KATHMANDU UNIVERSITY
End Semester Examination [C]
June/July 2024

Marks Scored:

Level : B.Pharm.
Year : II

Course : PHAR 224
Semester : II

Exam Roll No. :

Time: 30 mins.

F. M. : 20

Registration No.:

Date : 01 JUL 2024

SECTION "A"
[20Q. × 1 = 20 marks]

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

1. Which one of the following functional group is least susceptible to be metabolized by cytochrome p450?
   a. Primary amine b. Secondary amine c. Tertiary amine d. Quaternary amine

2. Which one of the following enzymes is highly nonspecific in nature?
   a. ACE b. Renin
   b. Dopamine beta hydroxylase d. Tyrosine hydroxylase

3. Local anaesthetic drug that potentially cause allergic reaction is
   a. Lignocaine b. Prilocaine c. Procaine d. Bupivacaine

4. One of the following is not structural requirement of Acetylcholine
   a. Onium group b. Ethylene bridge
   c. Quaternary ammonium d. Acetyl group

5. Aging effect is
   a. Natural degradation of cholinesterase enzyme
   b. Formation of less electrophilic group
   c. Formation of less nucleophilic group
   d. Formation of weakly bonded phosphorylated group so that can easily be regenerated

6. Which one of the following antihypertensive drugs has imidazoline ring?
   a. Clonidine b. Methyl dopa c. Dobutamine d. Prazosin

7. Curariform drug with steroidal nucleus is
   a. Atracurium b. Pancuronium c. Tubocurarine d. Trimethaphan

8. The cholinergic agent which does not have quaternary ammonium group is
   a. Pilocarpine b. Carbachol c. Acetylcholine d. Bethanechol

9. Acetylcholinesterase is the most strongly inhibited when it is
   a. Acetylated b. Carbamylated c. Phosphorylated d. Formylated

10. Hoffman elimination method is a non enzymatic pathway of metabolism for
    a. Decamethonium b. d-Tubocurarine c. Succinylcholine d. Atracurium

11. LogP is influenced by _____ of a drug
a. pKa b. pH c. Melting point d. H-bonding ability

12. Solubility of a compound decreases when
a. Ionizable group is added b. Hydrogen bonding increases
c. Molecular weight is reduced d. Crystal packing is increased

13. ACE inhibitors form complex with
a. Zn^{+2} b. Mg^{+2} c. Ca^{+2} d. Mn^{+2}

14. For the optimum activity of Aryloxypropanolamines, the 'amine nitrogen' should always be _____ for optimum activity.
a. Primary b. Secondary c. Tertiary d. Quaternary

15. Oxidation of Norepinephrine gives _____ derivative
a. Catechol b. Quinone c. Glycol d. Hydroquinone

16. Find out the wrong statement
a. Epinephrine and nor epinephrine are amphoteric in nature
b. Catechol moiety is not essential for adrenergic agonist activity
c. Carbon containing β hydroxyl should have S configuration
d. α methyl substitution make the drug selective towards α2 and β2 recepto

17. Which one of the following form covalent bond with receptor?
a. Phenoxybenzamine b. Tolazoline
c. Prazosin d. Clonidine

18. The amino acid not present in glutathione is
a. Glycine b. Glutamate c. Cysteine d. Tyrosine

19. In sulfate conjugation, the following statement is wrong
a. It is the least toxic pathway among the phase II drug metabolism.
b. Sulfate conjugation involves activation of inorganic sulfate into the APS
c. Sulfate conjugation can result in bioactivation of Morphine
d. Unlike glucoronic acid conjugation, the precursor for sulfate conjugation is limited

20. The weakest bond between the drug receptor among the flowing is
a. Hydrogen bond b. Covalent bond
c. Electrostatic interaction d. Hydrophobic interaction

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Semester : II
F. M. : 55

SECTION "B"
[5 Q. × 3 = 15 marks]

Attempt *ANY FIVE* questions.

1. Phase II drug metabolism can generate active and toxic metabolite. Justify it.
2. With examples, illustrate the oxidation involving carbon-heteroatom system
3. Discuss the hydrogen and hydrophobic bond involved in drug receptor interaction.
4. Log P needs to be optimized. Justify it.
5. Why the Ageing effect is observed in organophosphorous based irreversible Inhibitors of acetylcholinesterase?
6. What are Depolarizing Blocking Agents? Illustrate the Hoffman elimination in the metabolism of Atracurium Besylate.
7. Give examples of water soluble and lipid soluble functional group

SECTION "B"
[5 Q. × 5 = 25 marks]

Attempt *ANY FIVE* questions.

8. Discuss Structure Modification Strategies to Improve Solubility.
9. What are bioisosters? Write down an application of Retroisosterism
10. Write down the SAR of Direct-Acting Sympathomimetics
11. Write a note on functional groups amenable to prodrug design.
12. Classify local anaesthetic. Discuss the chemistry behind their mechanism of action
13. List out the Advantage of Angiotensin receptor blockers. Give their SAR.
14. Discus, in short, the role of sympatholytic drug in hypertension. Write down the SAR of Aryloxypropanolamines

SECTION "D"

[2Q. × 7.5 = 15 marks]

Attempt *ANY TWO* questions.

15. Explain the proposed reaction cycle of Cytochrome p450 drug metabolism. Write a note on NIH shift

16. What are the factors to be examined when designing a prodrug? Briefly discuss their application.

17. Discuss the importance of following in drug design
    a. Solubility b. Partition coefficient c. Pka values