



KENYATTA UNIVERSITY
EXAMINATION FOR THE DEGREE OF BACHELOR OF PHARMACY
PPC 510: PHARMACEUTICAL CHEMISTRY V

1ST 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: CENTRAL NERVOUS SYSTEM AGENTS

1. With regard to opioid analgesics,
 - (a) Outline six structural features that influence their biological action. (6 marks)
 - (b) Explain the serendipitous discovery of the opioid pharmacophore with a description of its structural features (5 marks)
2. (a) Name a prodrug and two enzyme inhibitors used in treatment of Parkinson's disease (3 marks).
- (b) The general structure of a class of CNS agents is shown in figure 1.

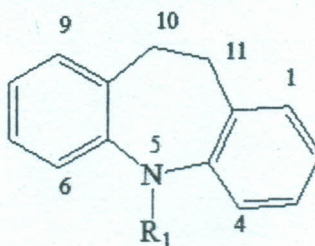


Fig 1: CNS Agent

- (i). Identify the chemical class and name the lead compound of the drugs represented. (2 marks)
- (ii). Discuss six SARs of the agents (6 marks)

(c) Describe four subclasses of drugs whose general structure is shown figure 2

(8 marks)

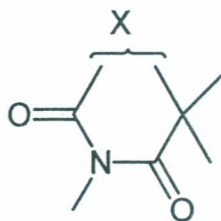


Fig. 2

3. (a) Identify the class of drugs represented by figure 3.

(1 mark)

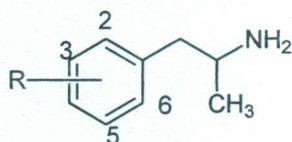


Fig 3:

(b) . Identify two drug examples in the class identified in 3(a).

(1 mark)

(c) Illustrate two metabolic reactions undergone by drugs in this class. (2 marks)

(d). Outline six SARs for this class of drugs.

(6 marks)

SECTION B: AUTONOMIC DRUGS

1. Explain the significance of stereochemistry in design of autonomic drugs. (4 marks)

2. Explain the following:

(a). Solutions of adrenaline darken on exposure to air (3 marks)

(b). *Para*-substituted aryoxypropanolamines are selective β_1 -blockers (3 marks)

(c). Atracurium is deactivated through a self-destructive mechanism (3 marks)

3. Figure 1 shows the structure of arecoline.

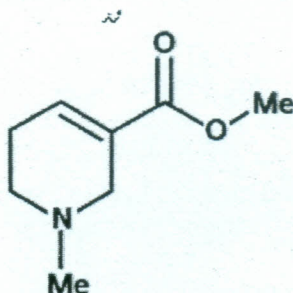


Figure 2: Structure of arecoline

- (a). Identify two structural similarities and one structural difference between arecoline and acetylcholine. (3 marks)
- (b). Explain why arecoline has a very short duration of action (4 marks)
- (c). Draw the structures of two analogues of arecoline that have a longer duration of action. Explain your answer. (4 marks)
4. Describe the likely effect of α -substitution on the activity of adrenergic agents. Explain your answer. (The structure of adrenergic agents is shown in Figure 2). (4 marks)

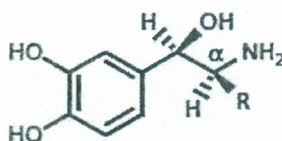


Figure 3

5. Using a relevant example in each case, describe three SARs of the following.
- (a). Direct adrenergic agonists (6 marks)
- (b). Anticholinesterases (6 marks)

SECTION C: CARDIOVASCULAR DRUGS

1. List two sugars that can be found in cardiac glycosides and their corresponding aglycones and plant source (4 marks)
2. (i) State the site and mode of action of loop diuretics (6 marks)
- (ii) Discuss the structure activity relationship (SAR) of thiazide diuretics whose basic structure is a benzothiadiazine ring (Fig 1) (8 marks)

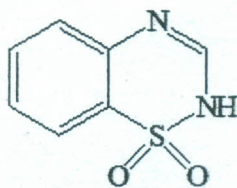


Fig 1: Benzothiadiazine ring

3. Regarding propranolol (Fig 2):
- (a) Outline its synthesis (5 marks)

(b) Explain its mechanism of action.

(3 marks)

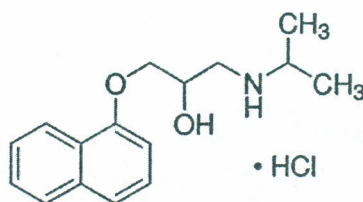


Fig 2: Propranolol

4. List 4 antiplatelet drugs and explain the mechanism of action of one of them.
(6 marks)
5. Illustrate with a chemical equation how carbonic anhydrase inhibitors exert their action.
(4 marks)
6. Identify two antifibrinolytic agents and explain their mechanism of action. (4 marks)