

BASIC PHARMACOLOGY

receptors

- ▶ **receptors** are chemical structures, composed of protein that receive and transduce signals that may be integrated into biological systems. These signals are typically chemical messengers, which bind to a receptor, they cause some form of cellular/tissue response, e.g. a change in the electrical activity of a cell. There are three main ways the action of the receptor can be classified: relay of signal, amplification, or integration.
- ▶ There are **three** general categories of cell-surface **receptors**: ion channel-linked **receptors**, G-protein-linked **receptors**, and enzyme-linked **receptors**.
- ▶ Cell **receptors working** in a similar way to football players: They receive signals and initiate a response. In biology, **receptors** are proteins or glycoproteins that receive signals by binding to signaling molecules, often called first messengers or ligands, that send a specific signal onward.

LIGANDS

- ▶ In biochemistry and pharmacology, a **ligand** is a substance that forms a complex with a biomolecule to serve a **biological** purpose. In protein-**ligand** binding, the **ligand** is usually a molecule which produces a signal by binding to a site on a target protein.
- ▶ According to this classification, **ligands** are divided into the following **types** – inorganic **ligands**, neutral organic **ligands**, anionic organic **ligands** and cationic organic **ligands**:
 - Inorganic **Ligands**: These are the **ligands** which are of either ionic nature or other inorganic forms of chemical compounds.
- ▶ A **ligand** is a small molecule that is able to bind to **proteins** by weak interactions such as ionic bonds, hydrogen bonds, Van der Waals interactions, and hydrophobic effects. In some cases, a **ligand** also serves as a signal triggering molecule. ... For example, oxygen is the **ligand** that binds to both hemoglobin and myoglobin.

CELL SIGNALING

- ▶ In biology, cell signaling is part of any communication process that governs basic activities of cells and coordinates multiple-cell actions. The ability of cells to perceive and correctly respond to their microenvironment is the basis of development, tissue repair, and immunity, as well as normal tissue homeostasis.
- ▶ **Cell** signaling, which is also often referred to as **signal** transduction or transmembrane signaling, is the process by which **cells** communicate with their environment and respond temporally to external cues that they sense there.
- ▶ There are **four** basic categories of chemical **signaling** found in multicellular organisms: paracrine **signaling**, autocrine **signaling**, endocrine **signaling**, and **signaling** by direct contact.

Drug potency and efficacy

- ▶ In the field of pharmacology, potency is a measure of drug activity expressed in terms of the amount required to produce an effect of given intensity. A highly potent drug evokes a given response at low concentrations, while a drug of lower potency evokes the same response only at higher concentrations
- ▶ In pharmacology, **efficacy** (E_{\max}) is the maximum response achievable from an applied or dosed agent, for instance, a small molecule **drug**. Intrinsic activity is a relative term for a **drug's efficacy** relative to a **drug** with the highest observed **efficacy**.

AGONIST & TYPES

- ▶ An **agonist** is a chemical that binds to a receptor and activates the receptor to produce a biological response. Whereas an **agonist** causes an action, an antagonist blocks the action of the **agonist**, and an inverse **agonist** causes an action opposite to that of the **agonist**.
- ▶ Receptors can be activated by either endogenous agonists (such as hormones and neurotransmitters) or exogenous agonists (such as drugs), resulting in a biological response. A physiological agonist is a substance that creates the same bodily responses but does not bind to the same receptor.

ANTAGONIST

- ▶ **Antagonist:** A substance that acts against and blocks an action. **Antagonist** is the opposite of agonist. **Antagonists** and agonists are key players in the chemistry of the human body and in pharmacology.
- ▶ A receptor **antagonist** is a type of receptor ligand or **drug** that blocks or dampens a biological response by binding to and blocking a receptor rather than activating it like an agonist. They are sometimes called blockers; examples include alpha blockers, beta blockers, and calcium channel blockers.

BIOAVAILABILITY & THERAPEUTIC INDEX

- ▶ In pharmacology, bioavailability is a subcategory of absorption and is the fraction of an administered drug that reaches the systemic circulation. By definition, when a medication is administered intravenously, its bioavailability is 100%.
- ▶ The **therapeutic index** (TI; also referred to as **therapeutic ratio**) is a quantitative measurement of the relative safety of a drug. It is a comparison of the amount of a **therapeutic** agent that causes the **therapeutic** effect to the amount that causes toxicity.