Q. P. Code: 20931

(3 hours) **70 marks**

N.B: All questions are compulsory.

Q.1. Answer the following questions.

(i) Write the reaction involved in the activation of 6-mercaptopurine, also name the enzyme involved. [2]

(ii) Name an iodine containing antiviral agent. [1]

(iii) Name the receptor activated by Pioglitazone. [1]

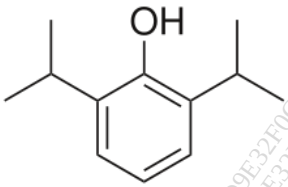
(iv) Predict the structure and therapeutic use of the following: [1]

2-[4-[(4-Chlorophenyl)phenylmethyl]-1-piperazinyl]ethoxyacetic acid

(v) Write the structure and generic name of an osmotic diuretic [1]

(vi) Name the enzyme inhibited by digoxin [1]

(vii) Name the following drug and give its mechanism of action [1]



(viii) Write the structure of a prodrug belonging to fibrate class of antihyperlipoproteinemics and indicate the chiral centre. [1]

(ix) Give 2 binding interactions of captopril with the target enzyme. [1]

(x) Esmolol is shortest acting β blocker. Justify. [1]

(xi) Classify following antiarrythmic drugs based on meachanism of action.

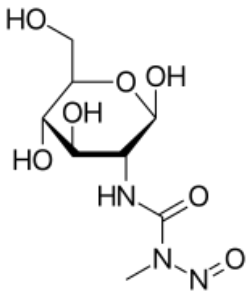
(i) Amiodarone (ii) Disopyramide [1]

(xii) Explain meachanism of action of amyl nitrite. [1]

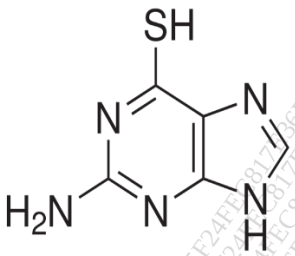
(xiii) Give the structures of 2 metabolites of warfarin. [1]

(xiv) Dipyridamol is antianginal and antiplatelet drug. Justify. [1]

Q.2. (a) Answer the following questions with respect to the structures given below. [4]



A



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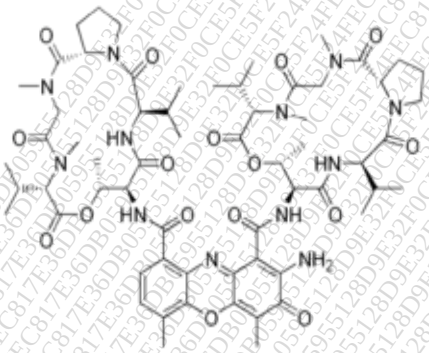

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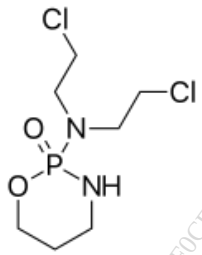

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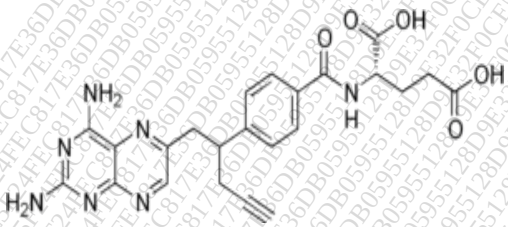

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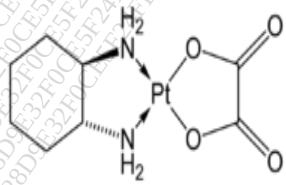


F

a.Which of the above is used specifically in the treatment of pancreatic cancer and identify its name.

b. Identify which of the above are prodrugs. Show the active moiety of any one of them.

c. Indicate the mechanism of action of E and mention the advantage of introducing an alkynyl group in the structure.

d. Identify C and indicate to which chemical class it belongs.

(b) Justify the following statements. (any 2) [4]

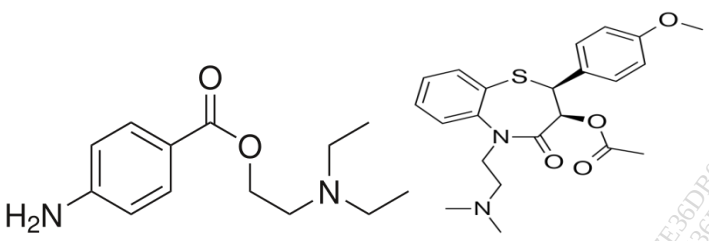
(i) Lisinopril is not given as prodrug

(ii) C_3 and C_5 positions in 1,4 DHP class are not equivalent.

(iii) In the amino ester series, an electron donating substituent in the o- and/or p- positions increases local anaesthetic potency.

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

Q.3. (a) With respect to the structures below, answer the following questions [4]

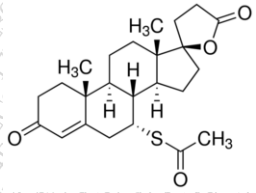
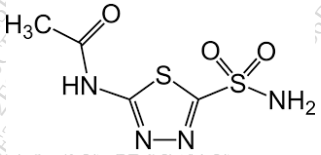
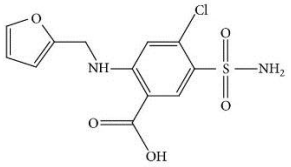
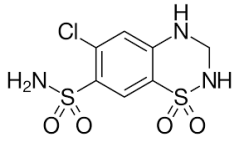


(A) (B)

(i) Discuss the effect of isosteric replacement of ester by amide in structure A on pharmacological activity and metabolism

(ii) Identify drug B, indicate its salt and give the structures of its metabolites.

(b) Match the columns [4]

Name	Structure	Mechanism
A. Furosemide	a. 	i. Blockade of Na^+-Cl^- co-transporter
B. Spironolactone	b. 	ii. Carbonic anhydrase inhibitor
C. Hydrochlorthiazide	c. 	iii. Inhibit Na^+ /K^+ exchange
D. Acetazolamide	d. 	iv. Inhibit $1Na^+/1K^+/2Cl^-$ transport

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

OR

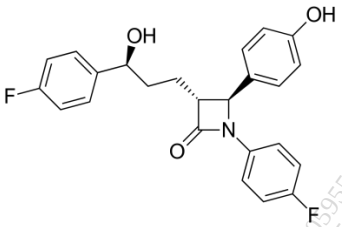
Classify the following antiplatelet drugs on the basis of mechanism of action (structures needed)

(i) Aspirin (ii) Ticlopidine, (iii) Cilostazole

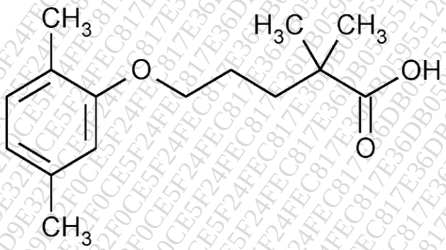
Q.4 (a) Discuss the rationale for the development of second generation H1-antagonists. List the therapeutic advantages of the same. On the basis of the change in structure, explain the change in the activity when terfenadine is metabolised to fexofenadine. [4]

(b) On the basis of mechanism of action classify the drugs used in diabetes, giving one example from each class. (Structure required). [4]

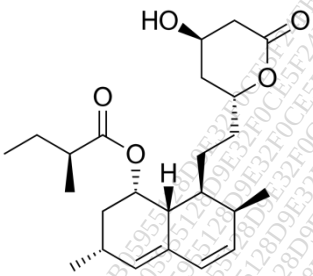
(c) With respect to the structures below, answer the following questions. [3]



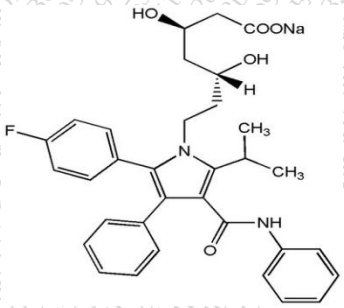
(A)



(B)



(c)



(D)

(i) Identify the prodrug in above structures and give the structure of its active form
(ii) Give the structures of metabolites of drug B
(iii) Elaborate mechanism of action of drug A.

Q.5. (a) List the agents (structures needed) that belong to the class of antiretroviral agents and indicate their role in therapeutic management of HIV infection. [4]

(b) (i) Write the structure of labetalol. Indicate its chiral centres and discuss influence of chirality on mechanism of action. [2]

(ii) Discuss SAR of angiotensin II receptor blockers. [2]

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

Q.6. (a) Match the generic names of the drugs with their IUPAC nomenclature. [4]

Generic name	IUPAC nomenclature
Zidovudine	2-{4-[2-hydroxy-3-(isopropylamino)propoxy]phenyl}acetamide
Prazosin	4-Amino-1-β-D-ribofuranosyl-1,3,5-triazin-2(1*H*)-one
Azacitidine	1-[(4-amino-6,7-dimethoxy-2-quinazolinyl)-4-(2-furoyl)]piperazine
Atenolol	1-[4-Azido-5-(hydroxymethyl)oxolan-2-yl]-5-methylpyrimidine-2,4-dione

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

(i) Reduction of the double bond between position 3 and 4 in thiazide diuretics leads to decrease in activity.

(ii) Imidazole ring is not required for competitive antagonism of histamine H_2 receptors.

(iii) Tetracaine is less potent than procaine.

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