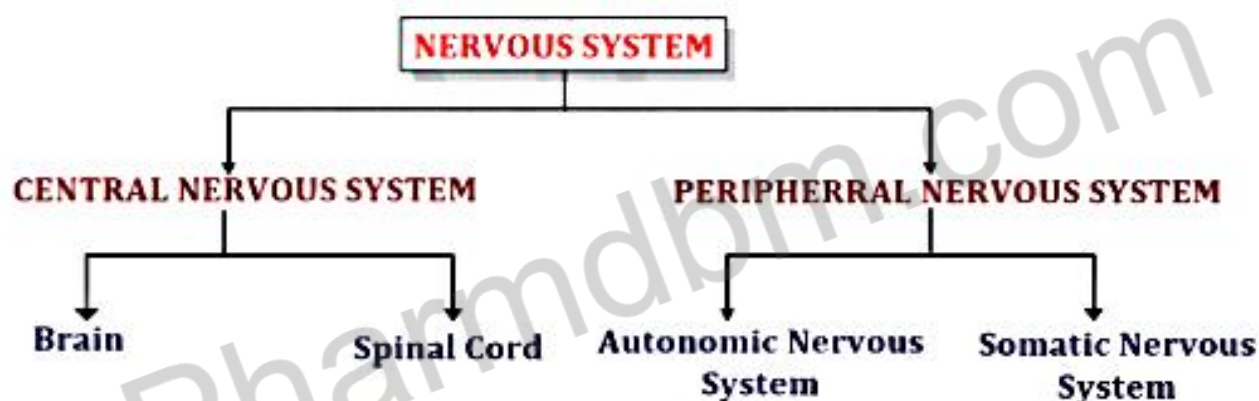


# DRUGS ACTING ON CENTRAL NERVOUS SYSTEM

## 5.1 NERVOUS SYSTEM

- The Nervous system is the major Controlling, Regulatory, and Communicating System in the body.
- It is the center of all mental activity including thought, learning, and memory.
- It is quicker than another control system in the body namely the Endocrine system.

### 5.1.1 Classification of Nervous System



#### ➤ Central Nervous System (CNS)

- The central nervous system consist of Brain present in the cranial cavity and the Spinal cord present in the vertebral column.
- The spinal cord has 31 segments and the brain consists of the brain stem, diencephalon, cerebellum, and cerebrum.

#### ✓ Brain

- The Brain is a complex organ that controls thought, memory, emotion, touch, motor skills, vision, breathing, temperature, hunger and every process that regulates our body.
- Brain contained mostly three parts- Forebrain, Midbrain and Hind brain.

#### ✓ Spinal Cord

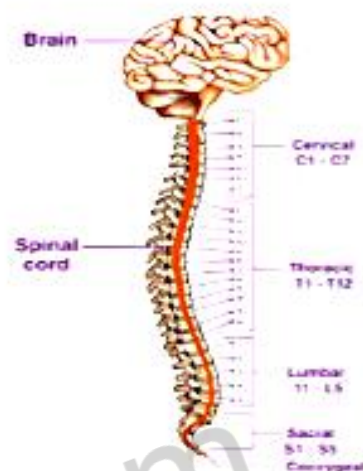
- It's made up of nerve cells and groups of nerves that carry messages between your brain and the rest of your body.

### ✓ Division of Spinal Nerves

- Cervical nerves: 8 pairs
- Thoracic nerves: 12 pairs
- Lumbar nerves: 5 pairs
- Sacral nerves: 5 pairs
- Coccygeal nerves: 1 pair

### ➤ Peripheral Nervous System (PNS)

- PNS consists of the Nerves and Ganglia outside the brain and spinal cord.
- The main function of the PNS is to connect the CNS to the limbs and organs, essentially serving as a relay between the brain and spinal cord and the rest of the body.



## 5.2 ANAESTHETICS / GENERAL ANAESTHETICS

- General anaesthesia is a state where no movement occurs in response to what should be painful. General anaesthetics are drugs which produce reversible loss of all sensation and consciousness.
- General anaesthetics bring about descending depression of CNS starting from cerebral cortex, basal ganglia, the cerebrum and finally spinal cord.

### ➤ The important features of general anaesthesia are:

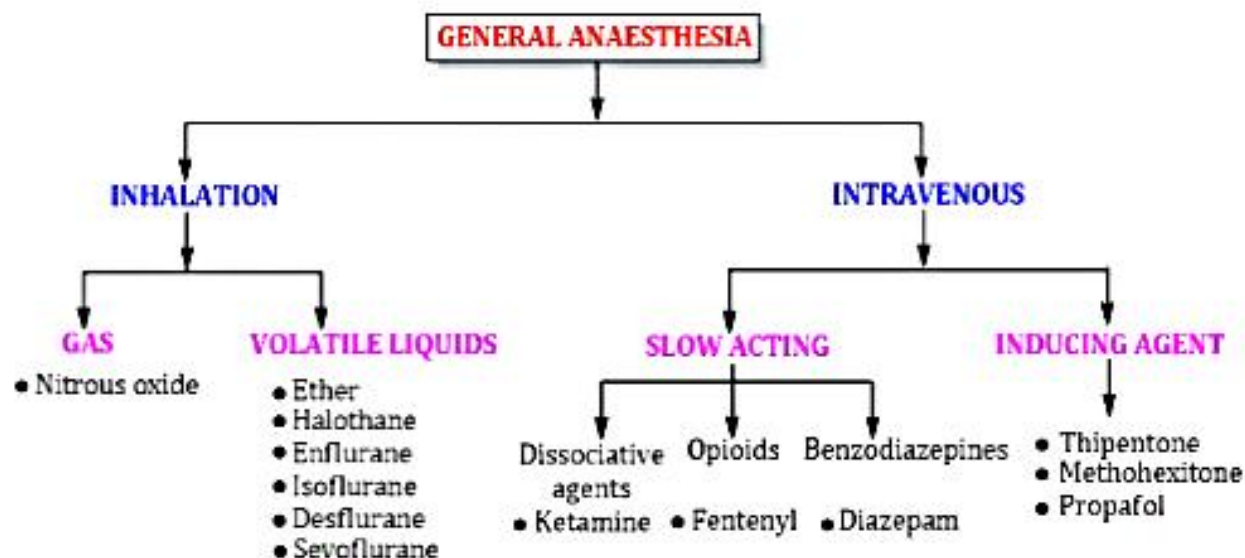
- Loss of all sensation, especially pain.
- Sleep (unconsciousness) and amnesia.
- Immobility and muscle relaxation.
- Abolition of somatic and autonomic reflexes.

### ➤ Stages of General Anaesthesia

STAGE-I (ANALGESIA)	STAGE-II (EXCITEMENT)	STAGE-III (SURGICAL ANESTHESIA)
Patient is conscious and rational with decreased perception of pain.	Patient is unconscious, body responds reflexively, irregular breathing pattern with breath holding	<ul style="list-style-type: none"><li>• Unconsciousness, Regular respiration, decreasing eye movement.</li></ul>



## 5.2.1 Classification of General Anaesthetics

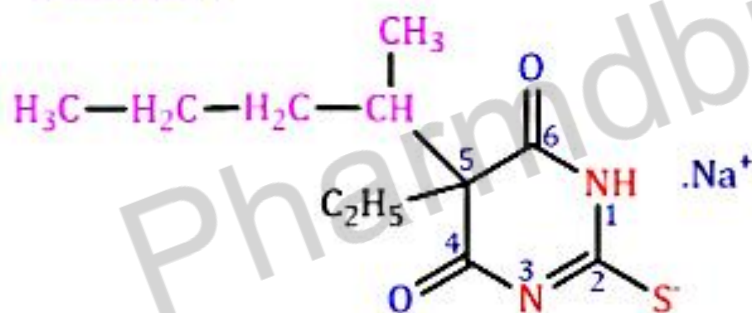


### General Anaesthetics drugs

#### ❑ **THIOPENTAL SODIUM**

❖ **Chemical Formula**  $C_{11}H_{17}N_2NaO_2S$

❖ **Structure**



#### ❖ **IUPAC Nomenclature**

- 5-ethyl-5-pentan-2-yl-2-sulfanylidene-1,3-diazinane-4,6-dione, Sodium

#### ❖ **Physiochemical Properties**

- It is yellowish-white powder, hygroscopic in nature.
- It is freely soluble in water, and partially soluble in ethanol.

#### ❖ **Pharmaceutical Formulation**

- This drug formulated in the form of intravenous Injections.

#### ❖ **Stability and storage**

- It should be stored in tightly closed container in dry and well ventilated place.
- Store in room temperature maximum for 6 hours.
- Solution unused in 24 hours must be disposed.

### ❖ Popular Brand Names

- Thiowell 1000
- Thiotone
- Pentothal

### ❖ Dose

- For adult 100mg to 150 mg intravenously over 10 to 15 seconds, normally as a 2.5% w/v solution and for children 2 to 7mg/kg bodyweight, intravenously over 10 to 15 second normally as a 2.5% w/v solution.

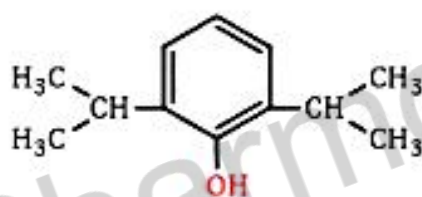
### ❖ Medicinal Uses

- It is administered intravenously for the production of complete anaesthesia of a short duration.
- It is also used as a sedative, hypnotic and anticonvulsant.

### ❑ PROPOFOL

#### ❖ Chemical Formula - $C_{12}H_{18}O$

#### ❖ Structure



#### ❖ IUPAC Nomenclature

- 2,6-Diisopropylphenol

#### ❖ Physiochemical Properties

- It is light-straw coloured liquid.
- Propofol is insoluble in water and soluble in alcohol and toluene.

#### ❖ Pharmaceutical Formulation

- Propofol is mainly formulated in the form of Injectable Emulsion.

#### ❖ Stability and storage

- It should be stored in between 4 -25° C.

#### ❖ Popular Brand Names

- Diprivan
- Neorof
- Propowell



### ❖ Dose

- 0.5 – 1mg Propofol/kg body weight over 1 to 5 minutes for onset of sedation.

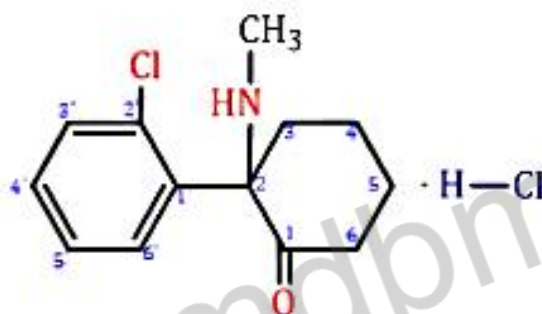
### ❖ Medicinal Uses

- It induces the sedation and hypnosis during anaesthesia.
- It mostly used as parenteral anaesthetic agent.
- Its rapid onset and reversal of action, and in intensive care units (ICUs) for maintenance of coma.
- Propofol facilitates inhibitory neurotransmission mediated by gamma-aminobutyric acid (GABA).

### ❑ KETAMINE HYDROCHLORIDE

❖ Chemical Formula -  $C_{13}H_{17}Cl_2NO$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 2-(2-Chlorophenyl)-2-(methylamino) cyclohexanone Hydrochloride

### ❖ Physiochemical Properties

- It is a white crystalline powder.
- It is freely soluble in water, methanol, and ethanol.

### ❖ Pharmaceutical Formulation

- Formulate as a Parenteral Preparation (I.V.).

### ❖ Stability and storage

- It should be stored in between 4 -25° C. and Do not freeze.
- It should be stored in tightly closed container in dry and well ventilated place.

### ❖ Popular Brand Names

- Ketaset
- Ketalar
- Ketamine HCl

### ❖ Dose

- 2 mg/kg of body weight usually produces surgical anesthesia within 30 seconds after injection.

### ❖ Medicinal Uses

- It is a dissociative anesthetic as it produces unpleasant hallucination and strong feeling of dissociation from the environment.
- It is rapidly acting non-barbiturate general anaesthetic that produces anaesthesia and is characterized by profound analgesia.

## **5.3 SEDATIVES AND HYPNOTICS**

### ❖ Sedatives

- These are the drugs which reduce the excitement and calm the subject without inducing sleep, though drowsiness may be produced.
- Sedation also involves the depression of responsiveness to any level of stimulation.

### ❖ Hypnotics

- These are the drugs that induce and/or maintain sleep, similar to normal arousable sleep.

### ❖ Sedatives

- The sedatives and hypnotics work similar to that of CNS depressants, the only difference is in time-action and dose-action relationships.
- Those which have quicker onset, shorter duration and steeper dose-response curves are preferred as hypnotics while those are slowly acting drugs with flatter dose-response curves are employed as sedatives. Hypnotics given in high doses can produce general anaesthesia.

### **5.3.1 Stages of Sleep**

STAGES OF SLEEP	DESCRIPTION
<b>Stage-0</b> (Awake)	• From lying down to falling asleep. Eye movements are irregular or slowly rolling.
<b>Stage-1</b> (Dozing)	Eye movements are reduced but there may be bursts of rolling. Neck muscles relax.
<b>Stage-2</b> (Unequivocal sleep)	Little eye movement; subjects are easily arousable.
<b>Stage-3</b> (Deep sleep transition)	• Eye movements are few; subjects are not easily arousable.



<b>Stage-4</b> (Cerebral sleep)	Eyes are practically fixed; subjects are difficult to arouse. Stages 3 and 4 together are called slow wave sleep (SWS).
------------------------------------	---

### 5.3.2 Classification of Sedative and Hypnotics Drugs

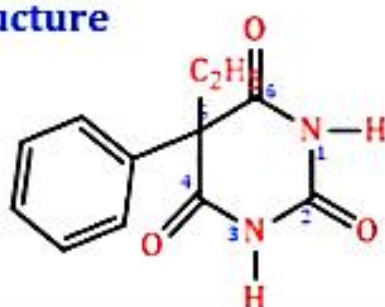
CLASS	DRUGS
<b>Barbiturates</b>	<p>(a) Long acting drugs: Phenobarbitone.</p> <p>(b) Short acting drugs: Butobarbitone, Pentobarbitone.</p> <p>(c) Ultra-short acting drugs: Thiopentone, Methohexitone.</p>
<b>Benzodiazepines</b>	<p>(a) Hypnotics: Diazepam, Flurazepam, Nitrazepam, Temazepam.</p> <p>(b) Antianxiety: Alprazolam, Chlordiazepoxide, Lorazepam.</p> <p>(c) Anticonvulsants: Diazepam, Lorazepam, Clonazepam, Clobazam.</p>
<b>Non-benzodiazepines</b>	Zopiclone, Eszopiclone, Zolpidem, Zaleplon.
<b>Other CNS depressants</b>	Chloral hydrate, Triclofos, Paraldehyde, Glutethimide, Methaqualone, Meprobamate, Promethazine, Chlorpromazine, Amitriptyline, Morphine.

#### ❑ PHENOBARBITAL

- It acts as a long acting hypnotic and sedative. It is specifically used in the symptomatic therapy of epilepsy.

❖ **Chemical Formula** -  $C_{12}H_{12}N_2O_3$

❖ **Structure**



#### ❖ IUPAC Nomenclature

- 5-Ethyl-5-phenyl-1,3-diazinane-2,4,6-trione

#### ❖ Physiochemical Properties

- It is a white crystalline powder.
- It is a hygroscopic substance, freely soluble in water and also soluble in alcohol.

#### ❖ Pharmaceutical Formulation

- It is formulated form of tablet injection present in the market.

#### ❖ Stability and storage

- It is important to keep Phenobarbitone locked away out of the reach of children.
- Do not keep the medicine in near the kitchen sink or in other damp, warm places because this may make them less effective.
- Store in a cool, dry place, away from heat and direct light.
- Store at room temperature. Do not refrigerate.

#### ❖ Popular Brand Names

- Verbitol
- Luminal
- Gardenal

#### ❖ Dose

- 15-20 mg/kg IV loading dose infused at 25-100 mg/min and it may repeat once after 10 minute with additional 5-10mg/kg.

#### ❖ Medicinal Uses

- Phenobarbital is used in the treatment of all types of seizures, except absence seizures.
- Treatment for status epilepticus.
- Phenobarbital has been used widely in clinical practice as a sedative and anticonvulsant since 1912 among pregnant women as well.

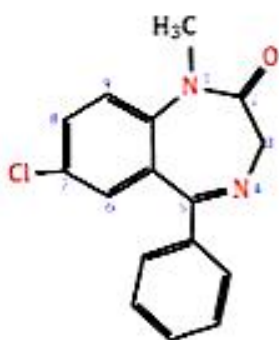
#### ❑ DIAZEPAM

- It is Benzodiazepine derivative.
- It is used as a skeletal muscle relaxant, anticonvulsant and antianxiety agent.
- Common side effects include sleepiness and trouble with coordination.

#### ❖ Chemical Formula - $C_{16}H_{13}ClN_2O$

#### ❖ Structure





### ❖ IUPAC Nomenclature

- 7-Chloro-1,3-dihydro-1-methyl-5-phenyl-3H-1,4-benzodiazepin-2-one

### ❖ Physiochemical Properties

- Diazepam is off-white to yellow, odourless crystalline powder.
- It is tasteless at first with a bitter after taste.

### ❖ Pharmaceutical Formulation

- Diazepam is available in multiple formulations, Including oral Tablets, Intramuscular Injections (IM), Intravenous injections (IV), or Rectal gel.

### ❖ Stability and storage

- General information about the safe and effective use of Diazepam. Medicines are sometimes prescribed for purposes other than those listed in a Medication Guide.
- Should be stored at 20-25° C.
- Protect from direct sunlight.
- Keep out of the reach of children.

### ❖ Popular Brand Names

- Valium
- Diazepam
- DizPam

### ❖ Dose

- The standard dosage is 2mg to 10mg two to four times /day.

### ❖ Medicinal Uses

- Diazepam is mainly used to treat anxiety, Alcohol withdrawal and seizures.
- It is also used to relieve muscle spasm and to provide sedation before medical procedures.
- It was approved for use in the United States as a Nasal spray to interrupt seizure activity in people with epilepsy.

## ❑ **NITRAZEPAM**

- It is a hypnotic drug of the benzodiazepine class.
- Used for short-term relief from severe, disabling anxiety and insomnia.

❖ **Chemical Formula**  $C_{15}H_{11}N_3O_3$

❖ **Structure**



❖ **IUPAC Nomenclature**

- 7-nitro-5-phenyl-1H-benzo[1,4]diazepin-2-one

❖ **Physiochemical Properties**

- It is a yellow, crystalline, and odourless powder.
- It is soluble in alcohol, acetone, chloroform, and ethyl acetate and insoluble in water, ether, benzene and hexane.

❖ **Pharmaceutical Formulation**

- Nitrazepam is formulated form of tablet.

❖ **Stability and storage**

- It should be stored room temperature, keep away from heat and light.
- Make sure that kids cannot access this or any other medication.

❖ **Popular Brand Names**

- Mogadon
- NIZ
- ELZA
- Nitram

❖ **Dose**

- 5mg before retiring daily, this dose may be increased, if necessary to 10mg.

❖ **Medicinal Uses**

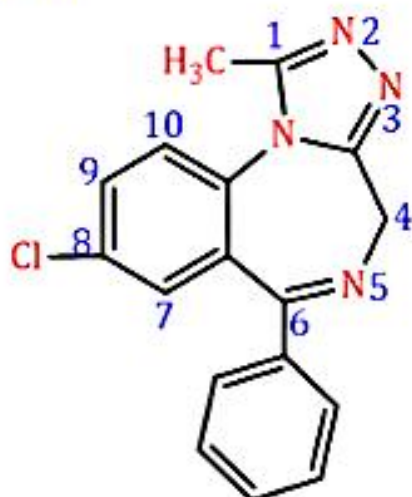
- It relaxes the brain and helps to treat people who have problems with their sleeping habits and also treat insomnia.



- Nitrazepam tablet is used for treating insomnia. It is generally used in combination with other medicines.

## **ALPRAZOLAM**

- ❖ **Chemical Formula**  $C_{17}H_{13}ClN_4$
- ❖ **Structure**



## ❖ **IUPAC Nomenclature**

- 8-Chloro-1-methyl-6-phenyl-4H-[1,2,4]triazolo[4,3-a][1,4]benzodiazepine

## ❖ **Physiochemical Properties**

- It is a white crystalline odourless solid powder.
- Practically insoluble in water, freely soluble in methylene chloride sparingly soluble in acetone and in alcohol.

## ❖ **Pharmaceutical Formulation**

- Alprazolam regular release and orally disintegrating tablets are available as 0.25 mg, 0.5 mg, 1 mg, and 2 mg tablets, while extended release tablets are available as 0.5 mg, 1 mg, 2 mg, and 3 mg.
- Liquid alprazolam is available in a 1 mg/mL oral concentrate.

## ❖ **Stability and storage**

- Store it at room temperature.
- Keep away from excess heat and moisture.
- It can break the medication sooner.
- Protect from direct sunlight.
- Keep out of the reach of children.

### ❖ Popular Brand Names

- Xanax
- Alprez
- Zepimax

### ❖ Dose

- The daily dosage may be decreased by not more than 0.5mg every 3 days.

### ❖ Medicinal Uses

- It is useful in the short-term management of insomnia characterized by falling asleep.
- It is also used to treat Anxiety disorder and Panic disorder (sudden, unexpected attacks).

## **5.4 ANTIPSYCHOTICS**

- Antipsychotics, also known as neuroleptics, are a class of psychotropic medication primary used to manage psychosis, principally in schizophrenia but also in a range of other psychotic disorder. They are also the mainstay together with mood stabilizer in the treatment of bipolar disorder.

❖ **Psychosis** - Psychosis is a condition characterized by delusions and hallucinations, and is commonly associated with mental illness.

### ➤ Examples of Psychotic Symptoms

- ✓ **Hallucinations** are perceptions (primarily visual and auditory, but sometimes tactile and scents) of things that aren't actually present.
- ✓ **Delusions** occur when a person believes something outside of what is really going on despite any external argument or contradiction to such beliefs.

### ❖ Classification of antipsychotics

- **Typical antipsychotics** - Typical antipsychotics drugs act on the dopaminergic system, blocking the dopamine type 2 (D2) receptors.
- **Atypical antipsychotics** - Atypical antipsychotics drugs have lower affinity and occupancy for the dopaminergic receptors, and a high degree of occupancy of the serotonergic receptor 5-HT2A.



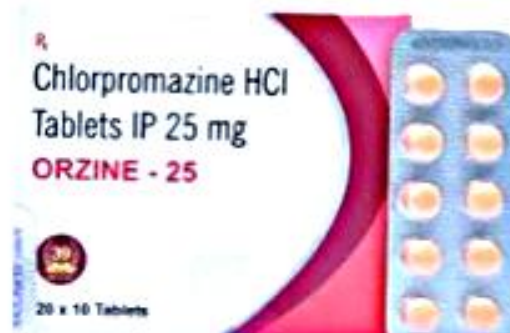
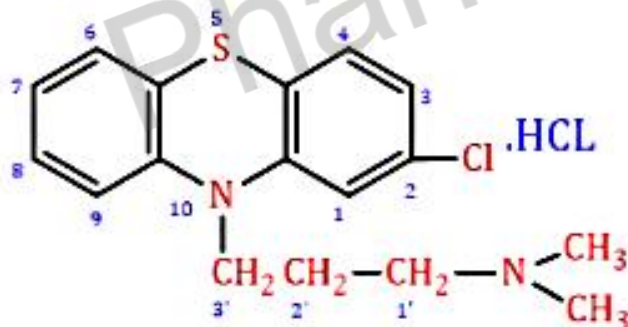
### 5.4.1 Classification of Antipsychotics drugs

TYPICAL ANTIPSYCHOTICS		ATYPICAL ANTIPSYCHOTICS
CLASS	DRUGS	
<b>Phenothiazine</b>	Chlorpromazine Thioridazine Fluphenazine	Risperidone Sulpiride Olanzapine Quetiapine Lurasidone Clozapine Cariprazine Aripiprazole Ziprasidone
<b>Thioxanthenes</b>	Flupentixol Thiothixene	
<b>Butyrophenones</b>	Haloperidol Droperidol Penfluridol	
<b>Miscellaneous</b>	Pimozide, Loxapine Molindone	

#### ❑ **CHLORPROMAZINE HYDROCHLORIDE**

❖ **Chemical Formula** -  $C_{17}H_{19}ClN_2S$

❖ **Structure**



#### ❖ **IUPAC Nomenclature**

- 3-(2-chloro-10H-phenothiazin-10-yl)-N,N-dimethyl-propan-1-amine; Hydrochloride

#### ❖ **Physiochemical Properties**

- It is white, crystalline solid or oily liquid with amine odour.
- It is lipophilic, very soluble in ethanol, ether, benzene and chloroform; it is soluble in dilute hydrochloric acid.

#### ❖ **Pharmaceutical Formulation**

- It is formulated form of Tablet, capsule, and injections.

### ❖ Stability and storage

- It should be protected from light, moisture, and temperatures outside of 20–25 °C.
- Freezing should be avoided.

### ❖ Popular Brand Names

- Largactil
- Megatil
- Emetil
- Orzine

### ❖ Dose

- Initially 25mg T.D.S. or 75 mg at bedtime increasing by daily amounts of 25mg to an effective maintenance dose.

### ❖ Medicinal Uses

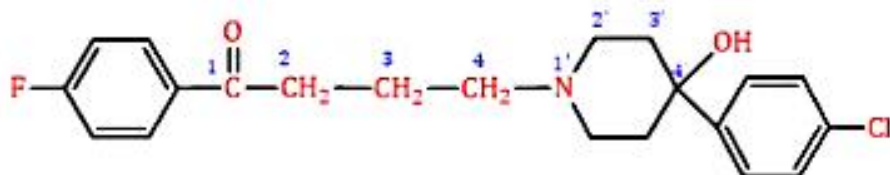
- Chlorpromazine is used to treat psychotic disorders such as schizophrenia or manic-depression in adults.
- It is also used in adults to treat nausea and vomiting, anxiety before surgery, chronic hiccups, acute intermittent porphyria, and symptoms of tetanus.

### ❑ HALOPERIDOL

- It is useful in the management of psychotic reactions, hostility, and hyperactivity.
- It is a drug of choice for Tourette's syndrome, also effective neuroleptic and antiemetic properties.

### ❖ Chemical Formula $C_{21}H_{23}ClFNO_2$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 4-[4-(4-Chlorophenyl)-4-hydroxypiperidin-1-yl]-1-(4-fluorophenyl)butan-1-one



### ❖ Physiochemical Properties

- It is amorphous or microcrystalline, white to faintly yellowish, odourless powder.
- It belongs to the classes of aromatic butyl piperidine derivatives.
- It shows low solubility in water but freely soluble in chloroform, benzene, methanol, acetone, and dilute acids.

### ❖ Pharmaceutical Formulation

- It is formulated form of Tablet, Capsule and injection's both intramuscular and intravenous.

### ❖ Stability and storage

- It should be stored at temperature 20-25° C, and protected from light.
- It should not be refrigerated.

### ❖ Popular Brand Names

- Haloperidol
- Hexidol
- Cizoren

### ❖ Dose

- Up to 15mg/day are sufficient. The maximum dose is 20mg/day.

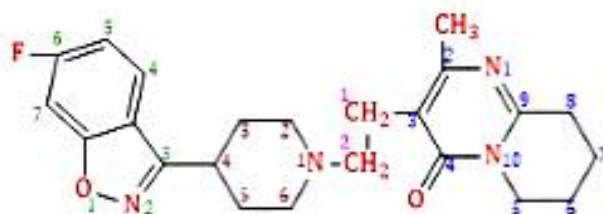
### ❖ Medicinal Uses

- Adjunctive treatment of alcohol and opioid withdrawal.
- Agitation and confusion associated with cerebral Sclerosis.
- Alcohol-induced psychosis.
- Hyperactive delirium (to control the agitation component of delirium).

### ❑ RISPERIDONE

#### ❖ Chemical Formula $C_{23}H_{27}FN_4O_2$

#### ❖ Structure



#### ❖ IUPAC Nomenclature

- 3-[2-[4-(6-fluoro-1,2-benzoxazol-3-yl)piperidin-1-yl]ethyl]-2-methyl-6,7,8,9-tetrahydropyrido[1,2-a]pyrimidin-4-one

### ❖ Physiochemical Properties

- Risperidone is a white to slightly beige powder.
- It is practically insoluble in water, freely soluble in methylene chloride, and soluble in methanol and 0.1 N HCL.

### ❖ Pharmaceutical Formulation

- It is taken either by orally in the form of tablet or by injection's (subcutaneous or intramuscular).

### ❖ Stability and storage

- It should be stored at room temperature and away from light and moisture.
- Liquid preparation should not be refrigerated.

### ❖ Popular Brand Names

- Respidon
- Sizodon
- Rispid

### ❖ Dose

- The effective dose range is 1 to 6 mg/day.

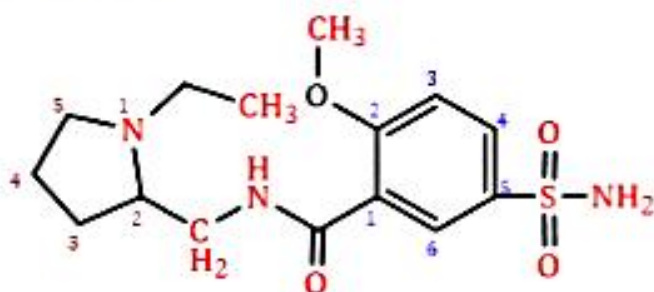
### ❖ Medicinal Uses

- Risperidone is mainly used for the treatment of schizophrenia, bipolar disorder, and irritability associated with [autism](#).
- Risperidone rebalances dopamine and serotonin to improve thinking, mood, and behavior.

### ❑ SULPIRIDE

#### ❖ Chemical Formula $C_{15}H_{23}N_3O_4S$

#### ❖ Structure



#### ❖ IUPAC Nomenclature

- N-[(1-ethylpyrrolidin-2-yl)methyl]-2-methoxy-5-sulfamoylbenzamide



### ❖ Physiochemical Properties

- It is white-yellowish crystalline solid powder with lemon odour.
- It is slightly soluble in water, soluble in water, soluble in ethanol and 0.1 N HCL.

### ❖ Pharmaceutical Formulation

- Different formulation are present in the market such as tablet, oral solution, and intramuscular injection.

### ❖ Stability and storage

- It should be stored in a cool and dry place.
- It should be prevent from direct heat and light.

### ❖ Popular Brand Names

- Dogmatil
- SulipirCare

### ❖ Dose

- 400mg to 800mg daily.

### ❖ Medicinal Uses

- Sulpiride primary use in medicine is in the management of the symptoms of schizophrenia.
- It has been used as both a monotherapy and adjunctive therapy (in case of treatment-resistance) in schizophrenia.

### ❑ OLANZAPINE

- An atypical antipsychotic primarily used to treat schizophrenia and bipolar disorder and also it can be used for both new-onset disease and long-term maintenance.

### ❖ Chemical Formula - $C_{17}H_{20}N_4S$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 2-methyl-4-(4-methylpiperazin-1-yl)-10H-thieno[2,3-b][1,5]benzodiazepine

### ❖ Physiochemical Properties

- It is yellowish crystalline powder.
- It is insoluble in water, sparingly soluble in acetonitrile, ethyl acetate and soluble in chloroform.

### ❖ Pharmaceutical Formulation

- Olanzapine is also formulated by the injection (intramuscular) form for rapid action and tablet.

### ❖ Stability and storage

- It should be stored at room temperature between 20- 25°C.
- Should not be stored at moist and damp areas.

### ❖ Popular Brand Names

- Zyprexa
- Oleanz
- Wellpin

### ❖ Dose

- 5-10mg /day initially, if necessary, maybe increments of 5mg /day at intervals greater than 1 week.

### ❖ Medicinal Uses

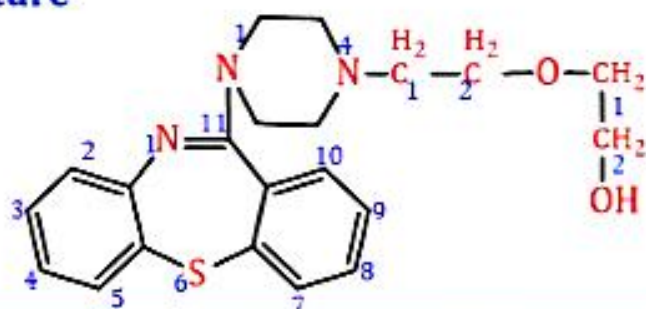
- Used to treatment of acute mixed episodes associated with bipolar-I disorder and maintenance treatment of bipolar-I disorder.
- It used to treat schizophrenia.

### ❑ QUETIAPINE

- Quetiapine is used alone or together with other medicines to treat bipolar disorder (depressive and manic episodes) and schizophrenia.
- Quetiapine extended-release tablet is also used together with other antidepressants to treat major depressive disorder.

### ❖ Chemical Formula $C_{21}H_{25}N_3O_2S$

### ❖ Structure





### ❖ IUPAC Nomenclature

- 2-[2-(4-dibenzo [b, f] [1, 4] thiazepin-11-yl)-1-piperazinyl] ethoxy]-ethanol

### ❖ Physiochemical Properties

- It is white to off-white powder, odourless.
- Quetiapine is a dibenzothiazepine derivative, frugally soluble in methanol, slightly soluble in ethanol, very slightly soluble in acetone, water and insoluble in acids.

### ❖ Pharmaceutical Formulation

- It is formulated form of tablet, capsule and injection present in the market.

### ❖ Stability and storage

- Store the medicine in a closed container at room temperature.
- Keep away from heat, moisture, and direct light.

### ❖ Popular Brand Names

- Placidin
- Q-Pin
- Qutipin

### ❖ Dose

- 150-750mg/day.

### ❖ Medicinal Uses

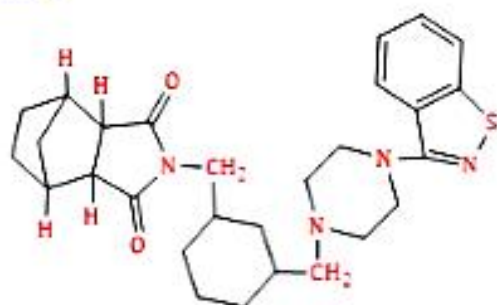
- Quetiapine is primarily used to treat schizophrenia or bipolar disorder.
- Quetiapine targets both positive and secondary negative symptoms of schizophrenia.
- Drugs used to treat depression can help prevent suicidal thoughts/attempts and provide other important benefits.

### ❑ LURASIDONE

- It may be used alone or with other medicines (eg, lithium, valproate) to treat depression caused by bipolar disorder.

### ❖ Chemical Formula $C_{28}H_{36}N_4O_2S$

### ❖ Structure



❖ **IUPAC Nomenclature**

- (3aR,4S,7R,7aS)-2-((1R,2R)-2-[4-(1,2-benzisothiazol-3-yl)piperazin-1-ylmethyl] cyclohexylmethyl)hexahydro-4,7-methano-2H-isoindole-1,3-dione

❖ **Physiochemical Properties**

- It is white to off-white powder, and odourless.
- Sparingly soluble in methanol, slightly soluble in ethanol, very slightly soluble in acetone, water, practically insoluble in 0.1N HCL and toluene.

❖ **Pharmaceutical Formulation**

- It is formulated form of tablet and injection are present in the market.

❖ **Stability and storage**

- Store Lurasidone tablets at 25°C (77°F); excursions permitted to 15°C - 30°C.

❖ **Popular Brand Names**

- Latuda
- Luratrend
- Luramax

❖ **Dose**

- 40 mg once daily.

❖ **Medicinal Uses**

- Lurasidone is used to treat symptoms of psychotic (mental) disorders, such as schizophrenia.
- This medicine should not be used to treat behavioral problems in elderly patients who have dementia.

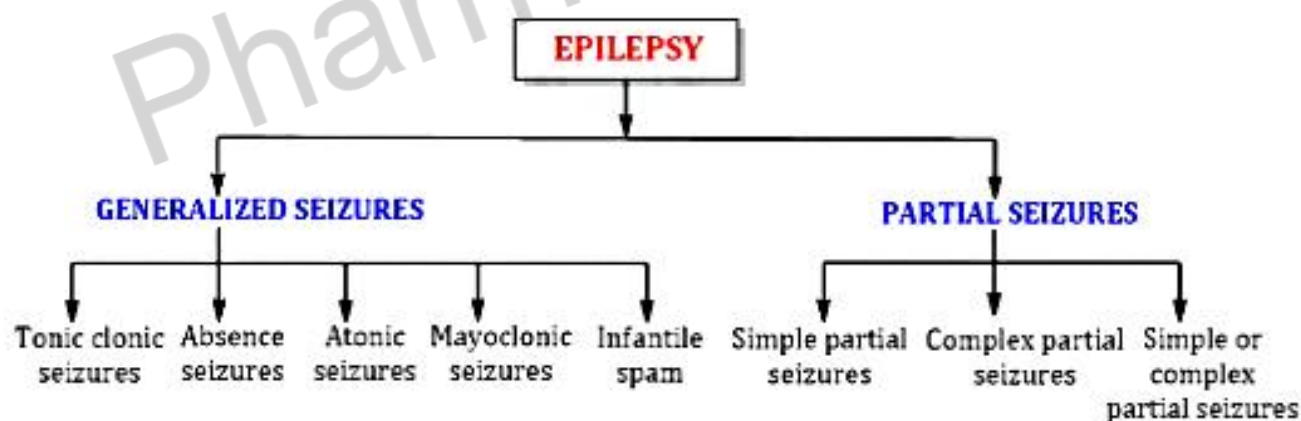


## **5.5 ANTICONVULSANTS (ANTIEPILEPTICS)**

### **5.5.1 Epilepsy**

- Epilepsy is the most common neurological disorder characterized by paroxysmal cerebral dysrhythmia.
- It is characterized by recurrent seizures, disturbance of consciousness, with or without characteristic body movements (convulsions), sensory or psychiatric phenomena unprovoked by any identifiable causes.
- Anticonvulsants are drugs that are used to treat convulsions or seizures caused in epilepsy.
- **The anticonvulsant therapy mediated by these drugs is through different aspects of neurotransmission inhibition in the brain**
- By inhibiting sodium channels (phenytoin).
- By inhibiting gamma amino butyric acid (GABA) transaminase enzyme (Vigabatrin).
- By inhibition of T-type calcium currents (Ethosuximide, valproate).
- By GABA agonistic activity (benzodiazepine).

### **5.5.2 Classification of Epilepsies**



### **5.5.3 Classification of Anticonvulsants**

CLASS	DRUGS
<b>Barbiturates</b>	Phenobarbitone, Mephobarbiton.
<b>Hydantoins</b>	Phenytoin, Mephenytoin.
<b>De-oxybarbiturates</b>	Primidone
<b>Succinimides</b>	Ethosuximide,

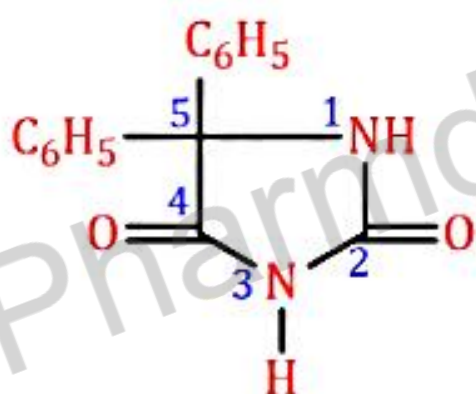
<b>Iminostilbenes</b>	<b>Carbamazepine, Oxcarbazepine</b>
<b>Aliphatic carboxylic acid</b>	Valproic acid
<b>Benzodiazepines</b>	Clonazepam, Diazepam, Lorazepam.
<b>Phenyltriazine</b>	Lamotrigine
<b>Cyclic GABA analogue</b>	Gabapentin
<b>New Anticonvulsant</b>	Lamotrigine, Topiramate, Vigabatrin.

### ❑ **PHENYTOIN**

- Phenytoin is the first anticonvulsant in which it was clearly demonstrated that anticonvulsant activity could definitely be separated from sedative-hypnotic activity.

❖ **Chemical Formula** -  $C_{15}H_{12}N_2O_2$

❖ **Structure**



❖ **IUPAC Nomenclature**

- 5,5-diphenylimidazolidine-2,4-dione

❖ **Physiochemical Properties**

- It is a weak acid, and it appears as fine white crystalline odourless and tasteless powder.
- It is soluble in acetic acid, slightly soluble in ethyl ether, benzene and chloroform.

❖ **Pharmaceutical Formulation**

- Many more formulation of phenytoin in the market including injectable's (intravenous) and oral preparations (syrup, capsule, tablet).



### ❖ Stability and storage

- Store at 20 to 25°C (68 to 77°F) temperature.
- Preserve in tight closed, light-resistant containers, and Protect from moisture.
- Suspensions of phenytoin sodium in 0.9% sodium chloride solution are stable at room temperature for at least 2 weeks.

### ❖ Popular Brand Names

- Dilantin
- Epsolin
- Eptoin

### ❖ Dose

- 0 – 15 mg/kg or 15-20 mg/kg at 25-50mg/min.

### ❖ Medicinal Uses

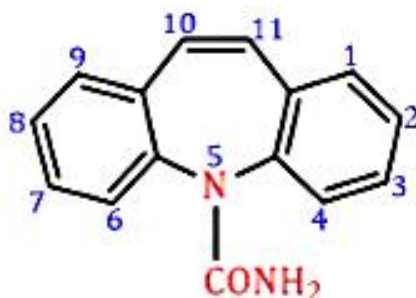
- Mainly used in the prophylactic management of tonic-clonic seizures with complex symptomatology (psychomotor seizures).
- Used to protect against the development of focal seizures.
- Used in combination with other anticonvulsants during combined absence and tonic-clonic seizures.

### ❑ CARBAMAZEPINE

- Carbamazepine inhibits voltage-dependent sodium channels.
- Carbamazepine, a urea derivative, is a broad spectrum anti-seizure agent, but is toxic, used to treat partial seizure and grandmal seizures.

### ❖ Chemical Formula - $C_{15}H_{12}N_2O$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 5H-dibenzo[b,f]azepine-5-carboxamide

### ❖ **Physiochemical Properties**

- It is yellowish-white crystal, almost odourless, carbamazepine can either have no taste or be slightly bitter.
- It is practically insoluble in water and ether but soluble in acetone, alcohol, carbon tetrachloride, chloroform, dimethyl formamide dioxane, and propylene glycol.

### ❖ **Pharmaceutical Formulation**

- Carbamazepine available in different formulation such as tablet (sustained release & chewable), capsule, syrups, injectable's.

### ❖ **Stability and storage**

- Stored at 2-8°C in an air tight container, protected from light,
- Stable for at least eight weeks when stored at room temperature in the containers tested.
- After opening, concentration may change due to loss of solvent.
- Small vial provided for your convenience.

### ❖ **Popular Brand Names**

- Tegretol
- Zen
- Zeptol

### ❖ **Dose**

- Dosage generally should not exceed 1000mg daily in children 12 to 15 years of age, and 1200mg daily in patients above 15 years of age.
- Doses up to 1600mg daily have been in adults in rare instances.

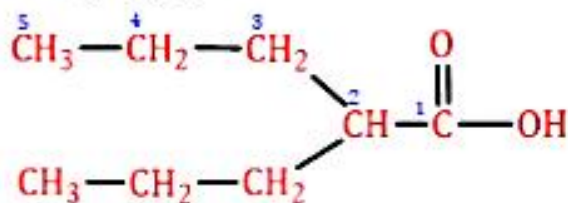
### ❖ **Medicinal Uses**

- It used to treat partial seizures and grandmal seizures.
- It is also useful in the treatment of pain associated with trigeminal neuralgia.
- It used to acute manic and mixed episodes in bipolar I disorder.
- Carbamazepine may use 'off-label' to treatment depression some anxiety and panic disorders.

### ❑ **VALPROIC ACID (VALPROATE)**

#### ❖ **Chemical Formula** - $C_8H_{16}O_2$

#### ❖ **Structure**





### ❖ IUPAC Nomenclature

- 2-propylpentanoic acid

### ❖ Physiochemical Properties

- Valproic acid is hygroscopic in nature, clear colorless liquid with characteristic odour.
- It is freely soluble in 1N sodium hydroxide, methanol, alcohol, acetone, chloroform, benzene, ether, n-heptane, slightly soluble in 0.1 N hydrochloric acid.

### ❖ Pharmaceutical Formulation

- They can be formulated in the forms of injections (intravenously) or oral preparation (liquid orals & solids), and the tablet forms exist in both long- and short-acting formulations.

### ❖ Stability and storage

- Store the medicine in a closed container at room temperature, away from heat, moisture, and direct light.

### ❖ Popular Brand Names

- Epilex
- Vallona
- Valparin

### ❖ Dose

- Maximum dose is 60 mg/kg/day.

### ❖ Medicinal Uses

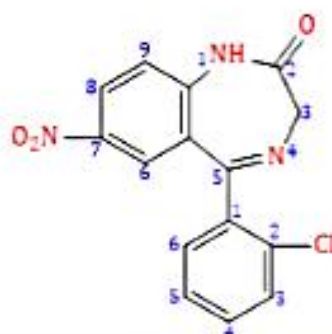
- Valproic acid is usually used to treat bipolar disorder.
- Some brands are used to treat epilepsy.
- It can also be used to prevent migraine.

### ❑ CLONAZEPAM

- It is a tranquilizer of the benzodiazepine class. It is typically taken by mouth.
- Effects begin within one hour and last between six and twelve hours.
- Common side effects include sleepiness, poor coordination, and agitation.

### ❖ Chemical Formula - $C_{15}H_{10}ClN_3O_3$

### ❖ Structure





#### ❖ IUPAC Nomenclature

- 5-(2-Chlorophenyl)-7-nitro-1,3-dihydro-1,4-benzodiazepin-2-one

#### ❖ Physiochemical Properties

- It is off-white to light yellow crystalline powder, faint odour.
- It is insoluble in benzene; slightly soluble in acetone, methanol and chloroform.

#### ❖ Pharmaceutical Formulation

- Clonazepam is formulated in the form of injections (intravenous), tablets, and liquid oral solutions.

#### ❖ Stability and storage

- Keep at temperatures between 20 and 25 °C (68 and 77 °F).
- Protect from light and moisture, do not refrigerate or freeze.

#### ❖ Popular Brand Names

- Klonopin
- Clorest
- Clonorest

#### ❖ Dose

- Maximum dose is 20mg/day.

#### ❖ Medicinal Uses

- Clonazepam is a benzodiazepine drug used for the acute treatment of panic disorder, epilepsy, and non-convulsive status epilepticus.
- The drug also has many off-label indications, including restless leg syndrome, acute mania, insomnia, and tardive dyskinesia.
- Clonazepam is used alone or in combination with other medications to control certain types of seizures.
- *Clonazepam + escitalopram is used in the case of anxiety disorder.*



## ❑ LAMOTRIGINE

- It is used as an add-on therapy for the treatment of generalized seizures not satisfactorily controlled by other antiepileptic's.
- It acts as sodium channel blocker.
- ❖ **Chemical Formula** -  $C_9H_7Cl_2N_5$
- ❖ **Structure**



6-(2,3-dichlorophenyl)-1,2,4-triazine-3,5-diamine

### ❖ IUPAC Nomenclature

- 3,5-Diamino-6-(2,3 Dichlorophenyl)-1,2,4-triazine

### ❖ Physiochemical Properties

- Lamotrigine has been found effective against refractory partial seizures.

### ❖ Pharmaceutical Formulation

- It is available in formulations of 25 mg, 100 mg, 150 mg, and 200 mg tablets in tablet form.
- A chewable, dispersible tablet form is available in 2 mg, 5 mg, and 25 mg dispersible tablets.
- Different liquid formulations of lamotrigine were prepared, namely suspension and emulsions.

### ❖ Stability and storage

- Store lamotrigine tablets at room temperature away from heat, light, and moisture.
- Keep away from children's.

### ❖ Popular Brand Names

- Lamictal
- Lamotriz
- Epigen
- Lamosyn

### ❖ Dose

- Adult: Initially, 25 mg once daily for 2 weeks followed by 50 mg once daily for 2 weeks; thereafter, increase the dose by a maximum of 50–100 mg every 1–2 week.

### ❖ Medicinal Uses

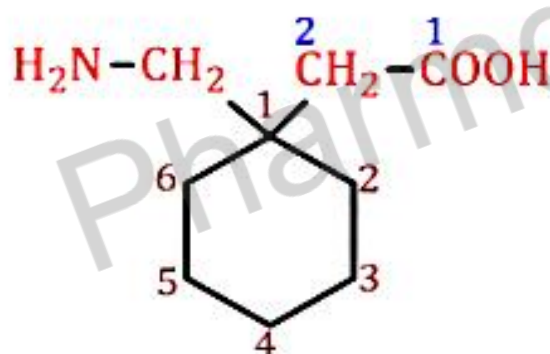
- It is used in the treatment of epilepsy.
- It is also used as an alternative or adjuvant medication for partial seizures.
- Lamotrigine is a mood stabiliser used to treat depression in people with bipolar disorder.

### ❑ GABAPENTIN

- It is a lipid soluble GABA analogue.
- It does not bind with GABA receptor, causes inhibition on GABA Reuptake and is not a GABAT (GABA amino transferase enzyme that metabolizes GABA to succinic semi aldehyde) inhibitor; thus, the mechanism of action is unknown.

❖ Chemical Formula -  $C_9H_{17}NO_2$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 2-[1-(aminomethyl)cyclohexyl]acetic acid

### ❖ Physiochemical Properties

- It is white to off-white crystalline solid crystals with bitter taste, it is freely soluble in water, alkaline and acidic solutions.

### ❖ Pharmaceutical Formulation

- Mostly oral preparation (tablet and syrups) of Gabapentin present in market.

### ❖ Stability and storage

- Store gabapentin at room temperature between 68°F and 77°F (20°C and 25°C).
- Store in a well closed containers, protect from direct light.



### ❖ Popular Brand Names

- Gabantin,
- Gaba
- Namangaba

### ❖ Dose

- Maximum dose is 3600mg daily (in 3 divided doses).

### ❖ Medicinal Uses

- Prevent and control partial seizures.
- Gabapentin can be used in adults and children age 3 and older who have partial seizures.
- Relieve nerve pain following shingles in adults.
- Treat moderate-to-severe primary restless legs syndrome.

### ❑ TOPIRAMATE

#### ❖ Chemical Formula -C<sub>12</sub>H<sub>21</sub>NO<sub>8</sub>S

#### ❖ Structure



#### ❖ IUPAC Nomenclature

- 2,3:4,5-Bis-O-(1-methylethylidene)-β-D-fructopyranose sulfamate

#### ❖ Physiochemical Properties

- It exists as white crystalline powder, includes efficacy against generalized seizures, absence, and myoclinic seizures.

#### ❖ Pharmaceutical Formulation

- Topiramate formulated form of tablet, and a sprinkle capsule.

#### ❖ Stability and storage

- The powder should be preserved in tight, light-resistant containers and stored at controlled room temperature.

### ❖ Popular Brand Names

- Topamax,
- Trokendi XR,
- Qudexy XR

### ❖ Dose

- The usual dose 40 mg/day in two divided dose.

### ❖ Medicinal Uses

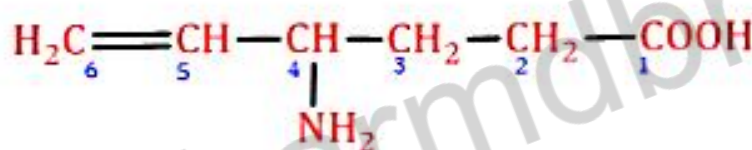
- Topiramate is a medication used to manage and treat epilepsy and migraine.
- This medication can also prevent migraine headaches.
- Topiramate works by calming overactive nerves in your body.

### ❑ VIGABATRIN

- It works by inhibiting the breakdown of  $\gamma$ -aminobutyric acid (GABA).
- It is also known as  $\gamma$ -vinyl-GABA, and is a structural analogue of GABA, but does not bind to GABA receptors.

### ❖ Chemical Formula - $C_6H_{11}NO_2$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 4-aminohept-5-enoic acid

### ❖ Physiochemical Properties

- Valproic acid is hygroscopic in nature, clear Colourless liquid with characteristic odour.
- It is freely soluble in 1N sodium hydroxide, methanol, alcohol, acetone, chloroform, benzene, ether, n-heptane, slightly soluble in 0.1 N hydrochloric acid.

### ❖ Pharmaceutical Formulation

- Mostly Oral solution powder (sachets) and tablet of Vigabatrin are present in market.



### ❖ Stability and storage

- Vigabatrin is extemporaneous solutions were prepared in triplicate and placed in amber glass and PET bottles.
- They are stored under three different conditions: at room temperature (15 to 30 °C), under refrigeration (2 to 8 °C), and oven (40°C).

### ❖ Popular Brand Names

- Sabril
- Veganext
- Vegadrone

### ❖ Dose

- The usual dose initially is 4 mg once daily.

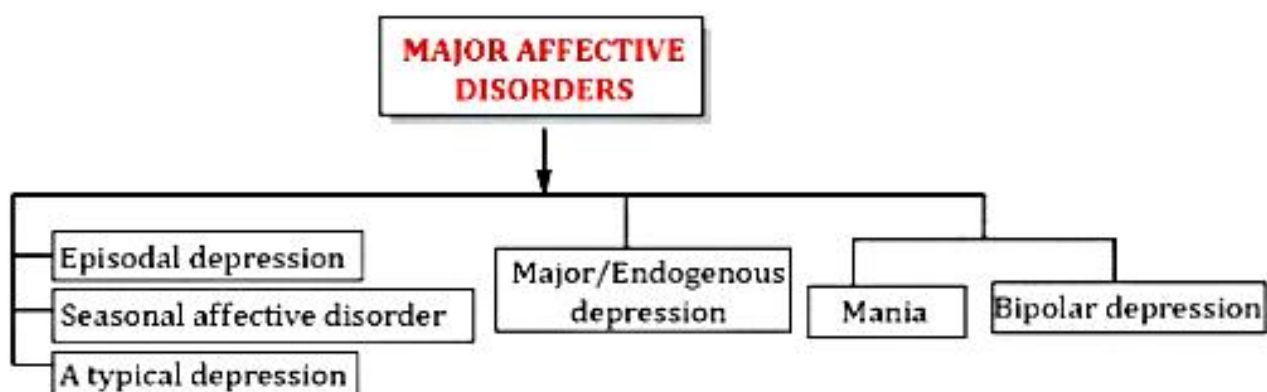
### ❖ Medicinal Uses

- Vigabatrin is a medication used in the management and treatment of infantile spasms and refractory complex partial seizures.
- It is in the anti-epileptic class of medications.

## 5.6 ANTI-DEPRESSANTS

- Antidepressants are drugs, which enhance alertness and may result in an increased output of behavior. They are used for the relief of symptoms of moderate and severe depressive disorder.
- Depression is characterized by feelings of intense sadness or worry, agitation, self-depression, physical changes, such as insomnia, anorexia, loss of enthusiasm, and mental slowing. Major depression is one of the most common psychotic disorders.

➤ **Depression is a heterogeneous disorder that has been characterized and classified into a variety of types**



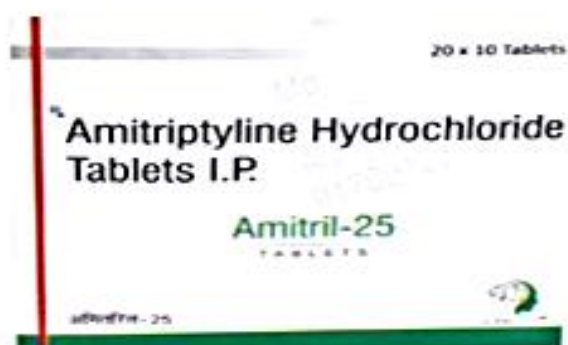
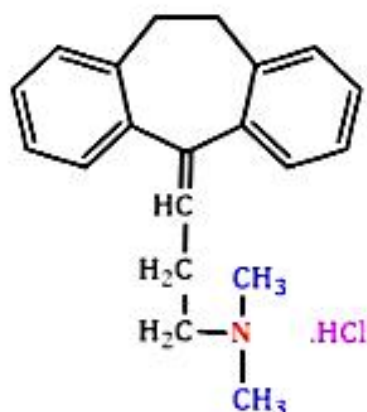
### 5.6.1 Classification of Antidepressant drugs

CLASS	DRUG
<b>Tricyclic and Tetracyclic (TCA) Compounds</b>	Amitriptyline, Nortriptyline, Doxepin, Imipramine, Desipramine, Trimipramine, Clomipramine, Mianserin
<b>Selective serotonin reuptake inhibitors (SSRIs)</b>	Citalopram, Escitalopram, Fluoxetine, Fluvoxamine, Paroxetine, Sertraline
<b>Selective noradrenaline reuptake inhibitors (SNRIs)</b>	Venlafaxine, Duloxetine
<b>Dopamine and norepinephrine reuptake inhibitor (DNRI)</b>	Bupropion
<b>Monoamine oxidase inhibitors (MAOIs)</b>	Phenelzine, Moclobemide
<b>Mood stabilizer</b>	Lithium Carbonate

#### ❑ AMITRIPTYLINE HYDROCHLORIDE

❖ **Chemical Formula** -  $C_{20}H_{23}N$

**Structure**





### ❖ IUPAC Nomenclature

- 3-(10,11-dihydro-5H-dibenzo[a,d]cycloheptene-5-ylidene)-N,N-dimethylpropan-1-amine

### ❖ Physiochemical Properties

- It is white to off-white solid, brownish crystal. It is slightly basic in nature.
- It is freely soluble in water, and alcohol and insoluble in other organic solvent.

### ❖ Pharmaceutical Formulation

- Amitriptyline hydrochloride is formulated in the form of oral preparation (tablet, syrup) and injectable's (intramuscular).

### ❖ Stability and storage

- It should be store in well-closed airtight containers and protect from light.

### ❖ Popular Brand Names

- Amitril
- Tryptomer
- Nactryp

### ❖ Dose

- Usual dose is 50–75 mg daily on divided doses or at single dose at night.

### ❖ Medicinal Uses

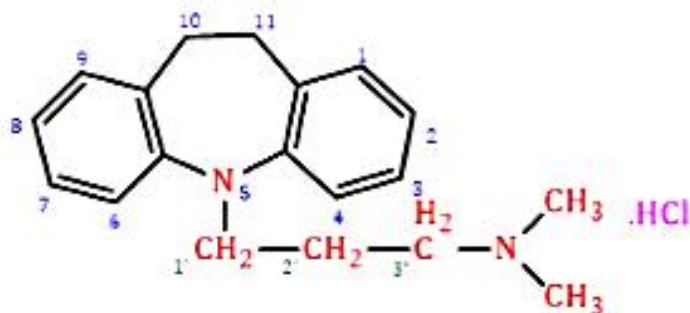
- Used for the treatment of depression associated with anxiety.
- It is also useful in the management of enuresis in children and adolescents.

### ❑ IMIPRAMINE HYDROCHLORIDE

- The compound has a tendency towards a high 5-HT/NE uptake block ratio.
- It is useful in treating endogenous depression particularly manic-depressive and evolutionary psychosis.

### ❖ Chemical Formula - $C_{19}H_{24}N_2.HCl$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 5-[3-(Dimethyl amino) Propyl]-10, 11-Dihydro-dibenzazepine. Hydrochloride

### ❖ Physiochemical Properties

- It is solid white to off-white, Colourless and odourless powder.
- It is freely soluble in water, less soluble in alcohol, sparingly soluble in acetone.
- Imipramine Hydrochloride is salt form of imipramine, a synthetic tricyclic derivative.

### ❖ Pharmaceutical Formulation

- Imipramine hydrochloride is formulated in the form tablet, Capsule, syrup and intramuscular injections.

### ❖ Stability and storage

- Store imipramine at room temperature between 68°F and 77°F (20°C and 25°C).
- Keep this drug away from light, it is do not store in moist or damp areas.

### ❖ Popular Brand Names

- Tofromil
- Depcure
- Microdep

### ❖ Dose

- Usual dose is 50–150 mg daily, in divided doses.

### ❖ Medicinal Uses

- It is useful in treating endogenous depression particularly manic-depressive and involutional psychosis.
- It is also used routinely to treat nocturnal enuresis (bed wetting) in children aged 6 years and above.

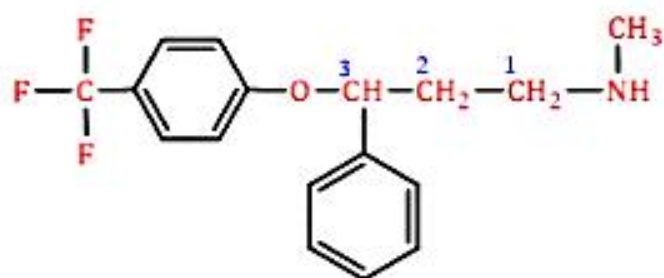
### ❑ FLUOXETINE

- It is a selective serotonin reuptake inhibitor and antidepressant.
- It is used for the treatment of endogenous depression. It may be useful in treating obsessive compulsive disorder, obesity, and alcoholism.

### ❖ Chemical Formula - $C_{17}H_{18}F_3NO$

### ❖ Structure





### ❖ IUPAC Nomenclature

- N-methyl-3-phenyl-3-[4-(trifluoromethyl)phenoxy]propan-1-amine

### ❖ Physiochemical Properties

- It is white to off-white crystalline solid powder.
- It is soluble in methanol, ethanol, partially soluble in acetone, acetonitrile, chloroform, sparingly soluble in dichloromethane, insoluble in ethyl acetate, toluene, cyclohexane and hexane.

### ❖ Pharmaceutical Formulation

- Available in the form of oral syrups, tablet and veterinary injection are also available.

### ❖ Stability and storage

- It should be stored in well-closed airtight containers.
- Store in a room temperature, Protect from the direct light.

### ❖ Popular Brand Names

- Fludac
- Platin
- Flunil

### ❖ Dose

- The usual dose is 20-80 mg/day.

### ❖ Medicinal Uses

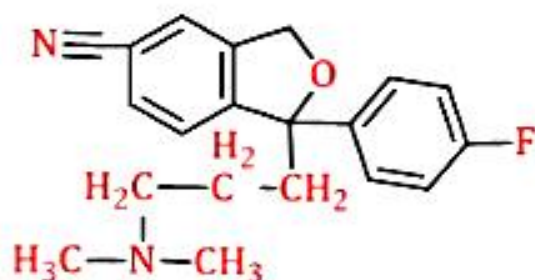
- Fluoxetine is used to treat depression, obsessive-compulsive disorder and panic attacks (sudden, unexpected attacks of extreme fear and worry about these attacks).

## ❑ CITALOPRAM

- It is an antidepressant of the selective serotonin reuptake inhibitor (SSRI) class.
- It is used to treat major depressive disorder, obsessive compulsive disorder and social phobia.

❖ **Chemical Formula**  $C_{20}H_{21}FN_2O$

❖ **Structure**



❖ **IUPAC Nomenclature**

- (R)-1-[3-(Dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile

❖ **Physiochemical Properties**

- It is solid, fine white to off-white crystals with faint odour.
- It is freely soluble in water, ethanol, and chloroform. When heated to decomposition it emits very toxic fumes of nitrogen oxides, hydrogen fluoride and hydrogen bromides.

❖ **Pharmaceutical Formulation**

- Available in the form of oral solution, tablet and capsule are also available.

❖ **Stability and storage**

- Store citalopram tablets at room temperature, 77°F (25°C).
- Keep this drug away from high temperatures.

❖ **Popular Brand Names**

- Celexa
- Citalopram
- Citapad

❖ **Dose**

- Maximum dose is 40 mg/day.



### ❖ Medicinal Uses

- Citalopram is used to treat depression, including major depressive disorder (MDD).
- Citalopram may be used off-label to treat Anxiety and Dysthymia.

### ❑ **ESCITALOPRAM**

- Escitalopram is mainly used to treat major depressive and generalized anxiety disorder.
- It is taken by orally, available commercially as anoxalate salt exclusively.

### ❖ Chemical Formula - $C_{20}H_{21}FN_2O$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 1-[3-(Dimethylamino)propyl]-1-(4-fluorophenyl)-1,3-dihydroisobenzofuran-5-carbonitrile

### ❖ Physiochemical Properties

- It is fine white to slightly yellow powder.
- It is freely soluble in methanol and dimethyl sulfoxide (DMSO), soluble in isotonic saline solution, sparingly soluble in water and ethanol, slightly soluble in ethyl acetate, and insoluble in heptane.

### ❖ Pharmaceutical Formulation

- Escitalopram is available in the form of oral solution, tablet and capsule are also available.

### ❖ Stability and storage

- Store Escitalopram tablets at room temperature, 77°F (25°C).
- Keep this drug away from high temperatures.

### ❖ Popular Brand Names

- Lexapro
- Cipralex
- Nexito

### ❖ Dose

- 10 mg orally once a day increase if necessary after at least 3 week of treatment to 20 mg once a day.

### ❖ Medicinal Uses

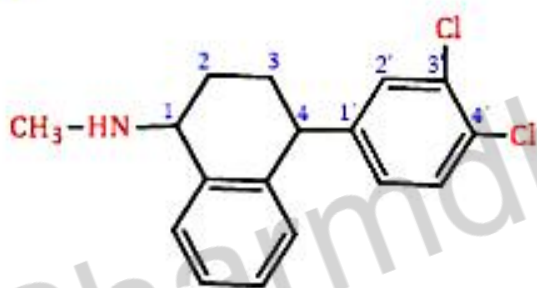
- Escitalopram is used to treat depression, including major depressive disorder (MDD).
- Escitalopram may be used off-label to treat Anxiety and Dysthymia.

### ❑ SERTRALINE

- Sertraline is better tolerated than the older tricyclic antidepressants, and it may work better than fluoxetine for some subtypes of depression.
- Sertraline is effective for generalized anxiety disorder, and obsessive-compulsive disorder (OCD).

### ❖ Chemical Formula - $C_{17}H_{17}Cl_2N$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 4-[3,4-Dichloro phenyl] 1, 2, 3, 4-tetra hydro-N-methyl naphthalamine

### ❖ Physiochemical Properties

- It is a white solid crystal or powder.
- It is soluble in water and slightly soluble in isopropyl alcohol.

### ❖ Pharmaceutical Formulation

- Sertraline is available in the form of oral solution, tablet and capsule are also available.

### ❖ Stability and storage

- Store Escitalopram tablets at room temperature. Keep this drug away from high temperature. Keep in well-closed containers and protect from direct light.



### ❖ Popular Brand Names

- Zoloft
- Serta
- Zosert

### ❖ Dose

- 50 mg daily.

### ❖ Medicinal Uses

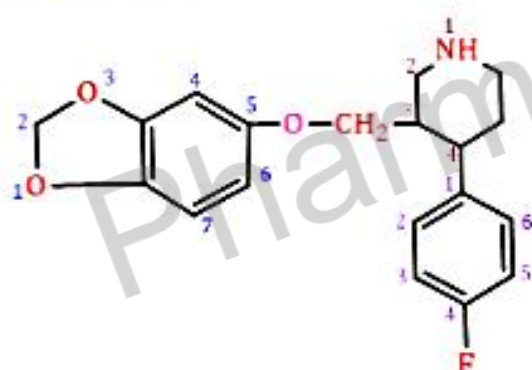
- Sertraline is a medication used to manage and treat the major depressive disorder, obsessive-compulsive disorder, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder, and social anxiety disorder.

### ❑ PAROXETINE

- It has also been used in the treatment of premature ejaculation and hot flashes due to menopause.

### ❖ Chemical Formula $C_{19}H_{20}FNO_3$

### ❖ Structure



### ❖ IUPAC Nomenclature

- 3-[(2H-1,3-benzodioxol-5-yloxy)Methyl]-4-(4-fluorophenyl)piperidine

### ❖ Physiochemical Properties

- Paroxetine hydrochloride is an odorless, an inherently bitter taste, off-white powder, having a melting point range of 120° to 138°C.
- It is soluble in alcohol and water.

### ❖ Pharmaceutical Formulation

- Paroxetine comes as a tablet, a suspension (liquid), a controlled-release (long-acting) tablet, and a capsule to take by mouth.

### ❖ Stability and storage

- Store at 20°C to 25°C (68°F to 77°F). Keep paroxetine away from light. Keep bottle of paroxetine closed tightly.

### ❖ Popular Brand Names

- Pexep
- Paroxetine
- Seroxat

### ❖ Dose

- Maximum dose is 62.5 mg/day.

### ❖ Medicinal Uses

- Used to treat depression, and sometimes obsessive compulsive disorder (OCD), panic attacks, anxiety or post-traumatic stress disorder (PTSD).

### ❑ DULOXETINE

- Duloxetine (Cymbalta) is a newer antidepressant. It is largely like venlafaxine, which is an SNRI (selective nor-epinephrine reuptake inhibitor).

### ❖ Chemical Formula - $C_{18}H_{19}NO_2$

### ❖ Structure



### ❖ IUPAC Nomenclature

- N-methyl-3-(3-naphthalen-1-yloxy-3-thiophen-2-yl)propan-1-amine

### ❖ Physiochemical Properties

- It is white to beige (slightly brown) colour solid crystals.
- It is acid labile, soluble in water and methanol and insoluble in other neutral organic solvents.

### ❖ Pharmaceutical Formulation

- It is formulated form of tablet capsule and injections.



### ❖ Stability and storage

- Store duloxetine at room temperature between 59°F and 86°F (15–30°C).
- Store away from heat, moisture, and light.
- Keep duloxetine out of the reach of children and away from pets.

### ❖ Popular Brand Names

- Effexor
- Neulox
- Duloxin

### ❖ Dose

- Maximum dose is 120 mg/day.

### ❖ Medicinal Uses

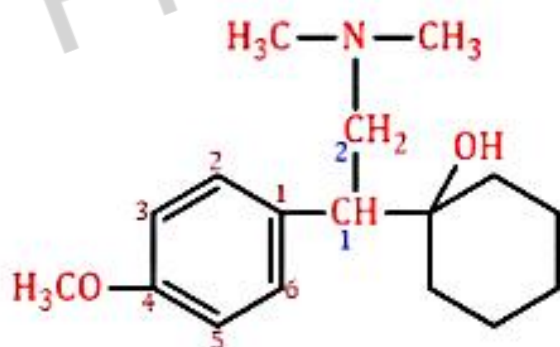
- Duloxetine is a medication used to manage major depressive disorder (MDD), generalized anxiety disorder (GAD), fibromyalgia, diabetic peripheral neuropathy, and chronic musculoskeletal pain.

### ❑ VENLAFAXINE

- It is a phenylethylamine bicyclic compound with antidepressant effect similar to TCAs, but with fewer side effects.
- It is a selective inhibitor of 5-HT and NE reuptake.

### ❖ Chemical Formula - $C_{17}H_{27}NO_2$

### ❖ Structure



### ❖ IUPAC Nomenclature

- (RS)-1-[2-dimethylamino-1-(4-methoxyphenyl)-ethyl]cyclohexanol

### ❖ Physiochemical Properties

- It is white to off-white crystalline solid.
- Venlafaxine is metabolized into its major active metabolite, it is soluble in water and methanol.

### ❖ **Pharmaceutical Formulation**

- Venlafaxine comes in an oral tablet and an oral capsule.
- The oral tablets come in immediate-release (25 mg, 37.5 mg, 50 mg, 75 mg and 100 mg) and extended-release forms (37.5 mg, 75 mg, 150 mg, and 225 mg.)

### ❖ **Stability and storage**

- Store in a dry and cool place, well-closed containers, and protect from direct light.

### ❖ **Popular Brand Names**

- Effexor
- Venlor
- Venzee

### ❖ **Dose**

- Maximum dose for moderately depressed outpatients is 225mg/day and severely depressed inpatients dose is 375 mg/day.

### ❖ **Medicinal Uses**

- Venlafaxine is used primarily for the treatment of depression, general anxiety disorder, social phobia, panic disorder, and vasomotor syndrome.