

Q.1 X-Ray crystallography is a powerful tool for structure determination of a new compound.
Why do we still bother with other spectroscopic methods?

Q.2 Explain the functions of Parasympathetics nervous systems.

Q.3 Explain the mode of action of antipyretics.

Q.4 What do you mean by therapeutic index.

Q.5 Combinatorial chemistry has failed to give a good number of lead or drug molecules, explain.

Q.6 What is the side effect of NSAIDs.

Q.7 What is the significance of high throughput screening in medicinal chemistry?

Q.8 Propose mechanism for the formation of 4-nitrophenyl diazonium chloride from 4-nitroaniline.

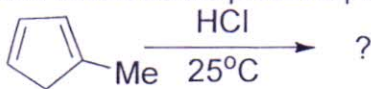
Q.9 Give IUPAC name to the dicarbonyl compound which leads to 2,3-dimethylcyclohex-2-en-1-one upon intramolecular aldol condensation.

Q.10 Why second line drugs are important in the treatment of tuberculosis?

- Which of the following criteria suit best to an ideal antacid?
(i) The antacid should be absorbable orally & should buffer in pH range of 4 -6
(ii) The antacid should exert its effect rapidly and should not cause a large evolution of gas.
(iii) The antacid should not be a laxative or should not cause constipation.
(iv) The antacid should react with the gastric acid and should inhibit pepsin.
(a) i, ii & iii (c) ii & iii
(b) ii, iii & iv (d) ii & iv
- Which of the following statements is true?
(a) Drugs and drug targets generally have similar molecular weights.
(b) Drugs are generally smaller than drug targets.
(c) Drugs are generally larger than drug targets.
(d) There is no general rule regarding the relative size of drugs and their targets.
- Combinatorial and parallel synthesis can be useful at various stages of the drug design process. Which of the following is not such a stage?
(a) Finding a lead compound
(b) Optimizing a lead compound
(c) Structure-activity relationships of the lead compound
(d) Structure determination of the lead compound
- Which of the following factors is responsible for enhancing compounds specificity and efficacy as the drug?
(a) structural rigidity and chirality (c) higher number of aromatic moieties
(b) higher degree of saturation in the molecule (d) all of the above factors
- The biological activity of a targeted drug is dependent on _____.
(a) its physicochemical characteristics
(b) nature and type of functional groups present in it
(c) spatial arrangement of functional moieties
(d) all of the above
- Structurally specific drugs exhibit _____.
(a) biological actions directly related to thermodynamic activity
(b) biological actions independent on thermodynamic activity
(c) minor changes in biological actions upon slight modification in chemical structure
(d) thermodynamic activity in the range of 0.01 to 1
- Which of the following metals is required for porphyrin enzyme?
(a) Zinc (b) Cobalt (c) Iron (d) Copper
- The discovery of sulphanilamide is reported through the metabolic studies of _____.
(a) cyclosporine (b) lovastatin (c) streptomycin (d) prontosil
- Which of the following drugs is discovered without a lead molecule?
(a) Penicillin (c) Librium
(b) Sulphacetamide (d) both of the above (a) and (b)
- Mixture of streptomycin and isoniazid exhibit potential antibacterial and tuberculostatic activity because of _____.
(a) molecular hybridization (c) cyclization of hydrocarbon chain
(b) decyclization of ring structure (d) all of the above reasons
- Which of the following statements can best describe structure-activity relationships?
(a) Study of the structural features of a drug important to its biological activity.
(b) Study of the physicochemical properties important to the absorption of a drug into human body.
(c) Study of functional groups important to the chemical reactivity of the drug.
(d) Study of the structural features of a drug important to its chemical stability.

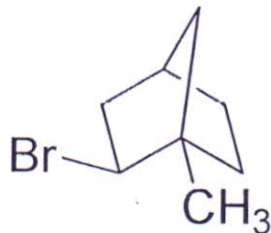
12. Which of the following are the ideal properties of a pro-drug?
1. Drug and carrier linkage must be cleared in vitro.
 2. It should have intrinsic pharmacological activity.
 3. It should rapidly get transformed into the active form when desired.
 4. The metabolic fragments should be non-toxic.
- (a) All of the above (c) 1, 2 and 4
(b) 1, 3 and 4 (d) 3 and 4
13. Which of the following statements is **FALSE** with respect to NMR screening to detect drug-target interactions?
- (a) The procedure relies on drugs having shorter relaxation times than the targets.
 - (b) The procedure can be used on mixtures of compounds.
 - (c) The method can detect weak binding.
 - (d) The method can identify small molecules binding to different regions of the same binding site.
14. Which of the following is a chemoselective oxidizing agent for $C=C$ double bond?
- (a) H_2CrO_4 (c) OsO_4
 - (b) $KMnO_4$ (d) $Pb(OAc)_4$
15. Which is the most dangerous plasmodium causing microcirculatory arrest in human body?
- (a) *P. Vivax* (c) *P. Falciparum*
 - (b) *P. Ovale* (d) *P. Malariae*
16. Which of the following ring systems can be used as the bioisosteric replacement for benzene ring in drug design?
- (a) Thiophene (c) Cyclohexa-1,3-diene
 - (b) Pyrrolidine (d) Imidazoline
17. Which of the following is NOT semi synthetic penicillin?
- (a) Amoxycillin (c) Ampicillin
 - (b) Penicillin (d) Oxacillin
18. What is the term used for small molecules that bind to different regions of a binding site?
- (a) epimers (c) isomers
 - (b) isotopes (d) epitopes
19. Which of the following factors are responsible for development of bacterial resistance in antimicrobial agents?
- (a) The necessary dose or concentration not reached to the target.
 - (b) The chemotherapeutic agent is inactive.
 - (c) The target is altered.
 - (d) All of the above
20. Petroleum ether is _____.
- (a) a mixture of alkanes (c) methoxy ethane
 - (b) ethoxy ethane (d) methoxy methane
21. A medical condition where there is progressive neurodegenerative disorder with motor defects due to imbalance between dopaminergic receptors is termed as:
- (a) Neurotic disorder (c) Parkinson's disorder
 - (b) Anxiety disorder (d) Alzheimer disease
22. Thymine is found in _____.
- (a) DNA and RNA (c) RNA only
 - (b) DNA only (d) ATP only
23. Which of the following is the general mechanism of action for erythromycin?
- (a) Inhibition of a metabolic enzyme
 - (b) Inhibition of cell wall synthesis
 - (c) Disruption of protein synthesis
 - (d) Inhibition of nucleic acid transcription and replication

24. Chemotherapeutic index is
 (a) minimum effective dose/maximum tolerable dose
 (b) maximum effective dose/minimum tolerable dose
 (c) LD_{50}/ED_{50}
 (d) ED_{50}/LD_{50}
25. Gatifloxacin is a _____ based anti bacterial.
 (a) quinoline (c) 4-oxo-1,8-naphthyridine
 (b) penicillanic acid (d) quinolone
26. Which of the following statements are correct?:
A : When used as granulating agent PEG 600 improves dissolution rate of the dosage form as it forms complex with better solubility.
B : Na-CMC when used as a binder affects dissolution rate of the dosage form as it is converted to less soluble acid form at low pH of gastric fluid.
- (a) Both **A** and **B** are correct (c) **A** is incorrect and **B** is correct
 (b) **A** is correct and **B** is incorrect (d) Both **A** and **B** are incorrect.
27. Which of the following is an effective peripheral vasodilator administered by intravenous infusion in case of hypertensive emergency?
 (a) Atenolol (c) Amlodipine
 (b) Sodium nitroprusside (d) Ethacrynic acid
28. What should be the probable product in the following reaction?



- (a) (b)
- (c) (d)

29. A mixture of enantiomers of a drug molecule [+A and -A] showed observed specific rotation of -60° . The specific rotation of pure dextro isomer is 100° . What is the % amount of isomer +A present in the given mixture?
 (a) 20% (b) 80% (c) 60% (d) 40%
30. Which of the following hormones is responsible for conversion of glycogen to glucose?
 (a) aldosterone (c) insulin
 (b) glucagon (d) erythropoietin
31. What is the correct absolute configuration of the following molecules?



- (a) 1R,2S,4R (c) 1S,2R,4S
 (b) 1R,2R,4S (d) 1S,2S,4R
32. Supraglottitis is the diseases related with _____
 (a) lower respiratory track (c) atrium
 (b) upper respiratory track (d) sinoatrial node

33. Biochemical mechanism for depression is _____.
- (a) increased dopamine activity in mesolimbic system
 - (b) decreased dopamine activity in mesolimbic system
 - (c) increase in noradrenaline and 5-HT transmission
 - (d) decrease in 5-HT and noradrenaline in brain
34. Morphine and pethidine are _____.
- (a) antipsychotic agents
 - (b) highly potent narcotics
 - (c) anticonvulsants
 - (d) mild narcotics
35. Propofol is _____.
- (a) volatile anaesthetic
 - (b) intravenous anaesthetic
 - (c) fixed anaesthetic
 - (d) basal anaesthetic
36. The sailors in the search of America who joined Columbus suffered from scurvy because
- (a) they had excess of sea-food.
 - (b) they missed ascorbic acid in their diet.
 - (c) resveratrole in red wine affected them badly.
 - (d) hygienic conditions in ship were very inferior.
37. Cromolyn sodium is used in the treatment of _____.
- (a) diabetes
 - (b) angina pectoris
 - (c) psoriasis
 - (d) hyperthyroidism
38. A drug used against pathological hardening of tissues is _____.
- (a) cardiotonic agent
 - (b) antianginal
 - (c) vasodilator
 - (d) sclerosing agent
39. Aniline on nitration with HNO_3 and H_2SO_4 in a ratio of 1:3 will yield ortho-, meta- and para- isomers in the ratio of _____.
- (a) 1:33:66
 - (b) 1:66:33
 - (c) 33:1:66
 - (d) 66:1:33
40. Infra-red spectrum of p-hydroxyacetanilide shows three typical absorptions at 3330 cm^{-1} (sharp band), $3300\text{-}3000\text{ cm}^{-1}$ (broad band) and 2920 cm^{-1} (sharp band). These bands are best assigned as.....
- (a) Hydrogen bonded -OH stretching, N-H stretching and C-H stretching
 - (b) N-H stretching, Hydrogen bonded -OH stretching and C-H stretching
 - (c) Non- Hydrogen bonded -OH stretching, N-H stretching and C-H stretching
 - (d) Non- Hydrogen bonded -OH stretching, Hydrogen bonded -OH stretching and N-H stretching

Sardar Patel University, Ph.D. Entrance Examination- August 8, 2014,
Pharmaceutical Chemistry

Section-III

Marks 25

1. Give types and functions of adrenergic receptors.

2. Give synthetic routes for conversion of acetone to pinacol.

3. Give at least two adverse effects of cephalosporins.

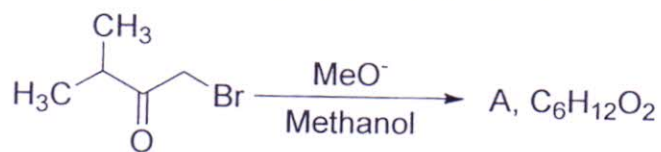
4. Write note on: Thromboxane and Leucotrienes.

5. Suggest correct structure for product A interpreting the spectroscopic data given below.

IR, ν : 1745 cm^{-1} very prominent band

^{13}C NMR, δ : 179, 52, 39, 27 ppm

^1H NMR, δ : 1.2 (s), 3.7 (s)



ANSWER THE FOLLOWING:

1. Explain the theory of Neuromodulation and mechanism of Nociceptive pathways.
2. Explain pharmacological actions of morphine analogues.
3. Give synthesis and medicinal uses of Analgin and Meprobamate.
4. Give the retro-synthesis and synthesis of Ibuprofen.
5. Give mechanism for the following reaction and explain.

