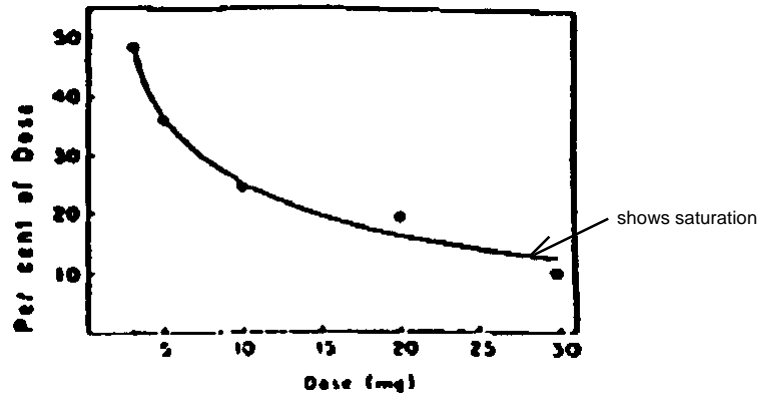


PHA 5127

Problem Set "Drug Absorption"

(problems_absorption.pdf)

1. From the figure shown below it follows that riboflavin absorption is dose dependent. Which of the following absorption mechanisms are responsible?



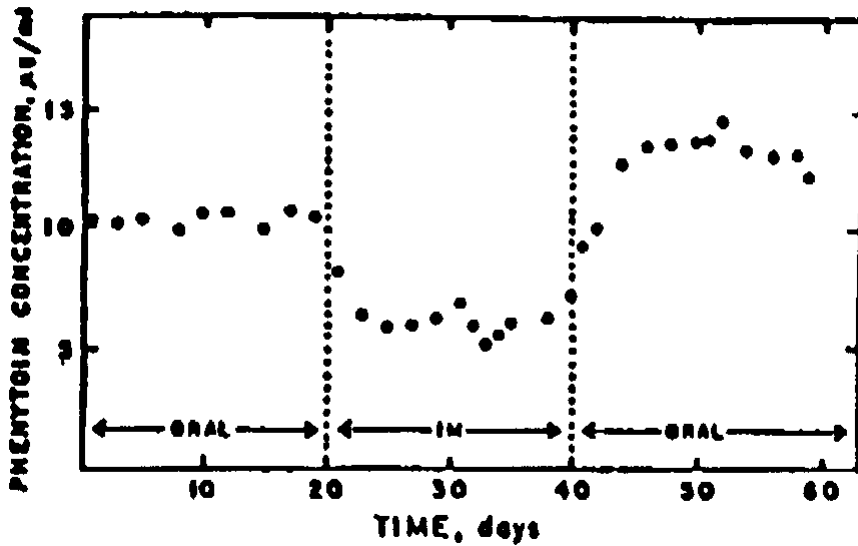
Relative bioavailability of riboflavin (expressed as percent of dose) as a function of oral dose administered to fasting subjects.

- a) Active Transport
- b) Facilitated Transport
- c) Passive Diffusion non-saturable

Answers:

a) Active or Facilitated Transport because it is saturable. With the information we have, we cannot decide whether it is facilitated or active transport

2. Phenytoin was given orally for 20 days, then i.m. for 20 days and then again orally for 20 days. Phenytoin levels were measured and are shown in the graph below. Discuss the results.



Phenytoin levels in plasma during sequential oral, intramuscular, and oral dosing.

Answer:

Patient was stabilized on oral dose, but the i.m. dose precipitates at the injection site, causing slower absorption of crystalline phenytoin from the muscle depot. When oral dosing is resumed, concentration is greater due to the oral dose plus the drug being absorbed from the muscle.

3. Which of the following formulations are for systemic administration. Mark True or False

I F peptide nasal spray

I F nitroglycerin transdermal system

T F antacid suspension (Maalox)

T F antiseptic throat lozenges

I F antipyretic suppository

4. Discuss the advantages and disadvantages of sublingual administration

Advantages:

1. Faster absorption, (e.g. Nitroglycerin) has faster action

2. Avoid first pass

Disadvantages:

Small surface area

5. Which of the following formulations are for systemic administration. Mark True or False

I F peptide nasal spray

I F nitroglycerin transdermal system

T F antacid suspension (Maalox)

T F antiseptic throat lozenges.

6. Increasing the following parameters will result in faster passive diffusion of a drug? Mark whether this is true or false for the following parameters.

I F surface area of the absorption site

T F membrane thickness

I F partition coefficient of the drug between lipid and water

I F gradient between drug concentrations on both sides of the membrane

T F ATP-concentration in the membrane

7 Mark whether the following statements are True or False. (5 pts)

T F when distribution across a membrane is perfusion-rate limited, the ratio of concentrations across the membranes is virtually one at all times.

T F when the surface area of a membrane is doubled, so is its permeability

T F passive diffusion across a membrane stops when to concentration on both sides are the same (No molecules cross the membrane anymore).

T F carrier mediated transport is one in which energy is always needed to transfer drug across a membrane.

T F drugs are always more slowly absorbed from the muscle than from the GI tract.