



KENYATTA UNIVERSITY
EXAMINATION FOR THE DEGREE OF BACHELOR OF PHARMACY
BPharm Level III

PPC 330: PHARMACEUTICAL CHEMISTRY III

2ND SEMESTER 2022/2023

TIME: 3 HOURS

INSTRUCTIONS:

1. The paper consists of three sections; A, B and C
2. Answer all questions
3. Answer sections A, B and C in separate booklets

SECTION A: RADIOPHARMACY

Part 1: Multiple Choice Questions

(10 marks)

1. If an assay of a vial containing I-131 shows 50 mCi present on May 2, approximately what will the assay show on May 18?
 - A. 25 mCi
 - B. 12.5 mCi
 - C. 40 mCi
 - D. 3.1 mCi
2. The physical half-life of a radionuclide is the time it takes:
 - A. for half of the substance to leave the body
 - B. for the nuclide to decay to one half of the original activity
 - C. for the kit to become half expired
 - D. for half of the substance to be metabolized
3. The shelf life of most ^{99m}Tc-labeled radiopharmaceuticals is:
 - A. 4-6 hours
 - B. 6-8 hours
 - C. 10-12 hours
 - D. 16-18 hours

4. Which of the following ^{99m}Tc -labeled agents is the preferred radiopharmaceutical for demonstrating intermittent gastrointestinal bleeding?
- Human serum albumin
 - Red blood cells
 - Lidofenin
 - Sulfur colloid
5. Which of the following produces a positron?
- $^{68}_{31}\text{Ga} + {}^0_{-1}e \rightarrow {}^{68}_{30}\text{Zn}$
 - $^{212}_{87}\text{Fr} \rightarrow {}^4_2\text{He} + {}^{208}_{85}\text{At}$
 - $^{62}_{39}\text{Cu} \rightarrow {}^0_1e + {}^{62}_{28}\text{Ni}$
 - $^{129}_{51}\text{Sb} \rightarrow {}^0_{-1}e + {}^{129}_{52}\text{Te}$
6. A beta particle is equivalent to an electron.
- True
 - False
7. The atomic number is not changed by which type of radioactive decay?
- Beta
 - Gamma
 - Alpha
 - The atomic number is affected by all forms of radioactive decay
8. _____ is when two atomic nuclei combine.
- Chain reaction
 - Nuclear fusion
 - Nuclear decay
 - Nuclear fission
9. Which statement is true for all three types of radioactive emission?
- They are deflected by electric fields
 - They ionize gases
 - They are completely absorbed by a thin aluminum sheet
 - They emit light
10. Which of the statements is correct?
- Geiger-Muller and Geiger counter are used to detect radioactivity and measure radiation
 - Ionization chamber is used to detect radioactivity and identify radiation
 - Cloud and bubble chambers are used detect radioactivity and identify radiation

D. Electroscope is used to detect radiation only.

Part 2: Short Answer Questions

1. Discuss nuclear stability and its relationship to radioactive decay. (4 marks)
2. Describe the properties of α , β and γ radiations. (6 marks)
3. Describe the properties of PET radiopharmaceuticals. (5 marks)
4. Differentiate between radionuclide purity, radiochemical purity, and chemical impurities. (5 marks)

Part 3: Essay Question

1. Explain five radio-iodination methods. (10 marks)

SECTION B: DRUG METABOLISM

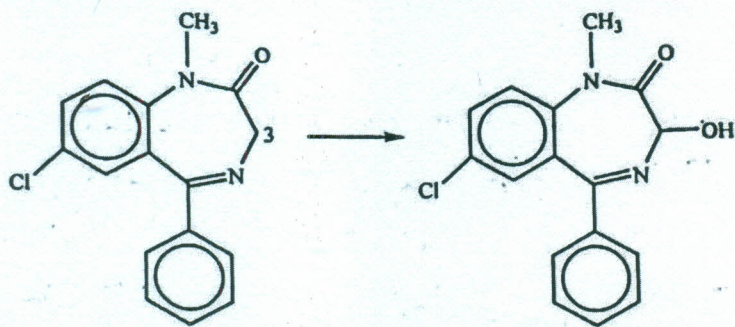
Part 1: Multiple Choice Questions

(10 marks)

1. Phase 1 reactions are also known as synthetic reactions.
A. True
B. False
2. The following are phase I reactions except _____
A. Oxidative reactions
B. Hydrolytic reactions
C. Reductive reactions
D. Sulphide reactions
3. Which of the following enzymes is not involved in catalyzing Phase I metabolic reaction?
A. Flavin-containing monooxygenases
B. Oxidation of alkyl groups
C. Glucuronyl transferase
D. Esterases
4. What is the major end product of oxidation of aromatic carbon atoms?
A. Arenols
B. Catechol
C. Glutathione
D. Arene oxide
5. Which of the following groups is least susceptible to cytochrome P450 enzymes?
A. Terminal methyl groups
B. Epoxide group
C. Benzylic carbon atoms
D. Quaternary carbon atoms

6. Diazepam gets metabolised into hydroxydiazepam, which is an example of-
 - A. Oxidation at allylic carbon atom
 - B. Oxidation at the carbon alpha to carbonyl and imino group
 - C. Oxidation at benzylic carbon atom
 - D. Aromatic and Side chain Hydroxylation
7. Which enzyme is of the utmost importance for the 2nd step in the formation of Glucuronide?
 - A. Esterase
 - B. Amidases
 - C. Transferase
 - D. UDP-glucuronyl transferase
8. What is enzyme induction?
 - A. The phenomenon of increased drug metabolizing ability of enzymes by drugs and chemicals
 - B. The phenomenon of increasing drug bioavailability drugs and chemicals
 - C. The phenomenon of increasing drug distribution drugs and chemicals
 - D. The phenomenon of increasing drug concentration for a particular tissue by drugs and chemicals
9. Oxidation of propranolol results in
 - A. 2-hydroxy propranolol
 - B. 3-hydroxy propranolol
 - C. 4-hydroxy propranolol
 - D. 2,2-Dihydroxy propranolol

10. The reaction given below is the example of _____



- A. Oxidation of carbon atom alpha to carbonyl and imine
- B. Oxidation of aliphatic carbon
- C. Oxidation of benzylic carbon
- D. Oxidation of allylic carbon

Part 2: Short Answer Questions

1. Explain why glucuronic conjugates of drugs are highly water soluble. (2 marks)
2. Describe the difference between the following pairs of terms as used in drug metabolism:
 - (a). Carrier prodrugs and bioprecursor prodrugs. (2 marks)
 - (b). Bipartate prodrugs and tripartate prodrugs. (2 marks)
3. Explain the significance of the following aspects in drug metabolism
 - (a). Genetic variation. (3 marks)
 - (b). Stereochemistry of drugs. (3 marks)
 - (c). Enzyme induction. (3 marks)
4. Classify phase I oxidation reactions based on the type of enzyme involved in the catalysis. (5 marks)

Part 3: Essay Question

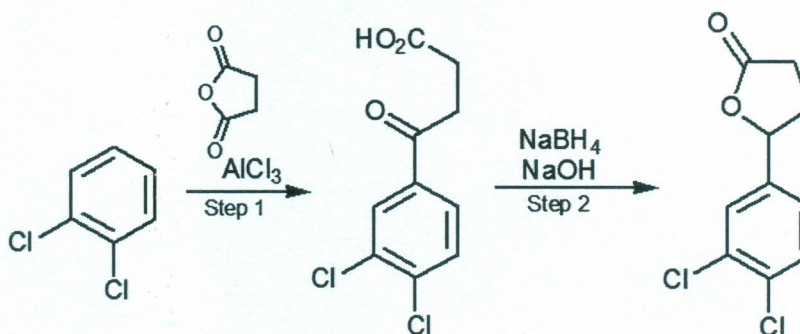
1. Discuss five pharmaceutical applications of carrier prodrugs. (10 marks)

SECTION C: SYNTHETIC METHODS IN PHARMACY

Part 1: Multiple Choice Questions

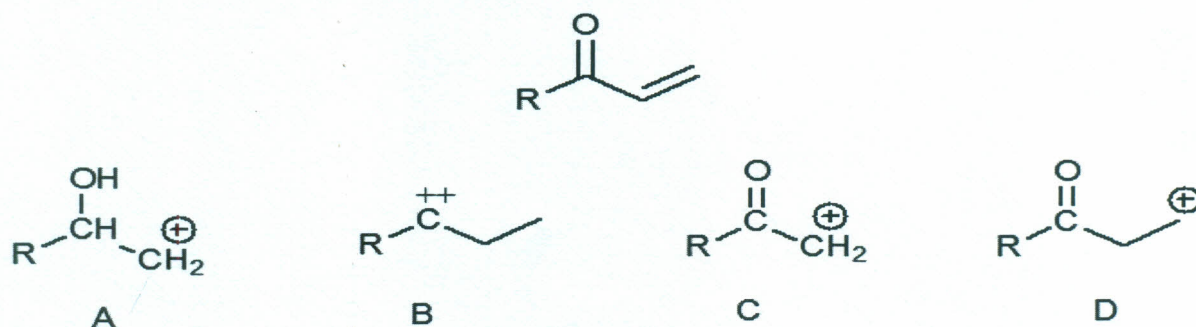
(10 marks)

1. What sort of selectivity is observed in step 1 of the following synthesis?



- A. Chemoselectivity
 - B. Regioselectivity
 - C. Stereospecificity
 - D. Enantioselectivity
2. Which of the following statements best describes retrosynthesis?
 - A. The reaction conditions required to convert the product of a reaction back to the original starting materials
 - B. A strategy used to design a synthesis of a target molecule by working back from the target to simple starting materials

- C. The design of a synthetic scheme using cheap, traditional reagents, rather than expensive modern reagents
- D. The design of reaction conditions such that an equilibrium reaction is pushed towards the products rather than the starting materials.
3. Which of the following statements best describes a disconnection in retrosynthesis?
- A. Involves a theoretical disconnection of a bond in a target structure in order to identify simpler structures that could be linked through the formation of that bond
- B. Involves identifying stages where a bond is split in the corresponding synthesis.
- C. Identifies retrosynthetic stages which would not be feasible in the corresponding synthesis
- D. Describes the reaction conditions required to split a target structure into simpler molecules.
4. Which of the following statements best describes a synthon?
- A. A synthetic reagent used in a reaction
- B. A key intermediate in a reaction sequence
- C. A transition state involved in a reaction mechanism
- D. A hypothetical structure that would result in a given reaction if it existed
5. Which of the synthons (A-D) represents the following reagent?



6. For the structure shown in figure 1, which of the disconnections (a-d) is likely to be the best

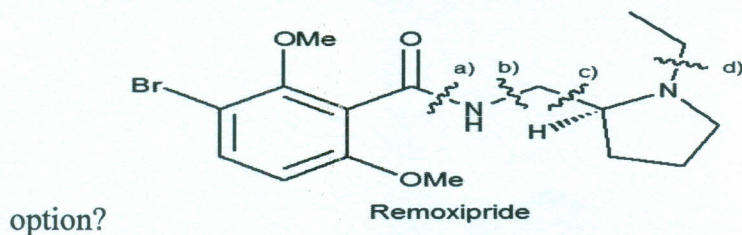


Figure 1

- A. Disconnection **a**
 - B. Disconnection **b**
 - C. Disconnection **c**
 - D. Disconnection **d**
7. Which of the following definitions of an asymmetric reaction is the most accurate?
- A. Creates a new chiral centre in the product
 - B. Involves a chiral reagent
 - C. Creates a new chiral centre with selectivity for one enantiomer/diastereoisomer over another.
 - D. Is carried out on an asymmetric starting material

Use figure 2 to answer questions 8, 9 and 10.

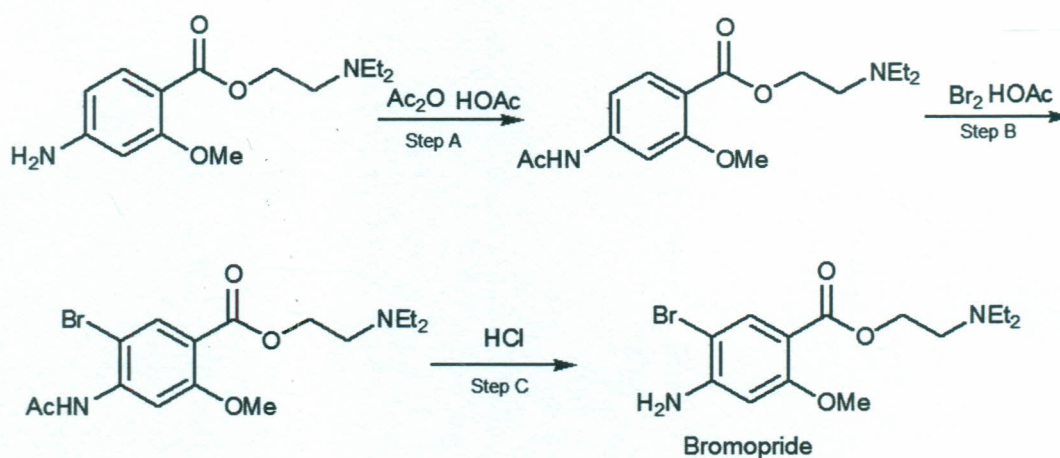


Figure 2

8. Identify the chemical reaction taking place in step A of the synthetic pathway
- A. Activation
 - B. Protection
 - C. Deprotection
 - D. Functionalisation
9. Step B is a reaction.
- A. Coupling
 - B. Protection
 - C. Deprotection
 - D. Functionalisation
10. Name the chemical reaction in step C of the synthetic pathway
- A. Coupling
 - B. Activation
 - C. Protection
 - D. Deprotection

Part 2: Short Answer Questions

1. Explain the importance of protecting groups in organic synthesis. (3 marks)
2. Identify one suitable protecting group for each of the following organic compounds. (3 marks)
 - (a). Amines
 - (b). Ketones
 - (c). Alcohols
3.
 - (a). Outline four factors to be considered when planning a synthetic route for a given molecule. (4 marks)
 - (b). Determine the overall yield of a linear synthesis involving five steps, two of which gave yields of 75%, and the other three yields of 92%. (3 marks)
4. Outline three approaches used in chiral synthesis of drugs. (3 marks)
5. Describe four factors that influence the stereochemistry of a chemical reaction. (4 marks)

Part 3: Essay Question

1. Given that cinnamyl acetate **X** (Figure 3) could be synthesized starting from compound **Y** and other reagents;

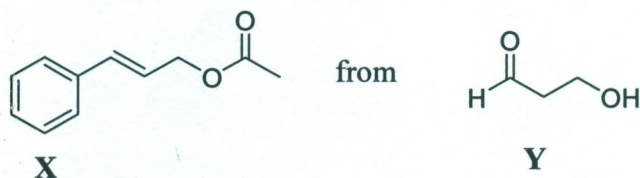


Figure 3:

- (a). Suggest a possible disconnection sequence for the synthesis of cinnamyl acetate **X**. (4 marks)
- (b). Write the synthetic pathway according to your disconnection sequence. (6 marks)