TECHNICAL UNIVERSITY OF MOMBASA

FACULTY OF APPLIED AND HEALTH SCIENCES

DEPARTMENT OF PURE & APPLIED SCIENCES

UNIVERSITY EXAMINATION FOR:

BTAC

ACH 4401: MEDICINAL CHEMISTRY 1

SEMESTER EXAMINATION

SERIES: DECEMBER 2016

TIME: 2 HOURS

DATE: Pick Date Dec 2016

Instructions to Candidates

You should have the following for this examination

-Answer Booklet, examination pass and student ID

This paper consists of **FIVE** questions. Answer question ONE (Compulsory) and any other TWO questions.

Do not write on the question paper.

Question ONE

A. Define the following terms as used in Medicinal Chemistry

i. Efficacy of a drug (1 mk)

ii. Potency (1 mk)

B. The following parameters affect the pharmacokinetic phase of drug action. Discuss each one of them stating the factors that affect each parameter.

I. Absorption (1 mks)

II. Factors affecting the degree of absorption (5 mks)

I. Distribution (1 mks)

II. Factors that influence distribution (2 mks)

III. Metabolism (1 mks)

IV. Elimination (1 mks)

C. State Two most important sites for drug elimination (2 mks)

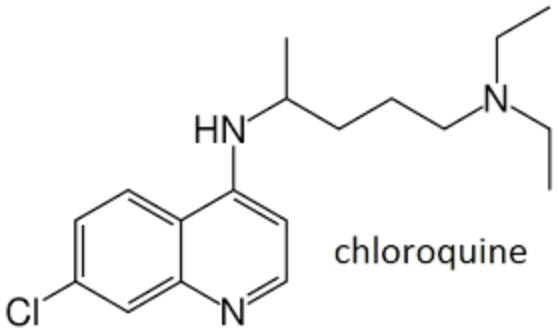
D. Structure Activity Relationship (SAR) is used in drug design.

i. Explain what is meant by SAR studies (1 mks)

ii. Why it is done (2 mks)

iii. What is the difference between QSAR and SAR (3 mks)

E. Study the chlorine drug given below and answer the questions that follow



chloroquine

I. To what class of drugs does chloroquine belong to (1 mk)

II. Discuss the Mechanism of action of chloroquine (5 mks)

III. Discuss the chloroquine resistance (3 mks)

Question TWO

A. Define the following drug properties (3 mks)

i. Agonist

ii. Antagonist

iii. Affinity

B. Describe a typical dose-response curve for a drug, and label the positions on the curve that are used to define drug potency vs efficacy (5 mks)

C. Show an illustration of the relationship between drug concentration and receptor occupancy by drug concerning drug receptor interactions, state what the constant Kd refers to (3 mks)

D. State three disadvantages concerning oral drug administration (3 mks)

E. Prodrug strategies are used to overcome a variety of problems.

i. Define what prodrugs are (1 mk)

ii. State the problems solved by prodrug strategies (5 mks)

Question THREE

A. Define the following terms as used in Medicinal Chemistry (4 mks)

i. Dose

ii. Therapeutic Window (by use of an illustration)

iii. Dosage Regimen

iv. Drug Receptor

B. Drugs can be classification into four main drugs. Name them (4 mks)

C. State the main methods of introducing conformational restrictions to an analogue drug (3 mks)

D. State the mode of action of the following classes of drugs (9 mks)

i. Cephalosporins (2 mks)

ii. Tetracyclines (2 mks)

iii. Quinolones (2 mks)

iv. Sulfonamides (3 mks)

Question FOUR

A. State Factors affecting Route of Selection for a drug administration (3 mks)

B. State the general steps in the design of new drugs (5 mks)

C. State the Hansch equation as used in QSAR studies and answer the questions that follows

I. Identify the physicochemical parameters in the equation (4 mks)

II. Discuss the importance of each parameter (8 mks)

Question FIVE

A. State the properties of a good drug (4 mk)

B. Explain why Stereochemistry is important in drug design (5 mks)

C. Amoxicillin is a beta-lactam antibiotic.

   I. State its mechanism of action (3 mks)

D. From a medicinal chemistry perspective, functional groups provide specific properties and behaviors that allow drug molecules to exert their desired pharmacodynamic and pharmacokinetic effects. For a given drug molecule, State and discuss four of the significant roles played by the functional groups (8 mks)