



## 1. Drug Facts

a. **Sources:** Most drugs come from **plants** or are chemically derived from plants; **bio-cultural coevolution** has created the “niche” of drug-to-neuron that creates physiological and psychoactive effects.



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## 1. Drug Facts

### b. Naming

i. **Chemical name:** Complete chemical description of the molecule

▪ **Example:** N'-[2-[[5-(dimethylaminomethyl)-2-furyl]methylsulfanyl]ethyl]-N-methyl-2-nitro-ethene-1,1-diamine

ii. **Generic name:** Official (legal) name listed in the *United States Pharmacopoeia*

▪ **Example:** ranitidine

iii. **Brand name:** Specific drug or formulation trademarked by manufacturer; can be patented for 20 years;

▪ **Example:** Zantac® (an acid reflux drug)

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## 1. Drug Facts

### c. Categories

**Categorization is “in the eye of the beholder”** (p. 107)

1. **Stimulants** produce wakefulness, a sense of energy

2. **Depressants** slow nervous system activity

3. **Opioids** (narcotics) reduce pain

4. **Hallucinogens** produce altered perceptions

5. **Psychotherapeutics** control mental disorders

Some drugs have effects typical of more than one category

6. **Marijuana**

7. **Nicotine**

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# 1. Drug Facts

## d. Identification

- It is important that both legal and illicit drugs be **identifiable by appearance**
- The **Physician's Desk Reference (PDR.net)** includes color photographs of many legally manufactured pharmaceuticals
- Illegal drugs** are sometimes shaped, marked, or packaged in an identifiable way
- Drugs can be tested and identified **chemical analysis**



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# 2. Drug Effects

- Nonspecific effects** derive from the user's unique background, expectations, perceptions, and environment (setting); e.g. a "bad acid trip", "happy drunk or sad drunk?"
- Specific effects** depend on the presence of a chemical at certain concentrations; e.g. alcohol ataxia, dilation of eyes
- Placebo effects** are those produced by an inactive chemical that the user believes to be a drug
  - Especially important in treating pain and psychological depression

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## 2a. Double-Blind Procedure

- Because of nonspecific effects (for instance bias of subject to act in a way expected by a doctor or researcher) **double-blind tests** are needed to evaluate the effectiveness of a drug;
- Neither the test subjects nor the evaluators knows whether a subject is receiving an experimental drug or a placebo until the drug trial is over.



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## 2b. Animal Testing: Effective and Lethal Doses

- **Effective dose** = the dose of a drug that produces a meaningful effect in some percentage of test subjects
  - ED<sub>50</sub> refers to the effective dose for half the animal subjects in a drug test
- **Lethal dose** = the dose of a drug that has a lethal effect in some percentage of test subjects
  - LD<sub>50</sub> refers to the lethal dose for half the animal subjects in a drug test
- **Therapeutic index** =  $LD_{50}/ED_{50}$ 
  - Always greater than one

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## 2c. Potency, Toxicity, and Safety Margin

- **Potency** = measured by the amount of a drug required to produce a given effect
- **Toxicity** = capacity of a drug to do damage or cause adverse side effects
- **Safety margin** = difference between:
  - Dose that produces the desired therapeutic effect in most patients
  - Lowest dose that produces an unacceptable toxic reaction
- Most drugs have an LD<sub>1</sub> well above the ED<sub>95</sub>



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## 2.d. Mechanisms of Drug Action

- Drugs have effects on all neurons
- Certain drugs have effects on specific neurotransmitter systems
  - **Drugs may alter** the availability of a neurotransmitter by changing the rate of **synthesis, metabolism, release, or reuptake**
  - Drugs may **activate or prevent the activation of a receptor**

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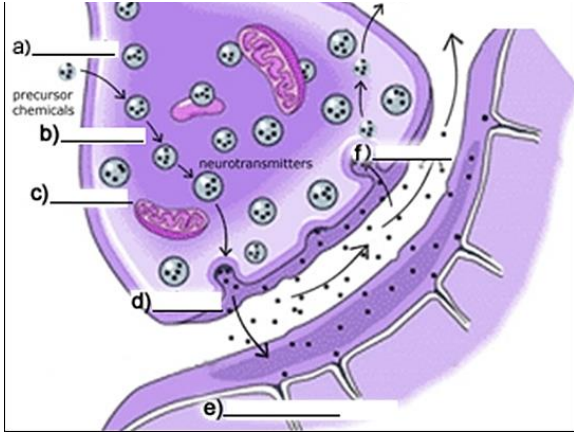
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## 2di.Dose-Response Relationship

- **Dose-response relationship** = correlation between the **response and quantity** of drug administered
- **Threshold** = the **dose at which an effect is first observed**

- Some response systems have higher thresholds than others, so dose-response curves can be created for different drug effects (ex. vomit response vs. dilation of eyes)
- Some drugs have an **all-or-none dose-response relationship** (for example, *ibuprofen* or *aspirin*) – **side effects** may accumulate but not drug response (taking more than the recommended dose won't help and may hurt).




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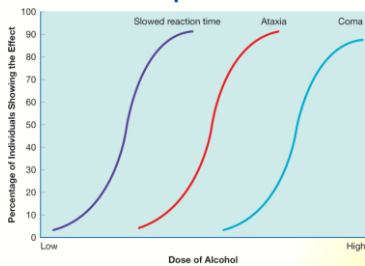
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## Dose-Response Curve



Dose-response graph showing size of response relative to amount of drug administered; different systems/effects have different response thresholds

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### 3. Time-Dependent Factors

Drugs vary in the timing of the onset, duration, and termination of their effects.

a. The **time course** of a drug **depends on how the drug is administered, how rapidly is it absorbed, and how it is eliminated** from the body

- Drug effects can be prolonged by taking additional doses at intervals determined by the time course of the drug (like **time-release capsules**)
- Taking multiple doses too close together will increase the maximum blood level of the drug (**cumulative effects**.)



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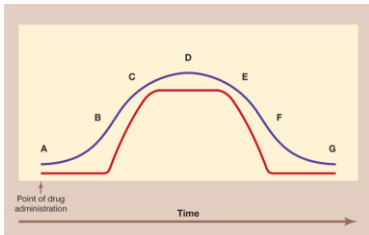
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### 3. Time-Dependent Factors



Possible relationship between drug concentration in the body and measured effect of the drug

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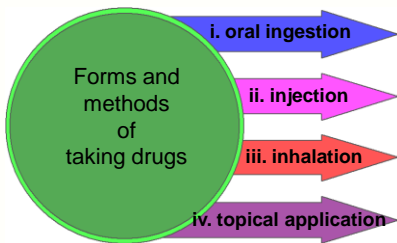
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### 3b. Routes of Administration



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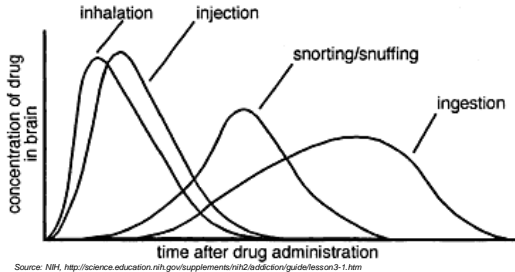
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## b. Routes of Administration: Time to Max. Concentration




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## b. Routes of Administration: i. Oral Ingestion

- Absorption from the gastrointestinal (GI) tract is a complicated process
- **Drugs must withstand the digestive processes** and pass through the cells lining the GI tract into the bloodstream
- Drugs from the GI tract travel **through veins first to the liver**, where they may be metabolized




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## b. Routes of Administration: ii. Injection

ii.1. **Intravenous (IV) injection** involves putting the drug directly into the bloodstream

- Effects are **rapid**
- **High concentrations** can be delivered
- Irritating material can be injected this way
- **Veins can be damaged** over time
- **Infections** can be directly introduced into the bloodstream




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b. Routes of Administration:  
**ii. Injection**

**ii.2. Subcutaneous injection** (under the skin)

- Known as “skin popping” (most commonly cocaine, opiates and barbiturates)
- Can cause skin **necrosis**

**ii.3. Intramuscular injection** (into a muscle)

- Absorption is more rapid than subcutaneous injection due to the greater blood supply in muscles

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b. Routes of Administration:  
**iii. Inhalation**

- The drug moves from the **lungs into the bloodstream through capillary walls**
- Effects are rapid because **blood moves quickly from the lungs to the brain**



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## b. Routes of Administration: iv. Topical Application

- Absorption through the skin can provide **slow, steady drug delivery**
- Absorption through the mucous membranes occurs more rapidly



When a user snorts cocaine, the drug is absorbed through the mucous membranes in the nose; it is not inhaled.

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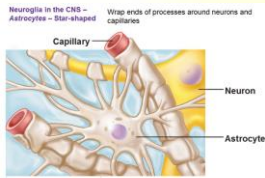
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## 3.c. Blood-Brain Barrier (BBB)

- Some drugs can't cross the **blood-brain barrier**; they act only on peripheral nerves (e.g. some pain meds)
- **Only lipid(fat)-soluble substances can leave capillaries in the brain and effect neurons**
- **Index of compounds regarding the BBB**
- Many brain capillaries are covered with glial cells, also increasing the difficulty for compounds to pass out of the capillaries
- **Active transport systems** may be needed to move chemicals in and out of the brain
- Trauma and infections can impair the blood-brain barrier



(a) Astrocytes are the most abundant CNS neuroglia.

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## 3.d. Drug Interaction

- Combining **depressants** can cause respiratory depression
- **Stimulants + antidepressants** can lead to overexcitement, high blood pressure, and arrhythmia
- **Stimulants + depressants** can lead to explosive and dangerous behaviors
- **Cocaine + alcohol** produces a potent and toxic substance called *cocaethylene*



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## 4. Drug Deactivation

a. A drug ceases to have an effect when it is **excreted unchanged from the body or is chemically changed**

b. The key **drug-metabolizing liver enzymes** are a group known as **CYP450**

- The resulting metabolite no longer has the same action as the drug
- The resulting metabolite can be excreted by the kidneys



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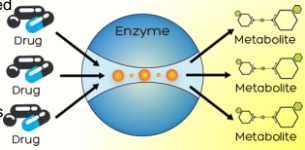
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## 4.c. Enzyme Induction

When the body's cells detect the presence of foreign **drugs**, they **trigger production of more of the specific metabolizing enzyme**:

- Causes **tolerance**
- Causes **interaction of drugs broken down by the same enzyme**
- Enzyme activity returns to normal some time after the inducing drug is no longer being used
- **Enzyme induction** and tolerance can occur after use of prescription and OTC drugs, dietary supplements, or illicit drugs



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## 4.d. Mechanisms of Tolerance and Withdrawal Symptoms

- **Drug-disposition tolerance:** A drug may induce **metabolization or chemical change** in the body that moderates its effect;
- **Behavioral tolerance:** Drug may have the same biochemical effect but a reduced behavioral effect as a **drug user learns to compensate** for nervous system impairment
- **Pharmacodynamic tolerance**

- **Sensitivity of neurons changes** after repeated drug use
- Can cause withdrawal reactions



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