

CHAPTER 2

Pharmacologic Principles

NDEG 26A

Eliza Rivera-Mitu, RN, MSN

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Drug

Any chemical that affects the physiologic processes of a living organism

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Pharmacology

The study or science of drugs

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Drug Names

Chemical name

- Describes the drug's chemical composition and molecular structure

Generic name (nonproprietary name)

- Name given by the United States Adopted Name Council

Trade name (proprietary name)

- The drug has a registered trademark; use of the name restricted by the drug's patent owner (usually the manufacturer)

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Drug Names (cont'd)

Chemical name

- (+/-)-2-(p-isobutylphenyl) propionic acid

Generic name

- ibuprofen

Trade name

- Motrin®, Advil®

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Pharmacologic Principles

- Pharmaceutics
- Pharmacokinetics
- Pharmacodynamics
- Pharmacotherapeutics
- Pharmacognosy

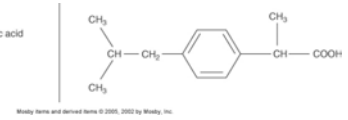
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Pharmaceutics

The study of how various drug forms influence pharmacokinetic and pharmacodynamic activities

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Chemical name
(+)-2-(p-Isobutylphenyl) propionic acid
Generic name
Ibuprofen
Trade name
Motrin



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Figure 2-1 The chemical, generic, and trade names for the common analgesic ibuprofen are listed next to the chemical structure of the drug.

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Pharmacokinetics

- The study of what the body does to the drug
 - Absorption
 - Distribution
 - Metabolism
 - Excretion

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Pharmacodynamics

- The study of what the drug does to the body
 - The mechanism of drug actions in living tissues

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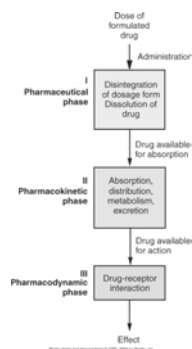


Figure 2-2 Phases of Drug Activity. (From McKenry LM, Salerno E: *Mosby's pharmacology in nursing—revised and updated*, ed 21, St. Louis, 2003, Mosby.)
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Pharmacotherapeutics

The use of drugs and the clinical indications for drugs to prevent and treat diseases

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Pharmacognosy

The study of natural (plant and animal) drug sources

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Pharmacokinetics: Absorption

- The rate at which a drug leaves its site of administration, and the extent to which absorption occurs
 - Bioavailability – term used to quantify extent of drug absorption
 - Bioequivalent -

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Factors That Affect Absorption

- Administration route of the drug
- Food or fluids administered with the drug
- Exercise
- Dosage formulation
- Status of the absorptive surface
- Rate of blood flow to the small intestine
- Acidity of the stomach
- Status of GI motility

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Routes

- A drug's route of administration affects the rate and extent of absorption of that drug
 - Enteral (GI tract)
 - Oral
 - rectal
 - Parenteral
 - IV, IM
 - Topical
 - skin

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Enteral Route

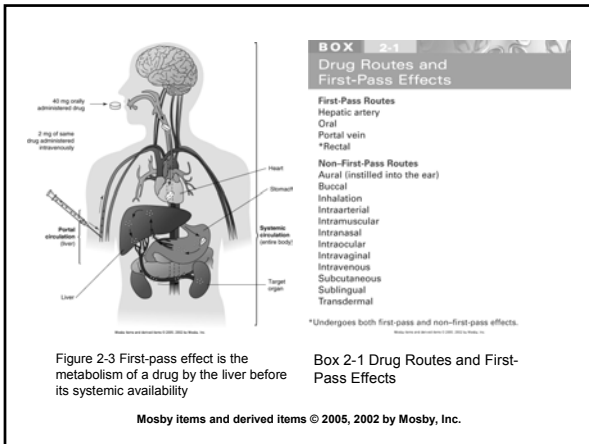
- Drug is absorbed into the systemic circulation through the oral or gastric mucosa, the small intestine, or rectum
 - Oral
 - Sublingual
 - Buccal
 - Rectal

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First-Pass Effect

- The metabolism of a drug and its passage from the liver into the circulation
 - A drug given via the oral route may be extensively metabolized by the liver before reaching the systemic circulation (high first-pass effect)
 - The same drug—given IV—bypasses the liver, preventing the first-pass effect from taking place, and more drug reaches the circulation

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Parenteral Route

- Intravenous (fastest delivery into the blood circulation)
- Intramuscular
- Subcutaneous
- Intradermal
- Intrathecal
- Intraarticular

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Topical Route

- Skin (including transdermal patches)
- Eyes
- Ears
- Nose
- Lungs (inhalation)
- Vagina

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Distribution

The transport of a drug in the body by the bloodstream to its site of action

- Protein-binding
- Water soluble vs. fat soluble
- Blood-brain barrier
- Areas of rapid distribution: heart, liver, kidneys, brain
- Areas of slow distribution: muscle, skin, fat

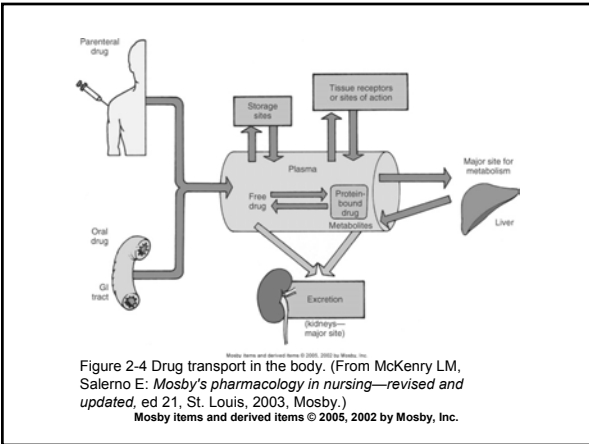
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Metabolism (Also Known As Biotransformation)

The biologic transformation of a drug into an inactive metabolite, a more soluble compound, or a more potent metabolite

- Liver (main organ)
- Kidneys
- Lungs
- Plasma
- Intestinal mucosa

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Metabolism/Biotransformation

- Biologic transformation of a drug into:
 - An inactive metabolite
 - A more soluble compound
 - A more potent metabolite

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Metabolism/Biotransformation (cont'd)

- Organs or body tissues
 - Liver (main)
 - Skeletal muscle
 - Kidneys
 - Lungs
 - Plasma
 - Intestinal mucosa

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Metabolism/Biotransformation (cont'd)

Factors that decrease metabolism

- Cardiovascular dysfunction
- Renal insufficiency
- Starvation
- Obstructive jaundice
- Erythromycin or ketoconazole drug therapy

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Metabolism/Biotransformation (cont'd)

Factors that increase metabolism

- Barbiturates
- Rifampin therapy

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Metabolism/Biotransformation (cont'd)

Delayed drug metabolism results in:

- Accumulation of drugs
- Prolonged action of the drugs

Stimulating drug metabolism causes:

- Diminished pharmacologic effects

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Excretion

The elimination of drugs from the body

- Kidneys (main organ)
- Liver
- Bowel
 - Biliary excretion
 - Enterohepatic circulation

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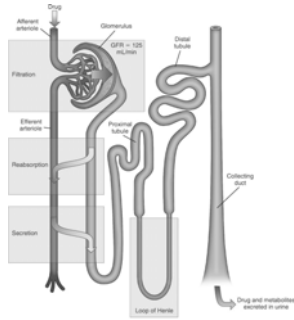


Figure 2-5 Renal drug excretion. The primary processes involved in drug excretion and the approximate location that these processes take place in the kidney are illustrated.

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Half-life

- The time it takes for one half of the original amount of a drug in the body to be removed
- A measure of the rate at which drugs are removed from the body

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Onset, Peak, and Duration

Onset

- The time it takes for the drug to elicit a therapeutic response

Peak

- The time it takes for a drug to reach its maximum therapeutic response

Duration

- The time a drug concentration is sufficient to elicit a therapeutic response

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The Movement of Drugs Through the Body

Drug actions

- The cellular processes involved in the drug and cell interaction

Drug effect

- The physiologic reaction of the body to the drug

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Ways Drugs Produce Therapeutic Effects

- Once the drug is at the site of action, it can modify the rate (increase or decrease) at which the cells or tissues function
- A drug cannot make a cell or tissue perform a function it was not designed to perform

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Pharmacodynamics: Mechanisms of Action

- Receptor interaction
- Enzyme interaction
- Nonspecific interactions

See p. 27

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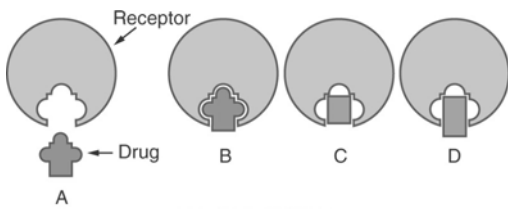


Figure 2-7 A, Drugs act by forming a chemical bond with specific receptor sites, similar to a key and lock. B, The better the "fit," the better the response. Those with complete attachment and response are called *agonists*. C, Drugs that attach but do not elicit a response are called *antagonists*. D, Drugs that attach, elicit a small response, and also block other responses are called *partial agonists* or *agonist-antagonists*. (From Clayton BD, Stock YN: *Basic pharmacology for nurses*, ed 13, St. Louis, 2004, Mosby.)

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Pharmacotherapeutics: Types of Therapies

- Acute therapy
- Maintenance therapy
- Supplemental therapy
- Palliative therapy
- Supportive therapy
- Prophylactic therapy
- Empiric therapy

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Monitoring

- The effectiveness of the drug therapy must be evaluated
- One must be familiar with the drug's:
 - Intended therapeutic action (beneficial)
 - Unintended but potential side effects (predictable, adverse reactions)

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Monitoring (cont'd)

- Therapeutic index
- Drug concentration
- Patient's condition
- Tolerance and dependence
- Interactions
- Side effects/adverse drug effects

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Monitoring (cont'd)

- Therapeutic index
 - The ratio between a drug's therapeutic benefits and its toxic effects

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Monitoring (cont'd)

- Tolerance
 - A decreasing response to repetitive drug doses

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Monitoring (cont'd)

- Dependence
 - A physiologic or psychological need for a drug

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Monitoring (cont'd)

Interactions may occur with other drugs or food

- Drug interactions: the alteration of action of a drug by:
 - Other prescribed drugs
 - Over-the-counter medications
 - Herbal therapies

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Monitoring (cont'd)

- Drug interactions
 - Additive effect
 - Synergistic effect
 - Antagonistic effect
 - Incompatibility

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Monitoring (cont'd)

- Medication misadventures
 - Adverse drug events
 - Adverse drug reactions
 - Medication errors

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Monitoring (cont'd)

Some adverse drug reactions are classified as side effects

- Expected, well-known reactions that result in little or no change in patient management
- Predictable frequency
- The effect's intensity and occurrence are related to the size of the dose

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Adverse Drug Reaction

An adverse outcome of drug therapy in which a patient is harmed in some way

- Pharmacologic reactions
- Idiosyncratic reactions
- Hypersensitivity reactions
- Drug interactions

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Iatrogenic Responses

Unintentional adverse effects that are treatment induced

- Dermatologic
- Renal damage
- Blood dyscrasias
- Hepatic toxicity

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Other Drug-Related Effects

- Teratogenic
- Mutagenic
- Carcinogenic

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Toxicology

The study of poisons and unwanted responses to therapeutic agents

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TABLE 2-9
COMMON POISONS AND ANTIDOTES

Poison	Antidote
acetaminophen (Tylenol)	acetylcysteine
Organophosphates (e.g., insecticides)	atropine
Tricyclic antidepressants, quinidine	sodium bicarbonate
Calcium channel blockers	IV calcium
Iron salts	deferoxamine (Desferal)
digoxin and other cardiac glycosides	digoxin antibodies (Digibind)
Ethylene glycol (e.g., automotive antifreeze solution), methanol	Ethanol (same as alcohol used for drinking), given IV
Benzodiazepines	flumazenil (Romazicon)
Beta-blockers	glucagon
Opiate/opioid drugs	naloxone (Narcan)
Carbon monoxide (by inhalation)	Oxygen (high-concentration)

IV, Intravenously.

Table 2-9 Common Poisons and Antidotes

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