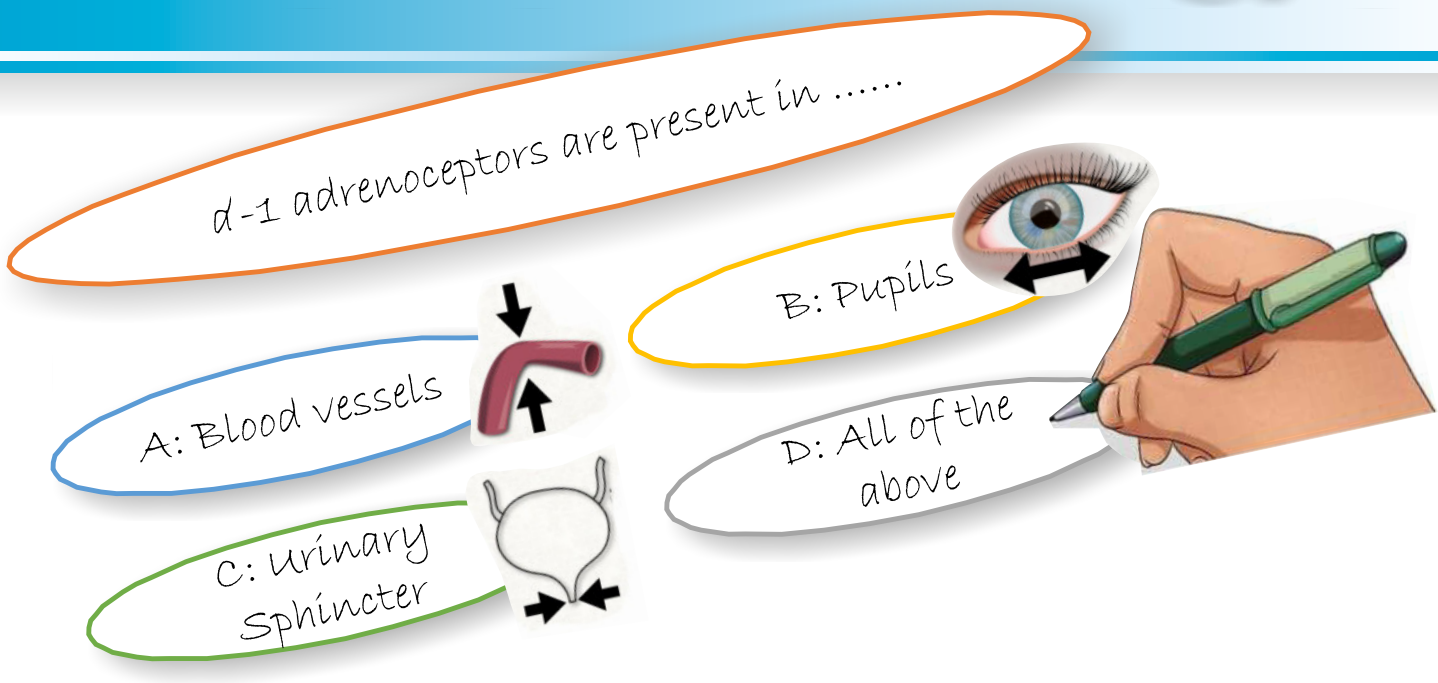
O.V. BONDAR

Tasks for Practical Lessons in Pharmacology



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KAZAN FEDERAL UNIVERSITY

O.V. BONDAR

TASKS FOR PRACTICAL LESSONS IN PHARMACOLOGY

Pedagogical tool for experiential instruction in the course "Basic Pharmacology"

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Pedagogical resource for facilitating in-class and self-directed supplementary study of third-year students in the medical faculty, specializing in "General Medicine" and "Dentistry", in preparation for practical sessions in the "Basic Pharmacology" course.

This educational and methodological guide comprises assessment exercises aimed at assessing proficiency in drug nomenclature, drug classification, mechanism of action, side effects, and proper utilization, alongside situational scenarios that reflect real-world challenges encountered by medical practitioner.

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Introduction

The teaching aid has been specifically developed to cater to third-year students in the medical field, specializing in "General Medicine" and "Dentistry," who are enrolled in the course "Basic Pharmacology." This comprehensive instructional resource serves dual purposes, facilitating both classroom engagement during practical sessions and independent extracurricular study.

Part I of the educational and methodological tutorial, titled "Tasks for Practical Lessons in Pharmacology," encompasses various thematic sections, including general pharmacology, drugs regulating autonomic nervous system functions, and drugs regulating central nervous system functions. The tutorial features a range of test tasks designed to assess students' understanding and knowledge of specific drug classes and their characteristics, such as mechanism of action, side effects, and pharmacokinetics.

The test tasks are divided into two categories. The first category comprises direct questions that assess fundamental concepts, focusing on the mechanism of action, side effects, and pharmacokinetics of individual drugs or drug groups. The second category comprises situational tasks that simulate scenarios encountered by attending physicians in real medical practice. These tasks present patient-specific syndromes as introductory conditions and require students to apply their pharmacological knowledge to propose appropriate solutions.

By engaging with these test problems, students can enhance their comprehension of the lecture material, consolidate their learning, and effectively prepare for their examinations.

List of main abbreviations

5-HT2, 5-HT3 - receptors that bind the endogenous neurotransmitter serotonin (5-hydroxytryptamine, 5-HT)
Ach – Acetylcholine
AV – atrioventricular
cAMP – cyclic adenosine monophosphate a second massager
Cl – clearance
CNS – central nerve system
COMT - catechol-O-methyltransferase is one of several enzymes that degrade dopamine, epinephrine, and norepinephrine.
COPD – chronic obstructive pulmonary disease
COX – cyclooxygenase enzyme
DAG – diacylglycerol an important signaling lipid
DAT/NET - monoamine transporters remove excess dopamine (DAT), noradrenaline (NET), and serotonin (SERT) from the extracellular space
DNA - deoxyribonucleic acid
FDA – Food and Drug administration
GABA - gamma-aminobutyric acid is the most important inhibitory neurotransmitter
GIT – gastrointestinal tract
IOP – intraocular pressure
IP2 – inositol bisphosphate an important signaling lipid
IP3 – inositol triphosphate an important signaling lipid
Ld – loading dose
MAO - monoamine oxidases are a family of enzymes that catalyze the oxidation of monoamines
Md – maintenance dose
MI – myocardial infarction
NE – Norepinephrine
NMDA - N-methyl-D-aspartate receptor is a glutamate receptor and ion channel found in neurons
NSAIDs – nonsteroidal anti-inflammatory drugs
pKa – log of the acid dissociation constant
SNRI – serotonin-norepinephrine reuptake inhibitors
SSRI – selective serotonin reuptake inhibitors
TC – target blood plasma concentration
TCA – tricyclic antidepressants
Vd – volume of distribution
VMAT - vesicular monoamine transporter involved in the packaging and transport of monoamines to storage vesicles located in nerve terminals

LESSON 1

Topic: Pharmacodynamics. Pharmacokinetics.

Goal: To explore the historical background of pharmacology, sources of natural and synthetic drugs, characteristics of drug development, and the various stages involved in preclinical and clinical drug trials. Additionally, to comprehend the mechanisms underlying drug interactions with target receptors, subsequent activation of intracellular signaling pathways, and the resulting biological response. To construct a dose-response curve for an agonist and different types of antagonists. Finally, to investigate the distinctive aspects of drug absorption, distribution, metabolism, and elimination within the human body

Test Tasks

1) Which of the following kinetic rules obey the absorption and elimination of most drugs known in clinical practice?

(A) Michaelis-Menten elimination
(B) Zero-order elimination
(C) First-pass effect
(D) First-order elimination
(E) Reabsorption in kidney

2) What drug is more likely to be excreted by the kidney?

(A) Less water soluble, lipid soluble drug
(B) Fully ionized polar small molecule
(C) Drug which strongly bond to plasma protein
(D) Big protein as a drug
(E) Drug incorporated into liposome vesicle

3) Diuretic A, administered at a dose of 5 mg, induces an equivalent reduction in blood pressure compared to 500 mg of diuretic B. Which of the following statements best characterizes these findings?

(A) Diuretic A is more efficacious than diuretic B
(B) Toxicity of diuretic A is less than that of diuretic B
(C) Diuretic A is about 100 times more potent than diuretic B
(D) Diuretic A has a wider therapeutic window than diuretic B

(E) Diuretic A has a longer half-life than diuretic B

4) A patient has ingested a substantial dose of Propranolol, resulting in severe bradycardia as a manifestation of intoxication. Propranolol is classified as a weak base with a pKa of 9.5. Which of the following strategies would be the most appropriate approach for managing this poisoning scenario?

(A) In ionized form of the drug is able to cross the blood-brain barrier
(B) Immediate oral administration of sodium bicarbonate (NaHCO3), an alkalinizing agent.
(C) The drug would exhibit a higher level of ionization at intestinal pH compared to stomach pH.
(D) Slower absorption of the drug would occur from the intestine in comparison to the stomach.
(E) Immediate oral administration of ammonium chloride (NH_4Cl), an acidifying agent.

5) In order to produce a biological response, Dexamethasone must permeate the plasma membrane and bind to intracellular glucocorticoid receptor. Based on this information, predict certain properties of Dexamethasone and the duration of its action.

(A) Dexamethasone is a highly water-soluble molecule with a long duration of action lasting up to 72 hours.
(B) Dexamethasone is lipid-soluble molecule with a long duration of action lasting up to 72 hours.
(C) Dexamethasone is a highly water-soluble molecule with a short duration of action of approximately 30 minutes.
(D) Dexamethasone is lipid-soluble molecule with short duration of action of about 30 minutes
(E) Dexamethasone is amphiphilic molecule with a moderate duration of action lasting around 5 hours

6) The table presents the average values of systolic blood pressure decrease observed during monotherapy with different doses of antihypertensive drugs. Choose the correct statement regarding the drugs presented.

Drug dose (mg/kg)	Decrease in systolic blood pressure (mm Hg)		
	Enalapril	Metoprolol	Furosemide
2	-4	-5	-15
5	-8	-10	-22
10	-10	-15	-23
15	-14	-19	-24
20	-16	-28	-23

(A) Furosemide is the most effective
(B) Furosemide is the most effective, but Metoprolol is the most potent
(C) Metoprolol is the most potent
(D) Furosemide is less potent than Enalapril
(E) Furosemide is the most potent, but Metoprolol is the most effective

7) Verapamil is indicated for the treatment of hypertension, chest pain (angina), supraventricular arrhythmia, and atrial fibrillation. It is recommended to administer Verapamil three times a day at a dose of 80 mg. The plasma concentration of Verapamil at this dosage is expected to reach a stable level of approximately 4 mg/L. Calculate the clearance of Verapamil.

(A) 320 L/d
(B) 2.5 L/h
(C) 3 L/d
(D) 20 L/h
(E) 30 L/min

8) The child ingested a significant dose of Triazolam while playing and was discovered unconscious at 10 am. At 2 pm on the same day, the blood plasma concentration of Triazolam was measured to be 0.05 mg/L. Given that the half-life of Triazolam is 2 hours and the volume of distribution is 30 liters, we need to determine the amount of the hypnotic drug the child took at 10 am.

(A) 1.5 mg
(B) 3 mg
(C) 60 mg
(D) 6 mg
(E) 12 mg

9) Phenytoin undergoes hepatic metabolism for its elimination from the body and possesses a clearance rate of 0.1 L/min. How does the consumption of grapefruit juice impact the clearance of Phenytoin?

(A) Grapefruit juice will decrease the clearance of Phenytoin
(B) Grapefruit juice will increase the volume of distribution of Phenytoin
(C) Grapefruit juice will increase the first-pass effect for Phenytoin
(D) Grapefruit juice will decrease the half-life of Phenytoin
(E) Grapefruit juice will increase the hepatic extraction of Phenytoin

10) Phenobarbital, with a pKa of 7.4, is classified as a weak acid. Predict the fraction of the drug that will exist in a lipid-soluble form and be available for absorption in the small intestine at a pH of 8.4.

(A) 1 %
(B) 100 %
(C) 20 %
(D) 10 %
(E) 99 %

Answers

1- Both the absorption and elimination of most drugs typically adhere to first-order kinetics, as their recommended doses are typically small and do not saturate the enzymes and bodily systems involved in their absorption and excretion. Consequently, the elimination rate of these drugs increases in a linear fashion with rising drug concentration. However, certain substances such as ethanol, and at higher doses, acetylsalicylic acid and phenytoin, exhibit zero-order kinetics. This means that their elimination rates remain constant irrespective of blood concentration. Furthermore, drugs that follow Michaelis-Menten kinetics can exhibit both first-order kinetics at low doses and zero-order kinetics at high saturation doses. **The answer is D, first-order elimination.**

2- As a consequence of metabolic conversions occurring primarily in the liver, and potentially other organs, initially less water-soluble and neutral drug molecules undergo transformations leading to the formation of more water-soluble and polar metabolites. In the renal glomeruli, low molecular weight substances are filtered from the blood plasma. However, within the tubules, hydrophobic neutral molecules are reabsorbed and subsequently reintroduced into the bloodstream, while water-soluble

and polar compounds are excreted. **The answer is B, fully ionized polar small molecule.**

3- If substance A, administered at a lower dose, produces an equivalent effect to substance B at a higher dose, we can conclude that substance A is more potent than substance B. However, if substance A, at its maximum tolerated dose, results in a smaller maximum effect compared to substance B, also taken at its maximum dose, substance A is considered less effective than substance B. **The answer is C, diuretic A is about 100 times more potent than diuretic B.**

4- Weak base dissociation in water follows the reaction: $R\text{-}NH_2 + H^+ \leftrightarrow R\text{-}NH_3^+$. If the pKa of the weak base is less than the pH of the surrounding environment, the environment is considered more basic. Consequently, the weak base will remain in its neutral form, $R\text{-}NH_2$. Conversely, if the pKa of the weak base is greater than the pH of the environment, the environment is deemed more acidic. In such cases, the weak base will donate additional H^+ ions to the base, causing it to exist in its cationic form, $R\text{-}NH_3^+$.

Weak bases typically exhibit enhanced absorption in basic environments, such as the duodenum. In cases of poisoning involving a weak base, it becomes necessary to administer a weak acid to decrease the pH of the medium. This decrease in pH helps reduce drug absorption while simultaneously promoting its excretion via the kidneys. **The answer is E, we must immediately prescribe ammonium chloride NH_4Cl, an acidifying agent, orally.**

5- Since Dexamethasone necessitates the ability to cross cell membranes and bind to intracellular receptors to elicit its effects, it must possess a high degree of hydrophobicity or lipid solubility. Dexamethasone falls under the category of glucocorticoids, which bind to intracellular receptors, subsequently activating them. Following this receptor-effector complex formation, it diffuses into the nucleus and binds to specific DNA sites, thereby regulating gene expression.

Steroid hormones exert their effects after a characteristic delay period of 30 minutes to several hours, representing the time required for protein synthesis. However, even after the agonist concentration has diminished to zero, the effects of these agents can persist for hours or even days due to the relatively slow turnover of enzymes and proteins. **The answer is B, dexamethasone is lipid soluble molecule with long duration of action up to 72 hours.**

6- After the administration of Metoprolol, the most significant decrease in systolic pressure (28 mm Hg) is observed, indicating that Metoprolol is the most effective drug in this context. However, when comparing the effects of different

drugs, it is noted that Furosemide, administered at a lower dose of 2 mg/kg, produces an equivalent effect to Metoprolol at a dose of 10 mg/kg and Enalapril at a dose of 20 mg/kg. This suggests that Furosemide is more potent than both Metoprolol and Enalapril. **The answer is E, Furosemide is most potent, but Metoprolol is most effective.**

7- Verapamil is administered three times daily to achieve and maintain a stable steady-state blood plasma concentration of 4 mg/L. This maintenance dose of Verapamil is determined using the formula: Dosing rate = Cl × TC (target drug plasma concentration). By applying this formula, the clearance can be calculated as follows: Cl = ((80 + 80 + 80) mg / 24 h) / 4 mg/L = 2.5 L/h. **The answer is B, 2.5 L/h.**

8- Since we know that Triazolam was taken as a single dose, we need to employ the equation for the loading dose calculation, which is given by: Loading dose = Vd × TC. Considering that two half-lives have elapsed since the drug intake, the measured plasma concentration amounts to only 25% of the initial maximum concentration, equivalent to 0.2 mg/L. Therefore, the loading dose can be calculated as follows: Ld = 30 L × 0.2 mg/L = 6 mg. **The answer is D, 6 mg.**

9- It is widely recognized that grapefruit juice has the capability to inhibit liver cytochromes P450. As a result, consuming grapefruit juice can lead to a decrease in clearance and an increase in the potential toxicity of drugs that are metabolized by hepatic cytochromes. **The answer is A, grapefruit juice will decrease the clearance of Phenytoin.**

10- The Henderson-Hasselbalch equation provides insights into the ratio of protonated to unprotonated forms of a drug, which changes depending on the pH of the medium relative to the drug's pKa. Specifically, the ratio transitions from 1/1 at a pH equal to the drug's pKa, to 1/10 if the pH is 1 unit more alkaline than the pKa, and further to 1/100 if the pH is 2 units more alkaline.

Considering the small intestine's pH, which is typically 1 unit higher than the pKa of Phenobarbital, the weak acid (Phenobarbital) is predominantly present in its charged, water-soluble form. As a result, only around 10% of the substance will be present in the lipid-soluble form within the small intestine. **The answer is D, 10 %.**

LESSON 2

Topic: Cholinomimetics. Cholinergic receptor Blockers.

Goal: To acquire knowledge about the locations and types of acetylcholine receptors in the major organ systems, as well as understanding the pharmacokinetics and pharmacodynamics of direct- and indirect-acting cholinomimetics and their primary clinical applications. To be familiar with the significant signs and symptoms associated with organophosphate insecticide poisoning and acute nicotine toxicity. Additionally, gaining familiarity with the effects of cholinoceptor blockers on major organ systems and the symptoms of overdose. Lastly, comprehending the major clinical indications and contraindications for the use of muscarinic and nicotinic antagonists.

Test Tasks

1) Specify an indirect short-acting cholinomimetic which acts as an inhibitor of the cholinesterase enzyme.

(A) Parathion
(B) Neostigmine
(C) Edrophonium
(D) Echothiophate
(E) Physostigmine

2) Signs and symptoms of muscarinic agonist overdose include all of the following, **except**….

(A) CNS stimulation
(B) Miosis and spasm of accommodation
(C) Bronchoconstriction
(D) Excessive gastrointestinal activity
(E) Direct increase in heart rate and force
(F) Vasodilation
(G) Increased secretory activity (sweat glands, airway, GI tract, lacrimal glands)

3) Prescribe a lipophilic cholinergic agent that is capable of entering the central nervous system (CNS) and is used for Alzheimer's therapy.

(A) Malathion
(B) Metrifonate
(C) Ambenonium
(D) Rivastigmine
(E) Edrophonium

4) Which drug can be effectively utilized for the diagnosis of Myasthenia Gravis?

(A) Edrophonium
(B) Varenicline
(C) Succinylcholine
(D) Pyridostigmine
(E) Nicotine

5) Patients undergoing abdominal surgery frequently experience complaints of insufficient intestinal motility, bloating, and obstruction. Additionally, these patients may encounter difficulties with urination. Prescribe medication to alleviate these postoperative symptoms.

(A) Pirenzepine
(B) Hexamethonium
(C) Bethanechol
(D) Varenicline
(E) Succinylcholine

6) A patient complains of recent onset of weakness of her hands and legs, droopy eyelids, diplopia, slurred speech, shortness of breath. Prescribe an effective drug for the treatment of Myasthenia Gravis.

(A) Edrophonium
(B) Pyridostigmine
(C) Veranicline
(D) Succinylcholine
(E) Scopolamine

7) Which of the following effects can induce the use of Bethanechol?

(A) Relaxation of skeletal muscle
(B) Vasoconstriction
(C) Decrease bladder tone
(D) Decreased heart rate
(E) Decreased gastrointestinal motility

8) Prescribe an appropriate cholinomimetic to treat Glaucoma.

(A) Physostigmine
(B) Pyridostigmine
(C) Neostigmine
(D) Edrophonium
(E) Bethanechol

9) What type of poisoning can be effectively treated with Pralidoxime?

(A) Direct-acting muscarinic agonist poisoning
(B) Carbamates poisoning
(C) Organophosphate poisoning
(D) Direct-acting nicotinic agonist poisoning
(E) Sympathomimetic agent poisoning

10) The provided list comprises symptoms of muscarinic antagonist poisoning, but one of the symptoms is incorrect. Identify the incorrect symptom.

(A) Intense flushing of the face and trunk
(B) Hyperthermia and fever
(C) Initial Bradycardia then Tachycardia
(D) Urinary retention and constipation
(E) Postural hypotension

11) Prescribe an inhalable anticholinergic agent that can be used in the treatment of Asthma and COPD.

(A) Pirenzepine
(B) Ipratropium
(C) Atropine
(D) Benztropine

(E) Biperiden

12) Prescribe an M1 selective drug to reduce intragastric acidity and treat peptic ulcer.

(A) Tiotropium
(B) Cyclopentolate
(C) Homatropine
(D) Pirenzepine
(E) Mecamylamine

13) After prolonged use of antipsychotics from the phenothiazine group, a patient developed several disorders: tremor, motor retardation, and facial hyperkinesis. You have concluded that these symptoms are indicative of an extrapyramidal disorder caused by the prevalence of cholinergic neurotransmission over dopaminergic activity. Prescribe an effective anticholinergic therapy.

(A) Atropine
(B) Aclidinium
(C) Methscopolamine
(D) Oxybutynin
(E) Benztropine

14) Prescribe an intravenous drug for emergency assistance in managing a hypertensive crisis.

(A) Pralidoxime
(B) Trimethaphan
(C) Edrophomium
(D) Succinylcholine
(E) Benztropine

15) Choose a drug that causes vasodilation.

(A) Varenicline
(B) Neostigmine
(C) Bethanechol
(D) Pyridostigmine

(E) Malathion

Answers

1- Parathion and Echothiophate are organophosphate compounds that are highly lipid soluble and have a very long duration of action, measured in several days. Neostigmine and Physostigmine are cholinesterase inhibitors belonging to the carbamate group, and their action is of moderate duration, lasting 2-4 hours. As for short-acting cholinesterase inhibitors, there is only one agent, highly polar Edrophonium, with a duration of action of 5-10 minutes, which should only be administered intravenously. **The answer is C, Edrophonium.**

2- All of the listed symptoms are characteristic of muscarinic agonist poisoning. However, direct increase in heart rate and force does not align with these symptoms since cholinomimetics generally induce a decrease in the contractile activity of the heart, resulting in bradycardia. But due to their action on endothelial non-innervated M3 receptors, small to moderate amounts of direct-acting muscarinic agonists can cause vasodilation and then reflex tachycardia following direct bradycardia; however, indirect cholinomimetics act only at synapses and do not cause vasodilation and reflex tachycardia. **The answer is E, Direct increase in heart rate and force.**

3- For the treatment of Alzheimer's, some acetylcholinesterase inhibitors (Rivastigmine, Galantamine, Donepezil, Tacrine) from the group of carbamates are used, which are characterized by high lipophilicity and the ability to penetrate into the CNS. A portion of their action may be due to other, unknown mechanisms. Ambenonium is a carbamate used to treat Myasthenia Gravis, Edrophonium is an ultra-short acting and highly polar alcohol cholinesterase inhibitor. Malathion and Metrifonate belong to organophosphate acetylcholinesterase inhibitors and are used in medicine as scabicide and antihelminthic agent, respectively. **The answer is D, Rivastigmine.**

4- Edrophonium is used in the diagnosis of Myasthenia Gravis, and in differentiating myasthenic crisis from cholinergic crisis to adjust the dose of indirect-acting cholinomimetic. Both conditions are characterized by muscle weakness. The cholinergic Edrophonium, as an indirect-acting cholinesterase inhibitor, will improve muscle strength in myasthenic crisis, necessitating an increase in the dose of cholinomimetic. If Edrophonium weakens muscles, it indicates a cholinergic crisis and overdose of a cholinomimetic, the dose of which should be decreased. Longer

acting Neostigmine and Pyridostigmine are used to treat Myasthenia. **The answer is A, Edrophonium.**

5- Pirenzepine **(A)** and Hexamethonium **(B)** are cholinergic blockers. Varenicline **(D)** and Succinylcholine **(E)** are nicotinic agonists. The direct-acting muscarinic agonist Bethanecol **(C)** is used to treat bladder and bowel atony after surgery or spinal cord injury. A moderate dose of Neostigmine is also effective in this condition. **The answer is C, Bethanechol.**

6- In the treatment of Myasthenia Gravis, it is necessary to increase cholinergic activity; therefore, acetylcholinesterase inhibitors are used, in particular carbamates - Neostigmine, Pyridostigmine, which are characterized by an intermediate duration of action (2-8 hours). Edrophonium is only suitable for diagnostic purposes due to its short duration of action. Varenicline and Succinylcholine are nicotinic agonists, Scopolamine is an antimuscarinic agent. **The answer is B, Pyridostigmine.**

7- The use of the direct-acting cholinomimetic Bethanechol will cause vasodilation through its action on non-innervated muscarinic receptors. It will also increase bladder tone and promote urination, slow heart rate, decrease gastrointestinal motility, and induce sweating. Ganglion cells and the end plate contain nicotinic receptors, but Bethanechol, being a muscarinic agonist, has no effect on neuromuscular transmission. **The answer is D, Decreased heart rate.**

8- Muscarinic agonists cause spasm of accommodation or cyclospasm (accommodation for near vision) due to the ciliary muscle contraction in the eye. This may result in a desirable increase in the outflow of aqueous humor and a decrease in intraocular pressure, benefiting acute angle-closure glaucoma and chronic open-angle glaucoma. Drugs used for their effects on the eye must be sufficiently lipid-soluble to cross eye barriers. Pyridostigmine (B), Neostigmine (C), and Bethanechol (E) are moderately polar; Edrophonium (D) is highly polar. Indirect Physostigmine (A) and direct Pilocarpine are lipid-soluble and can be used topically to treat Glaucoma. **The answer is A, Physostigmine.**

9- Pralidoxime contains an oxime group, which has an extremely high affinity for the phosphorus atom in organophosphate insecticides such as parathion or nerve gases. Parathion is not effective in poisoning caused by carbamates and direct-acting cholinomimetics. **The answer is C, Organophosphate poisoning.**

10- The A, B, C, D answers do list the true symptoms of muscarinic antagonist poisoning. Other symptoms include blurred vision due to mydriasis with cycloplegia,

dry skin and mouth, bronchodilation, amnesia, and hallucinations. However, postural hypotension is a hallmark of ganglion blocker poisoning, which interrupts sympathetic control of arteriolar and venous tone. **The answer is E, Postural hypotension.**

11- Ipratropium, Tiotropium, and Aclidinium are antimuscarinic nonselective agents used by inhalation to promote bronchodilation in asthma and chronic obstructive pulmonary disease (COPD). Although not as efficacious as β-agonists, they are less likely to cause tachycardia and cardiac arrhythmias in sensitive patients. They have very few antimuscarinic effects outside the lungs because they are poorly absorbed. **The answer is B, Ipratropium.**

12- Of this list, only Pirenzipine is an M1 selective blocker and is used in Europe to treat hyperacidity and peptic ulcer disease. Atropine, Methscopolamine, and Propantheline were also used in the past to reduce acid secretion in acid-peptic disease, but are now obsolete. Tiotropium, Cyclopentolate, and Homatropine are non-selective muscarinic antagonists, while Mecamylamine refers to ganglionic blockers. **The answer is D, Pirenzepine.**

13- Antimuscarinic nonselective Benztropine is used parenterally to treat acute dystonias caused by first-generation antipsychotic medication. Benztropine, Biperiden, and Trihexyphenidyl can be used against Parkinsonism but are less effective than Levodopa. **The answer is E, Benztropine.**

14- Trimethaphan is a short-acting ganglion blocker that can be administrated intravenously for hypertensive emergencies and controlled hypotension. Other ganglion blockers (Hexamethonium, Mecamylamine) have been widely used for hypertension, but they have now been abandoned due to severe side effects (reflex tachycardia). **The answer is B, Trimethaphan.**

15- Direct-acting cholinomimetic (Bethanechol) (C) causes vasodilation through its action on muscarinic receptors on the endothelium of blood vessels. Indirect-acting agents (Neostigmine (B), Pyridostigmine (D), Malathion (E)) cannot cause vasodilation because the endothelial receptors are not innervated, and acetylcholine is not released at this site. Varenicline (A) is a nicotinic agent that causes hypertension. **The answer is C, Bethanechol.**

LESSON 3

Topic: Sympathomimetics. Adrenoceptor Blockers.

Goal: To study the functional activity of adrenergic synapses, the localization of alpha- and beta-adrenergic receptors in tissues and organs, and their physiological role. To study the classification of sympathomimetics of direct and indirect action, their significance for the treatment of internal diseases, and features of their use in clinical practice, including side effects. Similarly, to become acquainted with adrenoreceptor blockers and sympatholytics of indirect action.

Test Tasks

1) Postganglionic neurons located in this organ or tissues use Acetylcholine as their primary neurotransmitter but are innervated by the sympathetic nervous system. Specify this system. Other organs and tissues innervated by the sympathetic nervous system use norepinephrine or dopamine as neurotransmitters at neuromuscular junctions.

(A) Skeletal muscles
(B) Sweat glands
(C) Cardiac muscle
(D) Renal vascular smooth muscle
(E) Nerve terminals

2) Select a drug from the following list that enhances the action of sympathetic transmission.

(A) Reserpine
(B) Metyrosine
(C) Amphetamine
(D) Guanethidine
(E) Bretylium

3) What sympathomimetic drug does not penetrate the CNS?

(A) Cocaine
(B) Amphetamine
(C) Tricyclic antidepressants
(D) Epinephrine

(E) Ephedrine

4) What effects are associated with the excitation of pre-synaptic α2-adrenergic receptors?

(A) Vasodilation
(B) Decrease release of neurotransmitters from the nerve endings
(C) Contraction of pupils
(D) Relaxation of muscles of bronchial tubes

5) A patient develops open-angle glaucoma due to high blood pressure. Prescribe the appropriate drug for therapy.

(A) Albuterol
(B) Dopamine
(C) Dobutamine
(D) Yohimbine
(E) Brimonidine

6) Match each agonist with its effect on cardiac activity.

(A) α-agonist	**1.** Increase heart rate
(B) β-agonist	**2.** Direct increase and reflex decrease in heart rate
(C) nonselective α- and β-agonist	**3.** Reflex decrease heart rate

7) Indicate an appropriate drug, which can be used as a decongestant in the treatment of rhinitis?

(A) Phentolamine
(B) Naphazoline
(D) Isoproterenol
(C) Prazosin
(E) Yohimbine

8) The inhaled form of …….. is used as a fast-acting bronchodilator in the treatment of acute asthmatic bronchospasm. Also, this drug can be used to suppress premature labor.

(A) Dobutamine
(B) Propranolol
(D) Isoproterenol
(C) Terbutaline
(E) Phenylephrine

9) Identify from the following a drug that can be effectively used to treat chronic heart failure, but is contraindicated in acute heart attack, as it can worsen the situation.

(A) Dobutamine
(B) Prazosin
(D) Atenolol
(C) Albuterol
(E) Phentolamine

10) Nonselective alpha blockers reverse the vasoconstrictor action of alpha agonists and are extremely effective against hypertension. Why is their clinical use limited?

(A) They induce bradycardia
(B) They cause miosis and an accommodation spasm
(C) They produce bronchospasm
(D) They induce baroreceptor reflex-tachycardia
(E) They produce retention of urine

11) What drug is preferable for signs of cardiac arrest, what is the treatment of choice?

(A) Phenylephrine
(B) Nadolol
(C) Isoproterenol
(D) Tyramine
(E) Apraclonidine

12) A 60-year-old man complains about angina pectoris and arrhythmias. He also has open-angle glaucoma. Which of the following drugs would be the most appropriate initial therapy?

(A) Timolol
(B) Yohimbine
(C) Albuterol
(D) Prazosin
(E) Clonidine

13) This sympathetic agent can cause acute bronchospasm, so its clinical application is restricted. Indicate this drug.

(A) Albuterol
(B) Yohimbine
(C) Atenolol
(D) Prazosin
(E) Butoxamine

14) Prescribe the drug of choice for the treatment of shock-related renal failure.

(A) Phenilephrine
(B) Yohimbine
(C) Isoproterenol
(D) Dopamine
(E) Ephedrine

15) Choose a drug that causes vasoconstriction when applied to mucous membranes or when given intravenously, but when taken orally, dilates blood vessels and lowers blood pressure.

(A) Dobutamine
(B) Prazosin
(D) Atenolol
(C) Albuterol
(E) Clonidine

Answers

1- Sweat glands are innervated by the sympathetic nervous system via ganglia but use acetylcholine as a neurotransmitter at neuron-gland synapses. Other organs and tissues innervated by the sympathetic nervous system use norepinephrine or

dopamine as neurotransmitters at neuromuscular junctions. **The answer is B, sweat glands**.

2- Amphetamine acts on the VMAT and DAT/NET transporters, causing them to work in the opposite direction, releasing more sympathetic neurotransmitters into the synaptic cleft. The other drugs mentioned (Reserpine, Metyrosine, Guanethidine, Bretylium) work as indirect sympathetic blockers, decreasing the release of neurotransmitters through various mechanisms. **The answer is C, Amphetamine**.

3- The endogenous agonists (Epinephrine, Norepinephrine, and Dopamine) of adrenergic receptors, after release from nerve endings, are rapidly taken up by NET or DAT transporters and metabolized by COMT and MAO. That is why they have a short duration of action, are relatively inactive when taken orally, and must be given parenterally; they do not enter the CNS. Cocaine, Amphetamine, Tricyclic antidepressants, Ephedrine are resistant to MAO and COMT, orally active; have a prolonged action, and can enter the CNS. **The answer is D, Epinephrine**.

4- There are pre- and postsynaptic α2-adrenergic receptors. In the CNS, activation of presynaptic α2-adrenergic receptors is accompanied by a decrease in the release of neurotransmitters into the synaptic cleft in a negative feedback manner. This causes sedation, muscle relaxation, and pain relief. Peripheral postsynaptic α2-adrenergic receptors are located on the smooth muscle cells of certain blood vessels, such as in the arterioles of the skin or in veins, where they cause vasoconstriction. **The answer is B, decrease release of neurotransmitters from the nerve endings**.

5- The alpha2-adrenergic receptor agonist Brimonidine effectively lowers IOP and is useful as monotherapy, adjunctive therapy, and replacement therapy in open-angle glaucoma and ocular hypertension. In the treatment of glaucoma, selective and non-selective beta-blockers, such as Timolol, are also effective. **The answer is E, Brimonidine**.

6- Selective β-agonists, such as Isoproterenol, by acting on β1-receptors, increase the frequency and strength of heart contractions. Selective α-agonists (Phenylephrine) increase blood pressure and cause reflex bradycardia. Non-selective α- and β-agonists, such as Epinephrine, cause a direct increase in heart rate by acting on β-receptors and a reflex decrease in heart rate caused by α-receptors.

7- Selective α1-adrenomimetics (Naphazoline), as well as α2-adrenomimetics (Clonidine) and nonselective agents (Xylometazoline), act on peripheral vessels and cause their constriction, which is accompanied by a decrease in mucosal edema in

rhinitis. These agents are used topically as decongestant sprays. **The answer is B, Naphazoline**.

8- Selective β2-receptor agonists cause bronchodilation and tocolytic effects. Terbutaline is prescribed as an inhaler and nebulizer in the treatment of sudden breathlessness or wheezing in people with asthma or chronic obstructive pulmonary disease (COPD). Because it also relaxes uterine smooth muscle and reduces uterine contractility, Terbutaline can also be used to arrest premature labor. **The answer is B, Terbutaline**.

9- Treatment of chronic (not acute) heart failure has become an important application of β-blockers. Atenolol, a selective β1-agonist, is effective in the treatment of chronic heart failure, but in the case of AV blockade above I degree, cardiogenic shock and myocardial infarction β-blockers can worsen the situation. In acute cardiac arrest β-receptor agonist (Isoproterenol, Dobutamine) should be used by intravenous and direct intracardiac injection. **The answer is D, Atenolol**.

10- Non-selective α-blockers cause baroreceptor-reflex-mediated tachycardia as a result of a drop in blood pressure. That is why their clinical use in the treatment of hypertension has been limited. **The answer is D, they induce baroreceptor reflex-tachycardia**.

11- Conditions in which acute cardiac stimulation is desired require treatment with non-selective sympathomimetic or β-receptor agonist. Epinephrine has been used in cardiac arrest by intravenous and direct intracardiac injection. Isoproterenol has been used for atrioventricular (AV) block. **The answer is C, Isoproterenol**.

12- The cardiovascular applications of β-blockers – treatment of Hypertension, Angina and Arrhythmias. Also, they decrease secretion of aqueous humor from the ciliary epithelium, which is used in the treatment of open-angle glaucoma. **The answer is A, Timolol**.

13- Beta2-blockers cause acute bronchospasm, so their clinical use is limited. Butoxamine is used only for research purposes for the blockade of beta2-adrenergic receptors. **The answer is E, Butoxamine**.

14- Dopamine causes vasodilation in the splanchnic and renal vascular beds by activating D1 receptors. This effect can be useful in the treatment of renal failure associated with shock. **The answer is D, Dopamine**.

15- Alpha2- agonists (Clonidine) cause vasoconstriction when administered intravenously or topically (nasal spray, conjunctival sac), but when given orally they

accumulate in the CNS and reduce sympathetic outflow and blood pressure by acting on presynaptic nerve endings. Oral clonidine is a hypotensive drug. **The answer is E, Clonidine**.

LESSON 4

Topic: General Anesthetics. Local Anesthetics.

Goal: To identify the major inhaled anesthetics, to know their characteristics, such as blood/gas partition coefficient, minimum alveolar concentration (MAC). To know molecular targets for the actions of anesthetic drugs. To name the most commonly used intravenous anesthetics and list their main characteristics. To know the mechanism of action of local anesthetics, their indication to use, pharmacokinetic properties. Be familiar with major toxic effects of the local anesthetics and the relationship among tissue pH, drug pKa, and the efficacy of anesthetic action.

Test Tasks

1) What properties should an inhalation anesthetic have to achieve optimal analgesia?

(A) Water soluble, high blood/gas partition
(B) Lipid soluble, low blood/gas partition
(C) Slow onset of action and long recovery
(D) High MAC (minimum alveolar concentration) value
(E) Metabolized in the liver and excreted by the kidneys

2) Choose an anesthetic from the list that has the least effect on blood pressure, heart rate, and respiration.

(A) Ketamine
(B) Desflurane
(C) Nitrous oxide
(D) Enflurane
(E) Propofol

3) Specify the inhalation anesthetic that can cause postoperative hepatitis.

(A) Thiopental
(B) Methoxyflurane

(C) Enflurane
(D) Halothane
(E) Nitrous oxide

4) Specify the anesthetic that decreases intracranial pressure.

(A) Halothane
(B) Thiopental
(C) Isoflurane
(D) Desflurane
(E) Ketamine

5) Choose an anesthetic that is preferred for patients with limited cardiac and respiratory function.

(A) Midazolam
(B) Thiopental
(C) Isoflurane
(D) Fentanyl
(E) Etomidate

6) Choose an anesthetic that induces a state of dissociative anesthesia, which consists of analgesia, amnesia, catatonia, and preserved consciousness.

(A) Morphine
(B) Etomidate
(C) Dexmedetomidine
(D) Ketamine
(E) Sevoflurane

7) Specify the main mechanism of action of local anesthetics.

(A) Blockade of voltage-gated chlorine channels
(B) Depressant effect on pain centers in the brain
(C) Blockade of voltage-gated sodium channels
(D) Decrease neurotransmitter release from presynaptic vesicles
(E) Action on μ, δ and κ opioid receptors

8) Specify the reason for the low activity of local anesthetics in infected tissue.

(A) The acidic environment in the infected area favored ionization of weak bases
(B) The alkaline condition in the infected area causes inactivation of anesthetics
(C) Tissues ischemia causes decreased absorption of anesthetics
(D) Decreased solubility of anesthetics in water
(E) Bacteria at the center of inflammation metabolize local anesthetics

9) Specify the most toxic local anesthetic, which produces severe cardio toxicity.

(A) Benzocaine
(B) Articaine
(C) Lidocaine
(D) Tetracaine
(E) Bupivacaine

10) The characteristic properties of local anesthetics include all of the following EXCEPT …….

(A) Better activity in alkaline environment
(B) Blockade of voltage-gated sodium channels
(C) Effects on vascular tone
(D) Preferential binding to resting fibers
(E) Need for coadministration of vasoconstrictor

11) Specify the long-acting local anesthetic from the group of Esters.

(A) Lidocaine
(B) Procaine
(C) Ropivacaine
(D) Ropivacaine
(E) Tetracaine

12) What drug has a high surface local anesthetic activity and intrinsic vasoconstrictor actions, which reduces the distribution of local anesthetic from the site of action and bleeding in mucous membranes?

(A) Dyclonine

(B) Proparacaine
(C) Bupivacaine
(D) Cocaine
(E) Prilocaine

Answers

1- An effective inhalation anesthetic must have high lipid solubility, which allows rapid penetration through barriers and rapid onset of anesthesia. Also, the anesthetic should have a low gas/blood partition coefficient (like nitrous oxide), the lower the solubility of the anesthetic in the blood, the faster its equilibrium distribution between these compartments occurs and it can quickly penetrate into the brain. It also ensures a quick recovery after anesthesia. MAC is the alveolar concentration required to eliminate the response to pain in 50% of patients, so the lower the MAC, the higher the anesthetic potency. Inhalation anesthetics should be predominantly excreted through the lungs unchanged; metabolism in the liver is often associated with the formation of toxic products (as seen with Halothane and Methoxyflurane). **The answer is B, lipid soluble, low blood/gas partition.**

2- Most inhaled anesthetics reduce arterial blood pressure, reduce lung ventilation and ventilatory response to hypoxia and depress myocardial function. Nitrous oxide is less likely to lower blood pressure and has less effect on the myocardium and respiration than other inhaled anesthetics. Propofol causes marked hypotension while Ketamine is a cardiovascular stimulant that increases blood pressure. **The answer is C, Nitrous oxide.**

3- Postoperative hepatitis has occurred (rarely) after Halothane anesthesia. The mechanism of hepatotoxicity may involve the formation of reactive metabolites. Toxic metabolites of Methoxyflurane, Enflurane, and Sevoflurane may cause renal insufficiency after prolonged exposure. Nitrous oxide decreases methionine synthase activity, which may lead to megaloblastic anemia. **The answer is D, Halothane.**

4- Inhaled anesthetics reduce vascular resistance and thus increase intracranial pressure. Ketamine also increases intracranial pressure. The barbiturate Thiopental depresses cerebral blood flow and also decreases intracranial pressure. **The answer is B, Thiopental.**

5- Barbiturates (Thiopental) and Benzodiazepines (Midazolam) are respiratory and circulatory depressants and can cause severe postoperative respiratory depression. Total Fentanyl is sometimes used for cardiac surgery because it causes less cardiac

depression, but the risk of respiratory depression is high. Most inhaled anesthetics (Isoflurane) are respiratory and cardiovascular depressants. Etomidate affords rapid induction with minimal change in cardiac function or respiratory rate and is the drug of choice for patients with limited cardiac or respiratory function. **The answer is E, Etomidate.**

6- Ketamine produces a state of "dissociative anesthesia" by blocking the action on glutamate NMDA receptors. Low-dose ketamine infusions can be used for sedation and analgesia during local or regional anesthetic procedures. Ketamine can be used as an intravenous induction agent in the emergency setting for shocked or hypotensive patients, and for patients with reactive airways disease (due to bronchodilation). It is also used for induction in patients, especially children, with congenital heart disease (as a cardiovascular stimulant). **The answer is D, Ketamine.**

7- Local anesthetics block voltage-gated sodium channels and reduce the influx of sodium ions, thereby preventing depolarization of the membrane and blocking conduction of the action potential. **The answer is C, blockade of voltage-gated sodium channels.**

8- Local anesthetics, both esters, and amides, belong to weak bases with an ionizable amine group, which becomes charged in acidic pH. The more lipid-soluble (nonionized) form reaches effective intracellular concentrations more rapidly. The physiological pH value of 7.4 may change as a result of infection and reach 6.4. In an acidic environment, local anesthetics become more water-soluble and lose their ability to penetrate barriers and exert their effect. **The answer is A, the acidic environment in the infected area favored ionization of weak bases.**

9- With the exception of cocaine, all local anesthetics are vasodilators. Patients with preexisting cardiovascular disease may develop heart block and other disturbances of cardiac electrical function at high plasma levels of local anesthetics. Bupivacaine and Ropivacaine are the most toxic and may produce severe cardiovascular toxicity, including arrhythmias and hypotension. **The answer is E, Bupivacaine.**

10- As weak bases, local anesthetics are more lipid-soluble and more active in a basic environment. Local anesthetics block voltage-gated sodium channels. All local anesthetics are vasodilators and require co-administration of a vasoconstrictor to inhibit distribution from the injection site to adjacent tissues. However, cocaine is a vasoconstrictor itself. Rapidly firing activated fibers are usually blocked before

slowly firing resting fibers; thus, pain sensation will be selectively blocked. **The answer is D, preferential binding to resting fibers.**

11- Ester-type local anesthetics are well hydrolyzed by plasma esterases and have a shorter duration of action than amide-type local anesthetics, which are metabolized by liver cytochromes P450. Procaine is an ultra-short-acting ester (T1/2 1–2 min), Cocaine (T1/2 48 min), and Tetracaine (T1/2 29 min) are long-acting esters. The half-lives of amide-type Lidocaine and Prilocaine are approximately 1.5 h. The half-life of amide-type Bupivacaine and Ropivacaine is 3.5 and 4.2 hours, respectively. **The answer is E, Tetracaine.**

12- Cocaine is an ester-type local anesthetic used during diagnostic procedures and surgeries in or through the nasal cavities, eyes, ears, and throat. Cocaine is the only local anesthetic with vasoconstrictive properties. This is a result of its blockade of norepinephrine reuptake in the autonomic nervous system. Some local anesthetics have surface activity and reach superficial nerves when applied to the surface of mucous membranes. Such drugs include especially Cocaine, Benzocaine, Lidocaine, and Tetracaine. **The answer is D, Cocaine.**

LESSON 5

Topic: Anxiolytic & Hypnotic Drugs. Antipsychotic Agents & Lithium.

Goal: To know the classification, mechanism of action, application features, and side effects of benzodiazepines, barbiturates, and other anxiolytics. To study drug therapy strategies for psychosis and bipolar disorder. To know the side effects of classic antipsychotics (Phenothiazines, Thioxanthenes, Butyrophenones) and new generation antipsychotics (Clozapine, Loxapine, Olanzapine…).

Test Tasks

1) You are going to treat generalized anxiety disorder. Which group of agents is safer and can be used as first-line therapy?

(A) Benzodiazepines
(B) Antidepressants
(C) Barbiturates
(D) Antihistamines
(E) Lithium

2) From the list indicated below, find a short-acting benzodiazepine.

(A) Diazepam
(B) Clorazepate
(C) Flurazepam
(D) Triazolam
(E) Alprazolam

3) Match each drug and its mechanism of action.

(A) Haloperidol	**1)** Agonist of melatonin receptors
(B) Secobarbital	**2)** Agonist of GABA receptors
(C) Aripiprazole	**3)** Antagonist of dopamine D2 receptors
(D) Flurazepam	**4)** Antagonist of serotonin receptors
(E) Ramelteon	

4) Which antipsychotic acts only on dopamine D2 receptors, and does not act on alpha receptors, muscarinic receptors and serotonin receptors?

(A) Molindone

(B) Thioridazine
(C) Olanzapine
(D) Clozapine
(E) Haloperidol

5) What is the best benzodiazepine to use for insomnia, short acting or long acting? Find an appropriate agent from the list indicated below.

(A) Triazolame
(B) Diazepam
(C) Flurazepan
(D) Quazepan
(E) Clorazepate

6) Specify an irreversible neurological side effect of an old antipsychotic drug.

(A) Dystonia
(B) Tardive dyskinesia
(C) Extrapyramidal effect
(D) Akathisia
(E) Hyperprolactinemia

7) On what mechanism of action is the antipsychotic action of lithium based?

(A) Dopamine receptor Block
(B) Serotonin receptor block
(C) Decrease production of second massagers – IP3 and DAG
(D) Block of serotonin reuptake
(E) Block of serotonin and norepinephrine reuptake

8) What is the best benzodiazepine to use for anxiety, short acting or long acting? Find an appropriate agent from the list indicated below.

(A) Oxazepam
(B) Diazepam
(C) Triazolam
(D) Thiopental
(E) Chlorpromazine

9) Choose an antidote that can be used in the case of benzodiazepine overdose?

(A) Chlordiazepoxide
(B) Diazepam
(C) Valproic acid
(D) Flumazenil
(E) Olanzapine

10) Which statement concerning the use of lithium in the treatment of bipolar affective disorder is accurate?

(A) Clinical effects of lithium are fast in onset
(B) Lithium doesn't cross the blood-brain barrier
(C) Antipsychotic agents and/or benzodiazepines are required at the initiation of treatment
(D) Lithium enhances manic behavior and mood swings
(E) Lithium increases the level of second massagers – IP3 and DAG

11) Which statement concerning the use of benzodiazepines is false?

(A) They are safer and more effective than barbiturates
(B) Metabolized by the liver to active metabolites
(C) Because of addiction potential should only be used for short periods of time
(D) Can't be applied together with alcohol and other sedative-hypnotic drugs
(E) The therapeutic window for benzodiazepines is very narrow

12) All but one of these drugs used in the treatment of anxiety are controlled substances, have addictive potential, and can induce withdrawal and tolerance. However, one drug is an exception; identify that drug.

(A) Buspirone
(B) Clorazepate
(C) Lorazepam
(D) Pentobarbital
(E) Thiopental

13) Prescribe a newer antipsychotic approved for bipolar disorder in mono-therapy.

(A) Valproic acid
(B) Lithium
(C) Diazepam
(D) Risperidone
(E) Olanzapine

14) Some antipsychotics can produce in patients sever atropine-like side effects that include dry mouth, constipation, urinary retention, and visual problems. Specify the drug that produces the most pronounced adverse M-blocking action.

(A) Haloperidol
(B) Aripiprazole
(C) Thioridazine
(D) Molindone
(E) Quetiapine

15) What types of receptors are blocked by almost all antipsychotics?

(A) Serotonin 5-HT2 receptors
(B) Dopamine D2 receptors
(C) Dopamine D4 receptors
(D) Adrenergic alpha receptors
(E) Cholinergic muscarinic receptors

Answers

1- Benzodiazepines and barbiturates are not safe enough and cause the development of dependence and withdrawal syndrome. That is why they have been replaced by newer antidepressants with anxiolytic and hypnotic action in the treatment of anxiety and insomnia. **The answer is B, Antidepressants**.

2- Triazolam is a short-acting benzodiazepine. Diazepam, Flurazepam, Clorazepate are long-acting benzodiazepines, and Alprazolam is an intermediate-acting drug. **The answer is D, Triazolam**.

3- A-3; B-2; C-4; D-2; E-1

4- Most known antipsychotics have a multiple mechanism of action, they can block several central receptors: dopamine D2 and D4 receptors, α1- adrenoreceptors, muscarinic receptors, serotonin 5-HT2, histamine H1 receptors. The only exception is

that haloperidol only acts on D2 receptors with very little inhibitory effect on α1-adrenoreceptors. **The answer is E, Haloperidol**.

5- In the treatment of insomnia, it is important to balance the sedation needed before sleep with the residual sedation upon awakening. This is why medium-acting (Temazepam) and short-acting (Triazolam) agents are needed. **The answer is A, Triazolame**.

6- Tardive dyskinesia are involuntary movements of the muscles of the lips and oral cavity. Tardive dyskinesia may be irreversible after several years of antipsychotic drug therapy; the reason for the symptom is sensitization of dopamine receptors. There is no effective medical treatment for tardive dyskinesia. **The answer is B, tardive dyskinesia**.

7- Lithium is known to inhibit several enzymes involved in the recycling of phosphoinositides in neuronal membranes, in particular, it inhibits the formation of inositol bisphosphate (IP2) a second messenger source, which then reduces the level of inositol triphosphate (IP3) and diacylglycerol (DAG), which in turn reduces neurotransmission mediated by the central adrenoceptors and muscarinic receptors. **The answer is C, decrease production of second massagers – IP3 and DAG**.

8- Due to addiction potential benzodiazepines should only be used for severe anxiety only. The longer-acting agents, such as Clonazepam, Lorazepam, and Diazepam are often preferred in the treatment of anxiety. Treatment should not exceed 1-2 weeks due to the development of tolerance, which is associated with a decrease in GABA receptor density. **The answer is B, Diazepam**.

9- Flumazenil is a GABA receptor antagonist that can rapidly reverse the effects of benzodiazepines in case of overdose. Flumazenil should only be administered intravenously, the onset of action is rapid, but the duration is short (half-life ~ 1 hour). **The answer is D, Flumazenil**.

10- Lithium has a slow onset of action. This is why antipsychotics and/or benzodiazepines should be combined with lithium at the start of treatment for bipolar disorder. Lithium reduces manic behavior and mood swings, and has a protective effect against suicide and self-harm. Lithium crosses the blood-brain barrier and reduces levels of IP3 and DAG secondary massagers. **The answer is C, antipsychotic agents and/or benzodiazepines are required at the initiation of treatment**.

11- The statement that the therapeutic window for benzodiazepines is very narrow is false. Benzodiazepines are relatively safe as the lethal dose is more than 1000 times the usual therapeutic dose. An overdose of the drug is rarely fatal, but when benzodiazepines are combined with other drugs, death can occur (as in the case of Michael Jackson). **The answer is E, the therapeutic window for benzodiazepines is very narrow.**

12- Benzodiazepines and barbiturates are controlled substances because of their addictive potential. Buspirone is not a controlled substance. In human and animal studies, Buspirone has shown no potential for abuse or diversion and there is no evidence that it causes tolerance, or either physical or psychological dependence. Buspirone is useful for the chronic treatment of generalized anxiety disorder. It acts on serotonin ($5\text{-}HT_{1A}$, $5\text{-}HT_{2A}$) receptors and D_2 dopamine receptors. **The answer is A, Buspirone**.

13- Olanzapine and Quetiapine are both approved as monotherapy for acute manic phase of bipolar disorder. But Lithium and Valproic acid should be used in combination with antipsychotic agents and/or benzodiazepines at the initiation of treatment. **The answer is E, Olanzapine**.

14- Autonomic side effects of antipsychotics result from the blockade of peripheral muscarinic receptors and α-adrenergic receptors. Some antipsychotics cause severe atropine-like effects - dry mouth, constipation, urinary retention, and visual problems + confusion. Thioridazine has the strongest autonomic effects, and Haloperidol has the weakest. Clozapine and most atypical drugs have intermediate autonomic effects. Postural hypotension caused by α-blockade is common with older drugs, especially Phenothiazines. **The answer is C, Thioridazine**.

15- The dopamine hypothesis postulates that psychosis is caused by a relative excess of dopamine in the brain. Most neuroleptics (but not all) block dopamine receptors in the brain (especially D2 receptors). We now know that many effective drugs have a higher affinity for other receptors. Almost all old and new neuroleptics have a moderate or strong blocking effect on α1-adrenergic receptors. **The answer is D, adrenergic alpha receptors**.

LESSON 6

Topic: Pain Relief. Opioid Analgesics. Nonsteroidal Anti-inflammatory Drugs.

Goal: To know the features of the clinical use of strong and weak agonists of opioid receptors, as well as partial agonists-antagonists and full antagonists. Know the use of nonsteroidal anti-inflammatory drugs (Acetaminophen, Acetylsalicylic acid, Ibuprofen and others) in the treatment of mild to moderate pain and inflammation.

Test Tasks

1) Specify the endogenic mediator of analgesia and antinociception.

(A) Bradykinin
(B) Prostaglandin
(C) Enkephalin
(D) Substance P
(E) Thromboxane A2

2) Specify the main cause of death in morphine poisoning.

(A) Acute renal failure
(B) Respiratory center depression
(C) Vasomotor center depression
(D) Direct cardio depressive impact

3) Prescribe an opioid agonist to treat diarrhea.

(A) Alfentanil
(B) Hydromorphone
(C) Codeine
(D) Meperidine
(E) Loperamide

4) A patient admitted to the ambulance exhibits the following symptoms: consciousness but inability to respond, unusual sleepiness, drowsiness, mental confusion, slowed irregular breathing, cold or clammy skin, pupillary constriction (miosis), blue lips and nails, hypotension, hypoxia, and vomiting. Based on these symptoms, it has been determined that the patient is experiencing an opioid overdose. What antidote can be used to block the symptoms?

(A) Nalbuphine
(B) Naloxone
(C) Fentanyl
(D) Pentazocine
(E) Hydrocodone

5) Specify the intracellular consequences of activation of postsynaptic μ-opioid receptors.

(A) Blockage of voltage-gated sodium channels and reduced sodium ion influx
(B) Decreased Ca^{2+} influx and reduced excitatory transmitter release
(C) Increased opening frequency of chloride channels coupled to GABA receptors
(D) Increase in K^{+} conductance, evoking an inhibitory postsynaptic potential

6) Specify an opioid drug that activates μ-receptors with a weak antagonistic effect on κ- and δ-receptors.

(A) Buprenorphine
(B) Nalbuphine
(C) Pentazocine
(D) Meperidine
(E) Hydrocodone

7) Prescribe the safest medicine to treat mild fever and pain in children.

(A) Acetylsalicylic acid
(B) Acetaminophen
(C) Ketorolac
(D) Indometacin
(E) Naproxen

8) Indicate the life-threatening side effect associated with acetaminophen overdose.

(A) Gastric blood loss
(B) Reye's syndrome of children
(C) Development of salicylism
(D) Hepatic necrosis leading to liver failure
(E) Inhibition of the respiratory center

9) Specify an NSAID that inhibits platelet aggregation and has a beneficial antithrombotic effect.

(A) Acetaminophen
(B) Acetylsalicylic acid
(C) Ibuprofen
(D) Indometacin
(E) Ketorolac

10) From the list of NSAIDs, indicate a selective COX-2 inhibitor, the rest of the drugs act on both COX-1 and COX-2 enzyme.

(A) Aspirin
(B) Ibuprofen
(C) Naproxen
(D) Celecoxib
(E) Indomethacin

11) Name the most common side effect of Acetylsalicylic acid.

(A) Dyspepsia and gastric irritation
(B) Nausea and emesis
(C) Postural hypotension
(D) Reye's syndrome
(E) Sedation and somnolence

Answers

1- There are four families of endogenous opioid peptides: enkephalins, endorphins, dynorphins, and endomorphins. They were subsequently shown to be potent opioid receptor agonist. All of them are involved in antinociceptive action and analgesia. **The answer is C, Enkephalin.**

2- The action of opioids in the medulla leads to respiratory center depression with a decrease in the response to carbon dioxide exposure. Respiratory depression is commonly the leading cause of death in opioid overdose. **The answer is B, respiratory center depression.**

3- Opioids act on opioid receptors in the enteric nervous system, which causes gastrointestinal effects such as decreased intestinal motility and constipation. Opioids are effectively used in clinical practice as antidiarrheal drugs. Selective antidiarrheal

opioids include Diphenoxylate and Loperamide. Loperamide is available over-the-counter, does not enter the brain, and is not addictive. **The answer is E, Loperamide.**

4- Naloxone, Nalmefene and Naltrexone are pure opioid receptor antagonists. They can be used as antidotes for acute opioid overdose. It is better to use them intravenously. **The answer is B, Naloxone.**

5- Activation of postsynaptic μ-opioid receptors is accompanied by hyperpolarization of second-order pain-transmitting neurons due to an increase in K^+ conductance, which causes an inhibitory postsynaptic potential. **The answer is D, increase in K^+ conductance, evoking an inhibitory postsynaptic potential.**

6- Opioid agonist-antagonists produce slightly less analgesic activity than strong full agonists such as Morphine. Butorphanol, Nalbuphine, and Pentazocine are κ agonists with weak μ-receptor antagonistic activity. Buprenorphine is a partial μ-receptor agonist with weak antagonistic effects at κ and δ receptors. They cause less intense respiratory depression, tolerance, and physical dependence than pure agonists. **The answer is A, Buprenorphine.**

7- Acetaminophen (Paracetamol) has antipyretic and mild analgesic properties with few, if any, anti-inflammatory properties and does not affect platelet aggregation. It also does not irritate the gastric mucosa. Acetaminophen is the standard pediatric analgesic/antipyretic because it is not associated with Reye's syndrome, and it can be prepared as a stable suspension. **The answer is B, Acetaminophen.**

8- After an overdose, Acetaminophen can cause hepatic necrosis, leading to liver failure. Renal failure has also been reported in the absence of liver failure following an overdose. There is no convincing evidence that Acetaminophen causes chronic liver disease when used regularly at therapeutic doses. However, long-term abuse of Acetaminophen causes analgesic nephropathy. **The answer is D, Hepatic necrosis leading to liver failure.**

9- Acetylsalicylic acid has antipyretic and mild analgesic properties; its effectiveness is similar to that of Acetaminophen. Unlike Acetaminophen, it also has anti-inflammatory properties when used in high doses, as well as beneficial antiplatelet effects. Acetylsalicylic acid can be used for the prophylactic treatment of transient cerebral ischemia and to reduce the incidence of recurrent myocardial infarction. Complete inactivation of platelets occurs with a daily intake of 75 mg of aspirin. **The answer is B, Acetylsalicylic acid.**

10- Naproxen, Piroxicam, Acetylsalicylic acid, Ibuprofen, Indomethacin, Ketorolac are non-selective NSAIDs. Ketoprofen and Fenoprofen have a higher selectivity for COX-1. Celecoxib, Diclofenac, Lumiracoxib are COX-2 selective NSAIDs. **The answer is D, Celecoxib.**

11- Side effects of Acetylsalicylic acid include: salicylism - toxic doses of salicylates cause tinnitus and deafness, nausea, vomiting, abdominal pain, hot flashes, and fever; aspirin-sensitive asthma occurs in about 5% of asthmatics; Reye's syndrome is less common in children; sometimes there is small gastric blood loss. But the most common side effect of Acetylsalicylic acid is dyspepsia and stomach irritation. The mechanism of this side effect is the inhibition of gastric prostaglandins biosynthesis, which protects the intestines from excessive acid secretion and stimulates mucus secretion. **The answer is A, dyspepsia and gastric irritation.**

LESSON 7

Topic: Drugs of Abuse. Antidepressants.

Goal: To know the medicines that are in the special list of controlled substances due to their ability to cause physiological and psychological drug dependence. To know the symptoms of narcotic drug overdose and available antidotes, as well as withdrawal symptoms and medications used to relieve them. To study the classification of old and new drugs against depressive disorders, as well as their mechanism of action, side effects, and effectiveness.

Test Tasks

1) Specify the main reason for death in poisoning with Morphine.

(A) Acute renal failure
(B) Vasomotor center depression
(C) Respiratory center depression
(D) Direct cardio depressive impact

2) What medicine can be used in the treatment of alcoholism?

(A) Rimonabant
(B) Methamphetamine
(C) Mescaline
(D) Varenicline
(E) Acamprosate

3) Which agent acts as a phosphodiesterase inhibitor and raises intracellular cAMP?

(A) Caffeine
(B) Nicotine
(C) Amphetamine
(D) Cocaine
(E) Codeine

4) Match the following drugs to their mechanism of action.

(A) Cocaine	**1)** Inhibit reuptake of catecholamine's
(B) Ketamine	**2)** Antagonist of cannabinoid receptors

(C) Methamphetamine
(D) Secobarbital
(E) Rimonabant
(F) Fentanyl

3) Agonist of μ, κ, and δ opioid receptors
4) Acts on VMAT and NET/DAT transporters
5) Antagonist of glutamate NMDA receptors
6) Agonist of GABA receptors

5) What drug can be used in the treatment of nicotine withdrawal syndrome and in smoking cessation program?

(A) Endorphin
(B) Methamphetamine
(C) Varenicline
(D) Mescaline
(E) Acamprosate

6) What agents do not act on dopaminergic system and do not cause dependence and withdrawal syndrome?

(A) Nicotine and Ethanol
(B) Hallucinogens and Marijuana
(C) Amphetamine and Cocaine
(D) Barbiturates and Opioid analgesics

7) What drug group can be used to treat amphetamine withdrawal?

(A) Cannabinoids
(B) Barbiturates
(C) Nicotinic agonists
(D) Antidepressants
(E) Benzodiazepines

8) Tricyclic antidepressants (TCA) are non-selective drugs and act through several mechanisms at once. Specify which of the mechanisms is not typical for TCA antidepressants.

(A) NE reuptake block
(B) 5-HT reuptake block
(C) 5-HT receptor block
(D) Muscarinic receptor block
(E) H1-receptor block

(F) Glutamate NMDA receptor block
(G) Alpha receptor block

9) Many antidepressants are sedative and can cause drowsiness. Specify an antidepressant that does not have a sedative property.

(A) Amitriptyline
(B) Imipramine
(C) Nefazodone
(D) Trazodone
(E) Citalopram

10) What antidepressant in high dose can increase blood pressure and heart rate?

(A) Tricyclic Antidepressants
(B) Selective serotonin reuptake inhibitor (SSRI)
(C) Serotonin and NE reuptake inhibitor (SNRI)
(D) Selective Alpha-2 receptor blocker
(E) Bupropion

11) Match the following antidepressant to its mechanism of action.

(A) Phenelzine	**1)** Serotonin and NE reuptake inhibitor
(B) Trazodone	**2)** Selective serotonin reuptake inhibitor
(C) Venlafaxine	**3)** MAO inhibitor
(D) Fluoxetine	**4)** Serotonin receptor blocker
(E) Mirtazapine	**5)** Selective alpha-2 receptor blocker

Answers

1- The action of opioids in the medulla leads to depression of the respiratory center, resulting in a decreased response to carbon dioxide. The effect may be seen at conventional analgesic doses. Respiratory depression is mediated by the μ receptors in the respiratory center of the brainstem. Opioid-induced respiratory depression is potentially fatal but may be reversed by the opioid receptor antagonist naloxone. **The answer is C, respiratory center depression.**

2- Rimonabant (a cannabinoid receptor antagonist) was approved for use in obesity and smoking cessation. Varenicline (a partial agonist of nicotinic receptors) is

used for smoking cessation. Acamprosate is the first medication specifically formulated for the maintenance of alcohol abstinence in ethanol-dependent patients after alcohol detoxification. Acamprosate is a structural analogue of γ-aminobutyric acid (GABA). Evidence shows that Acamprosate directly binds and inhibits GABA B receptors and indirectly affects GABA A receptors, thus restoring the balance between neuronal excitation and inhibition. It was first approved by the FDA in 2004 and initially marketed by Forest Laboratories. **The answer is E, Acamprosate.**

3- Caffeine acts as a phosphodiesterase inhibitor and raises intracellular cAMP levels. That's why caffeine mimics sympathomimetic β response: increases cardiac activity and pulse and produces vasodilation. Caffeine also reversibly blocks adenosine receptors and inhibits acetylcholinesterase, which leads to increased urination. **The answer is A, Caffeine.**

4- A-1; B-5; C-4; D-6; E-2; F-3.

5- Varenicline is a partial agonist of the α4β2 subtype nicotinic receptors and is used as an aid in smoking cessation. Varenicline, as a nasal spray, is also indicated for the symptomatic treatment of dry eye disease. **The answer is C, Varenicline.**

6- Dopamine in the mesolimbic system appears to play a primary role in the expression of "reward" and in the development of addiction. Psychedelic hallucinogens are not physically addictive due to low activity on dopaminergic neurotransmission. However, the user may become psychologically addicted to the hallucinogenic effect, and tolerance to hallucinogens may also develop. Active marijuana alkaloids act on cannabinoid receptors and inhibit the presynaptic release of conventional transmitters, including dopamine. Withdrawal syndrome and physical dependence are noted only in heavy users of marijuana. **The answer is B, Hallucinogens and Marijuana.**

7- Withdrawal from Amphetamine is characterized by increased appetite, sleepiness, body weight loss, and mental depression. Antidepressants may be indicated to treat withdrawal symptoms. **The answer is D, Antidepressants.**

8- TCAs are reuptake inhibitors of norepinephrine and serotonin, which cause the potentiation of the action of these neurotransmitters. TCAs also block serotonergic, adrenergic, histaminic, and muscarinic receptors. TCAs do not act on the glutamate NMDA receptor. **The answer is F, glutamate NMDA receptor block.**

9- Sedation is a common CNS effect of tricyclic antidepressants, some heterocyclic agents, and the 5-HT2 receptor antagonists (prescribed as sleeping pills).

The sedative effect is mainly due to the blocking of histamine H1 receptors. MAO inhibitors, SSRIs, SNRIs, and Bupropion are more likely to cause CNS-stimulating effects. Citalopram is an SSRI, so **the answer is E, Citalopram**.

10- Antidepressants that block NE transporters in the CNS (serotonin and norepinephrine reuptake inhibitors, SNRIs) also inhibit the reuptake of NE in the autonomic nervous system. This will cause an increase in peripheral autonomic sympathomimetic effects, including an increase in blood pressure and heart rate. MAOIs also increase NE at sympathetic nerve terminals. However, long-term use of MAOIs can decrease blood pressure. Tricyclic antidepressants, on the contrary, cause hypotension and arrhythmias due to the blockade of α-adrenoceptors. **The answer is C, serotonin and NE reuptake inhibitor (SNRI).**

11- A-3; B-4; C-1; D-2; E-5.

LESSON 8

Topic: Drugs Used in Parkinsonism. Drugs Used in Epilepsy.

Goal: To study the use of dopamine precursors and agonists, muscarinic antagonists, MAO and COMT inhibitors in the treatment of Parkinsonism. To study drug therapy for various types of seizures, including the mechanisms of drug action, their pharmacokinetics, and adverse reactions.

Test Tasks

1) Match the drug used against Parkinsonism to its pharmacological group.

(A) Dopamine Precursor
(B) MAO inhibitor
(C) Dopamine Agonist
(D) COMT inhibitor
(E) Muscarinic Antagonist

1) Bromocriptine
2) Entacapone
3) Rasagiline
4) Biperiden
5) Levodopa

2) Specify among the following a drug that is effective in the treatment of extrapyramidal parkinsonian symptom caused by antipsychotic drug therapy.

(A) Selegiline
(B) Entacapone
(C) Pramipexole
(D) Levodopa
(E) Benztropine

3) Specify a COMT inhibitor that effectively blocks the degradation of Dopamine and L-DOPA not only in periphery, but also in the brain.

(A) Carbidopa
(B) Entacapone
(C) Tolcapone
(D) Selegiline
(E) Rasagiline

4) All of these listed effects are side effects of the use of Levodopa, with one exception. Indicate this exception.

(A) Nausea and emesis
(B) Postural hypotension
(C) Tachycardia
(D) Cardiac arrhythmias
(E) Sedation and somnolence

5) Specify an antiviral drug that is effective in the treatment of Parkinsonism.

(A) Benztropine
(B) Amantadine
(C) Entacapone
(D) Tolcapone
(E) Pramipexole

6) Specify an antiseizure drug that irreversibly inhibits GABA aminotransaminase enzyme.

(A) Carbamazepine
(B) Vigabatrin
(C) Felbamate
(D) Gabapentin
(E) Ethosuximide

7) All of these processes are involved in the suppression of cerebral neurons abnormal discharge and in the prevention of seizures, EXCEPT ….

(A) Calcium Channel Blockade
(B) Activation of GABA receptor–chloride ion channel
(C) Increase in K^+ channel permeability
(D) Inhibition of Catecholamine's Reuptake
(E) Sodium Channel Blockade

8) Indicate an antiseizure drug which produces pronounced sedation.

(A) Clonazepam
(B) Ethosuximide
(C) Lamotrigine
(D) Valproic acid

(E) Phenytoin

9) Specify an anticonvulsant drug that is a calcium channel blocker by the mechanism of action.

(A) Phenobarbital
(B) Ethosuximide
(C) Phenytoin
(D) Lamotrigine
(E) Vigabatrin

10) Specify an anticonvulsant drug that is a GABA transporter blocker by the mechanism of action.

(A) Vigabatrin
(B) Tiagabine
(C) Valproic acid
(D) Alprazolam
(E) Secobarbital

11) Choose an anticonvulsant medication that does not cause sedation or drowsiness.

(A) Phenobarbital
(B) Clonazepam
(C) Valproic acid
(D) Lorazepam
(E) Diazepam

Answers

1- 1 –C; 2-D; 3-B; 4-E; 5-A.

2- Antipsychotics (Butyrophenones and Phenothiazines) block dopamine receptors in the brain and can cause symptoms of Parkinsonism. These effects are usually reversible. Strategies for drug treatment of Parkinsonism involve increasing dopamine neurotransmission in the brain or decreasing muscarinic cholinergic neurotransmission, or both. Benztropine and Biperiden are selective M1 muscarinic receptor antagonists that can be used adjunctively with Levodopa in Parkinsonism.

They can also be used to treat extrapyramidal symptoms caused by antipsychotics. **The answer is E, Benztropine.**

3- Entacapone and Tolcapone are COMT inhibitors that can be used adjunctively with Levodopa in Parkinsonism. Entacapone inhibits catecholamine metabolism only in the periphery, while Tolcapone can penetrate the CNS and block Dopamine and L-DOPA degradation in the brain as well. It should be mentioned that Tolcapone may be hepatotoxic in a certain group of patients and requires monitoring of liver function. **The answer is C, Tolcapone.**

4- Adverse reactions with Levodopa include: GI effects - anorexia, nausea, and emesis; cardiac effects (β effects dominate) - postural hypotension, tachycardia, asystole, cardiac arrhythmias (rare); dyskinesias occur in up to 80% of patients (but with periods of improved mobility); behavioral effects may include anxiety, agitation, confusion, delusions, hallucinations, and depression. Levodopa can't cause sedation and somnolence. **The answer is E, sedation and somnolence.**

5- Amantadine is an antiviral drug that is also effective in Parkinsonism. Amantadine enhances dopaminergic neurotransmission through an unknown mechanism that may involve increased dopamine synthesis or release or dopamine reuptake inhibition. The drug also has a muscarinic blocking effect. Amantadine is used for the prophylactic or symptomatic treatment of influenza A. **The answer is B, Amantadine.**

6- Many anticonvulsants increase the neurotransmission of the inhibitory neurotransmitter GABA. Some of them, in particular Benzodiazepines and Barbiturates, act directly on the GABA receptor. There are drugs (Vigabatrin) that inhibit the GABA aminotransaminase enzyme and thereby increase the GABA amount in synapses. There are drugs that act on transporters to block the GABA reuptake into neurons, these include Tiagabine. **The answer is B, Vigabatrin.**

7- The following processes are involved in the suppression of abnormal cerebral neuron discharge and the prevention of seizures: calcium channel blockade; activation of GABA receptor–chloride ion channel; increase in K+ channel permeability; sodium channel blockade. Inhibition of catecholamine reuptake is not related to seizure relief. **The answer is D, Inhibition of Catecholamine's Reuptake.**

8- Many anticonvulsants cause pronounced sedation, which complicates their long-term use. Sedation is typical primarily for Benzodiazepines (Clonazepam, Diazepam, Lorazepam) and Barbiturates (Phenobarbital). Valproic acid, Phenytoin,

and Ethosuximide cause minimal sedation, so they can be used chronically in the treatment of absence seizures, partial, and myoclonic seizures. **The answer is A, Clonazepam.**

9- Of the above list, only one anticonvulsant drug affects calcium channels, and that is Ethosuximide. Also, calcium channel blockers include Valproic acid, Gabapentin, Pregabalin, and Zonisamide. Other drugs from the list act on alternative targets. **The answer is B, Ethosuximide.**

10- Tiagabine inhibits a GABA transporter (GAT-1) in neurons and glia, prolonging the action of the neurotransmitter. Vigabatrin and, in high concentration, Valproic acid inhibit the GABA aminotransaminase enzyme, which metabolizes GABA. Benzodiazepines (Alprazolam), Barbiturates (Secobarbital), and also Felbamate, Topiramate, and Valproic acid directly affect different sites of the GABA receptor and enhance the inhibitory actions of GABA. **The answer is B, Tiagabine.**

11- For the treatment of epilepsy, drugs from the group of Benzodiazepines and Barbiturates, in particular Phenobarbital, Clonazepam, Diazepam, Lorazepam, can be prescribed. All of these drugs have a sedative effect and cause drowsiness. Therefore, drugs that do not cause drowsiness are more suitable for the prevention of seizures on an ongoing basis; such drugs include Ethosuximide, Valproic acid, and Phenytoin. **The answer is C, Valproic acid.**

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