

KATHMANDU UNIVERSITY
End Semester Examination
January/February 2024

Marks Scored:

Level : B.Pharm.
Year : II

11 FEB 2024

Course : PHAR 224
Semester : II

Exam Roll No. :

Time: 30 mins.

F. M. : 20

Registration No.:

Date :

SECTION "A"

[20Q. × 1 = 20 marks]

Choose and encircle the most appropriate option from each set of choices.

- One of the following statement regarding local anaesthetic drugs is **WRONG**?
 - Amide based drugs are more stable than ester based drugs
 - Electron withdrawing substituents at para position of the benzene ring of lipophilic portion enhance activity
 - Quarternary amine group in hydrophilic group of the drug is favourable while interacting with the receptor
 - Small size of the drug and low ionization and have fastest onset of anesthesia.
- Which one of the following drugs affecting adrenergic neurotransmission does not have CNS activity?
 - Deserpidine
 - Reserpine
 - Metyrosine
 - Guanethidine
- The functional group essential for intrinsic activity of acetylcholine is
 - onium group
 - ethylene bridge
 - acyloxy group
 - trimethylammonium group
- Angiotensin converting enzymes
 - Are very specific in nature
 - Acts on the substrate protein from its N-terminus
 - Does not have any cofactors
 - Breaks the bond between Phe and His
- The n-butyl functional group of Losartan is supposed to mimic.....of Angiotensin II.
 - Tyr₄
 - Asp₁
 - Ile₅
 - His₆
- Which one of the following drugs is metabolized by esterase enzyme?
 - Propranolol
 - Atenolol
 - Esmolol
 - Metoprolol
- An α substitution on the choline moiety
 - increase nicotinic and muscarinic activity
 - increase nicotinic but decrease muscarinic activity
 - decrease nicotinic but increase muscarinic activity
 - decreases both nicotinic and muscarinic activity
- Acetylcholinesterase is the most strongly inhibited when it is
 - Acetylated
 - Carbamylated
 - Phosphorylated
 - Formylated

9. Hoffman elimination method is a non enzymatic pathway of metabolism for.....
- Decamethonium
 - d-Tubocurarine
 - Succinylcholine
 - Atracurium
10. The Henderson-Hasselbalch equation relates to
- pKa and pH
 - logP and pKa
 - pH and log P
 - pH and protein binding
11. LogP is influenced by.....of a drug.
- pKa
 - pH
 - Melting point
 - H-bonding ability
12. The irreversible interaction between the drug and the receptor is observed when..... forms.
- Covalent bond
 - Hydrogen bond
 - Ionic interaction
 - Hydrophobic interaction
13. The nucleophilic function group is
- Nitrile
 - Amide
 - Ether
 - Sulfhydryl
14. Primary function of Phase I metabolism is
- Acetylation
 - Oxidation
 - Methylation
 - Hydrolysis
15. A good leaving group attached to the metabolite which is responsible for the toxicity seen is
- Glucuronide
 - Sulfate
 - Glutathione
 - Acetyl
16. The pKa of a drug is same as the pH when
- All the drugs are ionized
 - All the drugs are unionized
 - 50% of the drugs are ionized
 - It indicates the pH at which the solubility is high.
17. Solubility of a compound decreases when
- Ionizable group is added
 - Hydrogen bonding increases
 - Molecular weight is reduced
 - Crystal packing is increased
18. Which functional groups are present in Prazosin?
- Quinazoline, piperazine, furan
 - Piperazine, quinazoline, tetrahydrofuran
 - Catechol, amine, tetrazole
 - Tetrazole, pyrrole, furan
19. Which one of the following is zwitterionic in nature?
- Acetylcholine
 - Epinephrine
 - Lignocaine
 - Atenolol
20. During the metabolism, the bond between carbon and nitrogen is broken when one of the following conditions is met?
- Nitrogen is a part of Tertiary amine
 - Nitrogen is a part of amide
 - Hydrogen at alpha carbon
 - Halogen at alpha carbon

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SECTION "B"

[5 Q. × 3 = 15 marks]

Attempt *ANY FIVE* questions.

1. Classify calcium channel blocker. Why metasubstitution increase the activity of Verapamil but ortho decrease it.
2. What are the factors to be considered when designing a Prodrug?
3. What is anchimeric assistance associated with Acetylcholine?
4. Discuss the mechanism of ability to penetrate blood brain barriers by Clonidine and Methyldopa in spite of having strong polar functional groups.
5. Give chemical classification of Local Anaesthetic drugs.
6. Discuss the chemistry of Acetylcholinesterase hydrolysis.
7. What is the modification made in Enalaprilate to enhance its oral bioavailability.

SECTION "B"

[5 Q. × 5 = 25 marks]

Attempt *ANY FIVE* questions.

8. Explain the importance of optical isomer in drug receptor interaction.
9. Write a note on Oxidation involving carbon-heteroatom system.
10. Discuss the chemistry of Catecholamines.
11. Write down the SAR of Direct-Acting Sympathomimetics.
12. What are the features of the ACE blockers that lacked the sulfhydryl group yet maintained ability to chelate zinc.
13. With example, discuss the influence of pKa in designing Local Anaesthetic drugs.
14. Explain Phase I Drug Metabolism by Cytochrome P 450 enzyme system.

SECTION "D"
[2Q. × 7.5 = 15 marks]

Attempt *ANY TWO* questions.

15. Write a note on
 - a. Redox potential
 - b. Steric Effects

16. What is the importance of Drug Metabolism during drug discovery stages? Briefly explain different types of Phase II Drug Metabolism.

17. Discuss on the functional groups amenable to Prodrug design with examples, illustrate the application of Prodrugs.