



Pharmacology

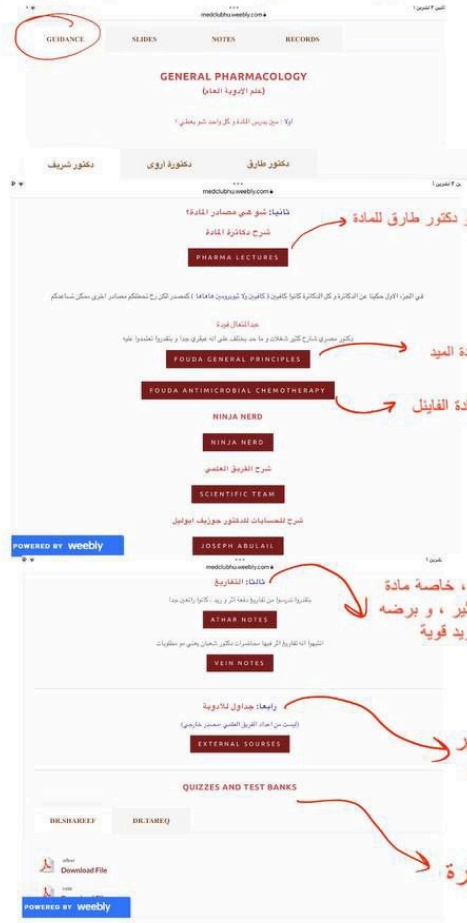
Subject :

Lec no : Lec 9

Done By : Raneem Azzam

وَقُلْ رَبِّ زِدْنِي عِلْمًا

تجدون في guidance مادة الفارما على موقع النادي :



للوصل الى guidance الفارما و تفاريف المادة كاملة :



كل اعمال الفريق العلمي تنشر على قناة التليغرام



No Efficacy ← يعني هو self → ← فاعيل response
 ← لكن ال response داخل جسم العيان كيف بين ؟ يمنع ال action
 تاخ ال agonist إلى وجود داخل ال Tissue
 له يتان يكون / hormone / substance / ... NT ← ليس يمنع ال action فهو بيش
 حاد ال response أو ال response

2. Antagonist effect:

the different

Antagonist has: **1. Affinity** **2. No Efficacy** **3. Slow Rate** of ass. & diss.

Types of receptor (pharmacological) antagonists:

1- Competitive Antagonist	2- Noncompetitive Antagonist
<p>Antagonist <i>competes with the agonist</i> for the same recognition site of the receptor.</p> <p>Duration of antagonism depends on the relative plasma concentrations of agonist and antagonist.</p> <p>Antagonist can be Displaced by excess agonist (surmountable).</p> <p>Causes parallel shift to the right in the log dose-response curve i.e. No change in E_{max} but ↓ in potency (↑↑ in ED_{50}).</p>	<p>Antagonist binds irreversibly with recognition site of the receptor or to an allosteric site (a site away from recognition site) to prevent binding of agonist with receptor or prevent activation of receptor by agonist.</p> <p>Duration of antagonism depends on synthesis of new receptors.</p> <p>Antagonist can Not be Displaced by agonist (non-surmountable).</p> <p>Causes downward shift in the log dose-response curve with ↓↓ in E_{max}, but No change in potency (ED_{50}).</p>
<p>Examples: Atropine (muscarinic blocker)</p>	<p>Example: Phenoxybenzamine (α - blocker)</p>

ما ننسب انما موجودة
 عند كل Drug لانو
 ما عننا بلوتوث او Wi Fi

مشان هيك يكون
 حامل بلوت لا
 receptors
 حلت تعمل على
 receptors على
 حتى ياربط
 فيتغزو
 لو كانت اربطة
 بيمع activation

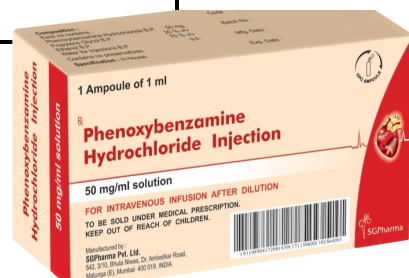
← الغالب الكمية
 الاكبر

فصاها

احتجت 10
 10 أضعاف الجرعة
 حتى اوصل 75%
 حطت له انما يتناقص
 قوته قلت له قلت ؟
 لانو حذفت agonist
 مشان هيك زدت الجرعة
 حتى ارجع ال response



ال تروبيدين مسكن عليه ← هيك يصي Atropine على Competitive Antagonism
 * تذكير الكمية الاكبر من Atropine او Ach
 حين ال يتغلب
 لا ach



3. Partial Agonist (Agonist-Antagonist)

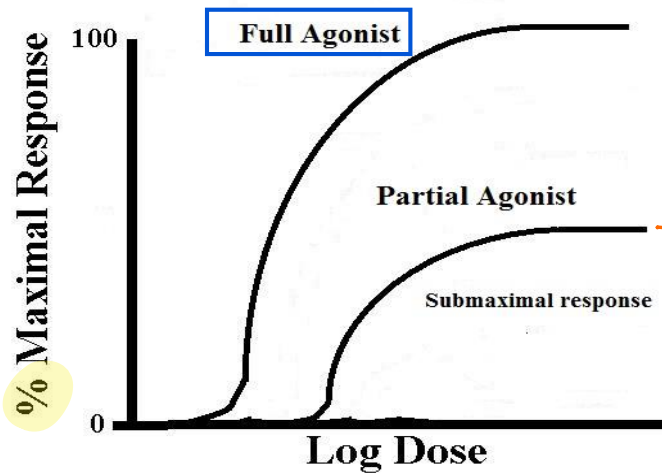
يعني شوما وصلت ل C عالي
 رح ديفل عمدي response و Emax أقل
 من إاي بتخلصه agonist

الحالة الأولى

• In absence of the agonist: it has:

← ماعندي و حنينة ال
 Partial agonist

1. Affinity
2. Moderate efficacy (submaximal effect) whatever its concentration.
3. Moderate or slow rate of association & dissociation.



حالة 2

• In the presence of the agonist, it acts as an antagonist i.e blocks effect of agonist.

partial agonist مع إيهافه ال

ما يعطيه احد ما يايخ morphine

• e.g. **Buprenorphine**: In the absence of a pure agonist e.g. **morphine**, it exhibits analgesic effects. In the presence of morphine it acts as an antagonist reducing its analgesic effect.

+ Buprenorphine
 ↳ partial agonist
 Morphine → full Agonist

لوعيان يايخ

Buprenorphine و اعطيه Morphine

Receptor Cycling or Turnover

- The number of receptors is not constant but the receptors are cycling (old receptors are internalized inside the cell and the new ones are externalized to the outside) and their number is continuously changing depending on the rate of recycling
- Binding of the **agonist** → ↓ number of receptors [**down regulation**]
- Binding of the **antagonist** → ↑ the number of receptors [**up regulation**]

* كلام الدكتور :-

خلي بالك من الكيرقات

1) → binding to receptor

Mechanism (2)

2) Drugs acting on ion channels: drugs can modulate ion channels

through:

ion channel مباشرة
مرتبطه في ATP حتى تشتمل

- Voltage-gated ion channels: **Local anesthetics** (Na⁺ channels).
- **ATPase-sensitive ion channels**: **Oral hypoglycemics** (ATPase-sensitive K⁺ channels in pancreatic β cells)
- Ion channels modulated by **G protein-linked receptors** (2^{ry} messenger)
- **Ligand-gated ion channels** (ion channel-linked receptors)

مخدر موضعي
لا يروح ملصها ويعملها block (يعني نفس A.P) بأثره على ال nerve تنتقل الإحساس

تتمثلها

هو ال ايون channel يشغل ال
يعني ال drug انشغل عن طريق Gp وال effect عمله ال ion channel

3) Drugs Acting on Enzymes: drugs can modulate enzyme through:

● ما تخربوا فاعلته ال Metabolism
● Activation of enzyme systems.

• Inhibition of enzyme:

- **Neostigmine** inhibit **cholinesterase enzyme** → increase Ach.
- Aspirin inhibits cyclooxygenase enzyme → decreases PGs synthesis

reversible ←

4) Drugs Acting on carrier systems

• Drugs may affect carrier systems or transport processes in the plasmatic membrane. Examples:

- **Digitalis** inhibit Na⁺/K⁺ ATPase pump in cardiac cell.
- **Diuretics** affect ions transporters in renal tubules

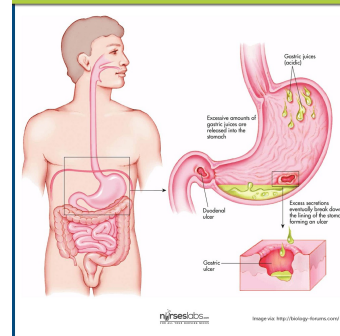
5) Drugs Acting on Subcellular Structures → داخل الخلية

Microtubules: **Colchicine** disrupts microtubules inhibiting mitosis. ← في علاج ال gout

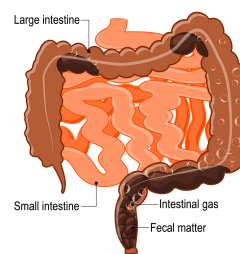
6) Drugs Acting on the Genetic Apparatus

- **Aminoglycosides** inhibit **bacterial protein** synthesis.
- **Anticancer** drugs affect DNA synthesis or function.

في خلايا البكتيريا



Constipation



ببطن ال ulcer

gastric ↑

7) Drugs Acting Physically:

طُطَطَات

• **Demulcents** (soothing): **bismuth salts** coat intestinal mucosa.

مُليِّنَات / مُسَهِّلَات

• **Lubricants**: **liquid paraffin** is used in constipation.

يكون عندي مادة السطح

• **Adsorbent**: **Kaolin** in treatment of diarrhea *وجوده في قشر السجّاح*

تأصبا بيسمك في molecules
اد مادة ثانية

Activated charcoal in treatment of drug toxicity

8) Drugs Acting Chemically:

basic compound

a. **Neutralization**: - **Antacids** neutralize HCL in peptic ulcer.

- Protamin sulfate (basic, +ve) for toxicity of heparin (acidic, -ve)

b. **Chelation**; is the capacity of organic compounds to form complexes with metals (chelates). The chelate may become more water-soluble and easily excreted. It is useful in treatment of heavy metal poisoning e.g.

complex

EDTA for lead & calcium) - **Deferrioxamine** for iron

التسمم من الرصاص

↓
يقال ان
absorption

↓
يقال
effect of drug

Drugs Acting Chemically:

basic *البي بصيني الدوا*
acid

أما موهومع الشحنة يعتبر كهربي و قس كيميائي

عملية التعادل

B)

المخني البدي
شيان



Toxic عندي و تبا ن فعينة جوا الجسم ← هارت Toxic
compound بعينه ادوية من عندي (compound) بتزوم و بتشيل
Tissue ال (compound) Toxic من Tissue ← كما ارتبوا سوا غلوا

chelation *سفيناه* *complex*