KENYATTA UNIVERSITY

EXAMINATION FOR THE DEGREE OF BACHELOR OF PHARMACY

(BPharm Level V)

PPC 530: PHARMACEUTICAL CHEMISTRY VII

3RD TRIMESTER 2021/2022 TIME: 3 HOURS

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**INSTRUCTIONS:**

**Instructions:**
1. **This paper consists of two sections; A and B**
2. **Answer all questions**
3. **Answer sections A and B in separate booklets**

## SECTION A: CHEMOTHERAPEUTIC AGENTS I

1. Explain three physicochemical properties of aminoglycosides. (3 marks)

2. Using appropriate drug examples, explain how benzylpenicillin (figure 1) is modified to give semi-synthetic drugs which have:
   (a). Acid stability. (4 marks)
   (b). Broader spectrum of activity. (4 marks)

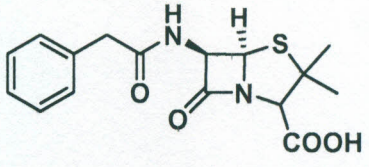


**Figure 1: Chemical structure of benzylpenicillin**

3. With reference to tetracycline chemical structure shown in figure 2:

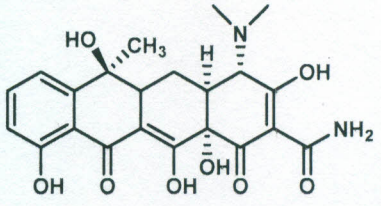


**Figure 2: Chemical structure of tetracycline**

(a). Name and draw the chemical structures of two of its water-soluble prodrugs. (4 marks)

(b). Outline the chemical synthesis of one of the drugs you named in a) above. (3 marks)

(c). Describe the mode of action of tetracycline. (3 marks)

4. Explain the stereoisomerism associated with chloramphenicol (Figure 3). (6 marks)

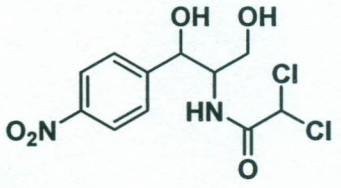


**Figure 3: Chemical structure of chloramphenicol**

5. Outline the mode of action of co-trimoxazole. (6 marks)

6. Explain six structure activity relationships for fluoroquinolones. (6 marks)

7. Discuss rifamycin B (figure 4) under the following:
   (a). Bioactivation into its three analogues that are of higher potency. (6 marks)
   (b). Synthesis of rifampicin from one analogue named in a) above. (5 marks)

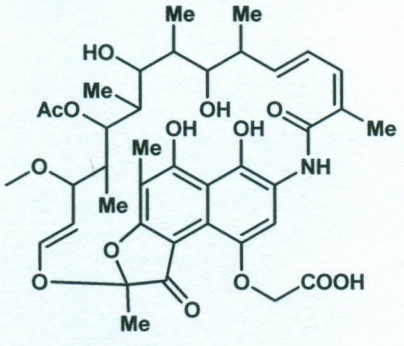


**Figure 4:Chemical structure of rifamycin B**

8. Using suitable examples, discuss the structural features of erythromycins. (10 marks)

## SECTION B: CHEMOTHERAPEUTIC AGENTS II

1. Using the three drug examples in figure 1, answer the following questions:
   (a). Identify the class of drugs each represents. (3 marks)
   (b). Identify one other drug example in each of the class named in 1(a) above and state their clinical uses. (6 marks)

(c). Outline four SARs for each of the three drugs. (12 marks

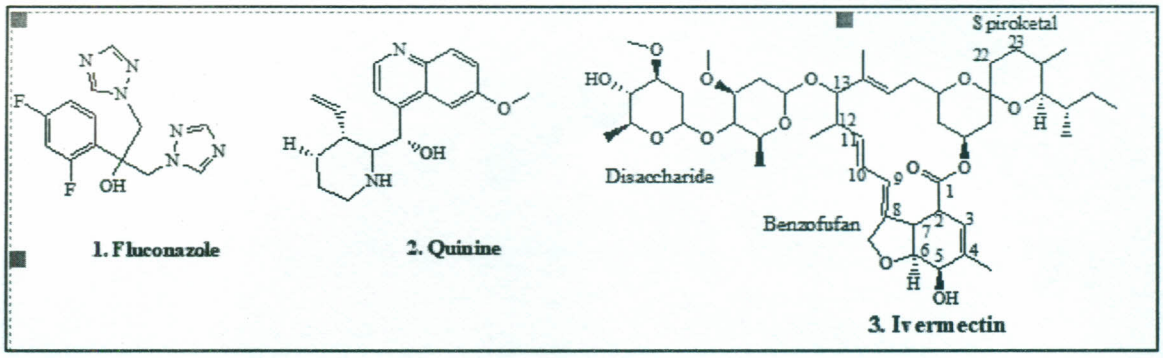


**Figure 1**

2. Discuss limitations and modification strategies that have been used in improving the therapeutic efficacy of:
   (a). Artemisinin (6 marks)
   (b). Artesunate (6 marks)
   (c). Polyene antibiotics (6 marks)
3. Using the structure of acyclovir, **figure 2**:
   (a). Identify its chemical class (1 mark)
   (b). Illustrate its mode of action (4 marks)
   (c). Outline its SARs (4 marks)

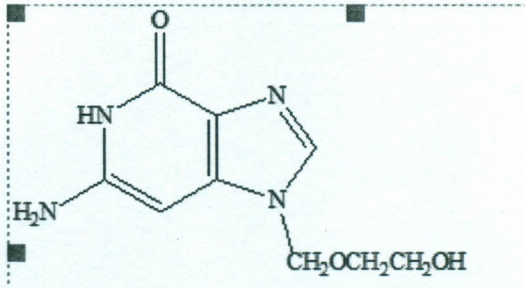


**Figure 2: structure of acyclovir**

4. Explain the mode of action of the following classes of anticancer agents:
   (a). Alkylating agents (4 marks)
   (b). Antimetabolites (4 marks)
   (c). Plant products (4 marks)