W1-2-60-1-6

JOMO KENYATTA UNIVERSITY OF AGRICULTURE AND TECHNOLOGY

UNIVERSITY EXAMINATION 2016/2017

BACHELOR OF PHARMACY

FOURTH YEAR, SECOND SEMESTER EXAMINATION

PHA 2404 A: PHARMACEUTICAL CHEMISTRY IV A

DATE: MAY 2017 **TIME: THREE HOURS**

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

ILLUSTRATE YOUR ANSWERS WITH DIAGRAMS/ STRUCTURES WHERE APPROPRIATE.

SECTION A:

1. a) Describe the structural changes required to convert the compound below (Fig. 1) to an antipsychotic drug.(4 marks).

   b) Name two classes of drugs that result from the changes (1 mark).

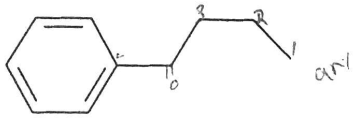


Fig. 1

2. Use the following drug molecule structure (Fig. 2) to answer the questions that follow:

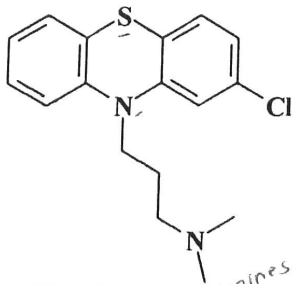


Fig. 2

   a) Give the pharmacological class of the drug molecule and state its application (2marks).

b) Describe three structural features that confer activity for the stated application (3 marks).

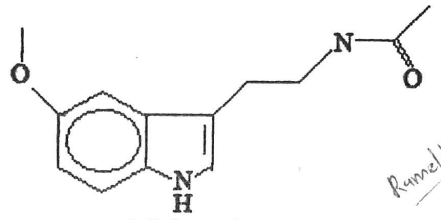
3. Show the metabolic products of the drug in Fig. 2 above (5 marks).

4. Describe the mechanism of action of serotonin receptor modulators (5 marks).

5. a) Name two classes of anxiolytic benzodiazepines and drug examples (4 marks).

   b) Describe the significant structural difference between the two classes in part (a) (1 marks)

6. Give the structural changes made to melatonin to produce useful analogues giving examples of drugs (5 marks).



Melatonin

7. Outline the reagents, conditions and the synthetic process of phenobarbital from benzylchloride (5 marks).

8. a) Give the actual groups represented by X, Y and aryl and the resulting classes of drugs from the pharmacophore in Fig. 3 below. Give one drug example for each (3 marks).

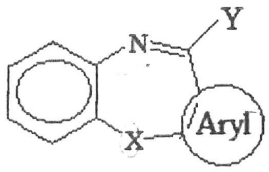


Fig. 3

b) Describe the mechanism of action of the resultant agents (2 marks)

9. a) Name three drug examples of mood stabilizers (3 marks).

b) Clearly illustrate the structural requirements for the antidepressant agents represented by the general structure in Fig. 4 below (6 marks).

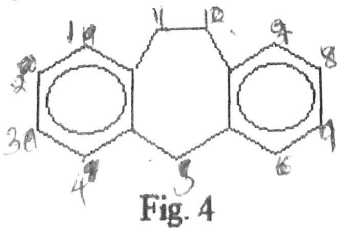


Fig. 4

c) Name the class of compounds in part (b) above (1 mark).

Use Figure 5 to answer questions 10 and 11 that follow

10. a) Complete the diagram to make a ureide structure (2 marks).

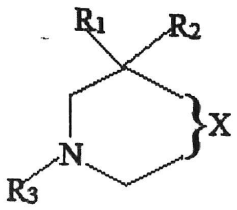


Figure 5

b) Which groups confer antisezure activity when substituted on R_1, R_2 and R_3? (3 marks).

11. a) Give the chemical groups represented by X that give antiepileptic agents. (3.5 marks)

b) Name the resulting classes of drugs (0.5 marks).

b) State one other unrelated class of drugs used as antiepileptic agent (1 mark).

12. Describe the mechanism of action of selegiline (5 marks).

SECTION B: ANSWER ANY TWO QUESTIONS (30 MARKS).

13. a) Using the biosynthetic process of noradrenaline from phenylalanine, explain the mechanism of action of levodopa (10 marks).

b) State the drug(s) jointly used with levodopa, its / their purpose and mechanism of action (5 marks).

c) Outline the metabolic inactivation of levodopa in the body (5 marks).

14. a) State two endogenous opioid peptides and their functions (5 marks).

b) Distinguish between the mechanism of tolerance and habit forming tendency of opioid drugs (5 marks).

c) Outline the structure activity relationship of μ-opioid receptor agonists (10 marks).

15. a) Describe the two theories of mechanism of anesthesia (10 marks).

b) Describe the synthesis of propofol (2,5-diisopropylphenol) from benzene (10 marks).

END