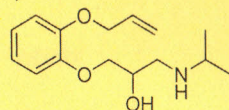
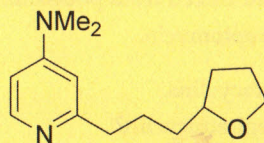


b) Discuss the use and synthesis of oxyphenol.



Oxyphenol

7. a) What are antihistamines? Explain about the mechanism of action and synthesis of Phenobarbital.
 b) Write synthesis of isoniazid. Discuss the mechanism of action of Isoniazid as an anti-tubercular drug.
8. a) How lipophilic character of a drug is related to its efficiency. Use Hanch equation to explain.
 b) The following compound has potent antifungal activity in a cell free system but poor activity in mice.



Why is it not effective in mice? Suggest some structural modification that might increase antifungal activity in mice.

== *** ==

1+3=4

1+4=5

5

5

5

REV-00
MSC/42/47

M.Sc. CHEMISTRY
FOURTH SEMESTER
ORGANIC CHEMISTRY-V
(DRUG CHEMISTRY)
MSC-403 A

(Use separate answer scripts for Objective & Descriptive)

Duration: 3 hrs.

Full Marks: 70

[PART-A: Objective]

Time: 20 min.

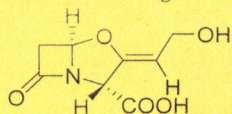
Marks: 20

Choose the correct answer from the following:

1x20=20

- Potency of a drug expressed in terms of its concentration as:
 - 1/C
 - log1/C
 - logC
 - logC²
- Activity of a drug can be affected by its:
 - Polarity
 - Stereo Chemistry
 - Hydrophobicity
 - All of these
- Look for the pair which is not isosters.
 - F and H
 - OH and -SH
 - >C=O and >C=S
 - >C- and -N=
- Leprosy is caused by a class of micro-organism belonging to:
 - Fungus
 - Bacteria
 - Virus
 - None of these
- Potency of a drug is found to increase when -OMe group is introduced in p-position in phenyl moiety of the lead compound of a drug. Which of the following group is likely to increase the potency further when the -OMe group is displaced with?
 - NO₂
 - NMe₂
 - Bu
 - CF₃
- The *wrong statement* in the following:
 - All potent drug molecules will have low P_{ka} value.
 - Before excretion a drug undergo metabolism.
 - Most drugs have molar mass around 500 g/mole.
 - Major excretory path of a drug is through kidney.
- Which statement is appropriate for diclofenac sodium?
 - (1) It is an NSAID.
 - (2) It is an analgesic drug.
 - (3) It is an antihistaminic drug.
 - (1) only
 - (2) only
 - (1) and (2)
 - (2) and (3)
- Which of the following statements is true regarding the properties of benzylpenicillin?
 - It is a bacteriostatic agent.
 - It is active over a wide range of bacterial species.
 - It is resistant to β-lactamases.
 - Certain individuals may have an allergic response to it.
- What role does the acetoxy group at the 3-position of cephalosporins have in enhancing antibacterial activity?
 - It acts as a steric shield and masks enzymatic attack at the β-lactam ring.
 - It acts as a good leaving group in the inhibition mechanism.
 - It takes part in a transesterification reaction with the carboxylic acid group at position 4.
 - It increases the reactivity of the β-lactam ring by neighbouring group participation.

10. What is the target for clavulanic acid?



- a. The transpeptidase enzyme
b. L-ala racemase
c. β -lactamase
d. Penicillin acylase

11. Which of the following is an aminoglycoside antibiotic?

- a. Cephalosporin
b. Streptomycin
c. Phenobarbital
d. Diazepam

12. Tetracyclin inhibits protein synthesis by:

- a. Inhibiting initiation and causing misreading of m-RNA.
b. Binding to 30S subunit and inhibits binding of aminoacyl t-RNA.
c. Inhibiting peptidyl transferase activity.
d. Inhibiting translocation groups.

13. Which of the following drugs contain a 7-membered heterocyclic ring?

- a. Phenobarbital
b. Diphenylhydramine
c. Diazepam
d. Chloramphenicol

14. An example of non-halogenated pure hydrocarbon as the general anaesthetic is:

- a. Enflurane
b. Isoflurane
c. Halothane
d. Cyclopropane

15. Drugs acting as monoamine oxidase inhibitors are:

- a. Anaesthetics
b. Anti-depressants
c. Cardiovascular
d. Anti-neoplastic

16. Which statement is true for the following?

- a. Local anaesthetics depress the peripheral and central nervous systems.
b. Local anaesthetics enhance the loss of consciousness.
c. Local anaesthetics block local nerve conduction only.
d. All of the above are true.

17. Serotonin is a monoamine neurotransmitter, its biosynthesis occurs from:

- a. L-Tryptophan
b. L-Tyrosine
c. L-Glycine
d. L-Leucine

18. Nitrogen-mustard drugs are used as:

- a. Anti-depressants
b. Anti-neoplastic
c. Cardiovascular
d. Anaesthetics

19. Oxyphenol is a drug of:

- a. Anti-depressants
b. Anti-neoplastic
c. Cardiovascular
d. Anaesthetics

20. Monoamine neurotransmitter, serotonin is metabolized to:

- a.
- b.
- c.
- d.

[PART-B :Descriptive]

Time: 2 hrs. 40min.

Marks: 50

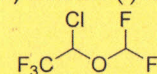
[Answer question no.1 & any four (4) from the rest]

1. a) What is hepatotoxicity? Explain hepatotoxicity of Halothane. 2.5
b) What do you mean by β -lactam antibiotics and β -lactamase inhibitors? Explain with examples. 2.5
c) Discuss about Rate theory of drug action. 2.5
d) Give synthesis of dapsone. It is effective as an anti-leprotic drug. What is its mechanism of action? 2.5

2. a) Explain the SAR and mode of action of penicillin. 4
b) How Penicillin G can be synthesized from potassium phthalate? 3
c) Describe the chemistry of streptomycin. 3

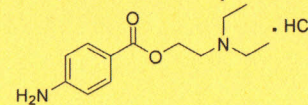
3. a) Explain the properties of tetracyclins. 3
b) How tetracyclins can form epitetracyclin? 2
c) Explain the mode of action and synthesis of chloramphenicol. 5

4. a) Discuss (i) the synthesis and (ii) chiral resolution of racemic isoflurane. 2.5*2=5



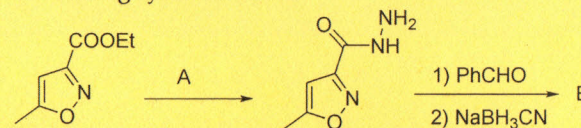
Racemic Isoflurane

- b) Discuss the general mechanism of action of local anaesthetics. Give an example of local anaesthetics with structure having amide functionalities. Write down the synthetic route of Procaine hydrochloride. 2+1+2=5



Procaine hydrochloride

5. a) Discuss the role of antidepressant drugs. Give an example and structure of a monoamine neurotransmitter. Identify the 'A' and 'B' in the following synthetic route. 2+1+2=5



- b) What do you understand by drug metabolism? What is its importance? 5

6. a) Write down the synthetic route of a nitrogen mustard which is used in chemotherapy and discuss its reaction mechanism in DNA modification. Give an example with structure of uracil based antineoplastic drug. 5+1=6