W1-2-60-1-6

JOMO KENYATTA UNIVERSITY OF AGRICULTURE AND TECHNOLOGY

UNIVERSITY EXAMINATION 2015/2016

BACHELOR OF PHARMACY

THIRD YEAR, SECOND SEMESTER MAIN EXAMINATION

PHA 2304: PHARMACEUTICAL CHEMISTRY II

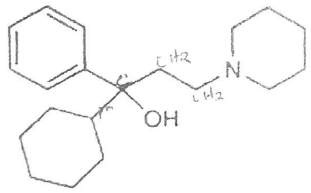
DATE: APRIL 2016 **TIME: THREE HOURS**

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 APPROPRIATE.

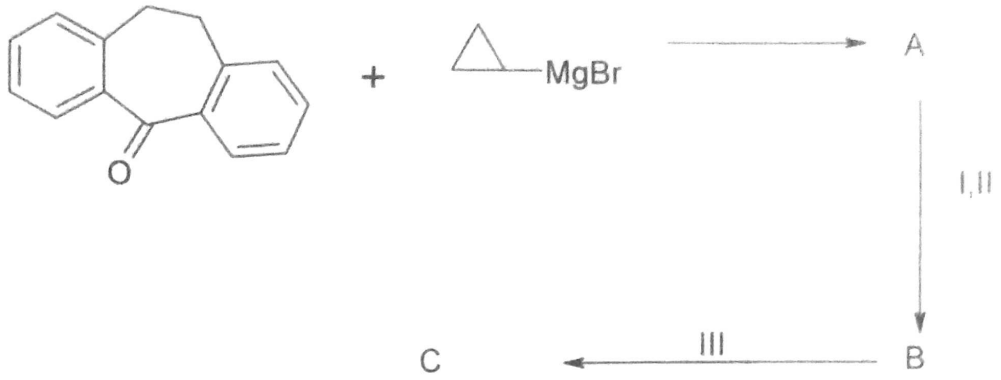
SECTION A: (60 MARKS)

1. The diagram below refers to benzhexol;



Illustrate its synthesis (5 marks)

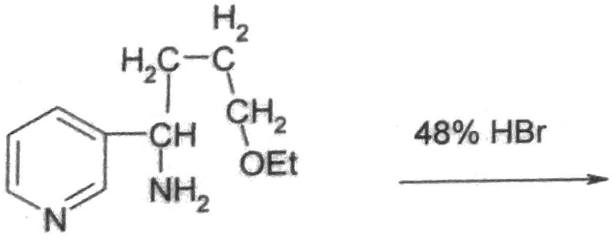
2. Complete each of the following reactions;



Name the reagents I, II, III. Draw the compounds A,B, C.(4 marks)

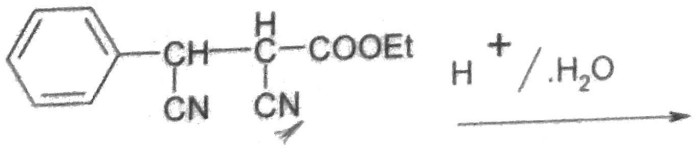
State and name the use of compound C. (1 mark)

3. Complete each of the following reaction.(4 marks)



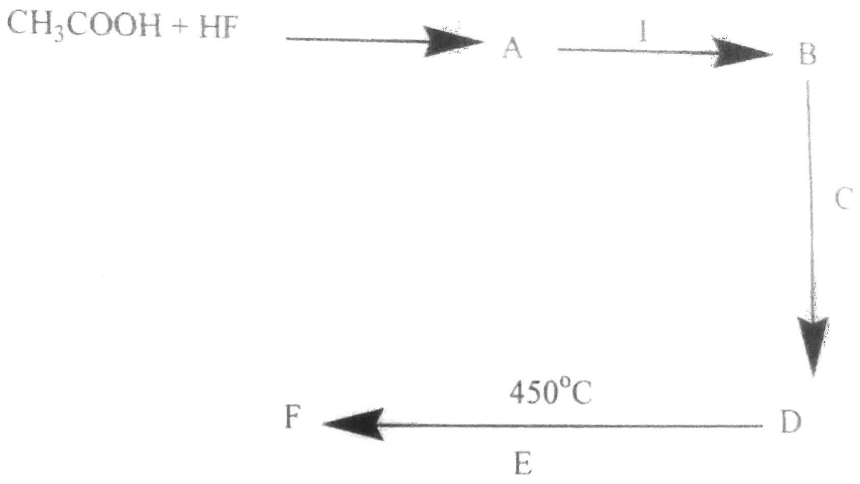
Name the end product and state its pharmacological activity. (1 mark)

4. Complete the following reaction (4 marks)



Name the end product and state its uses (1 mark)

5. Complete the following reactions



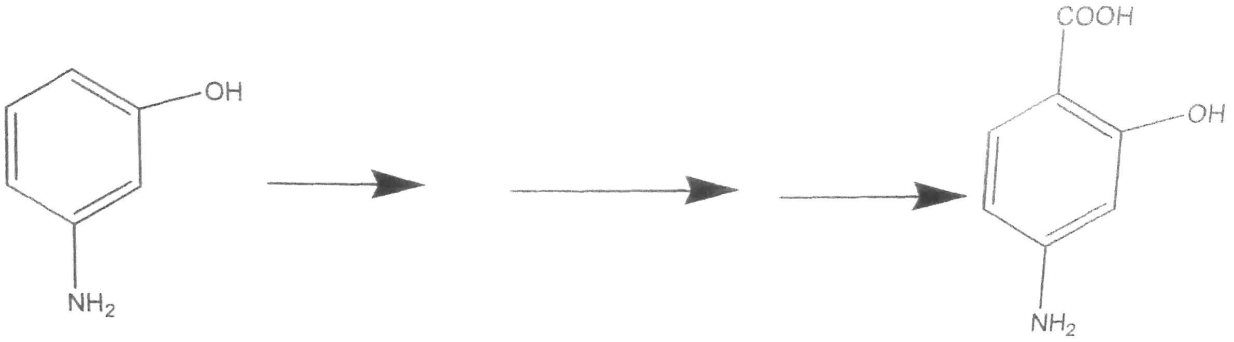
Name and draw the structures of compounds A, B, C, D, E, and F. Name reaction I.

(5 marks)

6. Explain N-oxidation in drug metabolism. (5 marks)
7. Citing 1 example for each describe N and O methylation. (5 marks)
8. Describe the reactive oxygen species. (5marks)
9. Explain using examples the effect of cigarette smoke and dietary substances in drug metabolism. (5 marks)
10. Classify drugs according to their stability and in each class give one example.(5 marks)
11. Explain the term bioisosteric replacement and give an example of its application in drug development. (5 marks)
12. Outline five sources of lead compounds.(5marks)

SECTION B: (40 MARKS): Essay questions. Attempt Two (2) Questions.

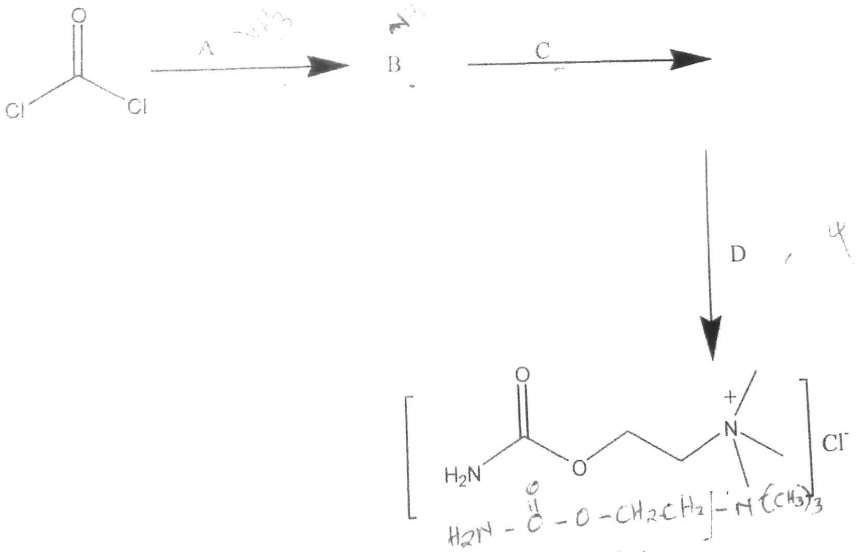
13. a) Starting with 3 aminophenol (m-aminophenol), outline the synthesis of para aminosalicylate. (10 marks)



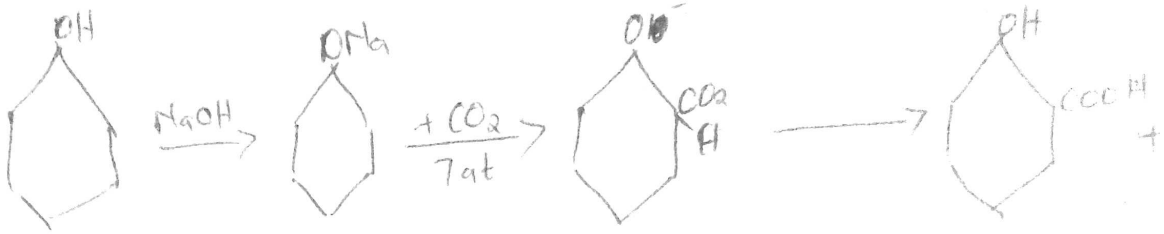
3-Aminophenol

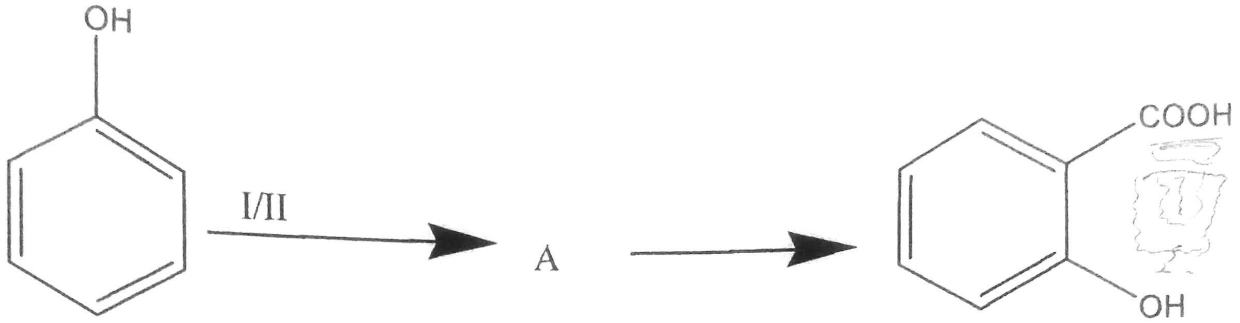
b) With the help of diagrams and chemical reactions illustrate the electrophillic aromatic substitution mechanisms in sulfonation. (10 marks)

14. a) The cholinomimetic carbachol can be synthesized through the following reactions



Name and draw the strucutures A,B,C and D.(10 marks)



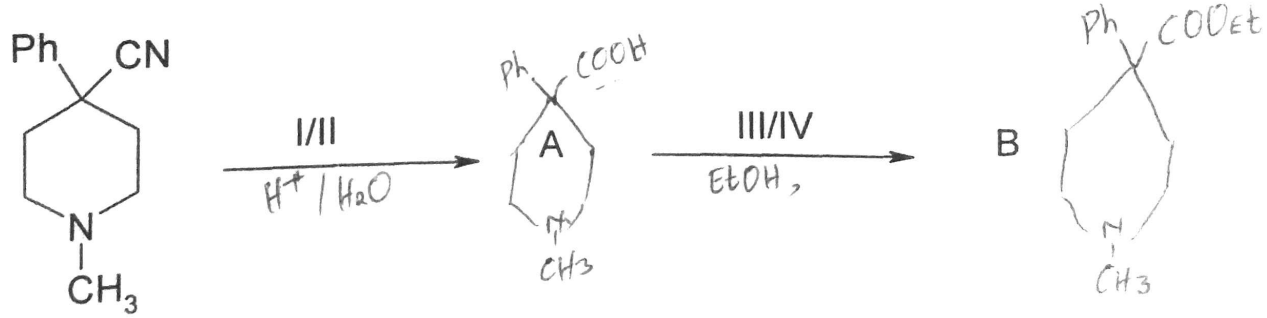


Phenol

Salicyclic Acid

b) Name and draw the reagents I and II and the intermediate A. Name the main impurity which can be present in the synthesis and state how it can be separated from the end product. (5 marks)

c) Complete the following reaction;



Draw the structures of the reagents I, II, III and IV. Draw the structures A and B. Name the compound B and state its uses. (5 marks)

15. Discuss the following phase two reactions;

a) Sulfate conjugation and conjugation of Cyanide (10 marks)

b) Glucuronic acid conjugation (10 marks)