SHORT TYPE QUESTIONS

Mr. Smrutiranjan Dash
Assistant Professor (Pharmacology)

B-Pharm 4th Semester Pharmacology-I

1. Define therapeutic index, how it will be calculated?

- Therapeutic index is an index of drug safety. It is the ratio between the toxic dose and the therapeutic dose of drug and used as a measure of the relative safety of the drug for a particular treatment.
- TI=$\frac{median\ lethal\ dose\ (LD50) \text{of the drug}}{median\ effective\ dose\ (ED50) OF\ of\ the\ drug}$
- LD_{50}=It is the dose of a drug,which is lethal for 50% of popular.
- ED_{50}=It is the dose of a drug,which produces the desired effect in 50% of the population.
- Example-penicillin has high therapeutic index
    - Phenytoin has narrow therapeutic index

2.Wghat is competitive antagonism? Write one example.

- The agonist and antagonist bind to the same site of the receptor, they are said to be competitive.
- The efficacy and repulsion depend on the concentration of the agonist and antagonist.
- Drug response curve shifted rightward.
- Example-acetylcholine-atropine
    - Morphine-naloxone

3. Define synergism with example.

- When total pharmacological effect produced by the use of two or more drug is higher than the sum of their individual effects, it is called synergism.
- Example- codeine + aspirin = analgesia increases

4.What is co- transmission? Give an example.

- It is defined as the control of a single target cell by two or more substances released from the neuron in response to the same neuronal event.
- Example-in ANS besides the primary transmitters ach and NA, neurons have been found to elaborate purines, peptides, nitric oxide and prostaglandins as co transmitter.

5. State the mechanism of local anaesthetics.

- Las blocks both conduction and generation of nerve impulse action potential, hence blockage of Na^+ channel and fails to pain perception.
- LAs (which are in unionised form) enter to axoplasm transversely. It gets ionised and to its receptor which are present in intracellular domain.
- It blocks the Na^+ channel and no entry of Na^+ ions into axoplasm. So sensory nerve impulse fails to reach CNS and pain can't produce.

6. Name any two-opioid antagonist with their uses.

- Naloxone-antidote for opioid overdose
- Naltrexone-opioid used disorder and alcohol use disorder.

7.What are anorectic agent? Write their example.

- Anorectic agents may be defined as substances that tend to suppress appetite or hunger sensation or both.
- These are employed therapeutically for the purpose of inducing weight reduction, may influence primarily either central or peripheral mechanisms concerned with food intake.
- Example-noradrenergic agents =phentermine, phenylpropanolamine
    - Serotonergic agents=fenfluramine, dexfenfluramine

8. Define plasma half-life ($t^{1/2}$). What is it, significance?

- It is the time taken for the plasma concentration of the drug to be reduced to half its value.
- Example-plasma half-life of lignocaine is 1 hour and that of aspirin is 4 hours.
- Significance
    - Rate of elimination
    - Duration of action
    - Dosing interval
    - Designing a dosing regimen
    - Time for steady state concentration
    - Time for complete elimination

9. Name two drug administered in sublingual routes.

- Nitro-glycerine
- Mirtazapine
- Ergotamine
- Apomorphine
- Desmopressin

10.What is physiological antagonism?

- Physiological antagonism is a process in which any drug opposes the effect of natural signal molecule reverses the effect of it.
- Example- histamine blocker block the histamine receptor

11. Give some examples of nasal decongestant.

- Oxymetazoline, xylometazoline, phenylephrine

12.Write the definition of pharmacokinetics and pharmacodynamics.

- Pharmacokinetics-It means what the body does to the drug. It is the process by which a drug is absorbed, distributed, metabolised and excreted by the body.
- It effected by the route of administration and dose of administered drug.
- Pharmacodynamic-It mean what the drug does to the body.

- It is the study of biochemical and physiochemical effect of the drug on the body and their mechanism of action.

13.Describe carrier mediated transport.

- Absorption of drug done by carrier protein on epithelial membrane of intestine which have ability to bind the drug.
- They transport big molecule which are not lipid soluble.
- Example -peptide, amino acid, glucose.

14.What is SSRIs?

- SSRIs are the first line drugs in depression. This group includes fluoxetine, fluvoxamine, paroxetine, citalopram.
- It increases the level of serotonin in the synaptic cleft by block it's uptake by serotonergic neuron.

15.Write the mechanism action of aspirin.

- It inhibits the enzyme cyclooxygenase (COX) which leads to the formation of prostaglandins (PGs) that cause inflammation, swelling, pain and fever.

16. Why BDZ are preferred than barbiturates?

- BZDs are proffered than barbiturates because
- Bzds have practically no action on other body system
- Bzds has high therapeutic index.
- Hypnotic does not affect respiration or cardiovascular functions.
- They have lower abuse liability: tolerance is mild, psychological and physical dependence and withdrawal syndrome are less marked

17. Define bioavailability and its significance.

- It is defined as the fraction of the drug which reaches systemic circulation after administration by any route.
- Bioavailability is 100% after IV administration.
- Significance-drug having low therapeutic index e.g., cardiac glycosides phenytoin
- Narrow margin of safety. antiarrhythmics, antidiabetics
- Drugs whose peak levels are required for the effect. e.g., phenytoin, phenobarbitone, antibiotics.
- Drug that are absorbed by an active transport e.g., amino acid analogues, purine analogues.

18. Define apparent volume of distribution.

- It is the amount of fluid in which administered drug is distributed.

- It is used to quantify the distribution of drug between plasma and rest of the body after oral or parenteral.
- Apparent volume of distribution is defined as all parts of the body is equilibrated with drug for do not equal concentration
  - Vd=$\frac{amount\ of\ drug\ administered(IV)}{plasma\ concentration\ of\ a\ drug} = \frac{D}{C}$

19. Write different type of cholino receptors with their location.

Cholino receptors are of two types

a. **Muscarinic receptor (located in autonomic effector cells in heart, eye, smooth muscle and glands of GIT and CNS.**
   - M_1-autonomic ganglia cells, gastric glands and central neurons
   - M_2-cardiac muscarinic receptor
   - M_3-visceral smooth muscles, glands and vascular endothelium also iris and ciliary muscle
   - M_4 and M_5-certain areas of brain.

b. **Nicotinic receptor**
   - N_M (muscular type)-skeletal muscle end plate
   - N_N (ganglion type)-autonomic ganglia of all type sympathetic, parasympathetic and also adrenal medulla.

20. Define affinity and efficacy.

- Affinity of a drug is its tendency to bind to a particular target. affinity of two drugs can be compared only if they act on same target.
- Affinity is directly proportional to concentration of drug.
- Efficacy, is the maximum response that can be achieved with a drug.

21. Write the mechanism action of salbutamol.

- Salbutamol is selective short acting β_2 agonist.It has smooth muscle relaxant property, which allow the inhibition of bronchial smooth muscle contraction leads to bronchodilation.

22. Name two drugs which have both α and β adrenergic receptor blocking properties.

- Labetalol, Carvedilol

23. Why levodopa but not dopamine is used for the treatment of parkinsonism?

- Dopamine itself does not across the BBB and therefore cannot be used to treat Parkinson's disease. Instead, levodopa, a precursor of dopamine, which does across the BBB is used. It improves the motor symptoms of parkinsonism.

24. Give two examples of reversible type of cholinesterase inhibitors.

- Carbamate-physostigmine, pyridostigmine, neostigmine
- Acridine- tacrine

25.Write examples of centrally acting muscle relaxant.

- Diazepam, baclofen, mephenasin, tizanidine

26. write mechanism action of phenytoin.

- It is the anti-epileptic drug comes under hydantoin derivatives.
- It blocks the voltage gated Na^+ channel and this inhibits the generation of repetitive action potential. At higher dose it reduces the influx of Ca^{2+} during depolarization and supress repetitive firing neurons, both actions decrease glutamate release.

27. What are general anaesthetics? Give two examples of intravenous general anaesthetics.

- These are the agents which produce reversible loss of consciousness and also all sensations. Most anaesthetics act by
- Decreasing the transmission in reticular formation. The increase the activity of inhibitory transmitters like GABA.
- Blocking activity of excitatory transmitter such as aspartate and glutamate.
- **Example of intravenous anaesthetics:** thiopentone, ketamine, benzodiazepines, propofol.

28.What happens when propranolol is given along with insulin?

- As propranolol is β blockers, may increase the risk, severity and/or duration of hypoglycaemia in patients receiving insulin.

29. Write drug used in the treatment of pheochromocytoma.

- A-methyl-p tyrosine, phenoxybenzamine, tyrosin, doxazocin

30. Define drug dependence with example.

- It is a state of periodic or chronic intoxication produce by repeated drug administration. It involves both psychic and physical dependence for a drug.
- Example -nicotine, morphine, heroin, cocaine, amphetamine, alcohol

31. Give two examples of β- blockers.

- Propranolol, pindolol, sotalol, carvedilol

32. White two drugs act as ganglionic blockers.

- Hexamethonium, pentolinium, pempidine, trimethophan

33. What is plasma protein binding?

- Plasma protein binding refers to the extent to which drugs adhere to blood proteins within the plasma of the bloodstream.

34.Write two drug induce metabolic enzyme.

- Rifampicin, carbamazepine, phenytoin

35. What is the meaning of intrathecal route of drug administration. Give example in this connection.

- The administration of substances into the subarachnoid space of the spinal cord as a means of bypassing the blood-brain barrier.
- Example: Methotrexate, cytarabine, and thiotepa

36. Write the types of receptors generally involved at the sites of the cholinergic transmission.

- Muscarinic and nicotinic

37. Write the types of endogenous catecholamine involved in ANS.

- Dopamine, norepinephrine, and epinephrine. Norepinephrine

38. difference between α_1 and α_2 adrenergic receptors.

α_1 adrenergic receptors

- **Location:** Post junctional on effector organs.
- **Pathway:** It acts by phospholipase 'C' and increased IP_3 / DAG.
- **Coupling protein:** "G_q"
- **Effects:**
  - Eye: Mydriasis
  - Arterioles: Constriction (increase in BP)
  - Uterus: Contraction
  - Skin: Sweat
  - Platelet: Aggregation
  - Male sex organ: Ejaculation
  - Bladder: Contraction
  - Splenic capsule: Contraction
  - Neurotransmitter: Increase release of Ach
  - Smooth muscle: Contraction (vasoconstriction)
  - Gland: Secretion
  - Gut: Relaxation

α_2 adrenergic receptors

- **Location:**
  - pre-junctional nerve ending (α_{2A})
  - post-junctional in brain
  - Pancreatic β cells and extra-junctional in certain blood vessels.
  - Platelets
- **Pathway:**
  - Inactivation of Adenylate cyclase (AC)
  - Decrease cAMP
  - Increase K^+ channel
  - Decrease Ca^{2+} channel or increase
  - Increase IP_3 / DAG
- **Agonist:** Clonidine
- **Antagonist:** Yohimbine, Rauwolscine
- **Coupling protein:** G_i / G_o
- **Effect**:

- Liver: Glycogenolysis
- Heart: Arrhythmia

- Inhibition of transmission release.
- Vasoconstriction
- Decrease central sympathetic flow.
- Decrease insulin release.
- Platelet aggregation

39. Write general effects of α- blockers.

- Alpha 1 blockade - reduce peripheral resistance, fall in BP, Postural hypotension.
- Alpha 2 blockade - increase vasomotor tone.

40. What is cholinomimetic and anticholinesterase.

- Those drugs that cause effects similar to those resulting from introduction of acetylcholine, or simulation of ganglions of the parasympathetic nervous system.
- Anti-cholinesterase (Anti-ChEs) are agent which inhibit the cholinesterase that is responsible for hydrolysis of Ach. Thus, Ach is not metabolized and get accumulated at muscarinic and nicotinic sites.

41. What has been used amongst the chronic alcoholics with a sincere desire to leave the habit.

- **Drugs for cravings:** Naltrexone may help reduce the urge to have a drink.
- **Detoxification:** Medications can help prevent withdrawal symptoms (delirium tremens) that can occur after quitting.

42. What is first pass metabolism and how it is related?

- The first pass effect is a phenomenon of drug metabolism at a specific location in the body which leads to a reduction in the concentration of the active drug, specifically when administered orally, before it reaches the systemic circulation.
- Oral administered drug enters the liver and enzymatically reduce its concentration.

43. What is granular uptake? Name the drug inhibiting in this uptake.

- The membrane of intracellular granules has another amine pump that transports CAs from the cytoplasm to within the granule. Ex. Reserpine

44. Name any two selective inhibitors of cox-II.

- Ibuprofen, naproxen, ketorolac and indomethacin

45. what is myasthenia gravis? Name two drug used.

- It is autoimmune chronic disease characterized by weakness and rapid fatiguability of the skeletal muscle due to impaired neuromuscular transmission.

- Drug used -neostigmine, pyridostigmine, prednisone, ephedrine sulphate

46.What is schizophrenia? Name two drug used.

- It is split mind; the patient is over power by single thought process like repetitive and purposeless behaviour. In this case overactivity of dopamine in the limbic system.
- Drug used-chlorpromazine, haloperidol, clozapine, risperidone

47. Define agonist and antagonist with examples.

- **Agonist:** An agent which activates a receptor to produce an effect similar to that of the physiological signal molecule.
- **Antagonist:** An agent which prevents the action of an agonist on a receptor or the subsequent response, but does not have any effect of its own.

48. Define the term drug addiction and drug dependence.

- **Drug addiction** refers to an out-of-control compulsion for an individual to take a particular substance.
- **Drug dependence** refer to certain physiological and psychological phenomena induced by the repeated taking of a substance.

49. Write two drugs used in glaucoma.

- Betaxolol, timolol

50. Differentiate tolerance and dependence.

Tolerance	Dependence
• Tolerance is a phenomenon that refers to reduce reaction from a drug following repeated used of that drug by a patient. • Increase the dose of the drug may amplify its effect, but this, in turn, reinforces greater tolerance. • Tolerance is, then a contributing factor towards drug addiction	• The body becomes adapted to functioning normally as long as the drug is consumed. • The symptoms may be physical, psychological or both.

51. What is vesicular reuptake during neurohumoral transmission of NA? Write examples of vesicular reuptake inhibitors.

- The membrane of intracellular vesicle has amine pump i.e., vesicular monoamine transporter (VMAT-2) which transport NA from the cytoplasm to the interior of the storage vesicle.
- **Example:** Reserpine

52. Examine advantages and disadvantages of oral routes of administration.

- Adv-convenient
    - Safest
    - Cheaper
    - Self-medication is possible
- Dis adv-slow onset of action
    - Unpalatability of bitter drugs
    - Nausea and vomiting can produce
    - Not suitable for unconscious and uncooperative patients

53. Enlist drug used for open angle glaucoma.

- Prostaglandin analogues- Bimatoprost, latanoprost, Travoprost

54. Define and classify neurotransmitters.

- Neurotransmitters are substances which neuron use to communicate with one another and with their target tissues in the process of synaptic transmission.

Type

- Excitatory neurotransmitter -acetylcholine, histamine, dopamine
- Inhibitory neurotransmitters- serotonin, gamma aminobutyric acid
- Neuromodulators-nor epinephrine, histamine
- Neuro hormones-releasing hormones from hypothalamus, oxytocin, vasopressin

55. Classify drugs for Alzheimer's disease.

- Cholinesterase inhibitor-tacrine, rivastigmine
- Nootropic agent-piracetam
- NMDA receptor antagonist-memantine
- MAO inhibitors -selegeline

56. Difference between alpha- and beta-adrenergic receptors.

Alpha adrenergic receptors	Beta adrenergic receptors
• Control physiological processes like vasoconstriction, intestinal relaxation, pupil dilation etc. • stimulate effector cells. • two sub typs alpha 1 and 2. • mainly occur in vascular smooth muscles and effector tissues. • stimulate smooth muscles. • Example: alpha 1 - Methoxamine and alpha 2 - Clonidine.	• Control vasodilation, relaxation of the bronchial and uterine smooth muscles, and increase heart rate. • relax effector cells. • Three sub types beta 1, 2, and 3. • Mainly occur in bronchial muscle, heart muscles, and uterine muscles. • Example: bete 1 - Albuterol and beta 2 - Metoprolol.