CHAPTER 2
Pharmacologic Principles

NDEG 26A
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Drug
Any chemical that affects the physiologic processes of a living organism

Pharmacology
The study or science of drugs

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)

Drug Names (cont'd)

Chemical name
• (+/-)-2-(p-isobutylphenyl) propionic acid

Generic name
• ibuprofen

Trade name
• Motrin®, Advil®

Pharmacologic Principles
• Pharmaceutics
• Pharmacokinetics
• Pharmacodynamics
• Pharmacotherapeutics
• Pharmacognosy
Pharmaceutics

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

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

Pharmacokinetics

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

Pharmacodynamics

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

Pharmacotherapeutics

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

Figure 2-2 Phases of Drug Activity. (From McHenry LM, Salerno E: Mosby’s pharmacology in nursing—revised and updated, ed 21, St. Louis, 2003, Mosby.)
Pharmacognosy
The study of natural (plant and animal) drug sources

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 -

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

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

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

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
First-pass effect is the metabolism of a drug by the liver before its systemic availability.

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

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

### 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

### 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
Metabolism/Biotransformation

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

Metabolism/Biotransformation (cont'd)

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

Metabolism/Biotransformation (cont'd)

Factors that decrease metabolism
• Cardiovascular dysfunction
• Renal insufficiency
• Starvation
• Obstructive jaundice
• Erythromycin or ketoconazole drug therapy

Factors that increase metabolism
• Barbiturates
• Rifampin therapy

Metabolism/Biotransformation (cont'd)

Delayed drug metabolism results in:
• Accumulation of drugs
• Prolonged action of the drugs
Stimulating drug metabolism causes:
• Diminished pharmacologic effects

Excretion

The elimination of drugs from the body
• Kidneys (main organ)
• Liver
• Bowel
  – Biliary excretion
  – Enterohepatic circulation
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

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

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

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

Pharmacodynamics: Mechanisms of Action

- Receptor interaction
- Enzyme interaction
- Nonspecific interactions

See p. 27
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.)

Pharmacotherapeutics: Types of Therapies

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

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)

Monitoring (cont’d)

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

Monitor (cont’d)

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

Monitoring (cont’d)

- Tolerance
  - A decreasing response to repetitive drug doses
Monitoring (cont'd)

• Dependence
  – A physiologic or psychological need for a drug

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

Monitoring (cont'd)

• Drug interactions
  – Additive effect
  – Synergistic effect
  – Antagonistic effect
  – Incompatibility

Monitoring (cont'd)

• Medication misadventures
  – Adverse drug events
  – Adverse drug reactions
  – Medication errors

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

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
Iatrogenic Responses

Unintentional adverse effects that are treatment induced
• Dermatologic
• Renal damage
• Blood dyscrasias
• Hepatic toxicity

Other Drug-Related Effects

• Teratogenic
• Mutagenic
• Carcinogenic

Toxicology

The study of poisons and unwanted responses to therapeutic agents

<table>
<thead>
<tr>
<th>COMMON POISONS AND ANTIDOTES</th>
</tr>
</thead>
<tbody>
<tr>
<td>Poison</td>
</tr>
<tr>
<td>acetaminophen (Tylenol)</td>
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<tr>
<td>Opioid analgesics (e.g., oxycodone)</td>
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<tr>
<td>Tryptic anti-emetics, quinidine</td>
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<tr>
<td>Calcium channel blockers</td>
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<tr>
<td>Iron salts</td>
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<tr>
<td>digoxin and other cardiac glycosides</td>
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<tr>
<td>Ethylene glycol (e.g., automotive antifreeze solution)</td>
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<tr>
<td>Benzodiazepines</td>
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<tr>
<td>Beta-blockers</td>
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<tr>
<td>Oxaliplast drugs</td>
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<tr>
<td>Carbon monoxide (by inhalation)</td>
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Table 2-9 Common Poisons and Antidotes