Drugs acting on Central Nervous System

Anesthetics

Anaesthetics

Definition:

- Anaesthetics are drugs that produce partial or complete loss of sensation, with or without loss of consciousness.
- They are crucial in surgeries and painful medical procedures to ensure patient comfort and immobility.

Types and Classifications:

A. General Anaesthetics

- Induce a reversible loss of consciousness.
- Administered by inhalation or intravenous (IV) routes.

I. Inhalational Agents:

- **Volatile liquids:** Isoflurane, Sevoflurane, Desflurane
- **Gases:** Nitrous oxide

II. Intravenous Agents:

- **Induction agents:** Propofol, Thiopental sodium, Etomidate
- **Dissociative anaesthetic:** Ketamine

B. Local Anaesthetics

- Cause localized numbness without affecting consciousness.
- Administered by injection or topical application.

I. Amide-linked:

- Lidocaine, Bupivacaine, Ropivacaine

II. Ester-linked:

- Procaine, Tetracaine

C. Regional Anaesthetics

- Affect nerve plexuses or spinal cord.
- **Types:** Spinal anaesthesia, Epidural anaesthesia, Nerve blocks

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Mechanism of Action:

General Anaesthetics:

- Enhance GABA-A receptor activity or block NMDA receptors, causing CNS depression.

Local Anaesthetics:

- Block voltage-gated sodium channels in neurons, preventing depolarization and nerve impulse conduction.

Clinical Uses:

- **General Anaesthetics:** Major surgeries, Cesarean sections, Trauma care
- **Local Anaesthetics:** Minor surgeries, Dental procedures, Suturing
- **Regional Anaesthetics:** Orthopedic procedures, Childbirth analgesia

Various Anaesthetics

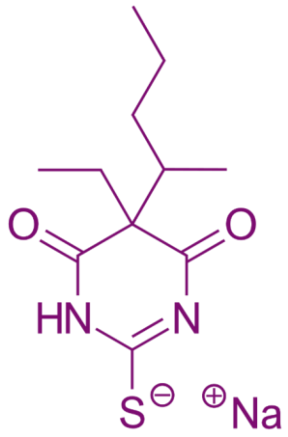
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1. Thiopental Sodium*

Chemical Name:

- Sodium 5-ethyl-5-(1-methylbutyl)-2-thiobarbiturate

Chemical Structure:



Uses:

- Induction of general anesthesia (ultra-short acting)
- Emergency treatment of seizures (e.g., status epilepticus)
- Euthanasia protocols in veterinary medicine

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Stability & Storage:

- Supplied as sterile, lyophilized powder.
- Sensitive to light and moisture.
- **Storage**: Store in airtight containers at room temp (15–30°C); reconstituted solution stable for only a few hours.

Formulations:

- Injectable powder for IV use (usually 2.5% or 5% w/v after reconstitution)

Brand Names:

- Pentothal (Abbott)
- Nesdonal (formerly by Rhône-Poulenc)

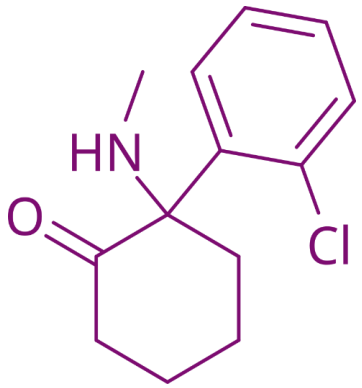
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2. Ketamine Hydrochloride*

Chemical Name:

- (RS)-2-(2-Chlorophenyl)-2-(methylamino)cyclohexanone hydrochloride

Chemical Structure:



Uses:

- Induction and maintenance of anesthesia
- Procedural sedation
- Treatment-resistant depression (low-dose IV or intranasal)

Stability & Storage:

- Stable in aqueous solution.
- Store between 20–25°C; protect from freezing.

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Formulations:

- Injectable solution (10, 50, or 100 mg/mL)
- Nasal spray (e.g., esketamine derivative)

Brand Names:

- Ketalar (Pfizer)
- Ketmine, Ketanest, Ketaset (veterinary)

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3. Propofol

Chemical Name:

- 2,6-diisopropylphenol

Uses:

- Induction and maintenance of general anesthesia
- ICU sedation for mechanically ventilated patients
- Procedural sedation

Stability & Storage:

- Prone to microbial growth due to lipid emulsion base.
- Store at 4–25°C. Use within 6–12 hours after vial opening (depending on formulation).

Formulations:

- Injectable emulsion (1% w/v in soybean oil-based lipid vehicle)
- Microemulsion (less common due to pain on injection)

Brand Names:

- Diprivan (AstraZeneca)
- Propoven, Propoclear

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Sedatives and Hypnotics

Sedatives and Hypnotics

Definition:

- These drugs depress the central nervous system, producing a calming effect (sedation) or sleep (hypnosis).
- Sedatives reduce agitation and anxiety, while hypnotics induce sleep.

Classification:

A. Benzodiazepines:

- **Short-acting:** Triazolam, Midazolam
- **Intermediate-acting:** Lorazepam, Alprazolam
- **Long-acting:** Diazepam, Clonazepam

B. Barbiturates:

- **Ultrashort-acting:** Thiopental
- **Short-acting:** Pentobarbital
- **Long-acting:** Phenobarbital

C. Non-benzodiazepine Hypnotics ("Z-drugs"):

- Zolpidem, Zaleplon, Eszopiclone

D. Melatonin Agonists:

- Ramelteon – Used for circadian rhythm-related insomnia

Mechanism of Action:

- Benzodiazepines and barbiturates bind to GABA-A receptors, enhancing GABA’s inhibitory effects.
- Z-drugs bind selectively to BZ1 subtype of the GABA-A receptor.
- Melatonin agonists act on MT1 and MT2 receptors in the suprachiasmatic nucleus.

Clinical Uses:

- Insomnia (Zolpidem, Temazepam)
- Anxiety (Diazepam, Alprazolam)
- Preoperative sedation (Midazolam)

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