CNS Depressants and Muscle Relaxants

CNS depressants are drugs that slow down brain activity, leading to sedation, hypnosis (sleep), anxiety relief, and muscle relaxation.

Classification of CNS Depressants

1. **Barbiturates (Phenobarbital, Secobarbital)**
2. **Benzodiazepines (Diazepam, Lorazepam, Temazepam)**
3. **Non-Barbiturate Sedative-Hypnotics (Paraldehyde)**
4. **Miscellaneous Agents (Antihistamines, Opioids)**

Sedatives: Calming effects

Hypnotics: Produce sleep

1.Barbiturates:

Mechanisms of action: The primary mechanism of action of barbiturates is the inhibition of the central nervous system. Enhance GABA-A receptor activity, increasing chloride ion influx, leading to CNS depression.

- **Causes sedation, hypnosis, and anticonvulsant effects.**

a.Phenobarbital:

Trade name: Luminal.

Class: sedative- anticonvulsant- barbiturate.

Mechanism of action: Enhances GABA-A receptor activity by prolonging the opening of chloride ion channels. This leads to hyperpolarization of neuronal membranes, decreasing neuronal excitability and inducing sedation, hypnosis, and anticonvulsant effects.

Action:

1. Long-acting barbiturate

2.Act as a sedative

3.Hypnotic and anticonvulsant by producing CNS depression.

Uses:

1- Preanasthetic medication.

2- Sedation

3- Hypnotic

4- Epilepsy

N.B.: should be given parenterally for anticonvulsant effect.

Contraindication: Hypersensitivity.

Overdose:

tachycardia, hypothermia, coma, respiratory Depression, absent reflexes and circulatory collapse respiratory relaxation and vascular collapse.

Treatment of overdose toxicity:

1- Maintain and assist with respiration as indicated.

2- Support circulation by vasopressor and I.V. fluids as required.

3- Aspirate stomach content, take care to avoid pulmonary aspiration .

4- Diuretics may be given as ordered.

5- Intake and output measurement.

6- Dialysis if indicated.

Nursing considerations:

1- If given I.V, closely monitor the rate of flow. Rapid administration may lead to respiratory depression.

- Monitor the site of I.V. For soft of extravasations which cause sever pain, nerve damage and necrosis.

2- Avoid the use of alcoholic beverages.
3- Instruct the client not to drive a car or operate other hazardous machinery after taking the medication .
4- Take the medication only as prescribed.
5- If used for hypnotic effect, give ½ hr before bedtime.
6- Teach patient about signs and symptoms of toxicity, and instruct patient to report them to treating physician.
8- Keep the drug out of reach of the children.

b- Secobarbital:

Trade name: seconal
Class: sedative – hypnotic, barbiturate type.
Action: short acting barbiturate, (as luminal) .
Uses: short- term of insomnia.
a- Sedative to relief anxiety.
b- Preoperative sedation.
c- Sometimes parenterally as anticonvulsant.
3.benzodiazepines (anticonvulsant)
Temazepam
Lorazepam
Diazepam:
Trade name: Valium
Class: antianxiety agent, benzodiazepine.
Mechanism of action: Binds to GABA-A receptors at the benzodiazepine site, enhancing the frequency of chloride channel opening.
• This increases GABA's inhibitory effect on the CNS, leading to sedation, muscle relaxation, anticonvulsant effects, and anxiety relief.

uses:
1- Symptomatic relief of anxiety and tension.
2- Muscle relaxant.
3- Anticonvulsive.
4- Preoperatively.
5- Before gastroscopy or esophagoscopy.
6- Treatment of status epileptics.
Contraindications:
- Hypersensitivity.
- Acute narrow angle glaucoma.
- Pregnancy.
- Shock, coma.
- Alcoholic intoxication (to avoid respiratory of depression).
Side effects:
Drowsiness, fatigue, ataxia, hypotension, visual disturbances, headache.

Nonbarbiturate sedative- hypnotics

1-Paraldehyde:
Trade name: paral
Mechanism of action: Paraldehyde modulates GABA-A receptors, producing CNS depression.
• It is less potent than barbiturates and has minimal effects on respiration and blood pressure at therapeutic doses.
Uses:
1- Sedative and hypnotic.
2- Emergency treatment of seizures .
3- Delirium tremors.
Contraindication:

1- Gastroenteritis
2- bronchopulmonary disease.
3- Hepatic insufficiency.

II. Muscle Relaxants

1. Central Muscle Relaxants

A. Baclofen

- Mechanism of Action:
- Agonist of GABA-B receptors in the spinal cord.
- It reduces the release of excitatory neurotransmitters (e.g., glutamate and substance P), leading to muscle relaxation and reduced spasticity.

B. Tizanidine

- Mechanism of Action:
- Alpha-2 adrenergic agonist.
- It inhibits the release of excitatory neurotransmitters by increasing presynaptic inhibition of motor neurons, which leads to muscle relaxation.

2. Peripheral Muscle Relaxants

A. Dantrolene

- Mechanism of Action:
- Acts directly on skeletal muscle by inhibiting the ryanodine receptor (RyR1) in the sarcoplasmic reticulum.

• This reduces calcium release into the cytoplasm, decreasing muscle contractions.
• Primarily used in malignant hyperthermia and muscle spasticity.

B. Botulinum Toxin (Botox)

• Mechanism of Action:
• Blocks acetylcholine release at the neuromuscular junction by cleaving SNARE proteins involved in synaptic vesicle fusion.
• This results in temporary muscle paralysis, useful in spasticity treatment and cosmetic procedures.