

First-Pass Effect

- I. _____
- _____
- _____

Bioavailability

- I. _____
- _____
- II. IV = _____

Routes of Administration

Oral

- I. _____
- II. _____
- III. _____
- IV. _____
- V. Enteric Coating

Sublingual or Buccal

- I. _____
- _____
- II. _____
- III. Useful in nausea/vomiting

Parenteral (IV, IM, SQ)

- I. _____
- II. _____
- III. _____

Intravenous (IV)

- I. Bolus – _____
- II. Infusion – _____

Intramuscular (IM)

- I. _____
- II. _____
- III. Useful when IV access/oral admin not available.

Subcutaneous (SQ)

- I. _____
- II. _____

Inhalation

- I. _____
- _____
- II. _____
- III. _____

Intrathecal or Intraventricular

- I. _____
- II. _____

Topical

- I. _____
- II. _____

Transdermal

- I. _____
- _____
- II. _____

Rectal

- I. _____
- II. Useful when oral route not available

Mechanisms of Absorption

Passive Diffusion

- I. _____

Facilitated Diffusion

- I. _____
- _____

Active Transport

- I. _____
- II. _____
- III. _____

IV. MDR1 (P-glycoprotein)

- a. _____
- b. _____
- _____

Biochemical Factors of Absorption

- I. _____
- II. _____
- III. _____
- IV. _____
- _____

- V. pH/pKa _____
- _____
- _____

Drug Distribution

- I. _____

II. Capillary Permeability

- a. Basement membrane

- _____
- _____
- _____

b. Blood-brain barrier

- i. _____
- ii. _____
- _____

III. Protein Binding

- a. _____
- _____
- b. _____
- _____

IV. Lipophilia – lipophilic drugs diffuse across membranes readily.

Volume of Distribution

$$I. V_{ofD} = \frac{\text{Amount of Drug in Body}}{\text{Amount of Drug in Plasma}}$$

- II. Drugs distribute to functional compartments over time.

III. Functional Compartments

- a. _____
- b. _____
- c. _____

IV. More compartments = $\uparrow V_d$

- V. Small, lipophilic drugs have _____ and access intracellular space _____

VI. Large, hydrophilic, protein bound drugs: _____

VII. $\uparrow V_d$ correlates with _____

Drug-Receptor Interactions

I. G-protein coupled receptors.

- a. _____
- _____

b. Activation of receptor results in downstream effects based on G-protein type.

- i. $G_{\alpha_s} > \text{_____} > \text{_____}$
- ii. $G_{\alpha_i} > \text{_____} > \text{_____}$
- iii. $G_q \text{ \& } G_{11} > \text{_____} > \text{_____}$

II. Enzyme Linked Receptors (Tyrosine Kinase Receptors)

a. _____

III. Ligand Activated Ion Channels:

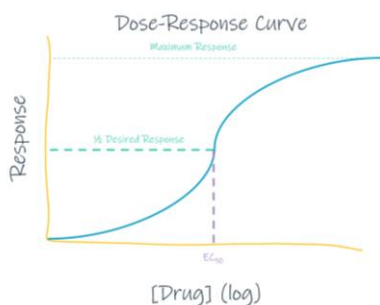
IV. Intracellular/Intranuclear Receptors

a. _____
 b. _____

V. Antimetabolites:

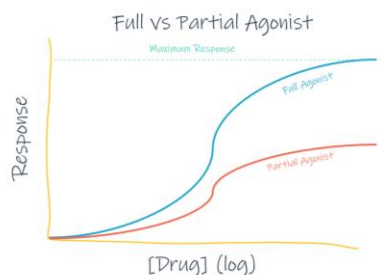
Dose-Response Relationship

I. Physiologic response increases as drug dose increases until saturation of enzymes/receptors occurs:



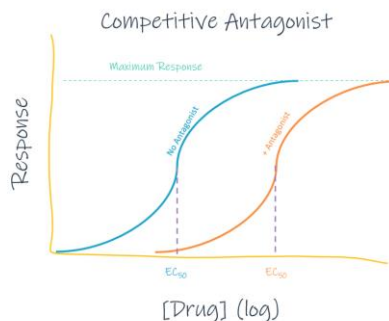
II. Full Agonist/Partial Agonist

a. Full agonist: _____
 b. Partial agonist: _____



III. Competitive Antagonist

a. _____



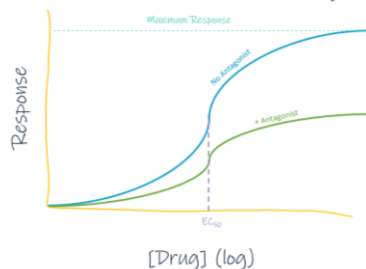
IV. Irreversible and Non-competitive antagonist

a. Irreversible:

b. Non-competitive:

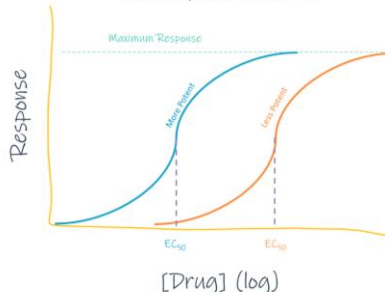
c. Both types result in _____ maximum effect

Noncompetitive & Irreversible Antagonists



I. Potency: ↑Potency,

Potency Illustration



ED₅₀: _____ dose producing _____ effect in _____ population.

TD₅₀: _____ dose producing _____ effect in _____ population.

Efficacy: _____

Therapeutic Index: Ratio of _____ and _____, _____ is better, indicates _____ window of dosing to produce desired effect without causing toxicity.

$$TI = \frac{ED_{50}}{TD_{50}}$$

Bioequivalence: _____

Pharmaceutical Equivalence:

I. _____

II. _____

III. _____

IV. _____

Therapeutic Equivalence = _____ + _____

Pharmacokinetics and Dosing

First-Order Kinetics

I. Most drugs follow first order-kinetics

II. _____

$$v = \frac{V_{max} [Drug\ Concentration]}{K_m}$$

III. Constant _____

Zero-Order Kinetics

I. Drug Concentration >>>> K_m, results in equation:

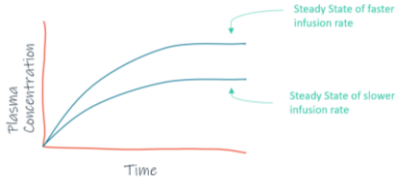
$$v = V_{max}$$

II. Constant _____

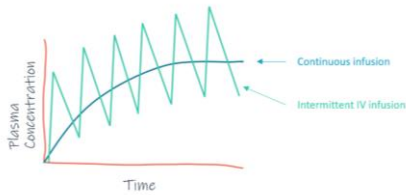
Dosing Regimens

I. Drug metabolism begins _____ upon administration

II. IV Infusion: _____,



III. Intermittent IV Infusion: Plasma concentration follows a saw-tooth pattern as drug is administered and eliminated.



Loading Dose:

Dosing Adjustments:

Drug Elimination

Metabolism

I. _____

II. _____

Phase I

I. Adds a _____

II. Examples: Alcohol dehydrogenase, amine oxidation

III. Cytochrome P450 system

a. Group of

b. Enzymes have

c. Polymorphisms ->

d. CYP450 can be _____

and _____

Phase II

I. Drugs requiring _____

II. Example: _____

Renal Elimination

I. Most drugs _____

II. Impaired renal function can lead to _____

III. 2 Paths:

a. Path 1: _____

b. Path 2: _____

IV. Reabsorption: Occurs in

V. Ion-trapping:

a. _____
