Definition of Terms

a. Pharmacology - the study of substances that interact with living systems through chemical processes, especially by binding to regulatory molecules and activating or inhibiting normal body processes.

b. Toxicology - branch of pharmacology which deals with the undesirable effects of chemicals on living systems, from individual cells to complex ecosystems.

c. Drug - any substance that brings about a change in biologic function through its chemical actions.

d. Poisons - are drugs that have almost exclusively harmful effects. (Paracelsus – famously stated “the dose makes the poison” --- any substance can be harmful if taken in the wrong dosage)

e. Toxins - are defined as poisons of biologic origin, synthesized by plants or animals

f. Pharmacokinetics - the actions of the **body on the drug.** Govern the Absorption, Distribution, and Elimination of drugs.

g. Pharmacodynamics - the actions of the **drug on the body.**

h. Agonist - drugs bind to and activate the receptor in some fashion, which directly or indirectly brings about the effect.

i. Antagonist - by binding to a receptor, prevent binding by other molecules.

j. Partial Agonist - bind to the same receptors and activate them in the same way but do not evoke as great a response, no matter how high the concentration.

k. Inverse Agonist - is a ligand that binds to the same receptor-binding site as an agonist and not only antagonizes the effects of an agonist but exerts the opposite effect.

Table 1-3

Endocytosis and Exocytosis

Endocytosis - is the process by which the substance is bound at a cell-surface receptor, engulfed by the cell membrane, and carried into the cell by pinching off of the newly formed vesicle inside the membrane.

Exocytosis - the reverse process. Is responsible for the secretion of many substances from cells.

Factors for a drug to cross the lipid membrane:

- Lipid soluble
- Uncharged
- Non-polar
- Small in size
- Non-ionized

Drug-Receptor Bonds

These are of 3 major types:

COVALENT bonds – are very strong and in many cases not reversible under biologic conditions.

ELECTROSTATIC bonds – more common but are weaker than covalent bonds.

HYDROPHOBIC bonds – are usually quite weak and are important in the interactions of highly lipid soluble drugs.

THE TIME COURSE of DRUG EFFECT

IMMEDIATE EFFECTS – drug effects are directly related to plasma concentrations.

DELAYED EFFECTS - Changes in drug effects are often delayed in relation to changes in plasma concentration. This delay may reflect the time required for the drug to distribute from plasma to the site of action.

CUMULATIVE EFFECTS - It is the accumulation of aminoglycoside in the renal cortex that is thought to cause renal damage.

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l. Receptor - The component of a cell or organism that interacts with a drug and initiates the chain of biochemical events leading to the drug`s observed effects.

… (cont)

m. Prodrug - an inactive precursor chemical that is readily absorbed and distributed is administered and then converted to the active drug by biologic processes – inside the body.

n. Permeation - is the movement of drug molecules into and within the biologic environment.

o. Weak Acid - is a neutral molecule that can reversibly dissociate into an anion and a proton.

p. Weak Base - a neutral molecule that can form a cation (positively charged) by combining with a proton.

q. Volume of Distribution - the measure of the apparent space in the body available to contain the drug.

r. Clearance - the measure of the ability of the body to eliminate the drug.

s. Half-life - is the time required to change the amount of drug in the body by one-half during elimination.

t. Bioavailability - fraction of unchanged drug reaching the systemic circulation following administration by any route.

u. Enzyme Inhibition - Certain drug substrates inhibit cytochrome P450 enzyme activity. Reduce the metabolism of the endogenous substrates or other coadministered drugs.

v. Enzyme Induction - Enhance the rate of drug`s synthesis or reducing its rate of degradation.

w. Medical Pharmacology - defined as the science of substances used to prevent, diagnose, and treat disease.

x. Pharmacogenomics - the relation of the individual's genetic makeup to his or her response to specific drugs is becoming an important part of therapeutics.

y. Free Drug - exerts a biological effect

z. Bound Drug - stays in the vascular space and is not metabolized or eliminated.

TABLE 1–3 Ionization constants of some common drugs.

Drug	pK_a[1]	Drug	pK_a[1]	Drug	pK_a[1]
Weak acids		**Weak bases**		**Weak bases (cont'd)**	
Acetaminophen	9.5	Albuterol (salbutamol)	9.3	Isoproterenol	8.6
Acetazolamide	7.2	Allopurinol	9.4, 12.3[2]	Lidocaine	7.9
Ampicillin	2.5	Alprenolol	9.6	Metaraminol	8.6
Aspirin	3.5	Amiloride	8.7	Methadone	8.4
Chlorothiazide	6.8, 9.4[2]	Amiodarone	6.6	Methamphetamine	10.0
Chlorpropamide	5.0	Amphetamine	9.8	Methyldopa	10.6
Ciprofloxacin	6.1, 8.7[2]	Atropine	9.7	Metoprolol	9.8
Cromolyn	2.0	Bupivacaine	8.1	Morphine	7.9
Ethacrynic acid	2.5	Chlordiazepoxide	4.6	Nicotine	7.9, 3.1[2]
Furosemide	3.9	Chloroquine	10.8, 8.4	Norepinephrine	8.6
Ibuprofen	4.4, 5.2[2]	Chlorpheniramine	9.2	Pentazocine	7.9
Levodopa	2.3	Chlorpromazine	9.3	Phenylephrine	9.8
Methotrexate	4.8	Clonidine	8.3	Physostigmine	7.9, 1.8[2]
Methyldopa	2.2, 9.2[2]	Cocaine	8.5	Pilocarpine	6.9, 1.4[2]
Penicillamine	1.8	Codeine	8.2	Pindolol	8.6
Pentobarbital	8.1	Cyclizine	8.2	Procainamide	9.2
Phenobarbital	7.4	Desipramine	10.2	Procaine	9.0
Phenytoin	8.3	Diazepam	3.0	Promethazine	9.1
Propylthiouracil	8.3	Diphenhydramine	8.8	Propranolol	9.4
Salicylic acid	3.0	Diphenoxylate	7.1	Pseudoephedrine	9.8
Sulfadiazine	6.5	Ephedrine	9.6	Pyrimethamine	7.0–7.3[3]
Sulfapyridine	8.4	Epinephrine	8.7	Quinidine	8.5, 4.4[2]
Theophylline	8.8	Ergotamine	6.3	Scopolamine	8.1
Tolbutamide	5.3	Fluphenazine	8.0, 3.9[2]	Strychnine	8.0, 2.3[2]
Warfarin	5.0	Hydralazine	7.1	Terbutaline	10.1
		Imipramine	9.5	Thioridazine	9.5