Glutethimide 11.1.3.5.

Glutethimide is a pyridine derivative and a fast acting sedative (30 minutes). Its sedation effect lasts for up to 6 hours. Effectiveness lasts for a longer period (5-7 days).

Chemical Structure

$$O = C$$
 C
 C_{0}
 C_{0}
 C_{1}
 C_{2}
 C_{2}
 C_{2}
 C_{3}
 C_{4}
 C_{5}
 C_{5}
 C_{1}
 C_{2}
 C_{5}
 C_{5}

Glutethimide

Molecular Formula: C13H15NO2

IUPAC Nomenclature: 3-ethyl-3-phenylpiperidine-2,6-dione

Chemistry: Glutethimide is a piperidine-2,6-dione derivative containing ethyl and phenyl group at C3.

Properties: Glutethimide occurs as colourless crystals or white powder with no odour and a bitter taste. It is insoluble in water.

Uses: Glutethimide is used as a hypnotic in all types of insomnia. It induces sleep without depressing respiration.

Stability and Storage Conditions: Glutethimide gets affected by air, moisture, and light, thus should be stored away from light in well-closed air-tight containers below 40°C; however, 15-30°C should be preferred, unless otherwise specified by manufacturer.

Types of Pharmaceutical Formulations: Capsule and tablet.

Brand Name: Doriden

11.1.3.6. Methyprylon

Methyprylon is a sedative belonging to piperidinedione derivative family. This drug was used for treating insommia, but it is now replaced with newer drugs having fewer side effects, like benzodiazepines.

Molecular Formula: C10H17NO,

IUPAC Nomenclature: 3,3-diethyl-5-methylpiperidine-2,4-dione

properties: Methyprylon occurs as a white crystalline powder with a slight characteristic odour and a burning taste with bitter-after taste. It is water soluble; and very soluble in alcohol.

Uses: Methyprylon is used for treating insomnia.

Stability and Storage Conditions: Methyprylon is affected by air, moisture, and light, thus should be stored away from light in well-closed air-tight containers.

Types of Pharmaceutical Formulations: Oral capsule and tablets.

Brand Names: Dimerin, Noctan, and Noludar.

11.1.3.7. Paraldehyde

Paraldehyde (the cyclic trimer of acetaldehyde molecules) was presented into clinical practice in the UK by Vincenzo Cervello (Italian physician) in 1882. It is a CNS depressant and also found to be an anticonvulsant, hypnotic, and sedative.

Molecular Formula: C₆H₁₂O₃

IUPAC Nomenclature: 2,4,6-trimethyl-1,3,5-trioxane

Physical Properties: Paraldehyde occurs as a clear, colourless or pale yellow coloured liquid with an unpleasant acrid taste and a strong characteristic ethereal odour. It is readily soluble in water; and miscible in alcohol

Chemical Properties

1) Paraldehyde gets converted to acetaldehyde, when distilled with conc. H₂SO₄.

2) Paraldehyde gives acetaldoxime and releases equivalent amount of hydrochloric acid when treated with alcoholic solution of hydroxylamine hydrochloride.

 $(CH_3CHO)_3 + 3NH_2OH$. HCI \longrightarrow 3CH₃CH = NOH + 3H₂O + 3HCI

Acetaldoxime

Uses: Historically, paraldehyde was used as a sedative and hypnotic. It is also used as an anticonvulsant for the treatment of seizures.

Stability and Storage Conditions: Paraldehyde undergoes oxidation in the atmosphere and gives peroxidised compound in large amounts. This reaction is catalysed by diffused light, thus paraldehyde should be stored in well-closed small, well-filled bottles, in a cool and dark place.

Types of Pharmaceutical Formulations: Intramuscular and intravenous injection, solution, and liquids.

Brand Name: Paral.

11.1.3.8. Triclofos Sodium

Triclofos sodium is a phosphate ester of trichloroethanol and a prodrug. It gets hydrolysed to give trichloroethanol, which contains the sedative-hypnotic activity.

Molecular Formula: C2H3Cl3NaO4P

IUPAC Nomenclature: 2,2,2-trichloroethyl hydrogen phosphate

Physical Properties: Triclofos sodium occurs as a white coloured hygroscopic powder with no odour and a saline taste. It is readily soluble in water; and less soluble in alcohol. Its aqueous solution has acidic pH.

Chemical Properties

1) On heating triclofos sodium with anhydrous sodium carbonate, sodium chloride is formed due to the combination of chlorine with sodium.

Triclofos sodium + Na₂CO₃ heat 3 NaCl

- 2) Aqueous solution of triclofos sodium decomposes slowly and releases chloride and phosphate ion.
- 3) Triclofos sodium undergoes hydrolysis in the stomach due to acidic medium and gives trichloroethanol which gets readily absorbed.

Uses: Triclofos sodium is used as a hypnotic and sedative. It is preferred over paraldehyde as hypnotic and sedative as it is more palatable, does not cause gastric irritation, is stable, and non-corrosive to skin and mucous membrane.

Stability and Storage Conditions: Triclofos sodium is decomposed by air and moisture as it is hygroscopic in nature. Therefore, it should be stored in tightly-closed, moisture-proof containers.

Types of Pharmaceutical Formulations: Syrup, solution, elixir, and tablets.

Brand Names: Foskid, Nucloryl, Pedicloryl, Silence, Tricloryl, and Triclotross.