

Duration: 3 hours

Total marks: 75

N.B. : 1. All questions are compulsory

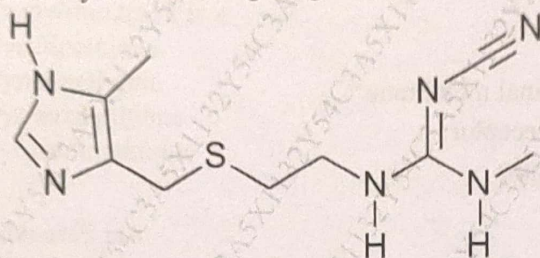
2. Figures to right indicate full marks

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

1 Omeprazole is metabolised to the \_\_\_\_\_ intermediate that binds to  $H^+K^+$  ATPase

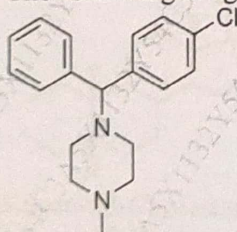
- a Sulfonamide
- b Sulfone
- c Sulfide
- d Sulfenamide

2 Identify the following drug:



- a Cimetidine
- b Famotidine
- c Nizatidine
- d Ranitidine

3 The following drug belongs to the \_\_\_\_\_ class of antihistamines



- a Dibenzocycloheptene
- b Ethylenediamine
- c Piperazine
- d Phenthiazine

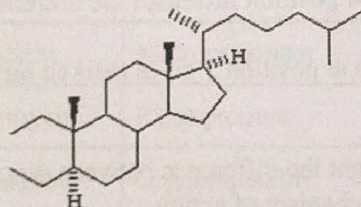
4 Which of the following are structural components of dactinomycin?

- a Phenoxazine ring and pentapeptide lactone
- b Anthracenedione
- c Glycoside
- d Triterpenoid

- 5 Select the non-nitrogen alkylator from the following.
- a Chlorambucil
  - b Melphalan
  - c Mechlorethamine
  - d Busulfan
- 6 Which of the following ACE inhibitor shows skin rash and taste disturbances as side effects
- a Captopril
  - b Benazepril
  - c Lisinopril
  - d Enalapril
- 7 Spironolactone diuretic acts by
- a Antagonism of aldosterone
  - b Plugging  $\text{Na}^+$  channel in the luminal membrane
  - c Activation of mineralocorticoid receptor
  - d Inhibition of glucocorticoid receptor
- 8 Guanethidine shows the presence of
- a Azocine & guanidine
  - b Benzine & guanidine
  - c Azepine & guanidine
  - d Azocine & 1,2,4-benzothiazine
- 9 A nitrate vasodilator causes
- a Inhibition of soluble guanylate cyclase
  - b Activation of soluble guanylate cyclase
  - c Activation of myosin light chain kinase
  - d Inhibition of cGMP-dependent protein kinases
- 10 Indicate the antiarrhythmic class of Disopyramide
- a I
  - b II
  - c III
  - d IV
- 11 Clofibrate prominently reduces \_\_\_\_\_.
- a Plasma triglycerides
  - b LDL
  - c Blood glucose
  - d HDL

- 12 Which of the following drug acts as P<sub>2</sub>Y<sub>2</sub> receptor antagonist
- Warfarin
  - Clopidogrel
  - Anisindione
  - Menadione
- 13 Steroidal ring in cardiac glycosides has \_\_\_\_\_ ring fusion.
- Cis-trans-trans
  - Cis-cis-cis
  - Cis-trans-cis
  - Trans-trans-trans
- 14 Propylthiouracil is a
- Pyridinethione
  - Pyrazinethione
  - Pyridazinethione
  - Pyrimidinethione
- 15 Sildenafil is a
- Phosphodiesterase type 5 inhibitor
  - Phosphodiesterase type 2 inhibitor
  - Phosphodiesterase type 1 inhibitor
  - Phosphodiesterase type 3 inhibitor

- 16 Choose the correct nomenclature for:



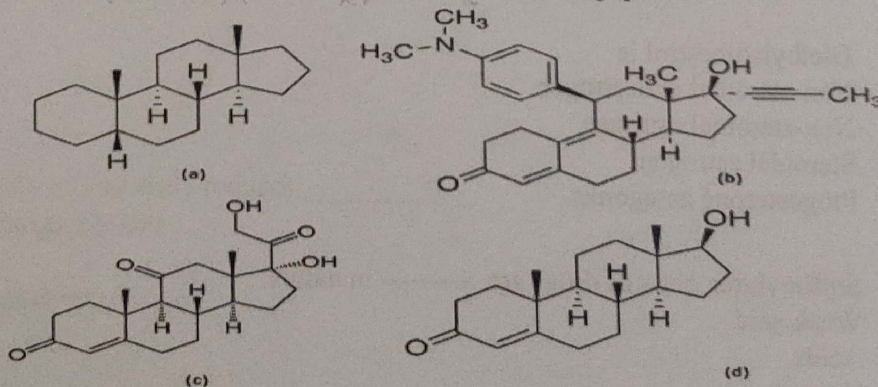
- 2,3-Seco-5 $\beta$ -Cholestane
  - 1,2-Seco-5 $\alpha$ -Cholestane
  - 1,2-Seco-5 $\beta$ -Cholestane
  - 2,3-Seco-5 $\alpha$ -Cholestane
- 17 Diethylstilbestrol is
- Non-steroidal antiestrogen
  - Non-steroidal estrogen
  - Steroid estrogen
  - Progesterone antagonist
- 18 Sulfonyleurea class of drugs are ----- in nature.
- Weak acid
  - Acids
  - Neutral
  - Base

- 19 Lispro Insulin is -----insulin analogue.  
 a Short-acting  
 b Long acting  
 c Intermediate acting  
 d ultra short acting
- 20 Tetracaine belongs to the class of \_\_\_\_\_ derivatives  
 a Benzoic acid  
 b Amino benzoic acid  
 c Anilide miscellaneous class  
 d Miscellaneous class

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

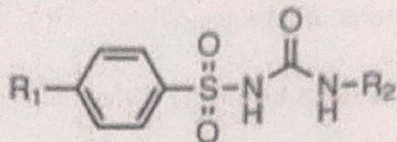
20 Marks

- Q1 A. Explain the role of proton pump inhibitors in managing hyperacidity conditions. Depict the activation of Omeprazole. 4 Marks
- B. Discuss the rational development of Cimetidine from Histamine. Elaborate the change in activity observed subsequent to each structural change. 4 Marks
- C. Name a 1<sup>st</sup> generation and 2nd generation H<sub>1</sub> antihistaminic agent. List the advantages of the second generation H<sub>1</sub> antihistamines. 2 Marks
- Q2 A. With regards to the SAR of thiazide diuretics, state which statement is true/false. Correct if they are false. 4 Marks
- An electron releasing group is necessary at the 6th position
  - Removal of the sulfonamide group at position 7 gives little or no diuretic activity
  - Saturation of the double bond at 3-4 position increases the diuretic action more than 10 fold
  - Substitution with a lipophilic group at position 3 gives marked increase in diuretic potency.
- B. Draw the structure of digoxin. Highlight the difference between digoxin and digitoxin. Explain its biochemical mechanism of action. 4 Marks
- C. Explain the mechanism of action of HMG CoA reductase inhibitors. 2 Marks
- Q3 A. Based on the structures below answer the following questions: 4 Marks



- i. Write the stereochemistry of the A/B, B/C and C/D ring fusions in (a)
- ii. Give the generic name and mechanistic class of (b)
- iii. In structure (c), what is the effect of reduction at position 11 on glucocorticoid activity
- iv. Identify (d) and suggest a modification to prevent metabolism of 17-OH

B. With respect to the following structure, answer the questions given below - 4 Marks



- i. Identify the chemical class and mention its therapeutic use.
- ii. Identify the most acidic proton in this structure and justify your answer.
- iii. Indicate the functional group at R1 which will significantly increase the potency of the molecules.
- iv. Give the impact on the activity when R2 is a small group such as methyl

C. Indicate the structural difference between Meglitinide and glimepiride and also explain how they bind to the same type of receptors. 2 Marks

II. Short Answers (Answer 7 out of 9) 35 Marks

Q1 A. Match the following 3 Marks

Name of drug	Origin/Source	Mechanistic class
Cisplatin	Microorganism	Mitosis inhibitor
Bleomycin	Plant product	Alkylating agent
Vincristine	Organometallic compound	Generation of Reactive oxygen species

B. Depict the activation of Mechlorethamine 2 Marks

Q2 Discuss rationale development of ACE inhibitor captopril by citing examples with structures. 5 Marks

Q3 Define & classify antiarrhythmic drugs based on mechanism of action. Give one example & structure of each class. 5 Marks

Q4 A. Using suitable examples illustrate the 3 structural modifications made to testosterone to improve anabolic properties 3 Marks

B. Write the structure of the following: 2 Marks

- i. Estra-1,3,5(10)-triene-3 $\beta$ ,17 $\beta$ -diol
- ii. 19-nor-5 $\beta$ -androst-1-en-3-one

- Q5 Give mechanistic classification of hypoglycemic agents with one example from each class. Explain the mechanism of action of Pioglitazone. **5 Marks**
- Q6 State the site of action, chemical class/ mechanistic class, and structure for the following drugs **5 Marks**  
 i) Isosorbide dinitrate ii) Ethacrynic acid iii) Diltiazem iv) Furosemide
- Q7 Discuss the important structural features of local anaesthetics. Give the name and structure of any one local anaesthetic. **3 Marks**
- b) Explain the mechanism of action of drugs belonging to thioamide class and give one example with structure **2 Marks**
- Q8 a) Write synthesis of acetazolamide mentioning the reagents & reaction conditions. **3 Marks**  
 b) Give the structure of H1 antihistamine belonging to the following structural class: **2 Marks**  
 i. Aminoalkyl ether  
 ii. Phenothiazine
- Q9 Write the synthesis of warfarin mentioning the reagents & reaction conditions. Explain its mechanism of action. **5 Marks**