MEDICINAL CHEMISTRY I S.Y. Pharmacy (SEM-IV) (Choice Based) (R-2019)

QUESTION BANK for MCQ TYPE QUESTION PAPER

1. Prodrug of Phenytoin is _____
   [a] **Fosphenytoin**
   [b] Mephenytoin
   [c] Ethotoin
   [d] 5-Phenyl- 5-ethylhydantoin

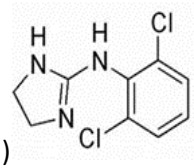
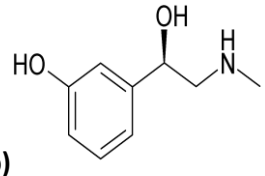
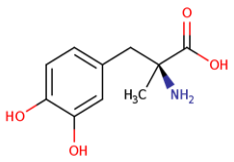
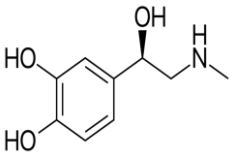
2. The type of metabolic reaction which occurs in the following biotransformation is

   [a]Oxidation at benzylic carbon
   [b]Oxidation of Aromatic ring
   [c]Oxidation of C -S system
   [d] **S-demethylation**

3. Which one of the following is classified as long-acting barbiturates
   [a**] Phenobarbital**
   [b] Butabarbital
   [c] Pentobarbital
   [d] Thiopental

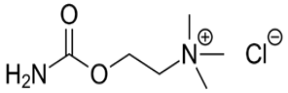
4. Which of the following statement is incorrect about metabolism of drugs
   [a] Metabolism is also called a detoxification process
   [b] Phase I and Phase II reactions are metabolism pathways
   [c] **Phase II reactions are also called as functionalization reactions**
   [d] Cytochrome enzymes play an important role in the metabolism of drugs

5. Which of the following is a selective α-1 receptor agonist?

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

6. Which drug contains a 4-amino- 6,7-dimethoxyquinazoline ring system attached to an acyl piperazine moeity?
   [a] Tolazoline
   [b] Phentolamine
   [c]Phenoxy-benzamine
   [d] **Prazosin**

7. What is the name of this cholinergic drug?



   [a]Bethanechol chloride

[b]**Carbachol chloride**
[c]Methacholine chloride
[d] Acetylcholine chloride

8. Which drug is synthesised using phenyl acetonitrile and 1,5-dibromopentane as precursors?
   [a] Cyclopentolate
   [b]Tacrine
   [c] Neostigmine
   [d**] Dicyclomine**

9. Select the INCORRECT statement with respect to the SAR of adrenergic agonists with specific reference to 3',5'-dihydroxy ring substitution pattern.
   [a] **Increases the drug distribution**
   [b] Increases resistance to metabolism by COMT
   [c] Provides selectivity for β2-receptors
   [d] Gives orally active bronchodilator

10. Following are structural requirements essential for sympathomimetic activity of arylethanolamines EXCEPT?
    [a] **(1S)-OH**
    [b] Catechol ring
    [c] β-phenylethylamine
    [d] (1R)-OH

11. Identify the triazole ring fused benzodiazepine from the following.
    [a] Chlordiazepoxide
    [b] Diazepam
    [c] Oxazepam
    [d]**Alprazolam**

12. The benzodiazepine analog which has the least sedative activity
    [a] ortho-substituted 5-aryl benzodiazepine
    [b] di-ortho-substituted 5-aryl benzodiazepine
    [c]**para-substituted 5-aryl benzodiazepine**
    [d]unsubstituted 5-aryl benzodiazepine

13. Droperidol is a member of ---- class of antipsychotic agents.
    [a]Phenothiazine
    [b] **Butyrophenone**
    [c] Benzazepine
    [d] Benzoisoxazole

14. The spacer group present between the ring nitrogen and the side chain amino nitrogen in phenothiazines for optimum antipsychotic activity is
    [a]Butyl
    [b] Methyl
    [c] Ethyl
    [d] **Propyl**

15. Which of the following antimuscarinic belongs to aminoalcohol class?
    [a] **Biperidine hydrochloride**
    [b] Clidinium bromide
    [c] Orphenadrine citrate

[d] Methantheline

16. Identify the name of ring present in phenytoin from the following
    [a]Succinimide
    [b] Oxazolidinedione
    [c]**Hydantoin**
    [d]Iminostilbene

17. Which of the following phenothiazine derivatives contains piperidine side chain.
    [a] **Thioridazine**
    [b] Prochlorperazine
    [c] Triflupromazine
    [d] Chlorpromazine

18. Which of the following is structural isomer of Enflurane
    [a] **Isoflurane**
    [b] Sevoflurane
    [c] Methoxyflurane
    [d]Desflurane

19. Which of the following is not an example of Inhalation anaesthetics
    [a] Halothane
    [b] Enflurane
    [c**] Ketamine**
    [d] Sevoflurane

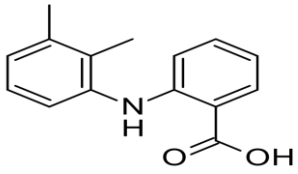
20. Which of the following is INCORRECT statement about Methadone
    [a] Methadone is a synthetic opioid
    [b] R-enantiomer is more potent than S enantiomer
    [c] **Methadone is opioid antagonist**
    [d] N-demethylation is major metabolic pathway for Methadone

21. Which of the following is not a structural feature of Opioid Antagonist
    [a] Presence of allyl/cyclopropyl methyl group at 17th position
    [b] Replacement of 6-OH with keto group
    [c**] Presence of 7-8 double bond**
    [d]Substitution of 14 OH

22. Which of the following is an example of an ultra short acting barbiturate anesthetic?
    [a] **Methohexital**
    [b] Enflurane
    [c] Sevoflurane
    [d] Benzocaine

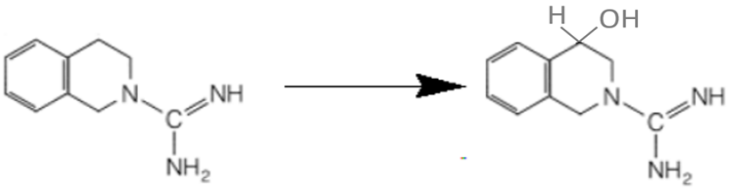
23. The isosteric replacement of the indole ring with the Indene ring system resulted in which of the following anti-inflammatory drug
    [a] **Sulindac**
    [b] Diclofenac
    [c] Tolmetin
    [d]Naproxen

24. Identify the given anti-inflammatory agent



[a] Piroxicam
[b] Tolmetin
[c] Phenacetin
[d] **Mefenamic acid**

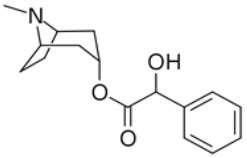
25. The type of metabolic reaction which occurs in the following biotransformation is



[a] **Oxidation at benzylic carbon**
[b] Oxidation of C -N system
[c] Oxidation of aromatic ring
[d] Oxidation of alpha carbon to imine

26. Which of the following is an example of a phase II metabolic reaction?
[a] N-dealkylation
[b**] Amino acid conjugation**
[c] Oxidation
[d] Reduction

27. Identify the name of following drug



[a] **Homatropine**
[b] Ipratropium
[c] Atropine
[d] Scopolamine

28. Find the INCORRECT pair
[a] Alpha adrenergic agonist: Phenylephrine
[b] **Beta adrenergic agonist: Clonidine**
[c] Alpha adrenergic antagonist: Prazosin
[d] Beta adrenergic antagonist: Atenolol

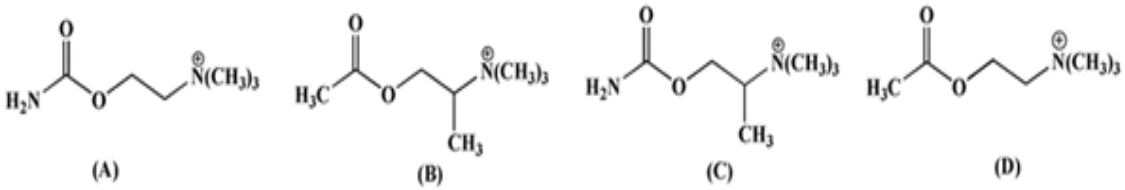
29. Which drug forms naphthyloxylactic acid as an inactive metabolite by oxidative deamination?
[a] **Propranolol**
[b] Timolol
[c] Nadolol
[d] Acebutolol

30. 3-dimethylamino phenol and N-dimethylcarbamoyl chloride are used as precursors for synthesis of which drug?

[a] Salbutamol
[b] **Neostigmine**
[c] Dicyclomine
[d] Ethosuximide

31. Which of the following antimuscarinic agents belong to the aminoamide class?
[a] **Tropicamide**
[b] Clidinium bromide
[c] Methantheline
[d] Orphenadrine citrate

32. Identify carbachol from the following cholinergic modulators?



[a] Structure D
[b] Structure C
[c] Structure B
[d] **Structure A**

33. Diazepam acts as a sedative by being a
[a] **GABA potentiator**
[b] GABA reuptake inhibitor
[c] GABA receptor antagonist
[d] enhancing GABA biosynthesis

34. The shortest duration of action of the following benzodiazepines is of
[a] Chlordiazepoxide
[b] Diazepam
[c] Clorazepate
[d] **Lorazepam**

35. Select the benzisoxazole and piperidine containing drug from the following.
[a] **Risperidone**
[b] Loxapine
[c] Clozapine
[d] Sulpiride

36. 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

37. Identify Iminostilbene analog from the following.
[a] Phenytoin
[b] Ethotoin
[c] Lamotrigine

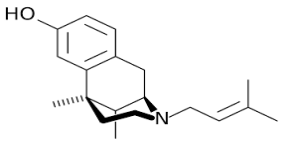
[d] **Carbamazepine**

38. Which amongst the following is not a metabolite of carbamazepine?
    [a] Carbamazepine diol
    [b] Carbamazepine epoxide
    [c] **Carbamazepine N-oxide**
    [d] Carbamazepine glucuronide

39. Which amongst the following is incorrect statement about Isoflurane?
    [a] It Is structural isomer of Enflurane
    [b] It causes nephrotoxicity due to the fluoride ions generated
    [c] It is an inhalation anaesthetic
    [d] **Replacing fluorine in Desflurane with chlorine in Isoflurane decreases potency**

40. Which of the following is example of a dissociative anaesthetic?
    [a] Enflurane
    [b] Thiopental sodium
    [c] **Ketamine Hydrochloride**
    [d] Methoxyflurane

41. The following drug belongs to which chemical class?



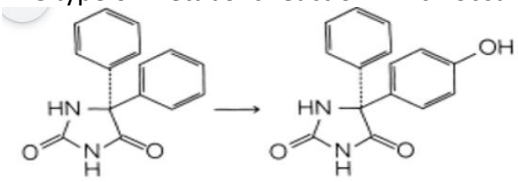
    [a] **Benzomorphans**
    [b] 4-phenylpiperidine
    [c] 4,5 Epoxy Morphinans
    [d] Morphinans

42. Which of the following is incorrect statement about Methadone?
    [a] Methadone is a synthetic opioid
    [b] It is approved for treatment of opioid addiction
    [c**] It is a μ-receptor antagonist**
    [d] N-demethylation is major pathway of metabolism of Methadone

43. Indomethacin belongs to which of the following chemical class of NSAIDS?
    [a] Salicylates
    [b] Aryl and heteroaryl propionic acid
    [c] **Aryl and Heteroaryl acetic acid**
    [d] N-aryl anthranilic acid

44. Which of the following statements is incorrect about Sulindac- anti-inflammatory agent
    [a] It is a prodrug
    [b] E-isomer is more potent than Z-isomer
    [c] It is recommended for long term use in rheumatoid arthritis
    [d] The tendency to produce adverse effects is lower for Sulindac is lower as compared to Indomethacin

45. The type of metabolic reaction which occurs in the following biotransformation is



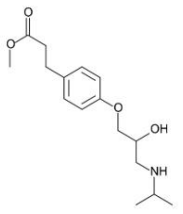
[a] Oxidation at benzylic Carbon
[b]Oxidation at alicyclic carbon
[c**] Oxidation of aromatic moiety**
[d]Oxidation of olefins

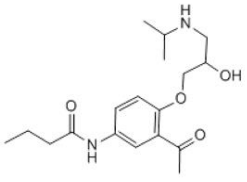
46. Which of the following is a correct match for metabolic pathways?
[a] Hydrolysis - Phase II
[b] Glucuronidation -Phase I
[c] Reduction - Phase II
[d] **Oxidation - Phase I**

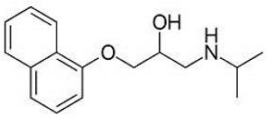
47. Which of the following drugs has anticholinergic, antihistaminic and local anaesthetic activity?
[a] **Benztropine mesylate**
[b]Homatropine methylsulphate
[c]Atropine sulphate
[d]Ipratropium bromide

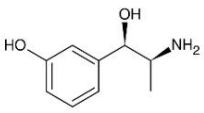
48. Which one of the following is classified as intermediate-acting barbiturates
[a] **Amobarbital**
[b] Mephobarbital
[c] Pentobarbital
[d] Phenobarbital

49. Which of the following is an ultra-short acting adrenergic blocker?

[a] 

[b] 

[c] 

[d] 

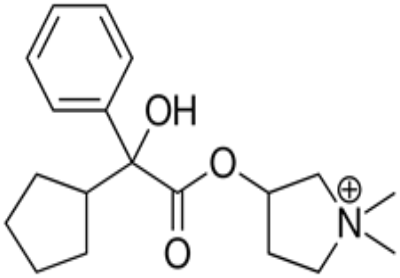
[a]
[b]
[c]
[d]

50. Find the INCORRECT pair
[a] **Alpha adrenergic agonist: Dobutamine**
[b]Beta adrenergic agonist: Terbutaline
[c]Alpha adrenergic antagonist: Tolazoline
[d]Beta adrenergic antagonist: Propranolol

51. Which of the following enzymes is not involved in the biosynthesis of Acetylcholine?
[a]N-Methyltransferase
[b]**Serine carboxylase**

[c]Cholineacetyl-transferase
[d]Serine decarboxylase

52. Identify the following drug



[a]Orphenadrine
[b**] Glycopyrrolate**
[c]Propanthenline
[d]Biperidine

53. Which drug is cholinesterase reactivator?
[a] Donepezil
[b]Ambenonium chloride
[c]Physostigmine
[d]**Pralidoxime chloride**

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

55. The non-benzodiazepine zolpidem
[a]**binds to the benzodiazepine site of the GABA receptor**
[b]does not bind to the benzodiazepine site of the GABA receptor
[c]acts on the voltage-gated chloride ion channel
[d]binds to the sodium channel

56. Triflupromazine is used as
[a] Sedative
[b]Hypnotic
[c]**Antipsychotic**
[d] Analgesic

57. What is the relationship between phenothiazine and thioxanthene?
[a]They are diastereomers of each other
[b]They are enantiomers of each other
[c]**They are bioisosteres of each other**
[d]They are active metabolites of each other

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

59. Mephenytoin is
[a]Pyrimidindione
[b]Pyridindione
[c]**Imidazolidindione**
[d]Oxazolidindione

60. Which of the following is structural isomer of Enflurane
[a]**Isoflurane**
[b]Desflurane
[c]Methoxyflurane
[d] Sevoflurane

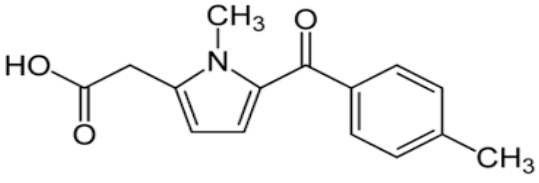
61. Which of the following is incorrect statement about Ketamine
[a]S-(+) Ketamine is 2-3 fold more potent than R-(-) Ketamine
[b**]Ketamine is an inhalation anaesthetic**
[c]Ketamine is marketed as a racemic mixture
[d]Ketamine produces norketamine by N-demethylation

62. Select the nucleus present in Fentanyl sodium
[a] 4-phenylpiperidines
[b]**4-Anilidopiperidine**
[c]Benzomorphans
[d]Morphinans

63. Which of the following is a narcotic antagonist?
[a**] Naloxone**
[b]Fentanyl
[c]Codeine
[d]Meperidine

64. Tolmetin sodium belongs to which of the following chemical class of NSAIDS
[a] Salicylates
[b] Aryl & heteroarylhexanoic acid
[c**] Aryl & Heteroaryl acetic acid**
[d] N-aryl anthranilic acid

65. Identify the given anti-inflammatory agent



[a] Piroxicam
[b]**Tolmetin**
[c] Phenacetin
[d]Mefenamic acid

66. Following are the Phase I reactions except
[a] **Glucuronidation**

[b] Oxidation
[c] hydrolytic reation
[d] Reductive reactions

67. Pseudoephedrine is a _____.
[a] **Threo isomer of Ephedrine**
[b] Erythro isomer of Ephedrine
[c] Racemic mixture
[d] Meso isomer of Ephedrine

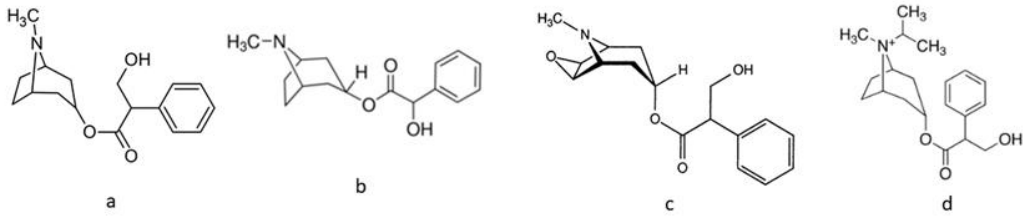
68. Which of the following is hydrophilic organophosphate compound?
[a] **Ecothiophate**
[b] Malathion
[c] Parathion
[d] Paraoxon C

69. Naturally occurring morphine has the _____ absolute configuration
[a] **5R, 6S, 9R, 13S, 14R**
[b] 3S, 8S, 9R, 10S, 12S
[c] 2S, 5R, 8R, 13S
[d] 5R, 7R, 10S, 12R

70. Which of the following reaction sequence will produce oxazepam from diazepam
[a] **N-demethylation & hydroxylation**
[b] Oxidation and N -dealkylation
[c] N-oxidation and N-dealkylation
[d] N-demethylation & Reduction

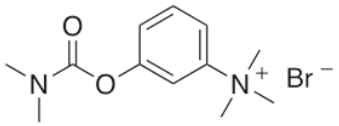
QUESTION BANK for DESCRIPTIVE TYPE QUESTION PAPER

(1) Answer the questions with respect to the structures given below



1. Categorise above drugs on the basis of their origin (natural or synthetic)
2. Write the metabolic pathway of drugs (a) and (d)
3. What is the structural similarity in all above structures? Write the mechanism of action of drug (c)
4. Identify drugs (b) & (c) and write their therapeutic use.

(2) Identify the following drug, indicate which mechanistic class the drug belongs to and outline its synthesis.

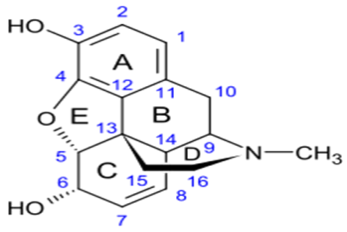


(3) Match the columns

	Drugs		Column A		Column B
1	Trimethadione	a	urea analog	i	It is metabolised to phenobarbital and phenylethylmalonamide
2	Carbamazepine	b	hydantoin	ii	Its N-demethylated metabolite also stabilises thalamic neurons
3	Felbamate	c	oxazolidinedione	iii	It can form a reactive 10,11-epoxide metabolite which may cause aplastic anemia if not further metabolized
4	Primidone	d	aliphatic carboxylic acid	iv	It can form the reactive ortho quinone metabolite in conditions of COMT deficit.
5	Valproic acid	e	carbamate	v	It can form 3-carbamoyl-2-phenyl propionaldehyde and 2-phenyl propenal as reactive/toxic metabolites.
6	Phenytoin	f	dihydropyrimidine dione	vi	It forms 2,4-diene and 4,5-epoxy metabolites which are hepatotoxic

(4) Predict any two Phase-I metabolites for each of the following (draw structures) :
Diazepam and Chlorpromazine

[5] Based on the scaffold given below answer the following questions:



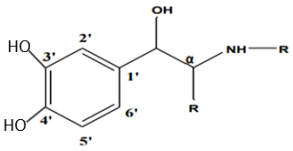
1. Identify the structure
2. Give the number of chiral centres in the molecule
3. Indicate the effect on activity if oxygen bridge is removed
4. Significance of -CH3 on tertiary nitrogen
5. Importance of 6 alpha -OH moiety
6. Indicate the effect of etherification at 3rd position.

(6) Write a short note on the biosynthesis, storage, release and metabolism of norepinephrine.

(7) Answer the following in brief

1. The pka of drugs influences their site of gastrointestinal absorption after oral administration. Explain
2. 'Optical isomerism influences biological activity'. Explain with suitable examples.
3. Enlist different types of Phase I oxidative metabolic reactions
4. Describe the effect of plasma protein binding on duration of action of drugs.
5. Give the name and structure of an active metabolite of Mephobarbital

(8) Predict the effect of the following structural changes on the sympathomimetic activity of phenyl ethanolamines. Support your answer with relevant structures



1. Replacement of catechol by resorcinol moiety.
2. Replacement of one of the ring hydroxyl function with hydroxymethyl function.
3. Introduction of isopropyl group on the amine nitrogen
4. Removal of 3-OH function in the catechol nucleus
5. Introduction of t-butyl group on the amine nitrogen
6. Absence of hydroxyl group on aromatic ring

(9) With respect to cholinergic drugs answer the following questions

1. Why is Pralidoxime ineffective if administered 36 hrs after exposure to insecticide?
2. Name a muscarinic antagonist belonging to the class of aminoamides, draw its structure and give its therapeutic use.

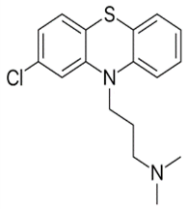
3. Comment on binding interactions of acetyl choline with acetylcholinesterase enzyme.

(10) What is the difference between ephedrine and pseudoephedrine in terms of their structures, stereochemistry and mechanism of action?

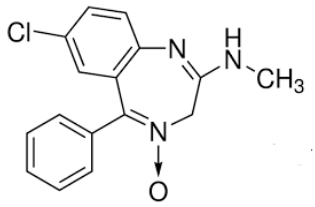
(11) The following list of adrenergic blockers includes both selective and non-selective agents. Classify them as selective and non-selective. State the receptor subtype for selective agents.
Prazosin, Esmolol, Phenoxybenzamine, Acebutolol, Phentolamine and Carvedilol

(12) Explain the term 'bioisosterism'. Classify bioisosteres. Give suitable examples.

(13) Write the structure of any two Phase I metabolites of the following-



[a]

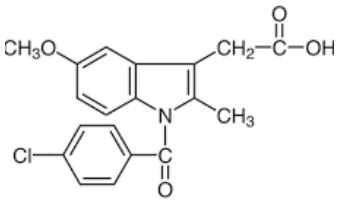


[b]

(14) Give chemical classification of opioid analgesics and mention any one example from each class with structure.

(15) Write a note on Opioid antagonists

(16) Answer the following



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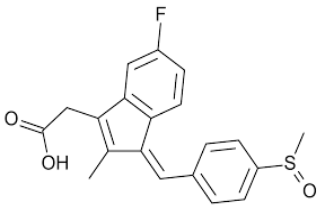
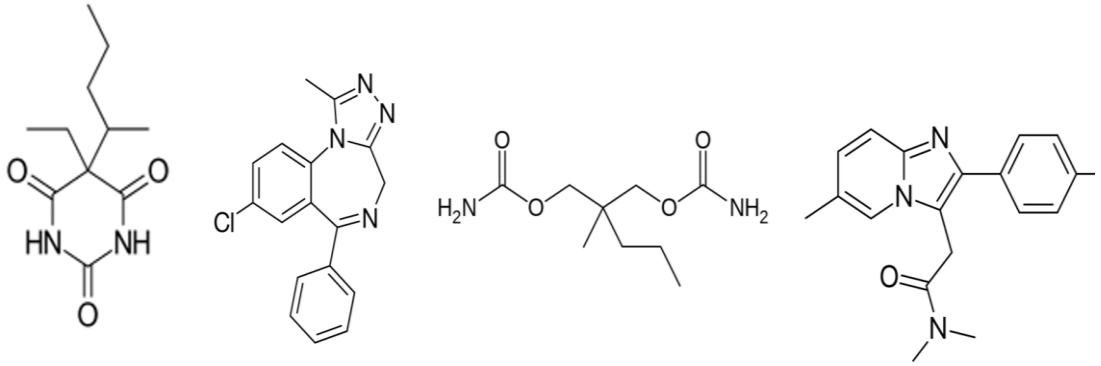


[b]

[a] Identify compound 'a'. Give its name, chemical class, possible metabolites and therapeutic uses.
[b] Identify compound 'b', give its name and chemical class. Explain why it produces less gastric side effects.

(17) Answer the following questions



a b c d

1. Indicate the chemical classes of ‘a’ and ‘b’
2. Predict the effect of attaching a methyl group on both the ring nitrogens of ‘a’
3. Write the mechanism of action of ‘c’
4. Predict the effect of replacing the ring methyl group of ‘b’ by H
5. Name the enzymes involved in the metabolism of ‘d’

(18) Explain the basis of GI side effects, generally caused by the non-selective class of NSAIDs.

(19) (1) Give two examples of Narcotic antagonists with structure.
(2) Give two examples of flexible opioid agonists with structure.

(20) Give reason for the following. Support your answer - with suitable structures - Physostigmine and Isoflurophate both are cholinesterase inhibitors, but the latter has much higher potential for toxicity.

(21) Answer the following questions. Support your answer with relevant structures wherever required

1. Protein binding can prolong the duration of action. Explain
2. ‘Geometrical isomerism influences biological activity’. Explain with suitable examples.
3. Enlist Phase I reductive metabolic reactions
4. Explain the concept of bioisosterism with suitable examples
5. Give an example of ‘hydrolysis’ as biotransformation pathway.

[22] Elaborate on factors affecting drug metabolism

(23) Classify antipsychotic drugs based on their chemical structures with at least one example from each class. (Structures needed)

(24) Outline the synthetic scheme of chlorpromazine indicating the reagents and reaction conditions used.

(25) Compare the antipsychotic activity and side effect profile of chlorpromazine with prochlorperazine.

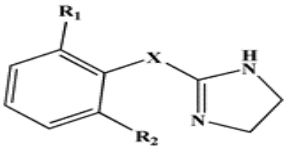
(26) Explain why morphine has poor oral bioavailability. Discuss the structure activity relationship of morphine analogues with suitable examples.

(27 Classify the following drugs into various subclasses of NSAIDS and give their structures and mechanism of action

Indomethacin, Diclofenac, Aspirin, Acetaminophen, Antipyrine, Ketorolac

(28) Describe in detail the synthesis of Methadone and enlist any two of its therapeutic uses

(29) Imidazolines of the type drawn below are known to act at the α-adrenergic receptor. Answer the following questions. Support your answer with relevant structures.



1. How does the substituent X control α1 vs α2 selectivity? Give one molecule in this class that is used to treat hypertension.
2. Predict the effect on activity if R1=H and R2 = H.

(30) Give structures of Propranolol and Atenolol. Designate their chiral carbons as R or S. Outline the metabolism of Propranolol.

(31) Describe the biosynthesis, storage, release and metabolism of norepinephrine.

(32) Write structures, generic names and major therapeutic uses of drugs with following description:

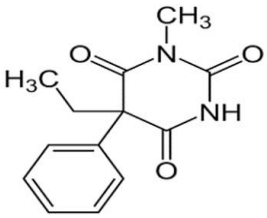
1. Selective α1-blocker with quinazoline nucleus
2. β-blocker with α_1 antagonist activity
3. β1-selective agonist

(33) Answer the following

1. Enlist the four classes of drugs based on Biopharmaceutics Classification System (BCS). State the solubility and permeability characteristics of each class.
2. Z & E term refers to optical isomerism. State whether True or False. Correct if False.
3. Enlist different types of Phase II metabolic reactions.
4. Give examples of any two plasma proteins that are involved in binding to drugs.
5. Give the name and structure of the active metabolite of Thioridazine.

(34) Write a short note on factors affecting drug metabolism

(35) Write the structures of any two Phase I metabolites of the following -



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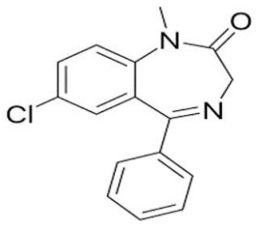


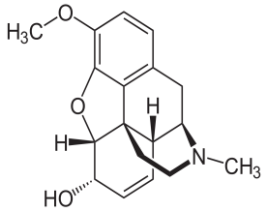
[b]

(36) Classify anticonvulsant drugs based on their chemical structures with at least one example from each class. (Structures needed)

(37) Outline the synthetic scheme of Ethosuximide, indicating the reagents and reaction conditions used.

(38) Write a short note on the role of bioisosterism in the development of anticonvulsant drugs.

(39) Answer the following



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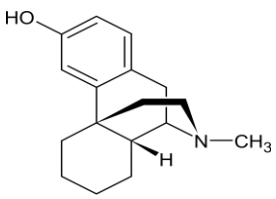

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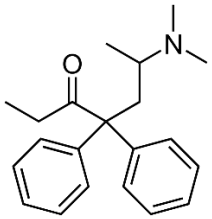

[c]

1. Identify structure **b**, give its therapeutic use and name the receptor to which it binds.
2. Identify which of the above structures is a prodrug and give its name and structure of its active form.
3. Identify structure **c** , give its category, chemical class and predict metabolites for the same

(40) Draw the structure of Ibuprofen. Indicate to which chemical class it belongs. Discuss the stereochemistry of the compound and draw any two metabolites for the same.