Pharmacology

Subject :

Lec no : Lec 9

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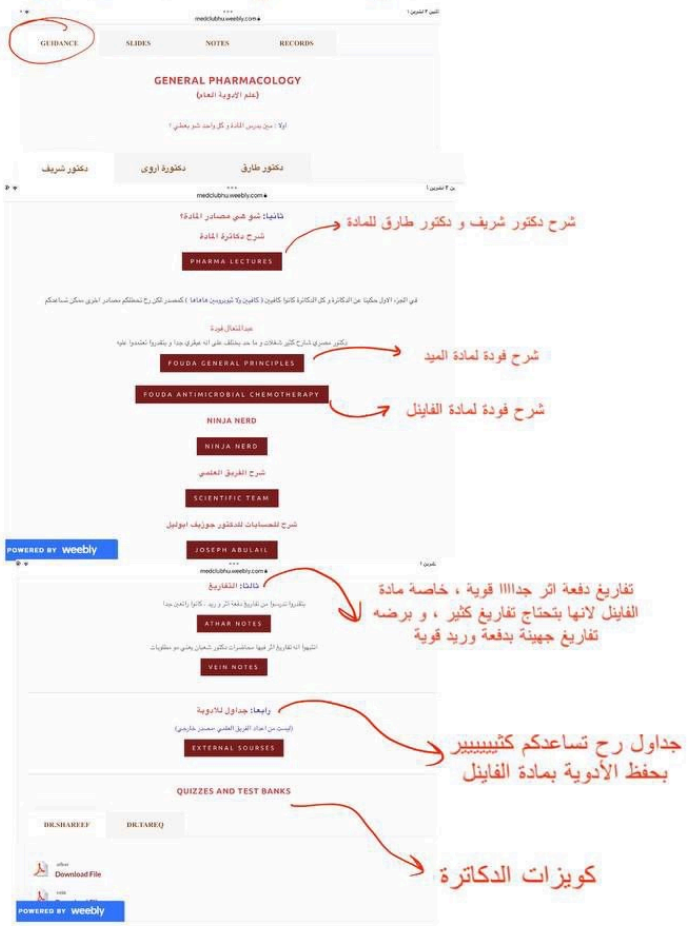
وَقُل رَّبِّ زِدْنِي عِلْمًا

للوصول الى guidance الفارما و تفاريغ المادة كاملة :

كل اعمال الفريق العلمي تنشر على قناة التيليغرام

تجدون في guidance مادة الفارما على موقع النادي :

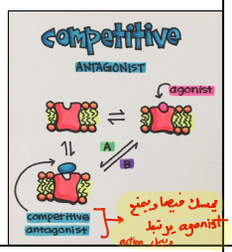
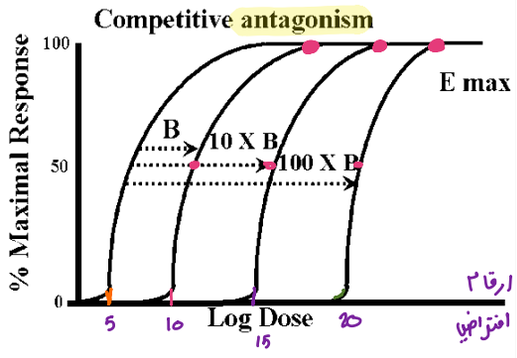
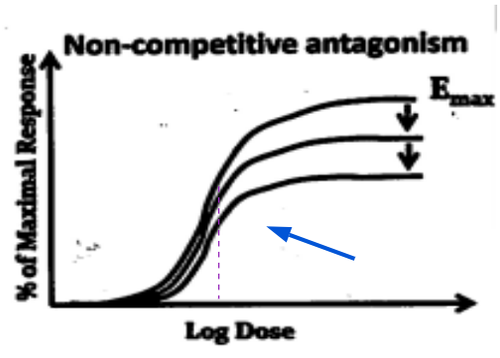


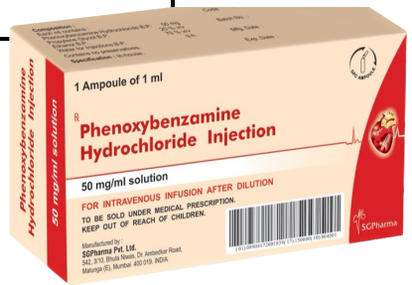
response يعني ← ما بيعمل ← it's self ← يعني ← No Efficacy
action ← لكن الـ response داخل جسم الحيوان كيف بنبين ؟ بمنع الـ
تاع الـ agonist إلي موجود داخل الـ Tissue
← يمكن يكون [hormone / chemical substance / ... NT] ← بس امنع الـ action تبعو بلش

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
• Antagonist ***competes with the agonist*** for the ***same recognition site*** of the receptor.  	• 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
• ***Duration*** of antagonism depends on the relative ***plasma concentrations of agonist and antagonist.*** • Antagonist can be ***Displaced*** by excess agonist ***(surmountable)***	• ***Duration*** of antagonism depends on ***synthesis of new receptors*** • Antagonist can ***Not*** be Displaced by agonist ***(non-surmountable)***
• 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})***	• Causes ***downward shift*** in the log dose-response curve with ***↓↓ in E_{max}***, ***but No change in potency (ED_{50})***
	
• Examples: **Atropine** (muscarinic blocker)	• Example: **Phenoxybenzamine** (α – blocker)



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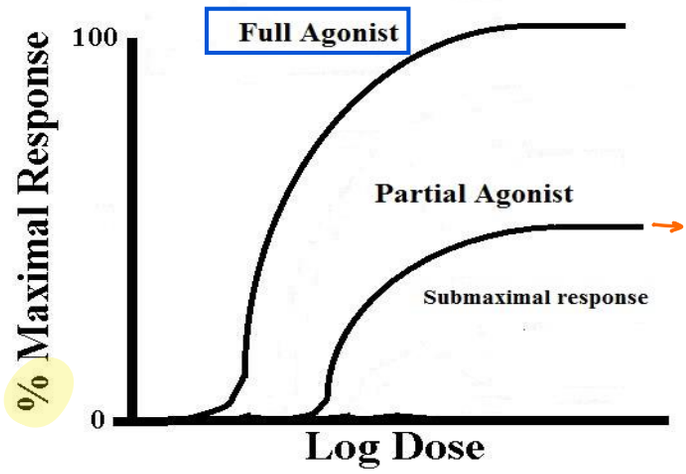
3. Partial Agonist (Agonist-Antagonist)

يعني شو ما وصلت لـ c عالي
رح يضل عندي response و Emax أقل
من إلي بتعطيها agonist

الحالة الأولى
• In absence of the agonist: it has: ← عندي و ضمنة ال Partial agonist

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



absence of agonist →

حالة ②
partial agonist ← مع إنها ضمنة ال
• In the presence of the agonist, it acts as an antagonist i.e blocks effect of agonist.

+ Buprenorphine ↳ partial agonist
Morphine → full Agonist

• 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.

كما بعطيه لحد هاي باخذ morphine
لوحيان باخذ Buprenorphine واحطيه 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: -

1)→ binding to receptor

Mechanisem ②

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

- 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)

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

- Activation of enzyme systems.
- Inhibition of enzyme:
  - Neostigmine inhibit cholinesterase enzyme → increase Ach.
  - Aspirin inhibits cyclooxygenase enzyme → decreases PGs synthesis

4) Drugs Acting on carrier systems

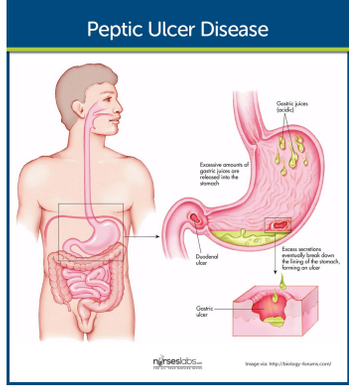
- Drugs may affect carrier systems or transport processes in the plasmatic membrane. Examples:
  - **Digitalis** inhibit Na^+/K^+ ATPase pump in cardiac cell.
  - **Diuretics** affect ions transporters in renal tubules

5) Drugs Acting on Subcellular Structures

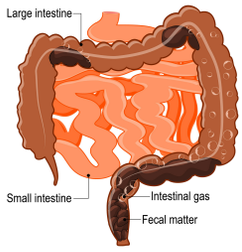
Microtubules: Colchicine disrupts microtubules inhibiting mitosis.

6) Drugs Acting on the Genetic Apparatus

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



Constipation



7) Drugs Acting Physically:

- **Demulcents** (soothing): **bismuth salts** coat ~~intestinal~~ mucosa.
- **Lubricants**: **liquid paraffin** is used in constipation.
- **Adsorbent**: **Kaolin** in treatment of diarrhea
  Activated charcoal in treatment of drug toxicity

8) Drugs Acting Chemically:

a. Neutralization: - **Antacids** neutralize HCL in peptic ulcer.
- Protamin sulfate (basic, +ve) for toxcicty 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. **EDTA** for lead & calcium) - **Deferrioxamine** for iron

Drugs Acting Chemically: