SEM-IV (2022-23)

Paper / Subject Code: 69112 / Medical Chemistry-I

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

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

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

1 Following are the Phase I metabolism reactions except
[a] Hydrolysis of ester and amides
[b] Acetylation
[c] S-dealkylation
[d] Oxidation of olefins

2 Identify the triazole ring containing benzodiazepine from the following
[a] Chlordiazepoxide
[b] Diazepam
[c] Oxazepam
[d] Alprazolam

3 Which of the following drugs is NOT an imidazoline analog?
[a] Tolazoline
[b] Naphazoline
[c] Bitolterol
[d] Clonidine

4 Which of the following is structural isomer of enflurane
[a] Isoflurane
[b]Sevoflurane
[c] Ketamine
[d] Desflurane

5 Which is the active metabolite of tacrine?
[a] 1-hydroxy tacrine
[b] 2-hydroxy tacrine
[c] 3-hydroxy tacrine
[d] 4-hydroxy tacrine

6 In phenothiazine nucleus, which of the following substitution is responsible for tilting the amine side chain to produce neuroleptic activity?
[a] 1-Cl
[b] 2-Cl
[c] S-5
[d] N-10

7 Which of the following is active metabolite of thioridazine
[a] Mesoridazine
[b] N-desmethyl thioridazine
[c] 8-hydroxy-thioridazine
[d] O-glucuronide thioridazine

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8 Trimethadone acts as an anticonvulsant by
[a] inhibiting sodium channels
[b] inhibiting calcium channels
[c] inhibiting GABA metabolism
[d] increasing GABA reuptake

9 Codeine is
[a] 3-methoxy analogue of Morphine
[b]5-methoxy analogue of Morphine
[c] 5-chloro analogue of Morphine
[d]3-bromo analogue of Morphine

10 Which of the following is incorrect statement about Indomethacin
[a] It is used as analgesic and anti-inflammatory agent
[b] It contains imidazole nucleus
[c] It belongs to chemical class: Aryl and Heteroaryl acetic acids
[d] Its use is limited because of frequent GI distress and potential drug interaction with Warfarin, furosemide and lithium.

11 Antiadrenergic drug Prazosin is structurally _____.?
[a] 4-amino-6,7-dimethoxyquinazoline ring system attached to a piperazine ring
[b] 5-amino-6,7-dimethoxyquinazoline ring system attached to a piperazine ring
[c] 4-amino-6,7-dimethoxyquinazoline ring system attached to a piperidine ring
[d] 5-amino-6,7-dimethoxyquinazoline ring system attached to a piperidine ring

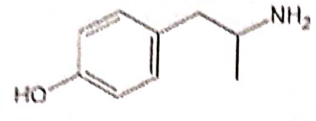
12 Which of the following is incorrect pair of NSAIDs
[a] Salicylic acid derivative: Aspirin
[b] Aryl and heteroaryl acids : Sulindac
[c]Arylpropionic acid: Ibuprofen
[d]Oxicams:Indomethacin

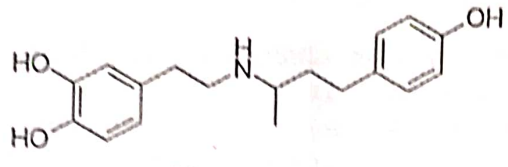
13 Which of the following is an example of flexible opioids
[a] Naloxane
[b] Fentanyl
[c] Nalorphine
[d] Levallorphan

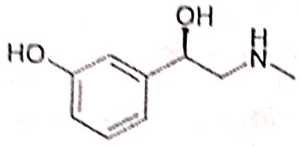
14 Levorotatory form of Methorphan is
[a] Levorphanol
[b] Codeine
[c] Methadone
[d] Nalorphine

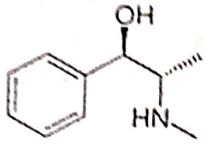
15 The prodrug which is metabolised to the sedative trichloroethanol is
[a] Triclofos
[b] Paraldehyde
[c] Meprobamate
[d] Ethchlorvynol

16 Which of the following is a mixed acting sympathomimetic agent?

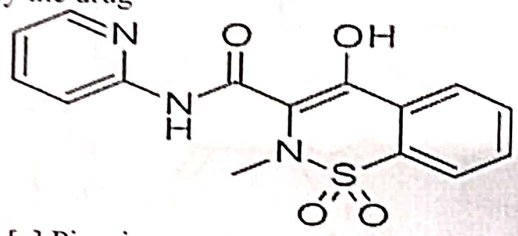
[a] 

[b] 

[c] 

[d] 

17 Identify the drug



[a] Piroxicam
[b] indomethacin
[c] Ketorolac
[d] Naproxen

18 Name the typical antipsychotic which does not belong to the phenothiazine chemical class

[a] Chlorpromazine
[b] Chlorprothixen
[c] Thioridazine
[d] Triflupromazine

19 Ibuprofen is marketed as a racemic mixture, although biologic activity resides almost exclusively in the _____ isomer

[a] S-(+)
[b] R-(+)
[c] S-(-)
[d] Both R and S

20 Which of the following is an example of NSAIDs belonging to Indole Acetic acids?

[a] Naloxane
[b] Aspirin
[c] Ibuprofen
[d] Indomethacin

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Q.2 Answer **any two** of the following three questions .

A Answer the following –

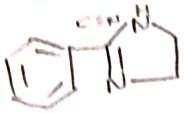
[1] Discuss the term Bioisosterism. Give classification of Bioisosters with examples (5)

[2] Enlist factors affecting drug metabolism and discuss in detail any three. (5)

B

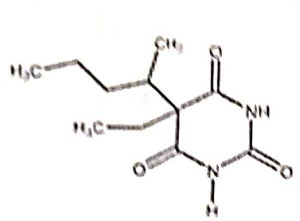
i) What are adrenergic blockers? Classify β-blockers based on selectivity and outline the synthesis of Tolazoline along with reaction conditions and necessary reagents. (5)

ii) Classify AChE inhibitors with examples (including structure). Differentiate between reversible and irreversible inhibitors. Draw the structure of the cholinesterase reactivator and give its therapeutic use. (5)

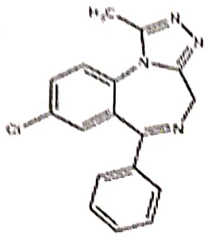


C

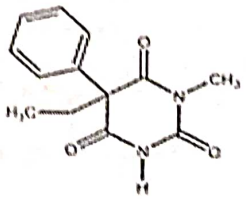
[1] Answer the following – (5)



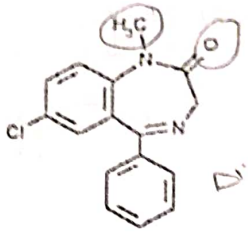
a



b



c



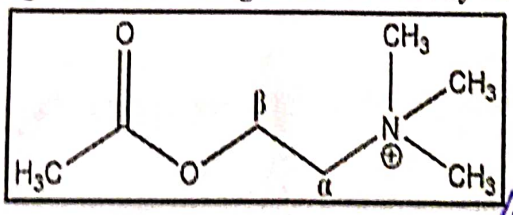
d

[i] Indicate the chemical classes of drugs a and b
[ii] Predict the effect of replacing the 5-phenyl group of c with a methyl group
[iii] Predict the effect of of substituting both the nitrogens of drug a with methyl
[iv] Predict the effect of changing the pentyl group of a to butyl on the duration of its action. Justify your answer.
[v] Give the structures of any two metabolites of drug d

[2] Elaborate on Narcotic antagonist with example [draw structure] (5)

Q.3 Answer any seven of the following nine questions. (35)

A With respect to the structure of Acetylcholine (the structure is drawn below), explain the effect of the following structural changes on the activity of muscarinic agonists. (5)



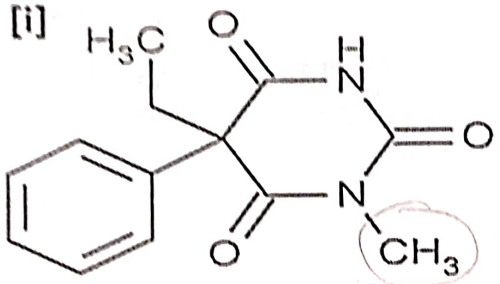
1. Replacement of ethylene bridge with propylene bridge
2. Replacement of acetyl group with butanoyl group
3. Replacement of all three $-CH_3$ groups on the quaternary nitrogen with -H
4. Replacement of $-COCH_3$ of acetylcholine with $-CONH_2$
5. Addition of methyl group on β-carbon atom

B Discuss the role of bioisosteric replacement in the development of anticonvulsant drugs. Mention the molecular target and give examples (name and structure) of drugs which act as anticonvulsants by a) increasing the biosynthesis of GABA and b) inhibiting the degradation of GABA (5)

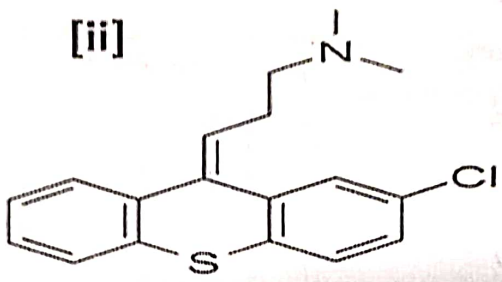
C Classify α-adrenergic blockers based on selectivity with suitable examples (including structures). Explain the mechanism of action of β-halo alkylamine derivatives. (5)

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

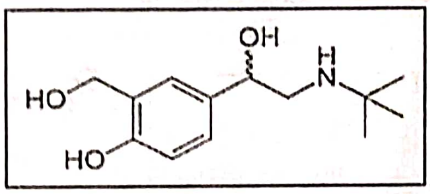
[i]



[ii]



E Identify the following drug, indicate its mechanistic class. Which enantiomer is more active? Outline the synthesis of given drug, along with reaction conditions and necessary reagents. (5)



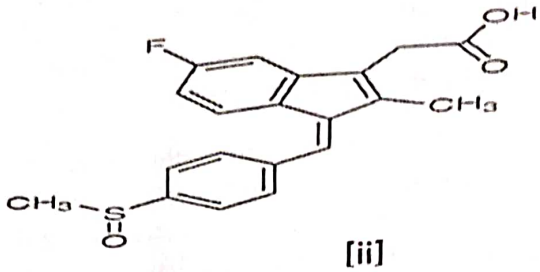
Salbutamol

F Classify general anaesthetics with one example from each class. [Draw structures]. Discuss metabolism of halothane. (5)

G Describe the structural features of Zolpidem responsible for α1 selective $GABA_A$ agonistic activity. Comment on its duration of action and therapeutic use. Depict the scheme for synthesis of Diazepam and indicate the reagents used. (5)

H With respect to the following structure, answer the questions given below (5)

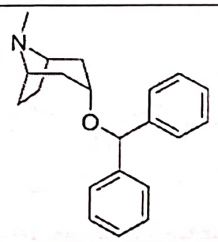
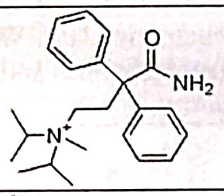
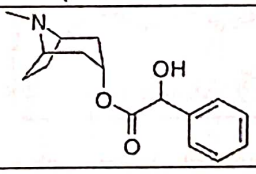
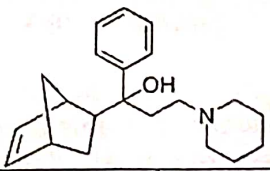
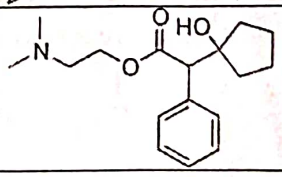
[i]



[ii]

[a] Identify the NSAID [i] & [ii]
[b] Name the chemical class of [i]
[c] Identify which of the above structures is a prodrug and give its name and active form structure

I Match the following anticholinergic agents with respect to their chemical class and structure. (5)

	Drugs		Column A		Column B
1	Cyclopentolate	a		i	Amino alcohol
2	Benztropine mesylate	b		ii	Amino amides
3	Biperidine HCl	c		iii	Amino alcohol ether
4	Isopropamide	d		iv	Ester of bicyclic amino alcohol
5	Homatropine	e		v	Amino alcohol ester

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