

4 attt  
18/10/24

Total marks: 75

Duration : 3 hours

- N.B. : 1. All questions are compulsory.  
2. Figures to the right indicate full marks.

Q.1 Choose the appropriate option for following multiple choice-based questions. (20)  
Each question carries one mark.

- 1 Following are the Phase I metabolism reactions except
  - [a] Reduction of aldehyde and ketone
  - [b] Methylation
  - [c] S-dealkylation
  - [d] oxidation of olefins
  
- 2 Identify the triazole ring fused benzodiazepine from the following.
  - [a] Oxazepam
  - [b] Chlordiazepoxide
  - [c] Diazepam
  - [d] Alprazolam
  
- 3 Select the sympathomimetic agent acts indirectly from the mentioned below?
  - [a] Naphazoline
  - [b] Hydroxyamphetamine
  - [c] Phenylephrine
  - [d] Terbutaline
  
- 4 Which of the following is acting as a dissociative anaesthetics?
  - [a] Ketamine
  - [b] Halothane
  - [c] Thiopental Sodium
  - [d] Secobarbital
  
- 5 In SAR of acetyl choline, replacing the acetyloxy functional group with carbamic acid ester of choline in leads to \_\_\_\_\_?
  - [a] Decreased resistant to hydrolysis.
  - [b] Increased resistant to hydrolysis.
  - [c] Increase in cholinergic activity.
  - [d] Decrease in cholinergic activity.
  
- 6 The following atypical antipsychotic gives an antidepressant drug on metabolism
  - [a] Chlorpromazine
  - [b] Risperidone
  - [c] Loxapine
  - [d] Clozapine



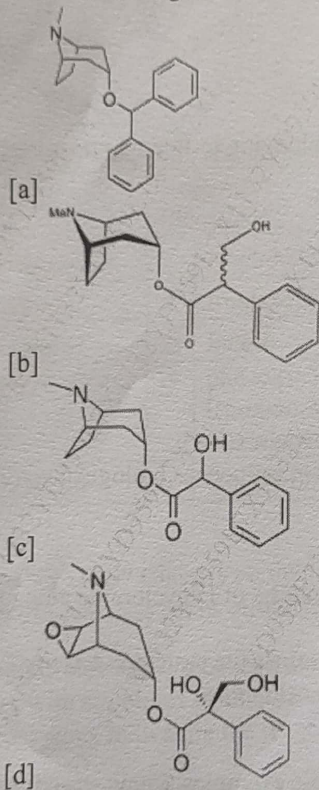
- 7 Identify the metabolism pathway involved in the following



- [a] Oxidative deamination  
 [b] Dealkylation  
 [c] Aromatic hydroxylation  
 [d] Reduction
- 8 Phenobarbital is the active metabolite of \_\_\_\_\_  
 [a] Methobarbital  
 [b] Mephobarbital  
 [c] Pentobarbital  
 [d] Secobarbital
- 9 Identify the basic structural nucleus present in the drug Fentanyl sodium?  
 [a] 4-Phenyl piperidine  
 [b] Benzomorphans  
 [c] Morphinans  
 [d] 4-Anilidopiperidines
- 10 Which of the following is incorrect statement about Sulindac  
 [a] Sulindac is prodrug that contains a sulfoxide moiety  
 [b] It belongs to chemical class: Oxicams  
 [c] Sulfide derivative of Sulindac is an active form of the drug  
 [d] It contains Indene nucleus
- 11 Which of the following drug is not an alpha-adrenergic blocker?  
 [a] Tolazoline  
 [b] Prazosin  
 [c] Methysergide  
 [d] Metoprolol
- 12 Which of the following is incorrect pair of NSAIDs  
 [a] Propionic acid derivative: Ibuprofen  
 [b] Oxicam: Piroxicam  
 [c] p-aminophenols: Acetaminophen  
 [d] Salicylates: Indomethacin
- 13 Identify the drug which doesn't acts as narcotic antagonist.  
 [a] Anilerdine  
 [b] Nalorphine  
 [c] Levallorphan  
 [d] Naloxone



- 14 Which of the following is having mixed action i.e. at mu receptor it acts as antagonist and at kappa receptor it acts as agonist  
 [a] Morphine  
 [b] Naloxone  
 [c] Codeine  
 [d] Nalorphine
- 15 The benzodiazepine analog which has the least sedative activity  
 [a] ortho-substituted 5-aryl benzodiazepine  
 [b] di-ortho-substituted 5-aryl benzodiazepine  
 [c] para-substituted 5-aryl benzodiazepine  
 [d] unsubstituted 5-aryl benzodiazepine
- 16 Which of the following is the CORRECT structure of Benztropine?



- 17 Which of the following NSAID that covalently modifies cyclooxygenase by acetylating Ser-530 of COX-1 and Ser-516 of COX-2  
 [a] Mefenamic acid  
 [b] Indomethacine  
 [c] Aspirin  
 [d] Piroxicam



- 18 In SAR of phenothiazine class, what is the optimal distance between amine side chain and the diaryl heterocyclic ring for best neuroleptic activity.
- [a] One carbon chain
  - [b] Two carbon chain
  - [c] Three carbon chain
  - [d] Four carbon chain
- 19 Which of the following is a synthetic piperidine agent chemically related to the opiates with anti-diarrheal properties.
- [a] Loperamide
  - [b] Meperidine
  - [c] Pentazocine
  - [d] Levorphanol
- 20 Which of the following is an example of NSAIDs belonging to Phenylpyrazolones?
- [a] Antipyrine
  - [b] Piroxicam
  - [c] Ibuprofen
  - [d] Naproxen

Q.2 Answer any two of the following three questions. (20)

Answer the following –

- A
- (i) Classify Phase I and Phase II metabolism pathways. (5M)
  - (ii) Discuss how Geometrical and optical isomerism influences biological activity of drugs with examples. (5M)
- B
- i) Give the mechanism of action and outline the synthesis of Dicyclomine along with reaction conditions and necessary reagents. (4)
  - ii) What are cholinergic agonists? Classify them. Discuss the structure-activity relationship of cholinomimetic agents with suitable examples. (6)
- C
- [i] Discuss SAR of Morphine analogues with suitable examples. (draw structures wherever required) 5M
  - [ii] Give structure and chemical class of Indomethacin, Ibuprofen, Piroxicam, Sulindac and Aspirin 5M

Q.3 Answer any seven of the following nine questions. (35)

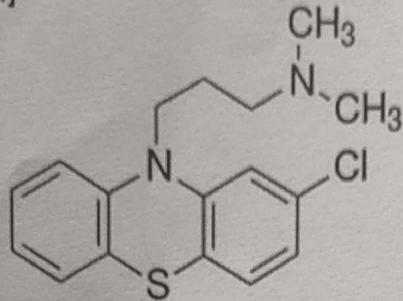
- A
- The list of antimuscarinic agents is given below. Draw their structures and write their chemical class. (5)
- Methantheline, Isopropamide, Orphenadrine citrate, Procyclidine and Cyclopentolate.
- B
- Give scientific reasons to explain the limited utility of valproic acid as an anticonvulsant drug. Give the name and structure of a drug used for epilepsy which acts by acting as a NMDA receptor antagonist. (5)



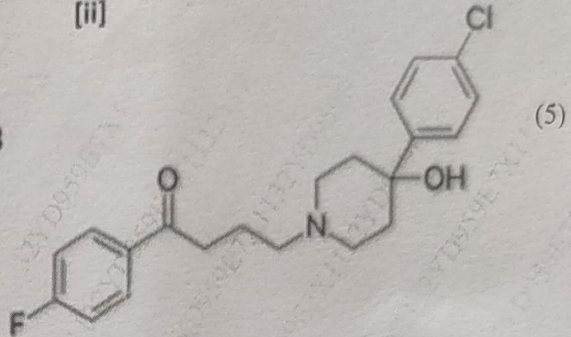
C Explain biosynthesis and metabolism of Norepinephrine. Draw structure of 2-amino imidazoline analogue which is  $\alpha$ 2-adrenergic agonist. (5)

D Predict any two Phase-I and one Phase- II metabolites for each of the following (draw structures)

(i)



(ii)



E Match the following with respect to their class and scaffold

Drugs	Column A (Scaffold)	Column B (MOA)
1 Phentolamine	i Naphthalene	a Selective $\alpha$ 1 blocker
2 Prazosin	ii Imidazole	b Antagonist at the 5-HT <sub>2C</sub>
3 Metoprolol	iii Indole	c Nonspecific $\alpha$ -antagonist
4 Methysergide	iv phenoxy-3- [(propan-2-yl)amino]	d Non selective $\beta$ blocker
5 Propranolol	v Quinazoline	e Selective $\beta$ 1 receptor blocker

F What are NSAIDs? Classify on the basis of their chemical nature giving suitable example of each class [draw structure] (5)

G Differentiate between typical and atypical class of antipsychotic agents. Give any two examples with structures from each class. Outline the synthetic scheme of Chlorpromazine, indicating the reagents used. (5)

H [i] Outline the synthetic route and give necessary reagents and reaction conditions required for Methadone HCl. [3M] (5)  
[i] Give two examples of Opioid Antagonist along with structure [2M]

I Draw the structure and discuss the mechanism of action of the antidote used for organophosphate poisoning. Outline the synthesis of Procyclidine HCl. (5)

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