

Table 1-3

TABLE 1-3 Ionization constants of some common drugs.

Drug	pK _a	Drug	pK _a	Drug	pK _a
Weak acids		Weak bases		Weak bases (cont'd)	
Acetylsalicylic acid	3.5	Albuterol (salbutamol)	9.3	Isoprenaline	8.6
Acetazolamide	7.2	Albuterol	9.4, 12.3 ²	Lidocaine	7.9
Ampicillin	2.5	Alprenolol	9.6	Metamizol	8.6
Aspirin	3.5	Amlodipine	8.7	Methadone	8.4
Chlorbutol	5.6, 9.2 ²	Amphetamine	9.6	Methamphetamine	10.0
Chlorpropamide	5.0	Amphetamine	9.8	Methyldopa	10.6
Ciprofloxacin	6.1, 8.7 ²	Atropine	9.7	Morphine	9.8
Cimetidine	2.0	Bupivacaine	8.1	Morphine	7.9
Ethacrynic acid	2.5	Chlorbutol	4.6	Nicotine	7.5, 11.7 ²
Furosemide	3.9	Chloroquine	10.8, 8.4	Norepinephrine	8.6
Guarfenin	4.4, 12.2 ²	Chlorpheniramine	9.2	Pentazocine	7.9
Levodopa	2.3	Chlorpromazine	9.3	Phenylephrine	9.8
Methionine	4.8	Clonidine	8.3	Physostigmine	7.9, 11.7 ²
Methyldopa	2.2, 9.2 ²	Cocaine	8.5	Pilocarpine	6.9, 11.4 ²
Penicillin	1.8	Cocaine	8.2	Propranolol	9.4
Phenobarbital	8.1	Cytidine	8.2	Procaine	9.2
Phenobarbital	7.4	Desipramine	10.2	Procaine	9.0
Phenylephrine	8.3	Diazepam	3.0	Propiomazine	9.1
Phenytoin	8.3	Diazepam	3.0	Propiomazine	9.1
Phenytoin	8.3	Diphenhydramine	8.8	Propiomazine	9.1
Salicylic acid	3.0	Diphenhydramine	7.1	Pseudoephedrine	9.8
Sulfadiazine	6.5	Ephedrine	9.6	Pyrimethamine	7.0-7.3 ²
Sulfapyridine	8.4	Ephedrine	8.7	Quinine	8.5, 11.4 ²
Thiopental	8.8	Ergonovine	6.3	Scopolamine	8.1
Tubocurarine	5.3	Fluphenazine	8.0, 11.9 ²	Strychnine	8.0, 12.3 ²
Warfarin	5.0	Hydroxyzine	7.1	Tubocurarine	10.1
		Isoprenaline	9.3	Thiobarbitone	8.5

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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