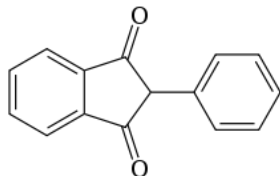


Time: 3 hours

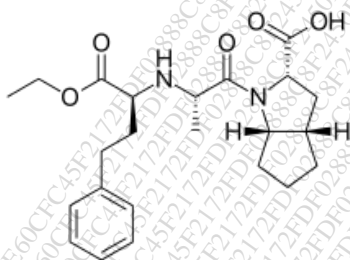
70 marks

N.B: All questions are compulsory.**Q1] Answer the following questions.****15**

- i Name an alkyne containing anticancer agent and indicate its MOA.
- ii Give the structure of an anti-herpes agent that is a prodrug.
- iii Identify the following structure and indicate its chemical class.



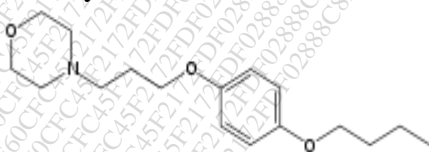
- iv Name a naturally obtained drug that inhibits sodium potassium adenosine triphosphatase in the myocardium and indicate its therapeutic use.
- v Ethoxazolamide and amiloride belong to ----- and ----- mechanistic class respectively.
- vi Lidocaine is used as an antiarrhythmic agent and a local anaesthetic. Justify this statement.
- vii Give an example of a non-dihydropyridine calcium channel blocker and indicate its therapeutic use. (Structure not needed)
- viii Give the structure of the active metabolite of the drug given below and indicate the enzyme that it inhibits.



- ix Predict the structure and therapeutic use of the following:

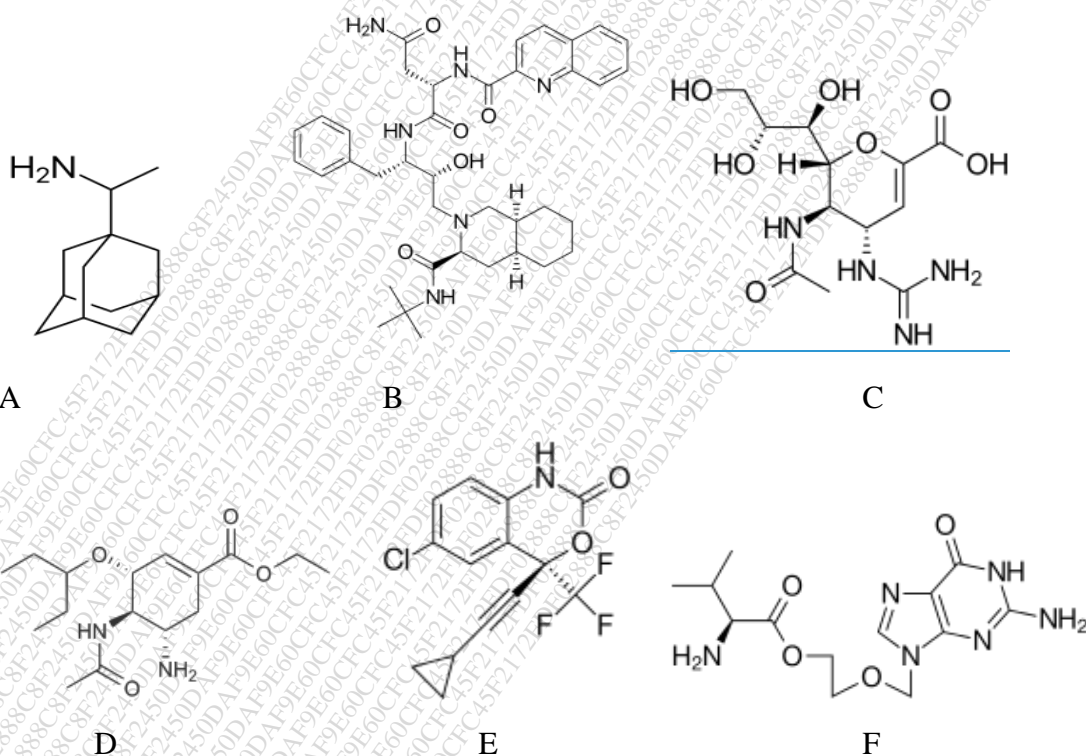
7-chloro-3-methyl-4*H*-1,2,4-benzothiadiazine 1,1-dioxide

- x What is abciximab?
- xi Give the name and structure of a cyclopropyl group containing lipid lowering agent.
- xii Give the structure of a proton pump inhibitor and indicate its therapeutic use.
- xiii What is DPP IV? Give an example of a drug acting on DPP IV. (structure not needed)
- xiv Give the structure of a barbituric acid derivative used as a general anaesthetic, indicate the position of its salt form.
- xv Identify to which chemical class the following drug belongs and also indicate its use.



Turn Over

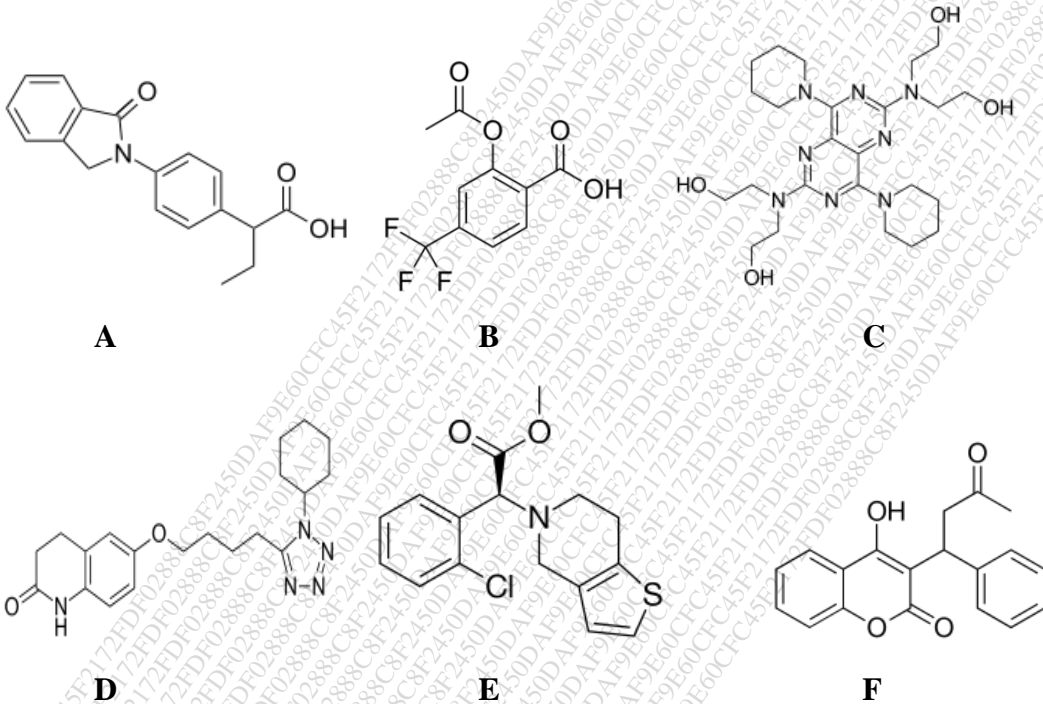
- Q2] a. Discuss alkylating agents as an important class of anticancer agents. Support your answer with suitable structures in each class. [4]
- b. Give reasons for the following. (any two) [4]
- Nimodipine is used in cerebral vasospasm and ischemia.
 - Organic nitrates are used as antianginal agents.
 - Combination drugs are used in the therapeutic management of HIV infection.
- c. Give the synthesis of sotalol indicating the reagents and reaction conditions used. [3]
- Q3] a. Classify antiarrhythmic agents on the basis of mechanism of action giving one example with structure from each class. [4]
- b. With respect to the structures below, answer the following questions (any four) [4]



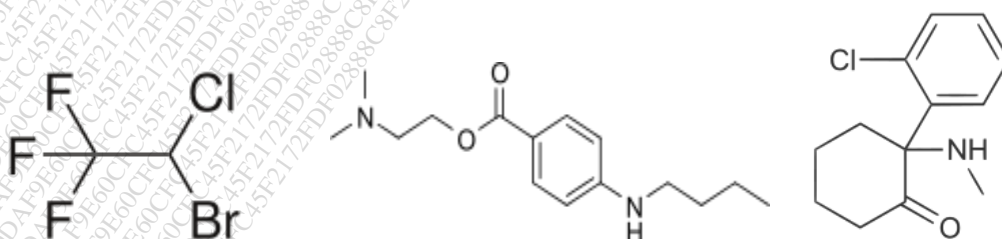
- Identify the drug A, indicate its salt form and use.
 - What is neuraminidase? Identify and name two NA inhibitors from the above structures and indicate their specific use.
 - Predict the therapeutic targets (enzymes inhibited) of B and E.
 - Discuss the structural differences between C and D and indicate their impact on their activity.
 - Identify which of the above are prodrugs. Draw the structures of their active metabolites.
- c. Predict the chemical class of the following drugs and indicate their therapeutic use. (Structures needed). [3]
- a) Ethacrynic acid b) Furosemide c) Mannitol

Turn Over

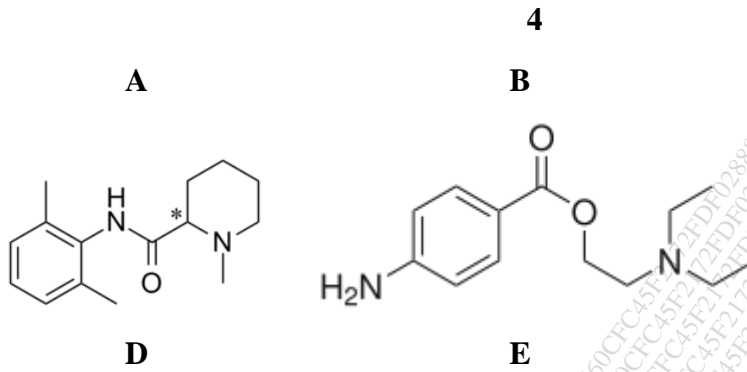
- Q4] a. Give the synthesis of acetohexamide indicating the reagents and reaction conditions used. [3]
- b. Give the structure of a second generation sulfonyl urea and indicate the functional group responsible for the higher potency of this class. [1]
- c. Answer the following questions with respect to the structures given below. [4]



- i. Indicate the MOA of drugs A and D.
 - ii. Identify the generic names of drugs B and E.
 - iii. Give the name and structure of another drug belonging to the same class as F.
 - iv. Identify B and name the enzyme inhibited by it.
- d. Discuss the development of statins as HMG - CoA reductase inhibitors in detail, support your answer with relevant structures. [3]
- Q5] a. Discuss the strategy that led to the development of the H2 antagonist cimetidine from histamine. [4]
- b. Given below are some structures of anaesthetic drugs, answer the following questions with respect to them. (any four) [4]



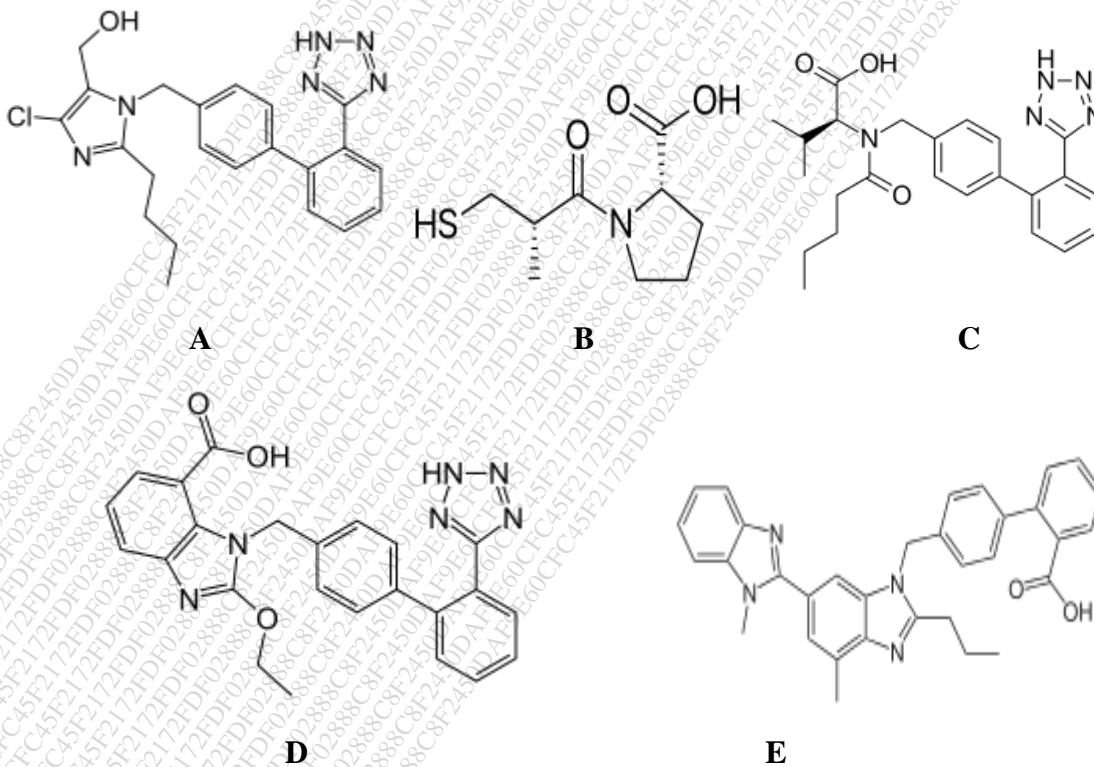
Turn Over



- Predict the mechanism of action, use of drug C, also identify the chiral centre in C.
- Indicate which of the above drugs are weak acids or bases.
- Depict the schematic representation of the binding of an ester type local anaesthetic to a receptor site.
- Explain why is drug B more potent than drug E.
- Drug A permeates to a lesser extent into brain in comparison to nitrous oxide. Say T/F, justify your answer.

c. Give the synthesis of chlorthiazide indicating the reagents and reaction conditions used. [3]

Q6] a. Answer the following questions in the context of the structures given below. [4]



- Draw the structure of candesartan cyclohexyl-1-hydroxyethyl carbonate ester.
- Give the structure one metabolite of losartan and comment on its activity.
- Advantage of having a tetrazole functionality in some of the above structures versus a carboxylic acid group.
- Predict the longest acting drug amongst the above drugs and justify your answer.

Turn Over

b. Match the following.

[4]

1	Propranolol	A	2-hydroxy-5-[1-hydroxy-2-((4-phenylbutan-2-yl)ethyl)benzamide
2	Aliskiren	B	Site 3 diuretic
3	Labetalol	C	Selective α_2 blocker
4	Prazosin	D	1-(1-methylethylamino)-3-(1-naphthoxy)propan-2-ol
5	Indapamide	E	Inhibits the enzyme renin
		F	Site 2 diuretic

c. Discuss the activation of cyclophosphamide indicating the reactions involved.

[3]

OR

c. Give the synthesis of chlorambucil indicating the reagents and reaction conditions used.
