Lecture 2 - Principles of Drug Action

Topic	Details
Drug Targets	Receptors, enzymes, ion channels, and carriers/transporters are common targets; exceptions include DNA and osmotic diuretics.
Receptors	Sensing elements for chemical communication are activated by agonists and inhibited by antagonists.
Ion Channels	Gateways for ions can be ligand-gated or voltage-gated. Example: Dihydropyridine blocks L-type calcium channels.
Enzymes	Targeted by competitive, non-competitive inhibitors or false substrates. Example: Aspirin irreversibly inhibits cyclo-oxygenase.
Transporters	Facilitate the movement of ions and molecules. Example: Omeprazole inhibits H+/K+-ATPase.
Drug-Receptor Binding	**Four types of bonds:** Van der Waals (weakest but most common), hydrogen bonds, ionic bonds, and covalent bonds (strongest but rare). (MCQ)
2. Which type of bond is most common in binding drugs to their receptor? (2018) **Answer: (c) Van der Waals. Slide 19.**	
Dose-Response Curves	**Graded** (incremental response) and **Quantal** (yes/no response) dose responses are used to measure drug effects.
Therapeutic Index (TI)	**TI =** LD50/ED50 measures the safety margin of a drug. **Higher TI indicates a safer drug**.
1. What is the property of a drug that the therapeutic index (TI) describes? (2019) **Answer: (a) Safety margin. Last slide.**	
ED50 & LD50	- **ED50**: Dose producing 50% of the maximal response. - **LD50**: Dose causing death in 50% of the population.
3. What is true about LD50? (2020) **Answer: The dose that results in the death of half of the test population. Last slide.**	
Safety Margin	The therapeutic Index indicates a safety margin; a higher TI signifies a broader margin.
4. Which of the following indicates the safety margin of a drug? (2015) **Answer: (b) Therapeutic Index (TI). Last slide.**	
Measurement of Effects	- **Emax**: Maximum response in a tissue/assay (efficacy). - **EC50**: Dose producing 50% of maximal response.

Important Questions & Explanations:

1. **What is the property of a drug that therapeutic index (TI) describes?** (2019)
    - **Answer:** (a) Safety margin.
    - **Explanation:** TI quantifies the safety margin calculated as LD50/ED50.
2. **Which type of bond is most common in binding drugs to their receptor?** (2018)
    - **Answer:** (c) Van der Waals.
    - **Explanation:** Van der Waals and hydrogen bonds are weak but critical. Ionic and covalent bonds are strong but less common.
3. **What is true about LD50?** (2020)
    - **Answer:** LD50 is the dose that results in the death of half of the test population.
    - **Explanation:** Straightforward, as explained on the last slide.
4. **Which of the following indicates the safety margin of a drug?** (2015)
    - **Answer:** (b) Therapeutic Index (TI).
    - **Explanation:** TI is a direct measure of safety margin.