Drugs target receptors because receptors are involved in chemical signaling between and within cells. Receptors can be located on the cell membrane, within the cytoplasm of the cell, or on cell nuclei. Activated receptors regulate cellular biochemical processes either directly (for example, causing a channel to open and allowing ions to flow through) or indirectly (for example, causing a cascade of events in a cell that eventually causes protein production).

The molecules (drugs, supplements, chemicals, hormones, neurotransmitters, peptides) that bind to receptors are called ligands. Ligands bind to specific regions of receptors, called recognition sites. The binding site for an exogenous (not present in your body) drugs may be the same as or different from endogenous (present in your body) ligands (hormone or neurotransmitter). A ligand that activates a receptor is called an agonist. The activation of receptors can increase or decrease (inhibit) particular cell functions that are already ongoing or the ligand can start a cell process from the beginning. A ligand that blocks a receptor is called an antagonist. (I could go on for pages about the details of agonists and antagonists, but I will save that for the next Pharmacology Corner!) Many ligands can interact with many different subtypes of receptors (this degree to which a drug acts at a particular receptor site relative to other sites is called ligand specificity).

A drug’s affinity for a receptor is a measure of how tightly the drug binds to the receptor. The intrinsic efficacy of a drug is the degree to which the drug activates receptors (some activate it maximally, some only partially). Both the affinity and efficacy can contribute to the degree of length of biological response produced by a drug and are influenced by the chemical structure of the ligand.

![Figure 1](image)

**Figure 1.** The binding of a drug to a receptor produces a biological response.

The pharmacologic effect of a drug is also determined by the duration of time that the drug-receptor interaction lasts (residence time). Residence time is affected by dynamic processes of the receptor (conformation changes) that control the rate of drug association and dissociation. A longer residence time can explain a longer pharmacologic effect; however, a longer residence time can be a disadvantage because it can also lengthen a drug’s toxicity.
The ability of a drug ligand to bind to a receptor is influenced by external and environmental factors as well as by intracellular regulatory mechanisms. The amount of receptors present at the site of action and the efficiency of response varies from tissue to tissue. The presence of other drugs/supplements/foods, aging, genetic mutations, and disorders can increase (up-regulate) or decrease (down-regulate) the number and binding affinity of receptors. For example, excessive caffeine intake up-regulates adenosine receptors and causes tolerance to the effects of the drug. Chronic therapy with β-blockers up-regulates β-receptor density and severe hypertension or tachycardia can result from abrupt withdrawal. For those reasons, it is always important to discuss all dietary supplements and medications with your physician.

Review Figure 1. for a cartoon summary of a drug binding to a receptor. Next time, we will discuss more details about ligands.

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