Duration: 3 Hours Total marks: 75

N.B.: 1. All questions are compulsory

2. Figures to right indicate full marks

Q. 1 Choose appropriate option for following multiple choice based questions. 20 Marks

- 1 Identify the active metabolite of hydroxyzine?
- **a** Astemizole
- **b** Cetrizine
- **c** Loratadine
- **d** Terfenadine
- 2 Cimetidine is synthesized using
- a Ethyl-1-chloroacetate
- **b** Ethyl-2-chloroacetate
- c Ethyl-3-chloroacetate
- **d** Methyl-2-chloroacetate
- 3 Pantoprazole is
- **a** First generation H₁ antagonist
- \mathbf{b} \mathbf{H}_2 antagonist
- **c** Second generation H₁ antagonist
- **d** Gastric proton pump inhibitor
- 4 Identify the active form of 5-Fluorouracil
- a 5-FdUMP
- **b** 5-FUMP
- c 5-FUTP
- **d** FdUTP
- 5 Select the non-nitrogen alkylator from the following.
- a Chlorambucil
- **b** Melphalan
- **c** Mechlorethamine
- **d** Busulfan
- 6 Mechanism of action of dipyridamol is
- a Phosphodiesterase (PDE) inhibitor & vasodilation
- **b** Potassium channel blocker
- c Sodium channel blocker
- **d** ACE inhibitor

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7 Identify the drug

- a Acetazolamide
- **b** Cyclothiazide
- **c** Furosemide
- d Mannitol
- 8 In 1,4-dihydropyridines class of calcium blockers, replacement of dihydropyridine ring with pyridine ring
- a Increases activity
- **b** Decreases activity
- c No effect of activity
- **d** Shows agonistic activity
- **9** One of them is not a prodrug. Identify.
- a Benazepril
- **b** Captopril
- **c** Enalapril
- d Quinapril
- 10 Class III antiarrhythmic agents are
- a Sodium channel blockers
- **b** Potassium channel activators
- c Sodium channel activators
- **d** Potassium channel blockers

11 Identify the following drug

- a Lorcainide
- **b** Lidocaine
- c Tocainide
- **d** Phenytoin
- Which of the following drug acts by sequestering bile acid
- a Lovastatin
- **b** Cholestyramine
- c Clofibrate
- d Bosentan

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- Digitoxin contains _____
- **a** 6-membered lactone with α,β -unsaturation
- **b** 5-membered lactone with α , β -unsaturation
- **c** 6-membered lactone with conjugated double bond
- **d** 5-membered lactone with conjugated double bond
- Arrange the following in increasing order of glucocorticoid activity
 I)Prednisolone II)Prednisone III)Methyl prednisolone IV) Hydrocortisone
 Choose the correct option
- a I>II>III>IV
- **b** III>II>IV
- c III>I>II>IV
- d I>III>IV
- 15 Estrogen to 4-methylestrogen metabolism occurs by action of
- a 16 α hydroxylase
- **b** $17 \alpha \text{ hydroxylase}$
- c estrogen 4-hydroxylase and COMT
- **d** $\int \alpha$ reductese
- 16 Identify the drug

- a L-Thyroxine
- **b** Propylthiouracil
- c Tadalafil
- d Slidenafil
- 17 Following is an example of an antiprogestin
- a Mifepristone
- **b** Nandrolone
- **c** Levonorgesttrel
- **d** Diethylstilbesterol

18 Identify the drug

- a Tolbutamide
- **b** Pioglitazone
- c Acrabose
- d Repaglinide
- 19 Following statement is wrong about meglitinides
- **a** It is a non sulphonylurea benzoic acid derivative
- **b** It shust down ATP sesnsitive potassium channel
- **c** It is an insulin secretogouge
- **d** It is α glucosidase inhibitor
- Which of the following drug is of aminobenzoic acid derivative?
- a Meprylcaine
- **b** Mepivacaine
- c Butambane
- **d** Dibucaine

I. Long Answers (Answer any 2 out of 3)

20 Marks

4 Marks

- A. Show what happens to omeprazole in strongly acidic environment and explain how this is related to mechanism of action? Depict the activation of omeprazole?
 - B. Answer following with respect to given structure.

4 Marks

- a) Identify this structure.
- b) Comment on its activity at the H_1 and H_2 receptor.
- c) Predict the effect of the following structural changes on its activity:
- i. Introduction of a CH₃ group at position 5.
- ii. Replacement of α -amino group by a guanidino group.
 - C. Mention thre structural characteristics of 2nd generation H_1 antagonist that make them superior to 1^{st} generation H_1 antagonist.

2 Marks

Q2 A. Answer the following

4 Marks

$$\begin{array}{c} H_3C & O \\ O - C - C - OCH_2CH_3 \\ H_3C \end{array}$$

1. Identify the prodrug/s from the above structures and show its activation

2. Elaborate the mechanism of action of (b) 4 Marks

B. Give the development of sulphydryl containing ACE inhibitor

C. Explain the mechanism of action of Class III antiarrhythmic agents 2 Marks

Q3 A. Draw the structure of estradiol and give its chemical name.

What is the effect of the following on activity

4 Marks

i) Addition of hydroxyl group at 6,7,11 positions

ii) Substitution of 17α with ethynyl group

B. Write a note on insulin preparation. Highlight the structural difference from human insulin.

C. Explain the mechanism of action of local anesthetics.

2 Marks

4 Marks

II. Short Answers (Answer 7 out of 9)

35 Marks

Q1 Explain the mechanism of action of alkylating agents. Support your answer with relevant chemical reactions..

5 Marks

Q2 a. Write the chemical classification of calcium channel blockers. Give one example along with the structure from each class.

3 Marks

b. Classify the following antihypertensive drugs into mechanistic classes: Hydralazine, Reserpine, sodium nitroprusside, , Quinalapril

2 Marks

A. Match the following

3 Marks

	Name of drug		Chemical moiety	1050	Mechanism of action
	Warfarin	A	Naphthoquinone	i	Inhibits the vitamin K— mediated gamma- carboxylation of precursor proteins
2	Anisindione	В	1,3-indandione	ii	Prothrombin activator
3	Menadione	C	Coumarin	iii	Inhibits platelet aggregation
	St. St.	D	Thienopyridine	iv	Vitamin K reductase inhibitor

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		2 Marks				
	B. Explain the mechanism of action of Nesiritide and mention its therapeutic use.					
Q4	What are anabolic steroids. Give any four structural features that enhance anabolic activity of steroids. Support your answer with relevant examples.					
Q5	Discuss the SAR of local anaesthetic activity giving relevant examples					
Q6	a) Give the structure & explain MOA of minoxidil.	3 Marks				
	b) Give the effect of following substitution on activity when o,o-dichloro substituent in clonidine is replaced by o,o-dimethyl substitution.	2 marks				
Q7	a) Ouline the chemical synthesis of Benzocaine with reagents and reaction conditions	3 Marks				
	b) Give an example of pyrazolopyrimidine containing drug which is used for treatment of erectile dysfuntion & describe its mechanism of action.	2 Marks				
Q8	 a) Applying the principles of organic chemistry, predict the synthesis of isosorbide dinitrate, depicting the reagents and reaction conditions 	3 Marks				
	involved.	2 Marks				
	b) Give the structure & use of promethazine.					
Q9	a) Write synthesis of disopyramide depicting the reagents and reaction conditions involved.	3 Marks				
	b) Sotalol is a dual acting antiarrhythmic agent. Justify	2 Marks				
