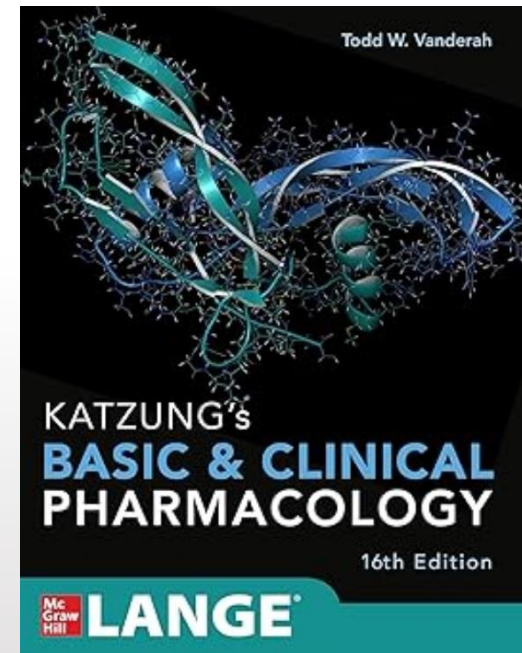


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Principles of Pharmacology-Part I

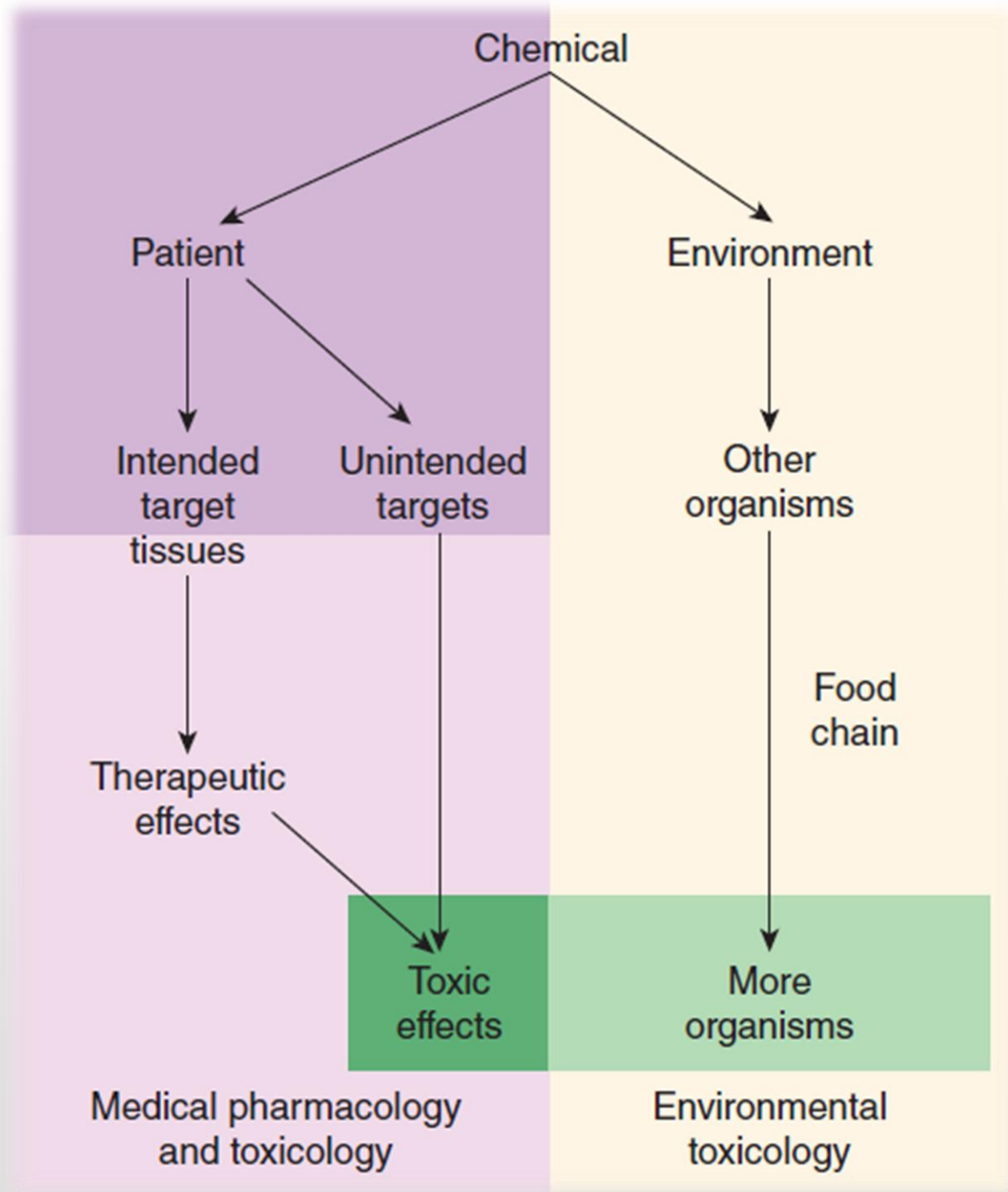
Department of Pharmacology & Toxicology



What is Pharmacology ?

- **Pharmacon:** drug or poison
- **Logos:** science
- The study of how chemical substances interact with biologic systems.
- Drugs are chemical agents used in the diagnosis, treatment, and prevention of disease





Two major fields in Pharmacology

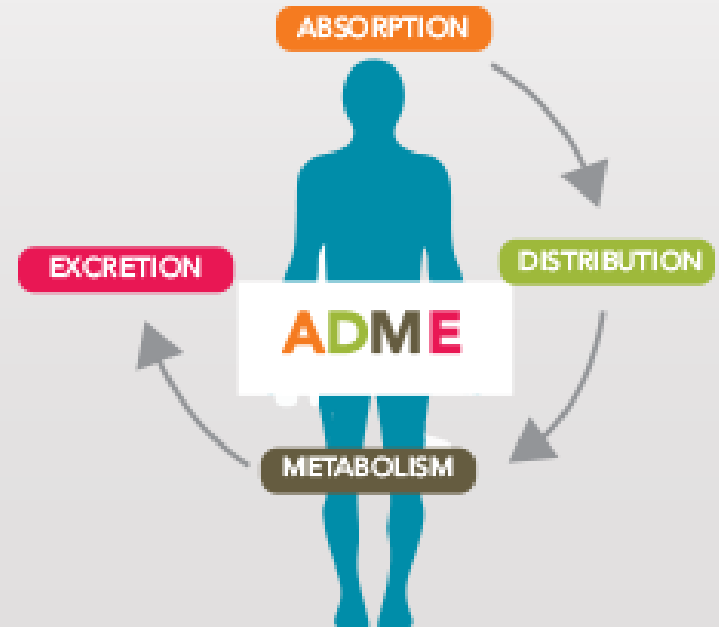
1. Pharmacokinetics
2. Pharmacodynamics



Two major fields in Pharmacology

1. Pharmacokinetics

- ✓ The actions of the body on the drug
 - Absorption
 - Distribution
 - Degradation
 - Excretion



Two major fields in Pharmacology

2. Pharmacodynamics

- ✓ The actions of the drug on the body
- ✓ Interaction between the drug and target cells or tissues
- ✓ The body's response to this interaction



Pharmacodynamics

- Main objective:
 1. Drug effects
 2. Mechanism of drug action
 3. The relationship between drug concentration and effect
- Non specific binding site
- Specific binding site
(Receptor)



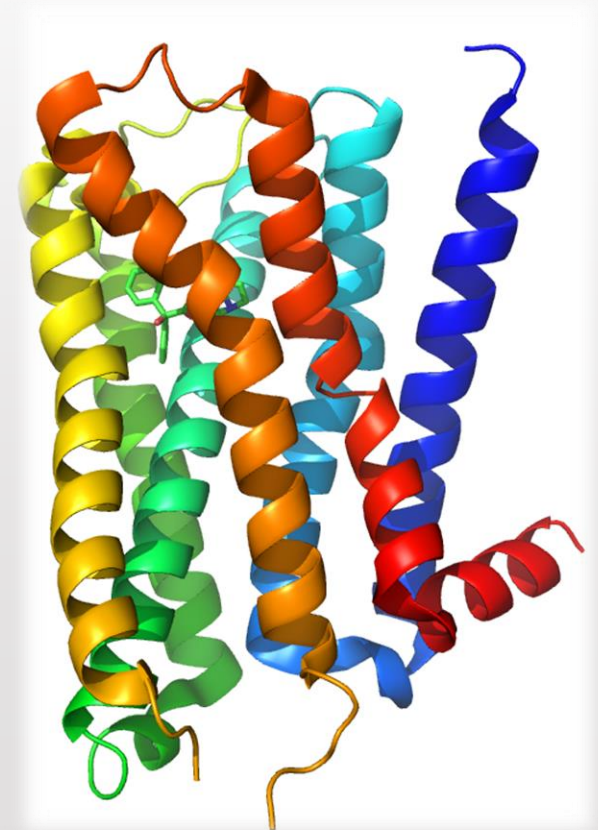
Nature of drug receptors

- **Proteins:**

1. Transmembrane Pr
2. Transport Pr (Na/K ATPase)
3. Ion channels
4. Structural Pr (tubulin)
5. Enzymes

- **Non-proteins**

1. Lipids
2. Nucleotides

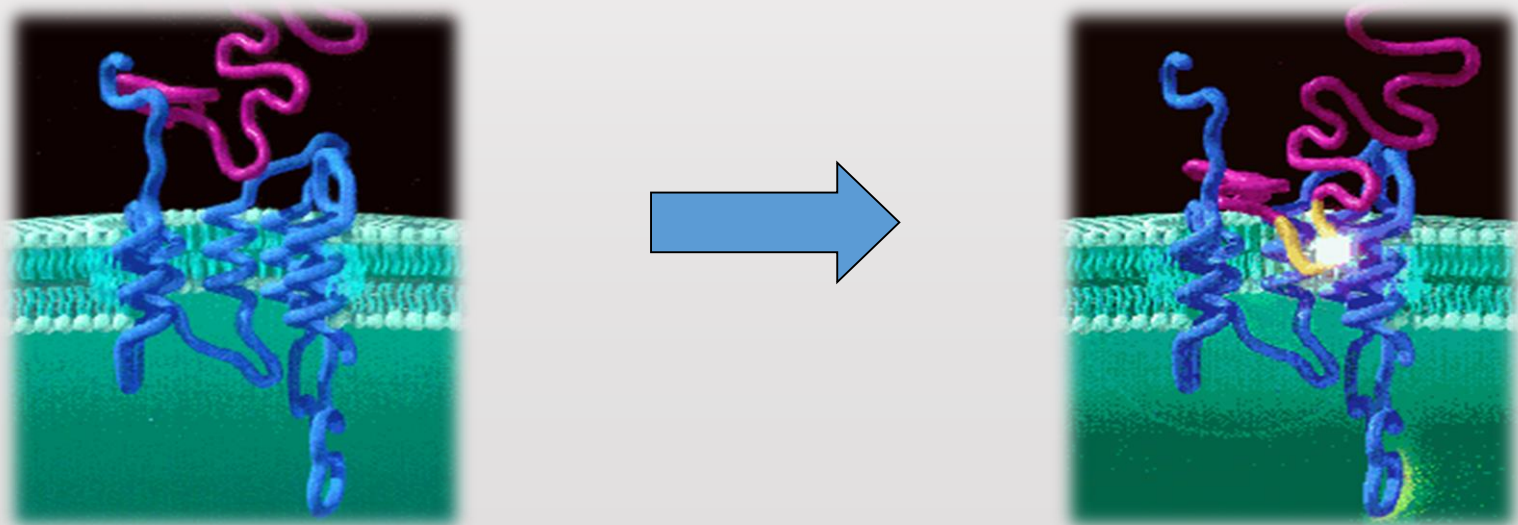


Other sites of drug actions

- Some drugs produce effect without binding to receptors
 1. Plasma expander
 2. Osmotic diuretics
 3. Ions (antiacides)
 4. Blood & urine PH (Na Bicarbonate)
 5. Chelator (Dimercaprol, Penicillamine, EDTA)

Drug-receptor interaction

1. Lock and key model
 - drug acts as key, receptor as lock
2. Induced-fit model
 - dynamic and flexible interaction



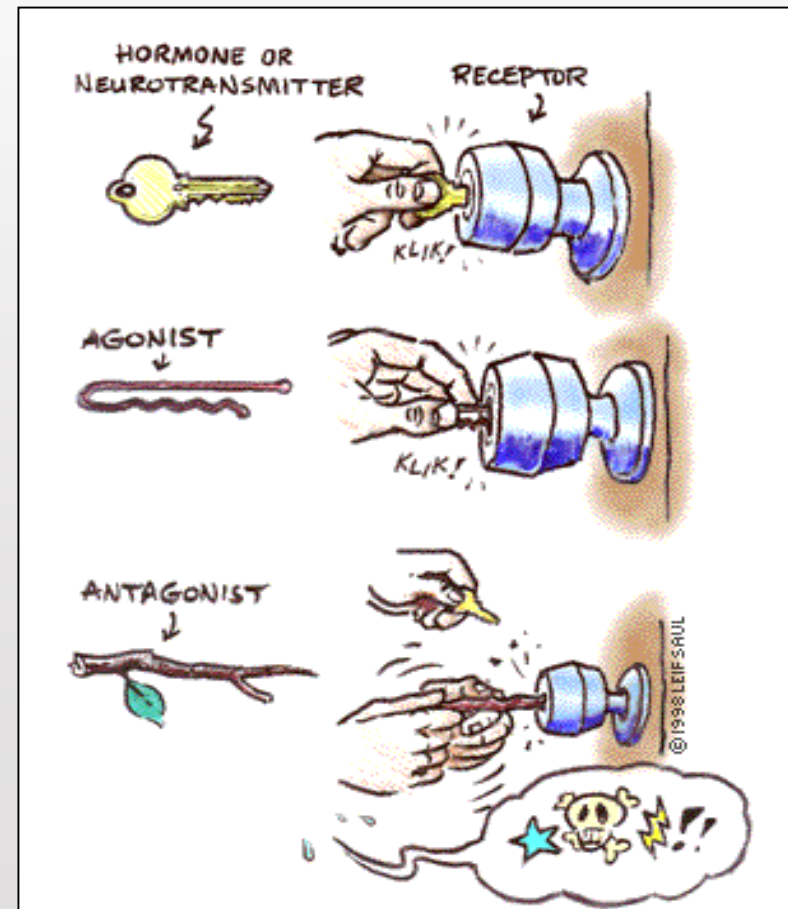
Pharmacodynamics (cont.)

- **Affinity**
 - ✓ tendency of a drug to bind with a receptor
- **Selectivity**
 - ✓ specific affinity for certain receptors
- **Intrinsic activity**
 - ✓ the ability of drug to initiate a cellular effect

Agonist & Antagonist

Drugs base on their effect on receptors:

- **Agonist**
 - ✓ facilitate receptor response
- **Antagonist**
 - ✓ inhibit receptor response



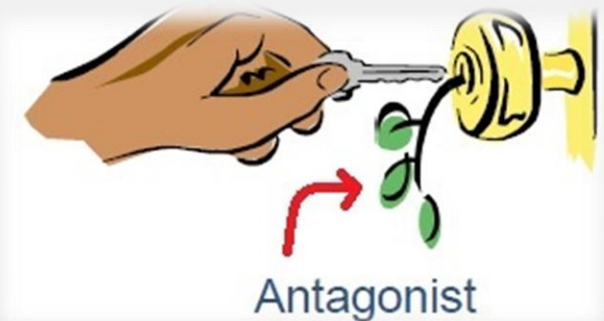
Pharmacodynamics (cont.)

- **Agonist**
(affinity & intrinsic activity)
- **Full agonist:** produce maximal response
- **Partial agonist:** do not produce maximal response



Pharmacodynamics (cont.)

- **Antagonist**
(affinity but do not have intrinsic activity)
- **Competitive antagonist**
- **Non-competitive antagonist**

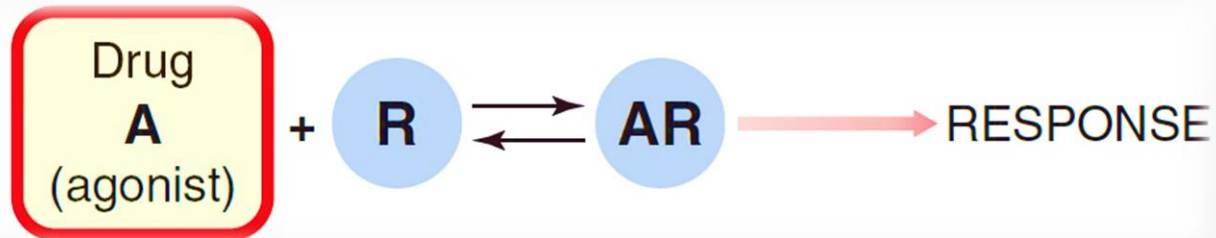


Pharmacologic antagonist

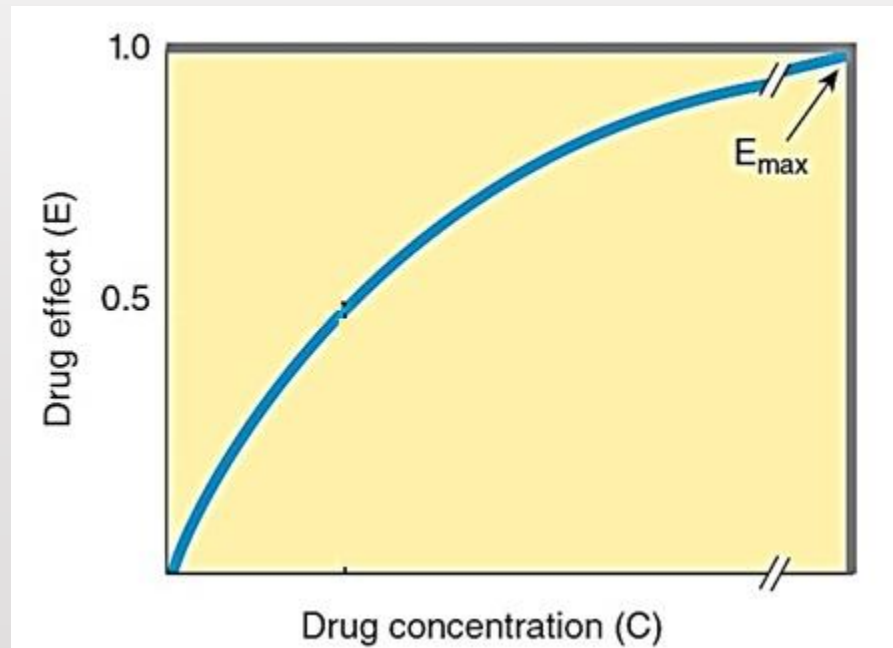
Other mechanism of drug antagonism

- **Chemical antagonism**
 - ✓ (Heparin & Protamine)
- **Physiologic antagonism**
 - ✓ (glucocorticoids & insulin)

Drug-Receptor interactions



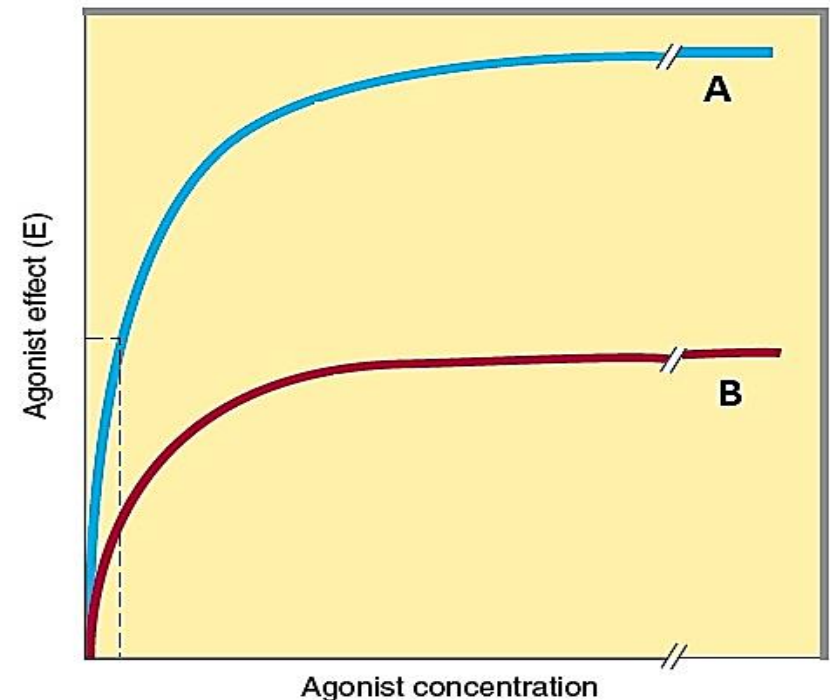
- Response depends on:
 - ✓ Drug concentration
 - ✓ Amount of receptors



Pharmacodynamics (cont.)

■ Efficacy

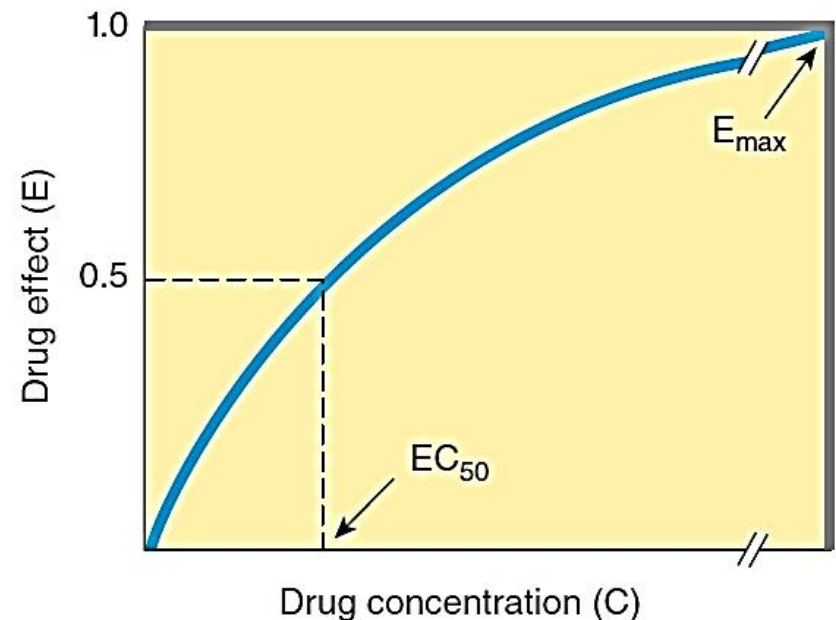
- ✓ The largest response or maximal effect (E_{max}) a drug can produce
- ✓ Partial agonists have lower E_{max} than full agonists



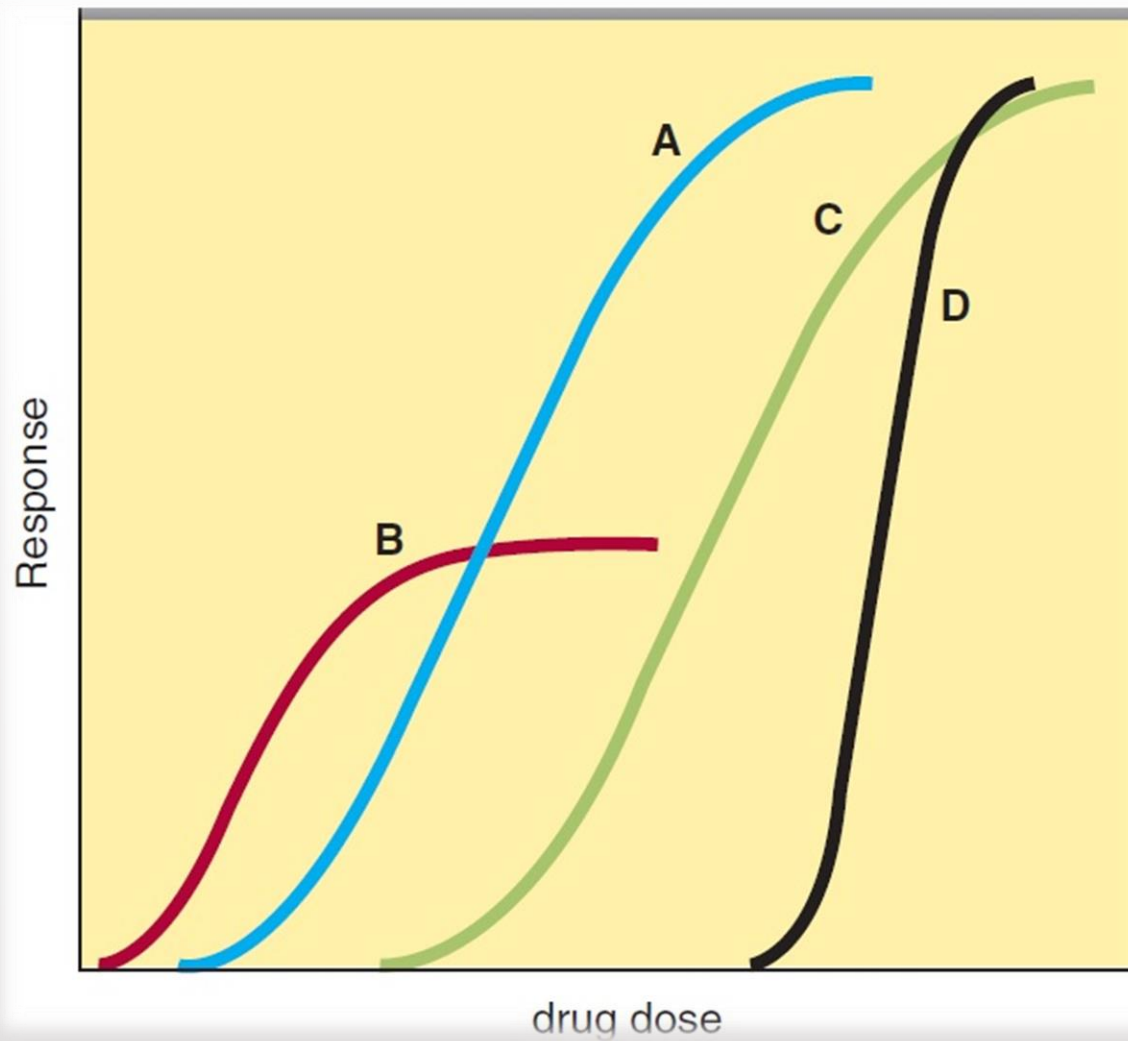
Pharmacodynamics (cont.)

■ Potency

- ✓ The amount of drug needed to produce a given effect
- ✓ **EC₅₀** is the conc. of drug that produces 50% of E_{max}
- ✓ Drug with smaller EC₅₀ → more potent



Questions??

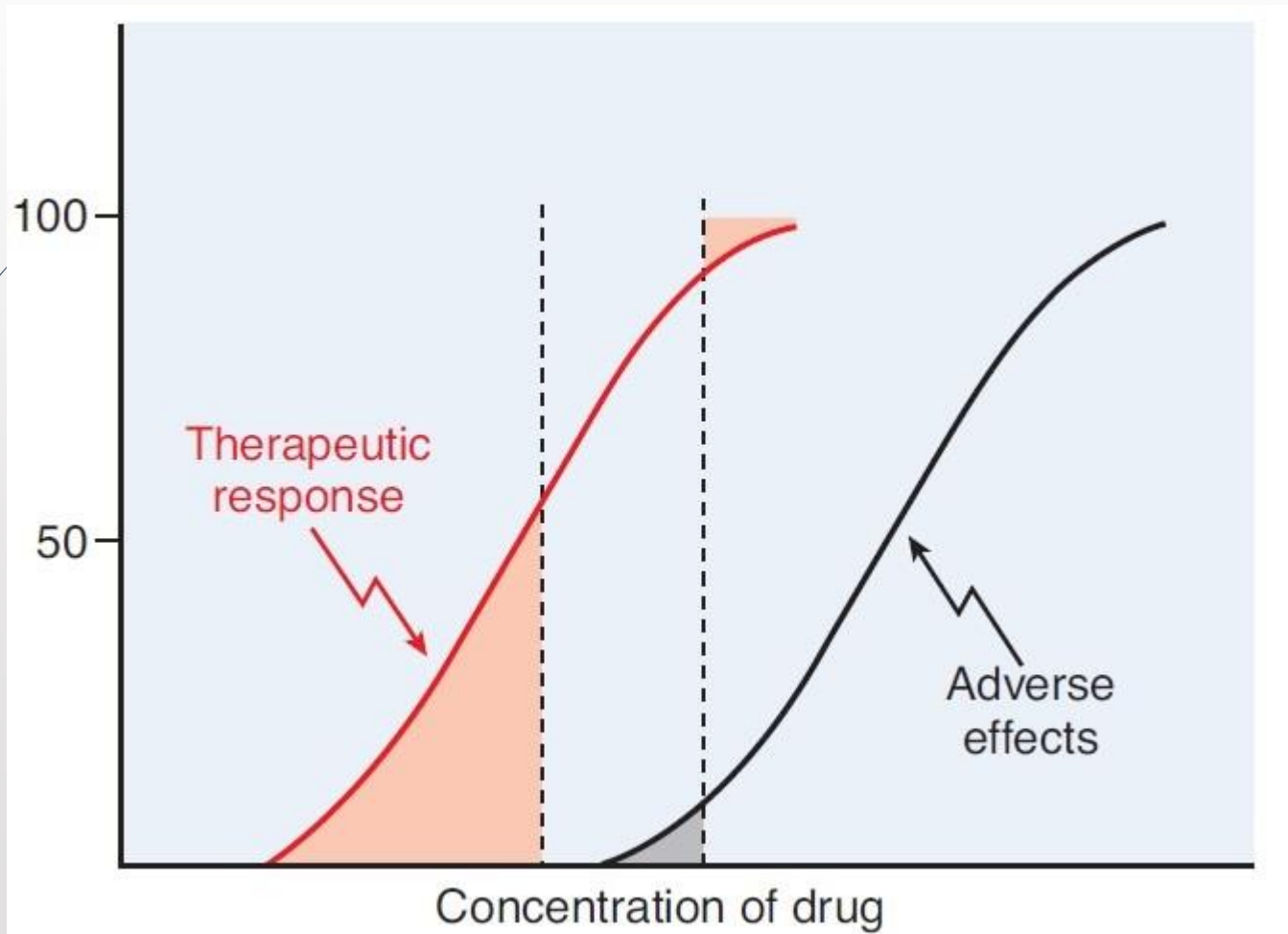




No Magic Bullets !!!

- Drug affects all cells that have receptors
- All drugs have multiple effects
 - ✓ Desired effect = therapeutic effect
 - ✓ All other effects = side effects
- No magic bullets

Therapeutic index



Therapeutic index

- $T.I. = \frac{TD\ 50}{ED\ 50}$
- relates the dose of a drug required to produce a desired effect to that which produces an undesired effect
- Higher T.I. → larger margin of safety



Any question?