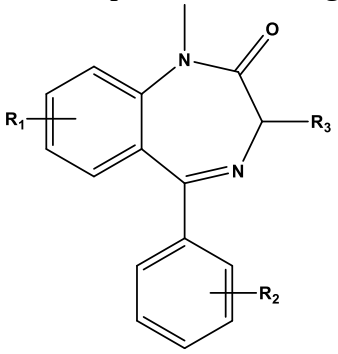
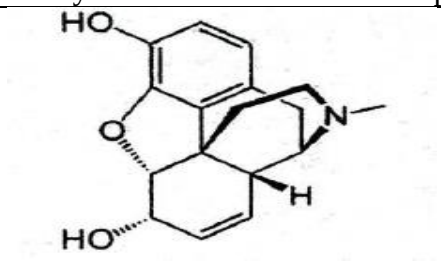
PHARMACEUTICAL CHEMISTRY III (SEMESTER VIII) CBCS SYLLABUS
(DESCRIPTIVE TYPE QUESTION PAPER)

Q. I	Answer **any one** of the following two questions.	**8 Marks**
Q. 1 A.	With respect to structure given below answer the following.  **i**. Effect of substitution at R_3 position **ii**. Effect of Annulation of the diazepine ring **iii**. R_2 substituents enhance which type of drug-receptor interaction **iv**. Structure of common metabolite of Chlordiazepoxide and Diazepam	**4 Marks**
Q. 1 B	Give the structural modifications in Estradiolto increaseduration of action. Mention the derivatives resulting from these modifications. Justify steroidal nucleus is not important for estrogen agonist activity	**4 Marks**
Q. 2 A.	 **i.** Identify the above structure and give the number of chiral centres. **ii**. Indicate the effect of allyl substitution at the 17-position. **iii.** Give the effect of acetylation of the two hydroxyl groups on activity. **iv.** Name and give structure of any one opioid used as anti-diarrheal.	**4 Marks**
Q.2 B.	Discuss the changes to be made in structure of sympathomimeticsfor compounds to be resistant to enzymes COMT and MAO. Support your answer with relevant examples and structure.	**4 Marks**

Q. II	Answer **any four** of the following five questions.	**32 Marks**
Q. 1 A	Answer the following. Support your answer with relevant structures **(i)** Meclofenamic acid has greater anti-inflammatory activity as compared to that of mefenamic acid. Justify **(ii)** Outline the mechanism of action of Allopurinol and Probenecid.	**4Marks**
Q.1 B	**(i)**Outline the metabolism of carbamazepine. Name the metabolites as active, inactive.	**2 Marks**
	(**ii)** Give the mechanism of action of Vigabatrin and Tiagabine	**2 Marks**
Q. 2 A	**a)** The following statements relate to the SAR of adrenocorticoids. State whether they are true or false and correct those which are false. **(i)** Introduction of methyl or hydroxy group at C-16 position markedly decreases mineralocorticoid activity. **(ii)** Delta corticoid having double bond between C-1 and C-2 are less effective in rheumatoid arthritis.	**2Marks**
	b) Give the name and structure of two glucocorticoid and mention their therapeutic use.	**2Marks**
Q.2 B	Name and give structures of any two irreversible acetylcholinesterase inhibitors. Mention their application. Explain the mechanism by which Pralidoxime acts as an antidote in phosphate poisoning (reaction required)	**4 Marks**
Q.3A	Outline synthesis of fluoxetine with reagents and reaction conditions. Give its twometabolites and indicate whether they are active or inactive.	**4 Marks**
Q.3 B	Answer the following **(i)** Give the mechanism of action of phenoxybenzamine along with reaction. **(ii)**If two ortho-dichlorines in clonidine are replaced by two methylactivity is retained but duration of action is reduced. Justify.	**4 Marks**
Q.4A	**(i)**Name two drugs acting as antiparkinsons agents by different mechanisms. Indicate their mechanism of action.	**2 Marks**
	(ii) Name a drug having azaspirodecanedione scaffold. Draw its structure and write its use.	**2 Marks**
Q.4 B	Give the effect of the following structural changes on the activity of muscarinic agonists **(i)** Conversion of acetyl group in acetyl choline to propionyl group **(ii)** Replacement of acetyl group with carbamoyl group in acetyl choline **(iii)** Addition of α-methyl substitution on Ethylene Bridge. **(iv)** Increasing the ethylene bridge to four carbons.	**4 Marks**
Q.5 A	Classify the Antipsychotic agents with one example along with structure from each class.	**4 Marks**
Q.5 B	Draw the structure of Piroxicam. Highlight the structural feature that contributes to acidity of the molecule. Explain the role of pyridine ring in the activity. Support your answer with relevant structures.	**4 Marks**

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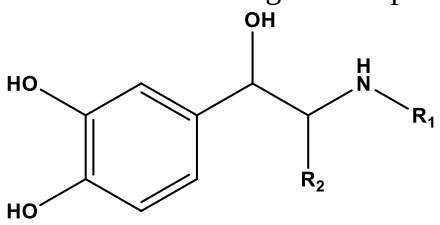
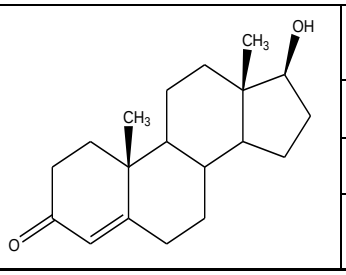
<table>
<tr><td>Q. I</td><td colspan="3">Answer any one of the following two questions.</td><td>8 Marks</td></tr>
<tr><td>Q. 1 A</td><td colspan="3">Match the following.</td><td>4 Marks</td></tr>
<tr><td></td><td>Paroxetine</td><td>Phenyl alkyl amine scaffold</td><td>3-dibenz[b,e]oxepine-11 (6H) ylidene-N,N-dimethyl-1-propanamine</td><td></td></tr>
<tr><td></td><td>Imipramine</td><td>Oxa congener of Amitryptiline</td><td>Contains two chiral centers and only S,S – diastereomer is most active</td><td></td></tr>
<tr><td></td><td>Doxepine</td><td>Phenoxy phenyl alkyl amine scaffold</td><td>N-demethyl metabolite is NET inhibitor</td><td></td></tr>
<tr><td></td><td>Sertraline</td><td>Dihydrodibenzazepine scaffold</td><td>Converting Secondary amine to tertiary amine reduces SERT affinity by 100 times</td><td></td></tr>
<tr><td>Q.1 B</td><td colspan="3">Comment on the statement and give structures of all the drugs mentioned in the statement: Morphine and Methadone are structurally different still show potent analgesia while morphine and codeine sharing similar scaffold do not exhibit equal analgesia.</td><td>4 Marks</td></tr>
<tr><td>Q. 2 A</td><td colspan="3">Answer the following with respect to structure given below:
 

i) Effect of R_2 substitution in non-catecholamines. Give relevant structure of a naturally occurring analogue with correct stereochemistry.
ii) Suggest suitable changes in above structure to increase $\beta_2$activity and decrease action of COMT. Also indicate correct stereochemistry of C-1-OH group and specify the amino acid with which it interacts in the receptor site</td><td>4 Marks</td></tr>
<tr><td>Q.2 B</td><td colspan="3">Write the name and the structure for a prodrug showing dopamine receptor agonist activity. This prodrug must be given in combination with which other drug? Justify why.</td><td>4 Marks</td></tr>
</table>

Q. II	Answer **any four** of the following five questions.	**32 Marks**
Q. 1 A	Answer the following: **i**) Give structure of non-acidic NSAID prodrug and depict its	**4 Marks**

	bioactivation. **ii**) Outline all metabolites for Diclofenac and indicate whether they are active or inactive.	
Q.1 B	With respect to Acetylcholine structure answer the following: **i**) Draw conformation of ACh for interaction with Muscarinic receptors. **ii**) Effect of β substitution in ACh **iii**) Effect of modification of only acetyl group in ACh **iv**) Structure of an antagonist used for Parkinson's disease.	**4 Marks**
Q. 2 A	With respect to the following structure what will happen if,  i) methyl group is added at 17th position ii) 3-keto group is removed iii) C-19 methyl group is removed iv) 17th hydroxyl group is oxidized	**4 Marks**
Q.2 B	Give suitable justification with relevant structures for the following: i. Malathion is harmful for insects but not humans ii. Pralidoxime acts as an antidote for AChE poisoning.	**4 Marks**
Q. 3A	Write down the synthesis for Nitrazepam with reagents and reaction conditions? Indicate any two structural features of the same if removed will cause decrease in activity.	**4 Marks**
Q.3 B	Give the metabolism of Norepinephrine. Write name of any one sympathomimetic prodrug and discuss its bioactivation.	**4 Marks**
Q. 4 A	Give one example of anticonvulsant drug each with hydantoin and oxazolidenedione scaffold. Write down one metabolite for each of them. Mention active or inactive.	**4 Marks**
Q.4 B	Classify adrenocorticosteroids. Write name, structure and use of any one drug from each class. Explain the effect on activity of adrenocorticoid when an additional double bond is inserted between 1st and 2nd carbon of A ring.	**4 Marks**
Q.5 A.	**(i)** Give the structure of meperidine and give any two structural modifications that led to active analogs.	**2Marks**
	(ii) Give scheme for metabolism for methadone. Mention the metabolites as active or inactive	**2 Marks**
Q.5 B.	Classify antipsychotics with phenothiazine scaffold. Write one example along with structure for each class.	**4 Marks**

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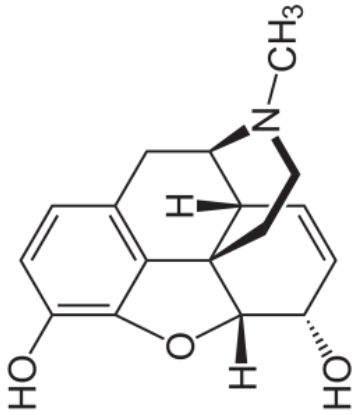
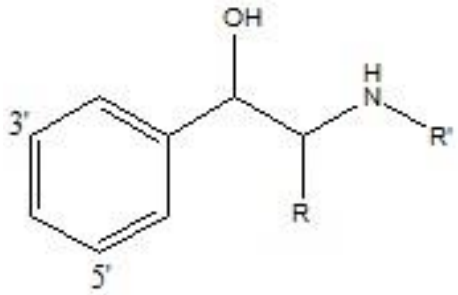
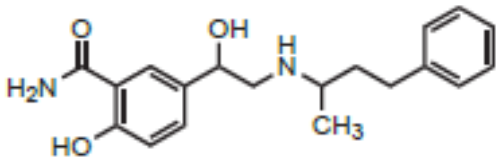
Q. I	Answer **any one** of the following two questions.	8 Marks
Q. 1 A	Answer the following with respect to scaffold given below	**4 Marks**

		
	(i) Give the name, structure and comment on activity when 3-hydroxy group is replaced with 3-methoxy group. **(ii)** Comment on activity when methyl group on N is substituted with group containing cyclopropyl ring (iii)Give the name and structure of a drug of the class obtained after removal of ether bridge. **(iv)** Identify chiral carbons. Specify its stereochemical configuration	
Q.1 B.	Predict the effect of structural changes in sympathomimmetic activity for phenylethanolamine scaffold 	**4 Marks**
	i. Introduction of t-butyl on amine N ii. Substitution of methyl group at alpha carbon iii. Introduction of hydroxyl group at 3' and 5' position iv. Absence of hydroxyl group on aromatic ring.	
Q.2 A	**(i)** Explain Ageing process in Organophosphates with relevant structures.	**2Marks**
	(ii) With relevant structures exemplify a reversible AChE inhibitor with equipotent metabolite used for Alzheimer's disease.	**2Marks**
Q.2 B.	Write the name and structure of NSAID belonging to the series of 4-hydroxy-1,2-benzothiazine carboxamide. Highlight the significance of the heterocyclic ring present for inhibition of cyclooxygenase activity.	**4 Marks**

Q. II	Answer **any four** of the following five questions.	**32 Marks**
Q.1 A.	Classify progestins into two different steroidal classes. Give name and structure of agents belonging to each class along with therapeutic application of the same. What will happen to its activity if methyl group is introduced at 6^{th} position? Name the drug with this substitution.	**4 Marks**

<table>
<tr><td>Q. 1 B.</td><td>Discuss development of Z drugs with respect to the groups responsible for α-1 selectivity (structures required). Explain how Z drugs are better over benzodiazepines.</td><td>4 Marks</td></tr>
<tr><td>Q.2 A.</td><td>Give names and structures of two anticholinergic drugs used in treatment of Parkinsons. Discuss their mode of action.</td><td>4 Marks</td></tr>
<tr><td>Q.2 B.</td><td>Answer the following.
i. Name and structure of drug that is considered as synthetic derivative of GABA
ii. Name and structure of anticonvulsant drug containing triazine ring
iii. Name and Structure of anticonvulsant drug belonging to iminostilbene class
iv. Name and Structure of benzodiazepine drug used as anticonvulsant.</td><td>4 Marks</td></tr>
<tr><td>Q. 3 A.</td><td>Write a short note on atypical antipsychotic giving 2 examples with names and structures. How are they superior over typical antipsychotics?</td><td>4 Marks</td></tr>
<tr><td>Q.3 B.</td><td>Answer the following questions pertaining to given structure
 
i. Write name of structure
ii Highlight the chiral carbons.
iii.Suggest its mechanism of action
iv. Give name and structure of another drug which belongs to the same class.</td><td>4 Marks</td></tr>
<tr><td>Q. 4A</td><td>Write a short note on Drugs used for treatment in Gout.</td><td>4 Marks</td></tr>
<tr><td>Q.4 B</td><td>Classify adrenocorticosteroids with respect to route of administration. Write name, structure and use of any one drug from each class. Explain the effect on activity of adrenocorticoid when an additional double bond is inserted at 1-2 carbon in A ring</td><td>4 Marks</td></tr>
<tr><td>Q. 5A.</td><td>Match the following three columns given
<table>
<tr><th>A</th><th>B</th><th>C</th></tr>
<tr><td>Dihydrodibenzazepine ring</td><td>Nortriptyline</td><td>SSRI (with –Cl)</td></tr>
<tr><td>Phenoxy phenyl alkyl amine</td><td>Imipramine</td><td>SNRI</td></tr>
<tr><td>Dibenzocycloheptriene ring</td><td>Setraline</td><td>SSRI (with –CF_3)</td></tr>
<tr><td>Phenyl alkyl amine</td><td>Fluoxetine</td><td>NSRI</td></tr>
</table></td><td>4 Marks</td></tr>
<tr><td>Q.5 B.</td><td>Give the synthesis of Dicyclomine indicating the reagents and reaction conditions used. Indicate the class to which it belongs?</td><td>4 Marks</td></tr>
</table>