PHARMACOLOGY

Pharmacodynamics

OBJECTIVE:

- Identify different targets of drug action
- Differentiate between their patterns of action; agonism versus antagonism
- Elaborate on drug binding to receptors

PHARMACOLOGY
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Explanation & additional notes

Pharmacodynamics

What is Pharmacodynamics?

Study of biochemical or physiological effects of drugs and their mechanism of action at cellular and organ level .

Principles of drug action :

- Activate
- Depress
- Replace
- Irritate
- Destroy

The mechanism of action Based on the drug target site:

1- By binding with a biomolecule (Majority):

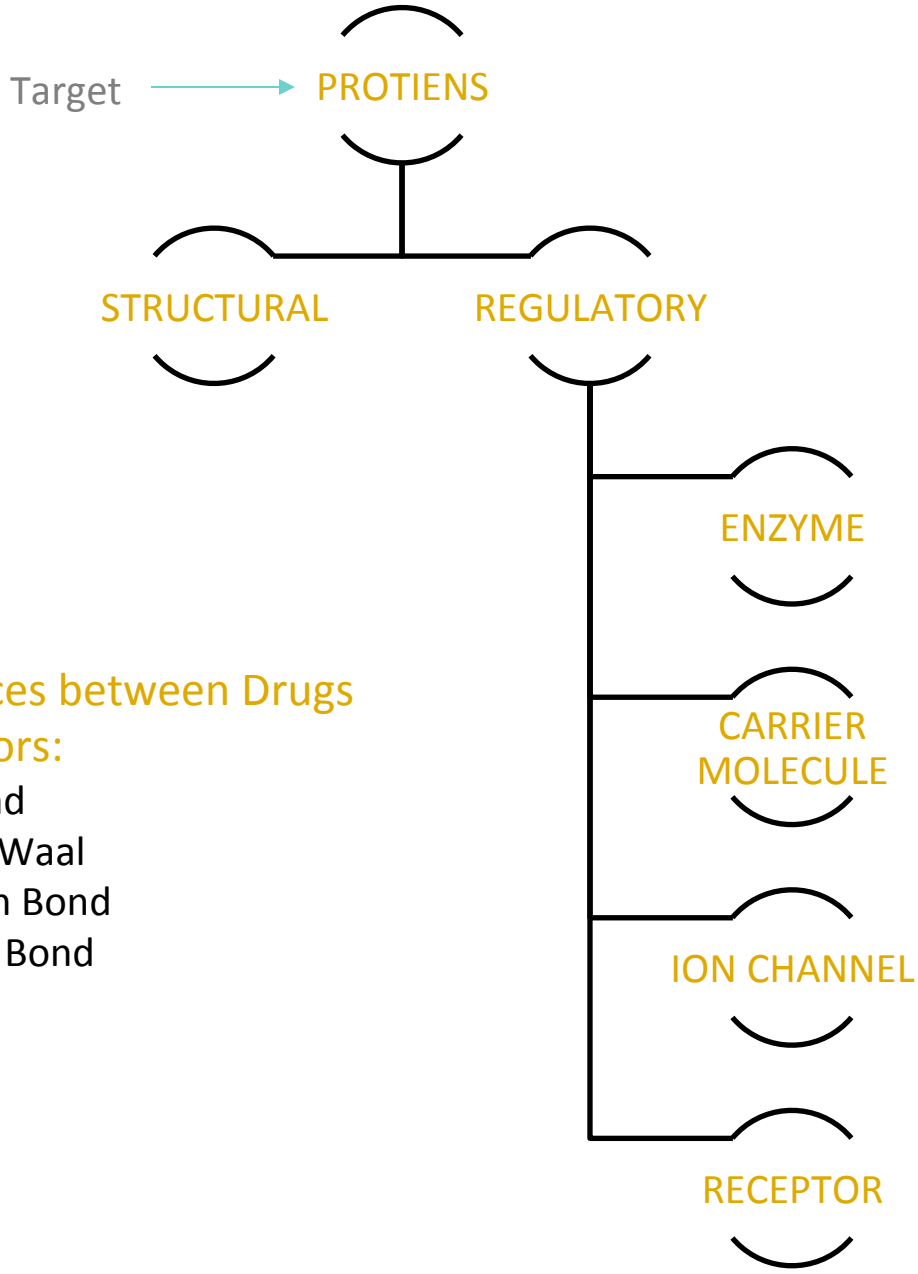
Mostly protein in nature (protein target)

2- not by binding with a biomolecule:

By chemical action: E.g. : Neutralization of acid by antacids.

By physical action: E.g. : Osmosis, purgative effect of MgSO4 (treatment of constipation)

Pharmacodynamics



Binding forces between Drugs and Receptors:

1. Ionic Bond
2. Van-Dar-Waal
3. Hydrogen Bond
4. Covalent Bond

1- STRUCTRAL: (found in the cell membrane)

Tubulin (one of the cytoskeleton Protein)

target for :

Vincristine : (anti-cancer drug)

Colchicine : (Used to treat Gout)

-2-Regulatory

1-Enzyme

The drug competes with the natural substrate for the enzyme:

1--Reversible	**Neostigmine:** reversibly compete with **ACH** for cholinesterase at motor end plate (neuromuscular junction). (Effect lasts for about 4 hrs)
2--Irreversible	**Organophosphates:** irreversibly competes with **ACH** for cholinesterase. (Effect lasts forever)

2-ION CHANNEL

Responsible for influx or out-flux of ions through cell membranes along their concentration gradients. They are activated by alteration in action potential and are controlled by gating mechanisms.
Drugs bind to alter channel function by:

1--Blockers: **Local Anesthetics** (block the pain during operation on the patient)	block Na **influx** through Na channel in nerve fibers. They are Na channel Blockers
2-modulation: **Sulfonylurea drugs** (use for treatment type 2 diabetes to secrete insulin)	block K+ **out-flux** via the K channels in pancreatic cells . They are K Channel Modulator.

3-Carrier molecules

Responsible for transport of ions and small organic molecules between intracellular compartments, through cell membranes or in extracellular fluids. The drug binds to such molecules altering their transport ability

1-Antiporter: different molecules in different direction (active transport)	**Digitalis(digoxin):**blocks efflux of Na by Na pump. (drugs used for treatment of heart Failure increase the contraction of the heart)
2--Symporter different molecules in same direction (passive transport)	**Cocaine:** blocks transport of catecholamines at synaptic cleft. (sodium/glucose transporter in kidney and intestine for treatment of diabetes)

-2-Regulatory

4-receptor

Responsible for selectively sensing and binding of a stimulus (ligand) and its coupling to a response via a set of signal transduction machinery

Drugs

bind and alter Receptor signal transduction machinery

ENDOGENOUS LIGAND + receptor → Bind Occupy → Initiate Activate → Physiological RESPONSE

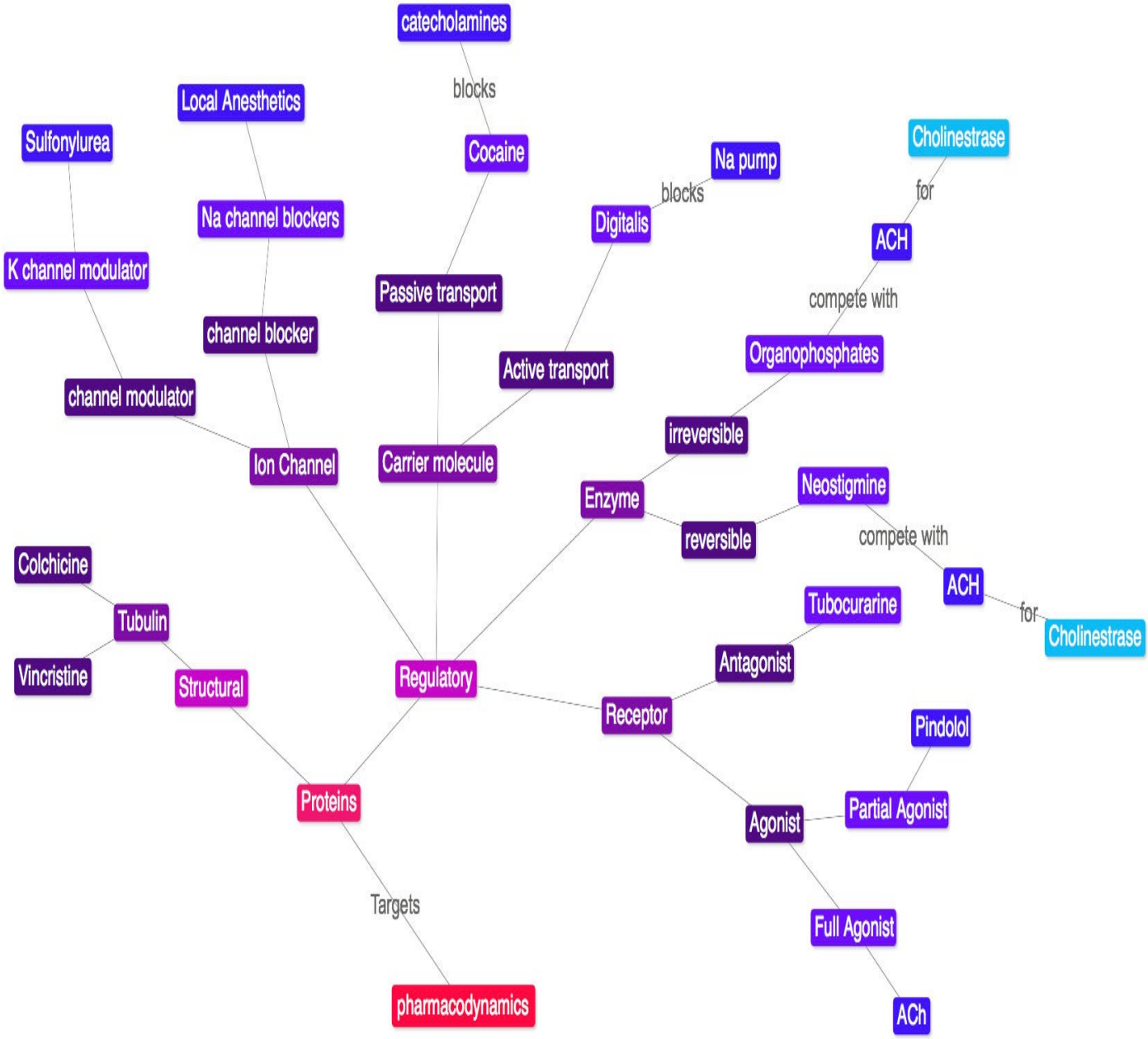
1-Agonist drug: **e.g.(ACh)**	2-Antagonist drug: **e.g. (Tubocurarine & Atropine)**
Affinity + **Efficacy**	**Affinity** But no **Efficacy**
(it is the capacity of a drug to form a complex with the receptor) **(DRcomplex)**	Affinity present (bind occupy) Efficacy absent (Initiate Activate)
(the ability of the drug once bound to the receptor to trigger response) **(Intrinsic activity)**	
Pharmacological response	**no response**

There are two types of agonist drugs

1-Full agonist	2-Partial agonist
having a full affinity to the receptor and a maximal intrinsic activity (efficacy) by increasing its concentration (1) **e.g.ACh**	having a full affinity to the receptor but with low intrinsic activity (efficacy) (<1) **e.g. pindolol:** beta blocker which produces less decrease in heart rate than pure antagonist such as propranolol

The value of intrinsic activity (efficacy) ranges from 0 to 1
(the intrinsic activity of antagonist drugs is 0 **e.g. atropine**)

summary



*Taken from 434

THANK YOU FOR CHECKING OUR WORK

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