>

Drugs effecting erythropoiesis and leucopoiesis (continued): agents stimulating / depressing erythropoiesis and leucopoiesis.

Classification	Leukopoiesis stimulants	Erythropoiesis inhibitors	Inhibitors of leucopoiesis
Drugs	1. Methyluracil 2. Pentoxyl 3. Leukogen *Human colony-stimulating factors:* 4. Filgrastim (Neupogen) 5. Lenograstim (granitocyte) 6. Molgraimost (leukomax)	7. Phosphorus-32-radio labeled solution of sodium phosphate	8. Methotrexate 9. Mercaptopurine 10. Busulfan (myelosan) 11. Cyclophosphamide
Mechanism of action	1. ↑ synthesis of nucleic acids, proteins, cell division, leucopoiesis, tissue regeneration (1, 2) 2. ↑ leucopoiesis in severe disturbances (3) 3. Bond to the receptors of myeloid cells and ↑ Proliferation and differentiation of cells- Precursors of neutrophils (4,5) and monocytes / macrophage (6)	↓ Red bone marrow	1. Violation of the formation of purine and thymidine → ↓ DNA synthesis (8). 2. Disrupts the bio synthesis of purine nucleotides (9). 3. Inhibits myeloid tissue and granulocytopoiesis (10). 4. Active metabolites are formed in the liver (phosphamide and acrolein) → antitumor effect (11).
Pharmacological effects	1. ↑ Leucopoiesis, accelerate regeneration processes (1–3) 2. Regulate the production of neutrophils and their entry from the bone marrow into the blood (4,5) 3. regulates the production of granulocytes and monocytes / macrophages (6)	↓ erythrocyte formation	↓ leukocyte formation
Indications	1. Leukopenia 2. Patients with burns, long-lasting wounds (1, 2) 3. Aplasticanemia (6) 4. Bone marrow transplantation (4,6)	1. Polycythemia (erythremia)	1. Acuteleukemia (8,9,11) 2. Lymphogranulomatosis (8) 3. Chronic myelogenous leukemia (10)
Side effects	1. Allergic reactions (1-3) 2. Skin vasculitides, musculo-articular pain, edema, pericardial and pleural effusion (6) 3. Leukocytosis, thrombocytopenia (4,5)	1. Thrombocytopenia 2. Anemia	1. Leukopenia, anemia 2. Nausea, vomiting, ulcerative stomatitis 3. Headache
Contraindications	1. Lymphogranulomatosis (1–3) 2. Myeloid leukemia (1–6)	1. Anemia, leucopenia, thrombocytopenia, 2. Heart failure, 3. Dysfunction of the liver and kidneys	1. Hypersensitivity 2. Leukopenia, thrombocytopenia 3. Pregnancy (8, 10, 11) 4. Diseases of the liver and kidneys

6. AGENTS REGULATING TISSUE METABOLISM. POLYPEPTIDE HORMONS AND ANTIGORMONAL AGENTS. STEROID HORMONS

Hypothalamic and pituitary hormone

Classification	Hypothalamic hormones		Pituitary hormones	
Drugs	*Releasing hormones* 1. Thyrotropin-releasing hormone (protirelin) 2. Gonadotropin-releasing hormone GnRH (gonadorelin and synthetic analogues: goserelin, leuprolide, nafarelin, buserelin, gistrelin) 3. Growth hormone–releasing hormone (somatorelin) 4. Corticoliberin	*Hormone secretion inhibitors* 5. Somatostatin (octreotide) 6. Gonadotropin-releasing hormone antagonists (cetrorelix, ganirelix) 7. Antigonadotropins (androgen danazol)	*Anterior lobe* 8. Somatotropin 9. Thyrotropin (thyrogen) 10. Adrenocorticotropic hormone ACTH (cosyntropine) 11. Follicle-stimulating hormone FSH (urofolllotropin) 12. Luteinizing hormone LH (human chorionic gonadotropin: pregnil)	*Posterior lobe* 13. Oxytocin *Analogues of vasopressin:* 14. Desmopressin 15. Terlipressin
Mechanism of action	Interacte with membrane receptors and change protein synthesis in the cells			
Pharmacological effects	1. Release of TSH and prolactin (1) 2. Release of LH and FSH (with constant admission - suppression) antitumor, antiandrogenic effect (2) 3. Release of somatotropin (3) 4. Release of ACTH (4)	1. Suppression of excretion of somatotropin, glucagon, insulin, serotonin, gastrin (5) 2. Suppression of LH and FSH release (6) 3. Suppression of GnRH, FSH and LH release, proliferation of lymphocytes (7)	1. Anabolic, growth stimulation (8) 2. Release of thyroid hormones (9) 3. Release of hormones of the adrenal cortex (10) 4. Stimulates folliculogenesis in women and spermatogenesis in men (11) 5. Stimulation of ovulation and estrogen secretion (12)	1. ↑ tonus and contractile activity of the uterus, stimulation of lactation (13) 2. Antidiuretic effect. ↑ Tone smooth muscle (14) 3. Vasopressor, hemostatic (↑ activity of VIII factor of blood coagulation) (15)
Indications	1. Diagnosis of hypothyroidism, hypo- and agalactia in women (1) 2. Hormone-dependent prostate cancer, endometriosis, uterine fibroids, preparation for superovulation in IVF (constant intake). Infertility (pulse reception) (2) 3. Diagnosis of pituitary nanism in children (3) 4. Diffiagnosis of Cushing's disease and	1. Acromegaly, endocrine tumors of the gastroentero-pancreatic system, bleeding from varicose veins of the esophagus in cirrhosis of the liver, refractory diarrhea in AIDS patients (5) 2. In vitro fertilization, endometriosis, fibromatosis (6) 3. Endometriosis with concomitant infertility, benign neoplasms of the	1. Violation of growth processes in children (8) 2. In combination with the radioactive isotope of iodine - for visualization of metastases of thyroid gland cancer and its residues after thyroidectomy (9) 3. Evaluation of the function of the adrenal glands cortex (10) 4. Polycystic ovarian syndrome, infertility of ovarian genesis (11)	1. Stimulation of labor, hypotonic uterine bleeding, hypolactia (13) 2. Diabetes insipidus, polyuria and polydipsia after pituitary operations, haemophilia A, von Willebrand's disease (14) 3. Gastrointestinal and genito-urinary bleeding

Classification	Hypothalamic hormones		Pituitary hormones	
	secretion of ACTH by ectopic foci of the tumor (4)	breast, PMS, gynecomastia; Hereditary angioedema (7)	5. In women: anovulatory infertility. In men: pituitary hypogonadism, cryptorchidism, delayed puberty (12)	(15)
Side effects	1. Fluctuations of blood pressure (1) 2. Headache, mood and libido changes, gastrointestinal disturbances (2) 3. Pain at the injection site, headache (3) 4. Redness of the face (4)	1. Nausea, vomiting, diarrhea (5) 2. Ovarian hyperstimulation syndrome (6) 3. Hirsutism, acne, menstrual irregularities, mood changes, hepatotoxicity (7)	1. Hypothyroidism, headache, nausea (8) 2. Nausea, headache, sensation of cold (9) 3. Infiltrates at the injection site; See glucocorticoids (10) 4. Dyspeptic disorders, lung atelectasis, respiratory distress, non-cardiogenic pulmonary edema, ovarian hyperstimulation syndrome, thromboembolic complications (11) 5. Headache, depression, edema, premature puberty, gynecomastia (12)	1. Nausea, vomiting, arrhythmia and bradycardia (including the fetus), ↑ AD, bronchospasm (13) 2. Nausea, abdominal pain, tachycardia (14) 3. Hypertension, bradycardia, difficulty breathing (15)
Contraindications	1. Organic CNS damage, epilepsy (1) 2. Age under 14 years (2) 3. Pregnancy, lactation (3) 4. Heart failure (4)	1. Hypersensitivity (5) 2. Pregnancy, lactation, postmenopause (6) 3. Androgen-dependent tumors, breast cancer, thromboembolism, genital bleeding, pregnancy, lactation (7)	1. Malignant neoplasms, pregnancy (8) 2. Pregnancy, lactation (9) 3. See glucocorticosteroids (10) 4. High level of FSH in primary ovarian failure, decompensated thyroid and adrenal pathology, pituitary tumors (11) 5. Bronchial asthma, epilepsy, hormone-sensitive tumors of genital organs (12)	1. Narrow pelvis, premature birth, threating uterine rupture, uterus after multiple births, AH (13) 2. Polydipsia, anuria, unstable angina (14) 3. Anuria, epilepsy, pregnancy (15)

Thyroid and antithyroid drugs Thyroid drugs - preparations of thyroid hormones (TG). Antithyroid drugs - drugs that supress biosynthesis of thyroid hormones.

Classification	**Thyroid drugs**		**Antithyroid drugs**
	T4 drugs	***T3 drugs***	
Drugs	L-thyroxine (eutiroks, levothyroxine) Iodothyrox (levothyroxine sodium + potassium iodide)	3. Lyotyronin	4. Thiamazole (Mercazolil, tyrosol) 5. Propylthiouracil
Mechanism of action	Receptor binding to the genome, a change in oxidative metabolism in the mitochondria		Thyroid peroxidase is blocked and iodination of thyronine in T4 in T3 is inhibited.
Pharmacological effect	In small doses - anabolic, in moderate - ↑ activity of the cardiovascular system and tissues oxygen demand, in big - oppression of thyrotropin-releasing hormone and thyroid-stimulating		↓ T3and T4 levels in the blood
Indications for use	1. Hypothyroidism 7. Hypothyroid obesity 2. Euthyroid goiter 3. Autoimmune thyroiditis 4. Substitution therapy after surgical treatment of thyroid cancer 5. Myxedema (3) 6. Cretynism (3)		1. Thyrotoxicosis 2. Preparation for resection of thyroid gland or treatment 3. Postoperative relapse of thyrotoxicosis (4) 4. Nodular goiter (4)
Side effects	1. Arrhythmia 2. Tachycardia 3. Angina pectoris 4. ↑ temperature 5. Anxiety, insomnia		1. Arthralgia 2. Allergic reactions 3. Suppression of myelopoiesis 4. Dysfunction of the liver 5. Vasculitis 6. Hypothyroidism
Contraindications	1. Uncompensated pituitary or adrenal insufficiency 2. Thyrotoxicosis 3. Acute myocardial infarction 4. Myocarditis 5. Pancarditis 6. Cachexia (3)		1. Hypersensitivity 2. Leukopenia, agranulocytosis 3. Hypothyroidism 4. Hepatic insufficiency 5. Cirrhosis of the liver 6. Active hepatitis 7. Cholestasis (4) 8. Pregnancy, lactation

Parathyroid and antiparatyroid drugs

Parathyroid drugs — drugs that make up the deficit of parathyroid (PTG) hormones.
Antiparatyroid drugs — drugs that exert a retarding effect on the biosynthesis of PTG hormones.

Classification	Parathyroid drugs	Antiparatyroid drugs
Drugs	1. Calcitonin (myacalcin, fortical) 2. Parathyroid hormone (natpara)	3. Cinacalcet (sensipar, mimpara)
Mechanism of action	1. Inhibits the activity of osteoclasts, promotes bone mineralization due to the transition of Ca^{2+} from the blood to the bone (1) 2. ↑ absorption of Ca^{2+} in the intestine, promotes the release of Ca^{2+} from bones (2)	Calcium-mimetic action - ↑ sensitivity of PTG receptorsto calcium
Pharmacological effect	1. Hypocalcemic, inhibition of bone resorption, analgesic (1) 2. Hypercalcemic, increased bone resorption, stimulation of the formation of vit. D3 (2)	Hypocalcemic, ↓ level of parathyroid hormone
Indications for use	1. Prevention of osteoporosis, Paget's disease of bone (osteitis deformans), hypercalcemia, algodystrophy (1) 2. Tetania, spasmophilia, bronchial asthma, urticaria, vasomotor rhinitis, hay fever, other allergic conditions (2)	1. Secondary hyperparathyroidism in dialysis patients with chronic renal failure 2. Hypercalcemia from pancreatic carcinoma 3. Primary hyperparathyroidism in the absence of parathyroidectomy
Side effects	1. Nausea, vomiting, dizziness, flush of the face accompanied by a sense of heat (1) 2. General weakness, lethargy, vomiting and diarrhea, bone resorption and hyperplasia of fibrous tissue (2)	1. Secondary hyperparathyroidism in dialysis patients with chronic renal failure 2. Hypercalcemia from pancreatic carcinoma 3. Primary hyperparathyroidism in the absence of parathyroidectomy
Contraindications	1. Hypersensitivity, hypocalcemia (1) 2. Hypersensitivity, previous hypercalcemia, severe renal failure, bone metastases or bone tumors in anamnesis, pregnancy, lactation (2)	1. Hypocalcemia 2. Anorexia 3. Dizziness 4. Nausea, vomiting 5. Rash, myalgia 6. Asthenia

Insulins and synthetic hypoglycemic agents

Hypoglycemic agents are drugs used to normalize blood glucose levels in diabetes mellitus.

Classification	Insulins	Oral hypoglycemic agents
Drugs	*Rapid-actingLong-acting* Lispro (Humalog)- insulin glargine (Lantus, Basaltag) Aspart (Novolog)- insulin determir (Levemir) Glulisine (Apidra)- insulin deglutec (Tresiba) *Short-actingPre-mixed* Regular (R) or Novolin- Humulin 70/30 Velosulin (for insulin pump)- Novolin 70/30 *Intermediate-acting*- Novolog 70/30 NPH (neutral protamine Hagedorn)- Humulin 50/50	**Sentesizers** *Biguanides* Metformine Buformine *Thiazolidinedione (glitazones)* Rosiglitazone Pioglitazone Troglitazone *Glucosurics(gliflozins*) Sergliflozine Remogliflozin *Alpha-glucosides inhibitors* Acarbose **Secretagogues** *Sulphonilureas* Ist generation (tolbutamide, tozalamide) IInd generation (gliclazide, glipizide, gliquidone) *Non-sulphonilurea secretagogues (meglitinides)* - meglitinide - repaglinide *Glucagon-like peptide analogues* - exanatide - liraglutide *Dipeptidyl peptidase-4 inhibitors(gliptins)* - alogliptin - sitagliptin
Mechanism of action	Binding to insulin receptors, inclusion in the cytoplasmic membrane of intracellular vesicles with glucose transfer proteins, transport of glucose to the cell.	*Sentesizers*: ↑ uptake of glucose by the periphery. *Secretagogues, gliptin, glucagon-like peptide analogues:* ↑ insulin output from the pancreas. *Glucosurics:* block the re-uptake of glucose in the renal tubules, promoting loss of glucose in the urine. *Alpha-glucosides inhibitors:* slow the digestion of starch in the small
Pharmacological effect	1. Hypoglycemic 2. Anabolic (enhancing the synthesis of proteins and fats) 3. Anticatabolic (↓ protein hydrolysis and lipolysis)	1. Hypoglycemic
Indications for use	1. Type 1 diabetes mellitus 2. Type 2 diabetes mellitus (resistance to oral hypoglycemic agents, intercurrent diseases, pregnancy)	1. Type 2 diabetes mellitus 2. Obesity (14–18)
Side effects	1. Hypoglycemia 2. Visual impairment 3. Lipodystrophy in the injection site.	1. Hypoglycemia 2. Nausea, vomiting 3. Diarrhea
Contraindications	1. Hypoglycemia, 2. Hypersensitivity	1. Type 1 diabetes mellitus 2. Diabetic ketoacidosis 3. Dysfunction of the liver and kidneys
NB!	Rules for insulin administration: • Short-acting insulin: 30 minutes before meals. • intermediate-acting insulin: 45-60 minutes before meals. (Both types - to simulate stimulated secretion of insulin) • Long-acting insulin: once a day to simulate the basal secretion of insulin.	

Adrenal gland hormones

Classification	Adrenal cortex hormones	Adrenal medulla hormones
Drugs	*Mineralocorticoids* Fludrocortisone (florinef) *Glucocorticoids (see below)* *Sex hormones (see below)*	2. Adrenaline 3. Noradrenaline
Mechanism of action	↑ reabsorption of Na + and water in the distal part of the renal tubules ↑ secretion of K + and H +.	1. Stimulation of α and β-adrenergic receptors 2. Stimulation of α1 and α2-adrenoreceptors, weakly — β1-adrenergic receptors
Pharmacological effect	1. Water and sodium retention in the body 2. ↑ Blood pressure 3. ↓ synthesis of ACTH	1. Spasm of peripheral vessels 2. ↑ blood pressure 3. Tachycardia (1) 4. Bronchodilation (1) 5. ↓ intraocular pressure (1) 6. Bradycardia (2)
Indications for use	1. Primary and secondary adrenal insufficiency 2. Adrenogenital syndrome 3. Hypovolemia 4. Arterial hypotension	1. Immediate type allergic reaction, bronchospasm, asystole, arterial hypotension, hypoglycemia, glaucoma, bleeding from the surface vessels. Prolongation of the action of anesthetics in combined application (2) 2. Acute ↓ blood pressure (3)
Side effects	1. Arterial hypertension 2. Peripheral edema 3. Hypokalemia	1. Angina pectoris, arrhythmia, psychomotor agitation, nausea, vomiting, hypokalemia (2) 2. Bradycardia, myocardial ischemia (3)
Contraindications	1. Systemic mycoses	1. Hypertrophic obstructive cardiomyopathy, pheochromocytoma, arterial hypertension, tachyarrhythmia, IHD, ventricular fibrillation, pregnancy (2) 2. Thrombosis of mesenteric and peripheral vessels (as causes their constriction), pronounced hypoxia and hypercapnia (3)

Glucocorticoids

Glucocorticoids are steroid hormones synthesized by the adrenal cortex, and their synthetic analogs.

Classification	Natural	Synthetic
Drugs	1. Cortisone 2. Hydrocortisone	3. Prednisolone 6. Beclomethasone 4. Methylprednisolone 7. Fluticazone 5. Triamcinolone 8. Budesonide
Mechanism of action	They interact with nuclear receptors that regulate the transcription of genes, and change the synthesis of proteins and enzymes.	
Pharmacological effect	Anti-inflammatory: inhibition of phospholipase A2, inhibition of the synthesis of prostaglandins and leukotrienes. Immunosuppressive: ↓ activity of leukocytes and tissue macrophages, ↓ lymphocytes count. Antiexudative, antiproliferative effects. Anti-shock effect Suppression of fibroblasts and collagen synthesis. Anabolic: stimulation of gluconeogenesis, lipogenesis. Deposition of glycogen. Catabolic: in the connective, bone, lymphoid tissue. ↑ secretion of ACTH, FSH, TTG.↑ brain excitability.↑ production of hydrochloric acid and pepsin.	
Indications for use	1. Chronic adrenal insufficiency 6. Allergic diseases 2. Acute adrenal insufficiency 7. Bronchial asthma 3. Prevention of tranplant rejection 8. Severe inflammatory processes 4. Emergencies (asthmatic status, collapse, anaphylactic shock, cerebral edema) 9. Malignant tumors 10. Gout 5. Autoimmune diseases (rheumatoid arthritis, lupus, systemic sclerosis, vasculites, polymyosites, dermatopolymyosites)	
Side effects	1. Steroid ulcers 7. Cushing's syndrome 2. Type 2 diabetes mellitus 8. Hypercoagulation 3. Hypertension 9. Growth retardation in children 4. Immunosuppression and attachment of secondary infection 10. Hypokalemia 5. Poor healing of wounds, striae 11. Arrhythmias, seizures 6. Inhibition of adrenal function 12. Hallucinations, psychosis	
Contraindications	1. Viral, fungal, bacterial diseases 5. Myasthenia gravis 2. Acid-dependent diseases of the digestive tract 6. Glaucoma 7. Immune deficiency 3. Diabetes mellitus 8. Thrombophilic conditions 4. Thyrotoxicosis, hypothyroidism	Absolute: intolerance. Relative: tuberculosis, viral infections, acute myocardial infarction (scar rupture is possible), psychosis, epilepsy, peptic ulcer, diabetes mellitus.
NB!	Equivalent doses of GCs: 5 mg of prednisolone = 25 mg of cortisone = 20 mg of hydrocortisone = 4 mg of methylprednisolone = 4 mg of triamcinolone = 0.75 mg of dexamethasone = 0.75 mg of betamethasone Glucocorticoid treatment regimens to prevent adrenal supression: - *Alternate-day therapy* — treatment once in every 28 hours. Prednisolone or methylprednisolone in the morning; - *Intermittent therapy* — short-term therapy (3–4 days) with 4-day breaks between courses; - *Pulse therapy* — short-term high-dose (250 mg-1 g of methylpresnisolone) urgent therapy. The drug of choice is methylprednisolone because it better enters inflamed tissues and less often causes side effects).	

Female sex hormones and their antagonists

Classification	Estrogens	Anti-estrogens	Gestagens	Antigestagens
Drugs	1. Estriol 2. Estradiol 3. Ethinylestradiol (see *Hormonal contraception*)	4. Tamoxifen 5. Toremifene 6. Fulvestrant	7. Dienogest 8. Dydrogesterone 9. Progesterone 10. Norethisterone 11. see *Hormonal contraception*	12. Mifepristone
Mechanism of action	Binding to estrogen receptors (in the uterus, vagina, mammary gland, liver, hypothalamus, ovaries), changes in the gene transcription and protein synthesis	Competitive binding to estrogen receptors in the target organs	Binding to progesterone receptors in the endometrium	Competitive blockage of progesterone receptors
Pharmacological effect	1. Growth and differentiation of the vaginal epithelium 2. Stimulation of the development of secondary sexual characteristics 3. Proliferation of the endometrium 4. ↓ lactation 5. ↓ bone resorption 6. Antimineralocorticoid, antiandrogenic effects (3)	1. ↑ secretion of gonadotropins (prolactin, FSH, LH), stimulation of ovulation (small doses) 2. ↓ secretion of gonadotropins and ovulation (large doses)	↓ uterine excitability during pregnancy Endometrium progress to a secretory phase (cessation of proliferation, transition of the uterine mucosa from the proliferative phase to the secretory one)	1. ↑ contractile activity of myometrium 2. Desquamation of the decidua of the uterus, fertilized egg is expelled
Indications for use	1. Atrophy of the vaginal mucosa due to estrogen deficiency (1) 2. Amenorrhea, menopause, postmenopausal osteoporosis; Substitution therapy after ovarian excision (2) 3. Contraception, acne, severe form of PMS (3)	Estrogen-dependent tumors: 1. Breast Cancer 2. Breast cancer in men after castration (4–5) 3. Kidney cancer (4–5) 4. Melanoma (4–5) 5. Ovarian cancer (4–5) 6. Prostate cancer (4–5)	1. Endometriosis (7, 8) 2. Threatening miscarriage (8) 3. Dysmenorrhea (8) dysfunctional uterine bleeding (8) 4. Progesterone deficiency (9), infertility (9) 5. PMS (10) 6. Mastodinia (9, 10)	1. Early medical abortion (up to 42 days amenorrhea) 2. Induction of labor 3. Emergency postcoital contraception (up to 72 hours) 4. Leiomyoma of the uterus
Side effects	1. Libido changes 2. Soreness of the mammary glands 3. Uterine and vaginal bleeding 4. Fluid retention	1. Thrombosis 2. Fluid retention 3. Dysmenorrhea 4. ↑ risk of proliferative changes in the endometrium 5. Dyspepsia	1. Acne 2. Fluid retention 3. ↑ body weight 4. Dysmenorrhea	1. Bleeding 2. Pain in the lower abdomen 3. Inflammation of the uterus and appendages 4. Dysmenorrhea, amenorrhea 5. Violation of hemostasis
Contraindications	1. Thrombosis 2. Estrogen-dependent tumors 3. Pregnancy, lactation	1. Pregnancy, lactation 2. Tumor or pituitary insufficiency	1. Depression, insomnia 2. Thrombosis 3. Hormone-dependent tumors 4. Uterine bleeding	1. Adrenal insufficiency 2. Long-term GCs intake 3. Renal and / or hepatic impairment 4. The scar on the uterus 5. Inflammatory diseases of female genital organs

Hormonal contraception

Hormonal contraceptives are synthetic analogues of female sex hormones preventing pregnancy.

Classification	Gestagens	Combined_oral_contraceptive_pills (estrogen+gestagen)
Drugs	*Progestogen-only pills* «Exluton» (lynestrone) 2. «Cerazette», «Lactinette» (desogestrel) *Injection* «Depo-provera» (Medroxyprogesterone) *Birth-controle implants* «Implanon» (etonogestrel) *Hormone-releasing intrauterine systems* «Mirena» (levonorgestrel) *Morning after pills (post-coital, emergency contraception)* «Postinor», «I-pill», «Plan B» (levonorgestrel)	*Monophasic* «Loestrin» (ethinyl estradiol + norethindrone acetate) 8. «Yasmin» (drospirenone / ethinyl estradiol) *Biphasic* «Aranelle» (norethindrone / ethinyl estradiol) «Mircette» (desogestrel / ethinyl estradiol) *Triphasic* 11. «Tri-Levlen» (levonorgestrel / ethinyl estradiol) 12. «Tri-Sprintec» (norgestimate / ethinyl estradiol) 13. «Triphasil» (levonorgestrel / ethinyl estradiol) *4-phasic* 14. «Qlaira» (dienogest / estradiol valerate)
Mechanism of action	*See the table "Female sex hormones and their antagonists"*	
Pharmacological effect	1. Suppression of ovulation, ↑ mucus viscosity of the cervix, oppression of the transport function of the fallopian tubes (1–4, 6–13) 2. ↓ Implantation properties of the endometrium, thickening of the mucous cervical canal (5, 6)	
Indications for use	Contraception Polycystic ovary syndrome Anovulatory infertility (stimulation of superovulation upon cancellation) Painful menstruation PMS	
Side effects	1. Dysmenorrhea 2. Lability of mood 3. Change in body weight 4. Pain of the mammary glands 5. Change in libido	1. Change in libido 2. Pain in the mammary glands 3. Uterine and vaginal bleeding 4. Fluid retention 5. Acne 6. ↑ body weight 7. Dysmenorrhea
Contraindications	1. Thromboembolism 2. Progesterone and estrogen-dependent tumors 3. Uterine and vaginal bleeding	1. Thromboembolism 2. Progesterone and estrogen-dependent tumors 3. Uterine and vaginal bleeding
NB!		Features of the composition of combined contraceptives: • Monophasic - all tablets have the same content of estrogens and progestins. • Biphasic - ↑ the progestogen content of the drug in the second phase of the menstrual cycle. • Triphasic - ↑ dose of progestogen in tablets occurs in 3 stages. These mimics the level of hormones in the physiological menstrual cycle.

Androgens and their antagonists

Androgens are preparations of male sex hormones.

Antiandrogens (testosterone blockers) are drugs eliminating effects of male sex hormones.

Classification	Androgens	Antiandrogens
Drugs	1. Testosterone (andriol, androgel, nebido) 2. Mesterolon (proviron) 3. Testosterone esters (sustanon)	**Androgen recertor antagonistsAndrogen synthesis inhibitors** 7**.** Abiraterone (Zytiga) *SteroidalEstrogens* 4. Cyproterone (Androcur, Diane) *Gonadotropin releasing hormone analogues* *Non-steroidalAntigonadotropins Progestogens* 5. Flutamide (Eulexin)*(see below)* 6. Bicalutamide (Casodex)
Mechanism of action	Binding to androgen receptors of target cells	1. Inhibition of the enzyme CYP17 converting pregnenolone and progesterone into testosterone precursors (7) 2. Competitive binding to tissue receptors of androgens in target organs (4–6)
Pharmacological effect	1. Anabolic: stimulation of protein synthesis, potassium retention and calcium fixation in bones. 2. ↑ reabsorption of sodium. 3. Maintaining the male phenotype and androgen-dependent functions (spermatogenesis, sex glands)	Antiandrogenic
Indications for use	Hormone replacement therapy of hypogonadism (1, 2, 3) In men: psycho-vegetative disorders, ↓ performance, potency disorders, infertility, aplastic anemia. (2) In men: impotence of endocrine genesis, post-stroke syndrome, oligospermia, hypo-androgenic osteoporosis. In women: hormone-dependent tumors, menopause, functional bleeding in hyperestrogenism, uterine fibroids (3)	1. Prostate cancer 2. Hirsutism 3. Androgenic alopecia in women, acne and / or seborrhea
Side effects	1. Hypercalcemia 2. Thrombophlebitis 3. Vyrilization 4. ↑ libido 5. Priapis 6. Acne 7. Diarrhea	1. Hepatotoxicity, dyspepsia, fractures, arterial hypertension, hypokalemia, hypertriglyceridemia, heart failure, angina pectoris, arrhythmias 2. Change in body weight, suppression of spermatogenesis, gynecomastia, depression 3. Diarrhea, jaundice, hepatitis
Contraindications	1. Prostate or breast cancer 2. Liver tumors 3. Hypercalcemia	1. Severe liver dysfunction 2. Cachexia, severe depression, thromboembolism, decompensated diabetes mellitus, pregnancy 3. Severe kidney and thyroid disease

Anabolic steroids

Preparations that simulate the action of testosterone and have a pronounced anabolic effect.

Classification	Androstane derivatives	Estrene derivatives
Drugs	1. Methandrostenolone (dianabol, danabol, naposim) 2. Turinabol 3. Oxymetholone (anapolone, anadrol) 4. Boldenon (equipoz, boldabol)	5. Nandrolone (retabolil, deca-durabolin) 6. Trenbolone (tren, parabolane)
Mechanism of action	Binding to androgen receptors of target cells	
Pharmacological effect	1. Anabolic: increase in muscle mass, ↑ red blood cells count, fixation of calcium in bone tissue, ↓ fat stores, ↑ appetite. 2. Androgenic: masculinization, virilization, hair loss on the head and ↑ their growth on the body, ↑ libido.	
Indications for use	1. Cachexia, asthenia 2. Osteoporosis 3. Chronic liver and kidney disease 4. Reconvalence period after severe injuries, surgeries, burns 5. Severe infectious diseases accompanied by loss of protein 6. Correction of catabolic effects of glucocorticoids 7. Progressive muscular dystrophy.	
Side effects	1. ↑ libido 2. ↑ Blood pressure 3. Acne 4. Edema 5. Hypertrophy of the prostate, testicular atrophy 6. Gynecomastia 7. Masculinization 8. Hepatotoxicity 9. Hypertrophy of the myocardium and ischemia 10. Irritability ("roid rage")	
Contraindications	1. Prostate Cancer 2. Acute liver disease 3. Decompensated diabetes mellitus 4. Acute and chronic prostatitis 5. Pregnancy, lactation 6. The pubertal age.	

7. ANTIOXIDANS. VITAMINS.ENZYMES AND ANTI-ENZYMES

Vitamins are exogenous organic substances of various chemical structures necessary for normal metabolism maintaining**.**

Fat-soluble vitamins

	Vitamin A, retinyl	**Vitamin D, calciferols**	**Vitamin E, tocopherol**	**Vitamin K, naphthoquinones**
Drugs	1.Retinyl acetate, retinyl palmitate 2. Beta-caroten	3. Ergocalciferol (D_2) 4. Cholecalciferol (D_3) 5. Calcitriol (D_3)	6. Tocoferol acetate	7. Phytomemandione (K_1) 8. Menaquinone (K_2) 9. Menadione (K_3, Vikasol)
Mechanism of action	Bind to cytoplasmic receptors in the target tissues (muscles, heart, liver), penetrate into the nucleus and effect gens → synthesis of mucopolysaccarides, phospholipids and glycoproteins	↑ Calcium and phosphate absorption in the intestines and tissue transport	↓ free radical reactions; proteins and heme synthesis, tissue breathing, cells proliferation; some enzymes cofactor; ↓ unsaturated fatty acids oxidation	↓ prothrombin and proconvertin synthesis; ↑ blood coagulability due to ↑ in synthetases of II, VII, IX, X coagulating factors; take part in CPK and ATP synthesis
Pharmacological effects	1. Regulation of: night vision; epithelial tissue growth and differentiation; calcium and phosphate metabolism	Regulation of calcium and phosphate metabolism	1. Regulation of: Reproductive system Muscles metabolism; 2. Antioxidant and regenerative action.	Anti hemorrhagic action
Indications for use	Hypo- and avitaminosis Xerophthalmia Intertrigo, burns, skin diseases Rickets (in combination with vitamin D)	Hypocalcaemia, hypophosphatemia Rickets, osteodistrophy, tetany seizures Hypocalcaemia prevention in patients undergoing artificial kidney apparatus hemodialysis	Anemia Dermatitis, hair loss Miscarriage risk Cardiac disease, bursitis, liver steatosis. 5. Improving of physical and sexual activity	Warfarin-induced bleeding (7) Hemorrhagic disease of newborn (prevention and treatment)
Side effects	Drowsiness, slackness, headache Nausea, vomiting, irritability, lower extremities bone pain Nephro- and hepatotoxicity In children: skin rush, hyperthermia, sweating, increased cerebrospinal fluid pressure with bulging fontanelles and hydrocephaly development	↓ appetite, nausea, headache Weakness, irritability, insomnia Hyperthermia, nephrotoxicity, soft tissues calcification	1. Muscle weakness, trembling 2. Reduction of reproductive function 3. Disorders of the gastrointestinal tract	↓ in blood cougulability (bleeding)
Contraindications	1. Pregnancy (teratogenicity).	Hypercalcemia, hyperphosphatemia Pregnancy (suppresses parathyroid function of fetus)	1. Hypersensitivity 2. Cardiosclerosis, myocardial infarction	1. Hypersensitivity 2. Cholestatic jaundice 3. The tendency to thromboembolism and thrombosis, increased blood coagulability

Water-soluble vitamins

Drugs	Vitamin B1, thiamine	Vitamin B2, riboflavin	Vitamin B3, PP, nicotinic acid	Vitamin B5, pantothenic acid
	1. Thiamine hydrochloride 2. Thiamine pyrophosphate (co-carboxylase)	3. Riboflavin	4. Nicotinic acid 5. Nicotinamide 6. Xanthinal nicotinate	7. Calcium pantothenate 8. Dexpanthenol
Mechanism of action	It is decarboxylase co-enzyme (oxidative decarboxylation of α-keto acids, pyruvate) and transketolase (pentose phosphate pathway of glucose breakdown)	As a part of the FMN and FAD participates in the transport of electrons in the respiratory chain, deamination of amino acids, oxidative phosphorylation	As parts of NAD and NADP are involved in glycolysis and gluconeogenesis, oxidation of substrates in the respiratory chain	In the structure of acetyl-CoA is involved in the processes of acetylation and oxidation, carbohydrate and lipid metabolism, the synthesis of acetylcholine, triglycerides and steroids
Pharmacological effects	Neuroprotective, cardiotrophic, hypoglycemic action, elimination of metabolic acidosis	Stimulates the development of the fetus, the division of the epithelium of the mucous membranes and eye tissues	Vasodilator, cardiotrophic, hepatoprotective, detoxicating, anticholesterolemic, hypoglycemic, ↑ microcirculation	↑ Tissue metabolism, contractile activity of the myocardium
Indications	1. Vitamin deficiency 2. Neuritis, radiculitis, neuralgia, paralysis 3. Diabetes mellitus 4. Dermatoses, itching, pyoderma, eczema, psoriasis 5. Atony of the intestine 6. Myocardial dystrophy, endarteritis 7. Abstinence syndrome with alcoholism, drug addiction	1. Insufficiency of the vitamin 2. Diseases of the eyes (hemostalopia, conjunctivitis, iritis, keratitis, corneal ulcers, cataracts) 3. Non-healing wounds and ulcers 4. Radiation sickness 5. Asthenia 6. Sprue, viral hepatitis	1. Pellagra 2. Vascular spasm (obliterating endoarteritis, Raynaud's disease, migraine, etc.) 3. Diseases of the gastrointestinal tract (hepatitis, cirrhosis, etc.) 4. Neuritis of the facial nerve 5. Hyperlipidemia (in high doses) 6. Infectious diseases	1. Prevention of vitamin deficiency 2. Polyneuritis, neuralgia, paresthesia 3. Stress, depression 4. Trophic ulcers, eczema, burns 5. Malabsorption, atony of the intestine 6. Abstinence syndrome with alcoholism, drug addiction
Side effects	1. A slight decrease in blood pressure 2. Anaphylaxis (with intravenous administration), nausea, urticaria 3. Painful injections due to low pH of the solution	1. Yellow-orange coloration of urine 2. in subconjunctival administration - headache, dizziness, lacrimation	1. Flushing of the face and neck (increase in histamine release) 2. Itching, dry skin 3. Headache, dizziness, pain in the heart, hypotension 4. Pain in the injection site.	1. Nausea, vomiting, heartburn 2. Pain in the injection site
Contraindications	Hypersensitivity	1. Hypersensitivity 2. Nephrolithiasis	1. Hypersensitivity 2. Gastro duodenal ulcers 3. Severe liver function disorders 4. Gout, hyperuricemia 5. Severe forms of hypertension (IV)	1. Hypersensitivity

Water-soluble vitamins (continued)

Drugs	Vitamin B6, pyridoxine	Vitamin B9, Folic acid	Vitamin B12, cyanocobalamin	Vitamin C, Ascorbic acid	Vitamin R, Bioflavonoids
	1. Pyridoxine hydrochloride 2. Magnesium-B6	3. Folic acid	4. Cyanocobalamin 5. Oxycobalamin	6. Ascorbic acid	7. Rutozid 8. Ascorutin (6 + 7)
Mechanism of action	In the process of metabolism, they are converted into pyridoxalphosphate, which participates in many processes of nitrogen metabolism (trans-amination, deamination of amino acids, metabolism of tryptophan, serotonin, etc.)	In the process of metabolism, it is converted into tetrahydrofolic acid, which is necessary for meglobalasts forming and transformation into normoblasts. Participates in the exchange of purines and pyrimidines, amino acids, nucleic acids	Participates in the reducing of folic acid in tetra-hydrophilic, in the transfer of methyl fragments, which is necessary for the formation of methionine, choline, creatine, nucleic acids, maturation of erythrocytes	Regulates the transport of water in many biochemical reactions, improves the use of glucose in the Krebs cycle, and participates in the formation of THF, steroid hormones, collagen. Activates proteolytic enzymes, promotes phagocytosis	Reactivates the sulfhydryl groups of proteins and glutathione, vitamin C and tocopherol. Suppresses the activity of hyaluronidase.
Pharmacological effects	Neuroprotective, cardiotonic, hepatoprotective, antihypoxic, anti-cholesterolemic, stimulation of erythro- and leucopoiesis	Hematopoietic, anti-anemic, metabolic, regenerative	Hematopoietic, anti-anemic, metabolic, regenerative, influence on conduction of nerve impulse, immunostimulating, hepatoprotective, hypocholesterolemic	Metabolic, regulation of oxidation-reduction processes, antioxidant, regenerative, immunotropic, anti-inflammatory, antiallergic	Angioprotective (reduces permeability of capillaries, swelling, inflammation, strengthens the vessel wall, inhibits aggregation), antioxidant
Indications	1. Vitamin deficiency 2. Isoniazid intake 3. Hypochromic anemia, leukopenia 4. Parezy, paralysis, neuritis, neuralgia 5. Hepatitis, cholecystitis 6. Skin diseases	1. Megaloblastic anemia 2. Hypo-and avitaminosis (sprue, pregnancy, etc.) 3. Drug and radiation anemia	1. Hypo-and avitaminosis (sprue, pregnancy, etc.) 2. Chronic anemia (megaloblastic anemia, aplastic, etc.) 3. Diseases of the nervous system (neuralgia, polyneuritis, diabetic neuropathy, etc.) 4. Skin diseases (psoriasis, photodermatitis, etc.) 5. Chronic hepatitis, liver cirrhosis	1. Hypovitaminosis 2. Infectious diseases 3. Alcohol and nicotinic intoxication 4. Bleeding 5. Metabolic and respiratory acidosis	1. Varicose veins 2. Chronic venous insufficiency 3. Lymphostasis 4. Diabetic retinopathy 5. Radiation therapy
Side effects	1. Allergic reactions 2. Redness of the skin, heat	1. Allergic reactions (bronchospasm, erythema,	1. Allergic reactions 2. Nervous stimulation	1. Irritation of the mucosa of the gastrointestinal tract	1. Dyspeptic disorders 2. Headache, pain

	sensation 3. Paresthesia, drowsiness 4. Burning and pain at the injection site 5. Increased gastric acidity	fever, skin rashes) 2. Dyspepsia 3. In high doses - increased excitability of the central nervous system (up to seizures)	3. Headache, head-spin 4. Pain in the heart, arrhythmia (decrease in the level of K^+)	(nausea, vomiting, diarrhea) 2. Hyperglycemia, a decrease in the synthesis of insulin 3. Urolithiasis 4. Increased blood clotting 5. Headache, tachycardia 6. Ulcerogenicity	3. Rashes on the skin
Contraindications	1. Hypersensitivity	1. Hypersensitivity	1. Hypersensitivity 2. Hyper coagulation (including acute thrombosis) 3. Erythremia, erythrocytosis	1. Hypersensitivity 2. Thrombophlebitis, a tendency to thrombosis 3. Diabetes mellitus (in high doses and long-term use)	1. Hypersensitivity 2. Pregnancy (I trimester)
NB!	Take into account physico-chemical incompatibility of vitamins when prescribing a combination. Vitamins B_1, B_6, B_{12}, PP and C cannot be mixed in the same syringe, as they are destroyed or oxidized. Vitamin overdose: vitamin A — adsorbents, vitamin C, hepatoprotectors, diuretics, glucocorticoids; vitamin D — glucocorticoids, vitamins A and E, sodium sulfate, Na2-EDTA, insulin + glucose, symptomatic therapy; vitamin E — plasma substitution solutions, antihypertensive, hepatoprotectors. The most severe complication of vitamin therapy is anaphylactic shock (B_1, B_6, B_{12}, PP, and C). Preference is given in most cases to multivitamin preparations. In practice, multivitamins are used for combined use in order to provide a more powerful and versatile action.				

Enzyme and antienzyme agents

Read study guide for the topic «Drugs affecting digestive system».

8. ANTIINFLAMMATORY AND ANTIGOAT DRUGS. ANTI-ALLERGIC DRUGS. IMMUNOMODULATORS

NSAIDs are drugs with anti-inflammatory, antipyretic and analgesic effects.

Classification	Non-selective COX inhibitors	Preferential COX-2 inhibitors	Selective COX-2 inhibitors	Antipyretic analgesics
Drugs	1. Acetylsalicylic acid (Aspyrin) 2. Diclofenac sodium (Voltaren, Orthofen) 3. Ibuprofen (Ibufen, Nurofen) 4. Ketoprofen (Ketonal, Ultrafaыteen, Fastum gel) 5. Indomethacin (Metindol) 6. Phenylbutazone (Butadione)	7. Meloksikam (Movalis) 8. Nimesulid (Sulide, Coxtal, Sintalgin, Octaprin, Nimesil) 9. Eudolacus (Elderin)	10. Celecoxib (Celebrex) 11. Rofecoxib (Rofika, Denebol)	12. Mephenamic acid (Pomstal) 13. Paracetamol 14. Ketorolac 15. Metamizole (Analgin)
Mechanism of action	1. Inhibition of COX-1 and COX-2 (1–6) or COX 2 (7–10) → suppression of prostaglandin synthesis (PG) from arachidonic acid; inhibition of thromboxane A2 synthesis 2. Affect the synthesis of PG associated with the mobilization of Ca in smooth muscle (anti-Ca mechanism of anti-inflammatory effect) 3. Block the interaction of bradykinin with tissue receptors → Restoration of impaired microcirculation, ↓ extradilatation of capillaries, ↓ exudation of plasma, its proteins, proinflammatory factors and blood cells (bradykinin mechanism of anti-inflammatory effect) (1–3, 5) 4. Inhibit the release of histamine, serotonin and biogenic amines (antihistamine and antiserotonin component of anti-inflammatory effect) 5. Bind to with G-protein in the cell membrane → affect the transmission of membrane signals, ↓ transport of anions, affect biological processes (membrane stabilizing component of anti-inflammatory effect) 6. Inhibition of inflammation → ↓ pain, because inflammation in the peripheral tissues stimulates pain receptors 7. ↓ synthesis of prostaglandins (PG E1) stimulating thermoregulation center in the hypothalamus, peripheral vasodilatation → ↓ body temperature 8. ↓ capillary permeability → impair immunocompetent cells contact with antigen and antibodies contact with a substrate; macrophages lysosomal membranes stabilization 9. ↓ chemotaxis of monocytes, eosinophils, lymphocytes, leukocytes 10. Inhibition of subcortical pain centers (central action) and pain impulses transmission to the CNS (12–15)			
Pharmacological effects	1. Anti-inflammatory effect (1–11) 2. Analgesic effect 3. Antipyretic effect 4. Antiplatelet effect (1) 5. Immunosuppressive effect (3, 5, 6) 6. Desensitizing effect			

Indications	1. Rheumatic diseases (rheumatoid arthritis, gouty and psoriatic arthritis, ankylosing spondylitis, etc.) (1–11); 2. Non-rheumatic diseases of the musculoskeletal system (osteoarthritis, myositis, tendovaginitis, trauma, etc.); 3. Moderate pain syndrome of various etiologies (headache and toothache, postoperative pain, algodismenorea) (12–14); 4. Neurological diseases (neuralgia, radiculitis, etc.) (12–14); 5. ↑ body temperature >38,5 °C (1,3,13,15); 6. Prevention of "white" (arterial) thrombi formation (1).
Side effects	1. *NSAID-induced gastropathy* (inhibition of the synthesis of PG and prostocycline → ↓ pH; ↓ mucosa reparation- 1–6) 2. *Nephrotoxicity* (vasoconstriction and deterioration of renal blood flow due to PG-E2 and prostacyclin synthesis inhibition in the kidneys → ischemic changes in the kidneys, ↓ glomerular filtration and volume of diuresis → water retention, edema, hypernatremia, hyperkalemia, ↑ serum creatinine level, ↑ blood pressure - most expressed in 1,5,6; direct influence on the renal parenchyma → interstitial nephritis - most expressed in 1,5,6,15) 3. *Coagulopathy* (antiplatelet and moderate anticoagulant effect due to inhibition of prothrombin formation in the liver → bleeding - 1) 4. *Hematotoxicity* (hypochromic microcytic anemia, hemolytic anemia, thrombocytopenia – 1, 5; leukopenia, agranulocytosis and thrombocytopenia due to hematopoiesis suppression in the bone marrow — 15) 5. *Hepatotoxicity* (immunoallergic hepatitis at the beginning of the drug taking — more often 6; in long intake and high doses - toxic hepatitis more often at 2, 6) 6. *Allergic reactions* *7. Reye syndrome* (rapidly progressive, vitally threatening acute encephalopathy combined with liver damage and caused by the intake of NSAIDs against the background of a viral infectious disease - more often 1) 8. Dizziness, headache 9. Retinopathy, keratopathy (5); optic neuritis (3) 10. Bronchospasm (more often in people with bronchial asthma - most pronounced in 1)
Contraindications	1. Erosive-ulcerative lesions of the digestive tract 2. Severe dysfunction of the liver and kidneys 3. Cytopenia 4. Individual intolerance 5. Pregnancy
NB!	NSAIDs should be taken after meals and washed down with milk or alkaline water. NSAIDs should be administered with caution to patients with bronchial asthma, as well as individuals who previously identified unwanted reactions when taking any other NSAIDs. Patients with hypertension or heart failure should choose those NSAIDs, which have the least effect on the renal blood flow. Older people should take minimum effective doses and undergo short courses of NSAIDs.

Antigout agents — medicines used to prevent gout by uric acid level dicrease.

Classification	Uricosuric agents	Uricodepressive drugs	Uric acid-specific enzymes (PEGyulated uricase)
Drugs	1. Sulfinpyrazone (Anturan) 2. Probenecid (Probalan) 3. Benzbromarone (Normurat, Hypuric)	4. Allopurinol (Milurite) 5. Febuxostat (Adenuric)	6. Pegloticase (Krystexxa)
Mechanism of action	1. ↓ reabsorption of uric acid in the proximal renal tubules → ↑ its excretion in the urine (1–3)	1. Inhibits xanthine oxidase → prevents the uric acid formation from hypoxanthine and xanthine	Metabolizes uric acid to soluble allantoin to be eliminated
Pharmacological effects	1. Antigout effect		
Indications	1. Chronic gout 2. Hyperuricemia	1. Chronic gout 2. Urolithiasis 3. Prevention of hyperuricemia in radiation therapy and chemotherapy (4)	1. Drug-resistant gout 3. High disease activity with high blood level of uric acid 2. Intolerance to other antigoat drugs
Side effects	1. Kidney stones 3. Dyspepsia 2. Gastroduodenal ulcer (1)	1. Acute gout attack 2. Dyspepsia 3. Eosinophilia	1. Anaphylaxis 2. Infusion reactions
Contraindications	1. Hyperuricosuria 2. Liver and renal disfunctions 2. Urolithiasis 3. Pregnancy and lactation 3. Gastroduodenal ulcer (1)	1. Severe liver and renal disfunctions	1. Glucose-6-phosphate dehydrogenase deficiency (risk of hemolysis and methemoglobinemia)
NB!	Acidic medium of the urine facilitates uric acid crystallization and stones formation; therefor urine alkalization is needed (12–18 g of potassium citrate orally daily). The patient is to perform urine pH dipstick tests by himself regularly.	Urate-lowering therapies should not be initiated during an acute attack. But in patients already receiving these agents the urate-lowering medication should be continued without interruption. Exeption – long-duration attack (several weeks), in this case we discontinuate uricodepressants.	High allergic intravenous drug; premedication by antihistamines and glucocorticoids is needed. Expensive (about 2 000$)

Treatment of acute gout attack

1. Complete rest 2. Elevated position of affected limbs 3. In acute inflammation — cold (soak limbs in cold water). After pain relief – warming. 4. Increased fluid consumption (alkaline mineral water)		
NSAIDs		Diclofenac 100–150 mg daily orally or IM; or ibuprofen 1200–2400 mg daily orally; or meloxicam 15 mg daily orally or IM; or nimesulide 200–400 mg daily orally; a celecoxib 400 mg daily orally
When NSAIDs are ineffective or contraindicated	Colchicine	Colchicine prevents microtubule assembly and thereby disrupts inflammasome activation, microtubule-based inflammatory cell chemotaxis, generation of leukotrienes and cytokines, and phagocytosis. Doesn't affect uric acid metabolism. 1 tablet 2-3 times daily
When NSAIDs and colchicine are ineffective or contraindicated	Glucocorticoids	Prednisolone 15–30 mg daily (methylprednisolone 12–24 mg/ kg daily) orally in the first day, followed by a decrease in the dose of 5 mg/day (4 mg/day) every subsequent day till withhold the drugs, or Betamethasone 1–2 ml (5–10 mg) or triamcinolone 40–80 mg or methylprednisolone 40–80 mg (intraarticularly not often than 2–3 times/year into one joint or periarticularly). In the hospital: you can start with IV injections of methylprednisolone 250–500 mg daily
When all the previous drugs are ineffective / contraindicated	1β-interleukin inhibitors	Canakinumab 150 mg suncutaneously. In apsent effect repeated infusion isn'r given. Interval between administrations not less than 12 weeks. Tuberculosis to be excluded before use. Expensive (about 6 000$)!
When there is gastrointestinal complications risk	Proton pump inhibitors	Omeprazole 20–40 mg daily or rabeprazole 20–40 mg daily, or lansoprazole 30–60 mg daily
	H2 histamine receptor blockers	Ranitidine 0.15–0.3 / day orally or famotidine 0.02–0.04 g / day orally

Antihistamines — drugs that block H1-histamine receptors.

Classification	I generation	II generation	III generation
Drugs	1. Diphenhydramine 2. Clemastin (Tavegil) 3. Chloropyramine (Suprastin) 4. Mebrogroline (Diazolin) 5. Quifenadine (Fenkarol) 6. Prometazine (diprasine, Pipolphen)	7. Loratadin (Claritin) 8. Dimethindene (Fenistil) 9. Ebastin (Kestin) 10. Azelastine (Allergodyl) 11. Astemizole (Gismanal) 12. Terfenadine (Bronal, Histadine)	13. Cetirizine (Zirtek) 14. Fexofenadine (Telfast) 15. Desloratadine (Erius)
Mechanism of action	Block H1-histamine receptors, as well as cholinergic and serotonin receptors	H1-histamine receptors are blocked	
Pharmacological effects	1. Antihistamine 2. Sedative, hypnotic (1–3, 6) 3. Antiholinergic (1–4, 6) 4. Hypotensive (1,6) 5. Resistance 6. Antiemetic (1,6)	*Unlike the Ist generation:* Do not have a sedative and hypnotic effect (poorly penetrate through the blood-brain barrier) Do not have anticholinergic and α-adrenergic blocking properties Do not cause resistance Are long-acting (about 24 hours)	*Unlike the II generation:* 1. Are active metabolites of anti-histamine drugs of the previous generation. 2. DO NOT affect the QT interval
Indications	1. Urticaria, eczema, itchy skin, dermatitis 2. Allergic rhinitis and conjunctivitis 3. Quincke's edema 4. Anaphylactic reactions with cutaneous manifestations 5. Marine and air sickness (1,6)		
Side effects	1. Drowsiness 2. Dry mouth 3. Hypotension (1.6) 4. Dyspeptic phenomena	1. Dyspeptic phenomena 2. Dry mouth 3. Cardiotoxicity: prolongation of QT, rhythm disturbance (11, 12)	1. Dyspeptic phenomena 2. Dizziness, headache
Contraindications	1. Closed-angle glaucoma (1–4, 6) 2. Hypertrophy of the prostate (1–4, 6) 3. Severe liver diseases, erosive-ulcerative lesions of the gastroduodenal zone 4. Pregnancy, breast-feeding	1. Pregnancy, breast-feeding	
NB!	1. Drugs with sedative and hypnotic effects can't be prescribed to drivers and other persons whose job requires a rapid mental and motor reaction. 2. Groups of drugs with antihistamine action: glucocorticosteroids, mast cell stabilizers, leukotriene receptor inhibitors, "universal" adrenomimetic (epinephrine).		

Acute management of anaphylaxis

I line management	1. Assess respiratory tract patency, the presence and adequacy of breathing, the level of consciousness, the state of skin.
	2. Adrenaline (epinephrine) 0,1 % 0,3–0,5 ml IM into the middle of the anterolateral lateral surface of the thigh or IV
II line management	3. Cardiopulmonary resuscitation in cardiac or respiratory arrest. Ratio of breaths to compression — 2:30
	4. When hypotension: lay the patient with raised lower limbs, ensure the supply of moistened oxygen (if available), the introduction of sodium chloride solution 0,9 % IV (to 20 ml/kg)
	5. When bronchospasm: sitting position of the patient, ensure the supply of moistened oxygen (if available), inhalation of β2-agonists — salbutamol 100 mkg via a metered aerosol inhaler (1–2 doses) or a nebulizer 2,5 mg/3 ml
	6. If there is no response within 5–10 minutes, reapply adrenaline 0,1 % 0,3–0,5 ml
III line management	7**.** Corticosteroids (prednisolone 90–120 mg)
	8. Introduction of antihistamines for the treatment of skin symptoms в/м clemastine 2 mg or chloropyramamine 20 mg or definehydramine 25–50 мг і/, IV or orally
NB!	*If only an angioedema or urticaria it's not anaphylaxis and management includes:* 1. Antihistamines IM, IV, clemastine 2 mg orally, chloropyramamine 20 mg, definehydramine 25–50 mg 2. corticosteroids (prednisolone 25–30 mg)

Immunosuppressive drugs are drugs inhibiting or preventing activity of the immune system.

Monoclonal antibodies are antibodies that are made by identical immune cells that are all clones of a unique parent cell.

Classification	For cancer	For organ transplantation	For autoimmune diseases	For infectious, allergic diseases and other diseases
Drugs	1. Avastin (Bevacizumab) 2. Herceptin (Trastuzumab) 3. MabThera (Rituximab) 4. Erbitux (Cetuximab)	5. Simulekt (Baziliximab)	6. Actemra (Tocilizumab) 7. Humirah (Adalumumab) 8. Remicade (Infliximab)	9. Xolar (Omalizumab) 10. Lucentis (Ranibizumab)
Mechanism of action	1. Selectively binds to the growth factor of the endothelial vessels and neutralizes it → violation of angiogenesis, ↓ vascularization and depression of growth of the tumor (1) 2. Blocks human epidermal growth factor receptor type 2 (HER-2) on tumor cells → ↓ division of malignant cells (2) 3. ↓ level of circulating CD20 + B-lymphocytes (3) 4. Blocks epidermal growth factor receptor (EGFR) →	1. Blocks the α-chain of the interleukin-2 receptor (CD25) → ↓ T cell proliferation (5)	1. Suppresses receptors of interleukin-6 (6) 2. Inhibit tumor necrosis factor-α (TNF-α) (7,8)	1. It binds to Ig E and prevents its interaction with Fc-R1 → ↓ Ig E (9) 2. Prevents the interaction of endothelial growth factor of the vessels (VEGF-A) with receptors on the surface of endothelial cells → ↓ neovascularization and vascular proliferation (10)
Pharmacological effects	1. Antitumor effect	1. Immunodepressive effect	1. Immunodepressive effect 2. Anti-inflammatory effect	1. Antiallergic effect (9) 2. Antiproliferative effect (10)
Indications	1. Metastatic colorectal cancer (1.4) 2. Breast and pulmonary cancer (1,2) 3. Renal cell carcinoma (1) 4. Stomach cancer (2) 5. Squamous cell carcinoma of the head and neck (4) 6. B-cell CD20-positive non-Hodgkin's lymphomas, chronic lymphocytic leukemia (3)	1. Prevention of kidney transplant rejection	1. Rheumatoid arthritis 2. Ulcerative colitis and Crohn's disease (7,8) 3. Plaque psoriasis in children (7)	1. Atopic bronchial asthma (9) 2. Chronic idiopathic urticaria (9) 3. Neovascular (wet) form of age-related macular degeneration (10)
Side effects	1. Perforation of gastrointestinal tract (1) 2. Bleeding, thromboembolism (1) 3. Neutropenia, leukopenia, thrombocytopenia (1–3) 4. Hypertension 5. Diarrhea, nausea, vomiting, abdominal pain 6. Heart failure, tachyarrhythmia (1–3) 7. Upper respiratory and urinary infections 8. Allergic reactions	1. Diarrhea, nausea, vomiting, abdominal pain 2. Hypertension, headache 3. Hyperkalemia, hypercholesterolemia, hypophosphaemia4. Upper respiratory and urinary infections 5. Allergy	1. Upper respiratory infections 2. Hypertension, headache 3. Leukopenia, neutropenia 4. ↑ hepatic enzyme activity 5. Benign tumors (7) 6. Allergic reactions	1. Upper respiratory and urinary infections (10) 2. Anemia (10) 3. Intraocular inflammation, visual disturbances (10) 4. Headache 5. Allergic reactions
Contraindications	1. Hypersensitivity 2. Patients with dyspnea at rest (2)	1. Hypersensitivity	1. Hypersensitivity 2. Sepsis, active tuberculosis	1. Hypersensitivity 2. Eye infections (10)
NB!	Other drugs with immunosuppressive action: cytostatics, glucocorticoids, immunoglobulins (antitimocyte immunoglobulin)			

Immunomodulators — medicines correcting immunity disorders.

Classification	Interferons	Interferon inductors	Interleukins	Colony-stimulating factors
Drugs	*Natural:* 1. Human leukocyte interferon (α-feron) 2. Velferon (α-feron) 3. Toraferon (β-feron) *Recombinant:* 4. Reaferon, Viferon (α2A-interferon) 5. Intron-A, Laferon (α2B-interferon) 6. Betaferon, Fron (β-feron) 7. Gammaferon, Immukin (γ-feron)	8. Amiksin 9. Poludan 10. Arbidol 11. Ingavirin	1. ↑ amount of lymphocytes and their cytotoxicity, the activity of cell-killer killers, and the activity of tumor necrosis factor	1. ↑ expression of class II histocompatibility antigens on human monocytes and ↑ production of antibodies; ↑ phagocytosis of bacteria, activate cytotoxic effector cells 2. Activates the maturation of myeloid and lymphoid cells
Mechanism of action	1. Influenza, ARVI (1) 2. Hepatitis B and C (1–7) 3. Severe bacterial infections (7) 4. AIDS-associated Kaposi's sarcoma (1, 4, 5) 5. Hairy cell leukemia (1, 2, 4) 6. Chronic myelogenous leukemia (1, 2, 5) 7. Kidney cancer (1, 2, 4, 5) 8. Multiple sclerosis (1, 6, 4) 9. Larynx papillomatosis (2, 4)	1. Influenza, ARVI 2. Hepatitis A, B and C (8) 3. Keratitis, uveitis (9)	1. Septic conditions accompanied by immunosuppression 2. Renal cell carcinoma (12) 3. Pulmonary tuberculosis (12, 13) 4. Toxic leukopenia of 2–4 grade complicating chemo- and radiotherapy of malignant tumors (13)	1. Antitumor agents-induced neutropenia; HIV infection 2. Neutropenia in patients with myelodysplastic syndrome (15) 3. Bone marrow transplantation
Pharmacological effects	1. Immunomodulating 3. Antiviral 2. Antineoplastic 4. Antibacterial	1. Immunomodulating 2. Antiviral	1. Immunomodulating	
Indications	1. Influenza, ARVI (1) 2. Hepatitis B and C (1–7) 3. Severe bacterial infections (7) 4. AIDS-associated Kaposi's sarcoma (1, 4, 5) 5. Hairy cell leukemia (1, 2, 4) 6. Chronic myelogenous leukemia (1, 2, 5) 7. Kidney cancer (1, 2, 4, 5) 8. Multiple sclerosis (1, 6, 4) 9. Larynx papillomatosis (2, 4)	1. Influenza, ARVI 2. Hepatitis A, B and C (8) 3. Keratitis, uveitis (9)	1. Septic conditions accompanied by immunosuppression 2. Renal cell carcinoma (12) 3. Pulmonary tuberculosis (12, 13) 4. Toxic leukopenia of 2–4 grade complicating chemo- and radiotherapy of malignant tumors (13)	1. Antitumor agents-induced neutropenia; HIV infection 2. Neutropenia in patients with myelodysplastic syndrome (15) 3. Bone marrow transplantation
Side effects	1. Asthenovegetative syndrome 2. Flu-like syndrome 3. Nausea, diarrhea, anorexia 4. Thrombocyto-, leukopenia (2–7) 5. Hepatotoxicity 6. Nephrotoxicity (2–7) 7. Convulsive syndrome (2–6) 8. Depression (1–6) 9. Cardiotoxicity (2–7)	1. Dyspeptic phenomena 2. Short-term chills (8)	1. Flu-like syndrome 2. Dyspeptic phenomena 3. Hematotoxicity (anemia, thrombocytopenia, leukopenia), cardio-toxicity (myocardial ischemia, atrial arrhythmias), arterial hypertension (12) 4. Neurotoxicity (drowsiness, delirium)	1. Anorexia, nausea, vomiting, diarrhea, abdominal pain 2. Headache, dizziness 3. Hypotension, arrhythmia, heart failure 4. Bronchospasm
Contraindications	1. Hypersensitivity 2. Expressed violations of the liver, kidney, heart functions, hematopoiesis system 3. Epilepsy, mental illness	1. Hypersensitivity 2. Childhood	1. Hypersensitivity 2. Autoimmune diseases 3. Severe cardiovascular diseases	1. Hypersensitivity 2. Myeloid leukemia

Immunomodulators (continued)

Classification	Thymus preparations	Synthetic drugs	Substances of bacterial origin	Vegetable drugs
Drugs	1. Timalin (Timosin) 2. Tactivin 3. Timopentin	4. Levamisol (Decaris) 5. Leakadine 6. Berlopentin	7. Prodigiosan 9. Broncho Munal 8. Ribomunil 10. Imudon	11. Echinacea purpurea
Mechanism of action	1. Regulates the number of T- and B-lymphocytes, enhances the response of cellular immunity and phagocytosis, as well as the regeneration and hemopoiesis processes in case of their inhibition (1) 2. ↑ α- and γ-interferons, restores the activity of T-killers, normalizes immunity indices (2) 3. ↑ number of T-lymphocytes (3)	1. Stimulates the function of T-lymphocytes, macrophages, strengthens cellular immunity mainly, and also disrupts the bioenergetic processes of helminthes (4) 2. ↓ level of T-suppressors, normalizes the ratio of T-helpers and T-suppressors, ↑ cytotoxicity of natural killers and monocytes, inhibits tumor growth (5) 3. ↑ the proliferation and differentiation of bone marrow stem cells without increase in pathological immune responses (6)	1. Activates T-lymphocytes and adrenal cortex function, ↑ formation of endogenous interferon (7) 2. Stimulates the formation of specific antibodies to the antigens of klebsiella and streptococci, activates T and B lymphocytes, the formation of interleukin-1 and interferon-α (8.9) 3. Stimulate local humoral immunity, ↑ the production of lgA in the mucus-stern of the upper respiratory tract and ↑ the content of lysozyme (10)	1. Activates leukopoiesis and ↑ phagocytic activity of macrophages → ↓ bacterial growth and helps to kill pathogenic bacteria.
Pharmacological effects	1. Immunomodulating	1. Immunomodulating 2. Antiparasitic (4) 3. Antineoplastic (5)	1. Immunomodulating	1. Immunomodulating 2. Antiviral 3. Antibacterial
Indications	1. Acute and chronic bacterial and viral infections 2. Malignancies (2,3) 3. Chronic viral hepatitis (2,3)	1. Auxiliary postoperative cancer treatment (4) 2. Nematodeases (4) 3. Kaposi's sarcoma, skin lymphoma (5) 4. Psoriasis (5) 5. Immunodeficiency in HIV / AIDS (6)	1. Decreased immunity due to chronic inflammatory diseases, after operations (7) 2. Chronic bronchitis, tracheitis, rhinitis (8, 9, 11) 3. Gingivitis, periodontitis, stomatitis (10)	1. Uncomplicated viral and bacterial diseases of the respiratory tract.
Side effects	1. Allergy	1. Nausea, vomiting, diarrhea 2. Risk of agranulocytosis (4) 3. Thrombocytopenia (5) 4. ↑ blood pressure (5) 5. Burning pain at the injection site (6)	1. Headache (7) 2. ↑ body temperature (7) 3. Allergic reactions 4. Nausea, vomiting	1. Allergy
Contraindications	1. Hypersensitivity 2. Atopic asthma (2)	1. Hypersensitivity 2. Agranulocytosis (4) 3. Thrombocytopenia (5) 4. The gastroduodenal ulcer (5)	1. Central nervous system lesions (7) 2. Myocardial infarction (7) 3. Autoimmune diseases (8)	1. Hypersensitivity 2. Autoimmune diseases
NB!	Bacillus Calmette–Guérin (BCG) vaccine is also an bacterial immunomodulator (vaccine against tuberculosis)			

9. CHEMOTHERAPEUTIC AGENTS. CONCEPT OF CHEMOTHERAPY. ANTIBIOTICS (ß-LACTAM ANTIBIOTICS, MACROLIDES, TETRACYCLINS)

Chemotherapeutic agents are medicinal substances suppressing the vital functions of pathogens of infectious diseases or tumor cells.

Antibiotics are medicinal substances of predominantly microbial origin, as well as their semisynthetic and synthetic analogues, which have the ability to suppress the viability of susceptible microorganisms.

Currently, 3 types of antibiotic treatment are used:

1. *Preventive treatment* - prescribing antibiotics for the prevention of infectious diseases (for example, for seasonal prevention of acute rheumatic fever or postoperative complications).

2. *Empirical or initial treatment* — administration of broad-spectrum antibiotics suppressing microorganisms associated with the given pathology without the results of bacterial culture and antibiotic susceptibility testing (eg, community-acquired pneumonia is most often caused by pneumococcus susceptible to aminopenicillins).

3. *Final treatment* — administration of narrow-spectrum antibiotics in accordance with the results of bacterial culture test (type of detected pathogens and its susceptibility to antibiotics).

Principles of rational chemotherapy.

The choice of the drug should be carried out taking into account:

1) Diagnosis (therapy can be empirical and etiotropic);
2) The spectrum of drugs activity (it is preferable to administer narrow-spectrum antibiotics);
3) The state of the patient's organism taking into account his age, pregnancy and concomitant diseases;
4) Toxicity of drugs, their side effects;
5) Localization of the infection (the substance should reach the focus of infection);
6) Route of administration (In severe cases, drugs are administered parenterally);
7) The possibility of combining drugs in order to enhance the pharmacological effect and prevention of the development of resistance of microorganisms to antibiotics;
8) Drugs cost.

When prescribing treatment adequate dose of the drug, frequency of its administration and duration of the course of antibiotic therapy should be chosen.

Penicillins

Classification	Natural	Semisynthetic			
		ß -lactamase-resistant	Aminopenicillins	Antipseudomonal	Penicillinase-resistant
Drugs	Short acting: 1. Benzylpenicillin sodium and potassium salts 2. Phenoxymethylpenicillin Long-acting: 3. Benzylpenicillin novocaine salt 4. Bicillin-1, bicillin-5	5. Oxacillin 6. Cloxacillin	7. Ampicillin 8. Amoxicillin	*Carboxypenicillins:* 9. Carbenicillin 10. Ticarcillin *Ureidopenicillins:* 11. Piperacillin 12. Azlocillin	13. Amoxicillin / clavulanic acid (Augmentin) 14. Ampicillin / сульбактам (Unazine) 15. Ticarcillin / clavulanic acid (Timentin) 16. Piperacillin / Tazobactam
Mechanism of action	Suppress the synthesis of the bacterial cell wall (bactericidal action)				+ Inhibition of ß-lactamases due to sulbactam, clavulanate → Are active against PRSA
Spectrum of activity	1. Gr (+) cocci: non-penicillinase producing staphylococci: streptococci, pneumococci 2. Gr (-) cocci: meningococci 3. Gr (+) sticks: Listeria, causative agents of diphtheria, anthrax 4. Spirochetes, anaerobes	See natural penicillins + 5. Penicillinase-producing staphylococci (PRSA)	1. Gr (-) bacteria: E. coli, hemophilic rod, salmonella, shigella 2. Gr (+) cocci: non-penicillinase producing staphylococci, Streptococci (enterococcus), pneumococci 3. Gr (-) cocci: meningococci 4. Gr (+) sticks: listeria, exciters of diphtheria, anthrax 5. Spirochetes, anaerobes	Similar to Ampicillin, but + 1. Pseudomonas aeruginosa 2. Ampicillin-resistant Gr (-) m/o: Enterobacter, Proteus, Morganella 3. Gr (-) non-sporeforming anaerobes	The broadest spectrum of activity among all penicillins
Indications for use	1. Erysipelas, scarlet fever 2. Syphilis 3. Bacterial endocarditis 4. Anaerobic infections 5. Borreliosis, anthrax	1. Staphylococcal infections (infections of the skin and soft tissues, bones and joints, hospital pneumonia, etc.)	1. Urinary tract infection 2. Upper respiratory infection (Acute otitis media, acute sinusitis) 3. Lower respiratory infection (bronchitis, community-acquired pneumonia)	1. Diseases caused by Pseudomonas aeruginosa (skin, abdominal organs, urinary and biliary tracts infections, etc.)	1. Diseases caused by Pseudomonas aeruginosa (skin, abdominal organs, urinary and biliary tracts infections, etc.)
Side effects	Allergy, headache, nausea, vomiting, pseudomembranous colitis, pain in IM administration, phlebitis in IV administration				
Contraindications	Allergy, I semester of pregnancy (amoxicillin / clavulanic acid)				

Cephalosporins

Classification	I generation	II generation	III generation	IV generation	V generation
Drugs	*IV, IM* 1. Cefazolin (Kefzol) *per os* 2. Cephalexin (Keflex) 3. Cefadroxil (Duricef)	*IV, IM* 4. Cefuroxime (Ceftin) 5. Cefamandole (Mandol) *per os* 6. Cefaclor (Ceclor) 7. Cefuroxime (Zinacef)	*IV, IM* 8. Cefotaxime (Claforan) 9. Ceftriaxone (Rocephin) 10. Cefoperazone (Cefobid) 11. Ceftazidime (Fortu) *per os* 12. Cefixime (Fixx) 13. Ceftibuten (Cedax)	*IV, IM* 14. Cefepime (Maxipime) 15. Cefpirome (Cefrom)	*IV, IM* 16. Ceftobiprole 17. Ceftaroline
Mechanism of action	Suppress the synthesis of the bacterial cell wall (bactericidal action)				
Pharmacological effects	1. Gr (+) cocci: streptococci, staphylococci 2. Gr (-) cocci and bacilli insignificantly	1. Gr (-) bacteria: hemophilic rod, Klebsiella, proteus 2. Gr (+) cocci: streptococci, staphylococci	1. Gy (-) bacteria (including polyre-resistant strains of enterobacteria) 2. Anaerobes (8,9) 3. Gr (+) cocci: strepto-, pneumococci (8.9) 4. Pseudomona (10, 11)	*See III generation*	1. MRSA (methicillin-resistant Staphylococcus aureus) 2. Penicillin-resistant streptococci and enterococci
Indications	1. Perioperative chemoprevention 2. Strepto- and staphylococcal infections of the musculoskeletal system, skin, soft tissues	+ 3. Urinary tract infection 4. Respiratory infections (community-acquired pneumonia, acute sinusitis and otitis media)	1. Infections of the respiratory system (including, hospital pneumonia) 2. Urinary tract infection 3. Abdominal, pelvic infections	+ 4. Infections caused by hospital strains of Enterobacteria, staphylococci, Pneumococcus and Pseudomonas aeruginosa	1. Infections of the skin and soft tissues
Side effects	Allergic reactions; hematological reactions: in rare cases - leukopenia, eosinophilia; disulfiram-like reaction with alcohol intake (5,10); headache; nausea, vomiting; Superinfections caused by enterococci, MRSA; pain and thrombophlebitis in the site of injection				
Contraindications	Allergy				
NB!	1. Cephalosporins are resistant to bacterial beta-lactamases, BUT combination of cefoperazone + sulbactam (Beta-lactamase inhibitor) expands the spectrum of action up to resistant enterobacteria and akinetobacter; suppresses nesporogenous anaerobes → therapy of abdominal and pelvic infections. 2. Each subsequent generation is superior to the previous when comparing the spectrum of activity among the Gp (-) bacteria, but loses activity against Gr (+). AN EXCEPTION! IV generation (high activity against Gr +)				

Carbapenems and monobactams

Classification	Carbapenems	Monobactams
Drugs	1. Imipenem-cilastatin (Tienam) 2. Meropenem (Meronem) 3. Doripenem (Doriprex) 4. Ertapenem (Invanz)	3. Aztreonam
Mechanism of action	Suppress the synthesis of the bacterial cell wall (bactericidal action)	
Spectrum of activity	Record wide: 1. Gr (+) cocci: streptococci, staphylococci, pneumococci 2. Gr (-) cocci: neiesseria, gonococcus and meningococcus 3. Gr (-) bacteria: Listeria, Hemophilus rod, Proteus, Shigella, Salmonella, Escherichia coli, Klebsiella, Citrobacterium, Campylobacter, Pseudomonas aeruginosa, Serratia 4. Anaerobes: clostridia, fusobacteria, bacteroides	1. Gr (-) flora: gonococcus, meningococcus, Escherichia coli, Salmonella, Shigella, Klebsiella, Proteus, Citrobacterium, Pseudomonas aeruginosa.
Indications	Last resort antibiotic 1. Infections of the lower respiratory and urinary tracts, abdominal organs, skin, soft tissues 2. Meningitis 3. Sepsis * Including caused multidrug-resistant bacteria	*Last resort antibiotic (infections caused by resistant to other ß-lactam antibiotics and aminoglycosides strains of Gr (-) bacteria or in case of intolerance to aminoglycosides)* 1. Sepsis 2. Urinary tract infection (cystitis, pyelonephritis) 3. Hospital pneumonia, cystic fibrosis 4. Infections of the skin, musculoskeletal system
Side effects	1. Nausea, vomiting, diarrhea, abdominal pain 2. Thrombophlebitis at the injection site 3. Allergy 4. Pseudomembranous colitis (rarely)	1. Pain and swelling at the injection site (в/м), thrombophlebitis (в/в) 2. Nausea, vomiting, diarrhea, abdominal pain, pseudomembranous colitis 3. Hepatitis, jaundice
Contraindications	1. Hypersensitivity to carbapenems	1. Hypersensitivity in anamnesis
NB!	1. Carbapenems are resistant to most β-lactimases of m/o (but MRSA is resistant to carbapenems). 2. Cilastatin inhibits the enzyme dehydropeptidase I which destroys the imipenem in the renal tubules.	It is destroyed by ß-lactamases of many microbes.

Tetracyclins and macrolides

Classification	Tetracyclines		Macrolides	
	Natural	**Semisynthetic**	**Natural**	**Semisynthetic**
Drugs	1. Tetracycline	2.Metacyclin (rondomycin) 3.Doxycycline (vibramycin)	*14- membered:* 4. Erythromycin 5. Oleandomycin *16- membered:* 6. Josamycin 7.Midekamycin (macropen)	*14-membered:* 8.Roxithromycin (rulid) 9.Clarithromycin (clamed) *15- membered:* 10.Azithromycin (Sumamed) *16- membered:* 11.Midequamycin acetate
Mechanism of action	Suppress the synthesis of the protein of the microbial cells at the level of the ribosomes (bacteriostatic). In high doses bactericida action (macrolides).			
Spectrum of activity	1. Gr (-) bacteria: plague, cholera, brucellosis, tularemia, hemophilic rod, E. coli, salmonella, shigella, Klebsiella 2. Gr (-) cocci: moraxella 3. Gr (+) bacteria: anthrax, listeria 4. Others: spirochaetes, rickettsia, chlamydia, mycoplasmas, protozoa (tropical malaria and amoebiasis)		1. Gr (+) cocci: strepto-, pneumo-, staphylococcus, enterococcus (including ß-lactamase-producing) 2. Intracellular pathogens (mycoplasmas, chlamydia, legionella) 3. Gr (+) sticks: listeria, pathogens of diphtheria 4. Gr (-) bacteria: causative agent of whooping cough, hemophilic rod, 5. Gr (-) cocci: gonococcus (10); Others: spirochetes	
Indications	1. Especially dangerous infections (plague, tularemia, anthrax) 2. Borreliosis (Lyme disease), rickettsiosis 3. Community-acquired pneumonia 4. STIs (non-gonococcal urethritis, chlamydial infection, syphilis) 5. Acne		1. Infections of the upper and lower respiratory tract (streptococcal tone-zillofaringitis, acute sinusitis, acute otitis media, community-acquired pneumonia, exacerbation of chronic bronchitis, whooping cough, diphtheria) 2. Chlamydiosis, ureaplasmosis, syphilis 3. Eradication of H. pylori (9)	
Side effects	1. Gastrointestinal disorders 2. Dysbacteriosis, superinfection 3. Violation of bone and dental tissue formation 4. Photosensibilization 5. Hepatotoxicity 6. Allerg		1. Gastrointestinal disorders Rarely: 2. Reversible hear impairment 3. Thrombophlebitis at the injection site 4. Superinfections 5. Allergy	
Contraindications	1. Age before 8 2. Pregnancy, lactation 3. Severe liver pathology		1. Hypersensitivity in anamnesis 2. Pregnancy (1–9) 3. Lactation (6–9)	
NB!	The majority of Gr (+) cocci: strepto-, pneumo-, staphylococcus and anaerobes (clostridia, actinomycetes) are resistant to tetracycline		Azithromycin: prolonged T1 / 2 → is given once a day (0,5 g daily during 3 days or 0,5 g in the first day, 2nd -5th day –0,25 g daily). The bactericidal concentration in the focus of infectious inflammation is being maintained for 5–7 days after the last dose	

10. ANTIBIOTICS (ENDING). SYNTHETIC ANTIMICROBIAL AGENTS

Amphenicols and aminoglycosides

Classification	Amfenicols	Aminoglycosides					
Drugs	1. Chloramphenicol (Levomycetin)	I generation 1. Streptomycin	2. Neomycin 3. Kanamycin	II generation 4. Gentamicin	5. Tobramycin (tobrex) 6. Nethylmycin	III generation 7. Amikacin	
Mechanism of action	It binds to the 50S-subunit of the bacterial ribosome → inhibits aminoacids integration into the polypeptide chain → inhibition of protein synthesis (mainly bacteriostatic action)	Attach to the 30S-subunit of the ribosome → disruption of their binding to transfer RNA → disturbance of protein synthesis of the microbial cell → cell death (bactericidal action)					
Spectrum of action	1. Gr (+) cocci: streptococci 2. Gr (-) cocci: Neisseria 3. Gr (-) sticks: escherichia, salmonella, Haemophilus influenzae 4. Intracellular parasites: rickettsia, chlamydia, mycoplasma	Susceptible: 1. Gr (-) intestinal bacteria: Salmonella, Shigella, Escherichia coli, Proteus, Klebsiella, Enterobacter, Serratia; 2. Mycobacterium tuberculosis (1,3,7); 3. Pseudomonas aeruginosa (4-7).		Moderate susceptible: 1. Gr (+) cocci: penicillins (including resistant to penicillin and some MRSA strains), streptococci (including enterococci); 2. Gr (-) cocci: meningococci, gonococci. Resistant: anaerobes and pneumococcus (are useless when community-acquired pneumonia)			
Indications	Topically: 1. Eye infections 2. Purulent inflammatory skin diseases Systemically — the 2nd line drug: Bacterial meningitis, brain abscess Intra-abdominal infections and infections of the pelvic organs Typhoid fever, plague, gas gangrene, rickettsiosis	1. Pseudomonas aeruginosa (4–7) 2. Sepsis 3. Infective endocarditis 4. Fever in patients with neutropenia 5. Nosocomial pneumonia 6. Intra-abdominal infections, pelvic organs infections 7. Specific therapy: plague (1), tularemia (1.4), brucellosis (1), tuberculosis (1,3,7) 8. Antibiotic prophylaxis: decontamination of the intestine before routine operations on the large intestine (inside) (2)					
Side effects	Hematotoxicity (dose-dependent reticulocytopenia, thrombocytopenia and anemia); "Gray syndrome of newborns" (vomiting, bloating, respiratory disorders, cyanosis, later vasomotor collapse, hypothermia, acidosis); gastrointestinal disorders (nausea, vomiting, diarrhea, superinfections)	Nephrotoxicity (significant increase or decrease in the amount of urine, a decrease in glomerular filtration, increased serum creatinine levels), ototoxicity (irreversible hearing loss!), vestibulotoxicity (dizziness, impaired coordination of movements, gait alteration), neuromuscular blockade (weakness of diaphragmatic and other respiratory muscles, respiratory paralysis), headache, drowsiness, paresthesia, seizures, allergic reactions (rare), local reactions (phlebitis, thrombophlebitis)					
Contraindications	Allergic reactions in the anamnesis, pregnancy and lactation period, newborns, blood diseases	Allergic reactions in the anamnesis, pregnancy (only for vital indications!), lactation period (2)					
NB!	It is extremely rare even with topical application may occur idiosyncrasy — aplastic anemia (100 % lethality!). It is necessary to monitor 2 times a week the level of platelets and reticulocytes. «Gray syndrome of newborns" occurs at doses> 50 mg / kg due to a low rate of metabolism in the liver.	1. The risk of side effects increases with prolonged administration (more than 7–10 days), hypokalemia, dehydration, the use of large doses. If neuromuscular blockade occurs, calcium chloride should be introduced. 2. Dosing is done only on kg of body weight. The entire daily dose should be administered once a day (except for the treatment of newborns, endocarditis and meningitis). 3. Monitoring of kidney function (creatinine clearance).					

Lincosamides and polymixines

Classification	Lincosamides	Polymyxin
Drugs	NaturalSemisynthetic 1. Lincomycin2. Clindamycin (Dalacin)	1. Polymyxin B 2. Polymyxin M 3. Polymyxin E (colistat)
Mechanism of action	Suppress the synthesis of the microbial cells protein in the ribosomes (bacteriostatic action, in large doses - bactericidal action)	Violate the integrity of the cytoplasmic membrane of the microbial cell (bactericidal action)
Spectrum of action	1. Gr (+) cocci: staphylococci (except MRSA), streptococci, pneumococci 2. Anaerobes (but Cl. Difficile is resistant) 3. Protozoa: toxoplasma, pneumocysts, tropical malaria (2)	1. Gr (-) bacteria: E. coli, Salmonella, Shigella, Klebsiella, Enterobacteria, Pseudomonas aeruginosa. 2. Anaerobes: Fusobacteria and bacteroides are moderately sensitive
Indications	Drugs of last resort: 1. Streptococcal and staphylococcal infections 2. Infections caused by non-spore forming anaerobes: infections of the lower respiratory tract, skin and soft tissues, bones and joints, intra-abdominal infections and pelvic infections Locally: acne, bacterial vaginosis (2)	1. A drug of last resort for resistant pseudomonas infection; severe gram-negative infections caused by multidrug-resistant hospital strains (1.3); 2. Bacterial infections of the eyes, ear (locally) (1) 3. Local treatment of Pseudomonas aeruginosa (2)
Side effects	Allergic reactions, gastrointestinal disorders, pseudomembranous colitis, neutropenia, thrombocytopenia	Severe nephrotoxicity (increased serum creatinine and urea levels, development of acute tubular necrosis with pronounced proteinuria and hematuria), neurotoxicity (paresthesia, peripheral poly-neuropathies, impaired consciousness, hearing impairment, neuromuscular blockade with the threat of development of the respiratory muscles paralysis), hematotoxicity (thrombocytopenia), hypokalemia, hypocalcemia
Contraindications	Allergic reactions in the anamnesis, pregnancy and lactation, gastrointestinal disease in prior period (ulcerative colitis, antibiotic-associated enteritis or colitis)	Allergic reactions in the anamnesis, renal failure, myasthenia gravis, botulism, the use of neuromuscular blockers
NB!	Cross-resistance with macrolides is possible. Clindamycin is better than lincomycin since it has a wider indication for use and a high stable bioavailability when taken orally. In severe infections and sepsis should be combined with fluoroquinolones or aminoglycosides	Simultaneous administration of polymyxin with aminoglycosides increases its nephrotoxicity, and with neuromuscular blockers — neural-muscular transmission disturbance.

Glycopeptides, oxazolidinons and fuzidic acid

Classification	Glycopeptides		Oxazolidinones	Antibiotics of different groups
Drugs	I generation 1. Vancomycin 2. Teicoplanin	II generation (lipoglycopeptides) 3. Telavancin 4. Dalbavancin	1. Linezolid (zivox)	1. Fusidic acid (fusidate)
Mechanism of action	Attache to peptidoglycans of bacterial cells → inhibition of bacterial cell wall synthesis (bactericidal action).		Suppress bacterial protein synthesis (bacteriostatic action)	
Spectrum of activity	1. Gr (+) cocci: staphylococci (including MRSA and MRSE), streptococci, pneumococci, enterococci, 2. Anaerobes: clostridia (including Cl. Difficile), listeria, corynebacteria		Gr (+) cocci: including PRSA, MRSA, vancomycin-resistant enterococci	1. Gr (+) cocci: staphylococci (S. aureus, including MRSA; S. Epidermidis, including MRSE) 2. Anaerobes: Clostridia (including Cl. Difficile)
Indications	*Systemic administration:* 1. Generalized infections caused by sensitive strains of bacteria 2. Prevention of postoperative complications *Oral administration:* 3. Pseudomembranous colitis (Cl. Difficile) 4. Staphylococcal enteritis		*Staphylococcal and pneumococcal infections resistant to other drugs:* *1.* Lower respiratory tract infections 2. Infections of the skin and soft tissues 3. Enterococcal infections caused by vancomycin-resistant strainsofEnterococcus faecalis and faecium	*A drug of last resort:* 1. Staphylococcal infections (with allergy or resistance to β-lactam antibiotics) 2. Pseudomembranous colitis
Side effects	Allergic reactions, phlebitis, ototoxicity (tinnitus, hearing impairment), nephrotoxicity, neutropenia, thrombocytopenia, red neck syndrome (chest and neck hyperemia, nausea, hypotension)		Allergic reactions, gastrointestinal disorders, hepatotoxicity, reversible anemia, thrombocytopenia	Gastrointestinal disorders, in rare cases – violations of the liver function, jaundice
Contraindications	Allergic reactions in the anamnesis, pregnancy and lactation			
NB!	Vancomycin isn't administered IM (tissue necrosis!); is administered IV slowly (in push administration the "red neck" syndrome develops due to the release of histamine from mast cells). Teykoplanin unlike vancomycin is more active against MRSA and enterococci, better tolerated, lasts longer (1 time per day), IM administration and IV push are allowed. II generation is characterized by broader activity and longer duration of action (administration once a day (3) or once a week. (4)		Has a high bioavailability (bioavailability is 100 % even in oral administration)	It is non-toxic, but the resistance of microorganisms develops quickly.

Sulphanilamide

Classification	For resorptive use (well absorbed in the digestive tract)			For topical administration	Combined drugs
	Short-acting	Long-acting	Ultra long-acting		
Drugs	1. Streptocide 2. Sulfacaramide 3. Sulfadimezine	4. Sulfapyridazine 5. Sulfadimethoxi	6. Sulfalene	7. Sulfacil sodium (albucid) 8. Silver sulfadiazine (dermazin) 9. Phthalazole	10.Sulfamethoxazole / trimethoprim (co-trimoxazole, biseptol) 11.Sulfadoxine / pyrimethamine (fanzi-dar) 12.Sulfapyridine / 5-ASA
Mechanism of action	Being structural analogues of PABA (necessary for bacterial growth) competitively inhibit the enzyme dihydrofolate synthetase involved in the folic acid synthesis			+ The silver ion, when combined with DNA, accumulates on the surface of bacteria nucleus and inhibits their growth and division (8)	+ Trimethoprim and pyrimethamine block the enzyme dihydrofolate reductase
Spectrum of action	Highly susceptible pathogens: cocci (pneumococci, gonococci, meningococci, streptococci), intestinal bacteria (Escherichia coli, salmonella, vibrio cholerae), large viruses (trachoma, inguinal lymphogranulomatosis), chlamydia, causative agents of gas gangrene, diphtheria, etc. Moderately susceptible pathogens: staphylococci, enterococci, klebsiella, mycobacteria, actinomycetes, causative agents of leprosy, tularemia, leishmaniasis				1.Gr(+) cocci: staphylococci (including MRSA and PRSA), streptococci (except for β-hemolytic streptococcus A) 2.Gr(-) cocci: meningococci, morocelles 3. Gr (-) rods: E. coli, salmonella, Klebsiella,Haemophilus influenzae 4. Nocardia, pneumocysts, toxoplas
Indications	1. Acute coccal infections (pneumonia, tonsillitis, bronchitis, sinusitis, otitis, cholecystitis, meningitis, etc.) (4–6,10) 2. Acute infections of the urinary and genital tract (cystitis, prostatitis, etc.) (2.10) 3. Eye infections (conjunctivitis, blepharitis, etc.) (7) 4. Burns and infected skin wounds (8) 5. Acute intestinal infections (dysentery, enteritis, colitis, etc.) (9), ulcerative colitis and Crohn's disease (12) 6. Treatment of trachoma, malaria, chlamydia, toxoplasmosis, actinomycosis, leprosy, etc.				
Side effects	Allergic reactions (dermatitis, Stevens-Johnson syndrome, etc.); violation of hematopoiesis (leukopenia, agranulocytosis, sulmmemoglobinemia, anemia); urinary disruption (crystalluria, hematuria, urinary retention); hepatotoxicity (hepatitis, in children jaundice due to insufficiency of glucuronyltransferase); neurotoxicity (dizziness, headache, depressive conditions); immunosuppression (10).				
Contraindications	Allergic reactions to sulfanilamides, furosemide, thiazide diuretics, carbonic anhydrase inhibitors, sulfonylurea preparations; do not use in children under 2 months, except for children of HIV-infected mothers; pregnancy; severe renal insufficiency; severe liver dysfunction; megaloblastic anemia associated with a deficiency of folic acid.				
NB!	In the acidic medium of urine sulphanilamides crystallize in the renal tubules, increased alkaline fluids are recommended. Alkaline medium promotes sulfonamides ionization and improves the drugs uptake by a microbial cell. Photosensitivity is provoked. Sulfanilamides increase effects of neuromuscular blockers and can cause respiratory muscles paralysis. In pregnant women, sulfonamides can affect the binding of bilirubin to protein and cause fetus hyperbilirubinemia. Drugs have a teratogenic effect, can cause hemolysis, jaundice of newborns, methemoglobinemia, congenital disorders of the nervous and cardiovascular systems. Within long-term treatment with sulfonamides, mandatory hematological monitoring is necessary.				

Quinolones and fluoroquinolones

Classification	Non-fluorinated quinolones	Fluoroquinolones		
		I generation ("Gram-negative" mono-fluoroquinolones)	II generation ("Respiratory" difluoro-quinolones)	III generation ("Respiratory-anti-anaerobic" trifluoroquinolones)
Drugs	1.Nalidixic acid (nevigramon) 2. Oxolinic acid 3.Pipemidic acid (palin)	4. Norfloxacin 5. Ofloxacin 6. Pefloxacin 7. Ciprofloxacin	8. Levofloxacin 9. Sparfloxacin	10. Moxifloxacin 11. Gemifloxacin 12. Gatifloxacin
Mechanism of action	DNA gyrase is inhibited. Affect the RNA of bacteria and the synthesis of bacterial proteins, the stability of membranes and other life processes of bacterial cells (bactericidal action)			
Spectrum of action	Gr (-) bacteria: Escherichia coli, Shigella, Proteus	Gr (-) bacteria, S. aureus; Low activity against Streptococcus pneumoniae, Mycoplasma, Chlamydophila	Gr (-) bacteria, S. aureus + high activity against Streptococcus pneumoniae, Mycoplasma pneumoniae, Chlamydophila pneumoniae	The same + anaerobes, atypical pathogens
Indications	1. Urinary tract infections: acute cystitis, antiretroviral therapy for chronic forms of infection. Do not use for acute pyelonephritis. 2. Intestinal infections: shigellosis, bacterial enterocolitis (1).	1. Upper respiratory tract infections: sinusitis, especially caused by multiresistant strains, malignant external otitis media. Infections of the lower respiratory tract: exacerbation of chronic bronchitis, community-acquired and nosocomial pneumonia, legionellosis. 2. Intestinal infections: shigellosis, typhoid fever, generalized salmonellosis, iersiniosis, cholera. 3. Anthrax. 4. Intra-abdominal infections and infections of the pelvic organs. 5. Urinary tract infections: (cystitis, pyelonephritis). Prostatitis. Gonorrhea. 6. Infections of the skin, soft tissues, bones and joints. 7. Eye infections. 8. Sepsis. 9. Tuberculosis in combination therapy for drug-resistant tuberculosis (5,6).		
Side effects	Digestive disorders (heartburn, pain in the epigastric region, anorexia, nausea, vomiting, diarrhea); central nervous system disturbance (ototoxicity, drowsiness, insomnia, headache, dizziness, visual impairment, paresthesia, tremor, convulsions); allergic reactions (rash, itching, angioedema); photosensitization.			
Contraindications	Allergic reaction; deficiency of glucose-6-phosphate dehydrogenase; pregnancy.			
	+ Severe dysfunction of the liver and kidneys; severe cerebral atherosclerosis.	+ Childhood; lactation.		
NB!	Absorption of fluoroquinolones in the gastrointestinal tract (unlike non-fluorinated quinolones) is not disturbed by food, but it deteriorates sharply with the use of divalent calcium, iron, magnesium, aluminum, zinc cations. The combination of fluoroquinolones with theophylline, metronidazole, and NSAIDs can cause a convulsive reaction. Fluoroquinolones can increase the photosensitivity of tissues. In the course of treatment with fluoroquinolones and during 3 days after its termination, contact with UV-irradiation is excluded.			

Nitrofuranes, oxychinolines and nitroimidasezoles

Classification	Nitrofurans	Nitroimidazoles	Oxyquinolines
Drugs	1. Nitrofurantoin (furadonin) 2. Furazidine (furamag) 3. Nifuroxazide 4. Furazolidone 5. Nitrofural (furacilin)	6. Metronidazole (Trichopolum) 7. Tinidazole 8. Ornidazole	9. Nitroxoline
Mechanism of action	Being oxygen acceptors, they break the process of cellular respiration of bacteria, inhibit the biosynthesis of nucleic acids (depending on the concentration have a bacteriostatic or bactericidal effect)	Active reduced forms of drugs disrupt DNA replication and protein synthesis in a microbial cell; inhibit tissue respiration (bactericidal action)	Violate protein synthesis, form chelates, enhancing oxidative processes in the cytoplasm (bactericidal action)
Spectrum of activity	1. Gr (+) cocci: streptococci, enterococci, staphylococci). 2. Gr (-) bacteria: intestinal group. 3. Protozoa: Giardia, Trichomonas (4).	1. Anaerobic bacteria 2. Helicobacter 3. The simplest (Trichomonas, Giardia, Amoeba, Balance-Tidia) 4. Gardnerella	1. Gr (+) and Gr (-) bacteria (staphylococci, enterobacteria, etc.) 2. The simplest (amoeba, lamblia, balantidia) 3. Pathogenic fungi (candida)
Indications	1. Infections of the lower sections of the urinary tract: acute cystitis, suppressive therapy of chronic infections (1, 2) 2. Preventive maintenance of infectious complications at urological operations, a cystoscopy, a catheterization of a bladder (1,2) 3. Intestinal infections: acute infectious diarrhea, enterocolitis (3) 4. Giardiasis, trichomoniasis (4) 5. Local washing of wounds and cavities (2,5)	*Systemically:* *1.* Anaerobic infections of different locations 2. Pseudomembranous colitis 3. Perioperative prophylaxis for intra-abdominal and gynecological interventions 4. Protozoal infections 5. Eradication of H. pylori in peptic ulcer disease *Topically:* vaginitis, bacterial vaginosis, rosacea, seborrheic dermatitis, perioral dermatitis.	Acute uncomplicated cystitis - treatment, prevention (as a drug of the II line)
Side effects	Allergic reactions (rash, eosinophilia, fever, arthralgia, myalgia, drug induced lupus erythematosus, rarely anaphylactic shock); disorders of the gastrointestinal function (nausea, vomiting, diarrhea), liver (transient increase in transaminase activity, cholestasis, hepatitis), lungs (pneumonitis, bronchospasm, cough, pain in the chest), nervous system (dizziness, headache, general weakness, drowsiness, peripheral polyneuropathies); hematological reactions (leukopenia, megaloblastic or hemolytic anemia).	Digestive disorders (bad taste in the mouth, abdominal pain, nausea, vomiting, diarrhea), CNS (headache, dizziness, impaired coordination of movements, impaired consciousness, seizures, in rare cases - epileptic seizures); allergic reactions (rash, itching); hematological reactions (leukopenia, neutropenia); topical reactions (phlebitis and thrombophlebitis after intravenous administration); cutaneous manifestations (photodermatitis).	Peripheral neuro- and myopathy, optic nerve damage, allergic reactions, abdominal pain and nausea.
Contraindications	Allergic reactions; renal failure (1,2); severe liver disease (4); deficiency of glucose-6-phosphate dehydrogenase; pregnancy - III trimester (1); newborn period.	Allergic reactions; organic diseases of the central nervous system with severe clinical manifestations; pregnancy (I trimester); lactation.	Diseases of the peripheral nervous system, liver; kidney failure; pregnancy, lactation; newborns.
NB!	Have disulfiram-like effect → can't be taken with alcohol. When taking nitrofurans tyrosine-contained products (cheese, cream, bananas) should be excluded from the diet due to the risk of increased blood pressure	The half-life of metronidazole is shorter than one of tinidazole and ornidazole, so it is prescribed 3 times a day, other drugs 1-2 times a day. They have a disulfiram-like effect (6, 7). May cause dark discoloration of urine (6, 7).	During treatment with nitroxoline, saffron-yellow color of the tongue, urine and feces is possible.

11. ANTIMICOBACTERIAL, ANTI-SPIROCHETE, ANTIVIRAL, ANTIFUNGAL DRUGS

Antimycobacterial drugs are chemotherapeutic agents used to treat Mycobacteria infections (tuberculosis and leprosy).

Classification	**First-line drug**				**Second-line drugs**	
Drugs	Derivatives of isonicotinic acid hydrazide	Derivatives of paraaminosalicylic acid	Antibiotics	Drugs of different chemical groups	Derivatives of isonicotinic acid thiamide	Antibiotics
	1.Isoniazid (H) 2.Phtivazide (Vanisid) 3.Fluenylidide	4. Sodium paraaminosalicylate (PAS) 5.Benzoyl-PAS-calcium (Bepask)	6.Sremptomycin sulfate (S) 7.Rifampicin(R)	8.Pyrazinamide (Z) 9.Ethambutol (E)	10. Ethionamide (Eto)	11. Cycloserine 12. Ofloxacin 13. Levofloxacin 14. Amicacin 15. Kanamycin 16. Capreomycin
Mechanism of action	. Disturbance of mycobacterium cell membrane structure 2. Inhibits the synthesis of mycolic acid in the cell wall (1) 3. Inhibits metabolic and oxidative processes, the synthesis of nucleic acids (2) * Bactericidal action in reproduction (1) Bacteriostatic action ivity (1–3)	1.Selectively compete with para-aminobenzoic acid (PABA) and inhibit the synthesis of folate in mycobacteria *Bacteriostatic action	1.Supresses protein synthesis in the cell (6) *Bacteriostatic action 2. Inhibits DNA-dependent RNA-polymerase (7) *Bactericidal action	1. Inhibition of mycobacteriaL RNA synthesis * Bacteriostatic action	1. Blocks the synthesis of mycolic acid in mycobacteria * Bacteriostatic action	1.Disturbance of protein synthesis of the cell wall (11, 16) 2.See "Antibiotics(end). Synthetic antimicrobial agents" (12–15)
Spectrum of activity	1. Mycobacterium tuberculosis 2. Chlamydia trachomatis (3)		See the topic "Antibiotics (end). Synthetic antimicrobial agents"	1. Mycobacterium tuberculosis 2. Mycobacterium leprae (10, 11) 3. E.coli, Proteus, cocci, causative agent of tularemia, etc. (11)		
Indications	1. Tuberculosis of various forms and localizations 2. Urogenital chlamydiosis (3) 3. Poor PASK tolerance (5)			1. Tuberculosis of various forms and localizations	1. Pulmonary tuberculosis resistant to the 1st line drugs 2. Leprosy (10)	

Classification	**First-line drug**				**Second-line drugs**	
Sideeffects	1. Dyspepsia (1,2) 2. Neurotoxicity (1,2) 3. Hepatotoxicity (1,2) 4. Hypovitaminosis B6 (1,2)	1. Dyspepsia 2. Hypothyroidism 3. Crystalluria, agranu-locytosis (4) 4. Allergic reactions		1. Dyspepsia 2. Hyperuricemia (8) 3. Polyneuropathy (9) 4. ↓ vision, scotomas formation (9)	1. Dyspepsia 2. Headache, paresthesia 3. Allergic reactions	1. Neuropsychiatric disorders (11) 2. Dyspepsia 3. Oto-, nephro-, hepatotoxicity (16)
Contraindications	1. Epilepsy and a tendency to seizures (1, 2) 2. Prior poliomyelitis (1, 2) 3. Violations of the functions of the liver and kidneys (1,2) 4. Hypersensitivity	1. Dysfunction of the liver and kidneys 2. Gastroduodenal ulcers 3. Myxedema 4. Cardiac insufficiency	See"Antibiotics (end). Synthetic antimicrobial agents"	1. Dysfunction of the liver and kidneys 2. Epilepsy (8) 3. Gout (8) 4. Optic neuritis (9)	1. Dysfunction of the liver and kidneys 2. Gastroduodenal ulcers 3. Hypersensitivity	1. Psychoses, epilepsy (11) 2. Hypersensitivity 3. Impairment of kidney function
NB!	*World Health Organization classification of drugs used to treat drug-susceptible and drug-resistant tuberculosis:* First-line anti-TB drugs (Group 1) are currently recommended in a four-drug combination for the treatment of drug-susceptible TB. Second-line anti-TB drugs (Groups 2, 3 and 4) are reserved for drug-resistant TB. Third-line anti-TB drugs (Group 5) have unclear efficacy or undefined roles. First-line anti-TB drugs *Group 1*. Oral: isoniazid (H/Inh), rifampicin/rifampin (R/Rif), pyrazinamide (Z/Pza), ethambutol (E/Emb), rifapentine (P/Rpt) or rifabutin (Rfb). Second-line anti-TB drugs *Group 2*. Injectable aminoglycosides: streptomycin (S/Stm), kanamycin (Km), amikacin (Amk). Injectable polypeptides: capreomycin (Cm), viomycin (Vim). *Group 3*. Oral and injectable fluoroquinolones: ciprofloxacin (Cfx), levofloxacin (Lfx), moxifloxacin (Mfx), ofloxacin (Ofx), gatifloxacin (Gfx). *Group 4*. Oral: *para*-aminosalicylic acid (Pas), cycloserine (Dcs), terizidone (Trd), ethionamide (Eto), prothionamide (Pto), thioacetazone (Thz), linezolid (Lzd). Third-line anti-TB drugs *Group 5*. Clofazimine (Cfz), linezolid (Lzd), amoxicillin plus clavulanate (Amx/Clv), imipenem plus cilastatin (Ipm/Cln), clarithromycin (Clr).					

Anti-spirocheteagents — drugs for infectious diseases caused by spirochetes (syphilis, relapsing fever) and leptospira (leptospirosis).

Antisyphilitic drugs

Classification	Antibiotics	Bismuth drugs
Drugs	*Basic:* 1. Benzathine benzylpenicillin (Extensillin, Bicillin-1); Bicillin-3, Bicillin-5 2. Benzylpenicillin sodium salt, novocaine salt *Alternative*: 3. Ceftriaxone 4. Doxycycline 5. Erythromycin	6. Biyohinol 7. Bismoverol
Mechanism of action		Block SH-groups of enzymatic systems of spirochaetes
Pharmacological effects		1. Anti-spirochectis 2. Anti-inflammatory 3. Resolving effect
Indications	See the topic "Antibiotics (end). Synthetic antimicrobial agents"	1. Different forms of syphilis (in combination with antibiotics) 2. Nonsyphilitic lesion of the central nervous system (arachnoencephalitis, meningomyelitis)
Side effects		1. Gingivitis, stomatitis, the appearance of a black line along the gums (bismuth line) 2. Hepato- and nephrotoxicity
Contraindications		1. Lesions of the oral mucosa 2. Kidney disease 3. Acute and chronic liver diseases with lesion of her parenchyma 4. Hemorrhagic diathesis
NB!	1) Primary syphilis of genital organs and other localizations therapy (outpatient care) *Basic method:* Benzathine benzylpenicillin — IM, the 1st injection —4,8 mln IU IM (2,4 mln IU for every glut), the 2nd — 2,4 mln IU with 1 week interval. *Alternative methods:* Novocain salt of benzylpenicillin — IM 600 thousand IU 2 раза/сут (with 12 hours interval) — 14 days *or* Bicillin -3 — IM 2,4 mln ЕД, *or* Bicillin-5 1,5 mln IU 3 times a week 6 injections, *or* Ceftriaxone - IM 1,0 g once daily 14 days, *or* Doxycycline 0,1 g orally twice daily 20 days, *or* Erythromycin 0,5 g 4 times a day 20 days Children treatment is only inpatient. 2) Therapy of leptospirosis: Benzylpenicillin up to 18 000 000 IU daily 7 days *or* Ampicillin up to 6 g daily IM or IV 7 days, *or* Doxycycline 200 mg daily orally or IV— 7 days, *or* Ceftriaxone 2 g daily 7 days.	

Antiviral drugs

Antiviral drugs are medicines for the treatment and prevention of various viral diseases.

Classification	Anti-influenza agents	Antiherpetic, anticytomegalovirus agents	Antiretroviral agents	Agents for viral hepatitis
Drugs	1. Amantadine (Midantan) 2. Remantadine (Rimantadine) 3. Oseltamivir (Tamiflu) 4. Zanamivir (Relenza) 5. Arbidol	6. Acyclovir (Zovirax) 7. Valaciclovir (Valtrex) 8. Ganciclovir (Cymeven) 9. Idosukradin 10. Foscarnet	*NIRTs:* 11. Zidovudine (Retrovir) 12. Lamivudine (Zeffix) *NNIRTs* 13. Nevirapine (Viramune) *Protease inhibitors (PIs)* 14. Saquinavir (Invirase) 15. Indinavir (Crixivan)	16. Ribavirin *Interferons:* 17. Reaferon (Interferon- α2) 18. Intron-A (Interferon-α2b) *Interferon inductors:* 19. Cycloferon 20. Tylorone
Mechanism of action	1. Inhibit M2 proton channels of the influenza A virus (1, 2) and neuro-minidase of influenza A and B viruses → block viral replication (3, 4). 2. Prevents the fusion of viral lipid envelope with cell membranes, induces the synthesis of interferon (5).	1. Are phosphorylated in the infected cell with the formation of triphosphate derivatives → inhibit the synthesis of viral DNA-polymerase (6-8) 2. Violates the synthesis of nucleic acids (DNA), selectively depresses the replication of the herpes simplex virus (9) 3. Inhibits DNA polymerase and reverse transcriptase of HIV (10)	1. Inhibits the reverse transcriptase of viral DNA and selectively inhibits viral DNA replication (11,12) 2. Bind directly to reverse transcriptase of HIV → destruction of enzymatic catalytic center (13) 3. Inhibits proteases involved in the assembly of the viral virion at the exit from the affected cell (14, 15)	1. Inhibits synthesis of viral RNA and DNA (16) 2. Inhibit the synthesis of viral matrix RNA, suppress the synthesis of proteins of the viral envelope (17, 18) 3. Suppress the effect of tumor growth factors; destroy bacterial cells (17, 18) 4. Stimulate the synthesis of endogenous interferon in the body (19, 20)
Pharmacological effects	1. Antiviral, 2. Interferon-inducing (5,19,20), 3. Immunomodulating (5,17–20), 4. Antineoplastic (17,18), 5. Anti-inflammatory (19)			
Indications	1. Influenza A treatment (1–5,16) and prevention (5) 2. Influenza B treatment (3–5,16) 3.Herpes simplex virus type 1 and type 2 skin and mucosa infection (6–9), 4. Cytomegalovirus infection (6–8,10), shingles (6,7) 5. Acyclovir-resistant viral infections in AIDS patients (10)		1. Treatment of infection caused by HIV-1 and HIV-2 (11, 12, 14, 15); HIV-1 (13)	1. Chronical hepatitis C (16–20) 2. Viral infections caused by RSV- virus (16) 3. Acute viral hepatitis B (16–20) 4. Kaposi's sarcoma (17,18)
Side effects	1. Nausea, vomiting (1–3) 2. Headache, dizziness (1–3) *Relenza (Zanamivir) – very rarely*	1. Nausea, vomiting (6–8,10) 2. Headache (6–8) 3. Anemia, granulocytopenia (8,10) 4. Inflammation or edema of the eyelids (9) 5. Nephro-, neurotoxicity (10)	1. Leukopenia, anemia (11, 12) granulocytopenia (11, 12, 13) 2. Dyspeptic phenomena (11–15), a taste perversion (15) 3. Peripheral neuropathies, myalgia (11–14)	1. ↓ blood pressure (16,18) 2. Thyroid disfunction (16) 3. Leukemia and thrombocytopenia (16–18) 4. Flu-like condition 5. Allergic reactions
Contraindications	1. Diseases of the liver and kidneys (1–3) 2. Gastroduodenal ulcers (1) 3. Hypersensitivity to the drug	1. Hypersensitivity to the drug 2.Neutropenia, granulocytopenia, anemia (8)	1. Leukopenia, anemia (11, 12) 2. Chronic hepatitis and cirrhosis of liver, renal failure (11) 3. Hypersensitivity	1. Pronounced diseases of the liver and kidneys (16, 17) 2. Thyrotoxicosis (16) 3. Heart failure, decompensation (17,18)

Antifungal agents (antimycotics) — medicines that suppress the growth and reproduction of pathogenic fungi and are used for the prevention and treatment of mycoses.

Classification	Polyene antibiotics and others *	Azoles	Allylamines	Derivatives of undecylenic aci
Drugs	1. Amphotericin B (Fungizone) 2. Nystatin 3. Levorin 4. Mycoheptin 5. Griseofulvin *	Imidazole derivatives: 6. Clotrimazole (Kanesten) 7. Ketoconazole (Nizoral) 8. Miconazole (Dactarine) Triazole derivatives: 9. Fluconazole (Diflucan) 10. Itraconazole (Orungal)	11. Terbinafine (Lamisil) 12. Naphthifin (Exoderyl)	13. Nitrofungin Neo 14. Undecine 15. MycoSepti
Mechanism of action	1. Bind to ergosterol of the fungal membrane → ↑ its permeability → death of a fungal cell (1–4) 2. Inhibits the synthesis of nucleic acids → disrupts the reproduction of fungal cells (5)	Inhibition of the conversion of lanosterol to ergosterol (the main sterol of the cytoplasmic membrane of the fungal cells) → disruption of the formation of the fungal cell membrane	Inhibit the enzyme squalene epoxidase catalyzing (with the squalene cyclase) the conversion of squalene to lanosterol → ergosterol deficiency → squalene intracellular accumulation → death of the fungus	Bind to ergosterol fungal membrane → ↑ its permeability → death of a fungal cell
Pharmacological effects	Antimycotic effect: fungicidal action (1–4,6–12–15); fungistatic action (5–10,13–15), antibacterial (3,6–10,12,13)			
Indications	1. Systemic mycoses: (blastomycosis, cryptococcosis, histoplasmosis, etc.) (1–4,7,9,10) 2. Candidomycosis (1–4,6,7,9,10) 3. Trichomoniasis (3.6) 4. Onychomycosis (5,7,10–12) 5. Dermatomycosis (trichophytosis, microsporia) (5–8,10–15) 6. Fungal eczema (13)			
Side effects	1. Nausea, vomiting 2. Dysfunction of the liver (1) 3. Impaired renal function (1.4) 4. Anemia, thrombocytopenia (1) 5. Candidiasis of the oral cavity (5	1. Local reactions when applied to the skin (6,8) 2. Nausea, vomiting (7–10) 3. Arthralgia (7) 4. Dysfunction of the liver (7, 10) 5. Edema, dysmenorrhea (10)	1. Nausea, vomiting (11) 2. Neutropenia (11) 3. Local reactions when applied to the skin (12)	1. Topical reactions when applied to the skin (13, 14)
Contraindications	1. Diseases of the kidneys, liver (1,3–5) 2. Diseases of the hematopoietic system (1.5) 3. Diabetes mellitus (1,5)	1. Pregnancy, breast-feeding (6–9) 2. Dysfunction of the liver (7,8,10) 3. Herpetic fever (8) 4. Hypersensitivity to the drug	1. Severe renal and hepatic insufficiency (11) 2. Diseases of the blood (11) 3. Pregnancy, breast-feeding	1. Hypersensitivity to the drug 2. Acute inflammatory skin diseases (14,15)

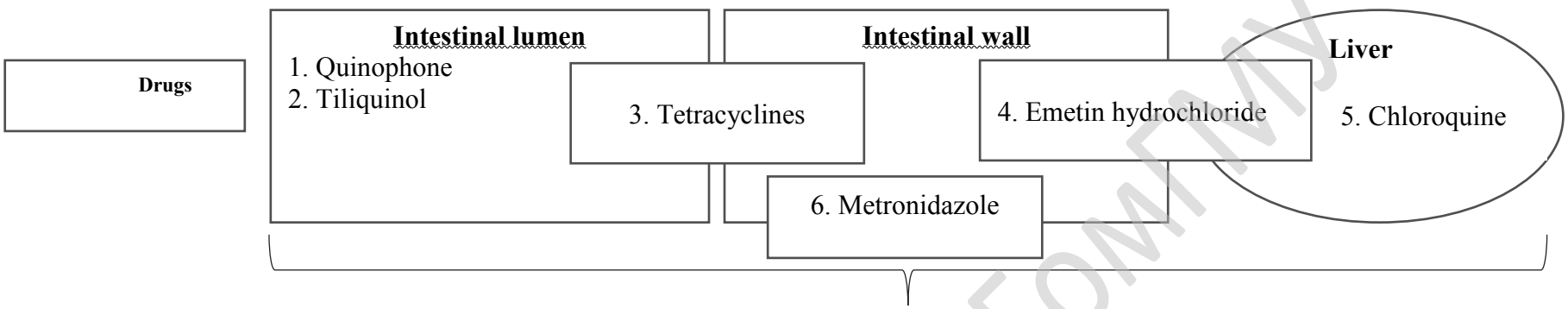
12. ANTIPROTOZOIC AND ANTIPARASITIC DRUGS. ANTISEPTICS AND DISINFECTANTS

Antimalarials — drugs used for the prevention and treatment of malaria.

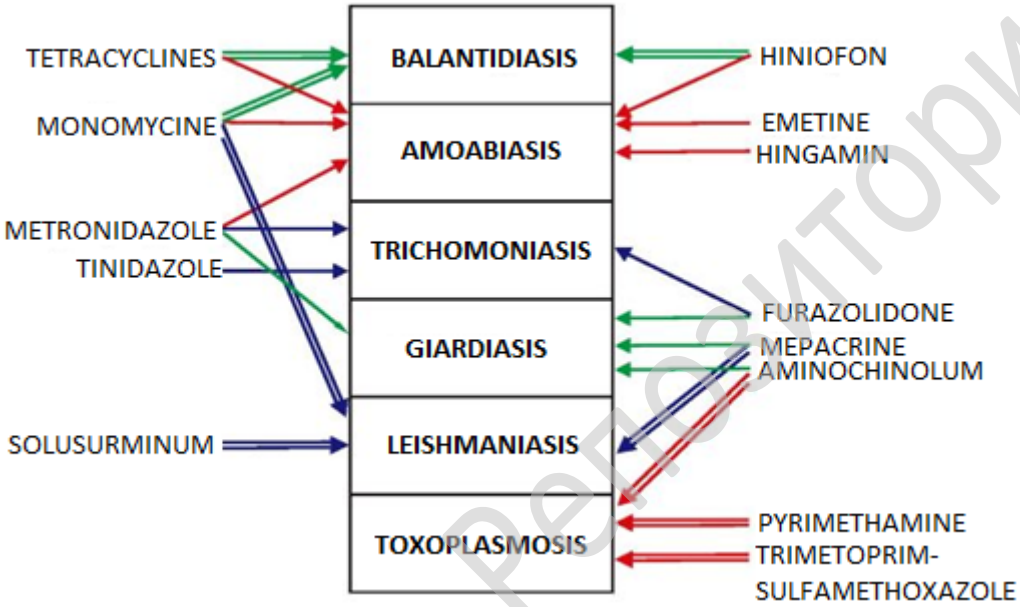
Classification	Blood schizonticides	Tissue schizonticides
Drugs	1. Quinine 2. Chloroquine (hingamin) 3. Mefloquine 4. Hydroxychloroquine (plaquenil)	5. Primaquine
	6. Pyrimethamine	
Mechanism of action	1. Suppress the synthesis of nucleic acids (1–5) 2. Blocks dehydrofolate reductase, which disrupts the transformation of dehydrofolic acid into tetrahydrofolic acid, which is necessary for the development of plasmodia (6)	
Pharmacological effects	1. Antiprotozoal; 2. Antiarrhythmic (1, 2), 3. Uterotonic (1), 4. Anti-inflammatory, immunosuppressive (2, 4).	
Indications	1. Malaria 2. Prevention of transmission (5, 6) 3. Pre-travel chemoprophylaxis (2, 3, 6) 4. SLE, rheumatoid arthritis (2. 4) 5. Violation of the rhythm of the heart (extrasystole, atrial fibrillation, etc.) (1, 2) 6. Extraintestinal amebiasis (2) 7. Prophylaxis of distant relapses with quartan and tertian malarias (5)	
Side effects	1. Noise in the ears, palpitations, trembling of hands, insomnia (1) 2. Dermatitis (with prolonged use) (2.4) 3. Dizziness, headache (1, 2, 6) 4. Dyspeptic phenomena (1, 3, 5, 6) 5. Ataxia, hearing and vision impairment (3) 6. Megaloblastic anemia (6)	
Contraindications	1. Deficiency of glucose-6-phosphate dehydrogenase, diseases of the middle and inner ear, cardiac decompensation (2) 2. Diseases of the hematopoietic organs (2, 4, 5, 6) 3. Kidney disease (2–6), a violation of liver function (2-4) 4. Heart disease (2 - 4) 5. Acute infectious diseases (except malaria), blood diseases, angina pectoris (5)	
NB!	Primaquine is lethal to P. vivax and P. ovale in the liver stage, and also to P. vivax in the blood stage; due to the emergence of pyrimethamine-resistant strains of P. falciparum, pyrimethamine alone is seldom used now. Combined drugs: Metakelfin (pyrimethamine + sulfametapirazin), Fansidar (pyrimethamine + sulfadoxine).	

Anti-amoebic drugs — drugs used for the treatment of amebiasis.

Localization of amoebae



Other antiprotozoal agents



Drugs for trichomoniasis, bacterial vaginosis and nonspecific urethritis: metronidazole, ornidazole, tinidazole, furazolidone.

1. Drugs for giardiasis: metronidazole, ornidazole, furazolidone, aminoquinol.

2. Drugs for toxoplasmosis: pyrimethamine, sulfonamides.

3. Drugs for leishmaniasis: salusurumin, sodium stiboglucate (visceral and cutaneous forms), monomycin, paromomycin, meglumine antimonate, mepacrine hydrochloride.

4. Drugs for balantidiasis: monomycin, tetracyclines, hiniofon.

Anthelmintic drugs — agents used to treat helminthiases.

Classification	Intestinal nematodes (ascaridosis, enterobiasis, trichocephalosis)	Intestinal cestodiasis (Diphyllobothriasis, teniosis, teniarinhosis)	Extraintestinal helminthiases (opisthorchis, fasciolosis, schistosomiasis)
Drugs	1. Mebendazole, albendazole 2. Levamisole 3. Piperazine adipate 4. Pyrantel	5. Nichlosamide 6. Cucurbin (drug from pumpkin seed)	7. Ditrazine citrate 8. Chloxyl
		9. Praziquantel	
Mechanism of action	1. Violate the synthesis of helminth tubulin, ↓ helminth absorption of glucose and the formation of ATP (1) 2. Paralysis of the musculature of helminths (2–4) 3. Inhibition of succinate dehydrogenase → disturbance of bioenergetic processes of helminths (2)	1. Paralytic effect on helminths and ↓ their resistance to proteolytic enzymes of the gastrointestinal tract (5, 6) 2. ↑ permeability of cell membranes of parasites for Ca ions → muscle contraction-tours → spastic paralysis (9)	1. Disruption of motor activity of helmintes (7) 2. Destruction of nucleoproteins of epithelium and parenchyma of helminths, violates their carbohydrate metabolism (8)
Pharmacological effects	1. Anthelmintic 2. Immunostimulating (2)		
Indications	1. Ascariasis 2. Enterobiasis (pinworm infection) (1, 3, 4) 3. Trichocephalosis (1. 4) 4. Trichinosis (1) 5. Ancylostomiasis (1, 2, 4)	1. Taeniasis (5, 6, 9) 2. Diphyllobothriasis (5, 6, 9)	1. Filariasis: lymphatic filariasis (elephantiasis), onchocerciasis (7) 2. Opisthorchiasis (8, 9) 3. Fascioliasis (8, 9) 4. Schistosomiasis (9)
Side effects	1. Dyspeptic disorders 2. Agranulocytosis (2) 3. Allergic reactions 4. Headache, dizziness (1,4)	1. Nausea (5, 9) 2. Allergic reactions (5, 9)	1. ↑ liver size (7.8) 2. Impaired heart rhythm, pain in the heart (8) 3. Proteinuria (8) 4. Skin itching, skin rashes (7)
Contraindications	1. Hypersensitivity to the drug 2. Agranulocytosis (2) 3. Pregnancy, breast-feeding (1, 2, 4) 4. Organic diseases of the central nervous system (3)	1. Pregnancy (5, 9) 2. Gastroduodenal ulcers (5) 3. Anemia (5) 4. Liver disfunction (9)	1. Liver diseases not associated with helmints (8) 2. Pregnancy 3. Cysticercosis of the eye (9) 4. Eye disorders in onchocerciasis (7)
NB!	*Levamisole* - single administration before bedtime for adults 0.15 g (150 mg), children 2.5 mg/kg. If necessary, the intake is repeated after a week. *Mebendazole is* prescribed once a day for 3 days for ascariasis and enterobiasis		

Disinfectants are applied to the surface of non-living objects to destroy microorganisms.
Antiseptics are applied to living tissue/skin to reduce the possibility of infection.

Classification	Halogen-containing substances	Oxidizing agents	Acids and alkalis	Metal compounds
Drugs	*Preparations of chlorine:* 1. Chloramine 2. Chlorhexidine *Iodine preparations:* 3. Tincture of iodine 5 % 4. Lugol's iodine 5. Iodinolum 6. Povidone-iodine	7. Hydrogen peroxide 8. Potassium permanganate	9. Salicylic acid 10. Boric acid 11. Sodium tetraborate (borax)	*Silver preparations:* 12. Silver nitrate 13. Protargol (silver proteinate) 14. Colloidal silver *Copper preparations:* 15. Copper sulfate *Zinc preparations:* 16. Zinc sulfate
Mechanism of action	1. Chlorine replaces the hydrogen atom, the secondary structure of the protein is disrupted 2. Active molecular iodine interacts with NH-groups of protein molecules, causing denaturation of proteins	Release of atomic oxygen, oxidation of the substrate of a microbial cell, death of microorganisms	Denaturation of the protoplasmic protein of the microbial cell	Denaturation of protein, blockade of sulfhydryl groups of enzyme systems of the protoplasm of the microbial cell, formation of albuminates
Pharmacological effects	1. Antimicrobial 2. Deodorizing (1) 3. Spermicidal (1)	1. Antimicrobial 2. Deodorizing (7) 3. Astringent (8)	1. Antimicrobial 2. Irritating (9) 3. Keratolytic (9) 4. Anti-pediculosis (10)	1. Antimicrobial 2. Astringent (12,13,15,16) 3. Anti-inflammatory (12,13)
Indications	1. Infected wounds (1, 2, 6) 2. Hand scrubbing (1, 3) 3. Skin preparation for the prevention of surgical site infection (2, 3) 4. Sterilization of surgical instruments (2)	1. Treatment of wounds, ulcers (7, 8) 2. Rinse the mouth and throat (7, 8) 3. Bleeding wounds and capillary bleeding (7) 4. Sprinkling in gynecology and urology (8)	1. Removal of corns (9) 2. Conjunctivitis, otitis media (10) 3. Pediculosis (10) 4. Fatigue, pressure sores (11) 5. Infectious and inflammatory skin diseases	1. Conjunctivitis 2. Washing of the bladder and urethra 3. Erosions, ulcers, cracks (12) 4. Purulent wounds (14) 5. Nesting baldness (16) 6. Acne (16)
Side effects	1. Dryness and itching of the skin, dermatitis (2) 2. Allergic reaction 3. Irritation at the site of application (1,3–6), iodism (3–6)	1. Burning in the application area 2. Allergic reaction	1. Nausea, vomiting, diarrhea (10) 2. Burning, itching at the site of exposure	1. Allergy
Contraindications	1. Hypersensitivity 2. Dermatitis (2) 3. Pregnancy (3–6) 4. Chronic kidney failure (6)	1. Individual intolerance 2. Damage to surrounding tissues at a strong concentration (8)	1. Impaired renal function (9, 10) 2. Pregnancy, breast-feeding	1. Pregnancy and lactemia (13) 2. Hypersensitivity

Disinfectants and antiseptics (cont.)

Classification	Phenols	Dyes	Aldehydes and	Detergents	Nitrofurans	Tar
Drugs	1. Phenol, tricresol 2. Resorcin 3. Pheresolum 4. Phenyl salicylate (salol) 5. Policresulen	6. Methylene blue 7. Brilliant green 8. Ethacridine lactate (rivanol)	9. Formaldehyde (formalin) 10. Hexamethylene tetramine (urotropin) 11. Ethyl alcohol	12. Bar soap 13. «Hibiscrub» base 14. LIC 76 15. Myramistin	16. Nitrofural (furacilin) 17. Furazolidone 18. Furazidine (furagin)	19. Tar birch 20. Ichthyol 21. Vinisol 22. Citral 23. Sülsen
Mechanism of action	Block the enzymatic activity of dehydrogenase, cause protein denaturation	Inhibit enzymatic processes, form hardly soluble complexes	Denaturation of cell proteins	↓ surface tension at the interface → The permeability of the microbial cell membrane is disturbed, the osmotic equilibrium → the death of the bacterium	Reduce nitro- group in the amino group → violate the function of DNA, inhibit the cellular respiration of microbes	The action is provided by a complex of bioactive substances
Pharmacological effects	1. Antimicrobial 2. Irritating (1, 11, 19), 3. Local anesthetizing (2, 20), 4. Trichomonasidic (5), 5. Deodorizing (9), 6. Tanning (11), 7. Washing, foaming (12–15), 8. Anti-inflammatory (20,22), 9. Analgesic (22)					
Indications	1. Disinfection of premises, hands (1) 2. Skin diseases (eczema, seborrhea) (2) 3. Removal of papillomas (3) 4. Diseases of the intestines, cystitis, pyelonephritis (4) 5. Inflammatory diseases of the vagina, cervix (5)	1. Burns, pyoderma, folliculitis (6.7) 3. Cystitis, urethritis (6) 4. Poisoning by cyanide, carbon monoxide, hydrogen sulphide (6) 5. Treatment of wounds, cleansing cavities in surgery (8) 6. Diseases of the oral cavity and nasopharynx (8)	1. Disinfection of surgical instruments (9, 11) 2. Increased sweating (9) 3. Urinary tract infections, eyes diseases (10) 4. Compresses (11) 5. Pulmonary odema (vapour) (11)	1. Desinfection of hands (12–14) 2. Syphilis, gonorrhea (15) 3. Fungal skin lesions (15) 4. Diseases of the ENT organs (15)	1. Purulent wounds, ulcers, pressure ulcers, burns (16) 2. Infectious bowel disease (17) 3. Urinary infections (18) 4. Conjunctivitis, blepharitis (16)	1. Skin diseases (19) 2. Mialgia, neuralgia (20) 3. Burns, trophic ulcers, pressure ulcers (21) 4. Keratitis, conjunctivitis (22) 5. Seborrhea of the scalp (23)

Classification	Phenols	Dyes	Aldehydes and	Detergents	Nitrofurans	Tar
Side effects	1. Allergic reactions 2. Redness, swelling of the vagina and vulva (5)	1. Allergic reactions	1. Irritation of the skin (9, 10) 2. Hematuria (10) 3. Skin burn	1. Allergic reactions 2. Nausea, vomiting (13)	1. Allergic reactions 2. Nausea, vomiting	1. Allergic reactions 2. Diarrhea (22)
Contraindications	1. Extensive lesions of the skin and mucous membranes (1) 2. The nevuses (3) 3. Chronic renal failure (4) 4. Menstruation (5)	1. Hypersensitivity 2. Kidney disease (8)	1. Inflammatory processes of skin (9) 2. Hypersensitivity	1. Hypersensitivity 2. Application with soap, nitrates, iodides, potassium permanganate, alkalis (13)	1. Allergic dermatoses 2. Increased sensitivity to nitrofuran and its derivatives	1. Hypersensitivity

13. ANTINEOPLASTIC AGENTS

Antineoblastic agents are drugs affecting the cell devision. They damage the DNA and initiate apoptosis, preventing the development and spread of neoplastic cells.

Classification	1. Alkylating agents					
	Nitrogen mustards	**Triazenes**	**Alkyl sulfonates**	**Nitrosoureas**	**Alkylating agents of a different chemical structure**	
Drugs	1. Cyclophosphamide (cytophosphane) 2. Chlorambucil (Leukeran) 3. Melphalan (Alkeran, Sarcolysin)	4. Dacarbazine 5. Temozolomide	6. Busulfan (Myleran)	7. Streptozocin 8. Lomustine 9. Carmustine 10. Thiophosphamide	11. Cisplatin 12. Pipobroman	
Mechanism of action	Binding of alkyl groups to nucleic acids and proteins → fragmentation of DNA strands → Violation of the structure and function of DNA Affect all phases of the cell cycle.					
Classification	2. Antimetabolites			3. Plant alkaloids		
	Folic acid analogues	Purine analogues	Pyrimidine analogue	Vinca alkaloids	Taxanes	Podophyllotoxins
Drugs	13. Methotrexate e	14. Mercaptopurin	15. Fluorouracil	16. Vincristine 18. Paclitaxel	19.Teniposide	17. Vinblastine
Mechanism of action	Antagonists of natural cell components → inhibition enzymatic processes in the cell → violate the synthesis of nucleic acids. Cyclo-specific – specifically attack cells in a particular phase of the cell cycle (S phase)			Inhibit the division of tumor cells at various stages of mitosis. Cyclo-specific.		

Classification	1. Alkylating agents				
	Nitrogen mustards	**Triazenes**	**Alkyl sulfonates**	**Nitrosoureas**	**Alkylating agents of a different chemical structure**
Pharmacological effects	1. Antiblast 2. Cytotoxic 3. Cytostatic 4. Immunodepressive				
Side effects	1. Nausea, vomiting 2. Inhibition of bone marrow hematopoiesis 3. Immunodepression 4. Alopecia 5. Neuritis, myalgia, arthralgia 6. Hepatotoxicity 7. Nephrotoxicity				
Indications	1. Hemoblastoses (1–3,6,12,14,16,17) 2.Myeloma disease (1, 3, 9) 3. Melanoma (4–5, 7–10,16) 4. Soft tissue sarcoma (4–5, 12, 16,17) 5. Genital tumors (3,11, 16–19) 7. Brain tumors (7–10) 6. Colorectal cancer (4,5,15)				
Contraindications	1. Individual intolerance 2. Pregnancy and lactation 3. Severe liver and / or kidney dysfunction 4. Bone marrow hypoplasia 5. Acute infectious diseases				

Antineoblastic agents (cont.)

Classification	**4.1 Hormonal agents**				
	Glucocorticosteroids	**Androgens**	**Estrogens**	**Gestagens**	**Gonadotropin-releasing hormone analogues**
Drugs	1. Prednisolone 2. Hydrocortisone	3. Testosterone propionate	4. Phosphastrol 5. Extramustine	6. Megestrol 7. Medroxyprogesterone 8. Gepostet	9. Goserelin 10. Leuprolide
Mechanism of action	Reduce the production of gonadotropic hormones of the pituitary gland and the corresponding hormones of the gonads according to the feedback regulation → slowing the growth rate of hormone-dependent tumors				
Classification	4.2 Antihormonal agents				
	Adrenal cortex hormones antagonist	Antiandrogens	Antiestrogens	Aromatase inhibitors	
Drugs	11. Mitotane 12. Ketoconazole 13. Mifepristone	14. Cyproterone 15. Flutamide	16. Tamoxifen 17. Tremifene	18. Anastrozole 19. Exemistan	
Mechanism of action	Inhibit corresponding hormone receptors on tumor cells → slowing the growth rate of hormone-dependent tumors				
Pharmacological effects	1. Antiblast 2. Antiandrogenic (4–5, 14,15) 3. Androgenic (3) 4. Estrogenic (4,5) 5. Antiestrogenic (6–8,16,17,11–13) 6. Pharmacological castration (9,10)				
Side effects	1. Dyspepsia 2. Ulceration of the gastrointestinal mucosa (1–2) 3. Steroid diabetes mellitus (1–2) 4. Cushing's syndrome (1–2)		5. Virilization (3) 6. Gynecomastia (4–8, 14, 15) 7. Uterine bleeding (3-5,16–17) 8. Thrombosis (4–8)		
Indications	1. Leukemia (1–2) 2. Lymphomas (1–2) 3. Prostate cancer (4–8,10,15) 4. Breast cancer (3, 6–9, 16–19)		5. Uterine cancer (6–8, 16, 17) 6. Kidney cancer, nephroblastoma (Williams tumor) (16, 17) 7. Tumor of the adrenal cortex (11–13)		
Contraindications	1. Individual intolerance 2. Pregnancy and lactation 3. Severe liver and / or kidney dysfunction 4. Bone marrow hypoplasia 5. Acute infectious diseases 6. Ulcerative lesions of the gastrointestinal tract (1–2)				
NB!	1. Hormonal antimicrobial agents differ from cytostatics by significantly less toxicity 2. In hormone-dependent tumors, inhibition of the synthesis of a hormone or its action leads to a decrease or even a complete regression of the tumor				

Classification	5. Antibiotics		6. Enzymes	7. Substances of different chemical structure	8. Radioactive isotopes
Drugs	I generation anthracyclines 1.Doxorubicin (Adryblastin) 2. Daunorubicin	II generation anthracyclines 3. Epirubicin (Veroepirubicin) 4. Idarubicin (Vfend)	7. Asparaginase	8. Hydroxycarbamide (Hidroxyurea) 9. Procarbazine (Natulan)	10. Radium 11. Cobalt 12. Gold 13. Phosphorus 14. Iodine
	5. Bleomycin 6. Mitomycin				
Mechanism of action	Bind to DNA → violation of DNA transcription → inhibition of RNA synthesis. Non-cyclo-specific, except bleomycin (specifically inhibits G2 phase).		Destruction of plasma asparagine → termination of protein synthesis → inhibition of tumor cells growth. Affect G1 phase.	Inhibition of the enzyme ribonucleotide → inhibition of DNA synthesis. Affect S phase.	The ionizing radiation → the formation of free radicals and oxidants → damage to the structure of DNA → the death of tumor cells.
Pharmacological effects	1. Antineoplastic 2. Antibacterial (1–6) 3. Cytotoxic 4. Cytostatic 5. Immunosupressive				
Side effects	1. Nausea, vomiting 2. Inhibition of bone marrow hematopoiesis 3. Alopecia 4. Cardiotoxicity (1–4)		5. Neuritis, myalgia, arthralgia 6. Hepatotoxicity 7. Nephrotoxicity		
Indications	1. Thyroid gland tumors (1, 5, 14) 4. Tumors of the head and neck (1,3,5,8) 7. Brain tumors (1–5,8,10–12) 2. Hemoblastoses (1–4, 7, 8)5. Testicular cancer (5,8) 8. Pulmonary cancer (1–3,5,8,10–12) 3. Sarcoma (1, 3) 6. Melanoma (1, 3, 8) 9. Stomach cancer (1,3,5,8,10–12) 10. Tumor diagnostics (10–14)				
Contraindications	1. Pregnancy 2. Lactation. 3. Individual intolerance		4. Severe impairment of liver and renal function 5. Bone marrow hypoplasia 6. Acute viral infections		
NB!	1. Dosage of cytostatics is based on the area of the body. 2. Cyclo-specific agents are used for rapidly growing tumors (leukemia, melanoma, sarcoma, etc.), non-cyclo-specific agents are effective in fast-and slow-growing tumors.				

14. PRINCIPLES OF TREATMENT OF ACUTE DRUGS INTOXICATIONS

Poison is a toxic alien substance impairing biochemical processes in the body.

Poisoning classification

By origin				
Unintentional			Intentional	
Industrial	Household	Iatrogenic (medical error)	Homicida	Suicidal

By the route of poison entry			
Oral	Inhalational	Percutaneous (through the skin)	Parenteral

By area of application					
Industrial poisons	Agricultural poisons	Household poisons	Biological poisons	Medicinal products	Chemical warfare agents

	By selective toxicity						
	Cardiotoxic	Neurotoxic	Nephrotoxic	Hepatotoxic	Hematotoxic	GIT-toxic	Pulmonary
Mechanism of action	Cause disorders of rhythm and conduction, toxic dystrophy of the myocardium	Cause disruption of mental activity, toxic hyperkinetic diseases, paralysis	Cause toxic nephropathy	Cause toxic hepatopathy	Cause hemolysis and methemoglo-binemia	Cause toxic ga-stroenteritis, mucous burns	Cause laryngeal and bronchospasm, toxic edema, pulmonary fibrosis
Toxic substances	Cardiac glycosides, adrenoblockers, calcium channel blockers, tricyclic antidepressants, hellebore	Psychotropics, organophosphorus compounds, isoniazid, alcohol and its surrogates	Salts of heavy metals, chlorinated hydrocarbons, oxalic acid	Chlorinated hydrocarbons, muschrooms, phenols, aldehydes	Carbon monoxide, nitrates, arsenic hydride, phenacetin, aniline	Salts of heavy metals, acid and alkali, arsenic	Chemical warfare agents, chlorine and nitrogen oxide

By the toxicity			
Extremely toxic: Lethal dose < 15 mg / kg	Highly toxic: Lethal dose 15–150 mg / kg	Moderately toxic: Lethal dose 150–1500 mg/kg	Low-toxic: Lethal dose > 1500 mg / kg

Basic principles of acute intoxication treatment

Toxicokinetics is a section of toxicology that studies the patterns of resorption, distribution, biotransformation and ruutes of eliminating xenobiotics from the human body.

Toxicodynamics is a section of toxicology that studies the mechanism of toxic action, the patterns of development and manifestations of various forms of the toxic process.

Antidote is a remedy that can eliminate or reduce the specific action of the poison by its immobilization, reducing the penetration to the effector receptors by reducing its concentration or which is an antidote at the level of the receptor (WHO International Program on Chemical Safety, 1996)

1. Evaluation of vital functions and correction of their disoeders	Correction of life-threatening respiratory and circulatory disorders (providing airway patency, cardiopulmonary resuscitation if necessary)			
2. Cessation of poison intake into the body	Removal of the victim from the zone of toxic pollution; use of personal protective equipment (gas mask); termination of injection of toxic substance			
3. Removal of unabsorbedpoison from the body	From the stomach 1. Simple lavage NB! Contraindicated: when poisoning with acids, alkalis, gasoline, turpentine → repeated damage to mucous membranes; when poisoning with cardiotoxic chrononegative poisons → pronounced bradycardia. 2. Disadvantage of the method: gastric spasm → toxin remains in the gastric folds → preservation of xenobiotic in the body. 3. Tube gastric lavage Basic principles of gastric lavage: T0fluid 18–24 0C, Vsingle < 600 ml, Vtotal ~7–15 l After gastric lavage, a suspension of activated carbon (0.5–1.0 / kg body weight) is given	From the intestine 1. Siphon enema 2. Intestinal lavage 3. Saline laxatives From the lungs 1. Removal of the victim from the zone of toxic pollution 2. Use of personal protective equipment (gas mask) 3. Ventilation, assisted breathing, oxygen inhalation	From the surface of the skin and mucous membranes 1. Washing with running water (T0 < 200 T0C) or fluid from the skin decontamination kit. 2. Chemical neutralization of poison (acids - alkali and vice versa). NB! ↑ risk of localized skin and mucous membrane damage	When administered subcutaneously 1. Cooling the injection site (icepack) 2. Injections of the adrenaline solution around the site of administration of the toxic substance 3. Overlapping of the tourniquet above the injection site → venous stasis → slowing the flow of poison into the systemic circulation
4. Removal of absorbed poison from the body	Acceleration of excretion of poison from the body: 1. Infusion therapy 2. Forced diuresis - hydration therapy followed by intravenous injection of osmotic (mannitol) or loop (furosemide) diuretics → substances that do not bind to proteins and lipids of the blood plasma are eliminated. NB! Contraindications: acute cardiac insufficiency, pronounced impaired renal function, danger of cerebral andpulmonary edema 3. Methods of intraocorporal correction of homeostasis: peritoneal dialysis, enterosorption using adsorbents; intravenous administration of rheopolyglucin, gemodez or preparations based on polyethylene starch.			

<table>
<tr><td></td><td colspan="4">4. Methods of extracorporeal correction of homeostasis: hemodialysis, plasmapheresis, lymphophoresis, hemosorption, plasmosorption and others.
5. Hyperventilation of the lungs. NB! is effective in poisoning with toxic substances that are largely removed from the body through the lungs (means for inhalation anesthesia</td></tr>
<tr><td rowspan="5">5. Etiotropic therapy (Specific antidote therapy)</td><td>Antidote type</td><td>The mechanism of action</td><td>Antidotes</td><td>Type of poisoning</td></tr>
<tr><td>1. Chemical</td><td>Directly bind to toxicants → neutralization of free-circulating poison</td><td>1. Calcium gluconate
2. Deferoxamine
3. D-Penicillamine
4. UnitiolAnti-ophidic serum
5. Black widow antidote</td><td>1. Fluoride poisoning
2. Poisoning with iron compounds
3. Poisoning with copper, bismuth, arsenic
4. Poisoning with heavy metals, cardiac glycosides
5. Snake bites
6. Bites of the black widow</td></tr>
<tr><td>2. Biochemical</td><td>Displace the toxicant from its bond with the target molecules → restore normal biochemical processes</td><td>1. Oxygen
2. Cholinesterase reactivators
3. Methylene blue</td><td>1. Carbon monoxide poisoning
2. Poisoning with organophosphorus compounds
3. Poisoning with methaemoglobin-forming agents</td></tr>
<tr><td>3. Physiological</td><td>Normalize the conduct of nerve impulses in synapses that цуку affected by toxins</td><td>1. Atropine
2. Flumazenil
3. Naloxone, naltrexone</td><td>1. Poisoning with organophosphorus compounds, muscarinic agonists
2. Poisoning with benzodiazepines
3. Poisoning with opioids</td></tr>
<tr><td>4. Metabolism modifiers</td><td>Prevent the transformation of xenobiotic into highly toxic metabolites, or accelerate biodetoxication</td><td>1. Sodium thiosulfate
2. Acetylcysteine
3. Ethyl alcohol</td><td>1. Cyanide poisoning
2. Poisoning with paracetamol, dichloroethane
3. Poisoning with methanol, ethylene glycol</td></tr>
<tr><td>6. Pathogenetic therapy</td><td colspan="4">It is aimed at the pathogenesis of the development of some syndromes, for example, partial elimination of signs of cerebral hypoxia caused by asphyxiating substances during inhalation of oxygen</td></tr>
<tr><td>7. Symptomatic therapy</td><td colspan="4">Elimination or weakening of certain manifestations of intoxication when they occur:
1. Treatment of psychoneurological disorders (intravenous tranquilizers, neuroleptics)
2. Seizures treatment (intravenous tranquilizers or non-inhalational anesthetics)
3. Management of pain syndrome (narcotic or non-narcotic analgesics)
4. Treatment of respiratory disorders (ventilation, oxygen therapy, prevention of aspiration complications)
5. Therapy of cardiovascular complications (the introduction of cardiotonic drugs, antiarrhythmic, plasma-substituting agents)
6. Tretment of hyperthermic syndrome (methods of physical cooling, introduction of a lytic mixture)</td></tr>
</table>

* Therapy of the most common poisonings is discussed in other topics.

RECCOMENDED READING

Basically:

1. *Kharkevich, D. A.* Pharmacology: textbook or medical students / D. A. Kharkevich. — M.: GEOTAR–Media, 2017. — 680 p.

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2. Basic & Clinical Pharmacology / edit. by Bertram G. Katzung, associate edit. Anthony J. Trevor. — 13th ed. — New York: McGraw-Hill Education, 2017. — 1203 p.

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Михайлова Елена Ивановна
Саварина Валерия Александровна
Бронская Галина Михайловна и др.

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В двух частях
Часть 2
(на английском языке)

Учебно-методическое пособие
для студентов 3 и 6 курсов факультета иностранных студентов
учреждений высшего медицинского образования

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