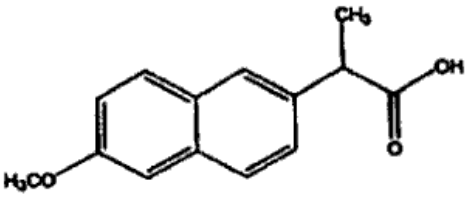
PHARMACEUTICAL CHEMISTRY 2016-17

SEM- VIII Q.P. Code : 718101

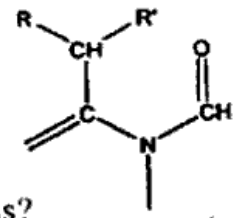
(3 Hours) [Total Marks : 70

N.B. : (1) **All** questions are **compulsory**.

1. Answer the following questions.
   - (i) Atenolol is a selective adrenergic blocker, state its receptor subtype. 1
   - (ii) Name a naturally occurring muscarinic agonist used in glaucoma. 1
   - (iii) Identify the following anti-inflammatory agent. Indicate to which chemical class it belongs. 2



   - (iv) Give four classes of antiepileptic drugs with the following partial structure. 2



   - (v) What are enkephalins? 1
   - (vi) 2-ethyl-2-phenylglutarimide is the chemical name of which drug? 1
   - (vii) Give the structure and IUPAC name of an antithyroid drug with imidazole ring. 1
   - (viii) Name one non-steroidal estrogen. 1
   - (ix) Give the therapeutic applications of adrenocorticoids. 1
   - (x) Name an irreversible MAO inhibitor that is used as an antidepressant. 1
   - (xi) Draw the structure of a carbamate derivative used as an anti-anxiety agent. 1
   - (xii) Draw any one metabolite of the phenothiazines (partial structure also accepted). 1
   - (xiii) Why is combination of levodopa and carbidopa used in parkinsonism ? 1

2. (i) (a) Explain why the 5,5-disubstituted barbituric acid backbone is the primary pharmacophore required for sedative-hypnotic activity. 2
   - (b) The C3 position in the benzodiazepine ring has a unique role to play in the pharmacokinetics of the molecule. Explain its role. 2
   - (ii) (a) Outline the synthesis of dicyclomine 3
   - (b) Escitalopram is a selective norepinephrine reuptake inhibitor. True or False? 1
   - (iii) (a) What changes were made in the structures of the sympathomimetics such that they were resistant to COMT. Give examples with structures. 3

OR

   - (b) Phenoxybenzamine and prazosin are two a-adrenergic anatagonists. Is their mechanism of action the same. Explain in detail. 3

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3. (i) (a) What is common to the drugs phenytoin, carbamazepine and lamotrigine? Draw the structure of the toxic metabolite of carbamazepine. 2

(b) Vigabatrine is a suicide inhibitor of the enzyme GABA-T. What is GABA-T? What is its role in the body? Outline the steps that explain the mechanism of action of Vigabatrine. 2

(ii) (a) The following statements relate to the SAR of adrenocorticoids. State whether they are true or false. Correct those which are false. 3

(I) Introduction of methyl or hydroxyl group at C-16 markedly reduces mineralocorticoid activity

(II) Delta corticoids having double bond between C-1 and C-2 are less effective in rheumatoid arthritis

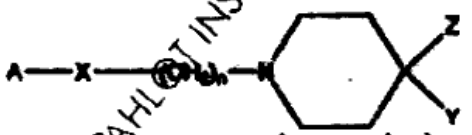
(iii) (a) The geometrical isomer trans diethylstilbestrol exhibits higher estrogenic activity than the cis isomer. Give reason. 2

(b) Elaborate the therapeutic role of bisphosphonates in osteoporosis. 2

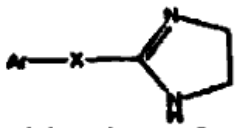
4. (i) (a) Outline the synthesis of Chlorpromazine 3

OR

The following structure represents the fluorobutyrophenone class of antipsychotic agents. What should be the groups A, X, Y and Z? Name any two drugs belonging to this class.



(ii) (a) Imidazolines of the type drawn below are known to act at the α-adrenergic receptor. How does the substituent X control α_1 vs α_2 selectivity? Give one molecule in this class that is used to treat hypertension. 2



(b) Why is a combination of sulfinpyrazone and allopurinol used in treating gouty arthritis? Structure of both molecules to be drawn. 2

(iii) (a) Outline the unique features of the Beckett and Casy receptor model for opioids. 2

(b) Match the following opioid drugs to the appropriate category : 2
Drug : Loperamide, β-prodine, Pentazocine, Codeine
Category : Morphinan , Antitussive, Opioid antagonist, Mixed agonist antagonist, Antidiarrhoeal, Phenyl piperidine

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5. (i) State any two points of difference between muscarinic receptors and nicotinic receptors. Using Newman projection formula, draw the structure of acetylcholine by which it binds to muscarinic receptor. How was the acetyl group of acetylcholine modified to make it orally active? 3

(ii) (a) Outline the synthesis of fluoxetine. 3

OR

The following are the chemical names of the drugs used for treatment of depression. Draw their structures **(any three)** 3

1. trans 2-phenylcyclopropylamine
2. 3-[Dibenz[b, e] oxepin -11 (6H) - yliden] propylamine
3. 5-[3- (Dimethylamino) propyl] -10,11-dihydro-5H-dibenz [b, f] azepine
4. 5-(3- methylaminopropylidene) -10,11-dihydro-5H-dibenzo [a, d] cycloheptene

(b) Name a muscarinic antagonist used in Parkinsons disease. 1

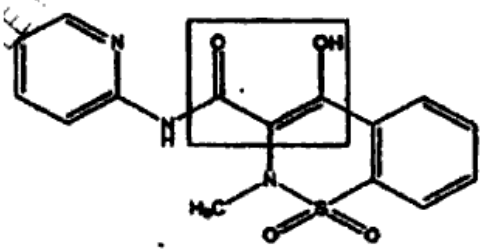
(iii) (a) Give the names and structures of any two narcotic antagonists. What are they used for? 2

(b) Classify orally active progestins into two different chemical classes. Write the general chemical structure of compounds belonging to each class. 2

6. (i) Outline the synthesis of Propranolol OR Labetalol. 3

(ii) (a) Draw the structure of Ibuprofen. Indicate the chiral centre. Give its IUPAC name. 2

(b) Following is the structure of Piroxicam. Why is the marked portion so important for cyclooxygenase inhibitory activity? Is there any relationship between the activity and the 2-pyridyl substituent? 2



(iii) (a) Write the structure of the antiparkinson drug amantadine, account for its CNS action and give its mechanism of action. 2

(b) Name an atypical antipsychotic agent 1

(c) Name an anti-anxiety agent which is a partial agonist at serotonin receptor. 1
