Receptor, Drug Encyclopedia Article

Receptor, Drug

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Receptor, Drug

A receptor is a molecular site, specific for a drug or its class, with which the drug must combine to produce its effect. If a drug is in the body but cannot bind to the receptor, then there is no effect. A receptor can be thought of as the button or switch that the drug must activate in order to produce a physiologic effect.

Receptors for drugs are the same receptors used in the brain by naturally occurring compounds referred to as neurotransmitters. NEUROTRANSMITTERS are chemical signaling messengers in the brain that work by binding to specific receptors; a wide variety of drugs of abuse bind to these same receptors. In this sense, drugs of abuse insert themselves into natural and normal systems found in the brain take over normal pathways in abnormal ways. Receptors are essential for normal functioning of the body and are, therefore, of great interest and importance in physiology and medicine.

Receptors can be stimulated by compounds called AGONISTS, or blocked by compounds called ANTAGONISTS. Antagonists prevent the action of agonists. For example, NALTREXONE, an antagonist, will prevent MORPHINE, an agonist, from having any effect.

A major achievement of research in drug abuse over the past thirty years has been the identification and study of almost all receptors for drugs of abuse. Receptors are generally classified into two types: an ion channel type and a coupled type receptor or "G protein". NICOTINE acts at one of the former and morphine at one of the latter. However, sometimes the initial molecular site that a drug acts at is not one of these two classical types of receptors. For example, COCAINE acts at another kind of molecule called a transporter for DOPAMINE; after cocaine binds at this site, dopamine transport in the brain is blocked, which then results in increased actions at the dopamine receptor. Since receptors are the initial, molecular sites of binding of drugs, they are clearly of interest in understanding how drugs produce their effects and how we might develop medications for drug abuse treatments.