

BINDURA UNIVERSITY OF SCIENCE EDUCATION

CHEMISTRY DEPARTMENT

BSc. CHEMICAL TECHNOLOGY (Hons) PART II

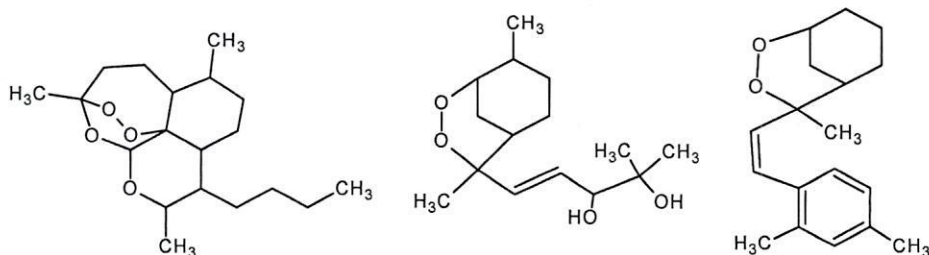
CH205: MEDICINAL CHEMISTRY

2 HOURS

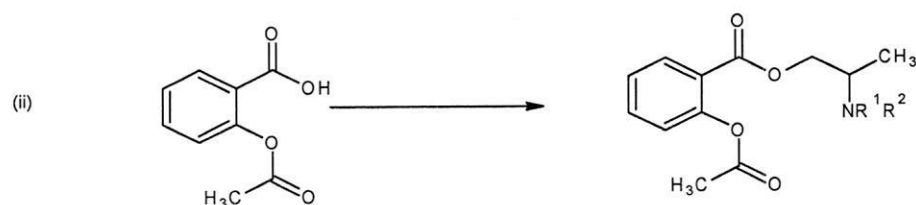
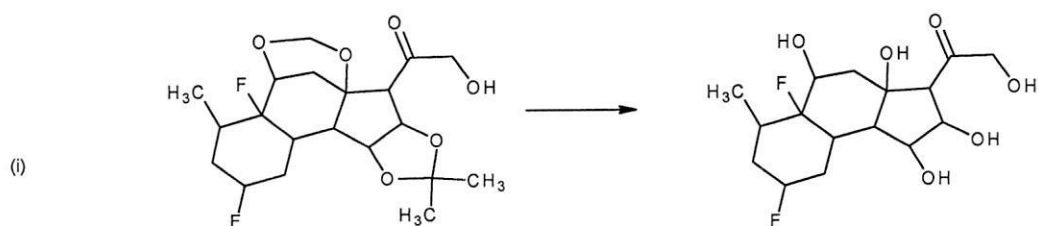
JUN 2023

ANSWER QUESTION ONE AND FOUR OTHERS, TWO FROM EACH OF THE SECTIONS A AND B. EACH QUESTION CARRIES 20 MARKS

- 1 (a) Define the following terms as used in medicinal chemistry:
- (i) Lead efficacy [1 mark]
 - (ii) Pharmacophore [1 mark]
 - (iii) First pass effect [1 mark]
- (b) Enumerate the reasons for and against the following sources of lead compounds:
- (i) Traditional medicine [4 marks]
 - (ii) PubChem library [4 marks]
 - (iii) Synthetic designs [4 marks]
- (c) (i) Draw the pharmacophore for the drugs below.

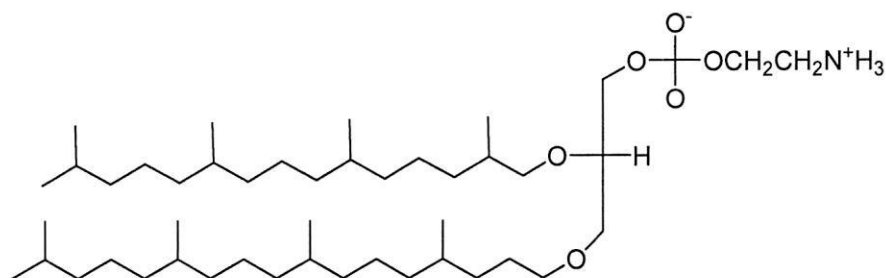


[3 marks]



[2 x 3 marks]

(ii) What is the mode of action of the antibiotic shown below,



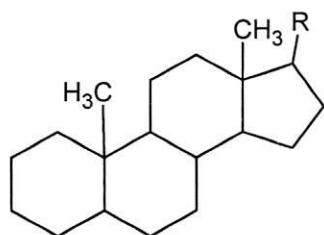
[4 marks]

4 (a) Explain why viruses are difficult to target therapeutically.

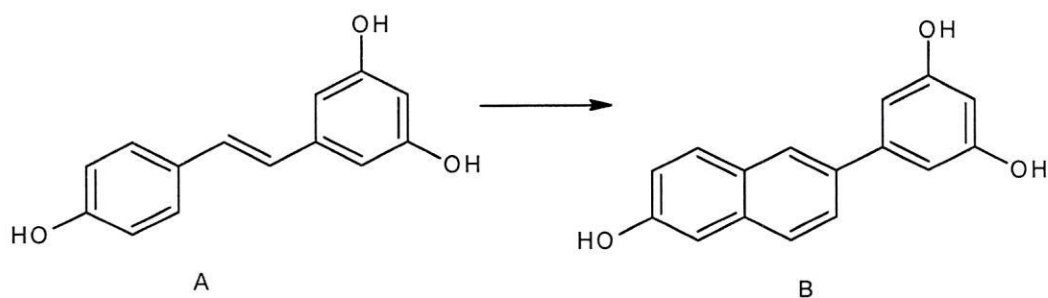
[6 marks]

(b) Enumerate the uses of drugs with the following general formula.

[4 marks]



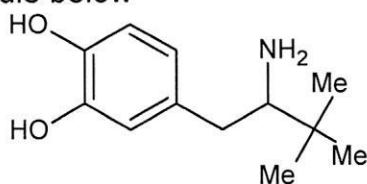
(c) An anti-cancer drug development process showed the following structural modification of the known drug, **A**, into an analog **B**.



Suggest reasons for this modification.

[4 marks]

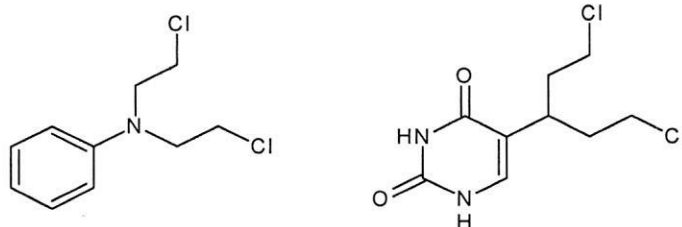
- (d) Describe the drug-target interactions that are possible for the molecule below



[6 marks]

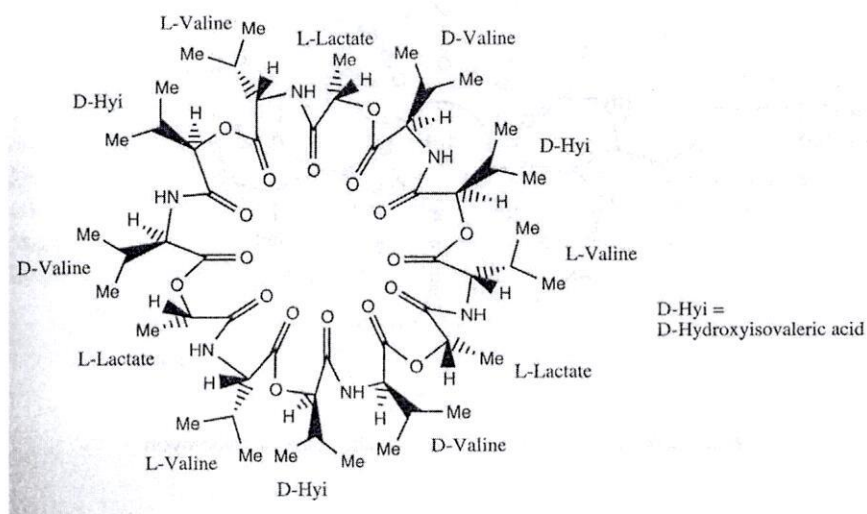
SECTION B: ANSWER ANY TWO QUESTIONS

- 5 (a) (i) Describe with mechanism how the drugs below work as anticancer agents.



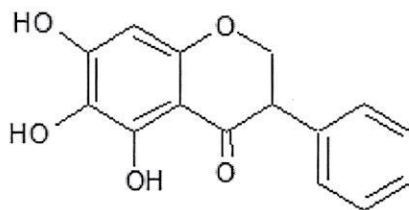
[12 marks]

- (ii) Describe the chemistry behind the antibacterial action of the drug shown below;



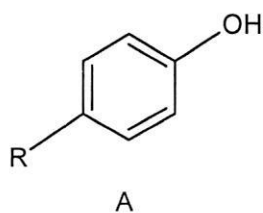
[4 marks]

(b) Deduce the mode of action of the compound below:



[4 marks]

- 6 Phenols are antiseptics. Hansch analysis carried out on a series of phenols with the general structure A yielded the Hansch equation:



$$\text{Log } 1/C = 1.5\pi - 0.2\sigma + 2.3$$

($n = 23$, $s = 0.13$, $r = 0.87$)

Discuss,

- (a) (i) the significance of the terms n , s and r ? [3 marks]
 (ii) The relative significance of the lipophilicity and electronic distribution of a phenol of type A on its activity? [3 marks]
 (iii) The effect of replacing the R group of A by a more polar group? [4 marks]

(b) Discuss the importance of alkaloids in medicine. **[10 marks]**

7 (a) Describe the following characteristics of aspirin:

(i) Origin **[2 mark]**

(ii) Drug type **[2 mark]**

(iii) Therapeutic effect **[6 marks]**

(b) Describe the common reasons for terminating the development of a drug candidate. **[4 marks]**

(c) Suggest desirable properties of an effective drug. **[6 marks]**

End of paper