Duration : 3 hours **Total marks: 75**

N.B . : 1. All questions are compulsory.
2. Figures to the right indicate full marks.

Q.1 Choose the appropriate option for following multiple choice-based questions. (20)
Each question carries one mark.

1 Which of the following is INCORRECT pair of bioisosteres
[a] Divalent atom or groups : -NH-
[b] Trivalent atom or groups: -CH=
[c] monovalent atoms or groups : -N=
[d] tetravalent atom or groups : >Si<

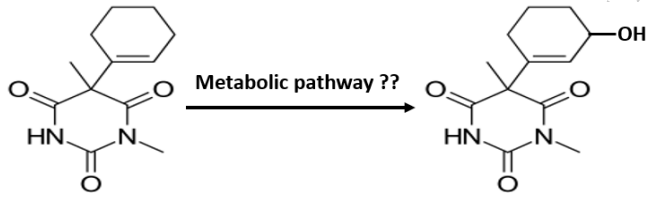
2 The following benzodiazepine contains N-oxide feature in its bicyclic ring system
[a] diazepam
[b] chlorazepate
[c] chlordiazepoxide
[d] alprazolam

3 Following are the alpha-2-selective sympathomimetic agents EXCEPT_____
[a] Methyldopa
[b] Guanabenz
[c] Clonidine
[d] Epinephrine

4 Which of the following ultra-short acting barbiturate is used for induction of anaesthesia
[a] Phenobarbital
[b] Thiopental sodium
[c] Amobarbital
[d] Secobarbital

5 Which of the following heterocyclic scaffolds is present in Pyridostigmine?
[a] Pyridine
[b] Pyrimidine
[c] Pyrrole
[d] Pyrrolidine

6 Typical antipsychotic drugs cause extrapyramidal side effects due to strong blockade of
[a] Dopamine D2 receptors
[b] Serotonin 5HT receptors
[c] Histamine H2 receptors
[d] Muscarinic M1 receptors

7 

Identify the correct metabolic pathway
[a] Oxidation of allylic carbon atom
[b] Oxidation at benzylic carbon atom
[c] Oxidation of olefins
[d] Oxidation of C-N hetero system

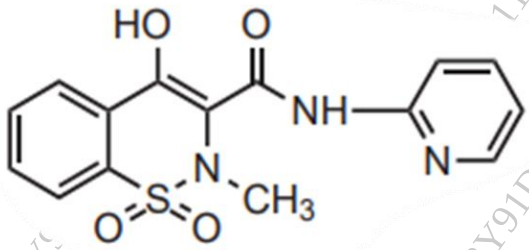
8 Valproic acid acts as an anticonvulsant drug by acting as
[a] GABA-transaminase inhibitor
[b] succinic acid semialdehyde dehydrogenase inhibitor
[c] Glutamatic acid decarboxylase activator
[d] GABA receptor potentiator

9 Removal of B ring of benzomorphan structure results into
[a] Benzomorphans
[b] 4-Phenylpieridines
[c] opioid Antagonist
[d] 4,5-eposymorphinanas

10 Introduction of -OH group at 17 beta position of morphine analogues results in
[a] enhances analgesic activity
[b] decreases analgesic activity
[c] increases lipophilicity of resultant compound
[d] None of the above

11 Atenolol is _____.
[a] Selective β-1 blocker
[b] Selective β-2 blocker
[c] Selective β-1 agonist
[d] Nonselective β-blocker

12 Identify the drug

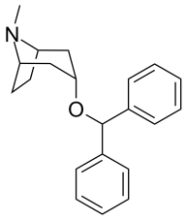


[a] Sulindac
[b] Indomethacin
[c] Piroxicam
[d] Phenylbutazone

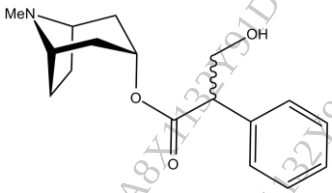
13 Identify the correct structural modification that results into formation of benzomorphans nucleus
[a] replacement of 3-OH of morphine with 3-OCH3
[b] Removal of Ring A of Morphine
[c] Removal of ring C of Morphinan
[d] Removal of Ring E of Morphine

14 Which of the following is the CORRECT structure of Scopolamine?

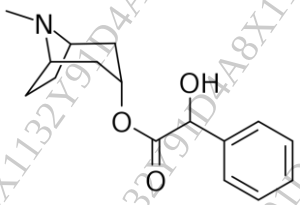
[a]



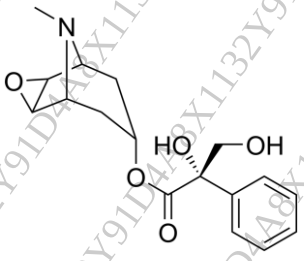
[b]



[c]



[d]



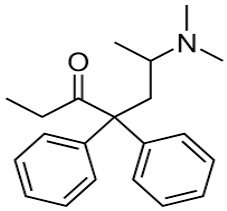
15 Which of the following is an active non-barbiturate hypnotic drug that is structurally similar to barbiturates?
[a] Ethchlorvynol
[b] Triclofos
[c] Paraldehyde
[d] Glutethimide

16 Which of the following is a hydrophilic organophosphate compound?
[a] Malathion
[b] Parathion
[c] Paraoxon C
[d] Echothiophate

17 Which of the following NSAIDS can inhibit platelet aggregation
[a] Phenylbutazone
[b] Sulindac
[c] Mefenamic acid
[d] Aspirin

18 The active metabolite of Thioridazine is
[a] N-desmethyl thioridazine
[b] N-desmethyl mesoridazine
[c] Mesoridazine
[d]N-desmethyl sulforidazine

19 Given structure belongs to which of the following chemical class



[a] Diphenylheptane
[b] Benzomorphan
[c] morphinan
[d] 4-Anilidopiperidine

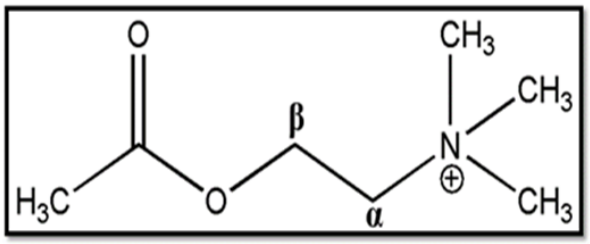
20 Which of the following metabolic pathway leads to bioactivation of Phenacetin to acetaminophen
[a] Desulfuration
[b] S-dealkylation
[c] N-hydroxylation
[d] O-demethylation

Q.2 Answer <u>any two</u> of the following three questions. **(20)**

A Answer the following –
[i] Discuss SAR of morphine analogues. Support your answer with the relevant structures. (5)

[ii] [a] Classify Phase I metabolic pathway [3M] (3)
[b] Enlist factors affecting drug metabolism and explain any two [2M] (2)

B (i) Explain the effect of following structural changes on the activity of muscarinic agonist (structure drawn below). (5)



1. Addition of methyl group on β-carbon atom
2. Replacement of acetyl group with propionyl group
3. Replacement of acetyl group of acetylcholine with carbamate
4. Addition of methyl group on α-carbon atom
5. Replacement of all three -CH_3 groups on the quaternary nitrogen with -C_2H_5

(ii) Describe biosynthesis, storage, release and metabolism of acetylcholine. (5)

C [i] Depict the schematic classification of anticonvulsants. Give any one suitable example with structures from each class. (4)

[ii] Illustrate the metabolism of phenytoin and indicate the metabolites responsible for its toxicity. (3)

[iii] Outline the synthesis of Ethoxsuximide indicating the reagents and reaction conditions used. (3)

Q.3 Answer <u>any seven</u> of the following nine questions. **(35)**

A Give chemical classification of **α**-adrenergic agonists and also discuss their structure activity relationship with suitable example. (5)

B Using Zolpidem as a reference, describe the salient structural features of ***α*1** subtype-selective $GABA_A$ receptor agonists. (5)

C The list of sympatholytic agents given below. Draw their structures and write their chemical class. (5)
Tolazoline, Prazosin, Propranolol, Labetalol, Esmolol.

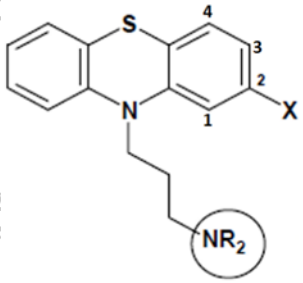
D [i] Write a note on Plasma protein binding (3)

[ii] Using suitable examples brings out the influence of geometrical isomerism on biological activity. (2)

E What is a reversible AChE inhibitor? Give the example of AChEI from acridine chemical class and Outline the synthesis of Neostigmine bromide. (5)

F Write a note on general anaesthetics. (5)

G Predict the effect of the following structural changes on the antipsychotic activity of phenothiazines. Justify your answer.

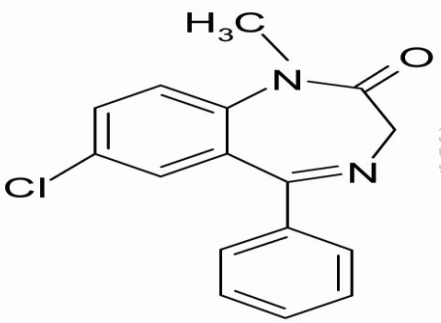


(5)

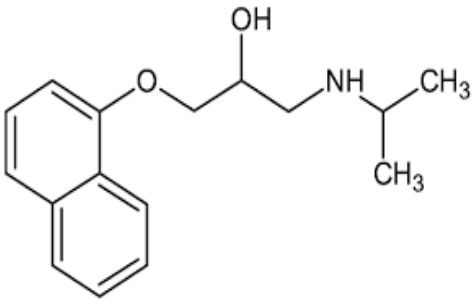
1. Introduction of a bulky group at 1 position.
2. Introduction of a substituent group at 3 position
3. Introduction of branched bulky group at 4 position
4. Replacing the propyl linker with ethyl linker
5. Converting the side chain tertiary amine to secondary amine.

H Predict any two Phase-I and one Phase -II metabolites for each of the following (draw structures): (5)

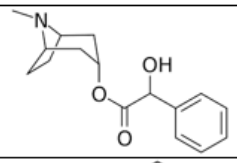
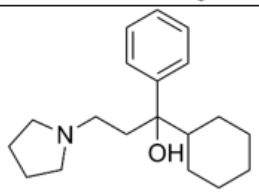
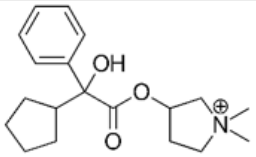
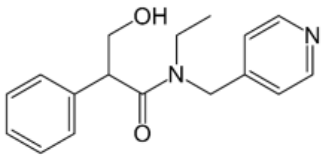
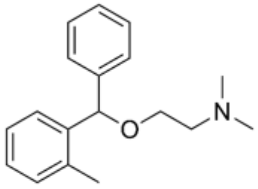
[A]



[B]



I Match the following

	Drugs		Column A		Column B
1	Glycopyrrolate	a		i	Amino amides
2	Orphenadrine citrate	b		ii	Amino alcohol ether
3	Procyclidine HCl	c		iii	Amino alcohol ester
4	Homatropine	d		iv	Amino alcohol
5	Tropicamide	e		v	Ester of bicyclic amino alcohol

(5)

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