TECHNICAL UNIVERSITY OF MOMBASA

FACULTY OF APPLIED AND HEALTH SCIENCES

DEPARTMENT OF PURE & APPLIED SCIENCES

UNIVERSITY EXAMINATION FOR:

BTAC 14S

ACH 4401: MEDICINAL CHEMISTRY 1 PAPER 2

SPECIAL/ SUPPLIMENTARY EXAMINATIONS

SERIES: SEPTEMBER 2018

TIME: 2 HOURS

DATE: Pick Date Sep 2018

Instructions to Candidates

You should have the following for this examination

-Answer Booklet, examination pass and student ID

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

Do not write on the question paper.

Question ONE

A. Explain the meaning of the following terms as used in medicinal chemistry

i. Lead compound and Analogue (2 mks)

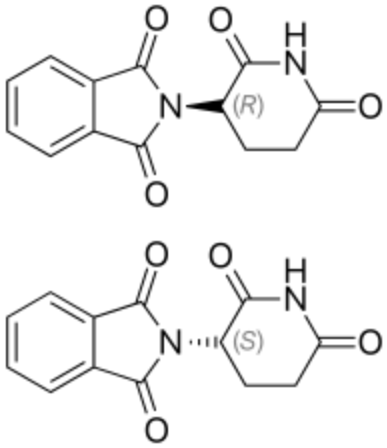
ii. Excipient (1 mk)

iii. Pharmacophore (1 mk)

iv. Bioavailability of a drug (1 mks)

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

C. Explain why stereochemistry is important in drug design basing your argument on the two enantiomers of thalidomide (1a and 1b). (6 mks)



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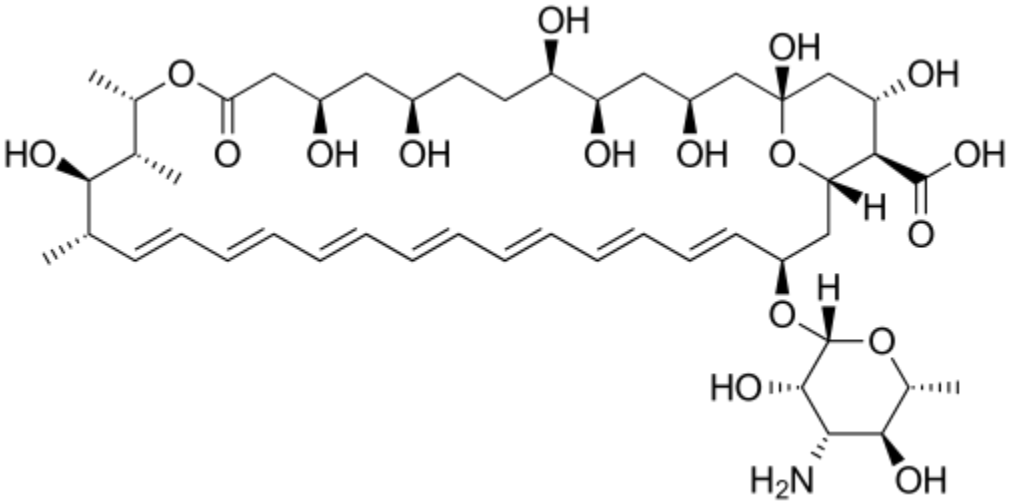
D. Suggest reasons for bacterial resistance to β-lactam drugs (2 mks)

E. Amphotericin B (**2**) is an antifungal agent. Answer the following questions.



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i. To which class of antifungal drugs does it belong to (1 mk)

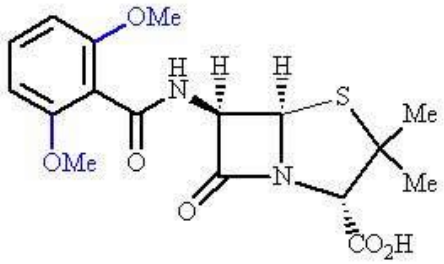
ii. Explain the mechanism of antifungal action of amphotericin B (3 mks)

F. Discuss three Theories of Drug-Receptor Interaction (6 mks)

G. Explain why water solubility is an important factor in drug design (3 mks)

Question TWO

A. Methicillin, (**3)** was an important penicillin that was introduced in the 1960s. Answer the following questions regarding the drug



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i. Name the class of drugs where it belongs (1 mk)

ii. Why was it necessary to introduce the drug in the market (1 mks)

iii. Identify the crucial feature of penicillin that is involved in its mechanism of action (1 mks)

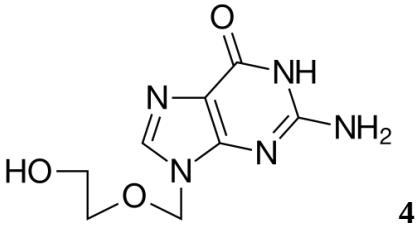
iv. Describe the mode of action of Methicillin and its specifity in action (5 mks)

B. Describe four different Strategies used in synthesizing analogues (8 mks)

C. State four factors that influence the Bioavailability of a drug in the body (4 mks)

Question THREE

A. Acyclovir (**4**) is an antiviral drug which is an analogue of guanosine nucleotide (**5**)



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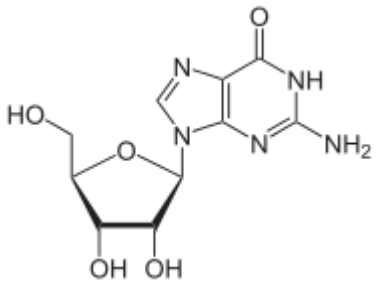

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i. Name the target for the drug (1 mk)

ii. Describe the structural differences between guanosine nucleotide and its analogue Acyclovir (2 mks)

iii. The drug acyclovir is a prodrug. Define what the term prodrug means (1 mk)

iv. Describe the activation of acyclovir to the active form in the body (3 mks)

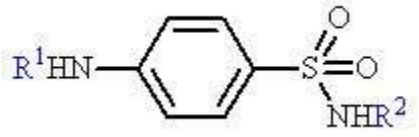
v. Suggest how activated acyclovir acts as an antiviral drug (5 mks)

B. State four reasons as to why we need new drugs (4 mks)

C. Explain why combination therapy as a treatment of malaria is becoming more common (4 mks)

Question FOUR

A. The following general structure is representative of sulphonamides.



i. To what class of drugs does sulphonamides belong (1 mk)

ii. Discuss the mode of action of sulphonamides (6 mks)

iii. Discuss the SAR of the sulphonamides (8 mks)

B. State the five (5) general factors that need to be considered when designing a drug (5 mks)

Question FIVE

A. Describe the main differences between each of the following:

i. Fungicidal and Fungistatic drugs (2 mks)

ii. agonist and antagonist (2 mks)

iii. Eukaryotic and Prokaryotic cells (2 mks)

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. Tachyphylaxis arises in people for a variety of reasons. State three of these reasons (3 mks)