

Pharmacodynamics: (Drug Receptor Interactions, Part-1)

VPT: Unit I; Lecture-21
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Important Definitions

Affinity & Efficacy

- ✓ **Affinity** describes the tendency of a drug to combine with a particular kind of a receptor.
- ✓ **Efficacy** (or intrinsic activity) of a drug refers to the maximal effect the drug can produce.
 - A partial agonist has less intrinsic activity/efficacy than a full agonist.

Potency

- ✓ It refers to the dose of a drug that must be administered to produce a particular effect of given intensity.
- ✓ It is influenced by the affinity of a drug.
- ✓ It varies inversely with dose.
- ✓ It is a relative rather than an absolute expression of drug activity.

Selectivity

- ✓ It depends on the capacity of a drug to preferentially produce a particular effect.
- ✓ The characteristic effect of the drug is produced at lower doses than those required to elicit other responses.
- ✓ For instance, clenbuterol has a high **degree of selectivity** for β_2 receptors (in lungs). At higher doses, β_1 receptors (in heart) are also activated.

Specificity

- ✓ When all the effects produced by a drug are due to a **single mechanism of action**, the drug is said to be specific.
- ✓ A specific drug acts at **only one type of receptor**, but may produce multiple pharmacological effects because of location of receptors in various organs. For instance, atropine is a specific drug in that its varied effects can be attributed to its antimuscarinic action.

Specificity

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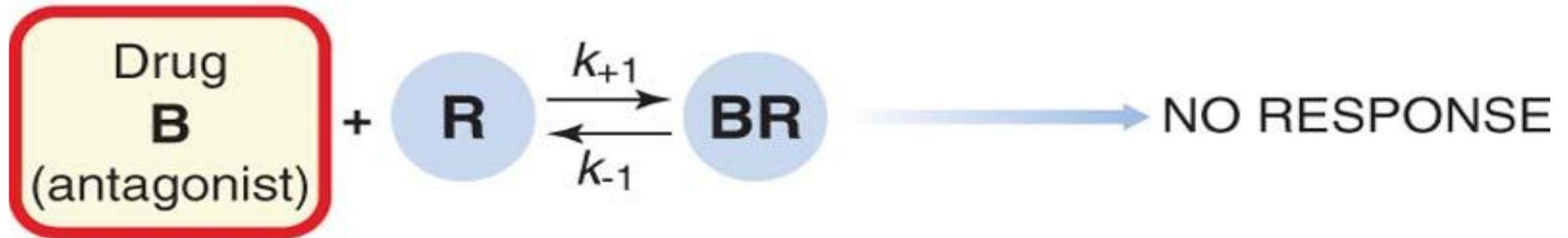
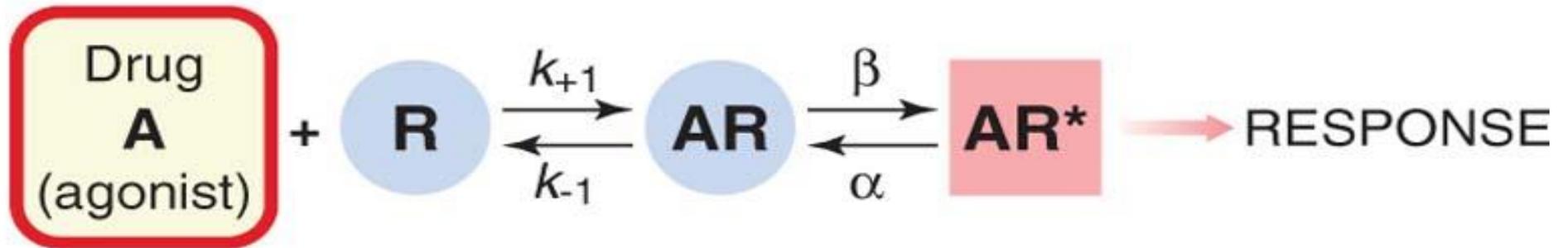
- ✓ Effects of a **non-specific drug** results from several mechanisms of action.
- ✓ For instance, the potential effects of phenothiazine tranquilizers (e.g. acepromazine) include **sedation** (due to increased rate of dopamine turnover in brain), an **antiemetic action** (due to depressed activity of CTZ), **hypotension** (due to α -adrenergic receptor blockade), an **antispasmodic** effect on GI smooth muscles (due to anticholinergic action) and **hypothermia** (due to interference with hypothalamic control of temperature regulation).

Agonist

- ✓ It is a drug that possesses affinity for a particular receptor and causes a change in the receptor that result in an **observable effect**.
- ✓ **Full agonist:** Produces a maximal response by occupying all or a fraction of receptors. (Affinity=1, Efficacy=1)
- ✓ **Partial agonist:** Produces less than a maximal response even when the drug occupies all of the receptors. (Affinity=1, Efficacy= 0 to 1)
- ✓ **Inverse agonist:** Activates a receptor to produce an effect in the opposite direction to that of the well recognized agonist. (Affinity=1, Efficacy= -1 to 0).

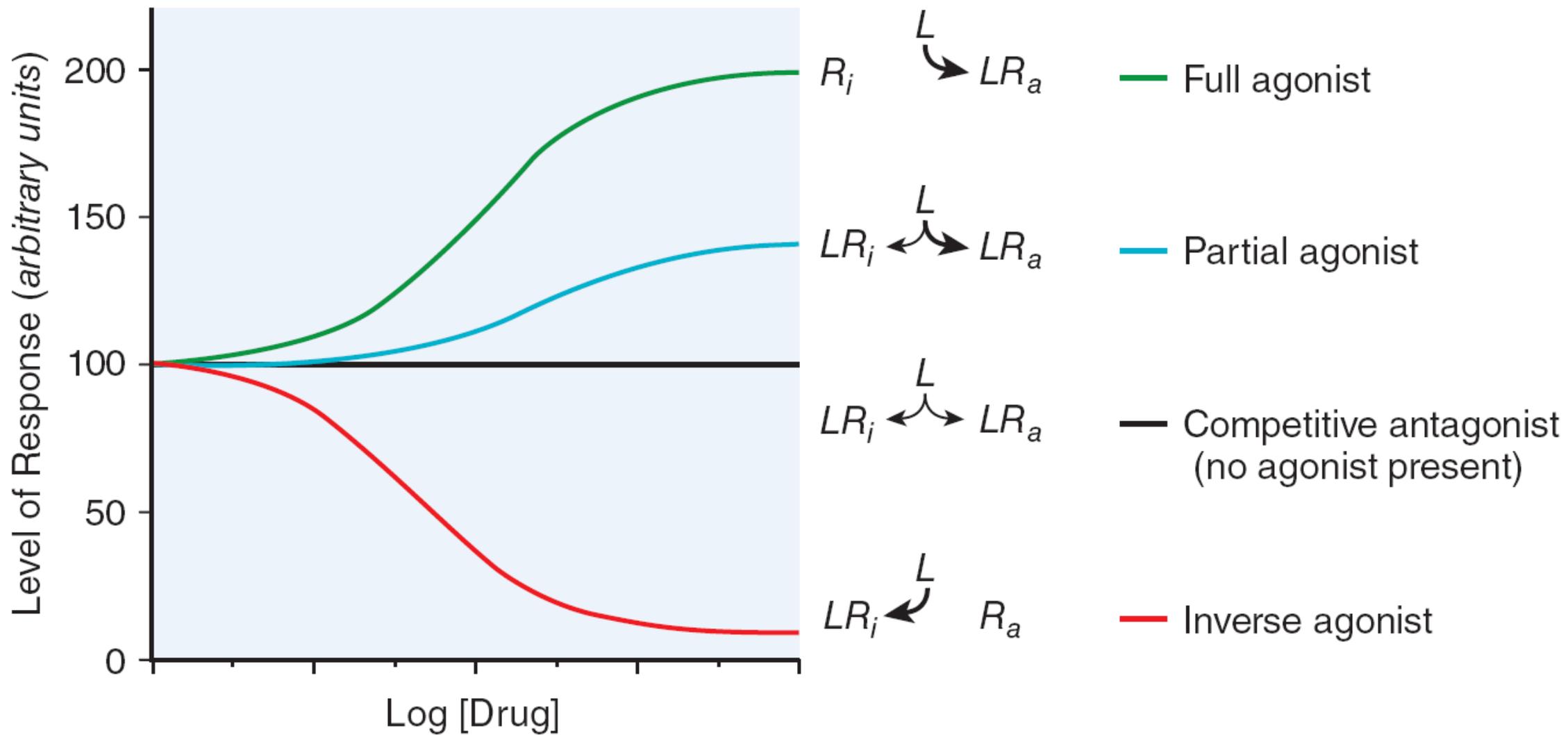
Occupation
governed
by
affinity

Activation
governed
by
efficacy



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Source: Rang & Dale's Pharmacology, Elsevier



Source: Good & Gilman's The Pharmacological Basis of Therapeutics, 13th Edn.

Antagonist

- ✓ An antagonist is a drug that blocks the response produced by an agonist.
- ✓ Antagonists interact with the receptor or other components of the effector mechanism, but antagonists are devoid of intrinsic activity (Affinity=1, Efficacy=0).

Antagonist

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- ✓ **Competitive Antagonism:** It is completely reversible; an increase in the concentration of the agonist in the bio-phase will overcome the effect of the antagonist.

Example: Atropine (Antimuscarinic agent)

Diphenhydramine (H1 receptor blocker)

- ✓ **Non-competitive antagonism:** The agonist has no influence upon the degree of antagonism or its reversibility.

Example: Platelet inhibiting action of aspirin (The thromboxane synthase enzyme of platelets is irreversibly inhibited by aspirin, a process that is reversed only by production of new platelets).

Drug Action & Effect

- ✓ **Drug action:** It is the initial combination of the drug with its receptor resulting in conformational change in the latter (in case of agonist), or prevention of conformational change through exclusion of the agonist (in case of antagonists).
- ✓ **Drug effect:** It is the ultimate change in biological function brought about as a consequence of drug action, through a series of intermediate steps.

Thank You

