

Antagonist Encyclopedia Article

Antagonist

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An antagonist is a drug that binds to a RECEPTOR (i.e., it has affinity for the receptor binding site) but does not activate the receptor to produce a biological response (i.e., it possesses no intrinsic activity). Antagonists are also called receptor "blockers" because they block the effect of AGONISTS. The pharmacological effects of an antagonist therefore result in preventing agonists (e.g., drugs, hormones, neurotransmitters) from binding to and activating the receptor. A competitive antagonist competes with an agonist for binding to the receptor. As the concentration of antagonist is increased, the binding of the agonist is progressively inhibited, resulting in a decrease in the physiological response. High antagonist concentrations can completely inhibit the response. This inhibition can be reversed, however, by increasing the concentration of the agonist, since the agonist and antagonist compete for binding to the receptor. A competitive antagonist, therefore, shifts the dose-response relationship for the agonist to the right, so that an increased concentration of the agonist in the presence of a competitive antagonist is required to produce the same biological response observed in the absence of the antagonist.

A second type of receptor antagonist is an irreversible antagonist. In this case, the binding of the antagonist to the receptor (its affinity) may be so strong that the receptor is unavailable for binding by the agonist. Other irreversible antagonists actually form chemical bonds (e.g., covalent bonds) with the receptor. In either case, if the concentration of the irreversible antagonist is high enough, the number of receptors remaining that are available for agonist binding may be so low that a maximum biological response cannot be achieved even in the presence of high concentrations of the agonist.

See Also

Naloxone; Naltrexone)

Bibliography

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