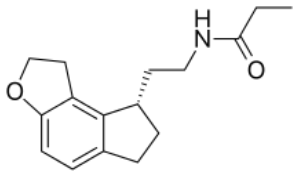
Time 3 hrs **Total marks: 70**

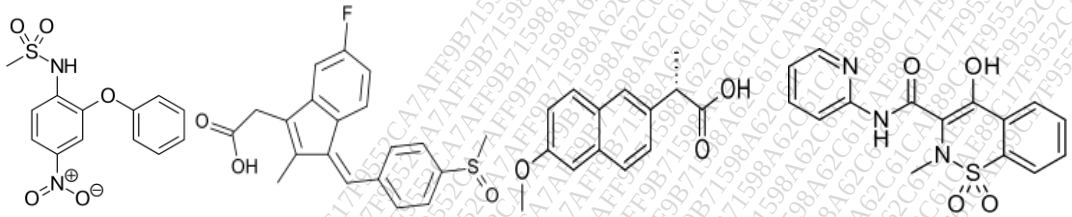
N.B: All questions are compulsory

Q1] Answer the following questions. **15**

i. Identify the drug given below and indicate the receptor to which it binds for activity.1



ii. Identify the following drugs and indicate to which chemical class of NSAIDs they belong. 2



a **b** **c** **d**

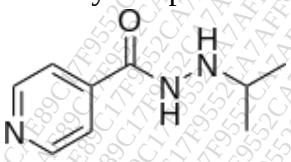
iii. Amantadine is used in the treatment of CNS disorders. T/F. Justify. 1

iv. Give the structure of a ureide anticonvulsant that binds to voltage gated sodium channels.1

v. Write the structure of the following drug: 1
10-[2-(1-methylpiperidin-2-yl) ethyl]-2-methylsulfanylphenothiazine

vi. Predict whether the following drug is a prodrug. Write the structure of its active metabolite. [2-(carbamoyloxymethyl)-2-methylpentyl] N-butylcarbamate. 1

vii. Identify and predict the MOA of the following drug. 1

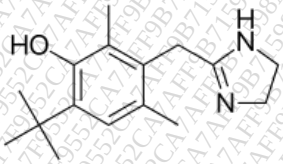


viii. Indicate to which mechanistic class the following drugs belong. 1

a **b**

ix. Give the name and structure of a non-rigid opioid drug (structure needed). 1

x. Indicate the salt form of the drug given below. 1



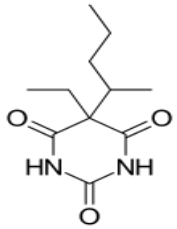
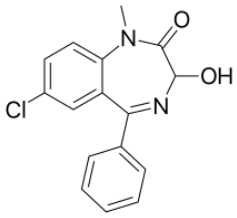
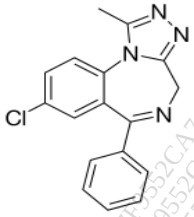
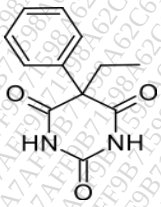
xi. Give the name of an antidote used in organophosphate poisoning. 1

xii. Give the name of the enzyme that converts prednisone to prednisolone. 1

xiii. Name an alkynyl estrogen ether compound used as an oral contraceptive. 1

xiv. Give the structure of a bisphosphonate used in osteoporosis. 1

Q2] A. Answer the questions with respect to the structures given below (any four). 4

a **b** **c** **d**

i. Indicate the chemical class of drugs **a** and **c** and their MOA.
ii. Predict the effect of replacing the 5-phenyl group on activity in drug **d**.
iii. Predict the effect of substituting the two nitrogens in drug **a** with methyl groups.
iv. Give the structure of two metabolites each of drugs **a** and **b**.
v. Name the enzymes involved in the biosynthesis and metabolism of 4-amino butanoic acid.

B. Answer the following questions with respect to adrenergic drugs. 4

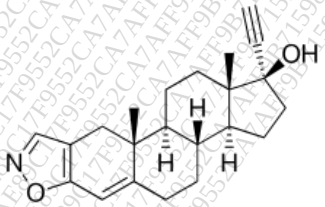
i. Indicate the important binding groups in catecholamines (structure needed) involved in binding to the adrenergic receptors.
ii. Predict the effect of introducing substitution on the side chain linking the aromatic ring to the amine.
iii. State the effect of introducing a bulky N-alkyl group on the amine N of catecholamines.
iv. Write the structure of an aryloxypropanolamine analogue that is a β-blocker.

C. Give the synthesis of tacrine indicating the reagents and reaction conditions used. **3**

Q3] A. Depict the schematic classification of anticonvulsants based on mechanism of action. Give suitable examples with structures in each class. Illustrate the metabolism of valproic acid and indicate the metabolites responsible for toxicity. **4**

B. Answer the following questions with respect to estrogens (any four). 4

i. In estrogens, steroid nucleus is essential for activity. T/F. Justify.
ii. Insertion of hydroxyl group at 6, 7, 11 enhances activity. T/F. Justify.
iii. Identify the drug given below and indicate its therapeutic use.



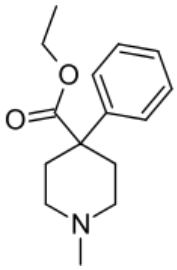
iv. Name the enzyme that converts testosterone to DHT.

v. Give an example and structure of a non-steroidal estrogen.

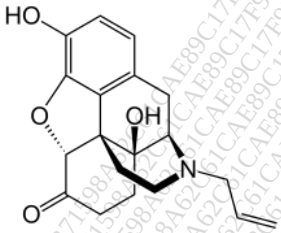
C. Outline the structural modifications in rings A and B of adrenocorticosteroids to modify glucocorticoid or mineralocorticoid activity. 3

Q4] A. Answer the following with respect to the structure given below. **4**

a



b



c

d

i. What is common to the structures above? Comment on the structural differences between **a** and **c** and their effect on activity.
ii. Indicate the effect of replacing 3-hydroxy with 3-acetyloxy group in drug **a**.
iii. Identify drug **d** and indicate its MOA.
iv. Predict two structural changes that will increase the activity of drug **b**.

B. Answer the following questions. **4**

i. Give the structures and mechanism of action of two classes of drugs used in the treatment of gout. 2

ii. Give the biosynthesis and metabolism of norepinephrine. 2

C. Give the synthesis of chlorpromazine with the reagents and reaction conditions used. 3

OR

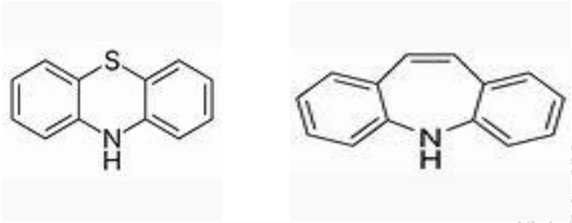
C. With respect to butyrophenones as antipsychotic agents, answer the questions given below (structure needed). **3**

i. Indicate the structural features in butyrophenones that are essential for activity.

ii. Give example and structure of a drug wherein the amine nitrogen is part of a cyclic structure. What happens if the amine nitrogen is removed?

iii. Comment on the activity if the keto group is replaced and the alkyl chain is branched.

Q5] A. Answer the following with respect to the structure given below. 4



i. Identify the structures, number them and give therapeutic use of drugs belonging to each of the two classes.
ii. Indicate the nature of substitution on the N in each structure and its effect on the activity.

C] Answer the following questions. **4**

i. Discuss in brief the Portoghese theory of opioid receptors. 2
ii. Give two active metabolites (structure needed) of tamoxifen and indicate its use. 2

B] With respect to cholinergic drugs, answer the questions given below. **3**

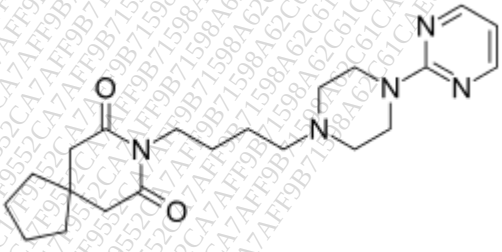
i. Comment on binding interaction of acetylcholine with acetyl cholinesterase.
ii. Suggest one way to increase the enzymatic stability of acetyl choline.
iii. Indicate type of substituents that will confer muscarinic antagonist activity.

Q6] A. Answer the following questions (any four). **4**

i. Give two metabolites of 4-(6-methoxy-2-naphthyl)-2-butanone
ii. NSAIDS are generally characterized by gastrointestinal side-effects.
iii. Give two functional groups that have been used as bioisosters of COOH group in the development of NSAIDS and indicate its advantages.
iv. Mention 3 common structural features of NSAIDS. Support your answer with two examples.

B. Answer the following questions. **4**

i. Give the names and structures of two drugs functioning as antiparkinsons agents by different mechanistic pathways. 2
ii. Give structures of two active metabolites of chlorpromazine. 1
iii. Identify the structure given below and indicate its MOA. 1



C. Give the synthesis of labetalol indicating the reagents and reaction conditions used. 3

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