



W1-2-60-1-6

JOMO KENYATTA UNIVERSITY OF AGRICULTURE AND TECHNOLOGY

UNIVERSITY EXAMINATION 2015/2016

BACHELOR OF PHARMACY

FOURTH YEAR, FIRST SEMESTER MAIN EXAMINATION

PHA 2403A: PHARMACEUTICAL CHEMISTRY IVA

DATE: DECEMBER 2016

TIME: THREE HOURS

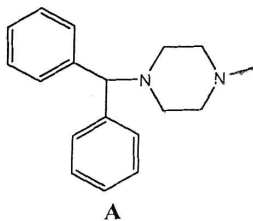
**INSTRUCTIONS:** ANSWER ALL QUESTIONS IN SECTION A (60 MARKS) AND ANY OTHER TWO QUESTIONS FROM SECTION B (40 MARKS).

*ILLUSTRATE YOUR ANSWERS WITH DIAGRAMS/ STRUCTURES WHERE APPRO*  
**SECTION A**

1. Describe the structure activity relationship of drug A.

5 Marks

2

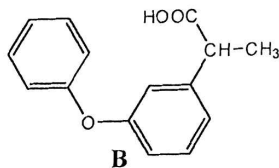


A

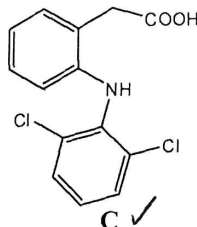
2. Illustrate the metabolism of drugs B and C.

5 Marks

2-5



B



C ✓

3. a) Explain the significance of the two chloro groups in drug C  
b) Classify drug C citing a relevant reason.

2 3 Marks

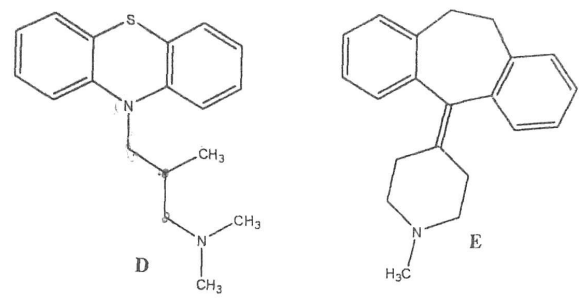
2 2 Marks

4. a) List two disadvantages of prostacyclin as a drug.  
b) Illustrate the structural modification carried out on prostacyclin to produce one pulmonary hypotensive agent.

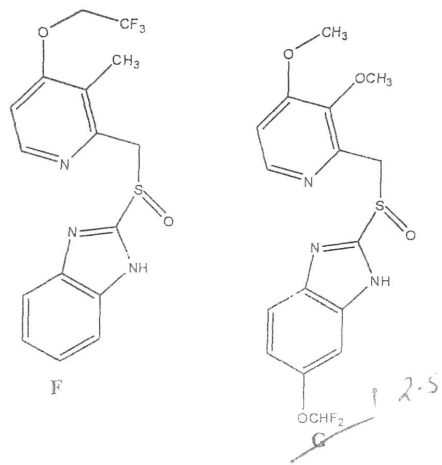
1 1 Mark

4 Marks

5. Compare and contrast the structure activity requirements of drug D and E for H<sub>1</sub> antihistamine activity **5 Marks**



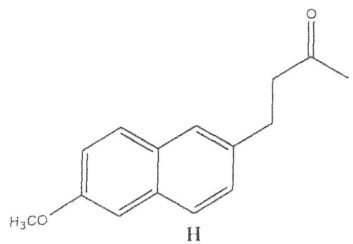
6. Explain the significance of the substituents on the pyridine and benzimidazole rings of drugs F and G.



7. Illustrate the keto-enol tautomerism of the enolic NSAIDs. **5 Marks**

8. a) Describe the metabolism of drug H. **3 Marks**

b) Account for the inactivity of [4-(6-Methoxy-2-naphthyl) pentan-2-one]. **2 Marks**



9. Citing relevant structures, outline the genesis of the H<sub>2</sub> antagonists. **5 Marks**

10. Describe the SAR of the coxibs. **5 Marks**

11. a). Using structural illustrations describe the metabolism of aspirin.

2 3 Mark

b). Describe the laboratory analysis of aspirin.

2 Marks

12 Account for the toxicity of acetaminophen.

5 5 Marks

### SECTION B

13.a) Describe the relevance of the fatty acids phospholipids in the synthesis of the prostanoids of the second series.

10 Marks

b) Using one relevant example explain the structural resemblance between arachidonic acid and the alkanolic acid derivatives.

5Marks

c) Describe the cyclooxygenase binding site.

5 Marks

14. a) Citing relevant examples discuss the structural modification carried out on the terminal nitrogen of the H1 antagonists.

14 Marks

b) Describe the stereochemistry of the alkyl amine histamine antagonists.

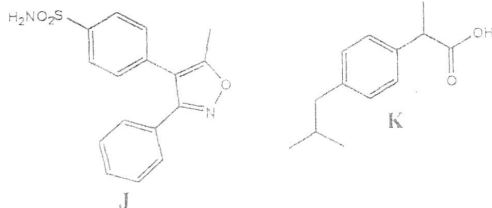
6 Marks

15. a) Classify the aryl and heteroaryl acetic acid derivatives citing relevant structural example for each class.

7 marks

b) Illustrate the metabolism of drugs J and K.

6 Marks



d) Describe the structural features that are common between diclofenac, naproxen and ibuprofen.

7 Marks