

A receptor antagonist is a type of receptor ligand or drug that blocks or dampens agonist-mediated responses rather than provoking a biological response itself upon binding to a receptor.

In pharmacology, antagonists have affinity but no efficacy for their cognate receptors, and binding will disrupt the interaction and inhibit the function of an agonist or inverse agonist at receptors.

Antagonists mediate their effects by binding to the active (orthosteric = right place) site or to allosteric (= other place) sites on receptors, or they may interact at unique binding sites not normally involved in the biological regulation of the receptor's activity. Antagonist activity may be reversible or irreversible depending on the longevity of the antagonist-receptor complex, which, in turn, depends on the nature of antagonist-receptor binding. The majority of drug antagonists achieve their potency by competing with endogenous ligands or substrates at structurally defined binding sites on receptors.

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