SNS COLLEGE OF PHARMACY AND HEALTH SCIENCES

Coimbatore -641035

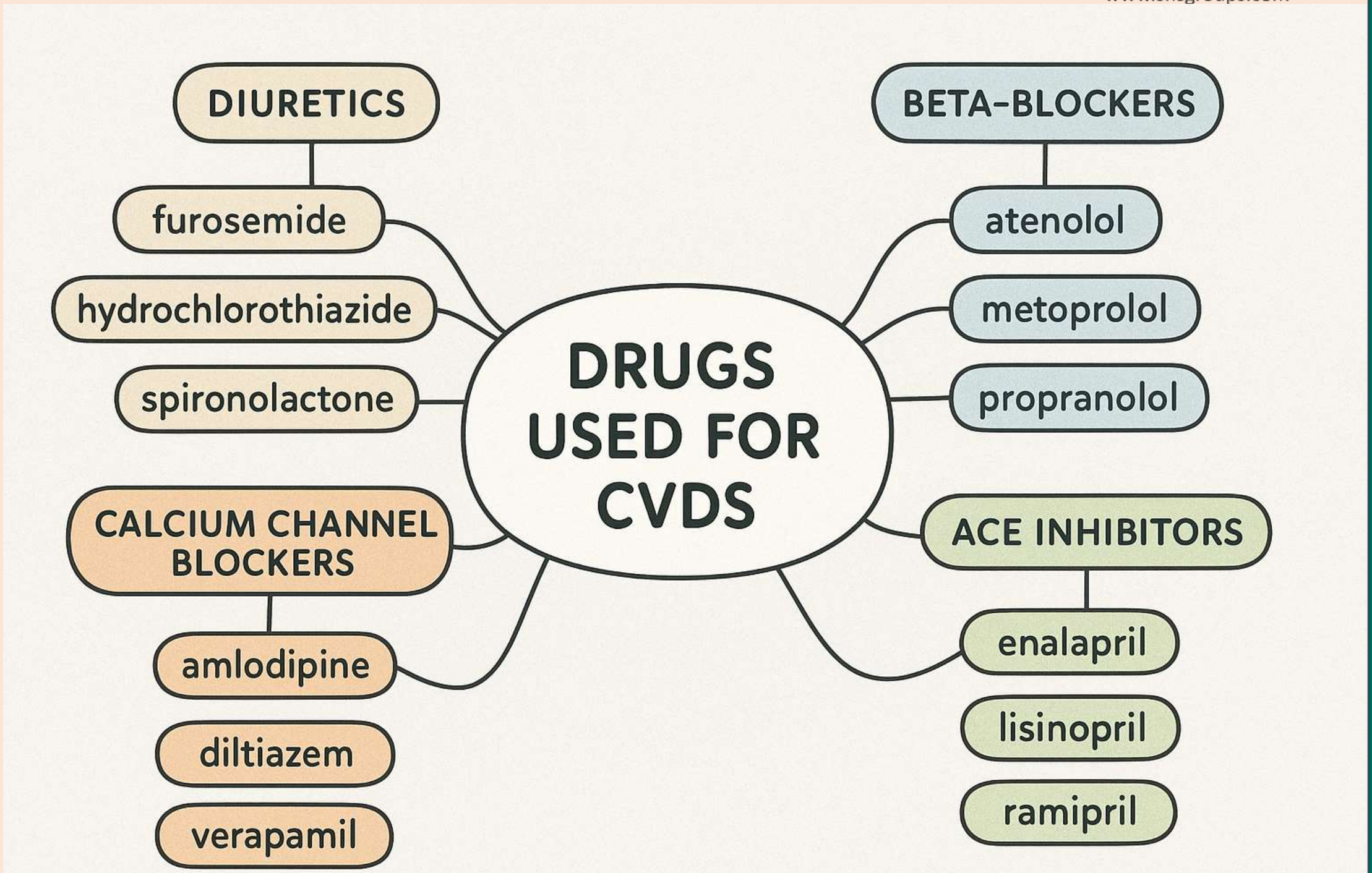
COURSE NAME- PHARMACOLOGY II (BP-503 T)

III YEAR / V SEMESTER

TOPIC 1: PHARMACOLOGY OF DRUGS ACTING ON CVS

SUB TOPIC: a. Drug used in the therapy of shock.
b. Hematinics, coagulants and anticoagulants.
c. Fibrinolytics and anti-platelet drugs
d. Plasma volume expanders

Mind Map


DRUGS USED FOR CVDS
DIURETICS
furosemide
hydrochlorothiazide
spironolactone
BETA-BLOCKERS
atenolol
metoprolol
propranolol
CALCIUM CHANNEL BLOCKERS
amlodipine
diltiazem
verapamil
ACE INHIBITORS
enalapril
lisinopril
ramipril

Outlines:

- Introduction to physiological receptors
- Structural and functional families of receptors
- Mechanisms of drug action:
  - -Drug receptor interaction
  - -Dose response curve (DRC)
  - -Drug antagonism

At the end of topic you should be able to....

- Explain the pharmacological basis of drug action.
- Define the scientific terms mentionedin this section.
- Identify type of antagonism
- Rearrangethe drugs in ascending or descendingorder for their efficacy and potency.

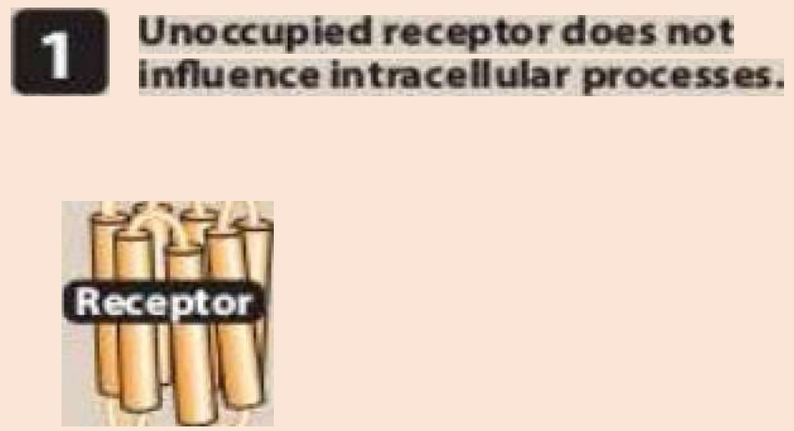
I.Pharmacodynamics?

- AcliolJS Of a drug on the body.

- Influence of drug concentrations on the magnitude of the rc;pon;c.

- Drugs exert their effects, both beneficial and harmful, by intciacting with rcccptoi s (macromolecules) present on the cell surface or within the cell.

- The drug—receptor complex initiates alterationsin biochemicaland/or molecularactivity of a cell by a process signal transduction



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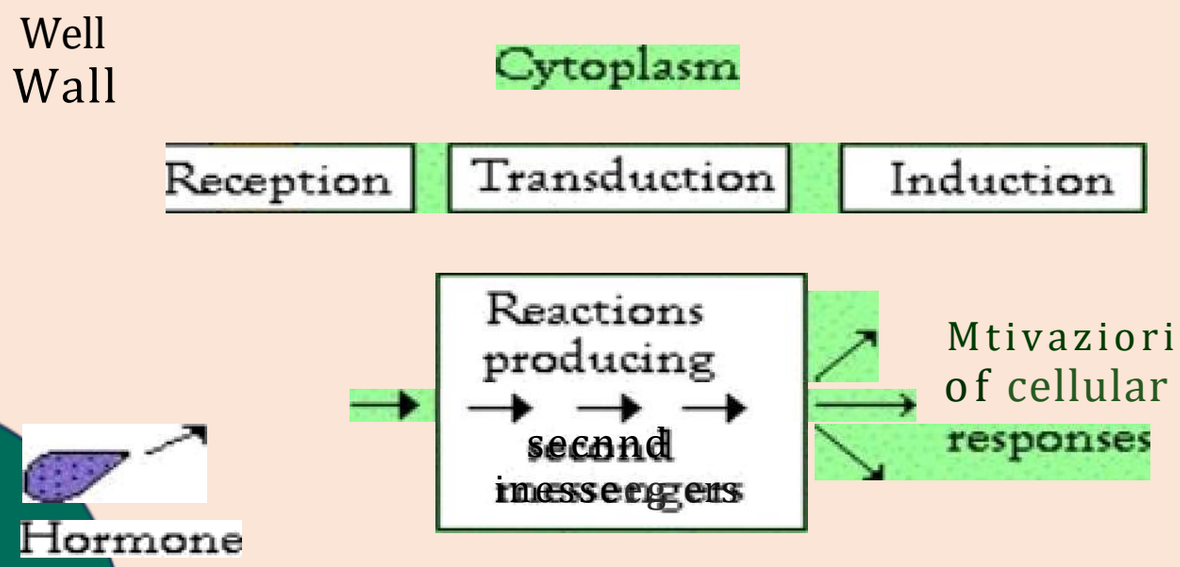
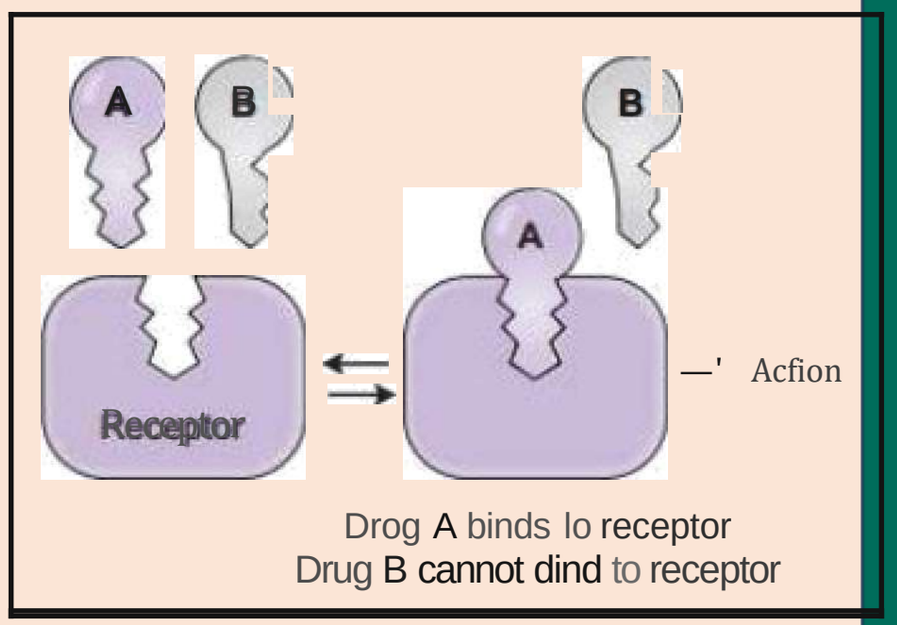
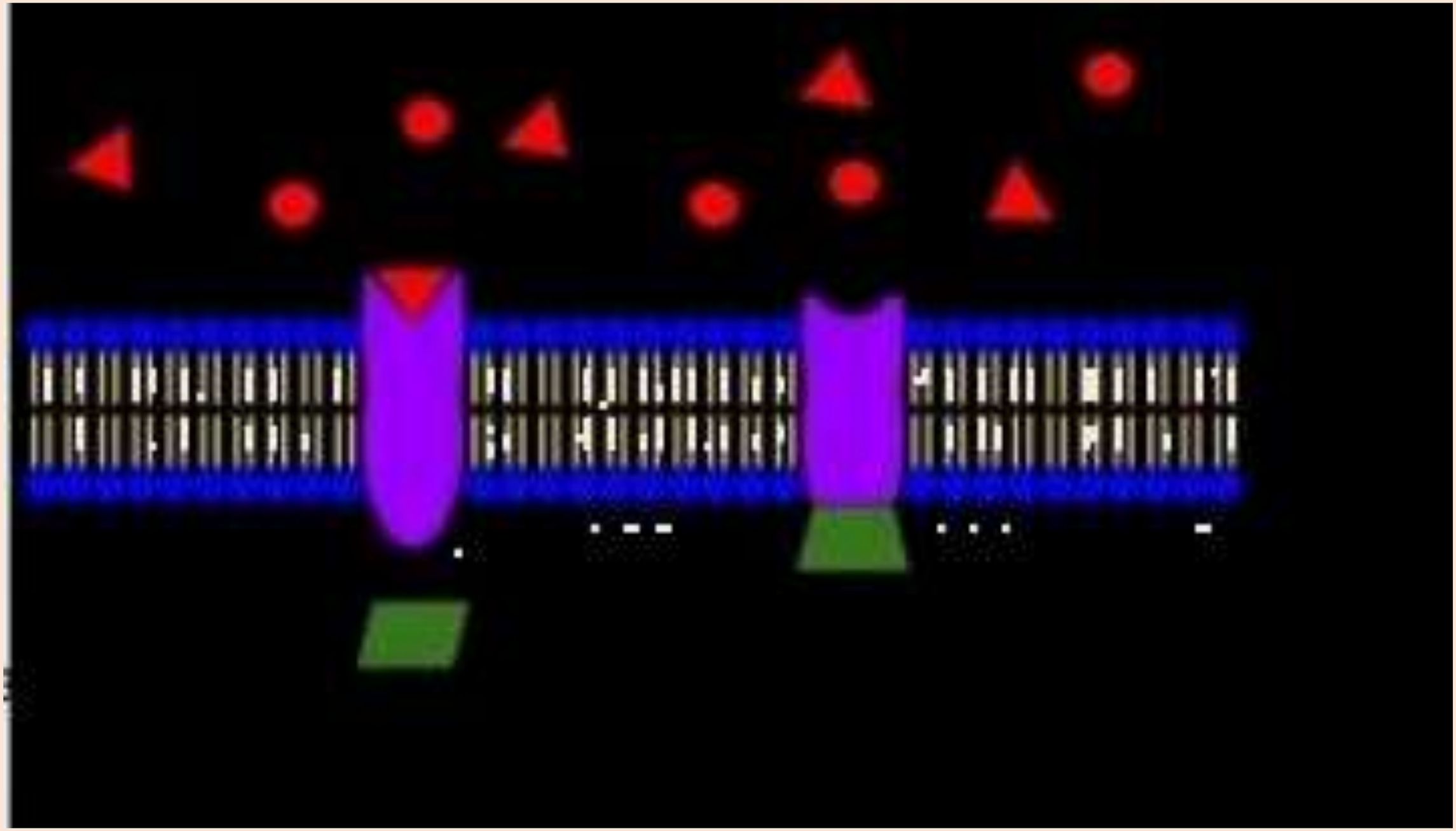
II. SIGNAL TRANSDUCTION

- Drugs act as signals, and their icccptoi s act as signal detectors.
- "Agonist" refers to a naturally occurring small molecule or a drug that binds to a site on a receptor protein and activates it.
- "Second messenger" or effector molecules are pait of the cascade of events that translates agonist binding into a cellular response.

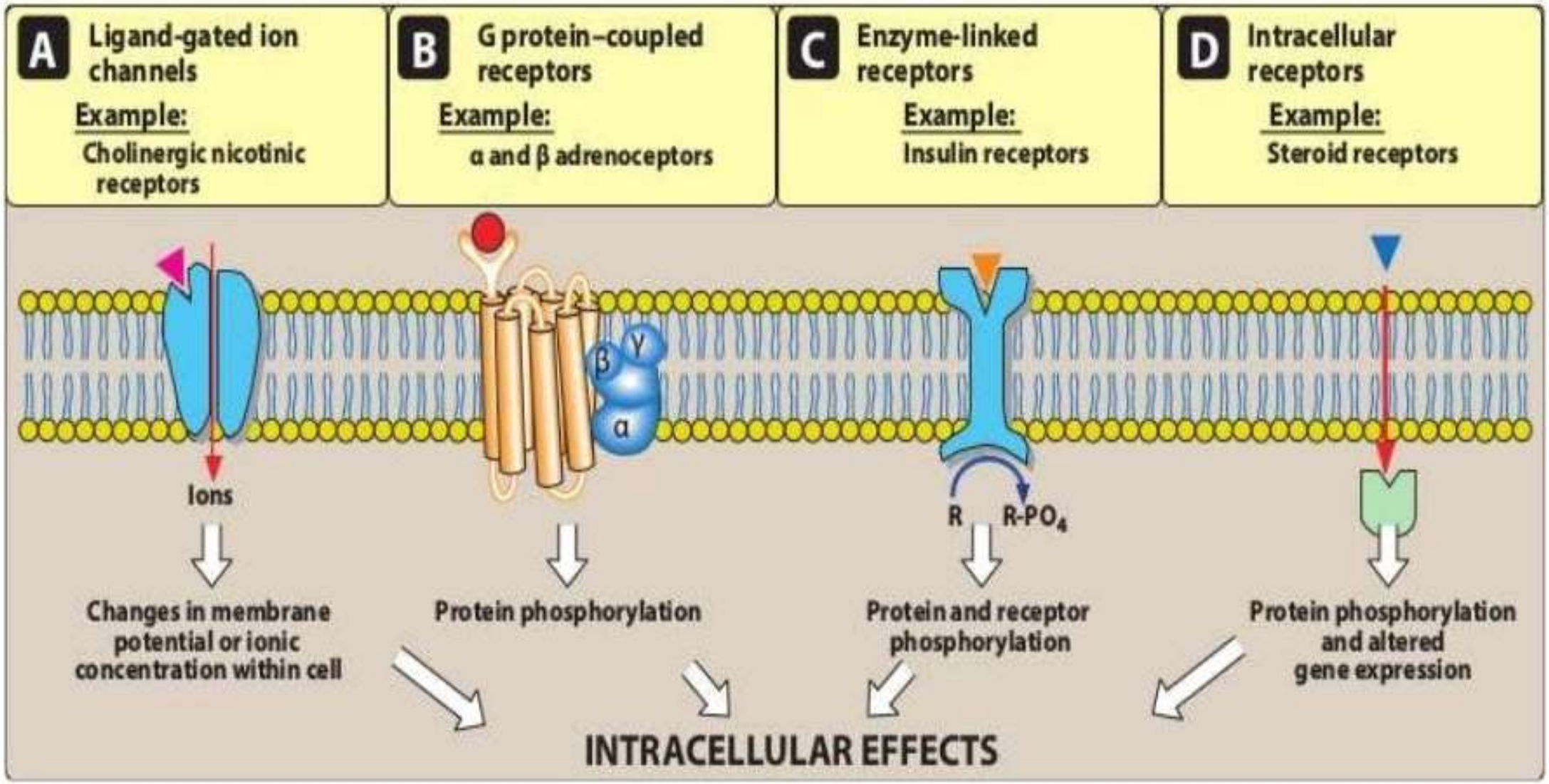




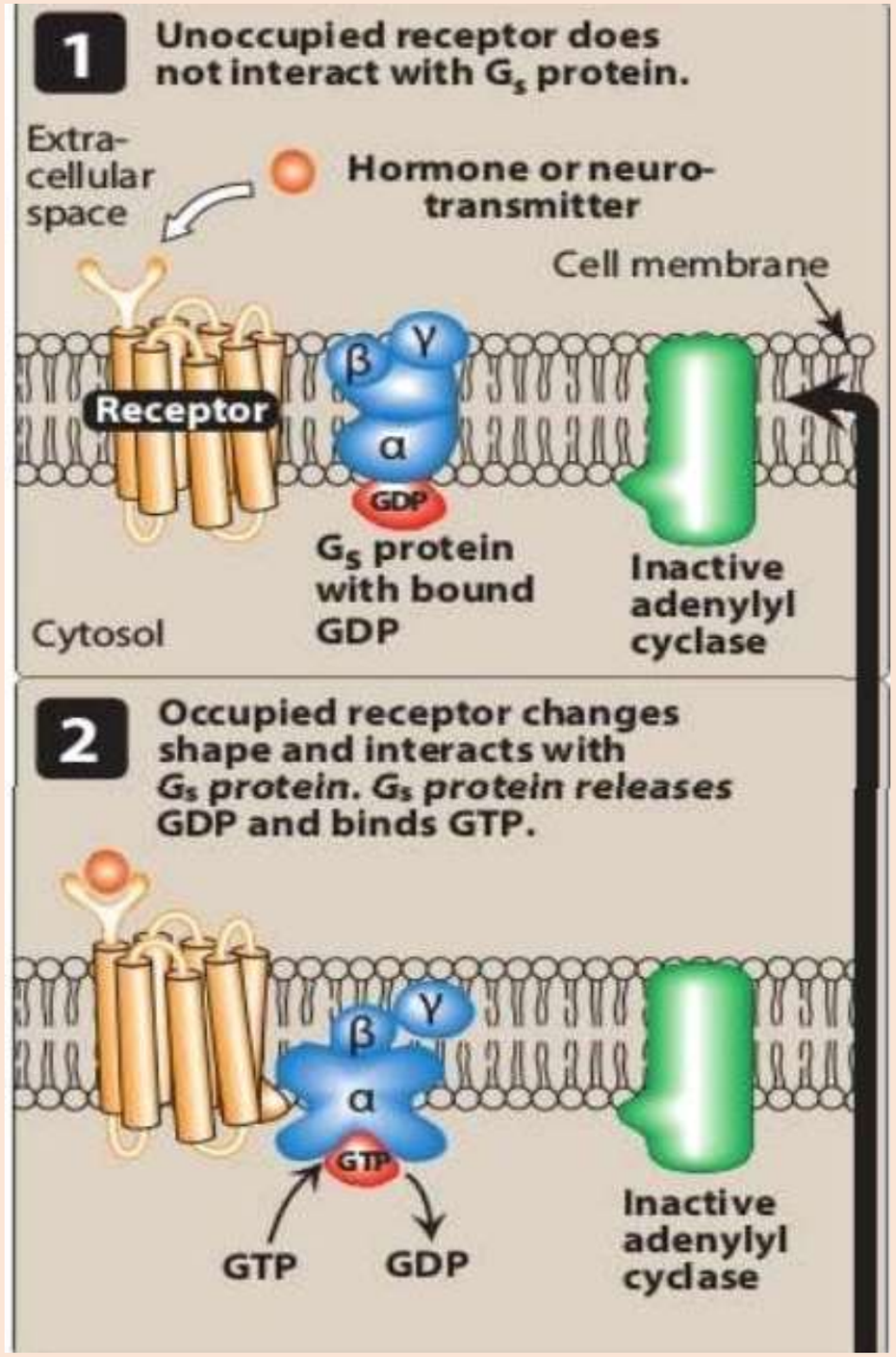
A.The drug—receptor complex

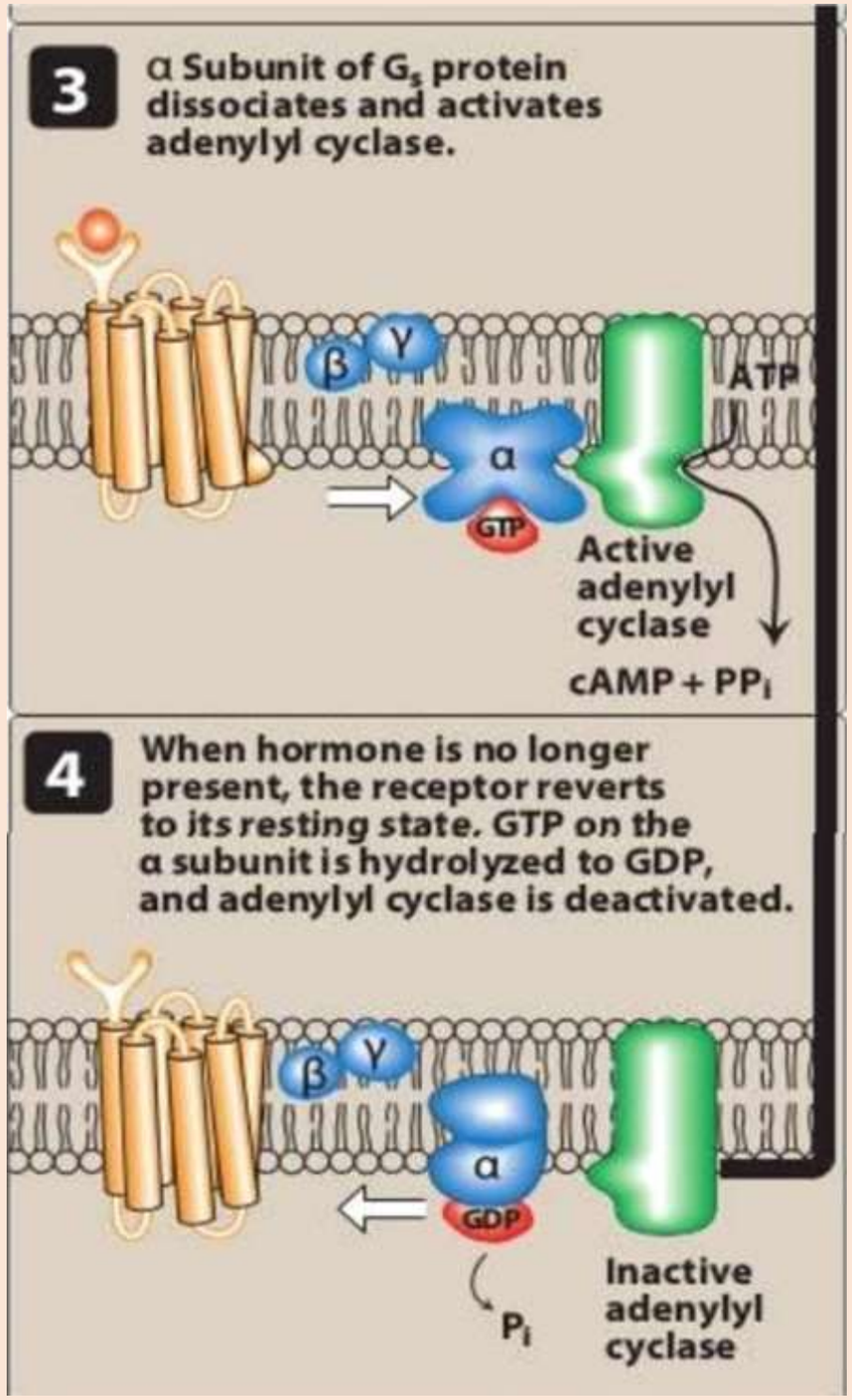


TYPES OF RECEPTOR

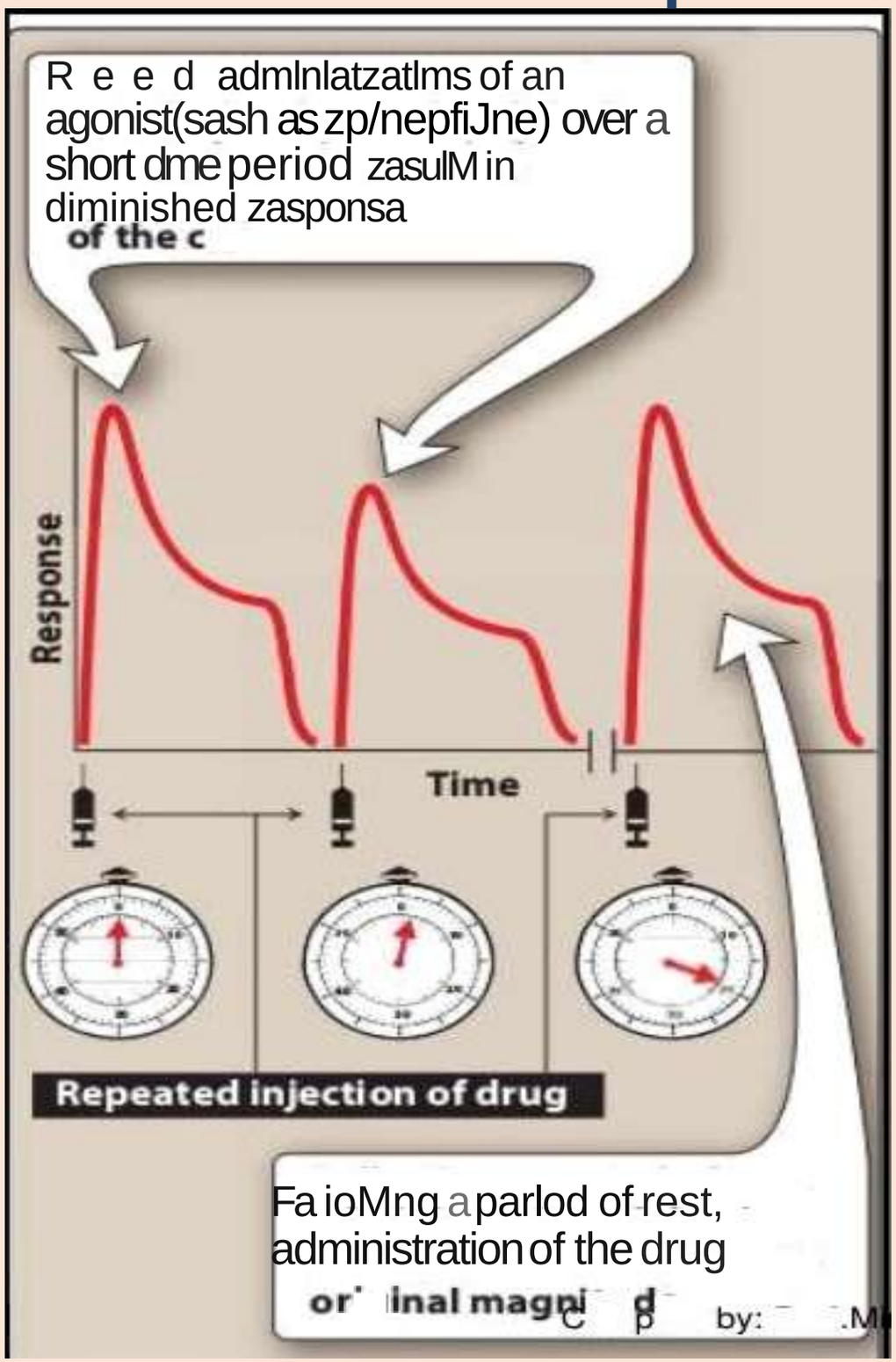


TRANSPORT OF G PROTIEN COUPLE RECEPTOR



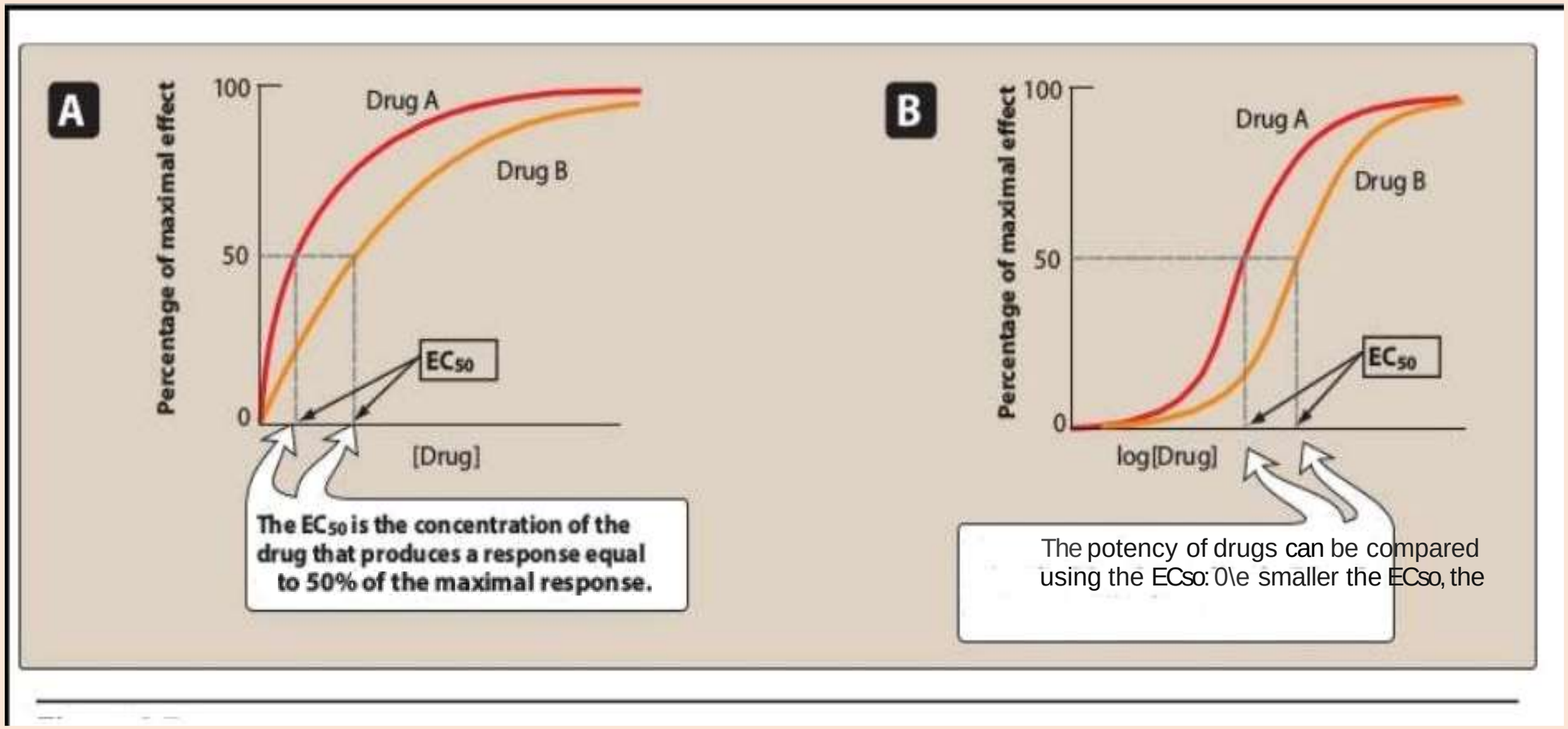


Desensitisation of receptors:

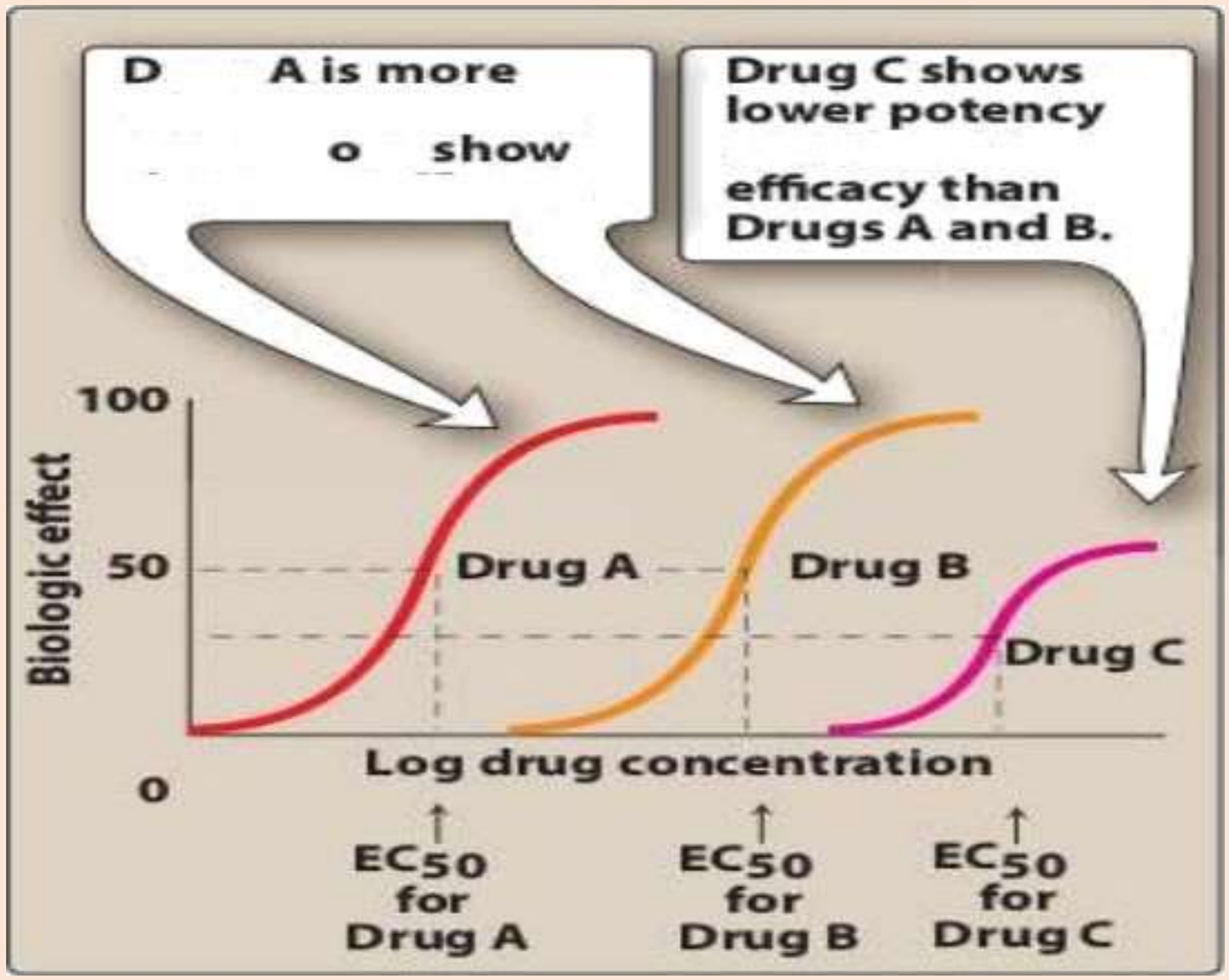


Do e re pon e curve:

- Graded dose—response relations
  . Potency: amount of drug



2. Eíficacy: response of drug



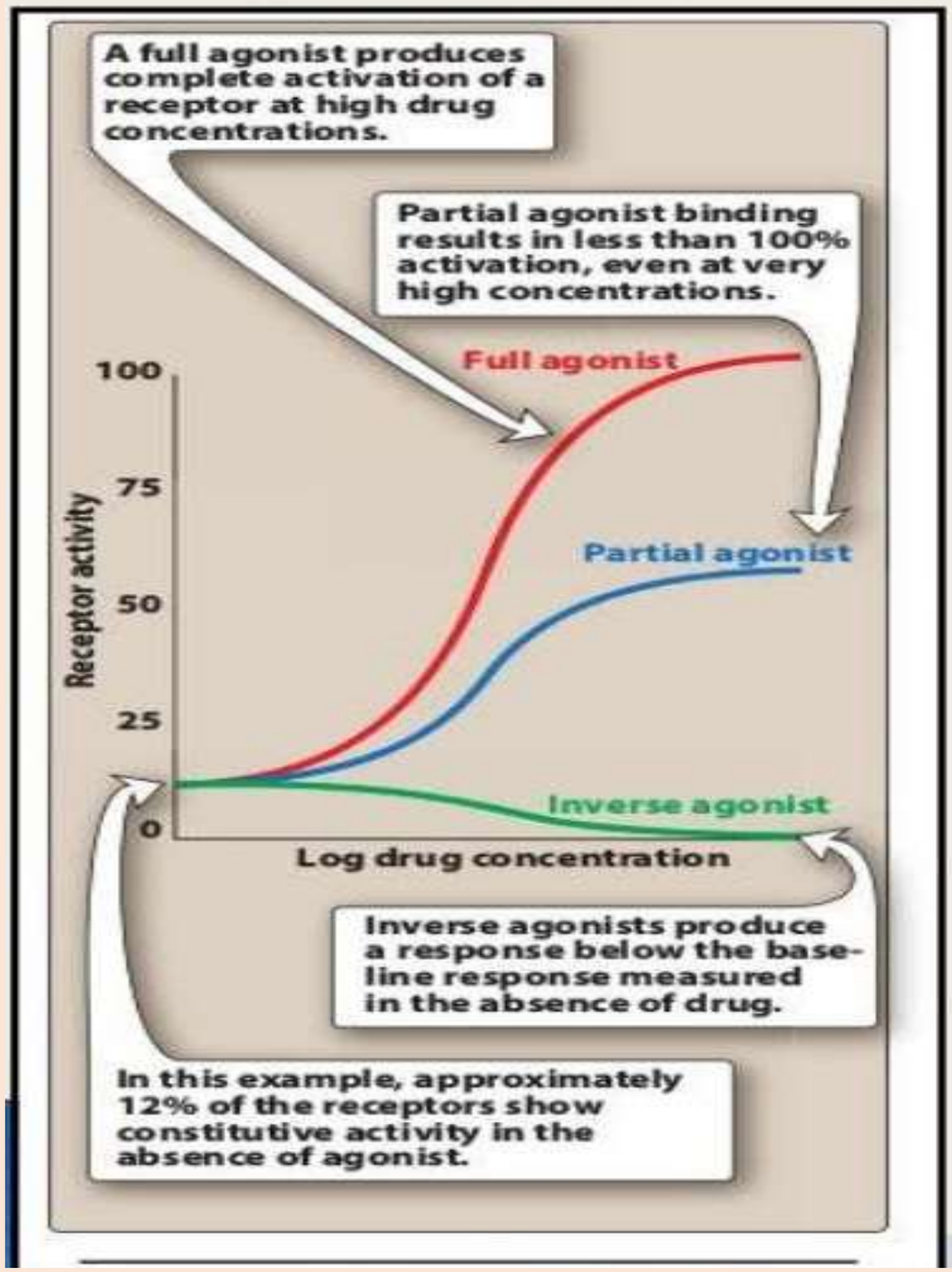
drugs showing differences in potency and efficacy. EC_{50} = drug dose that shows 50% of maximal response.

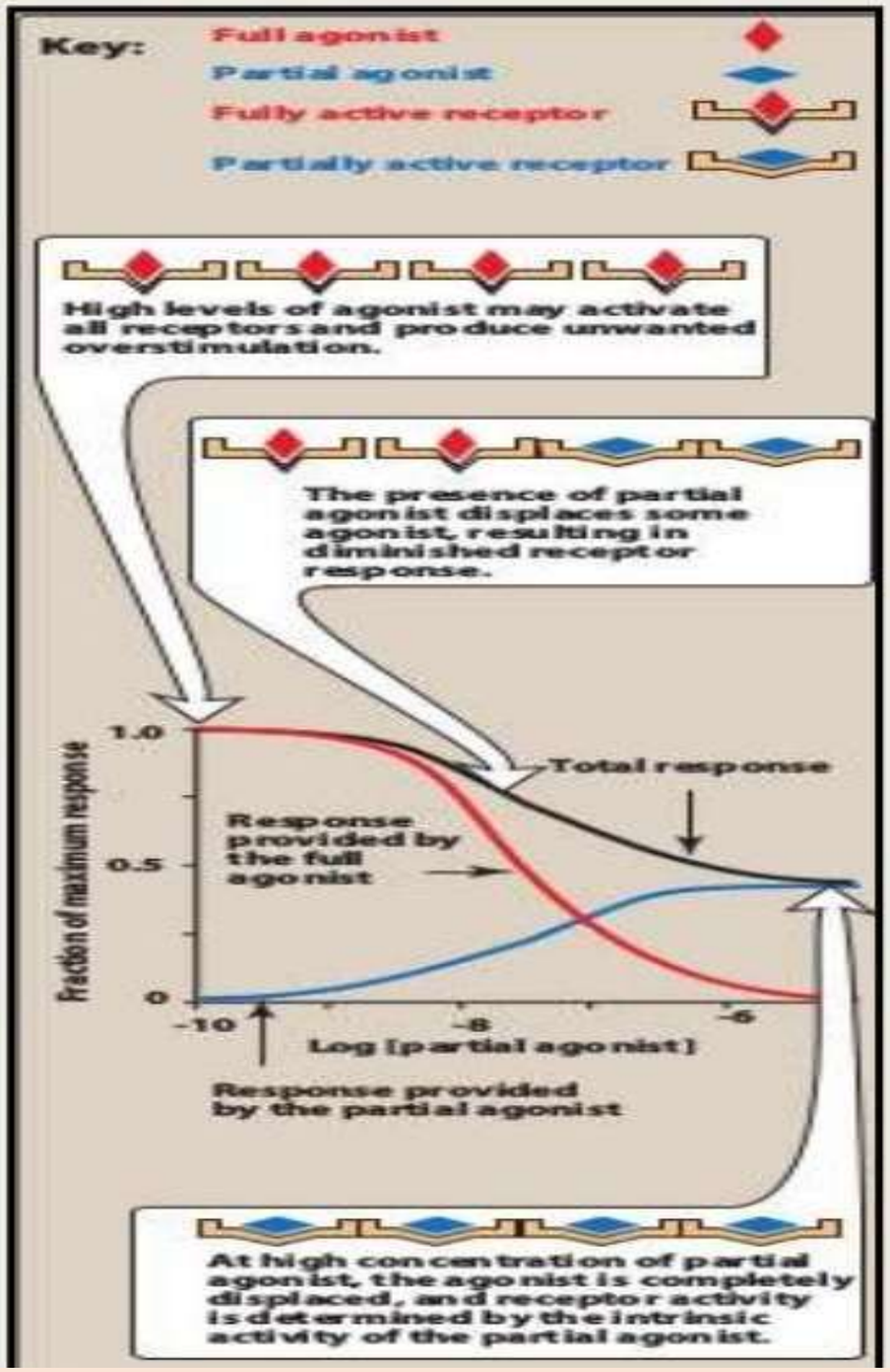
Instrinsic activity & Affinity:

- Biologic responseis based on the concentrationof the agonist and the fraction of activated ieceptors.
- The intrinsic activity of a drug determines its ability to fully or partiallyactivatethe receptors.
- Drugs may be categorized accordingto their intrinsic activity and resulting E , values.

A. Full agonists
B. Partial agonists
C. Inverse agonists
D. Antagonists

Effects of full agonists, partial agonists, and inverse agoı on receptor activity.





D.Antagonists

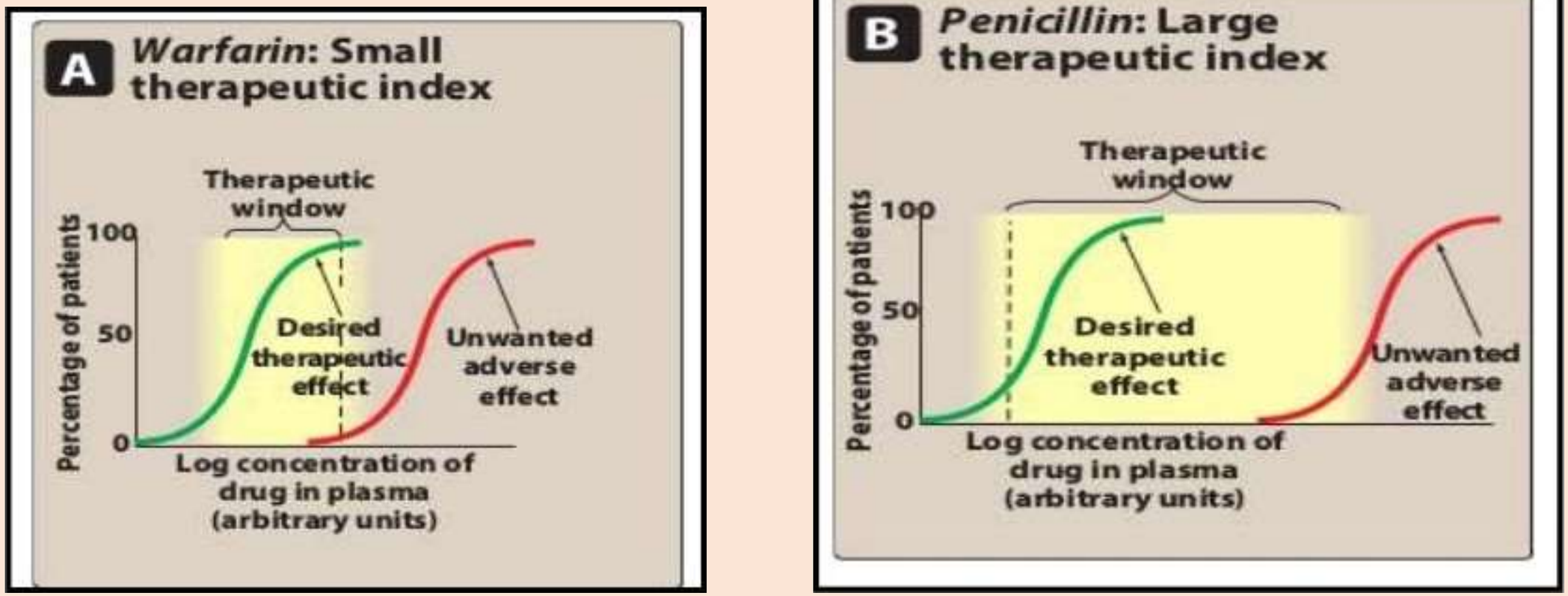
- Antagonists bind to a receptor with high affinity but possess zcio intrinsic activity.

- An antagonist has no ffcct in the absence of an agonist but can decreasethe effect of an agonist when present.

- Antagonism may occur either by blocking the drug's ability to bind to the receptor or by blocking its ability to activate the receptor.

1. Competitive antagonists:
2. Irreversible antagonists:
3. Allosteric antagonists:
4. Functional antagonism:

Quantal dose response relationship



- It is a dose response relationship in between the dose of the drug and the proportion of a population that responds to it.

  ED_5 values are used instead of EC_5t

Therapeutic index

- Measwe of a dmg's safety
- Larger value indicates a wide margin between doses that are effective and doses that are toxic.

Formula:

For Human $TI = TD_{so}\ \ ED_{so}$

For Animal $TI = LD_{so} / ED_{so}$

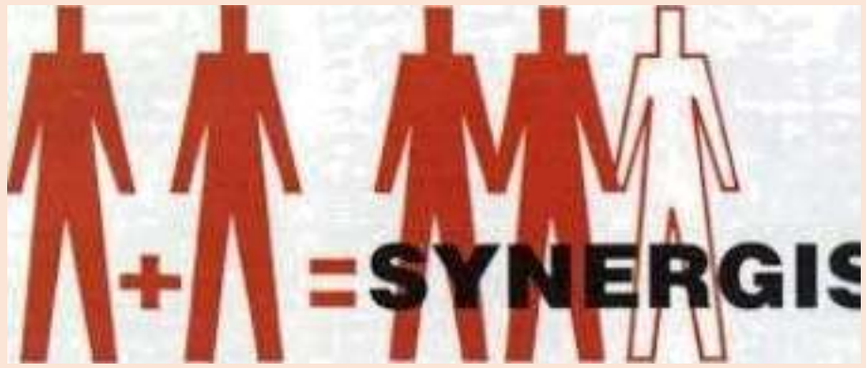
› ED50 (median effective dose): is the dose that produce therapeutic effect in 50% of the population.

› TD50/LD50(mediantoxic/lethal dose): is the dose that is toxic/lethal to 50% of the population.

COMBINED EFFECT OF DRUGS

- It may exhibit *synergism or* antagonism.
- May take place at pharmacokinetic level or *at* pharmacodynamic level.

SYNE.RGISM



- (Greek: *Syn—together; ergon—work)*
- Refers to the interaction between two or more “drugs” when the combined effect is greater than if you added the “drugs" on their own
- (a type of "when is one plus one is greater than two" effect).

Synergism can be:

(n) Addiñve Effect:

eAect of drugs A + B = eAect of drug A + eGect of &ug B

Examples:

Aspinn	paracetarrid	as anagesiz/ an0pyretic
Nitrous axle •	I›aloltiane	as Menu
Amk›d§››e +	atendol	as antihypertensive
Dlibenclami‹le +	metlbrmin	as hypoglycaemia
Ephedrine	the‹g›hyiIne	as bronchodilator

- Combinañon is bet>r tolerated lan ¥@er dose of one component.

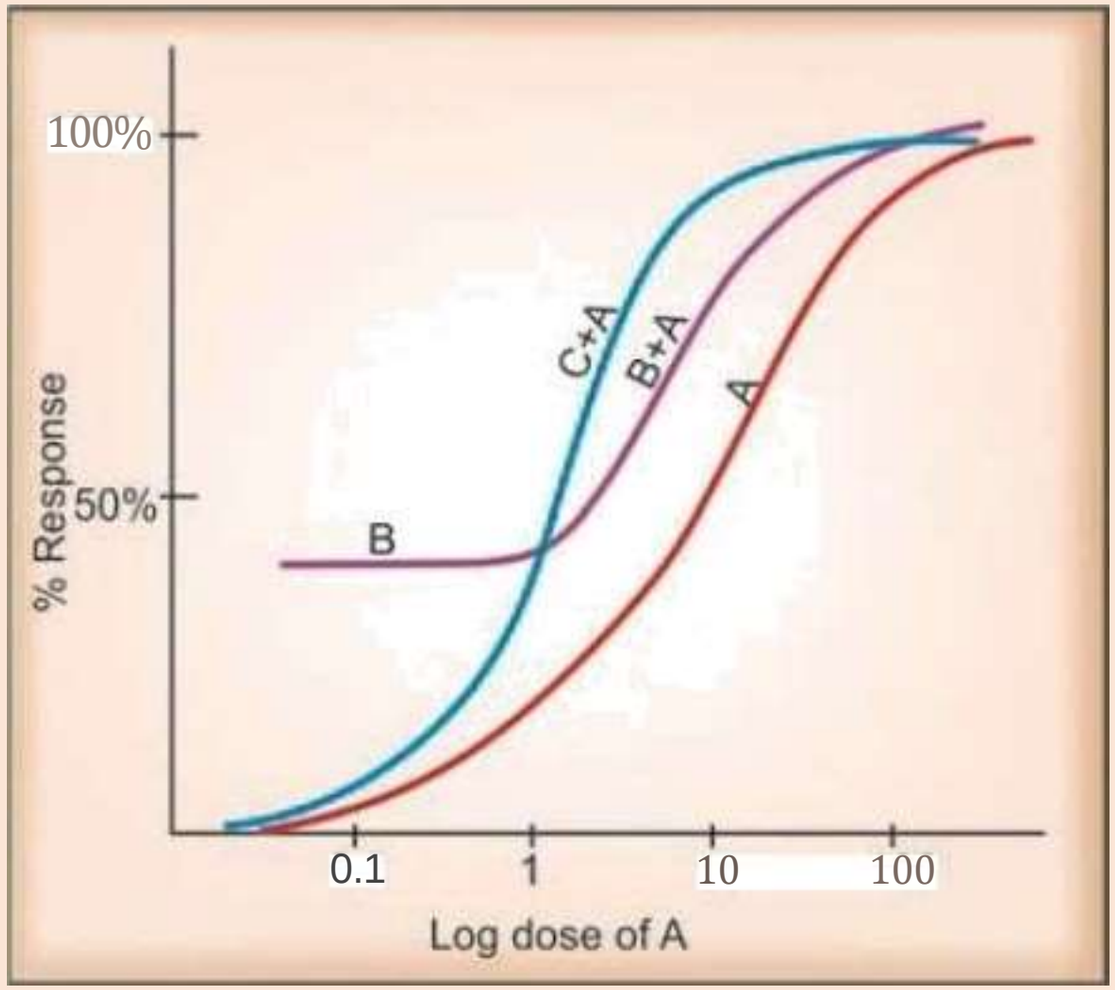


Fig. 4.17: Log dose-response curves of a drug 'A'
depicting additive synergism (in purple) and potentiation (Supra-additive synergism) in blue.

A: An agonist drug.

B: Another agonist in a fixed submaximal dose producing 4()9 response.

C: A potentiating drug which itself has no agonistic activity

Assessment:

1. Which of the following drugs is a beta-blocker used in hypertension?
a) Amlodipine
b) Metoprolol
c) Enalapril
d) Furosemide
✅ **Answer:** b) Metoprolol
2. ACE inhibitors act by inhibiting which enzyme?
a) Adenylate cyclase
b) Angiotensin-converting enzyme
c) Phosphodiesterase
d) Monoamine oxidase
✅ **Answer:** b) Angiotensin-converting enzyme
3. Which drug belongs to the calcium channel blocker class?
a) Atenolol
b) Furosemide
c) Amlodipine
d) Propranolol
✅ **Answer:** c) Amlodipine

4. Which of the following diuretics is potassium-sparing?
a) Furosemide
b) Hydrochlorothiazide
c) Spironolactone
d) Mannitol
✅ **Answer:** c) Spironolactone
5. Nitrates are primarily used for which cardiovascular condition?
a) Hypertension
b) Heart failure
c) Angina pectoris
d) Arrhythmia
✅ **Answer:** c) Angina pectoris

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Thank You