

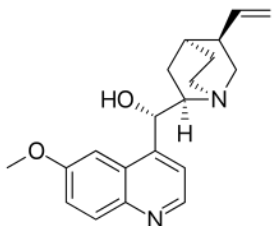
(3 hours)

70 marks

N.B: All questions are compulsory.

Q.1. Answer the following questions.

- i. 5-Flurouracil is a prodrug. Justify (structure needed). Name the enzyme inhibited by 5-Flurouracil. 2
- ii. Give the structure of Adamantan-1-amine and indicate its mechanism of action. 1
- iii. Name a calcium channel blocker used in cerebral haemorrhage. 1
- iv. Identify the following drug and indicate its use. 1



- v. Name and give the structure of an osmotic diuretic. 1
- vi. Enlist any two disadvantages of captopril. 1
- vii. Give an example of a K-channel agonist whose Phase II metabolite is active. 1
- viii. Identify and give the structure of the following drug. 1
5-(2, 5-dimethylphenoxy)-2, 2-dimethyl-pentanoic acid.
- ix. Name an indandione analogue (structure needed) acting as an inhibitor of vitamin K reductase. 1
- x. Indicate the structural difference between nizatidine and ranitidine. 1
- xi. What is DPP-IV? Name a DPP-IV inhibitor. 1
- xii. Give the starting materials for the synthesis of isoflurane. 1
- xiii. Name and give the structure of an amino ester class of local anaesthetic. 1
- xiv. Name the steroidal aglycone found in lanatoside C. 1

Q.2. (a) Give the schematic classification of alkylating agents used in cancer chemotherapy.

Support your answer with at least one structure in each class. Indicate the advantage of having an aromatic ring on nitrogen instead of methyl group in N-mustards. 4

(b) Match the following 4

Amiodarone	p-amino-N-[2-(diethylamino)ethyl]benzamide
Diltiazem	Potassium channel blocker
Procainamide	Benzothiazepine
Lidocaine	Potassium channel opener
	2-(diethylamino)-N-(2,6-dimethylphenyl)acetamide

(c) Outline the synthesis of chlorthiazide along with reaction conditions and necessary reagents. 3

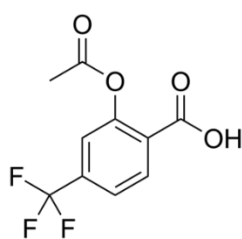
Q.3. (a) (i) Discuss acyclic nucleosides and their prodrugs as antiherpes agents.

(ii) Indicate and justify the use of combination therapy in the treatment of HIV infection. 4

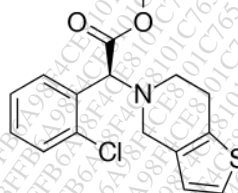
(b) Elaborate mechanism of action of following antihyperlipidemic drugs. 4

(i) Atorvastatin (ii) Ciprofibrate (iii) Ezetimib (iv) Niacin

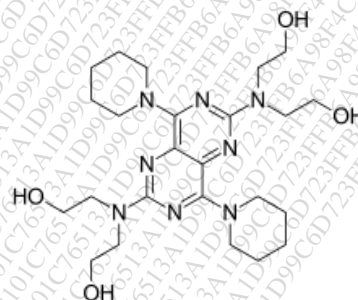
(c) With respect to the structures below, answer the following questions. (Any 3) 3



(A)



(B)



(C)

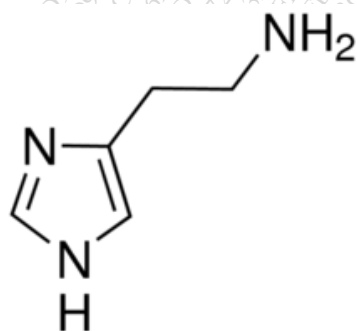
(i) Give the advantage CF₃ group in A.

(ii) Give 2 therapeutic uses of C.

(iii) Identify the prodrug among these and give the structure of its active form.

(iv) Name the enzyme inhibited by drug A and name a drug belonging to the same class as A.

Q.4. (a) With respect to the structure below, answer the following questions. 4



- a) Name this structure.
- b) Comment on its activity at the H₁ and H₂ receptor.
- c) Predict the effect of the following structural changes on the activity:
 - i. Introduction of a -CH₃ group at position 5.
 - ii. Replacement of the α-amino group by a guanidino group.

(b) Write short notes on the following. 4

(i) Amino amide class of local anaesthetics

(ii) SAR of ACE inhibitors.

(c) Outline the synthesis of Melphalan along with reaction conditions and necessary reagents. 3

Q.5. (a) Discuss the SAR of sulfonyl urea class of hypoglycemic agents. Support your answer with relevant examples. 4

Or

Outline the development of second generation and third generation sulfonyl urea class of hypoglycemic agents.

- (b) (i) Give bioactivation pathway of cyclophosphamide. 2
- (ii) Discuss the SAR of angiotensin II receptor antagonists. 2
- (c) Outline the synthesis of warfarin along with reaction conditions and necessary reagents. 3

Q.6. (a) Briefly illustrate the mechanism of action of the diuretics acting at different sites along the nephron. Give one example (with structure) from each class. 4

(b) State whether True or False with justification. Correct if false. (any 2). 4

- (i) Esmolol is shortest acting β blocker.
- (ii) Imidazole ring is not required for competitive antagonism of histamine H_2 receptors.
- (iii) Lisinopril is given as prodrug.

(c) Outline the synthesis of nifedipine along with reaction conditions and necessary reagents. 3