11.1.3.1. Phenobarbitone

Phenobarbitone is a barbituric acid derivative and a non-selective CNg depressant.

Phenobarbitone is a derivative of barbituric acid (a cyclic hexahydropyrimidine-2,4,6-trione, which contains ethyl and phenyl groups at C5 It is acidic because of lactam-lactim tautomerisation.

$$\begin{array}{c} O \\ \parallel \\ -C - NH - \Longrightarrow -C = = N - \end{array}$$
Lactim

The enolic hydroxyl group separates in aqueous medium to release proton, Phenobarbitone is a weak acid, but readily produces salts with alkali hydroxides.

(Tri-oxo form)

Phenobarbitone is a long acting barbiturate and lipophilic in nature; therefore, it can penetrate blood-brain barrier and show hypnotic-sedative (CNS depressant) activity.

Chemical Structure

$$O = \bigvee_{N=-\infty}^{H} C_{C_0H_5}$$

Molecular Formula: C₁₂H₁₂N₂O₃

IUPAC Nomenclature: 5-ethyl-5-phenyl-1,3-diazinane-2,4,6-trione

Properties: Phenobarbitone occurs as a hygroscopic white coloured crystalline powder with no odour and a slightly bitter taste. It is readily soluble in water; and soluble in alcohol.

Uses: Phenobarbitone is used as a sedative, hypnotic, and antiepileptic. It is useful in nervous and associated tension states. It is also used in symptomatic therapy of epilepsy.

Stability and Storage Conditions: Phenobarbitone should be stored at 25°C (room temperature) in air-tight and well-closed containers.

Types of Pharmaceutical Formulations: Elixirs, tablets, intravenous and intramuscular injections, and oral solutions.

Brand Names: Barbit, Berdinal, Pheno, Phenoson, and Luminal.

11.1.3.2. Butobarbitone

Butobarbitone is a barbiturate acting as a sedative and a hypnotic drug.

Molecular Formula: C10H16N2O3

IUPAC Nomenclature: 5-butyl-5-ethyl-1,3-diazinane-2,4,6-trione

Properties: Butobarbitone occurs as a white solid, which is soluble in acetonitrile and methanol. Its solubility in water is 1.27 mg/ml.

Uses: Butobarbitone is used as mild sedative and hypnotic to relieve anxiety, nervous tension, and insomnia. Sometimes it is used as adjuvants in psychosomatic disorders.

Type of Pharmaceutical Formulation: Tablets

Brand Names: Neonal, Butethal, and Soneryl.

11.1.3.3. Cyclobarbitone

Cyclobarbitone (or cyclobarbital) is a barbiturate used as a sedative and hypnotic in combination with diazepam.

Molecular Formula: C₁₂H₁₆N₂O₃

IUPAC Nomenclature: 5-(cyclohexen-1-yl)-5-ethyl-1,3-diazinane-2,4,6-trione

Properties: Cyclobarbitone occurs as a slightly yellowish crystalline powder with a bitter taste. It is insoluble in alcohol; and slightly soluble in water.

Uses: Cyclobarbitone is used as a sedative and hypnotic.

Type of Pharmaceutical Formulation: Tablet.

Brand Name: Reladorm.

11.1.3.4. Nitrazepam

Nitrazepam is a benzodiazepine derivative used as an anticonvulsant and hypnotic.

Molecular Formula: C₁₅H₁₁N₃O₃

TUPAC Nomenclature: 7-nitro-5-phenyl-1,3-dihydro-1,4-benzodiazepin-2-one

Properties: Nitrazepam is a yellow coloured crystalline powder with no odour. It is slightly soluble in alcohol, acetone, chloroform, and ethylacetate; and insoluble in water, hexane, ether, and benzene.

Uses: Nitrazepam is used for treating short-term insomnia, such as trouble in falling asleep, frequent awakenings in night, and early-morning awakening.

Stability and Storage Conditions: Nitrazepam should be stored away from light in well-closed air-tight containers.

Types of Pharmaceutical Formulations: Tablets and suspensions.

Brand Names: Dormin, Nipam, and Nitorsun.