KATHMANDU UNIVERSITY
End Semester Examination
July/August, 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 : 16 AUG 2024

SECTION "A"
[20 Q. × 1 = 20 marks]

Choose and encircle the most appropriate option.

1. The Synthetic Compounds With Curariform Activity having steroid nucleus is
   a. Atracurium b. d-Tubocurarine c. Pancuronium d. Metocurine

2. The weakest interaction between the drug and the receptor is among the options below is.
   a. Covalent bond b. Hydrogen bond
   c. Ionic interaction d. Hydrophobic interaction

3. The N-tert-butyl group in β-phenylethylamine based structure have affinity towards which adrenergic receptor?
   a. Alfa1 b. Alfa 2 c. Beta1 d. Beta2

4. The aging effect in organophosphorous poisoning is due to phosphorus atom that becomes
   a. More electrophilic b. less electrophilic
   c. more nucleophile d. less nucleophile

5. Which one of the following statements on pKa is true?
   a. It tells whether the compound is acid b. Its value for the weak acid is low
   c. Its value for the weak base is low d. It tells whether the compound is base

6. If a compound is equally soluble in both water and octanol, its logP value will be
   a. 1 b. -1 c. 0 d. ∝

7. Which one of the following factor does not enhance the onset of action of anesthesia?
   a. Low molecular weight b. High pKa
   c. High lipid solubility d. Low ionization

8. Which one of the following bonds is desired in prodrug design?
   a. Hydrogen bond b. Ionic bond
   c. Covalent bond d. Hydrophobic bond

9. One of the following is not a purpose of prodrug design.
   a. Enhance solubility b. Enhance potency
   c. Enhance bioavailability d. Enhance absorption

10. Which one of the following is not the intention of Bioisosters
    a. To attenuate toxicity
    b. To alter the metabolism
    c. To enhance the potency
    d. To increase the reactive site

11. One of the following does not contribute to modify the solubility of a drug
    a. Particle size
    b. Melting point
    c. Crystal packing
    d. Enantiomers

12. In the nomenclature of Cytochrome P450, the A in CYP1A2 represent
    a. 40% identical amino acid sequence
    b. 55% identical amino acid sequence
    c. 70% identical amino acid sequence
    d. 90% identical amino acid sequence

13. Among the β-phenylethylamine derivatives, the catechol ring is important for the affinity with
    a. N_M receptor
    b. Beta 1 receptor
    c. Alfa 2 receptor
    d. M_2 receptor

14. ACE does not cleave peptides when their penultimate amino acid is
    a. Histidine
    b. Proline
    c. Phenylalanine
    d. Leucine

15. The ACE inhibitors that best mimic the tetrahedral intermediate of peptide hydrolysis is
    a. Enalapril
    b. Lisinopril
    c. Fosinopril
    d. Enalprilate

16. Which one of the following is not a criteria for the increased affinity of Aryloxypropanolamines towards beta 1 receptor?
    a. Absence at the meta position of the benzene ring
    b. Substitution at the para position
    c. Bulkiness at the N-alkyl functional group
    d. Presence of heterocyclic ring

17. One of the following is not present in the structure of Prazosin
    a. Furan
    b. Piperazine
    c. Quinazoline
    d. Tetrahydrofuran

18. Among the different type of π–π interaction in drug receptor interaction, which one of the following is the most common?
    a. Sandwitch
    b. T-shape
    c. Parallel displaced
    d. Stacked

19. Which one of the following Phase II drug metabolism does not increase the water solubility?
    a. Sulfate conjugation
    b. Glucuronic acid conjugation
    c. Acetylation conjugation
    d. Glycine conjugation

20. The most common cytochrome enzyme responsible for the drug metabolism is
    a. CYP2D6
    b. CYP3A4
    c. CYP1A1
    d. CYP2B6

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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. Write a note on the interaction of Acetylcholine with its receptor. What is five atom rule associated with Acetylcholine?

2. How do you design the drug that can inhibit Acetylcholinesterase enzyme?

3. What is the modification made in Enalprilate to enhance its oral bioavailability?

4. What are the different approached to prodrug design of a molecules containing hydroxyl group?

5. What are the factors that enhance the action and duration of action of local anaesthesia?

6. Discuss the influence of enantiomers in drug receptor interaction.

7. Illustrate how the Angiotensin II receptor blocker mimic angiotensin II.

SECTION "C"

[5 Q. × 5 = 25 marks]

Attempt *ANY FIVE* questions.

8. Discuss the chemistry of the imidazolines, that interacts with α-adrenergic receptor.

9. Explain Bioisosteres with suitable examples.

10. Discuss the chemistry behind the mechanism of action of Local anaesthetic drugs. Why Procaine (pka=8.9) has very slow onset of action

11. List out the importance of Drug metabolism. Write a note on Factors affecting it.

12. With examples, demonstrate the toxicity caused by the electrophile that are generated during drug metabolism

13. Discuss the different approaches to determine the solubility of a drug.

P.T.O.

14. Write a note on [2.5+2.5]
    a. Pka
    b. Log P

SECTION "D"

[2 Q. × 7.5 = 15 marks]

Attempt *ANY TWO* questions.

15. Discuss with examples, various oxidative pathway of phase I drug metabolism.

16. Briefly discuss the application of prodrugs. What are the challenges encountered during their design? [5+2.2]

17. Discuss the role of Renin-Angiotensin System. With examples, demonstrate how ACE inhibitors block ACE enzymes. [2.5+5]