KATHMANDU UNIVERSITY
End Semester Examination [C]
December, 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 : 17 DEC 2024

SECTION "A"
[20 Q. × 1 = 20 marks]

Choose and encircle the most appropriate option.

1. One of the following is a dimer of acetylcholine
   a. Pilocarpine b. Carbachol c. Succinylcholine d. Bethanechol

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

3. 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

4. In spite of having highly basic guanidine functional group, clonidine can pass through BBB because,
   a. The basic center is masked by converting to its prodrug
   b. Its basicity is reduced due to suitably placed two chlorine in the structure
   c. It has additional highly lipophilic functional group
   d. It is taken up by active transport system into the BBB

5. Which isomer of Labetolol do not have α and β blocking effect?
   a. R.R b. S.S c. S.R d. R.S

6. Influence of Bulkiness in the nitrogen substituents in the affinity towards alfa receptor is in the order of
   a. isoproterenol, epinephrine and nor epinephrine
   b. epinephrine, isoproterenol nor epinephrine
   c. nor epinephrine, epinephrine, isoproterenol
   d. They have equal affinity

7. SAM as coenzyme is present in _____ in drug metabolism
   a. Acetylation b. Methylation
   c. Sulfate conjugation d. None of the above

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

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

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

11. The widely used bio-isoester of carboxylic acid to increase the lipophilicity is
    a. Tetrazole b. Oxime c. Phosphate d. Phenol

12. Which of the following statements is the closest description of Phase II metabolism?
    a. Reactions which add a polar molecule to a functional group already present on a drug or one of its metabolites
    b. Reactions which occur in the blood supply
    c. Reactions which add a polar functional group to a drug
    d. Reactions which occur in the gut wall

13. Pka value tells
    a. Acid with higher pka is strong acid b. Acid with higher pka is weak acid
    c. Base with lower pka is strong base d. Base with higher pka is weak base

14. The drug that metabilise through Hoffman elimination reaction is
    a. Tramadol b. Temazepam
    c. Lisinopril d. Atracurium Besylate

15. Which one of the following statement is wrong?
    a. n-octanol and water mimic the lipid membrane/water system found in the body
    b. Water solubility equates to an approximate logP of +0.5
    c. Log D is the ratio of concentrations of neutral & ionized, in octanol divided by the concentration of all species in water
    d. Pka tells whether the drug is acid or base in solution

16. Bioisosters have
    a. Same physical properties b. Same chemical properties
    c. Same biological properties d. All of the above

17. The bond between the drug and carrier in prodrug is
    a. Hydrogen bond b. Covalent bond c. Ionic bond d. Vander Waal's force

18. Typically, the Local anaesthetics are
    a. Hydrophilic in nature b. Hydrophobic in nature
    c. Amphiphilic in nature d. It can have any of the above

19. The property of Local anaesthetics are governed by
    a. Optical activity of the drug b. Molecular size of the drug
    c. Protein binding capacity of the drug d. All of the above

20. The accessibility of quaternary ammonium compounds to neuromuscular junction is due to
    a. Myelin sheath covering the nerve ending
    b. Bare nerve ending
    c. Presence of nodes of Ranvier
    d. None of the above as no charged compound can reach the neuromuscular junction

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Check (√) the number of each question of Section B, C and D you have answered in the front page of main answer book.

SECTION "B"
[5 Q. × 3 = 15 marks]

Attempt *ANY FIVE* questions.

1. Explain Lipinski's rule of five-RO5.
2. Discuss the influence of Hydrogen bonding in solubility of drugs.
3. What is the role of Log P of a molecule in the permeability of a drug through biological membrane?
4. Demonstrate the effect of enantiomers in pharmacological activity of drugs.
5. Enlist the difference between bioprecursor and carrier mediated prodrug.
6. Based on SAR, explain the affinity of Isoproterenol, Epinephrine and Norepinephrine towards adrenergic receptors.
7. What is the modification made in Enalprilate to enhance its oral bioavailability?

SECTION "C"
[5 Q. × 5 = 25 marks]

Attempt *ANY FIVE* questions.

8. Explain the proposed reaction cycle of Cytochrome p450 drug metabolism.
9. Discuss the metabolism of Catecholamine.
10. Discuss Structure Modification Strategies to Improve Solubility.
11. What is NIH shift? Mention the different metabolic pathway of arene oxide.
12. Explain the mechanism of action of Local anaesthetic drugs based on their pKa.
13. Write a note on the chemistry of Atracurium Besylate. Explain its in vivo metabolism.
14. Mechanism of Acetylcholinesterase Hydrolysis.

P.T.O.

SECTION "D"

[2 Q. × 7.5 = 15 marks]

Attempt *ANY TWO* questions.

15. Explain the chemistry of antihypertensive drugs that acts on Renin angiotensin system.

16. What are the factors affecting drug metabolism? Briefly explain different types of phase II drug metabolism.

17. Write a short notes on: [3.5+4]
    a. Drug receptor interaction
    b. Functional groups amenable to prodrug design