Pharmacology

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Pharmacodynamics

- It is the study of how drugs exert their effects on the body, including:
  - Mechanisms of action
  - Drug-receptor interactions

Understanding pharmacodynamics helps predict the effects of a drug in different patients and doses

Drug receptor interaction

- Drugs interact with receptors on or within cells to exert their effects
  - Receptor: A protein that binds to a drug to initiate a biological response
- *Affinity:* The *strength* of the drug-receptor binding
- *Efficacy:* The ability of a drug to *produce a desired effect* once bound to a receptor

- Types of receptors:
  - *Ion Channel Receptors: GABA, nicotinic* receptors
  - *G-protein Coupled Receptors (GPCRs): Adrenergic* receptors
  - *Enzyme-linked Receptors: Insulin* receptors
  - *Intracellular Receptors: Steroid* hormone receptors

- Drugs can bind to specific receptors inducing their effect such as morphine (a GPCR agonist) binds to opioid receptors to relieve pain
  - *Agonist:* A drug that binds to a receptor and *activates* it
  - *Antagonist:* A drug that binds to a receptor but does not activate it, *blocking* agonist action
  - *Partial Agonist:* A drug that binds and *partially activates* a receptor
    - ✓ Adrenaline activates adrenergic receptors, where ***beta-blockers*** are antagonists and ***buprenorphine*** is a partial agonist

- Dose-Response Relationship
  - The relationship between the drug *dose* and the *magnitude* of the drug's effect
  - ***Threshold dose:*** The **smallest dose** that produces an effect
  - ***Maximum efficacy:*** The **greatest effect** a drug can produce, regardless of dose
  - ***Potency:*** The ***amount of drug*** needed to produce a ***given effect***

- Therapeutic Window and Index
  - ***Therapeutic Window:*** The *range* of drug doses that produces a *therapeutic response* without causing significant adverse effects
  - ***Therapeutic Index (TI):*** The *ratio* between the toxic dose and the therapeutic dose of a drug
    - ✓ *Wide TI:* **Safe** drug such as *penicillin*
    - ✓ *Narrow TI:* **Narrow** safety margin such as *warfarin*

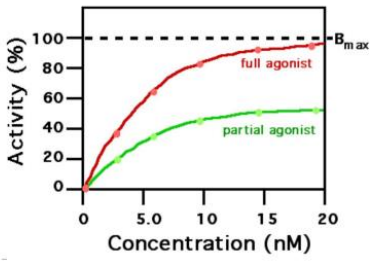
- Drugs examples:
  - ***Antihypertensives (beta-blockers):*** decrease blood pressure by blocking adrenergic receptors
  - ***Anticoagulants (warfarin):*** inhibit vitamin K-dependent clotting factors
  - ***Insulin*** binds to receptors on muscle and fat cells to facilitate glucose uptake

Mechanism of drug action

- **Agonist:** A drugs or molecules that bind to a receptor and ***activate*** it, producing a biological response.
  - They mimic the action of endogenous ligands
  - **Full** agonists produce the **maximum** possible response at a receptor
  - Example: ***Morphine*** acts as an agonist at *opioid receptors* to provide pain relief

- **Antagonist:** A drug or molecule that binds to a receptor but do ***not activate*** it, instead, ***block*** it and ***prevent*** other substances (like agonists) from binding and eliciting a response
  - Example: ***Naloxone*** is an antagonist at *opioid receptors* and is used to reverse opioid overdose
  - Antagonists can be classified into:
    - ✓ *Competitive antagonists:* ***Compete*** with agonists for the ***same*** binding site on the receptor
    - ✓ *Non-competitive antagonists:* Bind to a ***different site*** on the receptor, preventing activation regardless of agonist concentration

- **Partial agonists:** Drugs or molecules that bind to a receptor and activate it but produce a ***weaker (sub-maximal) response*** compared to a full agonist, even at full receptor occupancy
  - Example: ***Buprenorphine*** is a partial agonist at *opioid receptors*, providing pain relief with a lower risk of respiratory depression compared to full agonists
  - Partial agonists can act as **agonists** in the absence of a full agonist
  - Antagonists in the presence of a full agonist, by **competing** for the receptor and reducing the maximal response



- **Toxicity of Drugs:** *Adverse effects* resulting from excessive drug levels or sensitivity
  - Types: *Acute* toxicity, *Chronic* toxicity, *Organ-specific* toxicity (hepatotoxicity, nephrotoxicity)
  - Examples: Overdose of paracetamol (acetaminophen) leading to liver damage

- Pharmacodynamic Variability and Toxicity factors
  - *Patient-Specific Factors:* ***Age***, ***genetics***, ***disease*** state (comorbidities), and ***tolerance***
  - *Drug Interactions*
    - ✓ Narrow therapeutic index
    - ✓ Drug interactions (Polypharmacy)
      - ***Synergistic effects*** (when drugs **enhance** each other)
      - ***Antagonistic effects*** (when drugs **oppose** each other)

- Drug Interactions Definition: When the effects of one drug alter another
  - Pharmacodynamic interactions include synergism, antagonism
  - Pharmacokinetic interactions include absorption, metabolism, elimination

- Preventing Toxicity and Managing Interactions:
  - *Monitor therapeutic* drug levels
  - *Avoid unnecessary polypharmacy*
  - Use *drug interaction* databases and tools
    - ✓ Drug interactions can enhance therapeutic effects or increase risks of adverse effects
    - ✓ Avoid combining drugs with high interaction risks
    - ✓ Adjust doses when interactions are unavoidable
    - ✓ Monitor patients closely for signs of adverse effects
  - *Patient education* on proper drug use

- ***Warfarin*** and ***aspirin*** leading to *increased bleeding risk*
- ***Grapefruit juice*** *inhibiting drug metabolism*
- Combining ***CNS depressants*** (e.g., alcohol + benzodiazepines) causing *respiratory depression*

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