MEDICINAL CHEMISTRY I S.Y. Pharmacy (SEM-IV) (Choice Based) (R-2019)

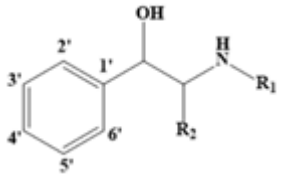
(QUESTION BANK for DESCRIPTIVE TYPE QUESTION PAPER)

Unit 1

1. Write a note on Plasma protein binding
2. Predict any two Phase-I metabolites for each of the following (draw structures) : Diazepam and Chlorpromazine
3. Define Optical isomerism and explain the significance of stereochemistry in medicinal chemistry giving suitable examples.
4. Predict any two Phase-I metabolites for each of the following (draw structures): Thioridazine and Oxazepam
5. Explain the term "Partition coefficient" and its correlation with lipid solubility of the drug molecules.

Unit 2

1. Predict the impact of the following structural features on the sympathomimetic activity of phenylethanolamines. Support your answer with relevant structures.



   i) Introduction t-butyl group on amine nitrogen (R1 = t-butyl group)
   ii) Substitution of methyl group at α carbon (R2 = -CH3 group)
   iii) Introduction of hydroxyl group at 3' and 5'position
   iv) Absence of hydroxyl group on aromatic ring
2. The following list of adrenergic blockers includes both selective and non-selective agents. Classify them as selective and non-selective. State the receptor subtype for selective agents. Prazosin, Phenoxybenzamine, Esmolol and Labetalol
3. Give mechanism of action and outline the synthesis of Salbutamol along with reaction conditions and necessary reagents.
4. Indicate the important binding groups in catecholamines (structure needed) involved in binding to the adrenergic receptors.
5. What is the difference between ephedrine and pseudoephedrine in terms of their structures, stereochemistry and mechanism of action?

Unit 3

1. Discuss the SAR of cholinergic agonists
2. Give the biosynthesis and metabolism of the endogenous cholinergic neurotransmitter.
3. Classify synthetic anticholinergic agents based on structural features and indicate their uses. Support our answer with suitable structures.

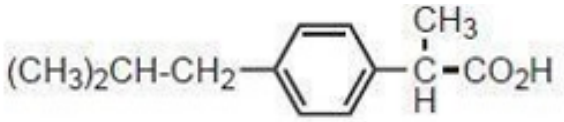
4. Give reason for the following. Support your answer - with suitable structures - Physostigmine and Isoflurophate both are cholinesterase inhibitors, but the latter has much higher potential for toxicity.
5. Explain why in cholinergic drugs, distance from nitrogen to the ester group is important for activity.

Unit 4

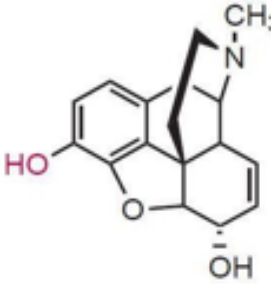
1. Describe the mechanism of action and outline the synthetic scheme of Diazepam, indicating the reagents and reaction conditions used.
2. Discuss the SAR of phenothiazines as antipsychotic agents in detail.
3. Outline the chemical classification of anticonvulsants with structure of at least one example from each class.
4. Write a short note on the 'succinimides' class of anticonvulsants and outline the synthesis of Ethosuximide.
5. With reference to their mechanism of action, discuss the effect of typical and atypical antipsychotic agents on biological activity and adverse effects. Give any two examples each of typical and atypical antipsychotics (Structures needed).

Unit 5

1. Identify the following anti-inflammatory agent. Indicate to which chemical class it belongs. Discuss the stereochemistry of the compound and draw any two metabolites for the same.



2. Write a short note on Opioid-Based Anti-diarrheals.
3. Name the following and depict their structures: any two multicyclic opioid agonists
4. Answer the following with respect to the structure given below:



   i. Identify the structure and give the number of chiral centers in the molecule.
   ii. Indicate the effect of allyl substitution at 17th position of the molecule.
   iii. Indicate the effect of etherification at the 3rd position of the molecule
   iv. Comment on the stereochemical effect of hydroxy substitution at the 14th position of the molecule.

5. Describe in detail the synthesis of Methadone and enlist any two of its therapeutic uses