

DEPARTMENT OF MEDICINAL CHEMISTRY
SCHOOL OF PHARMACY

Advanced Medicinal Chemistry
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MEDC 603
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| STUDENT NAME | HONOR PLEDGE |
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Instructions: Answer all questions.

1. Define a receptor (4 pts) and list at least two types of receptors (2 pts).

2. An equilibrium exists between inhibitor **I** and enzyme **E**. Write an expression of inhibition constant **K_i** in terms of the concentrations of the two (2 pts). If **K_i** of molecule X is 5 nM for an enzyme, while that of molecule Y is 5 μM, which molecule has higher affinity for the enzyme? (2 pts) What is the relative potency of the two molecules? (2 pts)

3. Name three drugs that are inhibitors of an enzyme. Name the corresponding enzymes too. (6 pts)

| <u>Drug</u> | <u>Enzyme that it inhibits</u> |
|-------------|--------------------------------|
| _____ | _____ |
| _____ | _____ |
| _____ | _____ |

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4. For a receptor **R** binding to drug **D**, write an expression that quantifies the drug-induced response in the receptor to the concentration of the free drug present in the system. Define in clear words the terms involved in the expression. (4 pts)

5. The principle reason why natural products or derivatives of natural products constitute a major proportion of all known drugs even today is their _____ (2 pts)

1. long duration of action
2. ease of preparation
3. structural diversity
4. well-known age-old potency
5. none of the above

6. A drug **D** inhibits an enzyme **E** in a competitive manner with an inhibition constant of K_D . Enzyme **E** is important for hydrolysis of its natural substrate **S**, which generates a physiologic response. What will happen to the efficacy of drug **D** if a person's genetic system synthesizes excessive quantities of substrate **S** (1 pt). Explain your answer few sentences using the Michaelis-Menten kinetic expression. (4 pts)

7. Lipinski's rule of five is an oft cited drug design tool. Write the four rules. (4 pts)

6. _____
7. _____
8. _____
9. _____