

Drugs acting on Central Nervous System

Sedatives and Hypnotics

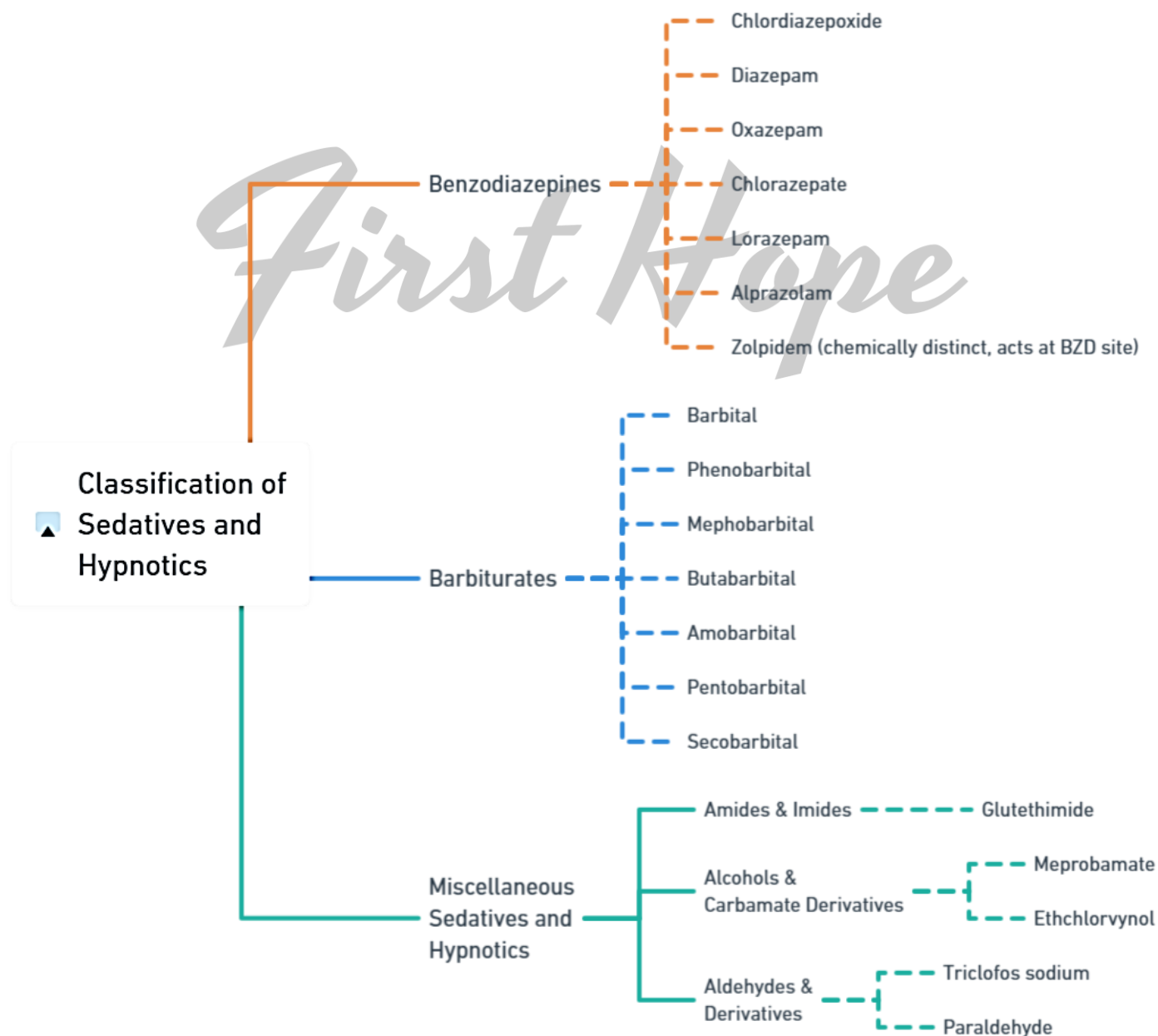
Definition

- Sedatives and hypnotics are central nervous system (CNS) depressants that reduce anxiety (anxiolytic effect), induce sedation (calming effect), or promote sleep (hypnotic effect).
- The same compound may act as a sedative or hypnotic depending on the **dose** and **pharmacokinetics**.

SEDATIVES AND HYPNOTICS



Classification



1. Benzodiazepines

Mechanism (brief)

- Enhance the effect of GABA (gamma-aminobutyric acid) at the GABA-A receptor, increasing the frequency of chloride channel opening, leading to CNS depression.

Examples of Benzodiazepines:

- Chlordiazepoxide
- Diazepam
- Oxazepam
- Chlorazepate
- Lorazepam
- Alprazolam
- Zolpidem (*Note: Chemically distinct but acts at benzodiazepine binding site – often grouped with BZDs for pharmacology*)

2. Barbiturates

Mechanism (brief)

- Act on GABA-A receptors to prolong the duration of chloride channel opening.
- At higher doses, they can **mimic GABA**, leading to more profound CNS depression.

Examples of Barbiturates:

- Barbital
- Phenobarbital
- Mephobarbital
- Amobarbital
- Butabarbital
- Pentobarbital
- Secobarbital

3. Miscellaneous Sedatives And Hypnotics

A. Amides & Imides

- Structural similarity to barbiturates.
- Act as CNS depressants.
- **Example:**
 - Glutethimide

B. Alcohols & Carbamate Derivatives

- Possess **sedative-hypnotic** activity.

- Carbamate derivatives act as **CNS depressants** similar to older sedatives.
- **Examples:**
 - Meprobamate
 - Ethchlorvynol

C. Aldehydes & Their Derivatives

- Used for **short-term sedation**.
- Work by depressing CNS activity, though mechanisms can vary.
- **Examples:**
 - Triclofos sodium
 - Paraldehyde

Classification of Sedatives and Hypnotics

Class	Mechanism of Action	Examples	Key Notes / Uses
Benzodiazepines	Enhance GABA-A receptor activity → increase frequency of Cl ⁻ channel opening → CNS depression	Chlordiazepoxide, Diazepam, Oxazepam, Chlorazepate, Lorazepam, Alprazolam, Zolpidem*	Anxiolytics, hypnotics, anticonvulsants, muscle relaxants. Zolpidem is non-BZD but binds same site.
Barbiturates	Enhance GABA-A activity → prolong Cl ⁻ channel opening; at high doses, mimic GABA → profound CNS depression	Barbital, Phenobarbital, Mephobarbital, Amobarbital, Butobarbital, Pentobarbital, Secobarbital	Less safe than BZDs; used in epilepsy and anesthesia. Risk of dependence, overdose.
Amides & Imides	Structurally similar to barbiturates; act as CNS depressants	Glutethimide	Formerly used as sedative; replaced due to abuse potential and safer alternatives.
Alcohols & Carbamate Derivatives	Depress CNS via uncertain mechanisms; carbamates similar to older sedatives	Meprobamate, Ethchlorvynol	Meprobamate has anxiolytic properties; now rarely used due to safety concerns.
Aldehydes & Derivatives	Depress CNS activity; exact mechanism varies	Triclofos sodium, Paraldehyde	Used for short-term sedation; paraldehyde historically used in seizures and delirium.



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