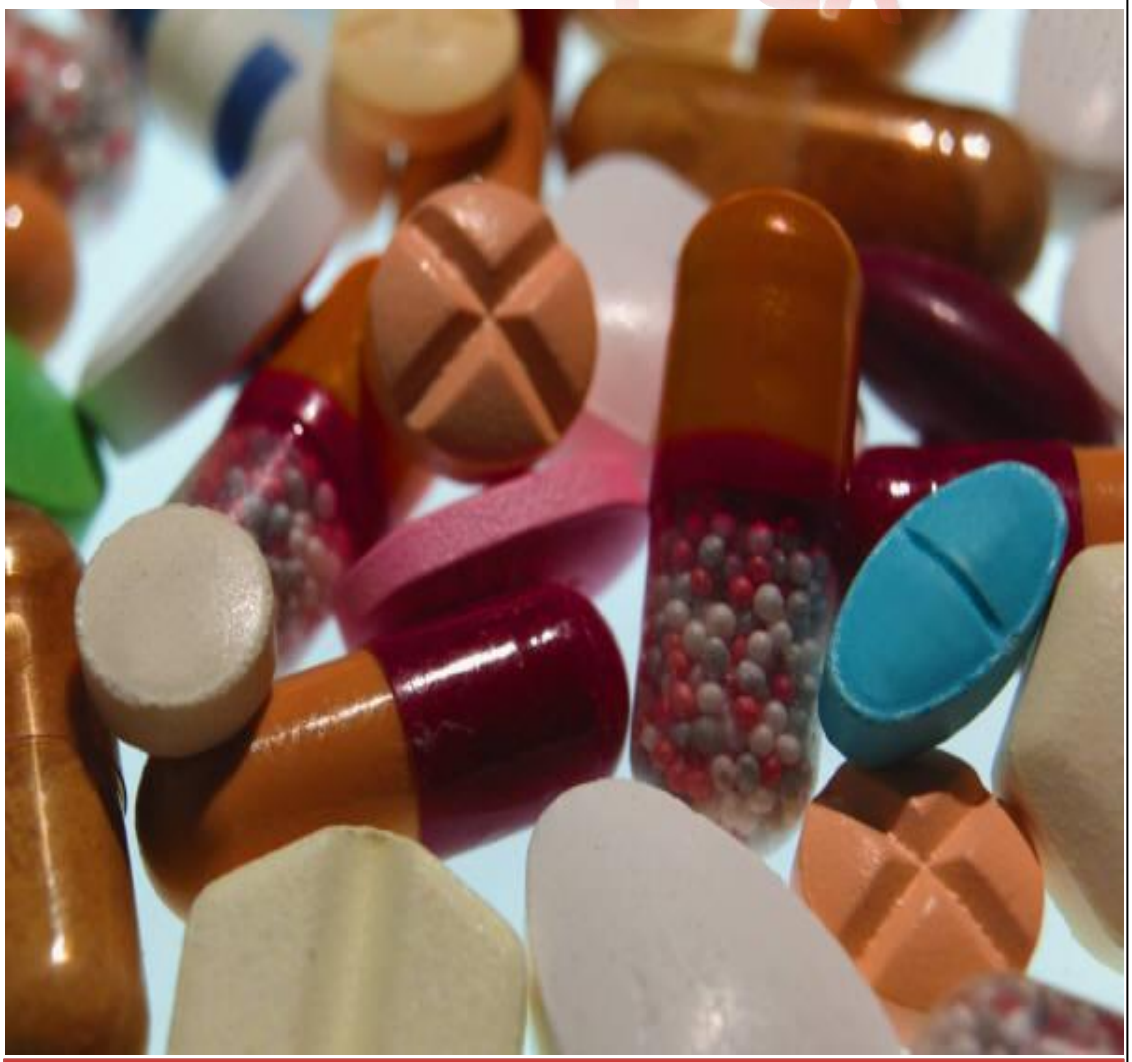
pharmacology



By:.

Team of pharmacology

1st Pharmacology Lecture “Mechanism Of Drug”

Lecture objectives :

1- The meaning of “Drug”

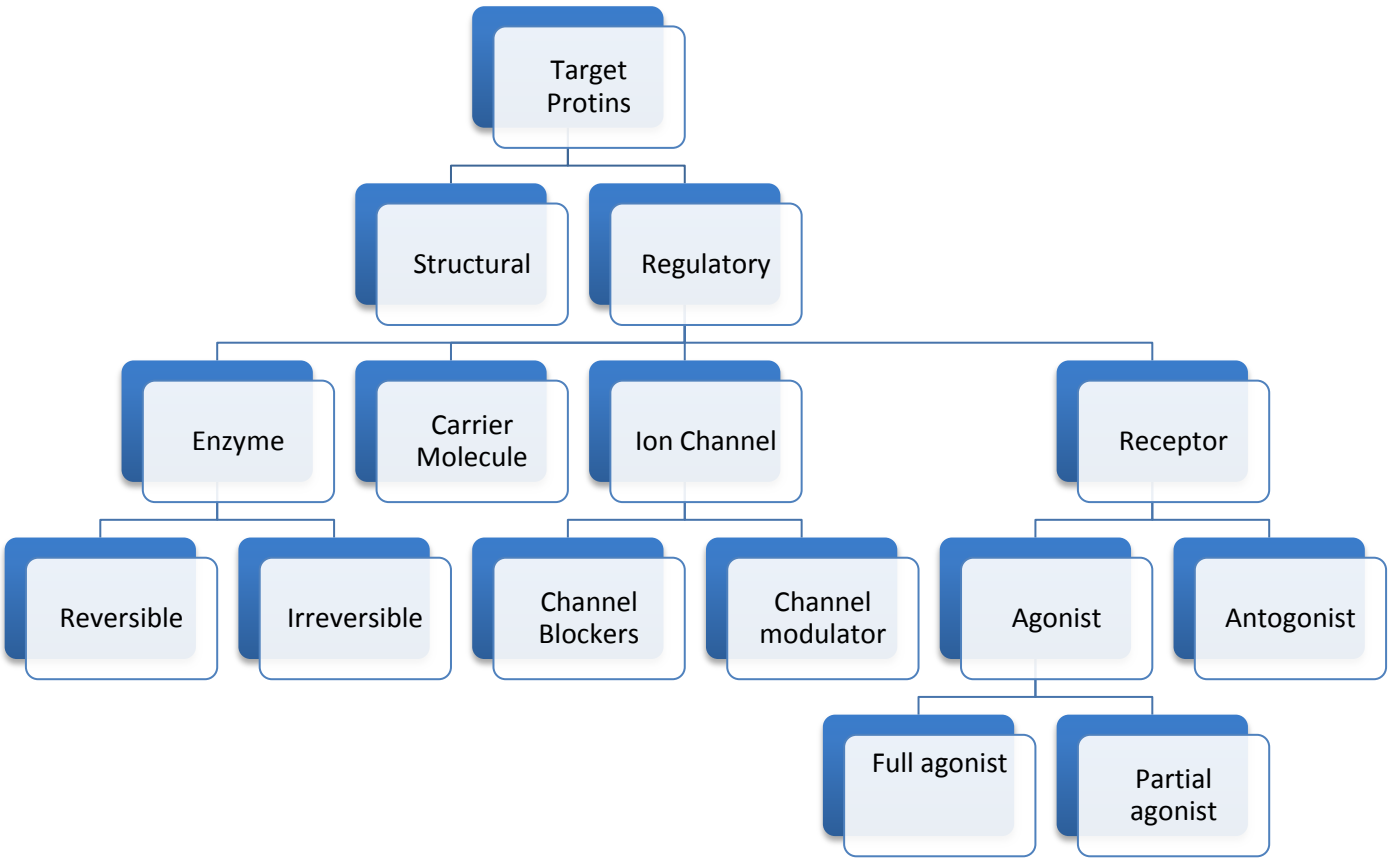
2- kinds of response

3- define the meaning of “Pharmacodynamics” and “Pharmacokinetics”

4- Identify different targets of drug action

5- define the meaning of “Affinity”, “Efficacy”, “Potency”

6- Differentiate between “Agonist”, “Antagonist”, “Full agonist”, “Partial agonist’



Pharmacology

What is a drug?

Is a chemical substance absorbed by the body (exogenously) to produce effect (response).

PHARMACODYNAMICS:

is the study of the response of the drug in certain organs or tissues.

(what the drug does to the body).

PHARMACOKINETICS (ADME system):

the study of the drug Absorption, Distribution, Metabolism and Excretion.

(what the body does to the drug).

Target: a molecule which the drug binds with, in order to produce an effect

(target is a protein in nature).

Rule: every drug in order to exert an action it has to bind to different biological molecule(a specific target).

Except for some drugs use there physical or chemical properties to produce an action.

Like:

1\ Antacids مضاضات حموضة.

2\ Purgatives مسهلات .

3\ Osmotic Diuretics مدرات البول.

Types of protein :.

*Structural Protein.

> Ex: tubulin

It binds with two kind of drug.

1.vincritine; is an anticancer drug.

2. Colchicine; is a drug used for treatment of Gout.

*Regulatory Protein.

1)Enzyme.

2) Carrier molecule.

3) ion channel.

4)receptors.

-what does drug do to enzyme ?

druge compete with the substrate of the enzyme.

-What is a substrate ?

It is a molecule, which an enzyme acts upon it.

(the drug similar to the substrate so it compete with substrate leading to inhibition of the enzyme, this inhibition can be reversible or irreversible).

ENZYMES

-Reversible.

Neostigmine ; it binds to the anionic site of cholinesterase Colinestrase that can breakdown ACH in a few millisecond.

-what is acetyl choline (ACH!) ?

(Its neuro transferred exist in the neuro muscular junction between nerve & muscle, if it has release, that cause contraction).

-Irreversible.

Organophosphates

Carrier molecule.

(from out to in or between the internal organels in the cell)
If it two molecule in the same direction
-Symporter: out > in . Or . In > out.
If it two molecule in the oppeset direction
-Antiporter: (K) in > . (Na) < out.

Ex: Cocaine: inhibits the carrier of catecholamine.

Ex: Digitalis: inhabits the K , Na pump.
- digitalis used for treatment of heart failure, its increase the contraction of the heart.

ION CHANNEL.

-Local Anesthetics; are Na channel Blockers.
-Sulfonylurea drugs; *are K* Channel Modulator.
Sulfonylurea drugs : increase the level of insulin**.**

RECEPTOR.

What is a receptor? It's a protein or biosensor

AFFINITY: it is the ability of the drug to bind to a receptor (R).
EFFICACY: it is the ability of the (drug-receptor) complex to exert a response.
Ligand: it is a substance found in the body that bind with a receptor.
it is a signal triggering molecule, binding to a site on a target (protein).
Agonist: a drug which has BOTH affinity & efficacy.
- Agonist activates the receptor.
Antagonist: a drug which has affinity but *not* efficacy.
- Antagonist inhibits the receptor.

The Efficacy of a drug helps us to determine how good an agonist is.
Partial agonist: a drug which can act as agonist or antagonist (depends on the body status)
Explanation:
If the body status is normal (no recently given drugs)
it acts as agonist.
If the body has been given a full agonist which is similar to the partial agonist in effects, the partial agonist acts as antagonist.

1 – which of the following drugs will bind with Tublin?
Sulfonylurea
Digitalis
Cocaine
Colchicine

2 – we can determine how good the agonist by?
Receptor
AFFINITY
EFFICACY
The type of protein

3 - the study of the response of the drug in certain organs or tissues is?
PHARMACODYNAMICS
PHARMACOKINETICS
PHARMACOLOGY

Name	Kind	Function
Tubulin	**Target structural Protein**	Target for **"Vincristine"** and **"Colchicine"**
Neostigmine	**Reversible binding drug to enzyme**	reversibly compete with **ACH** for **Cholinestrase at MEP**
Organophosphates	**Irreversibly binding drug to enzyme**	irreversibly competes with ACH for **Cholinestrase**
Cocaine	**Drug binding to molecule altering its transport activity "Carrier Molecule"**	blocks transport of **catecholamines** at synaptic cleft
Digitalis	**Drug binding to molecule altering its transport activity "Carrier Molecule"**	blocks efflux of Na by **Na pump**
Local Anesthetics	**Drug bind to alter channel function by block or modulation "Ion Channels"**	block Na influx through **Na channel** in nerve fibers. They are **Na channel Blockers**
Sulfonylurea drugs	**Drug bind to alter channel function by block or modulation "Ion Channels"**	block K^+ out flux via the **K channels** in pancreatic cells . They are **K Channel**
ACH	**Drug bind and alter receptor signal transduction machinery "Agonist"**	A drug that possesses both affinity and efficacy
Tubocurarine	**Drug bind and alter receptor signal transduction machinery "Antagonist"**	A drug that possesses an affinity but no efficacy
Pindolol	**a beta blocker "Partial Agonist"**	produces less decrease in heart rate
propranolol	**Antagonist**	————————