МИНИСТЕРСТВО ЗДРАВООХРАНЕНИЯ РЕСПУБЛИКИ БЕЛАРУСЬ

УЧРЕЖДЕНИЕ ОБРАЗОВАНИЯ
«ГОМЕЛЬСКИЙ ГОСУДАРСТВЕННЫЙ МЕДИЦИНСКИЙ УНИВЕРСИТЕТ»

Кафедра общей и клинической фармакологии

КРАТКО О ЛЕКАРСТВЕННЫХ СРЕДСТВАХ

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

В двух частях
Часть 1

DRUGS IN SHORT

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

In two parts
Part 1

Гомель
ГомГМУ
2020

УДК 615.2 (072)=111
ББК 52.81я73=432.1
К 78

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 ***В. И. Козловский***

Кратко о лекарственных средствах: учеб.-метод. пособие для студентов 3 и 6 курсов факультета иностранных К 78 студентов учреждений высшего медицинского образования: в 2 ч. = Drugs in short: practical workbook for 3 and 6 year students Faculty for International Students of medical higher educational institutions: in 2 parts / Е. И. Михайлова [и др.]. — Ч. 1. — Гомель: ГомГМУ, 2020. — 56 с.
ISBN 978-985-588-125-5

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.
Предназначено для студентов 3 и 6 курсов факультета иностранных студентов учреждений высшего медицинского образования.

Утверждено и рекомендовано к изданию научно-методическим советом учреждения образования «Гомельский государственный медицинский университет» 18 декабря 2018 года, протокол № 7.

УДК 615.2 (072)=111
ББК 52.81я73=432.1

ISBN 978-985-588-125-5 (ч. 1)
ISBN 978-985-588-124-8

CONTENTS

LIST OF ABBREVIATIONS

AChE	— anticholinesterase drugs
AH	— arterial hypertension
ALV	— artificial lung ventilation
AV	— atrio-ventricular
BBB	— blood-brain barrier
BP	— blood pressure
cAMP	— cyclic adenosine monophosphate
CHF	— chronic heart failure
CNS	— central nervous system
DM	— diabetes mellitus
GIT	— gastrointestinal tract
HR	— heart rate
ICP	— intracranial pressure
IHD	— ischemic heart disease
IOP	— intraocular pressure
ISA	— intrinsic sympathomimetic activity
PVR	— peripheral vascular resisrance
PDE	— phosphodiesterase
TBI	— traumatic brain injury

INTRODUCTION

This guide will help you to study drugs affecting peripheral nervous system, CNS, respiratory and digestive systems. We hope you will be able to use this knowledge during your patient's treatment.

The study guide contains information on 10 topics according to the program. Pharmacological characteristics include modern classifications, the nomenclature of drugs, mechanisms and spectra of action, indications, side effects and contraindications. Therefore, it is 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 studying pharmacology.

1. DRUGS AFFECTING THE PERIPHERAL NERVOUS SYSTEM. DRUGS AFFECTING AFFERENT INNERVATION

Drugs affecting afferent innervation

Drugs affecting afferent innervation are agents affecting transmission from peripheral tissue receptors to the CNS.

Classification	Drugs dicreasing afferent innervation				Drugs improving afferent innervation		
	Astringents*	**Enveloping agents****	**Adsorbents*****	**Local anesthetics**	**Irritant agents**	**Reflex acting expectorants**	**Amarines, laxatives**
Drugs	1. Oak bark 2. St. John's wort 3. Flowers of chamomile 4. Sage leaf 5. Grass of the string 6. Tanin	7. Flax seed 8. Aluminum hydroxide 9. Magnesium oxide 10. Almagel 11. Phosphalugel 12. Maalox 13. Vicair 14. Bismuth sub-Citrate (De-nol) * /**	15. Activated carbon 16. Polyphepane 17. Diosmectite (Smecta) 18.Enestros-gel 19. Sorbogel	See below	1. Menthol 2. Validol 3. Mint peppery 4. Mustard 5. Camphor 6. Ammonia alcohol	These agents will be discussed on the other lessons	
Mechanism of actions	* Causes the precipitation of proteins and form albumins film to protect the wound surface from the action of irritants ** Envelope nerve endings, ↓ irritating effect on nerve endings of the mucosa of the gastrointestinal tract *** Adsorb chemical substances on its surface				Irritate afferent nerve endings, reflexively dilate arterioles and capillaries		
Pharmacological effects	1. Astringent (1–6,14) 2. Enveloping (7–14) 3. Absorbent (15–19)		4. Antacid (8–14) 5. Antibacterial (2–5, 14)		1. Distracting (1,4–6) 4. Spasmolytic (1, 2) 2. Trophic (4,5) 3. Sedative (2.3)		
Side effects	1. Constipation 2. Diarrhea 3. Dark feces (13–16)		4. Violation of electrolyte balance (8–14) 5. ↓ nutrient, drug, vitamin and mineral absorption (15–19)		1. Skin irritation 2. Allergic reactions		
Indications for use	1. Inflammatory processes, skin and mucous membrane damage * 2. Inflammatory diseases of the digestive tract ** + (2, 3) 3. ↓ irritating effects of other drugs ** 4. Poisoning *** 5. Flatulence ***				1. Neuralgia, myalgia, arthralgia (4, 5) 2. Inflammatory diseases of the respiratory system (1, 3–5) 3. Cardialgia (2) 4. Neuroses (2.4) 5. Loss of consciousness (6)		
Contraindications	Individual intolerance GIT ulcers (15–19) Git bleeding (15–19)				Hypersensitivity		

LOCAL ANESTHETICS

Local anesthetics are drugs that reversibly block the conduction of a nerve impulse and cause local temporary anesthesia with no significant CNS effects**.**

Classification	**Ethers**	**Amides**	**Mixed agents**
Drugs	1. Procaine (Novocaine) 2. Benzocaine (Anestezin) 3. Tetracaine hydrochloride (Dicain) 4. Benzofurocaine	5. Articaine (Ultracaine) 6. Lidocaine 7. Bupivacaine 8. Trimecaine hydrochloride	9. Lidocaton (Lidocaine + Epinephrine) 10. Ultracaine D-C (Artikain + Epinephrine)
Mechanism of action	↓ Membrane permeability for Na^+ и K^+ ions → no action potential ↓ release of neurotransmitters Change the surface tension of cell membrane phospholipids		
Pharmacological effects	Local anesthesia Antiarrhythmic (1, 6, 9) Hypotensive (1, 6)		
Side effects	1. Allergic reactions 2. On the CNS: dizziness, headache, tinnitus, nausea, vomiting, disorientation, tremor, tonic-clonic seizures 3. On the CVS: ↓BP, ↓ heart automaticity, excitability, conductivity, contractility (except for cocaine) = arrythmias		
Indications for use	1. Superficial anesthesia (bronchoscopy, ophthalmological operations, surgeries of ENT organs) (2, 3, 5, 6) 2. Infiltration anesthesia (dental practice) (1, 5–10) 3. Conducting anesthesia (dental practice, surgery of the limbs, phantom pain) (1, 5–10) 4. Epidural and spinal anesthesia (obstetric and surgical operations) (1, 6–8)		
Contraindications	1. Allergic reactions 2. Hypotension 3. SA blockade, II–III degree AV blockade		
NB!	1. Ethers are rapidly hydrolyzed by plasma esterases → short-acting drugs in comparison with amides 2. Esters have a high risk of allergy, as they are derivatives of para - aminobenzoic acid. 3. To reduce the absorption of anesthetics, vasoconstrictors such as adrenaline are added to their solutions. It reduces the absorption of anesthetics into the blood, thereby ↓ their toxicity and duration of action 4. Dicaine is not used today due to high toxicity		

2. DRUGS AFFECTING THE EFFERENT INNERVATION. **CHOLINERGIC DRUGS. MUSCARINIC AGONISTS. ANTICHOLINESTERASE DRUGS. MUSCARINIC ANTAGONISTS**

Muscarinic and nicotinic agonists are drugs directly or indirectly stimulating muscarinic and/or cholinergic receptors**.**

Classification	**Muscarinic agonists**	**Muscarinic and nicotinic agonists**	
		Direct acting	**Indirect acting (=anticholinesterase agents)**
Drugs	1. Pilocarpine hydrochloride 2. Aceclylidine	3. Acetylcholine 4. Carbachole	*Reversible:* 5. Physostigmine 6. Neostigmine (Proserin) 7. Galantamine *Irreversible:* 8. Armin 9. Organophosphorus_compounds (Chlorophos, Dichlorvos)
Mechanism of action	Direct stimulation of muscarinic receptors of endings of postganglionic parasympathetic nerve fibers.	Direct stimulation of muscarinic and nicotinic receptors	Inhibit the activity of the acetylcholinesterase enzyme (reversibly/ irreversibly) → prevent the hydrolysis of acetylcholine → increase the effect of acetylcholine on muscarinic and nicotinic receptors.
Pharmacological effects	1. Myos, ↓ IOP, spasm of accommodation 2. ↑ secretion of exocrine glands 3. ↓ BP, heart rate, conduction, contractility 4. ↑ tone of bronchi, bladder, motility of the gastrointestinal tract 5. Stimulation of neuromuscular conduction in small doses (3-9)		
Indications for use	1. Glaucoma 2. Atrophy of the optic nerve (1) 2. Atony of the intestine, bladder (2) 3. Rg-diagnostics of diseases of the stomach, intestines (2)	1 Experimental pharmacology (3) 2. Glaucoma (4)	1. Glaucoma (5.8) 2. Atony of the intestine, bladder (6, 7) 3. Myasthenia gravis, paresis, paralysis (5–7) 4. Antidotes for non-depolarizing neuromuscular blockers and muscarinic antagonists intoxication (5–7)
Side effects	1. Miosis, accommodation spasm, pain in the eyes 2. Lacrimation 3. Twilight vision disturbance (1)	1. Bronchospasm 2. Hypersalivation, nausea, vomiting, ↑ intestinal peristalsis 3. Arrhythmia 4. Miosis 5. Twitching of the muscles of the tongue and skeletal musculature	
Contraindications	1. Iritis, iridocyclitis, uveitis 2. Bronchial asthma 3. Angina pectoris	1. Bronchial asthma 2. Angina pectoris 3. Epilepsy, hyperkinesis	

Muscarinic antagonists are drugs directly blocking muscarinic receptors.

Classification	Muscarinic antagonists	
	Non-selective	**Selective**
Drugs	1. Atropine sulfate 2. Tropicamide 3. Scopolamine hydrobromide 4. Platifillin hydrotartrate	5. Pirenzepine (Gastrotsepin) – *blocks M1 receptors* 6. Ipratropium bromide (Atrovent) - *blocks M3 receptors* 7. Tiotropium bromide (Spiriva) *blocks M3 receptors* 8. Butylskopolamine bromide (Buscopan)
Mechanism of action	Block muscarinic receptors → Interfere the interaction of acetylcholine mediator with them → parasympathetic innervation of organs is blocked	
Pharmacological effects	1. Mydriasis, ↑ IOP, accommodation paralysis 2. ↑ heart rate and myocardial contractility 3. ↓ secretion of exocrine glands	4. ↓ bronchus tone (bronchial dilatation) 5. ↓ smooth muscle tone, gastrointestinal motility
Indications for use	1. Bradycardia, AV blockade (1,3,4) 2. Spasm of the intestines and urinary tract (1,3,4) 3. Gastric and duodenal ulcer (1, 3, 4) 4. Premedication (1, 3) 5. Poisoning with muscarinic agonists and AChEs (1) 6. Investigation of the fundus (1–4) 7. Irit, iridocyclitis (1, 2) 8. Marine and air sickness (3)	1. Gastric and duodenal ulcer (5, 8) 2. Bronchial asthma, chronic obstructive bronchitis (6, 7) 3. Spasm of the intestines and urinary tract (5, 8) 4. Irritable bowel syndrome (8)
Side effects	1. Dry mouth 2. Middriasis, ↑ IOP, paralysis of accommodation 3. Tachycardia 4. Paresis of the intestine 5. Stimulation of urination	1. Dry mouth 2. Violation of accommodation 3. Retention of urination, constipation 4. Increase in sputum viscosity (6, 7)
Contraindications	1. Glaucoma 2. Obstructive diseases of the intestines and urinary tract.	1. Glaucoma 2. Hypertrophy of the prostate

Muscarinic and nicotinic agents' intoxication

Muscarinic agonists	Anticholinesterase agents	Muscarinic antagonists
Myos, ↓ IOP, spasm of accommodation		Mydriasis, ↑ IOP, paralysis of accommodation
Salivation, sweating		Dry hyperemic skin, hyperthermia
Bradycardia, AV blockade		Tachycardia
Bronchospasm		Dry mouth
Vomiting, diarrhea, tenderness in the abdomen, involuntary urination		Urination retention
↓ BP	BP first ↓, then ↑	–
Minor twitching of muscles, agitation, convulsions	Excitation is more pronounced (organophosphorus compounds penetrate into the the CNS), convulsions	Mental excitement, delirium, hallucinations, acute psychosis

Intoxication treatment

General (non-specific) therapy		
Gastric lavage; The use of laxatives and adsorptive agents to prevent further absorption; Catheterization of the bladder, forced diuresis; Hemosorption, hemodialysis.		
Specific (antidote) therapy		
Muscarinic antagonist (atropine)	Muscarinic antagonist (atropine) + Cholinesterase reactivators (di-pyroxime, isonitrosine) in the first hours	Anticholinesterase drugs (physostigmine)
Symptomatic therapy		
1. Correction of respiratory and cardiovascular disturbances		1. Drugs depressing the CNS (diazepam)
2. Diazepam for psychomotor agitation		2. ß-blockers

3. CHOLINERGIC AGENTS. NICOTINIC AGONISTS AND NICOTINIC ANTAGONISTS (GANGLIONIC BLOCKERS, NEUROMUSCULAR BLOCKERS)

Nicotinic agents are drugs that effects nicotinic cholinergic receptors

Classification	**Nicotinic agonists**	**Nicotinic antagonists**		
		Ganglionic blockers (nerve-type nicotinic receptor antagonists)	**Neuromuscular-blocking drugs (muscle-type nicotinic receptor antagonists)**	
			Non-depolarizing	**Depolarizing**
Drugs	1. Cytisine (Cititon, Tabex) 2. Lobeline hydrochloride (Lobesil) 3. Nicotine (Nicorette)	*Bis-quaternary ammonium salts:* 4. Benzohexonium (Hexamethonium) 5. Azamethonium bromide (Pentamine) 6. Trepirium iodid (Hygronium) 7. Trimethafan (Arfonad) *Tertiary amines:* 8. Pirilen (Pempidine) 9. Pachycarpine hydroiodide	10. Tubocurarine chloride 11. Pancuronium bromide (Pavulon) 12.Piperecuronium bromide (Ardouan)	13. Suxamethonium iodide (Ditiline)
Mechanism of action	Stimulate nicotinic receptors in the vegetative ganglia (sympathetic and parasympathetic), sino-carotid zone, adrenal medulla, CNS.	Block nicotinic receptors of all vegetative ganglia	Blosk nicotinic receptors of the postsynaptic membrane of neuromuscular synapses and prevent depolarization of the motor end plate	Lead to a permanent depolarization of the postsynaptic membrane (there is no repolarization and subsequent pulses do not pass)
Pharmacological effects	1. Stimulation of the CNS (improves mood, increases the surge of energy – promotes the development of mental and physical dependence) 2. Stimulation of respiration 3. ↑ BP, tachycardia 4. ↑ tone of skeletal muscles 5. ↑ tone and motility of the GIT	1. ↓ BP 2. ↑ HR and myocardial contractility 3. Mydriasis, ↑ IOP, accomodation paralysis 4. ↓ secretion of exocrine glands 5. ↓ bronchus and smooth muscles tone, GIT motility	1. Relaxation of skeletal musculature Sequence of relaxation: Muscles of the face and neck → muscles of the limbs and trunk → intercostal muscles and diaphragm → respiratory arrest	
Indications for use	1. Tobacco addiction treatment 2. Reflex respiratory arrest	1. Hypertensive crisis 2. Controlled hypotension (4–7) 3. Cerebral and pulmonary edema (5)	1. Relaxation of the musculature during the operation, setting of fracture dislocations	1. Tracheal intubation 2. Reduction of dislocations

Side effects	1. Nausea, vomiting 2. Dizziness	1. Orthostatic hypotension 2. Atony of the intestines, bladder 3. Mydriaz, paralysis of accommodation 4. ↓ blood flow velocity (risk of thrombosis)	1. Allergic reactions 2. Bronchospasm (10, 11) 3. ↑ BP (11) 4. ↓ BP (10,12)	1. Prolonged respiratory depression (in genetically determined pseudocholinesterase defficiency) 2. Muscle pain 3. Arrhythmias, tachycardia 4. ↑ IOP, ICP
Contraindications	1. Exacerbation of gastric and duodenal ulcers 2. Organic diseases of the cardiovascular system, AH	1. Myocardial infarction 2. Atony of the stomach, intestines, bladder	1. Myasthenia gravis 2. AH, tachycardia (11) 3. Cardiac, renal and hepatic impairment	1. Infants 2. Glaucoma 3. ↓ cholinesterase activity of blood plasma
Overdose treatment	ALV, anticonvulsants,	ALV, anticholinesterase agents (proserine)		Transfusion of donor blood

4. ADRENERGIC DRUGS

α- agonists — medicinal substances that directly or indirectly stimulate α-adrenergic receptors**.**

Classification	**α,ß – agonists**	**α_1- agonists**	**α_1, α_2- agonists**	**α_2- agonists**
Drugs	Direct-acting 1. Epinephrine (Adrenaline) 2. Norepinephrine (Norepinephrine) Indirect-acting 3. Ephedrine hydrochloride	4. Phenylephrine hydrochloride (Mezaton) 5. Midodrin (Gutron)	6. Naphazoline (Naphthysine, Sanorin) 7. Xylometazoline (Galazolin) 8. Oxymetazoline (Nazivin, Nazol)	9. Clonidine (Clopheline) 10. Methyldopa (Dopanol)
Mechanism of action	1. Stimulates the ß1, ß2-adrenoceptors, at high doses α1 too (1) 2. Stimulates α1-adrenergic receptors, as well as ß1 (2) 3. Stimulates the release of noradrenaline and inhibits its re-uptake by presynaptic membrane (3)	1. Stimulate α1-adrenoceptors	1. Stimulate α1 and α2-adrenergic receptors (predominantly α1)	1. Stimulate presynaptic α2-adrenoreceptors of the CNS (predominantly in the solitary nucleus in the medulla oblongata) → ↓ sympathetic impulse to the vessels and heart → ↓ cardiac output and peripheral vascular resistance (9) 2. Stimulate presynaptic α2-adrenoreceptors neurons of the vasomotor center of the medulla oblongata → ↓ sympathetic impulse to vessels → ↓ peripheral vascular resistance (10)

Pharmacological effects	1. ↑ BP, cardiostimulating (positive ino-, chrono-, dromo- and batmotropic action) 2. Bronchodilating (1,3) 3. ↑ glycogenolysis and lipolysis (1,3)	1. Narrowing of arterioles → ↑ BP 2. Narrowing of the vessels of the nasal mucosa and conjunctiva (4)	1. Narrowing of the vessels of the nasal mucosa and conjunctiva → anti-inflammatory action	1. Hypotensive 2. Sedative (9) 3. ↓ IOP (9)
Indications for use	1. Anaphylactic shock, treatment of an attack of asthma (1) 2. Hypoglycemic coma (1.3) 3. Prolongation of the action of local anesthetics (1,2) 4. Hypotension 5. Drug poisoning (3)	1. Arterial hypotension 2. Rhinitis (4) 3. Conjunctivitis (4)	1. Acute rhinitis, sinusitis, sinusitis 2. Nasal bleeding 3. Conjunctivitis	1. Hypertensive crisis, AH (9) 2. Alcohol and opiate withdrawal (9) 3. AH in pregnant women (10) 4. Glaucoma (9)
Side effects	1. Arrhythmias, ↑ BP 2. Ischemia of the myocardium (1) 3. Tremor 4. Hyperglycemia (1.3) 5. Tachyphylaxis (3)	1. Headache 2. Bradycardia, arrhythmia 3. Tremor	1. In long-time administration – desentisation of α-adrenergic recentors 2. Mucosa irritation and damage 3. ↑ BP, tachycardia	1. The withdrawal syndrome (9) 2. Dry mouth (9, 10) 3. Constipation (9) 4. Peripheral edema (10) 5. Depression, anxiety condition (10)
Contraindications	1. Arterial hypertension (AH) 2. Diabetes mellitus (1.3) 3. Anesthesia with fluorotane (1,2) 4. Complete AV blockade (2)	1. AH, bradycardia 2. Pheochromocytoma (5) 3. Prostatic hypertrophy	1. AH 2. Tachycardia 3. Severe atherosclerosis	1. Arterial hypotension 2. AV blockade II-III degree (9) 3. Hepatitis, cirrhosis (10) 4. Depression (10)

α-antagonists — medicinal substances directly blocking α-adrenergic receptors**.**

Classification	**α_1, α_2-antagonists**	**α_1- antagonists**	**α_2- antagonists**
Drugs	1. Fentolamine (Regitin) 2. Dihydroergotamine (Redergin) 3. Pyrroxane 4. Nicergoline (Sermion)	5. Prazosin (Minipress) 6. Terazosin (Kornam) 7. Doxazosin (Cardura) 8. Tamsulosin (Omnic)	9. Yohimbine
Mechanism of action	1. Block the α1 and α2-adrenergic receptors and inhibit the transmission of excitation in the adrenergic synapses → ↓ peripheral resistance of blood vessels and blood pressure, ↑ norepinephrine release into the synaptic cleft 2. They ↓ pressor effect of adrenaline, because after the blockade of α-adrenergic receptors the vasodilating action of adrenaline is manifested due to activation of ß2-adrenergic receptors	1. Block postsynaptic α1-adrenoreceptors → arterio- and veindilating effect → ↓ venous return of blood to the heart → ↓ peripheral resistance → ↓ pre- and postload on the myocardium 2. Blockade of α1A-adrenergic receptors → ↓ tonus of smooth muscles of the prostatic part of the urethra and the neck of the bladder	1. Block the α2-adrenergic receptors

Pharmacological effects	1. Dilation of peripheral vessels (1, 3, 4) and cerebral vessels (4) 2. Hypotensive 3. Narrowing of intracerebral vessels (2)	1. Hypotensive (5-7) 2. ↓ tonus of smooth musculature of the prostatic part of the urethra (5, 7, 8)	1. Improves blood circulation of the pelvic organs, increases the potency
Indications for use	1. Pheochromocytoma (1) 2. Violations of peripheral circulation: Raynaud's disease, endarteritis (1, 2, 4) 3. Disturbance of cerebral circulation (4) 4. Trophic ulcers of limbs, pressure sores (1) 5. Hypertensive crisis (1,2,3) 6. Migraine (2.4)	1. AH (5-7) 2. Prostatic hypertrophy (5, 7, 8) 3. Violations of peripheral blood circulation: Raynaud's syndrome (5)	1. Psychogenic impotence
Side effects	1. Arterial hypotension 2. Tachycardia 3. Dyspepsia	1. Dizziness, insomnia 2. Dyspepsia	1. Tremor 2. Tachycardia, arterial hypotension
Contraindications	1. Organic changes in the heart and vessels 2. Arterial hypotension	1. Pregnancy and lactation (5)	1. Arterial hypotension

ß-agonists — medicinal substances directly stimulating ß-adrenergic receptors**.**

Classification	**ß$_1$, ß$_2$-agonists**	**ß$_1$-agonists**	**ß$_2$-agonists**
Drugs	1. Isoprenaline (Izadrin) 2. Orciprenaline sulfate (Astomopent, Alupent)	3. Dobutamine (Dobutrex)	*Intermediate-acting (up to 3-4 h.):* 4. Salbutamol (Ventolin, Salgim) 5. Fenoterol (Berotek) *Long-acting (~ 12 h):* 6. Salmeterol (Serevent) 7. Clenbuterol (Spiropent) 8. Formoterol (Foradyl)
Mechanism of action	Stimulate the ß1- and ß2-adrenergic receptors 1. Stimulation of ß1-adrenergic receptors → ↑ Heart rate and contraction, excitability, conduction (cardio-stimulating effect) 2. Stimulation of ß2-adrenergic receptors → bronchodilating and tocolytic action, dilation of vessels of the brain, heart, skeletal muscles and liver	Stimulation of ß1-adrenergic receptors → positive inotropic action, weak chronotropic action	Stimulation of ß2-adrenoreceptors → bronchodilating action, ↓ tonus of the pregnant uterus (tocolytic action), dilation of vessels of the brain, heart, skeletal muscles and liver
Pharmacological effects	1. Cardiostimulating 2. Bronchodilating 3. ↓ PVR → ↓ BP 4. Tocolytic (2)	1. Cardiostimulating (positive ino- and weak chronotropic action)	1. Bronchodilating 2. Improvement of mucociliary clearance 3. Tocolytic

Indications for use	1. Treatment and preventing of attacks of bronchial asthma (inhalation) 2. Violation of AV conductivity (sublingually) 3. The threat of premature birth (2)	1. Cardiogenic shock 2. Heart surgery 3. Chronic heart failure in the acute stage	1. Causing an attack of asthma (4,5) 2. Prevention of asthma attacks (6–8) 3. Asthmatic status (4,5) 4. The threat of premature birth
Side effects	1. Tachycardia 2. Nausea, dry mouth 3. Arm tremors	1. Tachycardia, arrhythmias, pain in the heart, headache 2. Nausea, vomiting	1. Tachycardia 2. Tremor, headache
Contraindications	1. Acute myocardial infarction 2. Angina pectoris 3. Thyrotoxicosis	1. Idiopathic hypertrophic subaortic stenosis	1. Structural heart defects, IHD 2. Thyrotoxicosis 3. Arrhythmias

ß-antagonists (ß-blockers) — drugs directly blocking ß-adrenergic receptors**.**

Classification	**ß1, ß2- blockers (non-selective)**	**ß1- blockers (cardioselective)**	**Mixed-action ß-blockers**	**ß-blockers with ISA**
Drugs	1. Propranolol 2. Pindolol 3. Sotalol 4. Timolol 5. Nadolol	6. Atenolol 7. Metoprolol 8. Bisoprolol 9. Talinolol 10. Betaxolol 11. Nebivolol	12. Labetalol 13. Carvedilol	14. Pindolol 15. Acebutalol 16. Celiprolol
Mechanism of action	1. Block ß1 and ß2-adrenergic receptors	1. Block ß1-adrenergic receptors 2. Affects the release of NO in the vessels → vasodilation (11)	1. Block α1 and ß1 adrenergic receptors	1. Slightly stimulate ß1 or ß2-adrenoreceptors. **NB!** With an excess of catecholamines, such a weak stimulation is equal to the blockade of these receptors.
Pharmacological effects	1. Hypotensive (Block of ß1-adrenoreceptors of renal juxtaglomerular apparatus → ↓ renin secretion → ↓ tonus of peripheral vessels; Block of ß1-adrenergic receptors of the heart → ↓ systolic blood pressure; Depression of the central links of the sympathetic nervous system → ↓ of the tone of the peripheral vessels) 2. Antianginal (Blockage of ß1-adrenergic receptors of the heart and suppression of the central links of the sympathetic nervous system → ↓ heart rate → ↓ stroke and minute output → ↓ myocardial oxygen demand) 3. Antiarrhythmic (Block ß1-adrenoreceptors of the conduction system of the heart → ↓ automatism, conduction and excitability of the myocardium) 4. ↓ IOP (1, 4, 10)			
Indications for use	1. AH, 2. IHD, 3. Tachyarrhythmias, 4. Thyrotoxicosis, 5. Glaucoma (1, 4, 10), 6. Acute myocardial infarction (6–9), 7. CHF (7, 8,13)			

Side effects	1. Bronchospasm 2. Bradycardia, AV blockade 3. The withdrawal syndrome 4. Hypotension
Contraindications	1. Bronchial asthma 2. Bradycardia, AV-blockade, SA-blockade II-III degree, sick sinus syndrome 3. Arterial hypotension 4. Severe heart failure 5. Pregnancy (relative contraindication)

5. DRUGS AFFECTING THE CENTRAL NERVOUS SYSTEM. GENERAL ANESTHETICS. ETHANOL. HYPNOTICS

General anesthesia (narcosis) — a state of temporary induced loss of sensation or awareness.

Components of general anesthesia:

- sleep
- unconsciousness and amnesia
- analgesia
- paralysis (muscle relaxation)
- reflex loss

Guedel's classification of anesthesia stages

Stage	Characteristics
I – stage of analgesia or disorientation (3-5 min)	From beginning of induction of general anesthesia to loss of consciousness. ↓ pain sensitivity, disruption of orientation
II – stage of excitement or delirium (up to 20 min)	From loss of consciousness to onset of automatic breathing. Eyelash reflex disappear but other reflexes remain intact and coughing, vomiting and struggling may occur; respiration can be irregular with breath-holding.

III — stage of surgical anesthesia	From onset of automatic respiration to respiratory paralysis.
Plane I —	From onset of automatic respiration to cessation of eyeball movements. Eyelid reflex is lost, swallowing reflex disappears, marked eyeball movement may occur but conjunctival reflex is lost at the bottom of the plane
Plane II —	From cessation of eyeball movements to beginning of paralysis of intercostal muscles. Laryngeal reflex is lost although inflammation of the upper respiratory tract increases reflex irritability, corneal reflex disappears, secretion of tears increases (a useful sign of light anesthesia), respiration is automatic and regular, movement and deep breathing as a response to skin stimulation disappears.
Plane III —	From beginning to completion of intercostal muscle paralysis. Diaphragmatic respiration persists but there is progressive intercostal paralysis, pupils dilated and light reflex is abolished. The laryngeal reflex lost in plane II can still be initiated by painful stimuli arising from the dilatation of anus or cervix. This was the desired plane for surgery when muscle relaxants were not used.
Plane IV —	From complete intercostal paralysis to diaphragmatic paralysis (apnea).
IV – (up to 30 min)	From stoppage of respiration till death

GENERAL ANESTHETICS

Classification	**Drugs for inhalational anesthesia**		**Drugs for non-inhalational anesthesia**		
	Liquid volatile anesthetics	**Gases**	**Short-acting (up to 15 min)**	**Intermediate-acting (20-30 min)**	**Long-acting (>60 min)**
Drugs	Fluorotane (halothane) Enflurane Sevoflurane (*Closest to the ideal anesthetic)* Isoflurane	5. Nitrous oxide	6. Propanidide 7. Propofol 8. Ketamine	Hexenal Sodium tyopental	11. Sodium oxybutirate
Mechanism of action	Synaptic transmission of excitation in neurons of the central nervous system is inhibited due to inhibition of the release of mediators or changes in the frequency and (or) amplitude of nerve impulses. General anesthetics stimulate inhibition through the inhibitory ion channels: 1) chloride GABA-receptor, 2) chloride channels of the glycine receptor. General anesthetics inhibit excitation processes by blockage the excitatory CNS receptors (NMDA receptors, cholinergic (muscarinic and nicotinic) and serotonin receptors).				
Pharmacological effects	1. Anesthesia 2. Analgesia 3. Miorelaxation 4. Potentiation of the effect of antidepolarizing muscle relaxants 5. Bronchodilation (1.4)	1. Analgesia	1. Anesthesia (6, 7) 2. Analgesia 3. Miorelaxation (6,7) 4. Bronchodilation (8)	1. Anesthesia	1. Anesthesia 2. Sedative, hypnotic 3. Antihypoxic 4. Analgesia

Indications for use	1. Surgery	1. Surgery 2. Myocardial infarction	1. Induction of anaesthesia 2. Short-term operations in outpatient practice 3. Combined anesthesia	1. Induction of anaesthesia 2. Basis narcosis (short-term operations)	1. Induction of anaesthesia 2. Basis narcosis 3. Anesthesia for childbirth 4. Hypoxic cerebral edema
Side effects	1. Inhibit myocardial activity and ↓ BP; 2. ↓heart rate (HR) (1), ↑ HR (4) *! Sevoflurane does not affect cardiovascular system* 3. Sensitizes the myocardium to catecholamines (1,2,4) 4. ↓ tidal volume, ↑, ↓ sensitivity of the respiratory center to CO2. 5. ↓ renal and hepatic blood flow 6. ↑ICP	1.Sensitizes the myocardium to catecholamines 2. ↓ tidal volume, ↑ respiratory rate (RR) 3. ↑ respiratory rate 4. ↓ renal and hepatic blood flow	1. ↓ RR 2. ↓HR (6, 7), ↑HR and BP (8) 3. Bronchospasm (6) 4. Thrombosis and phlebitis (6) 5. Dissociative anesthesia (8). 6. Stimulates the consumption of oxygen by the brain (8)	1. Respiratory center inhibition 2. ↑ HR and ↓BP 3. Bronchospasm 4. ↓ intracranial pressure	1. Convulsions when rapid intravenous administration 2. In case of an overdose – respiratory depression 3. When prolonged use – hypokaemia
Contraindications	1. Liver dysfunction after previous anesthesia 2. Intracranial tumors 3. Hypovolemia and severe heart disease; pheochromocytoma; catecholamines administration	1. Air embolism, pneumothorax 2. Acute ileus	1. Disturbances of cerebral circulation 2. Severe hypertension 3. Eclampsia 4. Bronchial asthma (6)	1. Respiratory failure 2. Laryngitis, tracheo-bronchitis 3. Bronchial asthma	*Low toxicity; blood circulation and respiration are not affected!*

ETHANOL

Ethanol is a substance of the narcotic type of action (resorptive effect) with antiseptic action in topical application**.**

Use	
Concentration	**Use**
90-95%	disinfection of surgical instruments and suture material
70%	handrub (higher concentration isn't used for this purpose since with increasing concentration, the dying properties of alcohol are enhanced)
40%	compresses (primarily as an irritant)
Vapors of ethanol	antifoaming agent for pulmonary edema
Effects on organs and systems	
Organs and systems	Effect of ethyl alcohol
GIT	*In small concentrations* ethanol increases the secretion of gastric juice *In concentrations greater than 20 %* ethanol inhibits the secretion of gastric juice, increases the secretion of mucus, reduces the activity of pepsin *In high concentrations* ethanol causes spasm of the pylorus and reduces gastric motility
CNS	Inhibitory effect (the stage of excitation during alcohol intoxication is associated with inhibition of inhibitory processes). After the stage of excitation with an increase in the concentration of ethanol in the blood, analgesia, drowsiness, impaired consciousness happen, spinal reflexes become oppressed.
CVS	↑ BP and tachycardia (in large doses causes collapse, possibly a disruption of contractility of the myocardium)
Thermoregulation	Increased heat dissipation due to peripheral vessels dilation because of the suppression of the vasomotor center
Urinary system	Diuretic action (inhibits the release of antidiuretic hormone)
Metabolism	Promotes accumulation of lipids in hepatocytes, causes hypoglycaemia, hyperlipidemia, reduces the amount of glycogen in the liver
Psychoemotional sphere	Long-term use of alcoholic beverages leads to the dependence and addiction.
NB!	Lethal dose — 300–400 ml of 96 % alcohol taken within 1 hour or 250 ml in 30 minutes.

Severe alcohol intoxication

Symptoms:

- loss of consciousness
- acute respiratory failure (obturational aspiration type)
- BP decreases, thready pulse
- cyanotic face
- vomiting, may be involuntary urination and defecation
- hypotension of muscles
- hypothermia
- areflexion
- violation of the contractility of the heart
- possible respiratory depression.

Therapy:

- gastric lavage (when severe coma, after intubation of the trachea)
- forced diuresis
- administration of sodium bicarbonate (when acidosis)
- intravenous administration of solutions of glucose, B and C groups vitamins
- warming the patient
- in severe cases, extracorporeal detoxification (hemodialysis)

Chronic alcoholism — A disease characterized by pathological attraction to alcohol, mental and physical dependence on it. Alcoholism severely affects higher nervous activity (intellect, attention, memory, the core of the personality is destroyed). Possible development of alcoholic psychoses, peripheral polyneuritis.

Method of sensitizing therapy is based on increasing the sensitivity of the body to alcohol. For this purpose, an antabuse (teturam, disulfiram, esperal) and a substance with a teturam-like effect (for example, metronidazole) can be used. *Teturam delays the metabolism of ethanol during the formation of acetaldehyde (inhibits the enzyme aldehyde dehydrogenase).*

This leads to the development of somatic disorders:

– Hyperemia of the face and upper body
–Increased respiration, pulse
– Nausea and vomiting
– Lower blood pressure
–Cardiac pain
– Headache
– Profuse sweating

Then psychotherapeutic methods help the patient to disgust alcohol and doctors explain a mortal danger in the use of alcohol.

Hypnotics – drugs that can cause sleep and normalize its disturbances

Classification	**Benzodiazepine derivatives**	**Derivatives of barbituric acid**	**Cyclopyrrolone derivatives and other chemical groups**
Drugs	1. Nitrazepam (Eunotin, Radedorm) 2. Midazolam (Dormikum) 3. Triazolam (Chalcion)	4. Phenobarbital (Luminal) 5. Cyclobarbital (Fanodorm)	6. Zopiclone (Imovan) 7. Zolpidem (Iwadal) 8. Methaqualone (Dormutil) 9. Doxylamine (Donormyl) 10. Bromizoval (Bromural)
Mechanism of action	Stimulate specific receptors structurally-functionally associated with GABA-receptors. At the same time there is an increase in the affinity of GABA receptors for GABA and the opening of the postsynaptic membrane of GABA-ergic synapses for chloride ions. The ions of chlorine penetrate into the cells and increase the concentration of negative charges on its inner surface. This leads to hyperpolarization of the neuronal membrane, as a result of which the cells are not excited, inhibition occurs.		
Pharmacological effects	1. Sedative 2. Hypnotic 3. Potentiating 4. Anticonvulsant 5. Anxiolytic (1–3) 6. Miorelaxing (1,2) 7. Amnestic (2)		1. Sedative 2. Hypnotic 3. Potentiating (6.8) 4. Anticonvulsant (7.8) 5. Anxiolytic (7.8) 6. Miorelaxing (6.7) 7. Amnestic (7) 8. Antihistamine (9) 9. M-cholinolytic (9).
Indications for use	Sleep disorders (Difficulty falling asleep, early or nocturnal awakening), including secondary sleep disturbances in mental disorders Seizure syndrome (4) 3. Premedication before surgery or diagnostic procedures, introduction to general anesthesia and anesthesia maintenance, prolonged sedation in intensive care, introductory and basic general anesthesia in children (2) 3**.** Premedication (1, 2)		
Side effects	1. Influence on the phase structure of sleep (practically absent) 2. Ataxia (impaired coordination of movements) 3. Lethargy, muscle weakness and dizziness (sometimes) 4. Development of mental and physical dependency and withdrawal syndrome (when prolonged use)	1. Shorten the duration of the rapid eye movement sleep 2. Drowsiness, fatigue 3. Decreased efficiency, concentration of attention, lack of coord 4. When prolonged use – psychic and physical dependence, withdrawal syndrome	1. Allergic reactions 2. Mental, behavioral disorders 3. Impaired coordination 4. Weak withdrawal syndrome, with long-term use, it is possible to develop mental and physical depndence
Contraindications	1. age under 15 2. pregnancy, lactation 3. severe respiratory failure 4. hypersensitivity to drugs 5. persons whose work is associated with a high concentration of attention (drivers)		
NB!	The difference between benzodiazepines and barbiturates: mild awakening; there is practically no effect on the phase structure of sleep; the risk of a physical dependence is low; the risk of overdose is much lower. Antidote for poisoning: flumazenil	*Barbiturate overdose* The main actions: the maintenance of breathing (artificial respiration), hemodialysis, forced diuresis, gastric lavage. *Death comes from respiratory arrest.* Gastric lavage is useless if more than 1 hour has elapsed after poisoning – arbiturates are well absorbed in the acidic medium of the stomach.	These drugs are used in patients for whom some memory impairment caused by benzodiazepines (for example, in students) is unacceptable. **The newest group – <u>orexin receptor antagonists</u> (suvorexant, trade name Belsomra). It may lead to limited physical dependence or psychological dependence. The potential for psychological dependence is similar to that of zolpidem**

6. ANALGESICS

Opioid (narcotic) analgesics are drugs that stimulate opioid receptors and block or impair pain impulses transmission at different levels of the central nervous system, including the cerebral cortex, and change emotional perception of pain and reaction to it**.**

Classification	**Opioid receptor agonists**		**Agonists-antagonists of opioid receptors and partial agonists ***	**With mixed mechanism of action**	**Pure opioid receptor antagonists**
	Strong agonists	**Weak agonists**			
Drugs	1. Morphine 2 Trimeperidine (promedol) 3 Methadone 4 Fentanyl 5 Sufentanil	6. Codeine 7. Oxycodone 8. Hydrocodone	9. Pentazocine 10. Butorphanol 11. Buprenorphine * 12. Loperamide (imodium) *	13. Tramadol	14. Naloxone 15. Nalmefene 16. Naltrexone
Mechanism of action	Stimulate opioid receptors of the central nervous system (μ, δ, κ), it leads to inhibition of algogen release (pain mediators) along the entire pain pathway. Inhibit interneurons of the spinal cord, reticular formation, thalamic pain centers, limbic system.		1. Excit κ-receptors, block μ-receptors (9,10). 2. Has a great affinity for μ-receptors, but excites them poorly (11). 3. Excites peripheral μ-receptors (12).	1. Excites opioid receptors (mostly μ-receptors). 2. Inhibits the reuptake of serotonin.	Opioid receptors are blocked
Pharmacological effects	Central effects: 1. Effects of CNS depression (analgesia, dysphoria, euphoria, oppression of respiratory and cough centers, sleep) (1–11,13); 2. Effects of CNS excitation (vomiting, miosis, convulsions, rigidity of the muscles of the trunk) (1–11,13).		Peripheral effects: 1. Constipation, spasm of musculature of biliary tract and ureters, histamine release from mast cells, decreased excretory function of the kidneys, decreased uterine tone (1, 3–11, 13); 2. Antidiarrheal (slows the intestinal motility) (12).		Prevent, weaken or eliminate the effects of opioid agonists
Indications for use	1. Anesthesia: a) severe acute pain (myocardial infarction, pulmonary edema, trauma, burns); b) severe non-inflammatory chronic pain (cancer); c) pain during surgical operations (premedication and immediately during surgery)		2. Hepatic, intestinal, renal colic (2), in the latter case – in combination with antispasmodics) 3. Pain during childbirth (2) 4. Dry cough (1, 6) 5. Non-infectious diarrhea (12)		1. Opioid poisoning 2. Discintinuation of opioids in the postoperative period 3. Alcoholic coma
Side effects	1. Drug dependence (1–11, 13–16) 2. Tolerance 3. Inhibition of respiration (1–11, 13–16)		4. Convulsions (1,2,13) 5. Nausea, vomiting, constipation 6. Psychotomimetic reactions (hallucinations, nightmares and anxiety) (9-10)		1. Nausea, vomiting 2. AH, tachycardia, cardiac arrest
Contraindications	1. Hypersensitivity 2. Inhibition of the respiratory center, severe depression of the central nervous system		3. High ICP, brain trauma 4. Abdominal pain of unclear etiology		1. Hypersensitivity

NB!	Neuroleptanalgesia – a combination of a narcotic analgesic (eg, fentanyl) and a neuroleptic (eg, droperidol). Ataralgesia – combination of narcotic analgesics and tranquilizers. Fentanyl is stronger than morphine, but acts for a short time (up to 30 minutes). Trimiperidine (promedol) is weaker than morphine and less depresses the respiratory center (of choice in obstetrics, pediatrics and geriatrics), and also has a moderate spasmolytic effect on smooth muscles (can be used to treat renal, hepatic and intestinal colic). Methadone causes a softer abstinence syndrome due to prolonged action, therefore it is used to treat opioid addiction.

Morphine and its analogues intoxication

Main reasons	Acute intoxication: 1. Accidental or intentional overdose with addiction. 2. Overdose during premedication or in the postoperative period in patients with chronic respiratory or hepatic insufficiency, as well as with rapid bolus administration of narcotic analgesics for the treatment of pulmonary edema, myocardial infarction, etc. 3. Hypersensitivity to narcotic analgesics. 4. Children are more likely as a result of accidents or overdose of antitussive drugs. The lethal dose of morphine: 0.5–1 g for oral intake, 0.2 g for IV administration. The fatal blood concentration is 0.14 mg 1. Chronic intoxication: long-term administration of morphine and its analogues (opioid dependence).
Clinic	Acute intoxication: redness of the face, neck, chest, puffiness of the face, skin itch, fainting ("mediator" syndrome). Instead of euphoria, dysphoria begins with the development of hallucinations. Then the depression of consciousness develops up to the coma, the breath is rare (up to 10 per minute), superficial with apnea. There is a "cholinergic" syndrome - bradycardia, urinary retention. The main diagnostic symptoms of opiate poisoning are pinpoint pupils and the loss of their reaction to light (with the exception of trimeperedine). However, with severe hypoxia of the brain, the pupils dilate (!). With prolonged hypoxia, pulmonary and cerebral edema and hyperkinesis or tonic-clonic seizures develop. Death most often occurs because of blockade of the respiratory center. In chronic intoxication, the drug discontinuation leads to withdrawal syndrome (a sign of physical drug addiction). Initially, there are signs of mental addiction: nervousness, sweating, need for taking a drug. Then there are signs of severe physical addiction, mostly associated with a violation of the autonomic nervous system ("vegetative storm"): mydriasis, tachycardia, goosebump, intestinal colic, muscle pain, vomiting, diarrhea, dyspnea, fever, yawning, tremor, lacrimation, as well as anorexia and depression. The duration of the withdrawal syndrome depends on the specific drug (for example, for morphine — about 5 days, the peak falls on 1–2 days). Death can come from pain shock, myocardial infarction.

Therapy	Acute intoxication: intravenous administration of opioid analgesics antagonists — naloxone, nalmefene. The effect of naloxone is short (1–2 hours), therefore, when long-acting opioids intoxication (methadone, etc.), it is necessary to re-administer naloxone (!) or administer an antagonist with a longer duration of action — nalmefene (8–10 hours). Restoration of airway passages (artificial lung ventilation and other methods), oxygen therapy, pathogenetic, detoxification and symptomatic therapy are also needed. Opioid addiction treatment: methadone is used. It is a long-acting strong opioid agonist opioid with properties close to morphine. Peak of withdrawal syndrome is week 1 (flows more smoothly, unlike morphine), duration is 3 weeks. Instead of methadone, buprenorphine is often used. Both substances are administered orally with a gradual decrease in the daily dose until withdraw. For the treatment of drug addiction, a long-acting (48 hours) opioid antagonist, naltrexone, is also used to eliminate the use of opioid drugs. Clonidine is also used in addiction treatment to eliminate hyperactivity of nervous system during opioid abstinence.

Non-narcotic analgesics

See the topic "Anti-inflammatory and antigout agents"

Migraine (neurological disorder, reccurent attacks of powerfull headache, often with nausea, vomiting, and sensitivity to light)

Acute attack treatment	
Group	**Drug**
1. Ergot alkaloids and its derivatives NB! Vasoconstriction→↓ pulsation of meningeal vessels	Ergotamine, dihydroergotamine
2. Triptans NB! The agonists of serotonin (5-HT1) receptors → narrow the cerebral vessels	Sumatriptan, Zolmitriptan, Riza-tryptan
3.The methylxanthine derivative NB! Cerebral vasoconstriction	Caffeine
4.NSAIDs NB! Analgesic action	Paracetamol, Acetylsalicylic acid, Naproxen, Indomethacin
5. Adjuvant agents: Antiemetic	Metoclopramide
Attacks preventionв(cerebral vessels spasm prevention)	
1. ß-blockers	Propranolol, Metoprolol, Timolol
2. Antiepileptic drugs	Carbamazepine, Valproic Acid
3. Calcium channel blockers	Cinnarizine, Nimodipine
4. Tricyclic antidepressants	Amitriptyline
5. 5-HT2 receptor antagonists	Metisergid
6. Caffeine, NSAIDs, magnesium sulphate	

7. ANTICONVULSANTS. ANTIPARKINSON DRUGS. ANTIPSYCHOTICS

Anticonvulsants are medicines used to prevent and treat seizures**.**

Classification	GABAergic system activators			Na^+- channel blockers		Ca^{2+}-channel blockers
Drugs	Barbiturates 1. Phenobarbital (Luminal) 2. Benzobarbital 3. Primidon	Benzodiazepines 4. Diazepam (Sibazol, Relanium) 5.Clonazepam 6. Midazolam 7. Lorazepam 8.Phenazepam	GABA analogues 9. Gabapentin	Valproates 10. Valproic acid 11. Sodium valproate 12. Combined preparations: "Depakin-chrono", "Depakin chrono-sphere" (valproic acid + sodium valproate)	Others: 13. Carbamazepine 14. Phenytoin 15. Topiramate 16. Lamotrigine	17. Ethosuximide 18. Pregabalin
Mechanism of action	The synaptic transmission in the cerebral neurons is inhibited by ion channels blockage or activation of the inhibitory mediators. enhance the processes of inhibition through the GABA system: ↑ sensitivity of receptors to GABA (1–7), ↑ GABA amount (8–11) inhibit excitation processes due to blockade of ion channels of neurons: block Na-K-ATPase (12–15), ↓ Ca^{2+} flow into the cell (16–17)					
Pharmacological effects	1. Anticonvulsant 2. Anxiolytic (4–-8) 3. Sedative (4–8) 4. Miorelaxing (4–8)			Anticonvulsant The normotimic (14–16) Antiarrhythmic (14) Analgesic (13) Antipsychotic (13) Timoleptic (13)		1. Anticonvulsant (17–18) 2. Analgesic (18) 3. Miorelaxing (17)
Indications for use	1. Epilepsy 2. Eclampsia (4–8) 3. Chorea (1) 4. Sleep disorders (4–8) 5. Convulsive syndrome in organic brain damage (9–12)	6. Neuroses (6, 7) 7. Postherpetic neuralgia (9) 8. Hemolytic disease of newborns (2) 9. Hyperbilirubinemia (1, 2)		1. Epilepsy 2. Bipolar disorder (13–14, 16) 3. Neuropathic pain syndrome (13–14) 4. Alcohol abstinence (13) 5. Ventricular tachyarrhythmias (14) 6. Migraines (15)		1. Epilepsy 2. Neuropathic pain syndrome (18) 3. Anxiety disorders (18) 4. Fibromyalgia (18)
Side effects	1. Drowsiness 2. Ataxia (1, 4–8) 3. Dizziness 4. Nausea 5. Nystagmus (4, 5) 6. Depression 7. Hallucinations (1, 4–8)	8. Drug dependence (1–8) 9. Dry skin (9)–11) 10. Bradycardia (1, 4, 5, 6) 11. ↓ BP (1, 4–8) 12. Inhibition of the respiratory center (1–8) 13. Retrograde amnesia (4–8) 14. Peripheral edema (9)		1. Hepatotoxicity 2. Excitation (13, 15) 3. Visual impairment (13, 14, 15) 4. Skin rash (15, 16) 5. Sleep disorders (13, 15) 6. Ataxia (13, 14) 7. Slow-motion thinking (15) 8. Violation of speech (13)	9. Depression (13) 10. Osteomalacia (13) 11. Hallucinations (13) 12. Infringement of a hemopoiesis (13) 13. Muscle stiffness (15) 14. Dry skin (10–12) 15. Hair loss (10–12)	1. Parkinsonism (17) 2. Dyspeptic disorders 3. Dizziness and drowsiness (17, 18) 4. Dyskinesia 5. Depression 6. Hallucinations 7. ↑ appetite (18) 8. Ataxia (18)

<table>
<tr><td>Contraindications</td><td>1. Hypersensitivity
2. Hepatic / renal Failure
3. Blood clotting disorders (9)
4. Closed-angle glaucoma (3–7)
5. Anemia (2)
6. Leukopenia (2–7)
7 Myasthenia gravis (3–7)
8. Cerebral and spinal ataxia (4–9)</td><td>1. AV blockade (13–14)
2. Adams–Stokes_syndrome (14)
3. Hepatic / renal heart failure (14)</td><td>1. Hypersensitivity
2. Hepatic / renal failure</td></tr>
<tr><td>NB!</td><td colspan="3">Separately, a new drug levetiracetam (keppra), which has a mechanism of action different from other groups: it blocks the SV2 protein that improves synaptic transmission in the cerebral cortex.
The choice of the drug depending on the type of seizures:
<table>
<tr><th></th><th>Primary generalized</th><th>Myoclonic</th><th>Absense seizures</th><th>Partial</th><th>Secondarily generalized</th><th>Undifferentiated</th></tr>
<tr><td>First line</td><td>Valproate
Lamotrigine
Topiramate</td><td>Valproate
Levetiracetam</td><td>Valproate
Ethosuximide</td><td>Valproate
Topiramate
Levetiracetam
Carbamazepine</td><td>Valproate
Topiramate</td><td></td></tr>
<tr><td>Second line</td><td>Carbamazepine
Phenobarbital
Pregabalin
Levetiracetam</td><td>Lamotrigine
Topiramate
Levetiracetam</td><td>Lamotrigine
Topiramate
Levetiracetam
Ethosuximide</td><td>Phenytoin
Pregabalin
Gabapentin
Levetiracetam</td><td>Phenytoin
Pregabalin
Gabapentin
Levetiracetam
Phenobarbital</td><td>Topiramate
Lamotrigine
Levetiracetam</td></tr>
</table>
Epileptic status is an emergency characterized by a series of recurrent episodes of epilepsy, in the interval between which the patient is unconscious. Diazepam to be given intravenously, and in the absence of effect – non-inhalational anesthetics are given (propofol, thiopental).
Febrile convulsions are not epilepsy. They are symptomatic seizures in children under 4 years of age because of hyperthermia. As a treatment, NSAIDs as antipyretic agents, phenobarbital and diazepam are used.
Gabapentinoid anticonvulsants (pregabalin, gabapentin) are used to treat neuropatic pain –pain caused by damage of nerves. Commonly used analgesics like NSAIDs often can’t manage this condition</td></tr>
</table>

ANTIPARKINSON AGENTS

Antiparkinson agents are drugs used to treat Parkinson's disease and Parkinson's syndrome**.**

Classification	**↑ activity of the dopaminergic system**			**↓ glutamatergic effects**	**↓ activity of the cholinergic system**
Drugs	**Dopamine precursors** 1. Levodopa (in combination with carbidopa / benserazide)	**Dopamine receptor agonists** 2.Bromocriptine 3.Pramipexole (mirapex)	***COMT inhibitors*** 4. Entacapon 5. Tolkapon ***MAO inhibitors*** 6. Selegiline	7. Amantadine (PK-Merz, midantan)	8. Cyclodol
Mechanism of action	• transformation of the drug into dopamine in the central nervous system (1) • stimulation of dopamine receptors in the brain (2–3) • inhibition of enzymes that destroy dopamine (4–6) • stimulation of dopamine synthesis (7)			• transformation of the drug into dopamine in the central nervous system (1) • stimulation of dopamine receptors in the brain (2–3) • inhibition of enzymes that destroy dopamine (4–6) • stimulation of dopamine synthesis (7)	weakening of cholinergic effects due to blockage of central nicotinic-and peripheral muscarinic cholinergic receptors
Pharmacological effects	Antiparkinsonian			1. Antiparkinsonian 2. Antiviral	Antiparkinsonian
Indications for use	1.Parkinson's disease 2.Parkinson's Syndrome (1, 2, 4, 6, 7, 8) 3.Influenza A (prevention, including in combination with vaccination and treatment) (7) 4. Extrapyramidal disorders when taking antipsychotics (2, 7, 8) 5.Neuralgia with shingles caused by Varicella zoster (7)				
Side effects	Dyskinesia (1–3) Orthostatic hypotension (1–3) Mental and behavioral disorders (depression, hallucinations, euphoria) (1–3) Nausea and vomiting Arrhythmias (1-3) Acute toxic hepatitis (5)			1. Hallucinations 2. Irritability 3. Insomnia 4. Psychosis 5. Convulsions	1. Psychosis 2. Hallucinations 3. Excitation 4. Dry mouth 5. Constipation
Contraindications	1. Mental diseases 2. Arrhythmias (2)			1. Mental diseases 2. Epilepsy 3. Thyrotoxicosis 4. Glaucom	1. Mental diseases 2. Glaucoma 3. Adenoma of the prostate 4. Elderly age
NB!	Levodopa is converted to dopamine in the CNS due to DOPA-decarboxylase enzyme. Carbidopa and benserazide block peripheral DOPA-DC and prevent the formation of dopamine outside the CNS (reducing side effects). The selection of an individual dose of levodopa is difficult, severe for the patient and is accompanied by a pronounced emetic reaction, for the prevention of which motilium is administered (antiemetic). After a few years of levodopa intake, it loses its effectiveness.				

ANTIPSYCHOTICS (NEUROLEPTICS)

Antipsychotic drugs are psychotropic drugs used to treat psychoses and other mental disorders**.**

Classification	***Typical antipsychotics***			***Atypical antipsychotics***	
	Phenothiazines	***Butyrophenones***	***Thioxanthenes***		
Drugs	1. Chlorpromazine (aminazine) 2. Levomepromazine 3. Promazine 4. Periciazine 5. Fluphenazine 6. Thioproperazine	7. Droperidol 8. Haloperidol	9. Chlorprotixene 10. Flupentixol 11. Zuclopentixol	12. Sulpiride 13. Sertindole 14. Clozapine 15. Paliperidone	16. Quetiapine 17. Risperidone 18. Amisulpride 19. Olanzapine
Mechanism of action	Typical: block dopamine, adrenergic, muscarinic, H1-histamine and serotonin (5-HT2) receptors. Atypical: block dopamine and serotononine receptors in the brain				
Pharmacological effects	1. Antipsychotic 2. Sedative (1–11) 3. Stimulating (12–19)	4. Antiemetic 5. Miorelaxing		6. Antihistamine (1–11) 7. Hypotensive	
Indications for use	1. Acute and chronic psychoses 2. Hallucinatory conditions 3. Schizophrenia	4. Neuroleptanalgesia (7) 5. Indomitable vomiting (1–11) 6. Depression (12)		7. Migraine (12) 8. Hypertensive crisis due to psychomotor agitation (1)	
Side effects	1. Extrapyramidal disorders 2. Severe cognitive and affective disorders 3. Malignant neuroleptic syndrome 4. Hyperprolactinemia			1. Extrapyramidal disorders (much less often than because of typical) 2. Hyperprolactinemia	
Contraindications	1. Glaucoma 2. Parkinson's disease 3. CNS depression 4. Organic diseases and brain trauma 5. Hyperprolactinemia	6. Severe cardiovascular diseases 7. Exacerbation of erosive and ulcerative diseases of the digestive tract (1) 8. Pheochromacytoma (4) 9. Benign prostatic hyperplasia (6)		1. Hypertension (12) 2. CNS depression (14) 3. Myasthenia gravis (14) 4. Alcoholic and intoxication-cased psychoses (12, 14) 5. Hyperprolactinemia (12) 6. Severe cardiovascular diseases (13)	
NB!	Typical neuroleptics (especially aminazine and haloperidol) cause neurological extrapyramidal disorders (neuroleptic parkinsonism, motor anxiety and involuntary spastic contractions of muscles), remove the productive symptoms of schizophrenia (delirium, hallucinations), but have a depressing effect on mental processes. Atypical far less likely to cause extrapyramidal disorders and neuroendocrine disorders due to a lesser affinity for dopamine D2 receptors and in addition to productive remove negative symptoms of schizophrenia (blunted affect, emotional and social detachment, lack of speech), i.e. have a stimulating effect on mental processes.				

8. ANXIOLYTICS. SEDATIVES. ANTIDEPRESSANTS. NORMOTHYMIC, NOOTROPIC, PSYCHOSTIMULATING DRUGS. ANALEPTICS

Anxiolytics (minor tranquilizers) are drugs that inhibits anxiety

Classification	Benzodiazepine derivatives	Diphenylmethane derivatives	Other chemical groups ("daytime" tranquilizers)
Drugs	1. Diazepam (Seduxen, Sibazon, Relanium) 2. Chlordiazopoxide (Elenium, Chlosepide) 3. Alprosolam (Xanax) 4. Oxazepam (Nozepam, Tazepam) 5. Phenazepam	6. Hydroxysin (Atarax)	7. Trimethozine (Trioxazine) 8. Mebicar (Adaptol) 9. Benzoacidine (oxylidine)
Mechanism of action	1. Stimulation of the benzodiazepine site of the chloride channel of the $GABA_A$ receptor complex → conformational changes in the GABA-receptor → ↑ the number of individual chlorine channels and the chloride ions flow inside cells → hyperpolarization and inhibition of neuronal sensitivity, ↑ GABA-ergic inhibition in the central nervous system (1-5). 2. ↓ excitability of subcortical brain areas (thalamus, hypothalamus, limbic system, reticular formation) and their connection with the cortex. 3. It blocks central and peripheral muscarinic receptors (6)		
Pharmacological effects	1. Anxiolytic (decrease anxiety) 2. Sedative (elimination of irritability, ↓ attention and speed of thought) 3. Hypnotic (acceleration of the onset of sleep and increase in its duration) 4. Miorelaxing (↓tone of skeletal musculature) 5. Anticonvulsant 6. Potentiating (potentiation of drugs depressing the central nervous system)	1. Anxiolytic 2. Cholinolytic 3. Antihistamine	1. Anxiolytic 2. Activating (7) 3. Potentiating (8, 9) 4. Spasmolytic (9) 5. Hypotensive (9)
Indications for use	1. Neurosis and neurosis-like (reactive) conditions 2. Sleep disorders 3. Premedication (1, 2, 4, 5) 4. Hyperkinesis, tics, epilepsy (1, 5) 5. Alcohol withdrawal syndrome (1, 2 ,5–7)		
Side effects	1. Hypersedation – daytime sleepiness 2. Muscle relaxation 3. "Behavioral toxicity" — mild violations of cognitive functions and psychomotor skills 4. "Paradoxical" reactions – intensification of agitation and aggressiveness, sleep disorders	1. Hypersedation 2. Dry mouth	1. Indigestion 2. Hypersedation 3. Dry mouth
Contraindications	1. Diseases of the liver and kidneys	1. Diseases of the liver and kidneys 2. Hypertrophy of the prostate	1. Diseases of the liver and kidneys 2. Arterial hypotension (9)
NB!	Classification of benzodiazepines by duration of action: T1 / 2 24–48 hours: diazepam, phenazepam; T1 / 2 6-24 hours: oxazepam, nitrazepam; T1 / 2 <6 hours: triazolam, midazolam. The antagonist of benzodiazepines is flumazenil.		

Sedatives are medicines that can reduce increased irritability and have a pronounced general calming effect**.**

Classification	**Bromine preparations**	**Preparations of medicinal plants**	**Mixed preparations**
Drugs	1. Sodium bromide 2. Potassium bromide 3. Bromocaphora	4. Valerian 5. Motherwort 6. Peony	Phenobarbital-containing: 7. Corvalol (Valocordin) Mixed drugs of plant origin: 8. Novo-Passit 9. Persen
Mechanism of action	Strengthen and concentrate the processes of inhibition in the cerebral cortex, weaken the excitation processes in the central nervous system		
Pharmacological effects	1. Sedative 2. Spasmolytic (4–9) 3. Anticonvulsant (1, 2)		
Indications for use	1. Neuroses, neurosis-like conditions 2. Insomnia 3. Spasms of the digestive tract (4–-9) 4. Epilepsy, chorea (1, 2)		
Side effects	" Bromism": • General inhibition, apathy, weakening of memory. • Inflammation of mucous membranes: cough, runny nose, bronchitis, conjunctivitis, and diarrhea. • Skin rash (acne bromica) Treatment: brome discontinuation, increased fluid (3–5 liters per day) andsodium chloride (10.0–20.0 per day) intake.	1. Drowsiness 2. Dizziness 3. Reducing concentration	
Contraindications	1. Hypersensitivity		
NB!	Bromides are practically not used today.		

Antidepressants — medicines that eliminate the symptoms of depression (a psychiatric disorder characterized by a prolonged deterioration in mood, loss of interest in life, a decrease in appetite, a violation of sleep, thinking and concentration, a sense of guilt and constant thoughts of death and suicidal attempts).

Classification	Tricyclic and other heterocyclic drugs	Monoamine oxidase inhibitors (MAO)	Selective serotonin reuptake inhibitors (SSRIs)	Other antidepressants
Drugs	1. Myanserin (Lerivon) 2. Amitriptyline (Amizol) 3. Imipramine (Melipramine) 4. Maprotiline (Ludomil)	*Irreversible:* 5. Nialamide (Niamid, Nuredal) *Reversible:* 6. Pirlindole 7. Moclobemide	8. Fluoxetine (Prozac) 9. Sertraline (Zoloft) 10. Paroxetine (Paxil)	11. Ademethionine (Heptral) 12. Mirtazapine (Remeron)
Mechanism of action	↓ re-uptake of norepinephrine, dopamine, serotonin → ↑ their concentrations in the synaptic cleft	1. It blocks the MAO-A and MAO-B enzymes (5) 2. It blocks the MAO-A enzyme (6, 7)	Inhibit the re-uptake of serotonin in the synaptic cleft	1. The methyl group donor in biochemical reactions of the transfer of a given radical to the central nervous system. 2. Improves central serotonergic and noradrenergic activity by blocking presynaptic (inhibitory) α2-adrenergic receptors (12)
Pharmacological effects	1. Antidepressant (improving mood, appearance of interest in life, elimination of suicidal attempts) 2. Sedative (1,2,4,6,7,10,12) 3. Stimulating (3,5,8)		4. Cholinolytic (2–4,8,12) 5. Adrenoblocking (4)	
Indications for use	1. Depressive conditions 2. Alzheimer's disease (6) 3. Neuralgia of the trigeminal nerve (5)		4. Manic-depressive psychosis (6.7) 5. Enuresis (2,3)	
Side effects	1. Vegetative disorders (tachycardia, dry mouth, disruption of accommodation, constipation, urinary retention). 2. Allergic reactions (skin rash, itching of the skin, dermatitis, eosinophilia, agranulocytosis). 3. On the cardiovascular system (arterial hypotension, arrhythmia). 4. Hepatotoxocoty (drug hepatitis, intrahepatic jaundice). 5. Neurological disorders (type of extrapyramidal disorders - tremor of fingers, increased muscle tone, dysarthria).			
Contraindications	1. Dysfunction of the liver and kidneys 2. Diseases of the hematopoietic organs			
NB!	*Serotonin syndrome = SSRIs + MAO inhibitor.* • Clinic: tremor, myoclonic seizures, vomiting, diarrhea, cardiovascular disorders, further - hyperthermia, death. • Treatment: serotonin receptors antagonists - cyproheptadine, metisergide; ß-blocker - propranolol • Prevention: a sufficient interval between administration of MAO inhibitors and selective serotonin reuptake inhibitors, for example, 2 weeks after administration of MAO inhibitors and 5 weeks after administration of fluoxetine. *«Cheese Syndrome "= MAO inhibitor + food containing tyramine (cheese, legumes, bananas, smoked products, coffee, beer, chocolate)* Clinic: hypertensive crises			

Nootropics are medicines that improve mental performance (memory, training).

Classification	Derivatives of GABA	Derivatives of vitamin B6	Drugs that promote the synthesis of biologically active substances
Drugs	1. Piracetam (Nootropil, Lucetam) 2. Gamma-aminobutyric acid (Aminalon, Gamalon) 3. Sodium oxybutyrate 4. Phenibut (Noofen)	5. Pyrithinol (Encephabol)	6. Meclofenoxate (Acefen, Deanol)
Mechanism of action	1. Stimulation of metabolic processes and transmission of excitation in the central nervous system due to activation of GABAergic processes (1-4) 2. Improve energy processes and blood supply to the brain, ↑ its resistance to hypoxia.		
Pharmacological effects	1. Improve cerebral circulation (1, 2) 2. ↑ Brain resistance to hypoxia and aggressive influences (1–5) 3. Activates the regenerative processes in the brain after TBI, stroke, neurointoxication (1–3) 4. Eliminate memory impairments, activate intellectual and cognitive functions, stimulate learning processes (1,2,5,6) 5. Tranquilizing (4) 6. Sedative (3.5)		
Indications for use	1.TBI, chronic cerebrovascular disorders, atherosclerosis, vegetovascular dystonia (1–3,5,6) 2. Senile dementia (1, 2, 5, 6) 3. Non-inhalational anesthesia (3) 4. Sleep disorder (4)		
Side effects	1. Dyspeptic disorders 2. Excitation phenomena (1,3,5,6)		
Contraindications	1. Renal failure (1.5) 2. Hypokalemia (3) 3. Myasthenia gravis (3.5) 4. Infectious diseases of the central nervous system (6)		
NB!	Effect of nootropic drugs isn't proven.		

Psychostimulants are medicines that reduce the feeling of fatigue and drowsiness, increase mental and physical performance**.**

Classification	**Phenylalkylamine derivatives and similar structure drugs**	**Methylxanthines (Purine Derivatives)**
Drugs	1. Amphetamine sulfate (Phenamine) 2. Mesocarb (Sydnocarb) 3. Meridil (Methylphenidate)	4. Caffeine
Mechanism of action	1. Cause release of granules of norepinephrine and dopamine from the presynaptic nerve endings → stimulation of central noradrenergic and dopaminergic receptors 2. Inhibit MAO and inhibit the reverse neuronal seizure of dopamine and norepinephrine.	1. Blockade of phosofodiesterase (PDE) → accumulation of cyclic adenosine monophosphate (cAMP) 2. Blockade of adenosine (A1, and A2) receptors → ↑ excitation processes in the cerebral cortex
Pharmacological effects	1. ↑ mental and physical performance, ↓ fatigue and drowsiness 2. ↑ blood pressure 3. ↓ aggregation of platelets (4) 4. ↑ secretion of gastric juice (4) 5. Analeptic effect (4) 6. Dilation of coronary vessels, spasm of cerebral arteries (4)	
Indications for use	1. Physical and mental fatigue 2. Migraine, hypotension (4) 3. Depression, narcolepsy (1–3) 4. Asthenic and neurasthenic disorders (2) 5. Poisoning with substances depressing the central nervous system	
Side effects	1. Excitation 2. Arterial hypertension 3. Violation of higher nervous activity (1) 4. Risk of addiction (1)	
Contraindications	1. Insomnia 2. Arterial hypertension 3. Organic diseases of the cardiovascular system	
NB!	*Amphetamine* is not used in the Republic of Belarus as a medicine. *Caffeine* is a part of the combined preparations – citramone, cofetamine.	

9. DRUGS AFFECTING RESPIRATORY SYSTEM

Respiratory stimulants (analeptics) are drugs stimulating respiratory and vasomotor centers in the medulla oblongata

Classification	**Central action**	**Reflex action**	**Mixed action**
Drugs	1. Bemegride 2. Etymisol 3. Caffeine	Dopraxam 5. Cytiton 6. Lobeline hydrochloride	7. Cordiamine (niketamide) 8. Carbogen (O_2 + 1,5–90 % CO_2)
Mechanism of action	Activate respiratory and vasomotor centers directly	Stimulation of the nicotinic receptors of the sino-carotid zone → ↑ activity of the respiratory center	Central effect + stimulation of chemoreceptors of the carotid glomerulus
Pharmacological effects	1. Stimulation of the respiratory center → ↑ *respiratory rate and* ↑ *breathing depth* 2. Stimulation of the vasomotor center → ↑ AP 3. Psychostimulating (3)	1. Stimulation of the respiratory center → ↑ *respiratory rate and* ↑ *breathing depth*	1. Stimulation of the respiratory center → ↑ *respiratory rate and* ↑ *breathing depth* 2. Stimulation of the vasomotor center → ↑ AP
Indications for use	1. Recovering from anesthesia 2. Poisoning with sleeping pills and barbiturates (1) 3. Asphyxia of newborns (2, 3) 4. Poisoning with carbon monoxide, analgesics (2) 5. Shock, collapse, increase of working capacity, migraine (3)	1. Respiratory failure, respiratory depression in opioid overdose, shivering after surgery (4) **4.** Smoking cessation (5, 6)	1. Apnea of newborns 2. Collapse, shock states (7) 2. Decrease in vascular tone and weakening of respiration in patients with infectious diseases (7) 3. Poisoning with sleeping pills, barbiturates (7)
Side effects	1. Seizures (1,3,6) 2. Nausea, vomiting (1,2,4,5) 3. Sleep disorder (2,3) 4. Arterial hypertension (3) 5. Allergic reactions (7)		
Contraindications	1. Psychomotor agitation 2. Hypertension 3. Organic heart and vessels diseases (atherosclerosis)	1. Severe organic diseases of the heart and blood vessels, arterial hypertension 2. Gastric and duodenal ulcers	1. Predisposition to convulsive reactions
NB!	Caffeine increases the effect of non-narcotic analgesics (eg, caffeine + paracetamol + acetylsalicylic acid)		

Antitussives are cough suppressants.

Classification	**Centrally acting**		**Perypherally acting**
	Addicting	**Non-addicting**	
Drugs	*Less addicting:* Codeine Dihydrocodeine *Potent addicting:* Morphine Hydromorphone	*Less addicting:* Codeine Dihydrocodeine *Potent addicting*: Morphine Hydromorphone	*Mixed-action drugs*: 8. Prenoxdiazine 9. Bithiodine *Local anesthetics:* 10. Lidocaine
Mechanism of action	Directly supress the cough and respiratory centers		Block sensitive receptors of the bronchial mucosa. 8-9 + block cough center
Pharmacological effects	1. Antitussive 2. Analgesic (1–3, 10) 3. Locally anesthetic (8–10) 4. Antiinflammatory (5, 6) 5. Bronchodilating (6.7)		
Indications for use	1. Non-productive cough (rhinopharyngitis, laryngitis, tracheitis or tumor of the bronchi) 2. Dry pleurisy 3. Postoperative period 4. Cough of central origin (pathologic irritation of the cough center) 5. Tuberculosis		
Side effects	1. Tolerancea+dependance 2. Constipation 3. Arterial hypotension 4. Inhibition of respiration	1. Dizziness 2. Nausea 3. Allergic reactions	1. Dry mouth and throat mucosa 2. Nausea 3. Diarrhea 4. Allergy
Contraindications	1. Respiratory failure 2. Alcohol intoxication 3. Craniocerebral trauma 4. Arterial hypotension 5. Pregnancy 6. Impaired liver and kidney function	1. Productive cough 2. Hypersensitivity to the drug components	1. Intensive secretion in the respiratory tract (in the postoperative period after inhalation anesthesia) 2. Hypersensitivity to the components of the drug
NB!		Do not affect the respiratory center, do not cause drug dependence. Combined drug: broncholytin (glaucin + ephedrine + sage oil + citric acid)	

Expectorants and mucolytics are drugs used for productive cough and improve clearance of mucus from the airways.

Classification	**Expectorants (mucokinetics)**		**Mucolitics**	
	Directly acting	**Reflex acting**	**A) Synthetic mucolytics**	**B) proteolytic enzymes**
Drugs	*Vegetable:* 1. Terpine hydrate 2. Fruits of anise 3. Eucalyptus oil 4. Pine buds *Synthetic*: 5. Potassium and sodium iodides 6. Guaiphenesin 7. Potassium and sodium citrates 8. Guaifenesin	*Vegetable:* 8. Grass of thermopsis, Ledum bogberry, Viola tricolor 9. Leaves of coltsfoot 10. Root of Althea and Glycyrrhiza glabra *Synthetic*: 11. Sodium benzoate	12. Acetylcysteine 14. Carbocysteine 14. Bromhexine 15. Ambroxol	16. Trypsin 17. Chymotrypsin 18. Ribonuclease 19. Deoxyribonuclease (dornase-alpha)
Mechanism of action	Are absorbed in the gastrointestinal tract → are sectered by bronchial mucosa → stimulate the secretion of bronchial glands, dilute sputum and promote drainage of mucus	Irritant gastric mucosal receptors → form the initial stage of excitation of the vometing center → ↑ (through the vagus nerve) separation of mucus in the gastrointestinal tract and liquid secretion in the respiratory tract → ↑ peristalsis of the bronchi and flicker of the cilia → ↑ sputum discharge.	Cause depolymerization of protein and other sputum molecules (fibrin, mucopolysaccharides, DNA, RNA, etc.) → reduce its viscosity. Increase the formation of surfactant in the lungs – a substance that prevents alveoli collapse and improves gas exchange in the lungs.	
Pharmacological effects	1. Expectorant 2. Mucolytic 3. Surfactant-like (14,15)			
Indications for use	1. Cough with sputum during bronchitis, tracheitis, tracheobronchitis, and pneumonia 2. Bronchoectatic disease, bronchial asthma exacerbation 3. Infant respiratory distress syndrome (14,15), cystic fibrosis 4. Preventive maintenance of complications after operations on respiratory organs			
Side effects	1. Allergy	1. Nausea, vomiting (high doses) 2. Allergy	1. Dyspeptic disorders 2. Allergy (rarely)	1. Bronchospasm, allergy 2. Pulmonary haemorrhage
Contraindications	1. Open pulmonary tuberculosis 2. Diseases with a tendency to pulmonary hemorrhage	1. Gastroduodenal ulcer 2. Open pulmonary tuberculosis 3. Diseases of the nervous system with violation of the reflex mechanism of expectoration	1. Gastroduodenal ulcer 2. Pregnancy, lactation 3. Childhood 4. Open pulmonary tuberculosis	1. Open pulmonary tuberculosis 2. Pulmonaty emphysema with respiratory insufficiency

PHARMACOTHERAPY OF BRONCHIAL ASTHMA, PART 1

Bronchial asthma is a disease marked by recurrent attacks of dyspnea, with airway inflammation and wheezing due to spasmodic constriction of the bronchi. Can be allergic (atopic) and intrinsic (secondary to chronic or recurrent infections of the bronchi, sinuses, or tonsils and adenoids).

Bronchodilators and anti-inflammatory agents are used.

Classification	Bronchodilators of neurotropic action			Bronchodilators of myotropic action
	Non-selective adrenergic agonists	**Selective β2-agonists**	**Muscarinic antagonists**	**Methylxanthines**
Drugs	Universal adrenergic agonists: 1. Epinephrine 2. Ephedrine *Non-selective β-agonists:* 3. Isoprenaline 4. Orciprenaline	Short-acting (up to 3-4 h.): 5. Salbutamol 6. Terbutaline 7. Fenoterol Long-acting (~ 12 h.): 8. Salmeterol 9. Clenbuterol 10. Formoterol	Non-selective: 11. Atropine 12. Metacin 13. Platifillin Selective: 14. Ipratropium bromide (atrovent) 15. Tiotropium bromide (spiriva)	Short-acting: 16. Aminophylline 17. Theophylline Long-acting: 18. Euphylong 19. Theotard
Mechanism of action	1. Stimulates α- and ß-adrenoceptors (1, 2) 2. Insrease release of norepinephrine (2) 3. Stimulate ß1- and ß2-adrenoceptors (3, 4)	Stimulation of β2-adrenoceptors → activation of adenylate cyclase → ↑ cAMP → stimulation of proteinkynase → cleavage of kinase catalyzing phosphorylation of myosinkynase, its activity ↓ → no myo- zine phosphorylation → relaxation of smooth muscles.	Blockage of the transmission in the postganglionic muscarinic receptors → the tone of the smooth muscles of the bronchi decreases, the reflex bronchoconstriction is prevented, and the secretion of bronchial glands is suppressed.	1. Blockage of adenosine receptors involved in bronchospasm → ↑ release of catecholamines into the synaptic cleft → relaxation of the bronchi. 2. Inhibition of PDE → ↑ cAMP, ↓ intracellular concentration of Ca2+ ions and stabilization of mast cells → dilatation of bronchi.
Pharmacological effects	1. Bronchodilating 2. Cardiostimulating	1. Bronchodilating 2. Improve mucociliary clearance 3. Tocolytic	1. Bronchodilating 2. ↓ gland secretion (11–13)	1. Bronchodilating 2. Vasodilating 3. Antiplatelet
Indications for use	1. Acute attack treatment 2. Asthmatic status (1,2) 3. Anaphylactic shock (1,2)	1. Acute attack treatment (5–7) 2. Prevention of an attack of asthma (8–10) 3. Asthmatic status (5–7) 4. Emphysema of the lungs (9) 5. Threat of premature birth (5.7)	1. Bronchial asthma 2. Chronic obstructive pulmonary disease (15) 3. Bronchoobstruction induced by physical exertion, cold, inhalation of dust	1. Bronchospasm 2. Violation of cerebral circulation 3. Pulmonary hypertension 4. Hypertensive crisis (16)
Side effects	1. Tachycardia, arrhythmia 2. ↑ blood pressure 3. Nausea	1. Tachycardia 2. Tremor, headache	1. Dry mouth, ↑ sputum viscosity 2. Tachycardia, mydriasis, ↑ IOP	1. Dyspeptic disorders 2. Arrhythmia, tachycardia 3. Headache, insomnia
Contraindications	1. AH, IHD (1–2) 2. DM, pregnancy (1–2) 3. Tachyarrhythmias (3–4)	1. Individual intolerance 2. Diabetes mellitus 3. Arrhythmias	1. Closed-angle glaucoma 2. Pregnancy	1. Pregnancy and lactation 2. Paroxysmal tachycardia, myocardial infarction 3. Thyrotoxicosis, epilepsy

PHARMACOTHERAPY OF BRONCHIAL ASTHMA, PART 2

Anti-inflammatory agents

Classification	Glucocorticoids		Mast cells stabilizers	Leukotriene receptor antagonists	Monoclonal anti-IgE antibodies
	Inhalational	**Systemic**			
Drugs	1. Beclomethasone 2. Budesonide 3. Fluticasone 4. Flunisolide	5. Prednisolone 6. Methylprednisolone	7. Cromolyn 8. Nedocromil 9. Ketotifen	10. Montelukast 11. Zafirlukast	12. Omalizumab
Mechanism of action	1. Inhibit phospholipase A2 → violate the formation of leukotrienes, serotonin, and prostaglandins. 2. Stabilize the membranes of lysosomes. 3. ↓ release of histamine by basophils.		1. Inhibition of PDE → ↑ cAMP → ↓ contractility of myofibrils of protein and stabilization of mast cells. 2. Blockage of Ca^{2+} ions entry into the mast cell → prevention of mediator release.	Block leukotriene receptors	Inhibits binding of IgE to mast cells
Pharmacological effects	1. Anti-asthmatic 2. Anti-allergic	3. Anti-inflammatory (1–6, 10,11) 4. Immunodepressive (1–6)			
Indications for use	1. Bronchial asthma 2. Prevention of attacks of atopic bronchial asthma (7–9)		3. Asthmatic status (5.6) 4. Aspirin-, cold- and exercise induced asthma (7–11)		
Side effects	1. Candidiasis of the mouth 2. Dysphonia Prophylaxis: rinsing the mouth after inhalation, using a spacer.	1. Osteoporosis, myopathy 2. Puffiness, hypertension 3. Cushing's syndrome 4. Peptic ulcers 5. The withdrawal syndrome	1. Cough 2. Dry mouth 3. Bronchospasm	1. Hepatotoxicity 2. Nausea, vomiting 3. Allergic reactions	1. Local reactions in the site of administration 2.Dispeptic disorders 3. Headache
Contraindications	1. Acute bronchospasm 2. Infectious diseases	1. Osteoporosis 2. Peptic ulcers 3. Severe hypertension 4. Diabetes mellitus	1. Hypersensitivity 2. Pregnancy, lactation	1. Hypersensitivity 2. Lactation 3. Childhood (up to 5 years)	1. Hypersensitivity 2. Lactation 3. Childhood (up to 5 years)
NB!	Combined preparations containing a beta-2-agonist and inhaled glucocorticoid (prevention of asthma attacks) Salmeterol + Fluticasone = Seretide Formoterol + Beclomethasone = Foster Formoterol + Budesonide = Symbicort Combined preparations containing a beta-2-agonist and a stabilizer of mast cell membranes Aerocrom = Cromolyn+ Salbutamol Duotec = Cromolyn + Fenoterol				

Status asthmaticus (acute severe asthma)

An acute exacerbation of asthma that does not respond to basic treatments with bronchodilators (inhalers) and steroids

Group	Drugs
1. Short-acting ß-agonists	*Salbutamol* 2.5 mg (2.5 ml), fenoterol 1 mg (in 3 ml 0.9 % solution of sodium chloride to be inhaled, repeat up to 4 times during 1 hour. **NB!** Can be given as a constant inhalation
2. α, ß-agonists	*Adrenalin* 0.01 mg/kg s/c as a 1:1000 solution, max 0.3-0.4 mg intravenously 0.1–1 mkg/kg/min as a constant infusion **NB!** 0.1 % solution (1:1000) — 1 mg in 1 ml; 0,01 % solution (1:10000) — 100 mkg in 1 ml
3. Systemic glucocorticoids **NB!** They are used to ↓ inflammation of bronchial micosa and restore the sensitivity of β-adrenoceptors.	**3. Systemic glucocorticoids** **NB!** They are used to ↓ inflammation of bronchial micosa and restore the sensitivity of β-adrenoceptors.
4. Methylxanthines	*Theophylline*: 6 mg/kg intravenously during 20 min, maintenance dose — 0.5–0.7 mg/kg/h as a constant infusion
Inhalation of *oxygen*. Infusion therapy (replenishment of circulating blood volume): intravenously by drop infusion 15 % solution of glucose or 0.9 % solution of sodium chloride at the infusion rate of 150 ml/h. *Magnesium sulfate* 2 g (in children 25 mg/kg) intravenously with 0.9 % solution of sodium chloride during 10–20 min. *In severe cases*: inhalation anesthetics (isoflurane, sevoflurane)	
Drugs for pulmonary edema	
When normal blood pressure	1. To sit a patient with legs down 2. *Glyceryl trinitrate* 0.5 mg sublingually (or aerosol) repeatedly or once 3. *Morphine* intravenously by 3 mg (0.3 ml 1 % solution) until the effect appears or up to total dose 10 mg (1 ml 1 % solution) NB! Depress the respiratory center → ↓ unproductive dyspnea → ↓ fear of death 4. *Furocemide* 40–80 mg mg (4–8 ml 1 % solution) intravenously NB! ↓ blood volume → facilitation of cardiac performance 5. *Glyceryl trinitrate* intravenously (up to 10 mg in 100 ml of 0.9 % sodium chloride solution by drop infusion, increase the infusion rate from 25 mkg/min until the effect appears under the control of blood pressure) NB! ↓ pre- and postload → facilitation of cardiac performance 6. *Oxygenotherapy* with 100% oxygen and *defoamer* (ethanol 70 %)
When ↑ blood pressure	+ 1 ml 2.5 % solution of *hexamethonium benzenesulfonate* in 20 ml of a 0.9 % solution of sodium chloride intravenously by slow bolus injection under the control of blood pressure after every 2 ml of solution
When ↓ blood pressure	1. Lay the patient lifting the headboard 2. *Oxygenotherapy* with 100 % oxygen and *defoamer* (ethanol 70%) 3. Dopamine 200–400 mg in 200–400 ml of 0.9 % solution of sodium chloride or 5 % glucose solution intravenously by drop infusion. Gradually increase the infusion rate from 5 mkg/kg/min until the blood pressure stabilizes 4. *Furosemide* 40 mg (4 ml of 1 % solution) intravenously after stabilization of blood pressure

PULMONARY SURFACTANTS AND INFANT RESPIRATORY DISTRESS SYNDROME

Pulmonary surfactants are lipoprotein compounds formed by type II alveolar cells and covering the inner surface of alveoli. They have both hydrophilic and hydrophobic regions and lower the surface tension by adsorbing to the air-water interface of alveoli.

Function:

1. To increase pulmonary compliance (measure of the lung's ability to stretch and expand)
2. To prevent atelectasis (collapse of the lung) at the end of expiration
3. To facilitate recruitment of collapsed airways

Infant respiratory distress syndrome (IRDS; previously called hyaline membrane disease) is insufficiency of pulmonary surfactant production and structural immaturity in the lungs of premature infants (or due to neonatal infection or genetic disorders). The baby develops ventilatory failure and apnea.

Treatment for IRDS:

1. *Surfactant replacement therapy* (is given through the breathing tube into the lungs)
2. Breathing support from a ventilator or nasal continuous positive airway pressure (NCPAP) machine. These machines help premature infants breathe better.
3. Oxygen therapy.

Synthetic pulmonary surfactants 1. Colfosceril palmitate (Exosurf) - a mixture of DPPC with hexadecanol and tyloxapol added as spreading agents 2. Pumactant (Artificial Lung Expanding Compound or ALEC) - a mixture of DPPC and PG 3. KL-4 - composed of DPPC, palmitoyl-oleoyl phosphatidylglycerol, and palmitic acid, combin ed with a 21 amino acid synthetic peptide that mimics the structural characteristics of SP-B. 4. Venticute - DPPC, PG, palmitic acid and recombinant SP-C
Animal derived surfactants 1. Beractant 1. (Alveofact) - extracted from cow lung lavage fluid 2. (Survanta) - extracted from minced cow lung with additional DPPC, palmitic acid and tripalmitin 2. Calfactant (Infasurf) - extracted from calf lung lavage fluid 3. Poractant alfa (Curosurf) - extracted from material derived from minced pig lung

10. DRUGS AFFECTING GASTROINTESTINAL SYSTEM

Orexigenics (appetite stimulants) are drugs incresing appetite

Classification	Reflex action	Central action	Anabolics
Drugs	1. Wormwood tincture 2. Infusion of Centaurium herb 3. Infusion of trefoil water 4. Juice of plantain	5. Cyproheptadine (Peritol)	6. Insulin (small doses) 7. Apilac 8. Retabolil (Deca-Durabolin)
Mechanism of action	1. Irritate taste receptors of the tongue and oral mucosa → reflex activation of brain centers and ↑ secretion of gastric juice for food intake	1. Central H1-antihistaminic and antiserotonin action → depression of the feeding center, stimulation of the hunger center	1. It facilitates the transport of glucose through cell membranes and its assimilation by peripheral tissues, promotes the conversion of glucose into glucose-6-phosphate and into glycogen in the liver, and ↓ its "release" from the liver → ↓ blood glucose level (6) 2. Promotes assimilation of carbohydrates, proteins and fatty acids by tissues, ↑ synthesis of proteins and fatty acids and ↓ release of the latter from fat stores (6,8) 3. Stimulates cellular metabolism, regenerative processes, improves trophism of tissues (7) 4. Delays nitrogen, calcium, phosphorus, sodium, potassium, chlorides, water in the body (8)
Pharmacological effects	1. ↑ secretion of gastric juice 2. ↑ appetite, improvement of digestion	1. ↑ appetite 2. Antihistamine effect 3. Sedative effect 4. Holinolytic effect	1. Anabolic effect 2. Hypoglycemic effect (6) 3. Antifatigue effect (7)
Indications for use	1. Hypoacid and chronic atrophic gastritis 2. Anorexia nervosa 3. Postoperative period	1. Neurogenic anorexia 2. Constitutional thinness 3. Urticaria, vasomotor rhinitis 4. The period of convalescence	1. Dysfunction in convalescents, cachexia, anorexia 2. Diabetes mellitus (6) 3. Atony of the stomach (6) 4. Neurotic disorders (7) 5. Lactation in the postpartum period (7) 6. Chronic kidney diseases accompanied by loss of protein (8)
Side effects	1. Allergic reactions 2. Dyspeptic disorders	1. Somnolence 2. Dry mouth 3. Nausea	1. Hypoglycemia (6) 2. Allergic reactions 3. Sleep disorder (7) 4. Dyspeptic disorders (8) 5. Impairment of liver function (8)
Contraindications	1. Hyperacidic gastritis 2. Gastrodoudenal ulcer 3. Reflux-esophagitis	1. Glaucoma 2. Prostatic hypertrophy 3. Urinary retention 4. Predisposition to edema 5. Pregnancy	1. Hypoglycemia (6) 2. The gastroduodenal ulcer (6) 3. Addison's disease (7) 4. Breast and prostate cancer (8) 5. Hypercalcemia (8)

Anorectics (anorexigenics) are appetite supressors

Drug, substance	Mechanism of action	Major side effects	Allowed to use			FDA pregnancy categories
			Russia	USA	EU	
Orlistat (Xenical)	Inhibits gastrointestinal lipases → Inactivated enzymes are not capable of hydrolyzing food fat triglycerides into absorbable free fatty acids and monoglycerides → Undigested fats are not absorbed → Calorie deficit → mobilization of fat from the depot	1. Oily rectal discharge 2. Flatulence 3. Frequent bowel movements, mandatory defecation urges, stool incontinence	+	+	+	X
Lorcaseril (Belvik)	Antagonist of serotonin 5-HT2C receptors; blocks the sence of hunger	1. Nausea, dry mouth, constipation 2. Headache, dizziness, fatigue	-	+ 2012	-	X
Phentermine (Fastin, Suprenza)	Sympathomimetic: ↑ noradrenaline release from the endings of adrenergic fibers → ↓ appetite	1. Dry mouth, constipation 2. Dizziness, moderate ↑ blood pressure, tachycardia, insomnia	-	+	-	X
Amfepramone (Diethylpropion)			-	-	-	B
Mazindol (Tenorak)		1. Dry mouth, nausea 2. Headache, sleep disorders, ↑ BP 3. Retention of urination 4. Sweating, allergic skin rash	-	- 1980	-	N
Sibutramine (Meridia, Lindax)	Inhibits reuptake of neurotransmitters (serotonin and noradrenaline) in the synaptic cleft → ↑ feeling of satiety, ↓ food demand	1. Somnolence, headache 2. Dry mouth, indigestion 3. Palpitation, tachycardia, increased blood pressure, hyperemia of the skin 4. Sweating, itchy skin 5. Grippopodobny syndrome, rhinitis	-	- 2010	- 2010	C
Rimonabant (Zimulti)	Cannabinoid receptor antagonist	1. Nausea, vomiting 2. Neurological and psychiatric disorders, convulsions, depression, anxiety, insomnia, aggressiveness, suicidal thoughts	- 2009	- 2007	- 2008	N
Fenfluramine (Minifage) Dexfenfluramine (Isolipan)	↑ serotonin level in the central nervous system → ↑ feeling of satiety	1. Pulmonary hypertension and valvular heart disease 2. Dizziness, headache 3. Asthenia, irritability, insomnia, somnolence, nightmares, depression 4. Dry mouth, nausea, diarrhea, frequent urination	-	- 1997	-	C
Fluoxetine (Prozac)	Antidepressant, elective serotonin reuptake inhibitor	1. Diarrhea, indigestion 2. Headache, dizziness, insomnia, hot flashes, atrial flutter, tremor, neurosis, ↓ libido 3. Frequent urination, gynecological bleeding ë4. Skin rash 5. Hypotension, dysphagia	+	+	+	C

Topiramate (Topamax)	Activation of GABAergic systems and blockade of glutamatergic receptors	1. Anorexia, nausea, abdominal pain, increased fatigue 2. Ataxia, confusion, impaired concentration, emotional lability, dizziness, paresthesia, amnesia, depression 3. Impairment of vision or speech, conjunctivitis, nystagmus, perversion of taste sensations 4. Chills, leukopenia, dyspnoea, swelling, nosebleed 5. Nephrolithiasis, hematuria, dysmenorrhea, weakening of libido	+	+	+	D
Metformin (Glucophage, Siofor)	↑ sensitivity of tissues to insulin, ↑ peripheral glucose uptake, ↑ oxidation of fatty acids, ↓ glucose absorption in the gastrointestinal tract	1. Metallic taste in the mouth 2. Anorexia, diarrhea, nausea, vomiting, flatulence, abdominal pain decreasing during meals	+	+	+	B
Liraglutide (Victoza)	It binds to the glucagon-like peptide-1 receptor → ↑ insulin production and ↓ glucagon production (if hyperglycemia) / ↓ insulin production (if hypoglycemia) and does not affect glucagon	1. Pancreatitis, gallbladder disease, impaired renal function 2. Suicidal depressions 3. ↑ heart rate, headache 4. Nausea, diarrhea, vomiting, constipation 5. Hypoglycemia	-	+ 2014	-	C
Bupropion (Wellbutrin)	Inhibits the reuptake of norepinephrine + is an antagonist of nicotinic acetylcholine receptors	1. Dry mouth, dyspeptic disorders 2. Visual disorders, ringing of the ears 3. ↑ blood pressure, rash, itchy skin, sweating, fever, chest pain, asthenia, tachycardia	+	+	+	C
Venlafaxine (Velaxin)	Inhibits reuptake of neurotransmitters (serotonin and noradrenaline) in the synaptic cleft → ↑ feeling of satiety, ↓ food demand	1. Dizziness, asthenia, weakness, insomnia, nightmares, increased nervous excitability 2. Paresthesia, muscle hypertension, tremor, sedation, ↑ blood pressure, skin flushing, decreased appetite, nausea, vomiting 3. ↓ libido, erectile dysfunction and / or ejaculation, menorrhagia, urination disorder 4. Disturbance of accommodation, mydriasis, impaired vision	+	+	+	C
Lisdexamfetamine (Vivans)	Psychostimulant: promotes the release of norepinephrine and dopamine	↓ appetite, insomnia, abdominal pain, headache and irritability	-	+	+	C

Indications for use

1. Alimentary obesity with body mass index (BMI) from 30 kg /m^2 and more 2. Alimentary obesity with a BMI of 27 kg / m^2 and risk factors associated with excess weight (dyslipoproteinemia, diabetes)
Fluoxetine — for patients with obesity and sleep apnea, or night meals, or bulimia; Topiramate — for patients with obesity and bipolar disorders; Metformin — for patients with obesity and diabetes, obese women with polycystic ovaries, as well as for obese patients receiving antipsychotic drugs leading to insulin resistance; Bupropion — for smoking patients; Venlafaxine — for patients who eat at night; Lisdexamfetamine —— for the treatment of psychogenic overeating in adults.

Emetics and antiemetics

Classification	**Emetics**	**Antiemetics**		
		Serotonin antagonists	**Dopamine antagonists**	**Substance P antagonists**
Drugs	*Central action:* 1. Apomorphine *Reflex action:* 2. Syrupof IIpecacuanas 3. Copper sulphate, zinc sulphate	4. Ondasetron (Vero-ondasetron, Emetron) 5. Granisetron (Citril), 6. Tropisetron (Novoban)	*Central:* 7. Metoclopramide (Raglan, Cerucal) *Peripheral:* 8. Domperidone (Motilium, Motilak)	9. Aprepitant (Emend)
Mechanism of action	1. Stimulates dopamine receptors of the trigger zone of the medulla oblongata (1) 2. Irritant receptors of the gastric mucosa → reflexively cause vomiting (2, 3)	1. Blockade of peripheral and central 5-HT3-serotonin receptors	1. Depresses the emetic center and chemoreceptor trigger zone of the medulla oblongata (7) 2. Blocks dopamine (D2) and serotonin (5-HT3) receptors (7) 3. Blocks peripheral dopamine receptors (8)	Blockage of neurokinin 1 (NK1) receptors
Pharmacological effects	1. Emetic	1. Antiemetic	Antiemetic 2. Prokinetic (accelerates the emptying of the stomach, ↑ tone of the lower esophageal sphincter)	1. Antiemetic
Indications for use	1. Impossibility of gastric lavage after acute poisoning 2. Therapy of alcohol dependence (1)	1. Vomiting associated with chemo- and radiation therapy of malignant diseases 2. Vomiting in the postoperative period	Nausea and vomiting: 1. Due to radiotherapy, side effects of drugs, in the postoperative period, pregnancy 2. Functional GIT-disorders (esophageal achalasia, hypotonic stomach, GERD, biliary dyskinesia) 3. After dopamine agonists (antiparkinsonics) intake	1. Prevention of nausea and vomiting caused by antineoplastic drugs

Side effects	1. The collapse (1) 2. Visual hallucinations (1) 3. Aspiration of vomit	1. Headache, arterial hypotension, arrhythmias 2. Dry mouth, violation of accommodation; paresthesia 3. Liver failure 4. Extrapyramidal disorders 5. Bronchospasm, allergic reactions	1. Extrapyramidal disorders (7) 2. Somnolence, tunnitus, dry mouth (7) 3. Hyperprolactinemia, galactorrhea	1. Headache, dizziness 2. Anorexia, hiccough, constipation, diarrhea, indigestion
Contraindications	1. Stomach burns with acids and alkali 2. The gastroduodenal ulcer 3. Severe heart disease 4. Open tuberculosis	1. Liver failure 2. I trimester of pregnancy, breast-feeding	1. Mechanical intestinal obstruction, gastrointestinal bleeding 2. Epilepsy, Parkinson's disease (7) 3. Prolactin-dependent tumors 4. Glaucoma, pheochromocytoma (7)	1. Headache, dizziness 2. Anorexia, hiccough, constipation, diarrhea, indigestion
NB!	Neuroleptics (antipsychotics) and muscarinic antagonists have antiemetic effect too.			

GERD — gastroesophageal reflux disease

Antiulcer drugs: agents that inhibit the system of aggression factors

Classification	Antacid agents			Antisecretory agents		
	Systemic	**Non-systemic**	**Astringents**	**Selective muscarinic (M_1) antagonists**	**Proton pomp inhibitors (PPIs)**	**Histamine (H_2) antagonists**
Drugs	1. Sodium bicarbonate 2. Calcium carbonate 3. Sodium citrate	4. Magnesium oxide 5. Magnesium hydroxide 6. Aluminum hydrate-hydroxide	7. Alkaline bismuth subnitrate 8. Vikalin, Vikair 9. Sucralfate (Venter)	10. Pirenzepine (Ga-strozepine) 11. Telenzepine	12. Omeprazole (Omez, Losek, Gastrasol) 13. Lansoprazole (Lanzap) 14. Rabeprazole (Pariet) 15. Esomeprazole (Nexium) 16. Pantoprazole (Controller, Nolpase) 17. Dexlansoprazole (Dexylo-lanth)	19. Cimetidine 20. Ranitidine 21. Famotidine 22. Nisatidine 23. Roxatidine 24. Niperotidine 25. Lafutidine 26. Ranitidine bismuth citrate
Mechanism of action	1. Neutralize hydrochloric acid in the stomach (1-6) 2. Envelop afferent nerve endings → ↓ their irritability (7–9)			1. Blockage of gastric muscarinic receptors	1. Blockage of H+-K+-ATPase enzyme responsible for the production of HCl	1. Blockage of H2-histamine receptors of gastric parietal cells
Pharmacological effects	1. Neutralize hydrochloric acid in the stomach (1-6) 2. Envelop afferent nerve endings → ↓ their irritability (7–9)			1. Antisecretory (↓secretion of hydrochloric acid)		2. Spasmolytic (10,11) 3. Gastroprotective (10–18)
Indications for use	1. Gastroduodenal ulcer, hyperacid gastritis, reflux esophagitis 2. Eradication of Helicobacter pylori (10–18)			3. Zollinger-Ellison syndrome (10–26) 4. Non-steroidal gastropathy (10–26)		
Side effects	1. Alkalosis 2. Hypercalcemia nephrocalcinosis, constipation (2) 3. Dyspepsia	1. Diarrhea (4,5) 2. Constipation (6) 3. Dyspepsia	1. Diarrhea, black feces (7.8) 2. Somnolence (9) 3. Dizziness (9) 4. Dyspepsia	1. Dry mouth 2. Infringement of an accommodation 3. Diarrhea or constipation	1. Dyspepsia 2. Candidiasis of the digestive tract 3. ↑ risk of fractures 4. Gynecomastia, edema 5. Dysfunction of the liver, hematopoiesis 6. ↑ risk of dementia in old age	1. Headache 2. Nausea, constipation 3. Skin rash 4. Liver dysfunction 5. Tachycardia 6. ↓ libido
					7. ↑ risk of Clostridium difficile-associated diarrhea	
Contraindications	1. Alkalosis 2. Hypercalcemia, nephrourolythiasis, thrombosis (2) 3. Aluminum intoxication (3)	1. Hypermagnesemia (4,5) 2. Alzheimer's disease (6)	1. Hypoacid gastritis (7.8) 2. Chronic renal failure 3. Gastrointestinal bleeding (9)	1. Prostatic hypertrophy 2. Glaucoma 3. Pyloric stenosis	1. Pregnancy and lactation	1. Liver and renal disfunction 2. Pregnancy and lactation
NB!	1. Combined antacids: Almagel, Maalox (Al (OH) 3 + Mg (OH) 2), Phosphalugel (Al (HPO3) 3), Gastal (Al (OH) 3 + Mg (OH) 2 + MgCO3), Rennie (CaCO3 + MgCO3). 2. Tenatoprazole, Ilaprazole are at various stages of development and clinical trials.					

Antiulcer drugs: agents that activate defense factors

Classification	Gastroprotectors	Reparants
Drugs	1. Sucralfate (Venter) 2. Bismuth tricalcium dicitrate (De-nol) 3. Misoprostol (Saitotec)	4. Liquiriton 5. Solcoseryl 6. Gastroparm 7. Sea-buckthorn oil 8. Nandrolone (Retabolil) 9. Vitamin U
Mechanism of action	1. Neutralizes the gastric acid; forms a colloid mass on the surface of the gastric mucosa and envelope parietal cells (1, 2) 2. Bactericidal action on Helicobacter pylori (2) 3. ↓ secretion of hydrochloric acid and gastric juice, stimulates the regeneration of the gastric mucosa (3)	1. ↓ secretion of hydrochloric acid, ↑ synthesis of mucosal glycoproteins (4) 2. Stimulates metabolic processes (5) 3. Neutralizes the gastric acid (6) 4. ↓ activity of proteolytic enzymes of gastric juice (7) 5. Stimulate the processes of regeneration of the gastric mucosa (5–9) 6. ↑ protein synthesis in tissues, ↑ utilization of calcium, sodium, nitrogen, phosphates and chlorides (8) 7. Methylates histamine → inactivates it → ↓ gastric secretion (9)
Pharmacological effects	1. Antacid 2. Cytoprotective 3. Antihelicobacter (2) 4. Absorbent (1), astringent (1,2) 5. Antisecretory (3)	1. Antisecretory (4, 9) 2. Spasmolytic (4) 3. Anti-inflammatory (4, 7) 4. Regenerative (5-9) 5. Antihypoxic (5) 6. Antacid, analgesic (6) 7. Cholagogue (7) 8. Anabolic (8)
Indications for use	1. Gastroduodenal ulcer, hyperacid gastritis 2. Reflux esophagitis (1, 2) 3. Non-steroidal gastropathy (3)	1. Gastroduodenal ulcer, hyperacid gastritis 2. Occlusal diseases of peripheral arteries (5) 3. Skin burn and trauma (7) 4. Cachexia, osteoporosis (8)
Side effects	1. Dyspeptic disorders 2. Staining the stool black (2) 3. Somnolence (1,3)	1. Allergic reactions 2. Diarrhea, bitterness in the mouth (7) 3. Dysfunction of the liver, transient jaundice (8) 4. Edema, muscle cramps, frequent urination (8) 5. Dysmenorrhea (8)
Contraindications	1. Severe renal dysfunction (1,2) 2. Pregnancy 3. Gastrointestinal bleeding (1) 4. IHD, AH, cerebral circulation disorder (3)	1. Hypersensitivity 2. Gallstone disease (7) 3. Hypertrophy and prostate cancer, prostatitis (8) 4. Acute liver disease (8) 5. Heart failure, IHD, myocardial infarction (8)

H.pylori eradication («Maastricht-V», 2015)

	Low resistance to *clarithromycin* (< *15 %*)		**High resistance to *clarithromycin* (> *15 %*)**			
			Low resistance to metronidazole	**Low double resistance (clarithromycin, metronidazole < *15 %*)**		**High double resistance (clarithromycin, metronidazole > *15 %*)**
1st line the-rapy	**Triple therapy with clarithromycin** (*IPP + Amoxicillin + Clarithromycin)*	**Bismuth-containing quadruple therapy** (*IPP + Metronidazole + Tetracycline + bismuth preparations)*	**Triple therapy with metro-nidazole** (*IPP + Amoxicillin + Metronidazole*)	**Bismuth-containing quadruple therapy** (*IPP + Metronidazole + Tetracycline + bismuth preparation)*	**Quadruple therapy without bismuth** (≪simultaneous therapy ≫) *(IPP + Amoxicillin + Clarithromycin + Metronidazole)*	**Bismuth-containing quadruple therapy** (*IPP + Metronidazole + Tetracycline + bismuth preparations)*
2nd line the-rapy	**Bismuth-containing quadruple therapy** (*IPP + Metronidazole + Tetracycline + bismuth preparations)* or **Fluoroquinolone-containing triple/ quadruple therapy** (*PPI + Amoxicillin + Levofloxacin / Moxifloxacin +/- bismuth preparation)*	**Fluoroquinolone-containing triple / quadruple therapy** (*IPP + Amoxicillin + Levofloxacin / Moxifloxacin +/- bismuth preparation)*	**Bismuth-containing quadruple therapy** (*IPP + Metronidazole + Tetracycline + bismuth preparations*) or **Fluoroquinolone-containing triple therapy** (*IPP + Amoxicillin + Levofloxacin / Moxifloxacin)*	**Fluoroquinolone-containing triple / quadruple therapy** (*IPP + Amoxicillin + Levofloxacin / Moxifloxacin +/- bismuth preparation)*	**Bismuth-containing quadruple therapy** (*IPP + Metronidazole + Tetracycline + bismuth preparation*) or **Fluoroquinolone-containing triple / quadruple therapy** (*PPI + Amoxicillin + Left-floxacin / Moxifloxacin +/- bismuth preparation)*	**Fluoroquinolone-containing triple / quadruple therapy** (*PPI + Amoxicillin + Levofloxacin / Moxifloxacin +/- bismuth preparation)*

Diagnostics
1. Urea breath test is the best choice for confirmation of eradication of H. pylori, and monoclonal antibodies to H. pylori antigen in feces can be an alternative test. The test should be performed at least 4 weeks after completion of therapy.
2. PPI (proton pump inhibitor) should be discontinued at least 2 weeks, and antibiotics and bismuth preparations 4 weeks before H. pylori testing.
3. In clinical practice, when endoscopy is needed and there are no contraindications for biopsy, a rapid urease test is recommended as a first-line test. In case of a positive result, you can immediately begin treatment. One biopsy is obtained from the body and one of the pylorus.
4. It is recommended to evaluate sensitivity to clarithromycin when the standard scheme with clarithromycin is considered as first-line therapy, excluding populations with well-documented low (<15%) resistance. This test can be performed by a standard method (antibioticogram) after cultural or a molecular test in a biopsy.

Cholagogue agents

Classification	Agents stimulating bile formation		Agents stimulating bile release	
Drugs	**Choleretics**		**Cholekinetics**	**Cholespasolytics**
Mechanism of action	Preparations of bile acids: Allochol Cholenzym Lyobil Synthetic agents: Oxafenamide (Osalmide) Nicodine	Herbal preparations: Brier syrup Cornsilk Hydrocholeretics: 8. Alkaline mineral water	9. Extract of Cynara leaves 10. Magnesium sulfate (per os) 11. Spirituous tincture of leaves of barberry 12. Oils (sunflower, olive); amarines (wormwood, yarrow) 13. Cholecystokinin 14. Sorbitol, mannitol	With myotropic action: 15. Papaverine 16. Drotaverine (No-spa) 17. Mebeverin (Duspalatin) 18. Aminophylline (Euphyllinum) Muscarinic antagonists: 19. Atropine 20.Patifillin 21. Metacin
Pharmacological effects	1. Stimulation of receptorsof the small bowel mucosa, secretory function of the liver parenchyma → ↑ bile formation (1-7) 2. ↑ osmotic gradient between bile and blood → osmotic filtration of water and electrolytes into the bile capillaries (1-7) 3. ↑ bile flow through the bile ducts → prevention of ascent of infection and ↓ inflammatory process (1-7) 4. ↑ cholates content in the bile → ↓ the possibility of bile cholesterol precipitation and the formation of gallstones (1-7) 5. ↑ the amount of bile due to the water component → ↑ fluidity of bile (8)		1. Irritate duodenal mucosa → excretion of cholecystokinin → contraction of the gallbladder, relaxation of the sphincter of Oddi → the entry of bile into the duodenum and the removal of cholestasis	1. PDE inhibition → ↑ intracellular cAMP → ↓ Ca2+ ions and ↓ smooth muscle tone (15,16,18) 2. Blocks the flow of Na+ and Ca2+ ionsinto the cell → slows membrane depolarization and prevents the contraction of muscle fibers → relaxes smooth muscles (17) 3. Blockage of muscarinic receptors → prevent acetylcholine action → antispasmodic effect (19-21)
Indications for use	1. Cholagogue 2. Laxative (1) 3. Antispasmodic (4), antibacterial (5) 4. Diuretic, hemostatic (7)		1. Cholagogue 2. Hepatoprotective (9) 3. Spasmolytic (10) 4. Choleretic (11,14)	1. Antispasmodic 2. Myotropic (15-18) 3. Bronchodilating (18)
Side effects	1. Diarrhea 2. Allergic reactions 3. Edema (8)			1. Nausea 2. Palpitations, arrhythmias (15,16,18) 3. Atropine-like effect (19-21)
Contraindications	1. Acute hepatitis (1,2,4) 2. Acute pancreatitis (1,2,3) 3. Obturation jaundice (1-4,6,7) 4. The gastroduodenal ulcer (1,2,4) 5. Calculous cholecystitis (1,2,3,6,7) 6. Anacid gastritis (5); thrombophlebitis, ↑ blood coagulability (7)		1. Acute liver disease 2. Stones in the gallbladder 3. Exacerbation of peptic ulcer	1. Arrhythmias (15,16,18-21) 2. Severe hepatic failure (15,16) 3. The gastroduodenal ulcer (18) 4. Glaucoma (19-21) 5. Prostatic hypertrophy (19-21)

Cholelitholytics are medicines that promote the dissolution of bile (cholesterol) stones

Classification	Cholelitholytics	Biliary colic management
Drugs	1. Chenodeoxycholic acid (Henofalk, Henodiol) 2. Ursodeoxycholic acid (Ursofalk, Ursosan)	*Biliary or hepatic colic* is pain from a blocked bile duct. It is a complication of cholelythiasis and some other hepatobiliary diseases. *Management:* 1. *Myotropic spasmolythics* (Platyphylline 0.2 % by 2 mL IM; atropine sulfate 0.1 % by 1 mL IM; Drotaverine 2% by 2–4 mL IM, IV by drop infusion; Papaverine 2 % by 2 mL IM, IV by drop infusion) 2. For severe pain: *antispasmodics + analgesics* (Baralgin 5 mL IM, IV; Analgin 50 % by 2 mL IM; Ketorolac by 1 mL IM, right up to narcotic analgesics — promedol, tramadol).
Mechanism of action	1. ↓ cholesterol synthesis in the liver and ↓ its absorption in the intestine. *Bile containing a lot of bile acids and phospholipids, can dissolve small cholesterol gallstones in the gallbladder in about 50% of patients.*	
Pharmacological effects	1. Cholol itholytic 2. Hepatoprotective (2) 3. Cholagogue (2)	
Indications for use	1. Small cholesterol gallstones (up to 20 mm) invisible in X-rays 2. Chronic hepatitis, toxic hepatitis (2) 3. Primary biliary cirrhosis (2) 4. Primary sclerosing cholangitis (2) 5. Biliary dyskinesia (2)	
Side effects	1. Diarrhea / constipation 2. Nausea, epigastric pain 3. Increased hepatic transaminases level	
Contraindications	1. Gallstones visualized during routine radiology 2. Severe dysfunction of the intestine 3. The gastroduodenal ulcer 4. Diseases of the pancreas 5. Frequent biliary colic 6. Chronic hepatitis, cirrhosis, cholangitis	

Hepatoprotectors are drugs that increase the resistance of the liver to the effects of damaging factors, promote the restoration of its functions, increase its detoxification capabilities.

Classification	Herbal preparations	Amino acids	Complex of essential liver phospholipids	Vitamins; antioxidants
Drugs	1. Legalon (Karsil, Silymarin) 2. Bilignin 3. LIV-52 4. Hepatofalk planta	5. Ademethionine (Heptral) 6. Gepa-Merz: ornithine + aspartate	7. Essentiale (Essential phospho-ipids + vitamins (pyridoxine, cyanocobalamin, nicotinamide, panto-tenanoic acid) + fatty acids (linoleic, linolenic acids)	8. Lipoic acid (Thiocacid, Thiogamma, Thioctic acid) 9. Choline Chloride 10. Vitamins A, E, C
Mechanism of action	1. Normalization of metabolic processes and restoration of the integrity of hepatocyte cell membranes 2. ↓ peroxide oxidation of lipids (1,4)	1. Normalization of metabolic processes 2. Activation of membrane phospholipids synthesis, as well as the formation of glutathione, sulfates and taurine which have detoxifying properties (5) 3. Inhibition of urea biosynthesis (6)	1. Normalization of metabolic processes 2. Restoration of the phospholipid composition of hepatocyte membranes 3. Stimulation of interferon production, ↑ phagocytosis (8)	1. Participates in the regulation of lipid and carbohydrate metabolism, affects the exchange of cholesterol, has a detoxifying effect (8) 2. Participates in the metabolism of phospholipids, donator of methyl groups (9)
Pharmacological effects	1. Hepatoprotective 2. Lipid-lowering 3. Antioxidant (1,4)	1. Hepatoprotective 2. Antioxidant (5) 3. Antidepressant (5)	1. Hepatoprotective 2. Antioxidant	1. Hepatoprotective 2. Antioxidant (8)
Indications for use	1. Acute (toxic) hepatitis (1,3,4) 2. Chronic hepatitis, liver cirrhosis	1. Chronic hepatitis, liver cirrhosis 2. Hepatic encephalopathy (6) 3. Depressive syndrome (5)	1. Chronic hepatitis, liver cirrhosis 2. Toxic hepatitis 3. Fatty liver degeneration	1. Chronic hepatitis, liver cirrhosis 2. Hepatitis A (Botkin's disease) 3. Coronary atherosclerosis (8) 4. Neuropathies (8)
Side effects	1. Dyspeptic disorders			
Contraindications	1. Hypersensitivity	1. I and II trimesters of pregnancy	1. Hypersensitivity	
NB!	See pharmacological characteristics of vitamins in the topic «Antioxidants. Vitamins. Enzymes and antienzymes»			

Drugs for pancreatic function disturbances

Classification	Enzymes			Antienzymes
	Animal enzymes drugs	**Preparations containing pancreatin, bile components, hemicellulase, etc.**	**Vegetable drugs**	
Drugs	1. Pancreatin (Pancrenorm) 2. Pancitrate 3. Mezim-forte 5. Penzital 6. Panzinorm forte-H	6. Festal 7. Digestal 8. Enzistal 9. Panzinorm forte	7. Pepfiz 8. Oraza 9. Solizim	10. Tracerol 11.Gordox 12. Counter 13. Pantrypine
Mechanism of action	1. Split fats, proteins and carbohydrates → their absorption in the small intestine 2. ↓ abdominal pain syndrome	1. Split fats, proteins and carbohydrates → their absorption in the small intestine 2. Enzyme hemicellulase ↑ splitting of plant fiber and digestive processes → ↓ formation of gases (6-8) 2. Amino acids ↑ secretion of gastric juice, intestinal and pancreatic enzymes. Hydrochloric acid ↑ acidity of stomach contents (9)	1. Normalizes digestion, ↓ gas formation and ↑ motility of the gastrointestinal tract	1. Inhibit proteases (trypsin, chymotrypsin, plasmin) → prevent the release of biologically active polypeptides (kinin) → stabilize the permeability of capillaries, inhibit the development of edema and pancreatic necrosis
Pharmacological effects	1. ↑ digestion 2. Cholagogue (6–8)			1. Antifibrinolytic
Indications for use	1. Chronic pancreatitis with insufficient pancreatic function 2. Maldigestia and malabsorption syndrome 3. Hypo- and anacid gastritis 4. Flatulence 5. After an operation on the pancreas	1. Chronic pancreatitis with insufficient pancreatic function 2. Flatulence 3. Cholecystectomy 4. Maldigestia and malabsorption syndrome 5. Biliary dyskinesia	When intolerance to pancreatic enzymes in: 1. Chronic pancreatitis with insufficient pancreatic function 2. Flatulence 3. Errors in nutrition	1. Prevention of blood loss during operations 2. Acute pancreatitis and exacerbation of chronic pancreatitis 3. Shock
Side effects	1. Nausea, vomiting			1. Vascular thrombosis 2. Impaired renal function 3. Dyspepsia 4. Arterial hypotension
Contraindications	1. Hypersensitivity 2. Acute pancreatitis	1. Hypersensitivity 2. Hepatitis, hepatic failure, hyperbilirubinemia (5) 3. Acute pancreatitis	1. Patients with fungal and household sensitization (8) 2. Allergy to penicillins (9) 3. Hypersensitivity	1. DIC-syndrome (except for coagulopathy phase) 2. Pregnancy 3. Hypersensitivity
NB!	There are combined enzymes containing pancreatin in combination with plant enzymes, vitamins (wobenzyme, phlogenzyme).			

Acute pancreatitis management

1. No food or drink; cold (ice pack) on the epigastric area	
2. Analgesics	Opioid drugs for severe pain syndrome (Trimeperedine (promedol) subcutaneously or IV by 1 ml 1 % or 2 % every 6 hours; Tramadol by 50–100 mg IV or IM every 6–8 hours) Non-narcotic (Metamizole (analgin) IM or IV by 2 ml 50 % solution every 6-8 hours); * Morphine is not recommended: it provokes spasm of Oddy's sphincter
3. Spasmolytics	Papaverine 2 ml 2 % solution IM, drotaverine 40–80 mg 1–3 times daily IM, IV or subcutaneously
4. Muscarinic antagonists	Atropine 0,1% solution (if there are no comrtaindications) 1 ml subcutaneously twice daily; platyphylline 1–2 ml 0,2 % solution subcutaneously twice daily
5. Infusion therapy	Up to 40 ml per 1 kg of patient body mass: basic infusion solutions: saline (0,9 % sodium chloride solution), 5% or 10% dextrose solution; balanced polyionic solutions; plasma substitutes (neorondex, dextran, polyvinylpyrrolidone and others.)
Additional agents:	
6. Antisecretory agents	Proton pump inhibitors: omeprazole 20 mg twice daily Histamine H_2 receptor antagonists: famotidine IV or 20 mg orally every 12 hours
7. Enveloping and absorbing agents	Aluminum and magnesium hydroxide 1 dosing spoon 30 minutes before meals and 4 times a day in the evening, etc.
8. Antienzymes	Ovomin IV slowly, initial dose is 1500-1800 antitrypsin units per kg; maintenance dose 750–800 antitrypsin units per kg every 6 hours
9. Antibiotics	Ampicillin 1 g IM every 4-6 hours, Oxacillin (1 g IM every 4-6 hours) and others

*For vomiting - metoclopramide IM or IV 10 mg 3–4 times daily. Duration of treatment is 3–7 days.

Antidiarrheal agents are drugs for diarrhoea

Classification	**Enveloping, absorbent agents**	**Enveloping, absorbent agents**	**Myotropic spasmolytics**	**Opioid agonists**
Drugs	1. Smecta (Diosmectite) 2. Activated carbon	3. Buscopan (Hyoscine butyl bromide)	4. Papaverine hydrochloride 5. Drotaverine (No-spa) 6. Mebeverine (Duspalatin) 7. Otilonium bromide	8. Loperamide (Imodium) 9. Diphenoxylate (Reasek, Lomotil)
Mechanism of action	1. Forms polyvalent bonds with glycoproteins of mucus → ↑ the amount of mucus and improves its gastroprotective properties. Has selective sorption properties (1) 2. Adsorbs substances → prevents their absorption into the blood (2)	1. Blockage of muscarinic receptors → ↓ tone of smooth muscles of internal organs, including gastrointestinal tract, ↓ their contractile activity	1. Inhibitors of PDE → ↑ cAMP in smooth muscle cells → ↓ Ca2+ level → relaxation of the musculature and ↓ tone of smooth muscle organs including the stomach and intestines 2. Eliminate spasm with no effect on normal peristalsis (6)	1. Stimulate intestinal opioid recrptors → ↓ peristalsis, ↑ tone of intestinal sphincters, ↓ secretion of water and electrolytes. → ↓ promoting of intestinal contents * Loperamide does not pass through the BBB
Pharmacological effects	1. Adsorbing (1,2) 2. Enveloping (1) 3. Antidiarrheal	1. Anticholinergic 2. Antidiarrheal	1. Antispasmodic 2. Antidiarrheal	1. Antidiarrheal
Indications for use	1. Acute and chronic diarrhea 2. Symptomatic treatment of heartburn, swelling, discomfort in the abdomen (1,2) 3. Flatulence 4. Intoxication	1. Irritable bowel syndrome 2. Spastic pain states in cholelithiasis and urolithiasis, chronic cholecystitis	1. Irritable bowel syndrome 2. Pain in the abdomen of spastic nature 3. Renal colic (4,5) 4. Biliary dyskinesia (5)	1. Acute and chronic diarrhea
Side effects	1. Constipation 2. Black stool (2)	1. Dry mouth 2. Tachycardia 3. Retention of urination	1. Nausea, constipation (4.6) 2. AB blockade (4,5) 3. Dizziness (4-6)	1. Dizziness 2. Flatulence 3. Dry mouth (8)
Contraindications	1. Intestinal obstruction (1) 2. Gastric bleeding (2) 3. The gastroduodenal ulcer (2)	1. Glaucoma 2. Prostatic hypertrophy	1. AV-blockage (4) 2. Glaucoma (4) 3. Prostatic hypertrophy (5)	1. Acute dysentery 2.Nonspecific ulcerative colitis 3.Intestinal obstruction
NB!	Drugs for flatulence — are local-acting drugs that: 1. Absorb gases in the intestine and stomach (Charcoal); 2. ↓ surface tension at the interface between the liquid contents of the gastrointestinal tract and gas bubbles and destroy these gas bubbles (Simethicone, Dimethicone). Combined drug alverine + simethicone = Meteospasmil. Side effects: voilate absorption of nutrients and medicinal substances at simultaneous reception with the activated coal, occasionally allergies (simethicone) and constipation (dimethicone).			

Laxatives are drugs that ↑ motility of the intestine and cause the elimination of semiliquid or liquid feces

Classification	Vegetable fibers	Vegetable fibers	Irritants of intestinal receptors (contact laxatives)	Softening feces
Drugs	1. Methylcellulose	2. Magnesium sulfate (Cormagnesin) 3. Sodium sulfate (Glauber's salt) 4. Lactulose (Dufalac, Fortrans)	5. Castor oil *Preparations of Senna, rhubarb, buckthorn, etc., containing anthraglycosides:* 6. Senadexin *Synthetic:* 7. Bisacodyl	8. Vaseline oil 9. Olive oil 10. Sunflower oil
Mechanism of action	1. Increase in the volume of intestinal contents → irritation of the mechano-receptors and laxative effect	1. Create high osmotic pressure in the lumen of the intestine and delay the absorption of water → ↑ content volume → mechanical stimulation of bowel function, ↑ its motor activity and accelerated evacuation * *Lactulose* acts only *in the large intestine!*	1. Is splited by lipase in the small intestine to form ricinoleic acid → it causes irritation of the intestinal receptors throughout its entire length and reflexively ↑ peristalsis (5) 2. Irritate bowel receptors → ↑ peristalsis and evacuation of intestinal contents (6,7)	1. Isn't absotbed and softens the stool (8) 2. Softens the stool and ↑ intestinal motility (9–10)
Pharmacological effects	1. Laxative	1. Laxative 2. Cholagogue, hypotensive, antiarrhythmic (4)	1. Laxative	1. Laxative 2. Cholagogue (9–10)
Indications for use	1. Chronic constipation	1. Acute poisoning 2. Preparation for colon examination (4) 3. Chronic constipation (4) 4. Prevention of encephalopathy in portal cirrhosis (4)	1. Chronic constipation 2. Preparation for colon examination (5.7) 3. After the operation of removal of hemorrhoids to prevent physical efforts in case of heart attack and stroke	1. Chronic constipation 2. After the removal of hemorrhoids (9-10)
Side effect	1. Flatulence	1. Nausea, vomiting 2. IV: sensation of heat (2) 3. IV: bradycardia (2) 4. Electrolyte disturbances (2,3)	1. Atony of the intestine when prolonged use 2. Proteinuria, hematuria (6) 3. Convulsions, muscle weakness (6,7)	1. Atony of the intestine (8) 2. Deficiency of vitamins E, A, K (8)
Contraindications	1. Intestinal obstruction 2. Severe constipation 3. Anal bleeding of unknown nature 4. Appendicitis	1. Severe bradycardia, AV blockade (2) 2. Severe chronic renal failure (2) 3. Appendicitis, intestinal obstruction 4. Galactosemia (4)	1. Hypersensitivity 2. Intestinal obstruction 3. Appendicitis, diverticulitis 4. Ulcerative colitis, Crohn's disease	1. Hypersensitivity 2. Intestinal obstruction 3. Fever (8) 4. Ulcerative colitis, Crone desease 5. Cholecystitis, biliary dyskynesia

RECCOMENDED READING

Basically:

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

Additional:

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

Electronic databases:

3. Oxford Medicine Online [Electronic resource] / Oxford University Press. — Access mode: www.oxfordmedicine.com. — Date of access: 09.11.2017.

4. Oxford ACADEMIK Journals [Electronic resource] / Oxford University Press. — Access mode: http://www.oxfordjournals.org. — Date of access: 09.11.2017.

5. Scientific electronic library eLIBRARY.RU [Electronic resource]. — Access mode: https://elibrary.ru/. — Date of access: 09.05.2017.

6. Student consultant. Electronic library of medical high school [Electronic resource] / Publishing group «GEOTAR-Media», LLC «IPPO». — Access mode: http://www.studmedlib.ru. — Date of access: 09.05.2017.

7. Springer Link [Electronic resource] / Springer International Publishing AG. — Access mode: https:link.springer.com. — Date of access: 09.11.2017.

8. Scopus [Electronic resource] / Mode of access: https:// www.scopus.com. — Date of access: 09.11.2017.

9. The BMJ (British Medical Journal) [Electronic resource] // Mode of access: http://www.bmj.com/archive. — Date of access: 09.11.2017.

Учебное издание

Михайлова Елена Ивановна
Саварина Валерия Александровна
Бронская Галина Михайловна и др

КРАТКО О ЛЕКАРСТВЕННЫХ СРЕДСТВАХ

В двух частях
Часть 1
(на английском языке)

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

Редактор ***Т. М. Кожемякина***
Компьютерная верстка ***Ж. И. Цырыкова***

Подписано в печать 03.04.2020.
Формат 60×84$^{1}/_{8}$. Бумага офсетная 70 г/м^{2}. Гарнитура «Таймс».
Усл. печ. л. 6,51. Уч.-изд. л. 7,12. Тираж 160 экз. Заказ № 146.

Издатель и полиграфическое исполнение:
учреждение образования «Гомельский государственный медицинский университет».
Свидетельство о государственной регистрации издателя,
изготовителя, распространителя печатных изданий № 1/46 от 03.10.2013.
Ул. Ланге, 5, 246000, Гомель