

Drug Agonism & Antagonism

By Dr Ayesha Jamil



➤ Objectives

To be able to differentiate between

- Agonist
- Antagonist
- Partial agonist
- Inverse agonist
- Classify the types of antagonisms
- Demonstrate drug antagonism by drawing curves.

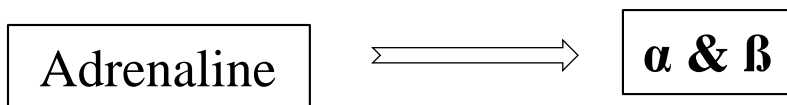
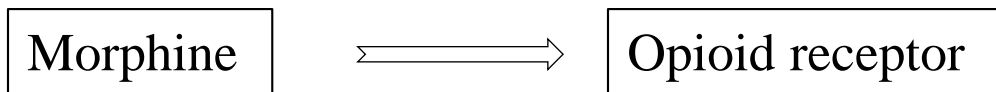


Agonist

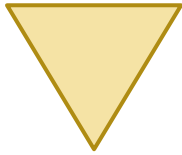
A drug binds to receptor & produce a biological response.

1. Affinity (for the receptor)

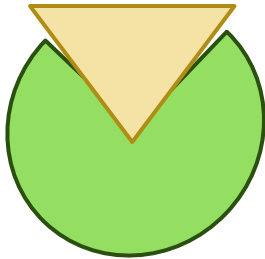
2. Intrinsic activity.



Agonist Drug



Receptor



↑intrinsic activity





Agonist

Partial agonist:

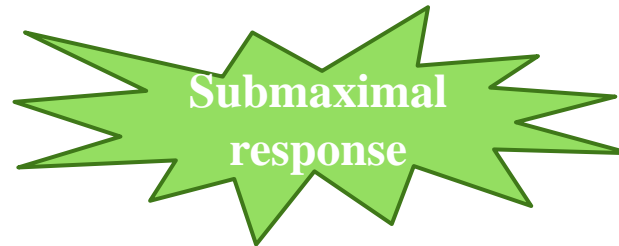
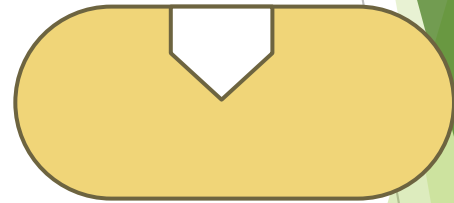
Partial agonist drug



1. Affinity (for the receptor)

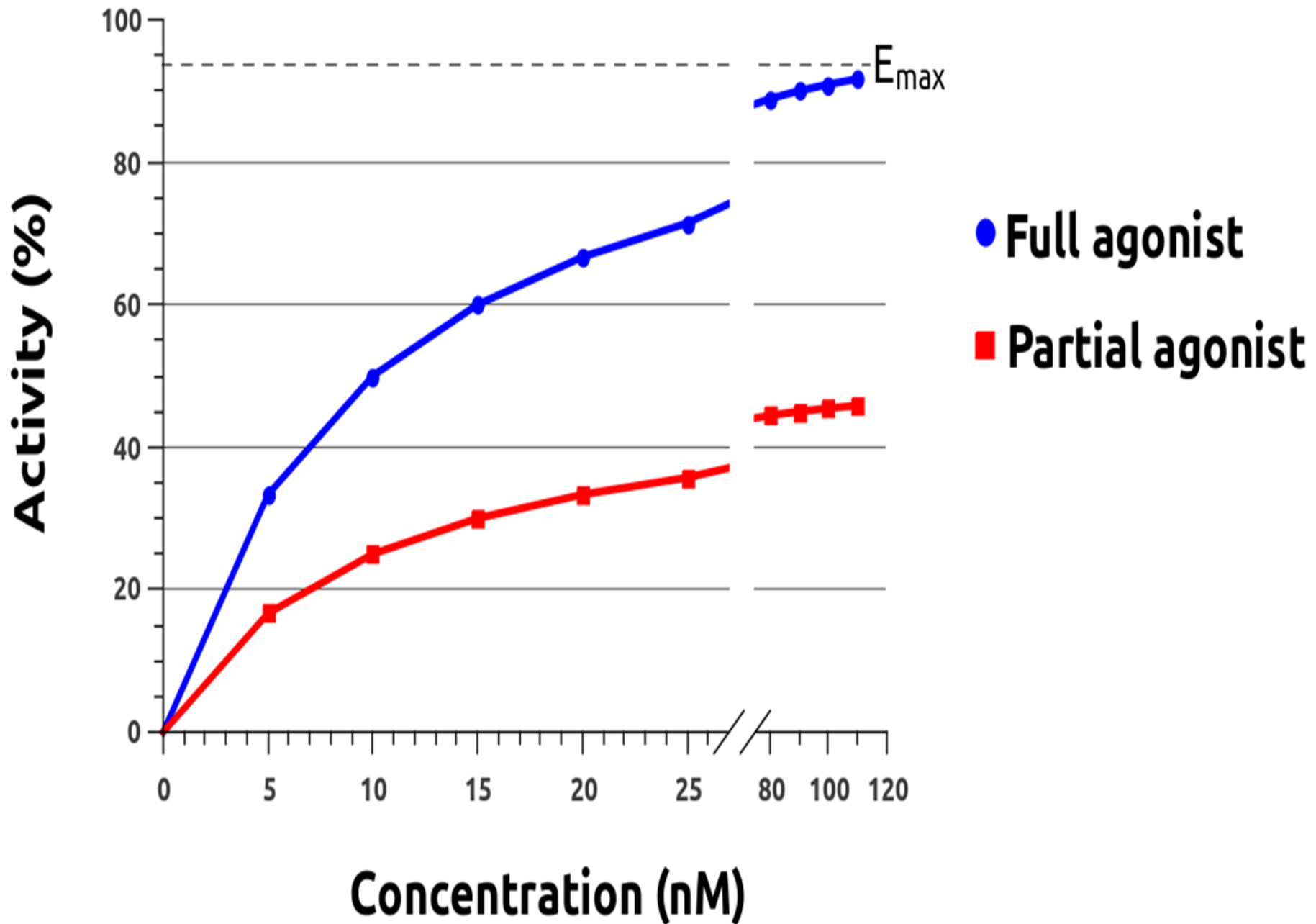
2. Less Intrinsic activity.

Receptor





Partial agonist:



Antagonist:

Antagonist have only affinity no intrinsic activity

Affinity

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graph TD; A[Affinity] --> B[No intrinsic activity]; B --> C[No biological response.];
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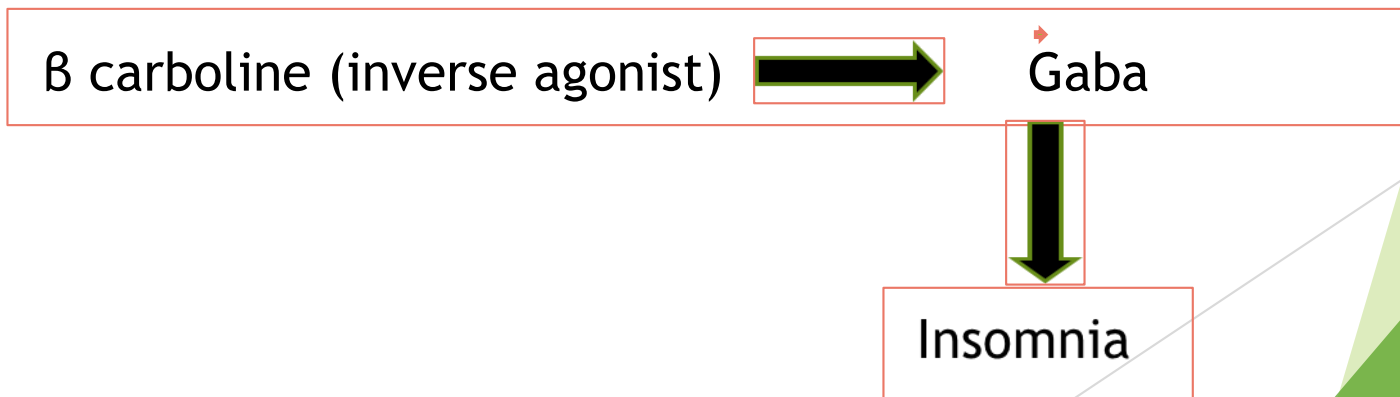
A vertical flowchart with three rectangular boxes. The top box is light orange and contains the word 'Affinity'. A double-lined arrow points down from this box to a light grey box containing 'No intrinsic activity'. Another double-lined arrow points down from the grey box to a light green box containing 'No biological response.'

No intrinsic activity

No biological response.

Inverse agonist :

It has full affinity towards the receptor but produces effect completely opposite to that produced by an agonist.



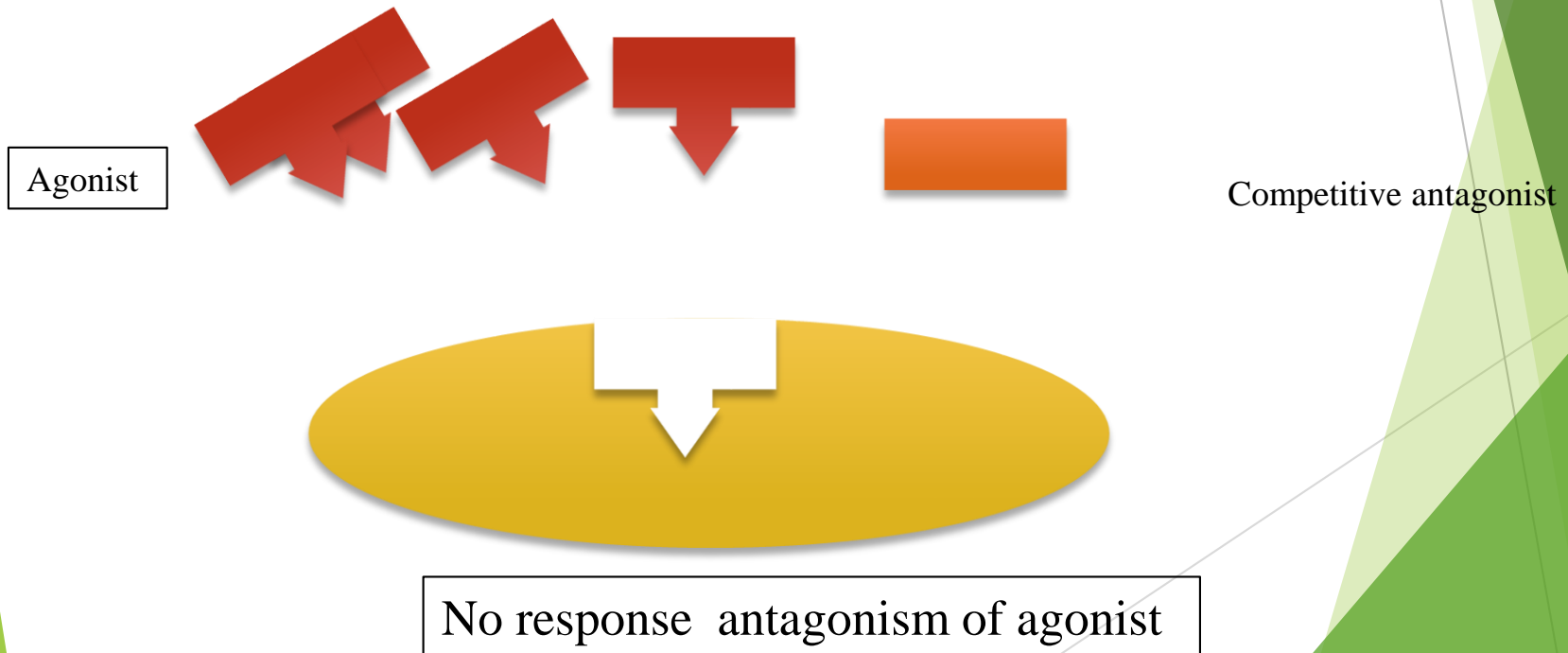
Types of antagonisms

- ❖ Receptor block (pharmacological antagonism)
 - a) Competitive antagonism (reversible)
 - b) Non-competitive antagonism (irreversible)
- ❖ Chemical antagonism
- ❖ Physical antagonism
- ❖ Physiological antagonism
- ❖ Pharmacokinetic antagonism(dispositional)

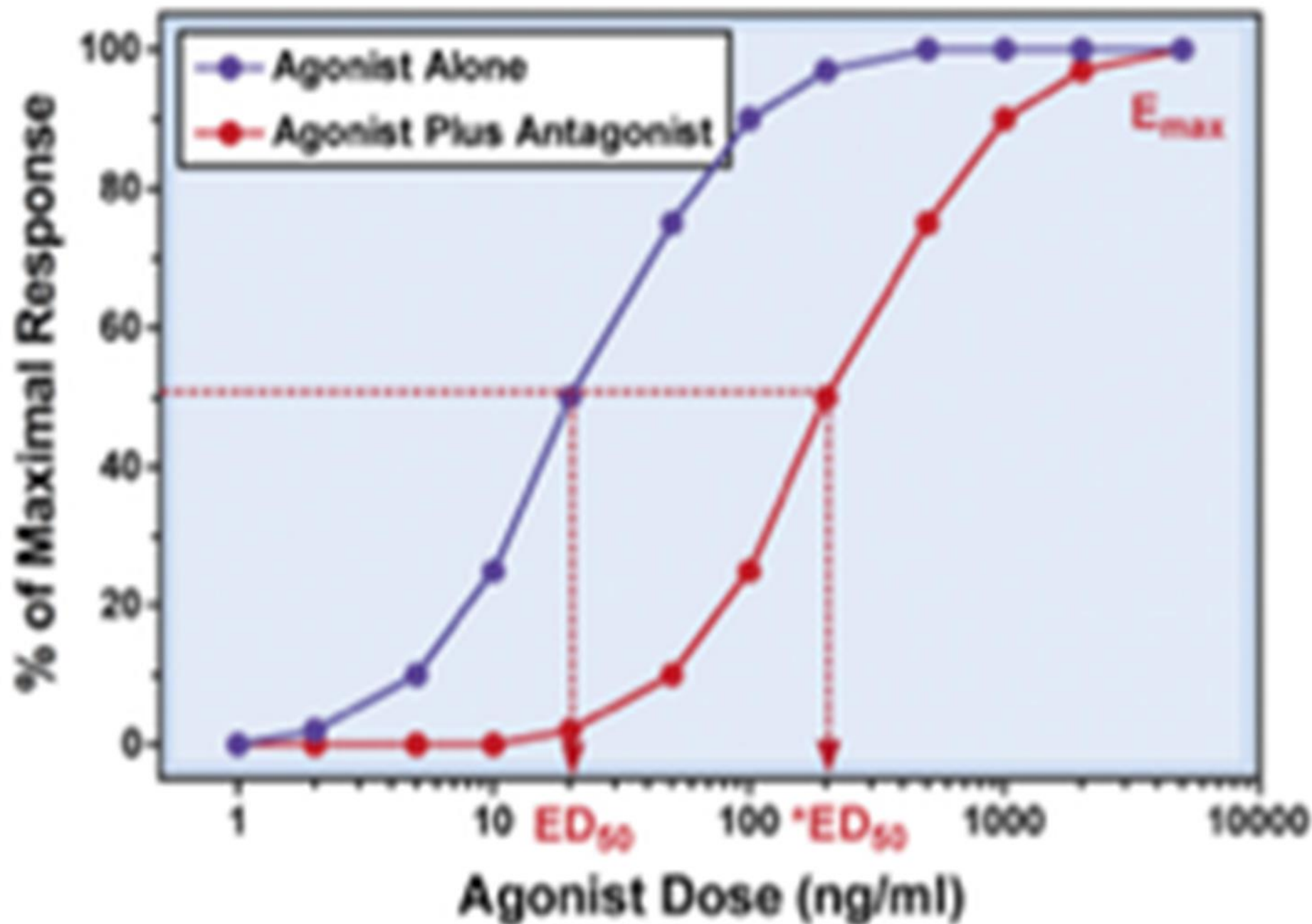
Competitive - antagonism

In this type the agonist selectively binds to the receptor but cannot produce intrinsic activity.

Surrmountable Block

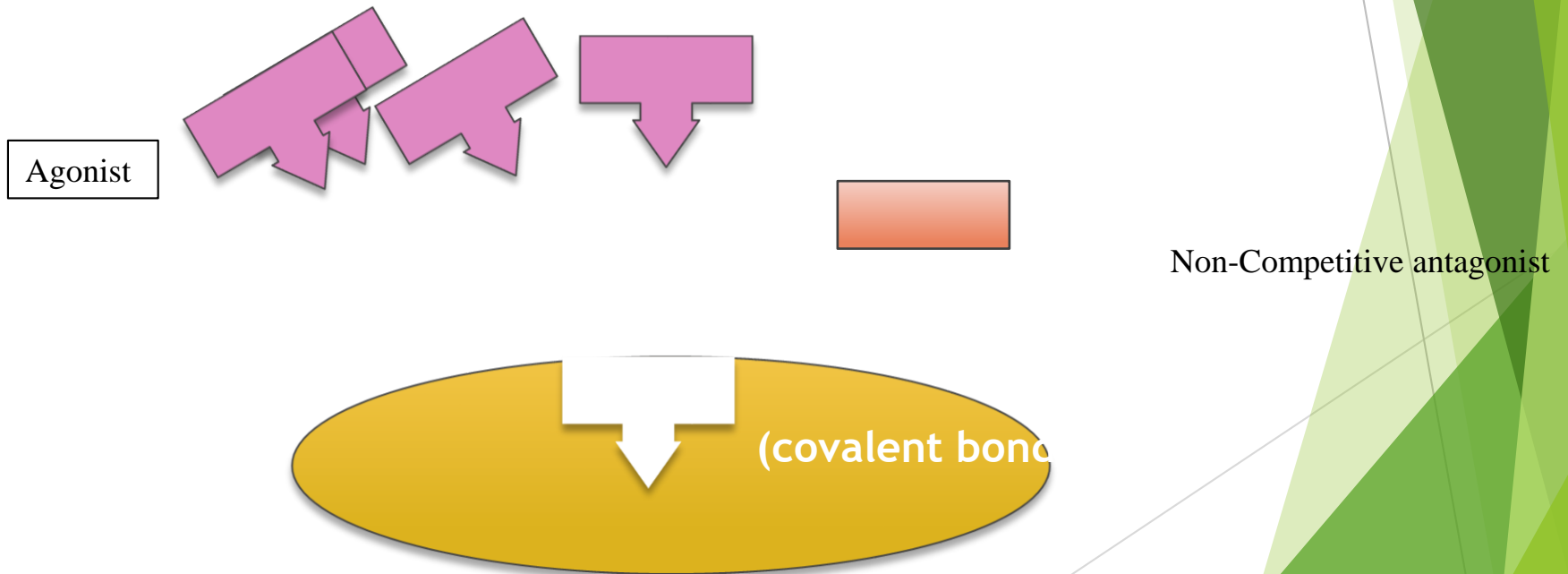


Reversible Competitive Antagonism

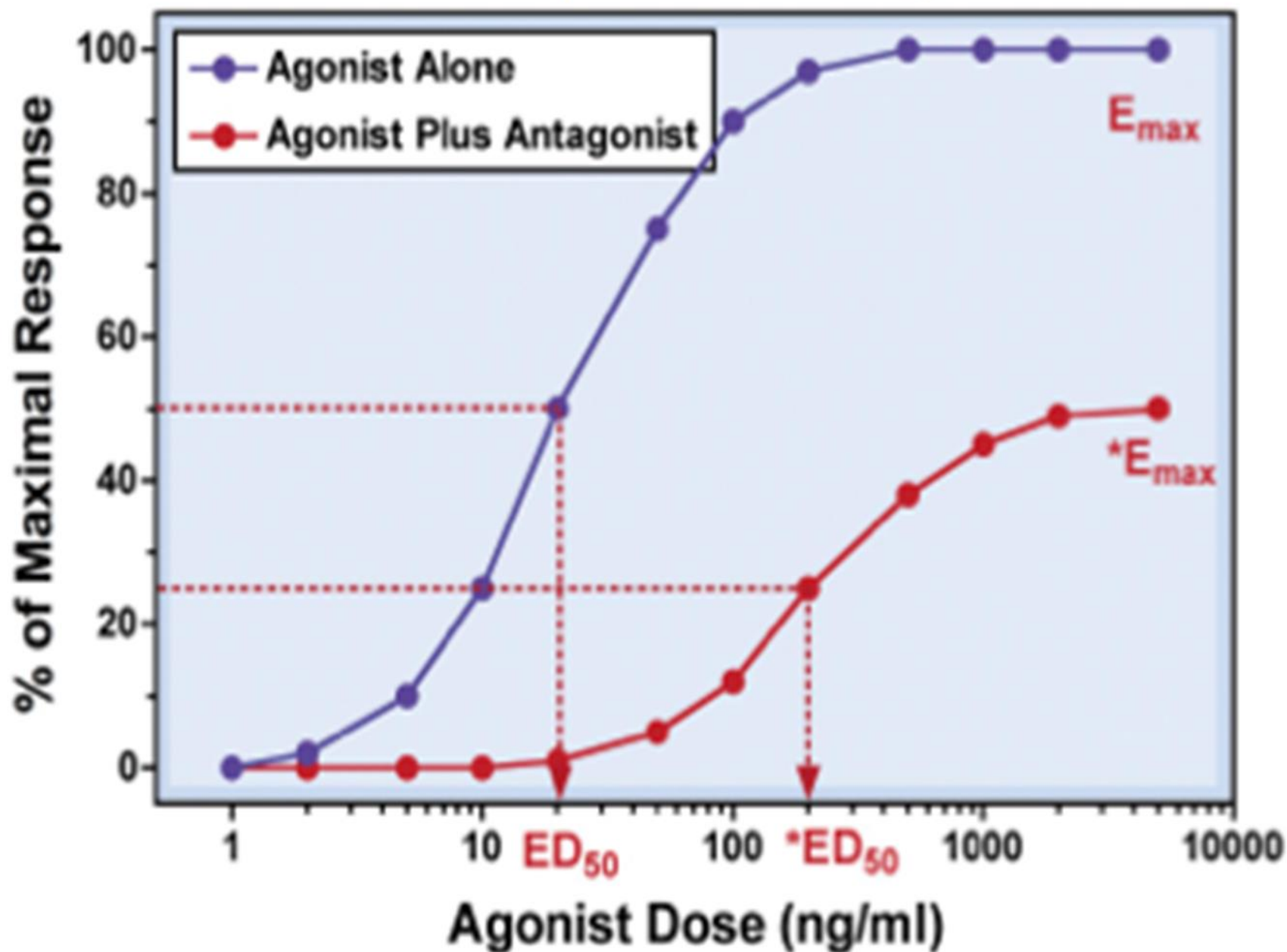


Non-Competitive – antagonism

The antagonist binds to the receptor with a high affinity so that the agonist cannot displace it from the binding site.



Non-Competitive Antagonism



CHEMICAL ANTAGONISM

The opposing effect of the two drugs is due to their chemical property.

Dimercaprol (chelating agent) form insoluble complexes with metals in metal poisoning

Physiological - Antagonism

In this type of antagonism, a drug produces an effect opposite to that produced by

another drug by acting on different receptor.

EXAMPLE: Adrenaline and Histamine in Anaphylactic shock.

Pharmacokinetic antagonism

In this type of antagonism, any drug altering the absorption, distribution, metabolism or excretion of another drug can alter the concentration of the drug at its receptor site.

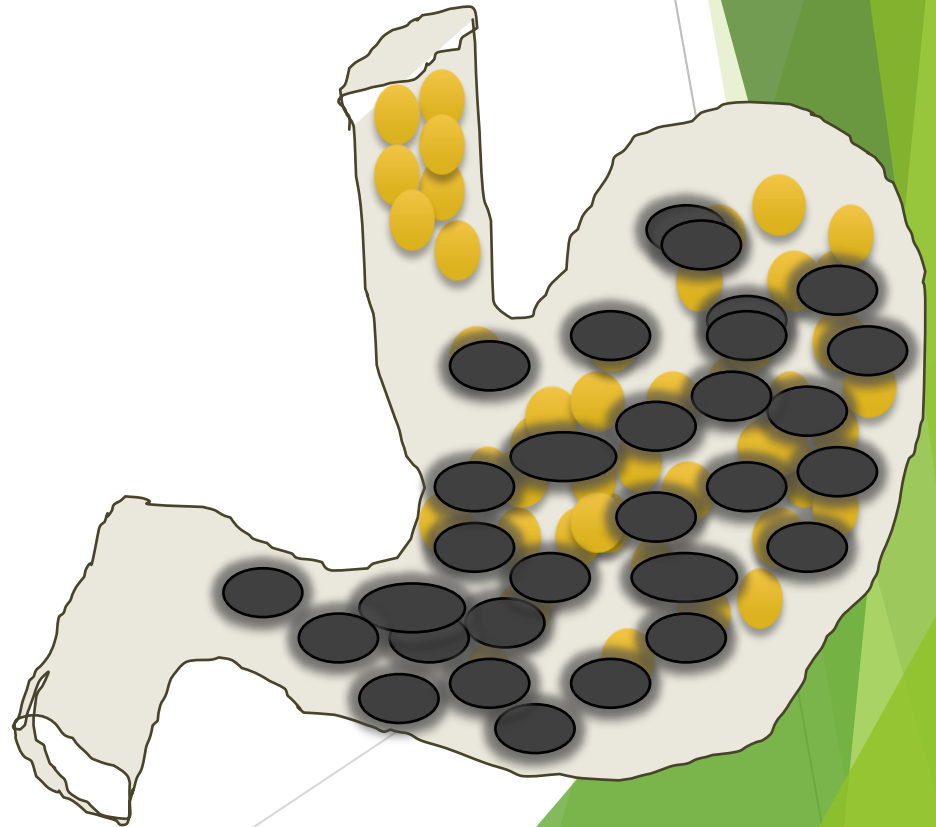
example

NaHCO_3 increases the excretion of aspirin and thus decreases its concentration.

PHYSICAL ANTAGONISM

The opposing action of two drugs is due to their physical property.

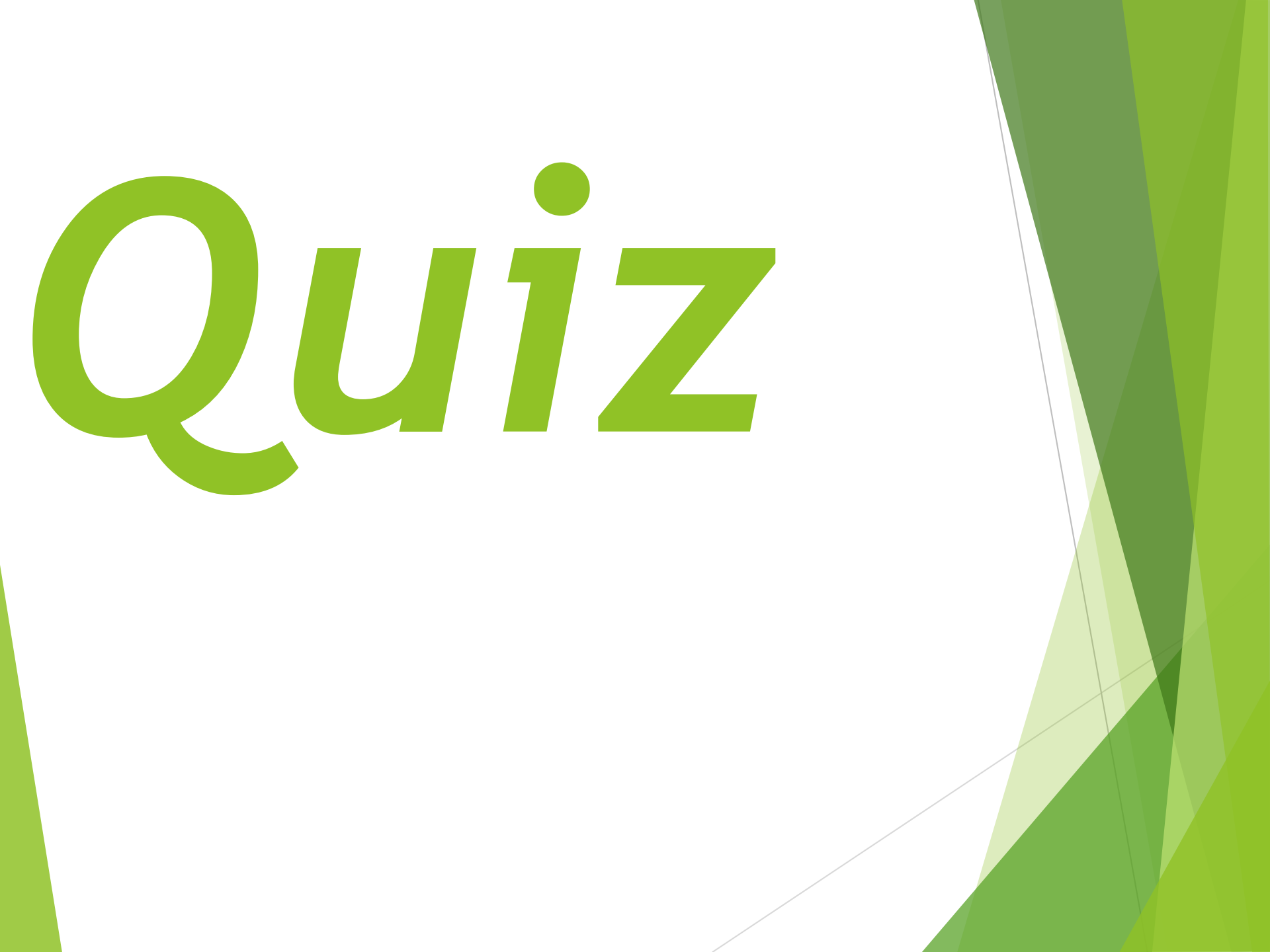
Activated charcoal adsorbs toxic substances in case of poisoning.

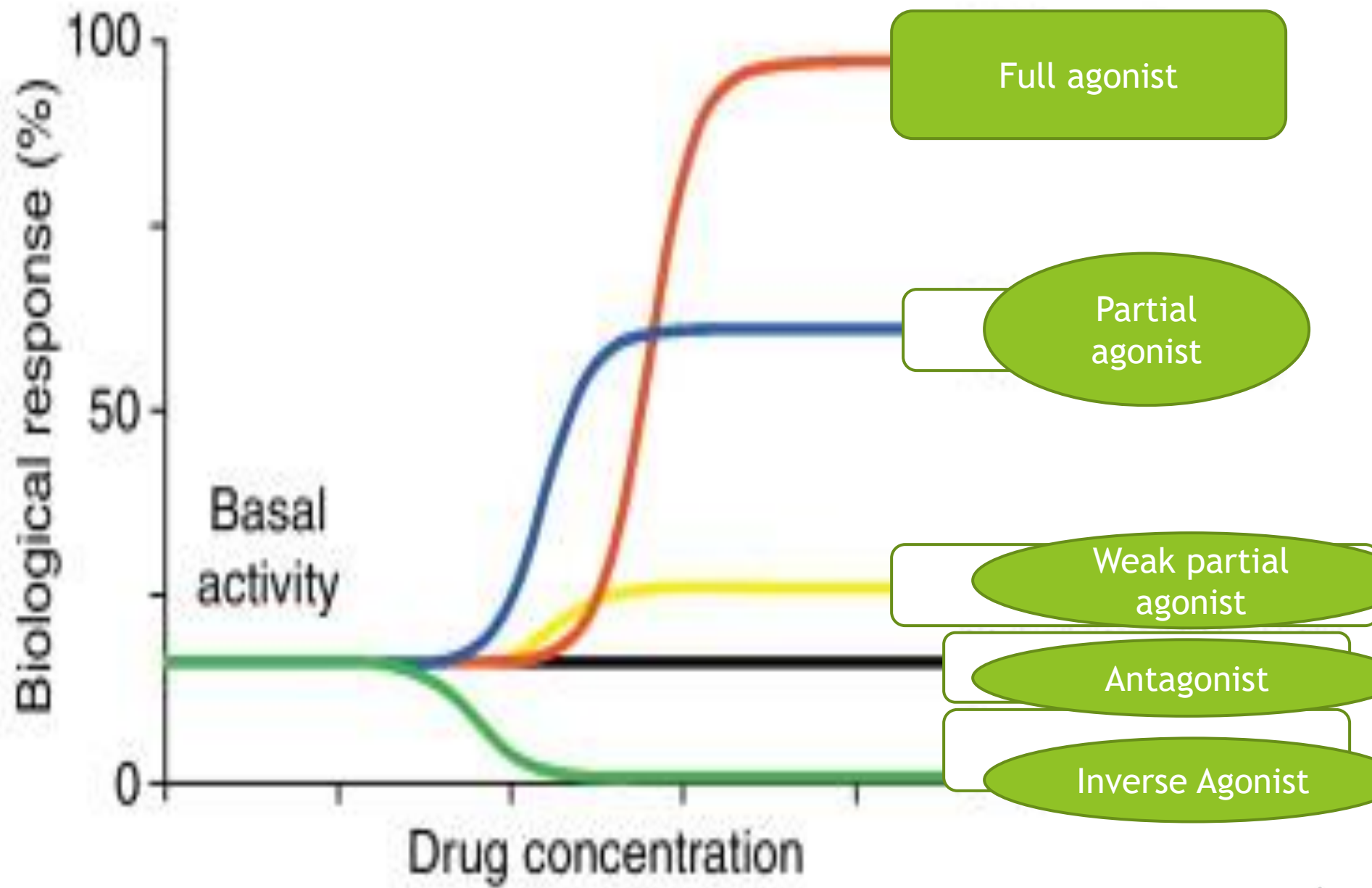


References

- 12th edition, by Bertram G. Katzung, Susan B. Masters, and Anthony J. Trevor
- Lippincott Illustrated Reviews: Pharmacology 6th edition (Lippincott Illustrated Reviews Series) Sixth, North American Edition
- **Pharmacology Principles and Applications** Paperback – April 1, 2016 by [Abdul Jalil Popalzai](#) (Author).

Quiz





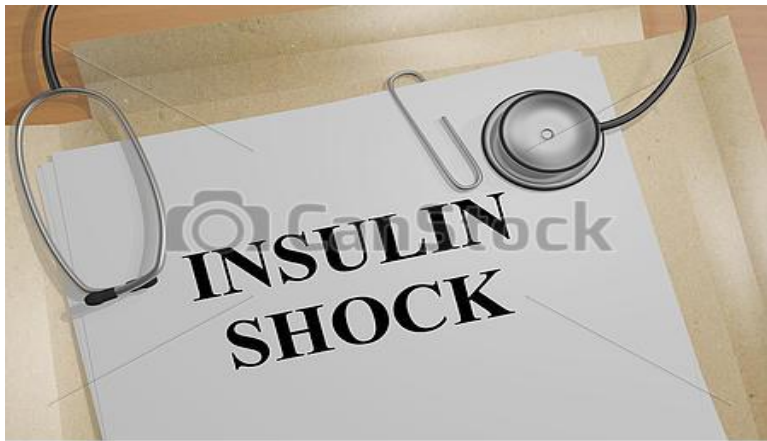


**Physiological-
antagonism**





**Chemical
antagonism**



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Physiological Antagonism



▶ Phen
th

Pharmacokinetic antagonism

▶ Warfarin
an increase in its metabolism.





Thank you