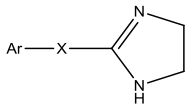
Time: 3 hrs **Marks: 70**

N. B. All questions are compulsory.

Q.1. Answer the following questions-

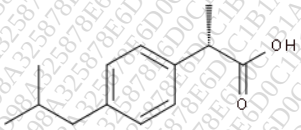
(i) Imidazolines of the type drawn below are known to act at the α-adrenergic receptor. How does the substituent X control α_1 vs α_2 selectivity?



(1)

(ii) Rivastigmine is a reversible inhibitor of acetylcholinesterase. What is it used for? **(1)**

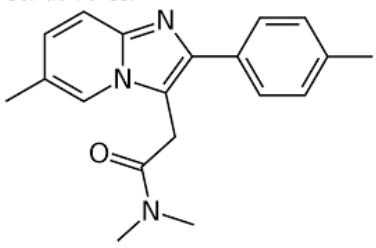
(iii) Give the chemical name of the following anti-inflammatory agent **(1)**



iv) Draw the structure of any succinimide used as an anticonvulsant. Which type of seizure is it used for? It's action is due to blockade of which channel? **(2)**

(v) Name any two opioids that are used as anti-diarrhoeal agents. (Structures not needed) **(1)**

(vi) The compound shown below is a sedative-hypnotic acting on the benzodiazepine receptor. State whether True/False **(1)**



(vii)Give the name and structure of the prodrug of the antithyroid drug methimazole **(1)**

(viii) Give example of an anabolic steroid. **(1)**

(ix) What is the effect of introduction of 9α-F group in corticosteroids? **(1)**

(x) Draw the structure of tranylcypromine. Mention any pertinent stereochemical feature of this molecule. **(1)**

(xi) Give the name and structure of any anxiolytic drug. **(1)**

(xii) To alleviate the positive symptoms associated with schizophrenia, drugs should interact at which receptor? (mention also the subtypes) **(1)**

(xiii) Give the MOA of Selegiline in the treatment of Parkinsonism. **(1)**

(xiv) Give the chemical class and use of Alendronate.. **(1)**

Q.2

(i) (a) The following statements relate to the SAR of barbiturates. State whether they are true or false giving a reasonable explanation. **(3)**

(1) At C5 at least one of the hydrogens should be left unsubstituted.

(2) N-methylation increases the duration of action.

(b) Give the structure of a BZD with an N-oxide functionality. **(1)**

(ii) (a) Match the following drugs with their respective profiles-

Drugs: i) Dicylomine ii) Bethanechol iii) Sarin iv) Ecothiophate

Description: i) Organophosphate used in glaucoma ii) Antidote for organophosphate poisoning iii) ganglionic blocker iv) Muscarinic antagonist v) Muscarinic agonist vi) Chemical warfare agent vii) Insecticide **(2)**

(b) Explain why succinyl choline chloride has a shorter duration of action. Draw structure. **(1)**

(c) Escitalopram is a selective norepinephrine reuptake inhibitor. True or false? **(1)**

(iii) Give structures of Propranolol and Sotalol. Designate their chiral carbons as R or S. Give metabolism of Propranolol. **(3)**

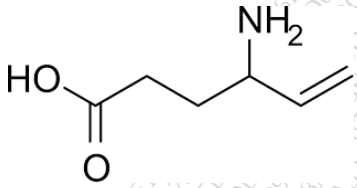
OR

(b) Compare the direct acting and indirect acting sympathomimetics with respect to their MOA and structural features. Explain giving examples. **(3)**

Q. 3

(i) (a) Outline the synthesis of Carbamazepine. **(2)**

(b) Identify the anticonvulsant drug given below and give it's mechanism of action. **(2)**



(ii) Draw the structure of testosterone and give it's chemical name. What is the importance of the following changes in structure? **(3)**

Esterification of 17β – OH group

#C-17α-methylation

(iii) (a)What are progestins? Explain in brief including their uses , giving suitable examples. **(2)**

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

Q. 4

(i) (a) Outline the synthesis of Haloperidol. **(3)**

OR

(i) (a) The following statements relate to the SAR of Phenothiazines. State whether they are true or false. Correct those which are false (any three) ;-

Substitution at positions 1 and 2 on the phenothiazine ring improve activity

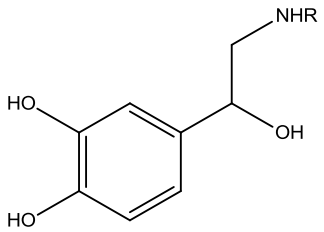
A 4-atom chain connecting N^{10} to the side chain amino group is best for activity

Branching of side chain with large groups (ex phenyl) decreases activity.

A methyl at the β-position in the side chain creates a chiral centre and both stereoisomers are equally active.

Of the amino groups in the side chain the dimethylamino and the diethylamino are equally active.

(ii) (a) Draw structure of the modified catechol nucleus (with numbering) for each of the following drugs. The general structure is given below- **(2)**



(1) Terbutaline
(2) Ritodrine
(3) Phenylephrine &
(4) Salbutamol.

b) Draw the structure of Nimesulide and give reason why the sulfonamide group is important for it's activity. **(2)**

(iii) (a). Explain with an example the structural features required for mu receptor antagonistic activity**.(2)**

(b)Give the schematic metabolism of methadone and label the metabolites as active and inactive. **(2)**

Q.5

(i) Answer the following questions- **(4)**

(a) Using Newman projection formula, draw the conformer of Acetylcholine that binds to the muscarinic receptor.

(b) Why is Pralidoxime ineffective if administered 36 hrs after exposure to insecticide?

(c) Name a muscarinic antagonist belonging to the class of aminoamides and give its therapeutic use.

(d) What is the effect of change of acetyl group to carbamoyl group in acetylcholine?

(ii)(a) Outline the synthesis of Doxepine. **(3)**

OR

(a) Classify antidepressants based on their mechanism of action giving one example of each class. (structures not needed) **(3)**

(iii)(a)What are non-steroidal estrogens? Explain giving examples. **(2)**

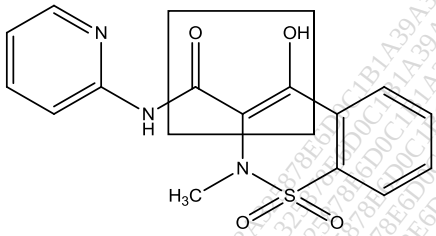
(b) Discuss the peripheral modifications of morphine with respect to SAR. **(2)**

Q. 6.

(i) Outline the synthesis of Labetalol **(3)**

(ii) (a) Draw the structure of Diclofenac. Which chemical class does it belong to? **(2)**

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



(iii) (a) Name two drugs acting as antiparkinsons agents by different mechanisms. Indicate their mechanism of action. **(2)**

(b) How are the atypical antipsychotics different from the typical antipsychotics? **(1)**

(c) Oxazepam is used as an antanxiety agent. True/false. Justify. **(1)**
