Basic Pharmacology & Pharmokinetics

Objectives
- Discuss drug nomenclature and classifications
- Describe the processes involved with pharmacokinetics and pharmacodynamics
- Discuss potential drug interactions

Drug Nomenclature
- Drugs are identified by one of 3 names:
  - Chemical — long name, refers to the chemical structure of the drug
  - Generic — shorter name derived from the chemical name [nonproprietary]
  - Trade — brand name assigned by the manufacturer

<table>
<thead>
<tr>
<th>Generic Name</th>
<th>Trade Name</th>
</tr>
</thead>
<tbody>
<tr>
<td>Acetaminophen</td>
<td>Tylenol, Pain-Reliever</td>
</tr>
<tr>
<td>Celecoxib</td>
<td>Celebrex</td>
</tr>
<tr>
<td>Penicillin</td>
<td>V-Cillin, Amoxicillin</td>
</tr>
<tr>
<td>Cephalosporin</td>
<td>Keflex, Keflin, Suprax, Utrace</td>
</tr>
<tr>
<td>Erythromycin</td>
<td>E-Mycin, Erythrocin</td>
</tr>
<tr>
<td>Synephrine</td>
<td>Neo-Synephrine, Afrin, Oxymetazoline</td>
</tr>
<tr>
<td>Pseudoephedrine</td>
<td>Actifed, Sudafed</td>
</tr>
</tbody>
</table>

Drug Classification
- **Over-the-counter (OTC)**
- **Prescription (controlled substance)**
  - Schedule I – highest potential for abuse
  - Schedule II
  - Schedule III
  - Schedule IV
  - Schedule V – lowest potential for abuse

Abbreviations for dosage
- **bid** — twice a day
- **tid** — three times a day
- **qid** — four times a day
**Drug Classification**
- Most narcotic pain prescriptions are classified as Schedule III
- Anabolic steroids are also Schedule III

**The Study of Drugs**
- **Pharmacology** – science of drugs
- **Pharmacokinetics** – process related to how the body acts on the drug
- **Pharmacodynamics** – process related to how a drug acts on the body

**Pharmacokinetics**
- How the body handles a drug
- Two primary routes of administration
  - enteral
  - parenteral
- Four phases (ADME)
  - absorption
  - distribution
  - metabolism
  - elimination

**Routes of Drug Administration**
- **Enteral**
  - oral
  - sublingual
  - rectal
- **Parenteral**
  - inhalation
  - injection
  - topical or transdermal application

**Systems effects**
- **Oral**
- **Injection** (either intramuscular, intravenous, subcutaneous, or intra-articular)
- **Intranasal**
- **Oral inhalation**
- **Sublingual** (under the tongue)
- **Buccal** (inside the cheek or directly on the gum)
- **Rectal**
**Routes of Drug Administration**

**Local effects**
- Ophthalmic (eye)
- Otic (ear)
- Topical (skin)

**Most immediate effects produced by:**
- Intravenous
- Inhalation (oral or nasal)
- Sublingual absorption
- Drugs administered orally may require 30 minutes before relief is provided
- Liquids or powders dissolved in water will act more quickly than tablets or capsules

**Drug Concentrations**
- A drug’s most intense effects occur during the peak serum concentration
- When the highest level of the drug is in the bloodstream

**ADME Process of Pharmacokinetics**
- Absorption
- Distribution
- Metabolism
- Elimination or Excretion

**Absorption**
- For a drug to produce a therapeutic effect, it must be absorbed into the bloodstream and distributed through the circulation
- Absorption requires the drug molecule to move across a membrane
  - Simple diffusion
  - Facilitated diffusion
Absorption

- Drugs taken by mouth pass to the stomach & then the intestine
- They are absorbed from the GI tract into the circulatory system

Absorption

- Lipid soluble drugs can easily pass through the blood-brain barrier to affect the CNS

Absorption

- Exercise decreases absorption of drugs taken by mouth
  - Blood is diverted away from the GI tract to the skeletal muscles
  - When the body absorbs less of a drug, its therapeutic effectiveness is decreased

Absorption

- Can be affected by the drug’s bioavailability
  - The amount of drug actual active in the body tissues
  - Typically just a fraction of its dosage size
  - If a drug’s bioavailability is 50%, then the body will only absorb 250 mg of a 500 mg dose.
    - Naproxen (Naprosyn) – bioavailability is 95%
    - Voltaren – bioavailability is 50-60%

Distribution

- Once absorbed into the bloodstream, the drug can be distributed to target sites throughout the body
- Exercise increases the distribution of most drugs
  - Increasing cardiac output increases the speed at which the circulatory system carries the drug to its target site

Distribution

- Affected by a drug’s lipid solubility
  - Drugs with high lipid solubility can easily penetrate fat stores and cross membrane barriers → providing a broader distribution
  - Water soluble drugs can be easily eliminated from the body
  - Fat soluble drugs can be stored in the body, providing longer lasting effects
**Metabolism**
- Clearing process that breaks down substances into water soluble form for easy excretion
  - primary site → liver
  - may also occur in the kidneys, GI tract, and lungs.

**Metabolism**
- Exercise can decrease the clearance of some drugs due to blood being diverted away from the GI, kidneys, and liver to the working skeletal muscle

**Metabolism**
- **HOWEVER**, since the duration of the drug's effects is usually longer than an exercise session, the overall effect of exercise on drug metabolism is *insignificant* or *negligible*.

**Elimination or Excretion**
- **Removal of the drug from the body**
  - Primary method
    - kidneys → urine
  - Other methods
    - Biliary tract → feces
    - Lungs → respiration
    - Sweat glands → perspiration

**Elimination or Excretion**
- Exercise can slow the rate of excretion since blood flow will be diverted away from the kidneys to the working skeletal muscle
- Excretion rate also affected by the drug's **half-life**

**Elimination or Excretion**
- **half-life** – the time required for the body to eliminate one-half of a dosage of a drug by regular physical processes
  - if a drug has a half-life of 8 hours, then it will take 8 hours for the blood concentration of the drug to be decreased by 50%
Elimination or Excretion

- Naproxen (Naprosyn) has a half-life of 12-17 hours.
- It will take 12 hours to reduce the blood concentration of a 500 mg dose to 250 mg.
- Water soluble drugs will have shorter half-life than lipid soluble drugs.
- Lipid soluble drugs will remain in the system longer.

Pharmacodynamics

For drugs to work, they must bind with a receptor:
- The receptor can be a molecule within a cell or on the cell membrane.
- Relationship between a drug and receptor is much like a lock and key:
  - very specific fit
  - any chemical change in the drug or the receptor can change the interaction

Drug dosing:
- Based on the drug’s potency, the patient’s age, the patient’s condition
- Potency:
  - Strength of drug; the greater the potency, the smaller the dose necessary to produce therapeutic effect

Examples of Drug Dosing

- Aspirin:
  - Usually manufactured in 325 mg tablets.
  - Typical dose = 2 tablets (650 mg) every four hours.

- Ibuprofen:
  - Typical dose = 400 mg.

- Ketoprofen (Orudis):
  - Typical dose 12.5 mg every four to six hours.

Drug Interactions

- Occur when one drug alters the effect of another drug.
- May change how the body handles one or both of the drugs:
  - Antacids reduce the body’s ability to absorb acetaminophen from the intestine.
  - Some antibiotics may accelerate the metabolism of oral contraceptives.
- May change the way a drug acts on the body.
Drug Interactions

- Can be additive or inhibitive
  - Additive effects occur when someone takes two drugs of the same type at the same time
    - Example: two stimulants or two depressants
    - The effects of the drugs “add together”

- Can easily occur through innocent use of OTC meds
  - Particularly with combination products for the treatment of colds and allergies
  - Caution your athletes to read the labels of OTC meds
  - Inhibitory effects may occur with the combination of two unrelated drugs

Inhibitory effects (agonistic)
- A diet pill (appetite suppressant – which is a stimulant) combined with several cups of coffee (also a stimulant) may cause heart palpitations, tachycardia, insomnia
- Alcohol combined with an antihistamine (both are depressants) may cause excessive drowsiness, dizziness, loss of muscle coordination, and loss of mental alertness

Inhibitory effects (antagonistic)
- Some antibiotics may inhibit or reduce the effectiveness of oral contraceptives
- Aspirin may increase the effects of insulin
- Dairy products may reduce the effectiveness of tetracycline (an antibiotic)

Adverse Drug Reactions

- Range from a side-effect to hypersensitivity
  - Examples of side effects:
    - Drowsiness produced by an antihistamine
    - Loss of appetite associated with many antibiotics
  - Examples of hypersensitivity:
    - Allergic reactions that range from a simple rash to bronchial spasm or anaphylactic shock
Adverse Drug Reactions
- Can occur immediately or be delayed
  - Most delayed effects occur with chronic use of a drug
    - Chronic use of Tylenol is linked to liver damage

Sources of Drug Information
- Physician's Desk Reference
- Facts and Comparisons
- NCAA website
- USOC website

Questions?