Birla Institute of Technology & Science, Pilani, Rajasthan 333031

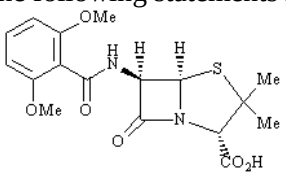
1st Semester 2022-23 **MID-SEMESTER TEST**

Course Number: CHEM F335 **Course Title: Org. Chem. And Drug Design**

Time: 30 min **CLOSED BOOK** **Max marks: 20**

Part-I: There are twenty questions in all. Answer all questions. Answer all parts of the question

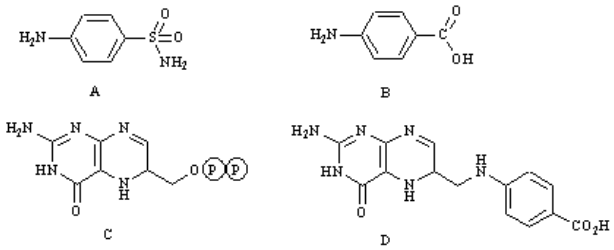
Q1. Methicillin is an important penicillin that was introduced in the 1960s to counter the threat of penicillin-resistant strains of *Staphylococcus aureus*. Which of the following statements is false?



a. The methoxy groups on the aromatic ring withdraw electrons from the ring making methicillin more acid stable.
b. The methoxy groups act as steric shields for the β-lactam ring.
c. Replacing methoxy groups on the aromatic ring would increase acid stability but decrease activity.
d. The methoxy groups are at the *ortho* position of the aromatic ring. If they were at the *meta* position, they would be less effective.

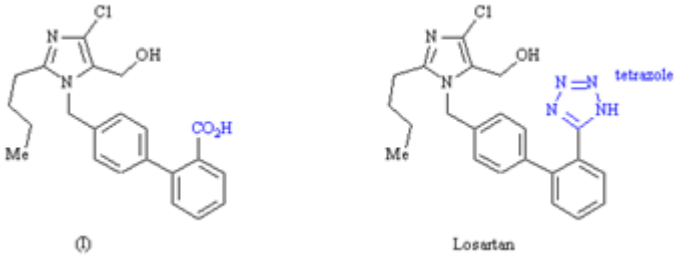
Q2. Which of the following statements is not true about DNA secondary structure?
a. There is a minor groove and a major groove.
b. A purine base pairs up with a pyrimidine base.
c. The phosphate groups are positioned to the inside of the DNA double helix.
d. The base pairs are stacked.

Q3. Which of the following structures do the sulfonamides mimic when acting as enzyme inhibitors?



a. Structure A. b. Structure B. c. Structure C. d. Structure D.

Q4. Losartin was developed from structure (I) as an antihypertensive agent by replacing a carboxylic acid group with a tetrazole ring. Which of the following statements is incorrect?



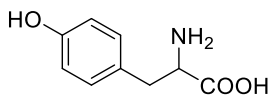
a. The tetrazole ring represents a bio-isostere.
b. The tetrazole ring mimics a carboxylic acid in being planar.
c. The tetrazole ring is basic rather than acidic and so cannot mimic the acidic nature of a carboxylic acid.
d. The tetrazole ring is less polar than a carboxylic acid.

Q5. Write central Dogma of Life.

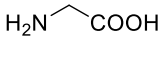
Q6. Which of the following descriptions best describes an allosteric inhibitor?
a. A drug that binds to an active site and undergoes a reaction.
b. A drug that binds to an active site and inhibits the enzyme, but which is displaced by increasing the concentration of substrate.
c. A drug that binds to an active site and inhibits the enzyme, but which is not displaced by increasing the concentration of substrate.
d. A drug that binds to a different binding site from the active site and affects the activity of the enzyme.

Q7. Write Lipinski's rule of five.

Q8. Which among the following is/are the neurotransmitter?



a



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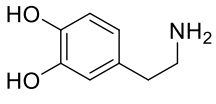

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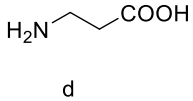
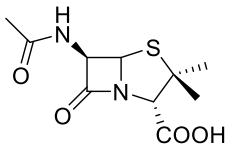
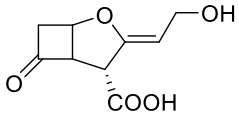


d

Q9. Identify the molecule with weakest antibiotic activity from the following series?



a



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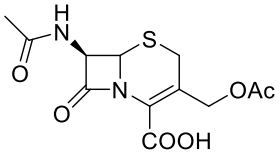

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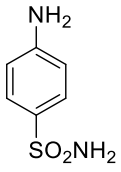


d

Q10. Which of the following is not true when RNA is compared with DNA?
a. RNA contains ribose and not deoxyribose. b. RNA contains uracil and not thymine.
c. RNA does not form a double helix. d. RNA is larger than DNA.

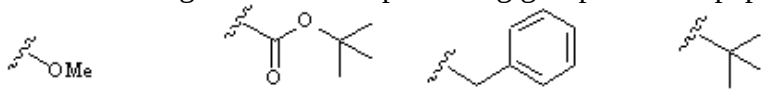
Q11. Protein Synthesis takes place at.

a. Nucleus. b. Mitochondria. c. Ribosomes. d. Golgi bodies.

Q12. Which among the following amino acid induce β-turn mimetics.

a. Gly. b. Val. c. Phe. d. Pro.

Q13. The following structures are protecting groups used in peptide synthesis.

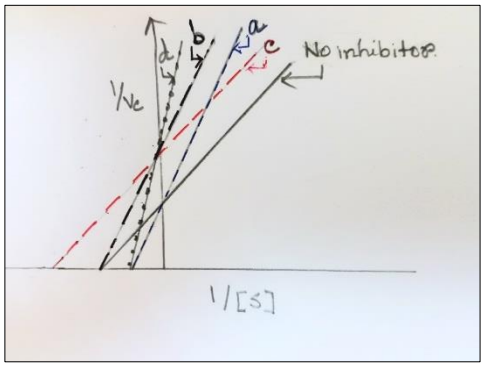


A B C D

Which among the above would you use to protect an amino group?

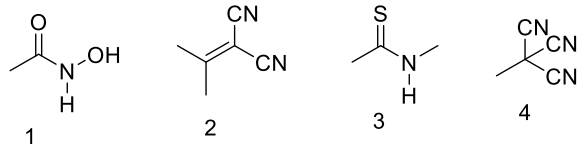
a. Structure C. b. Structure B. c. Structure A. d. Structure D.

Q14. Which among the following lines represents reversible competitive enzyme inhibition?



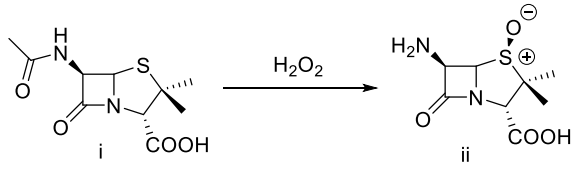
a. (d) b. (b). c. (a). d. (c).

Q15. Find out the bioisostere of the carboxylic acid group.



a. (3) b. (4). c. (1). d. (2).

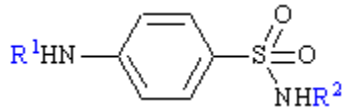
Q16. Which statement is true for the following scheme?



1. The Sulphur centre in both (i) & (ii) is achiral.
2. The sulphur centre in (i) is achiral but in (ii), it is chiral.
3. The sulphur centre in (i) is chiral but in (ii), it is achiral.
4. The sulphur centre in both (i) and (ii) is chiral.

a. (3) b. (2). c. (1). d. (4).

Q17. The following general structure is representative of sulfonamides. Which of the following statements is true for active sulfonamides?



a. R^1 can be H or an acyl group.
b. R^2 must be hydrogen.
c. The aromatic ring is not essential.
d. The sulfonamide functional group can be replaced with an ester.

Q18. Which of the following statements is the closest description of Phase I metabolism?
a. Reactions which add a polar molecule to a functional group that is already present on a drug or one of its metabolites.
b. Reactions which occur in the blood supply.
c. Reactions which add a polar functional group to a drug.
d. Reactions which occur in the gut wall.

Q19. Which of the following statement is true about penicillin?
a. Penicillin was synthesised by Alexander Fleming.
b. Penicillin is an analgesic.
c. Penicillin consists of a γ-lactam ring.
d. Penicillin was first isolated by Florey and Chain.

Q20. What is the effect on initial velocity if the substrate concentration is low?
a. Increases rapidly.
b. Increases slowly.
c. Decreases.
d. Remains constant.

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1st Semester 2021-22 **MID-SEMESTER TEST**

Course Number: CHEM F335 **Course Title: Org. Chem. and Drug Design** **Time: 60 min**

OPEN BOOK **Max marks: 40**

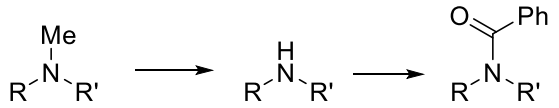
Part-II: There are 4 questions in all. Answer all questions. Answer all parts of the question together.

Q1. (a) What is the role of the O-acyl group in Aspirin? **[2]**

(b) What is the aim of SAR study? **[1]**

(c) Prontosil shows *in vivo* antibiotic activity but fails during *in vitro* study. Why? What information do we obtain out of these experimental results? **[2+2=4]**

(d) Write the reagents and reaction conditions for the following transformation. **[3]**



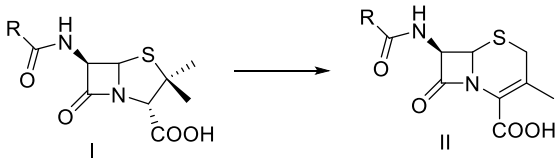
Q2. (a) Mention the forces involved in selective enzyme substrate binding? **[2]**

(b) Write the detailed molecular mechanism (enzyme-inhibitor interaction) of penicillin to show the antibiotic activity? **[5]**

(c) What type of inhibitor it is? **[1]**

(d) Explain the working principle of an allosteric inhibitor. **[2]**

Q3. (a) Write the appropriate reagents involve and the mechanism of the following transformation. **[2+5=7]**



(b) How to enhance the stability of Penicillin against acid? Justify your answer in two to three lines. **[3]**

Q4. (a) What are the major different types of enzyme inhibitors available in terms of their activity? **[1]**

(b) Derive the Michaelis–Menten (MM) equation. **[2]**

(c) Form MM equation derive the Lineweaver-Burk expression. **[4]**

(d) Draw the Lineweaver-Burk plot and explain what are the information we can obtain from this. **[3]**

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