



# Affinity and Efficacy: The Components of Drug-Receptor Interactions

*Frederick J Ehlert*

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The interaction of a drug with a receptor generates a code of information having components of affinity and efficacy. How this information is translated into a response depends on the unique cells, tissue, organ or system in which the receptor resides. This book describes how to analyze various responses to estimate the affinity and efficacy components of the initial drug–receptor interaction. More specifically, it describes how to measure the affinity and efficacy of drugs through the analysis of single receptor activity, the activation state of a population of receptors, and responses downstream from receptor activation. More light is thrown on ligand-gated ion channels and G protein-coupled receptors in this book.

The topics discussed include radioligand binding, estimation of agonist affinity and efficacy, competitive antagonism, inverse agonism, allosteric agonists and modulators, agonist bias, modulation of pathway selectivity, and the estimation of ligand affinity for active and inactive receptor states. The natural history and structure of ligand-gated ion channels, G proteins, and G protein-coupled receptors are also discussed.

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