MEDICINAL CHEMISTRY-II

UNIT 1 NOTES

- Antihistaminic Agents
- H1 & H2-antagonists
- Proton Pump Inhibitors
- Antineoplastic Agents
- Alkylating Agents
- Antimetabolites
- Antibiotics
- Plant Products
- Miscellaneous

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ANTIHISTAMINIC AGENTS

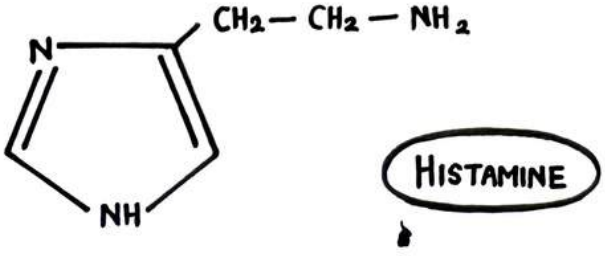
- Antihistaminic Agents, more commonly known as Antihistamines, are class of drugs used primarily to treat allergic reactions.
- They work by blocking the action of Histamine, a chemical in the body that is involved in allergic reactions.
- Histamine is released by immune system in response to allergens and is responsible for many of the symptoms associated with allergies such as itching, swelling and mucus production.

HISTAMINE

- Histamine is a type Amine Autacoid that plays several crucial roles in our body.
- It acts as a local hormone, act near the site of synthesis.
- It is stored in inactive form in granules of Mast Cells & Basophills and released upon activation.

CHEMICAL NATURE

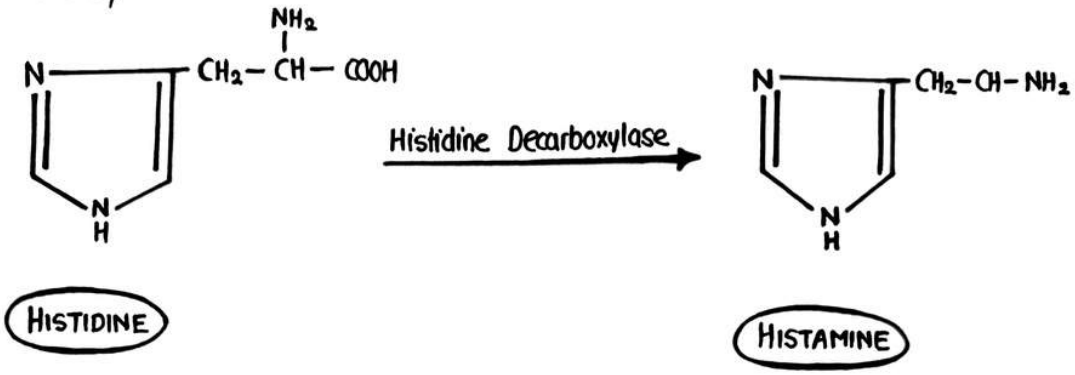
- Histamine is an organic nitrogenous compound with formula $C_5H_7N_3$
- It composed of an Imidazole Ring & Ethylamine side chain.



HISTAMINE

SYNTHESIS

Histamine is derived from amino acid Histidine through a process a process called Decarboxylation, catalyzed by enzyme Histidine Decarboxylase.



HISTIDINE

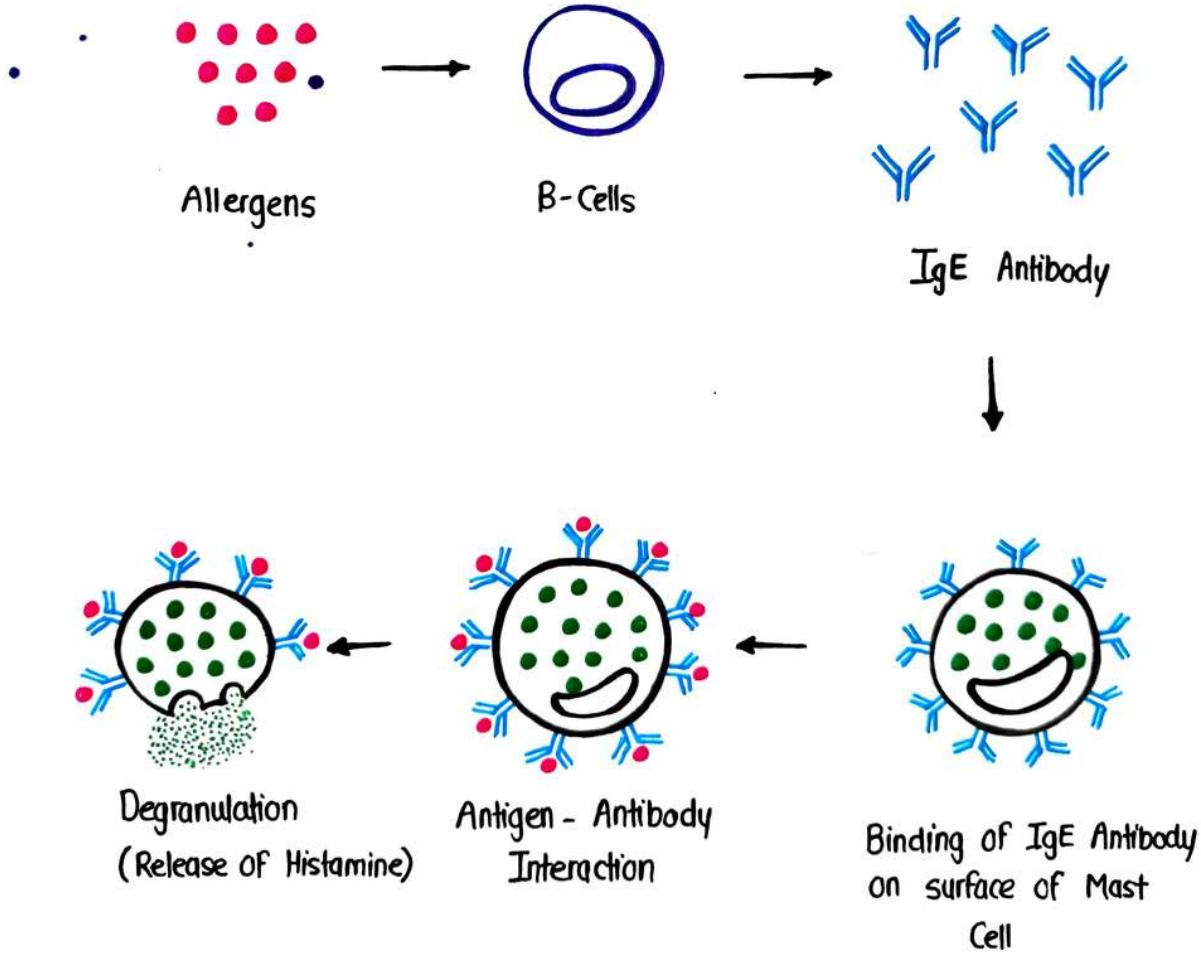
HISTAMINE

STORAGE

- Histamine is stored in the body primarily within Mast Cells and Basophills.
- It is stored in the granules of Mast Cells & Basophills.
- These granules are membrane bound vessicles that also contain other chemical mediators involved in inflammatory responses.
- It is stored in Mast Cells in complex with 'Heparin' while in basophills stored in complex with 'Chondrotin'.
- Tissues that are rich in Histamines are skin, gastric and intestinal mucosa, lungs, liver, placenta & neurons of CNS.
- In stomach, Histamine is stored in Enterochromaffin - like cells (ECL Cells) that are found in gastric mucosa.
- In CNS, it is synthesized and released by specific neurons in brain as neurotransmitters.

Release Mechanism

- Histamine is released from Mast Cells & Basophills through a process called Degranulation.
- The process typically occurs in responses to an allergen or other immune triggers.
- In allergic reactions, Histamine is often released by triggers such as binding of allergen to IgE antibodies that are attached to the surface of Mast Cells & Basophills.



HISTAMINE RECEPTORS

	LOCATION	RECEPTOR	EFFECT
H_1	Throughout the body, specially in smooth muscles, vascular endothelial cells, Heart, CNS	Gi-Protein linked to intracellular Gq that activates Phospholipase C	Increased Vascular Permeability Bronchoconstriction, Increased Gut Motility
H_2	In more specific locations in the body mainly in gastric parietal cells, a low level can be found in vascular smooth muscles, Neutrophills, CNS, Heart, Uterus	Gi-Protein linked to intercellular Gs that stimulates Adenylcyclase and increases cAMP	Increase in Gastric Acid Secretion, Vasodilation
H_3	Found mostly in the CNS, with a high level in the thalamus, caudate nucleus & cortex, also a low level detected in small intestine, testis and prostate.	Gi-Protein possibly to intercellular Gi	Inhibit the synthesis and release of Histamine
H_4	Thymus Gland, Small intestine, Spleen Colon, Bone Marrow, Basophills	Unknown, Most likely also GPCR	Immune System Regulation

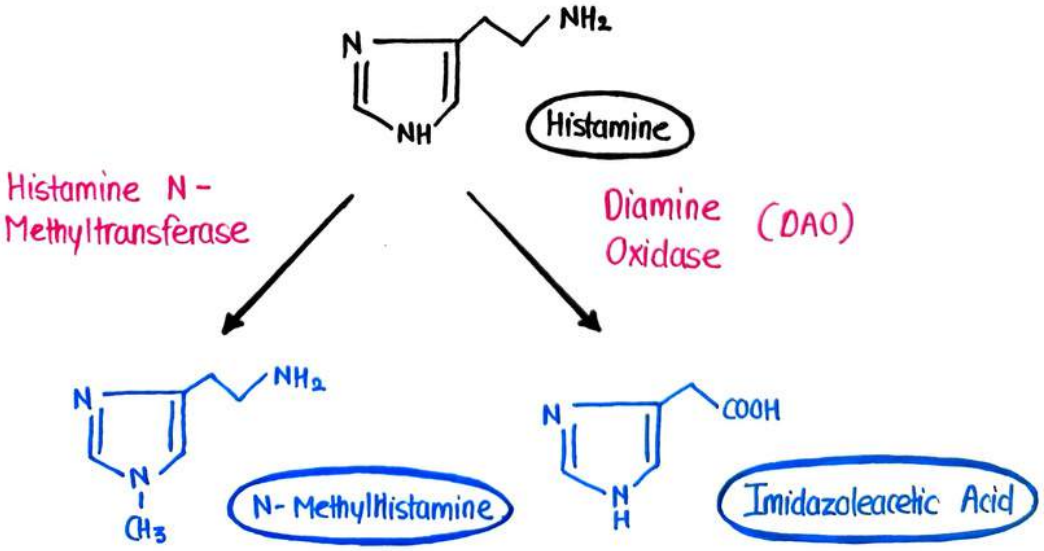
Action Of Histamines

- As immune response it cause several symptoms such as itching, swelling & increased mucus production.
- Histamine cause blood vessels to dilate & become more permeable This results in increased blood flow to the affected area, which helps immune cells reach the site of infection or injury more efficiently
- It also leads to bronchoconstriction.
- In stomach it stimulates parietal cells to secrete gastric acid.
- In brain it acts as neurotransmitter and involved in regulating sleep-wake cycles, apetite & cognitive functions.

Histamine Metabolism

Histamine Metabolism occurs in the body through two major pathways:

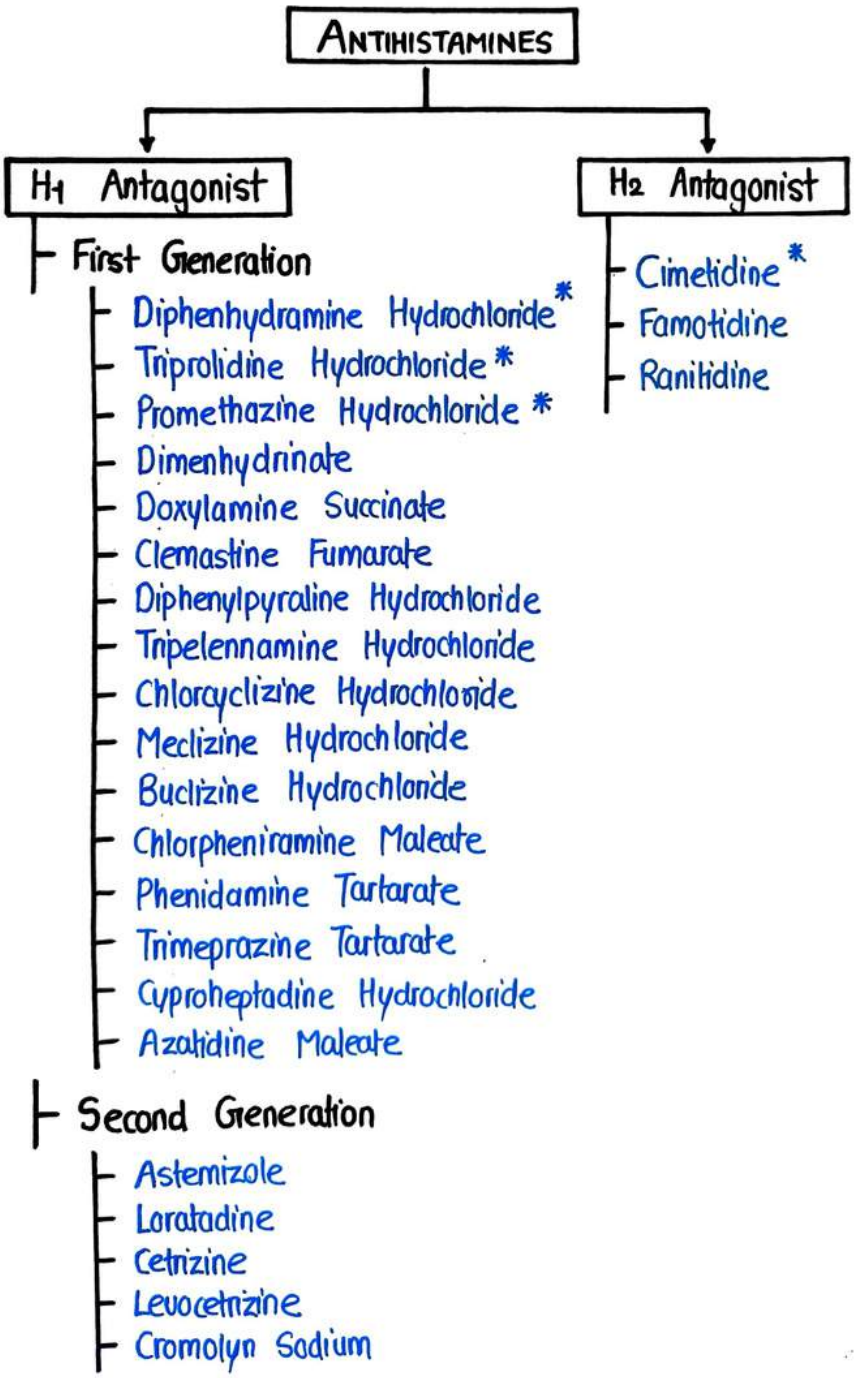
- Oxidation : By diamino Oxidase (DAO) to produce Imidazole Acetic Acid
- Methylation : By Histamine N-Methyltransferase to produce N-MethylHistamine.



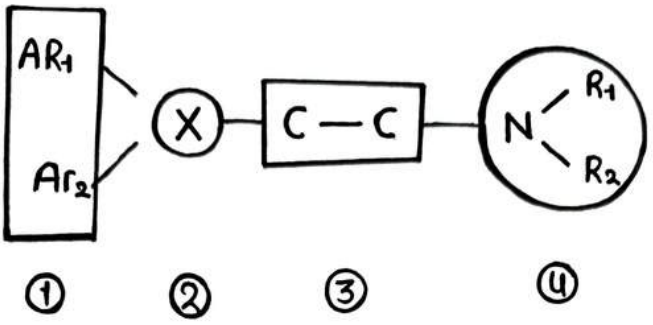
Classification Of Antihistamines

Antihistaminic Drugs can be mainly classified into two types :

① H_1 Antagonist
② H_2 Antagonist



SAR OF ANTIHISTAMINES



The general structure of Antihistamines consist of :

① An Aryl Group (Ar_1, Ar_2)
② Connecting Atom (X)
③ Alkyl Group (C-C)
④ Terminal Nitrogen Atom ($N<^{R_1}_{R_2}$).

ARYL GROUPS

- Diaryl substitution is essential for significant H_1 affinity.
- The maximum antihistaminic activity depends upon co-planarity of two aryl substitution.

① Ar_1 : Generally Phenyl or Heteroaryl group like 2-Pyridyl
② Ar_2 : Generally Aryl or Aryl Methyl Group

Connecting Atom

In the structure of Antihistamines the X can be :

- X = O (Amino Alkyl Ether Derivative)
- X = N (Ethylene-Diamene Derivative)
- X = C (Mono-Amino Propyl Analogue)

Alkyl Group

- The carbon chain in Antihistaminic Drugs consist of two or maximum 3 carbon atoms
- Most of the ~~carbon atoms~~ in Antihistamines have Ethylene Chain
- Branching of this carbon chain leads decrease in Antihistaminic Activity (exception : Promethazine)

Terminal Nitrogen Atom

- The terminal N- atom should be a Tertiary amine for maximum activity.
- It can be a part of Heterocyclic Ring.
- Dimethyl Substitution has maximum Antihistaminic Activity.

H_1 ANTAGONIST

- These are the classical Antihistaminic Agents that blocks physiological effects of Histamine & used in Allergic Conditions.
- They block Histamine H_1 receptor in the body.
- H_1 Antagonist help reduce symptoms associated with Allergic reactions such as Itching, Sneezing & Runny Nose.
- H_1 Antagonist are often classified into two generations:

① First Generation H_1 Antagonists
② Second Generation H_1 Antagonists

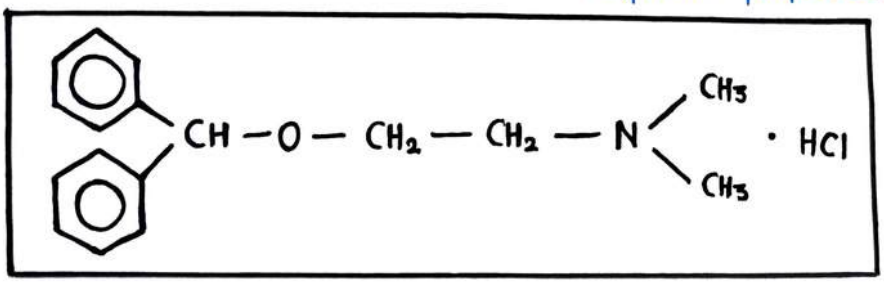
First Generation H_1 Antagonists

- These drugs include medications like Diphenhydramine and Chlorpheniramine.
- They can easily cross the Blood - Brain Barrier & may cause Drowsiness or Sedation as a side effect.
- These drugs includes:

① Diphenhydramine Hydrochloride *
② Triprolidine Hydrochloride *
③ Promethazine Hydrochloride *
④ Dimenhydrinate
⑤ Doxylamine Succinate
⑥ Clemastin Fumarate
⑦ Diphenylpyraline Hydrochloride
⑧ Tripelennamine Hydrochloride
⑨ Chlorcyclizine Hydrochloride
⑩ Meclizine Hydrochloride
⑪ Buclizine Hydrochloride
⑫ Chlorpheniramine Maleate
⑬ Phenidamine Tartarate
⑭ Trimeprazine Tartarate
⑮ Cyproheptadine Tartarate
⑯ Azatadine Maleate

① DIPHENHYDRAMINE HYDROCHLORIDE

Diphenhydramine is a first generation Antihistamine which is mainly used for treating seasonal allergies, but it also exhibits Antiemetic, Anti-Parkinson, Antitussive & Hypnotic properties.



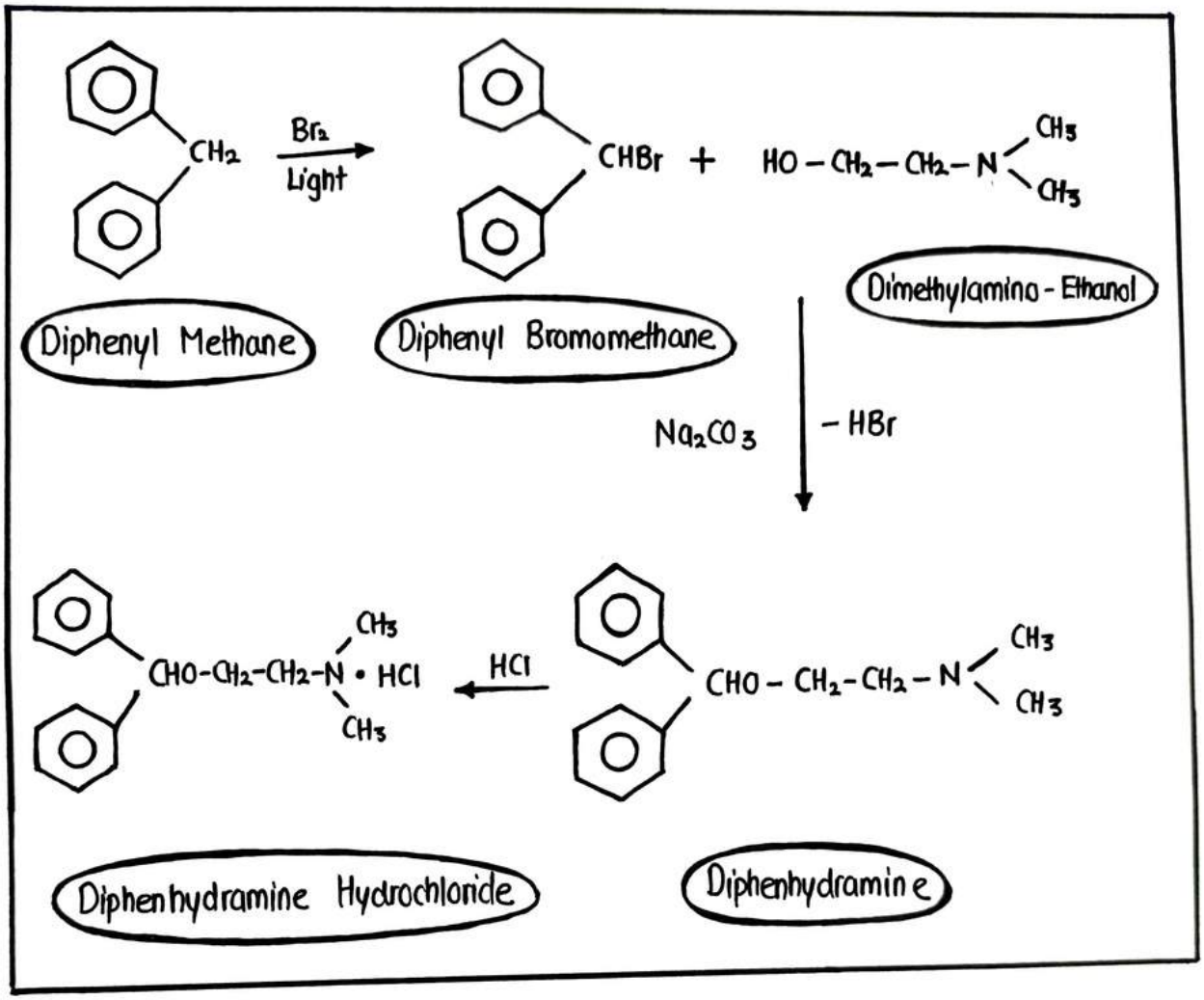
Mechanism of Action

- Diphenhydramine acts as a competitive Antagonist at H_1 Receptor.
- It reverse the effect of the Histamine on capillaries, reducing allergic reaction symptoms.
- It works on H_1- Receptors found on the respiratory smooth muscles, vascular endothelial cells, GIT, Cardiac Tissue, Immune Cells, Uterus and CNS Neurons.

Properties

- It occurs as a white crystalline powder.
- It is soluble in water & alcohol.
- It is stored in well closed dark coloured light resistant container.
- It is well absorbed from GIT
- It is metabolized and secreted in Urine as Metabolite Conjugate.

Synthesis

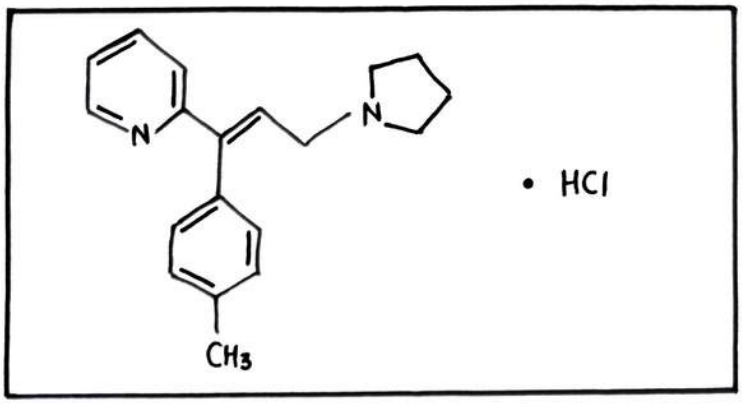


Uses

- It used for preventing and curing nausea, vomiting & dizziness caused by motion sickness.
- It can also be used as Antitussive, Antiparkinson & Sedative drug.
- It is used for treatment of allergies.
- It can also be used for treatment of Insomnia

② TRIPROLIDINE HYDROCHLORIDE

It is a sedating Antihistaminic drug used in various type of cold & allergy medications to relieve allergy symptoms and to aid in sleep



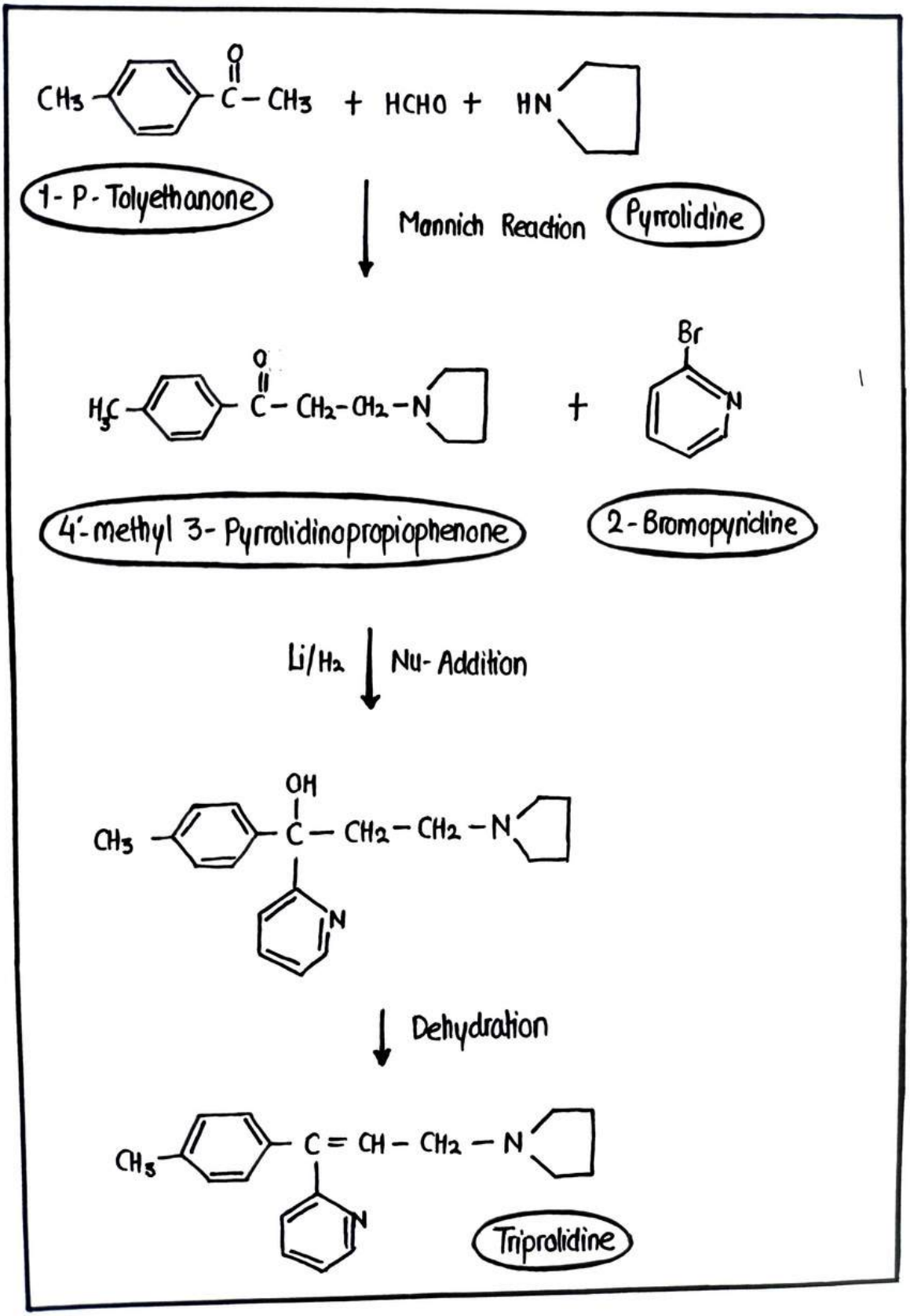
Mechanism Of Action

- Triprolidine hydrochloride binds to H_1 receptors and inhibits the action of Histamine, thus temporarily releasing the symptoms of Histamine
- It is absorbed by GIT, metabolised by carboxylation & excreted through urine

Properties

- It is white crystalline powder, insoluble in ether, soluble in water.
- It have unpleasant odour.
- Trans form is more active.

Synthesis

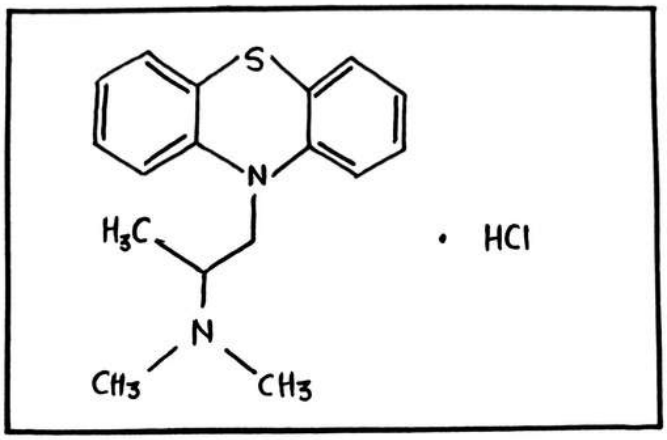


Uses

- It is used for treatment of various allergic conditions.
- It also used in combination with cold drugs to get relief from Fever.
- It helps releive symptoms such as sneezing, runny nose, itchy or watery eyes & nasal congestion.
- It often used in combination with other drugs such as pseudoephedrine in treating symptoms related to cold and allergies.

③ PROMETHAZINE HYDROCHLORIDE

Promethazine Hydrochloride is the Hydrochloride salt form of promethazine, which is phenothiazine derivative having Antihistaminic sedative and antiemetic properties.



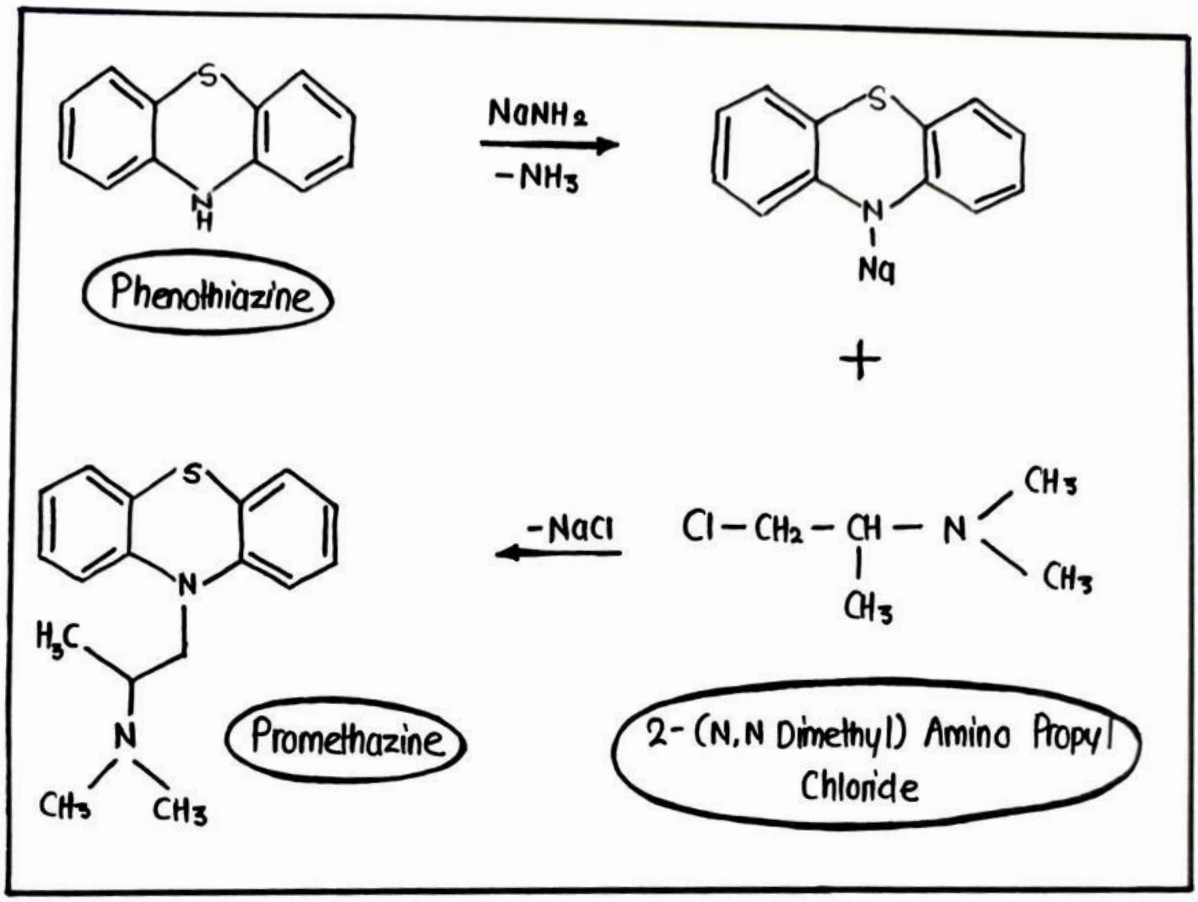
Mechanism Of Action

- It acts primarily as H_1 receptor antagonist and also have moderate Anticholinergic Activity.
- It also have weak to moderate affinity for Dopamine, Serotonin or adrenergic receptor as antagonist

Properties

- It is a white or pale yellow, crystalline powder
- It is soluble in water
- It is stored in well closed, Air tight, light resistant containers.

Synthesis

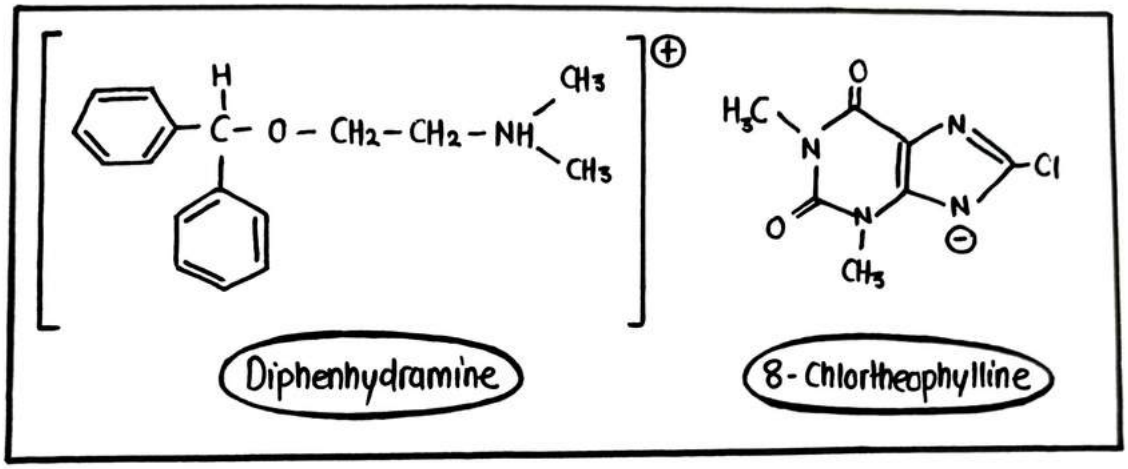


Uses

- It is used as sedative for treatment of insomnia.
- It can also be used as Antiemetic Agent.
- It is also used for Anaesthetic premedication through I.M. with ~~through~~ Atropine & meperidine.

④ DIMENHYDRINATE

Dimenhydrinate is a combination drug as it comprises of Diphenhydramine (53-55.5%) and 8- Chlortheophylline (not less than 44-47%) in salt form, calculated on dried basis



Mechanism Of Action

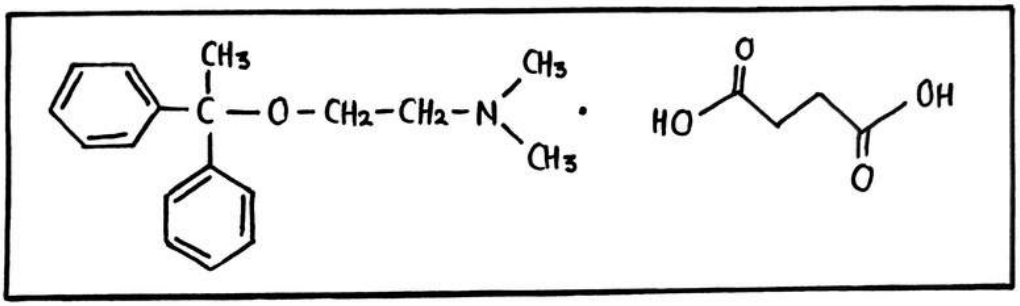
- The exact mechanism of Dimenhydrinate is not known.
- Its effect is probably due to H_1 Antagonism in vestibular System in brain
- It acts as a competitive antagonist of H_1 receptors found in Human Brain.

Uses

- It is used for preventing Motion Sickness, Nausea & Vomiting.
- It helps in treatment of Ear Congestion
- It is used for vestibular disorders

⑤ Doxylamine Succinate

- Doxylamine Succinate is a pyridine derivative H_1 Antagonist having sedative properties.
- It completely blocks H_1 receptors & controls Allergic reactions.
- It also prevents pain and itching of skin & mucous membrane induced by Histamine



Mechanism Of Action

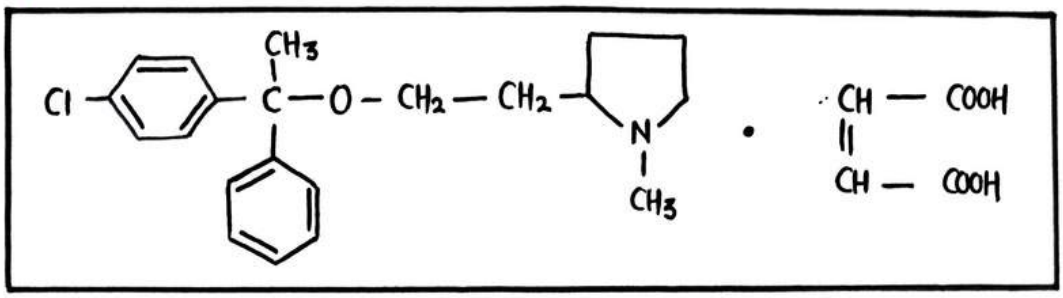
- It is a competitive antagonist of H_1 Receptor.
- It shows Antihistaminic and sedative effect
- It also slightly antagonises the Mascuranic Acetylcholine receptors

Uses

- It relieves the symptoms of Allergy, Fever & Common Cold.
- It relieves sneezing, runny nose, watery eyes & skin rash.
- It is used for treating insomnia.

⑥ CLEMASTINE FUMARATE

- Clemastine Fumarate is the Fumaric acid salt of Clemastine.
- It is an Antihistamine having Antimascuranic & moderate sedative properties.



Mechanism Of Action

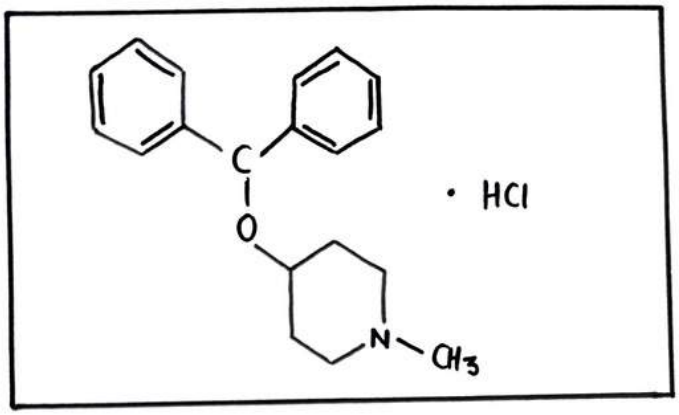
Clemastine is a selective H_1 Antagonist. It binds to H_1 Receptors and blocks the action of Histamine, thus temporarily releiving the negative symptoms caused by Histamine.

Uses

- It is an Antihistamine having Antimascuranic & moderate sedative properties
- It is used for treatment of allergic conditions such as Conjuctivitis, urticaria etc.

⑦ DIPHENYLPYRALINE HYDROCHLORIDE

- It is an Antihistamine used for treating Allergy by competing with Histamine to bind to the H_1 receptor.
- It is a potent Antihistaminic Agent



Mechanism Of Action

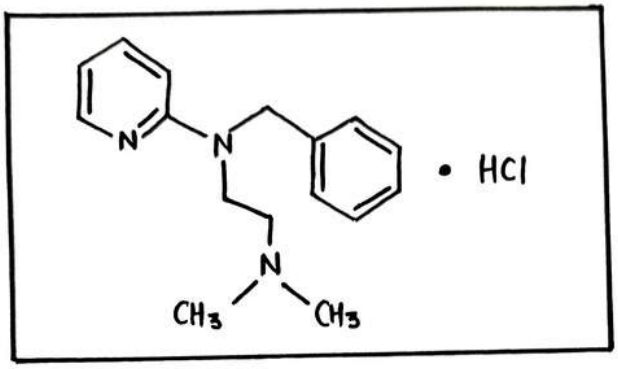
- It is used for treating Allergies as it competes with Histamine for binding on H_1 receptors on effector cells.
- After binding it suppresses the Histaminic effects, thus causing temporary relief from Allergic Symptoms.

Uses

- It is used for treating Allergic Rhinitis.
- It is also used for treatment of hay fever.
- It is used for treatment of allergic skin disorders.

⑧ TRIPELENNAMINE HYDROCHLORIDE

- Tripelennamine is an ethylenediamene derivative having Anti-Histaminergic property.
- Tripelennamine Hydrochloride is Hydrochloride salt of Tripelennamine.



Mechanism of Action

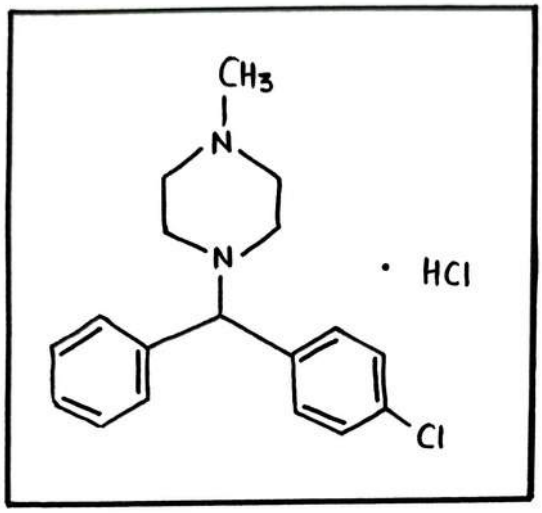
Tripelennamine binds to H_1 receptor & blocks the action of Histamine thus temporarily releiving the negative symptoms caused by Histamine.

Uses

- It treats the condition of Upper respiratory tract.
- It relelves sneezing, runny nose, itching, watery eyes, rashes and other symptoms of allergy & common cold.

⑨ Chlorcyclizine Hydrochloride

Chlorcyclizine is a first generation Antihistamine belonging to Phenylpiperazine Class



Mechanism Of Action

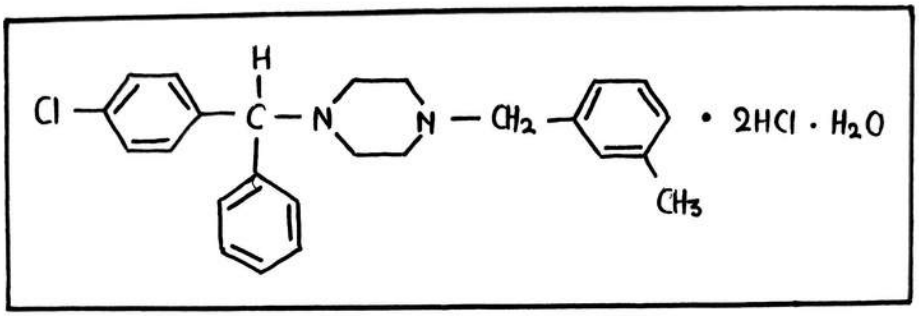
- Chlorcyclizine hydrochloride binds to H_1 receptor and blocks the action of Histamine.
- It also have some Antimascuranic activity.

Uses

- It is used for treatment of Allergic symptoms.
- It can also be used as Anticholinergic & Antiemetic Agent.

⑩ MECLIZINE HYDROCHLORIDE

Meclizine Hydrochloride is the Hydrochloride salt of Meclizine, which is a synthetic piperazine having Anti-emetic, sedative and H_1 antagonistic properties.



Mechanism Of Action

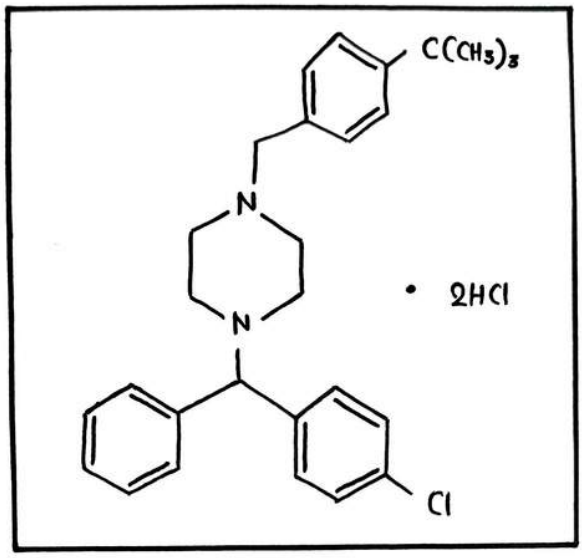
- Meclizine Hydrochloride inhibits the H_1 Receptors.
- It prevents Histamine actions on Capillaries, Bronchial & Gastrointestinal smooth muscles.

Uses

- It is used for treating motion sickness.
- It is safely used in treatment of nausea in pregnancy.
- It is also used as Antiemetic, Local Anaesthetics.

(11) BUCLIZINE HYDROCHLORIDE

Buclizine Hydrochloride is the Hydrochloride salt form of Buclizine. It is a piperazine H_1 receptor antagonist.



Mechanism of Action

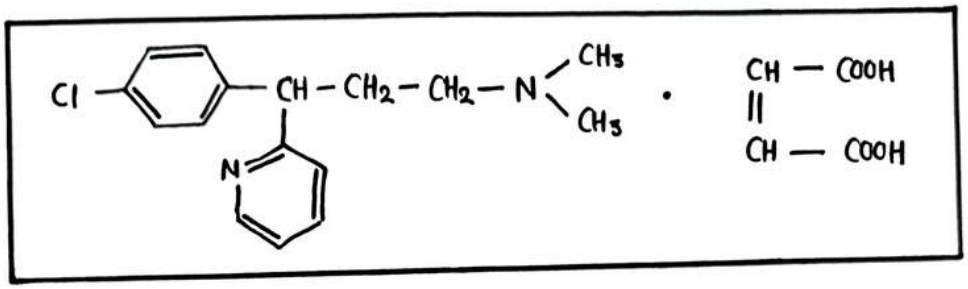
- Buclizine blocks the histamine receptors in the vomiting centers and decreases the activity along these pathways.
- Buclizine also has Anticholinergic properties and blocks the Muscuranic Receptors.

Uses

- It is highly lipid soluble and can cross blood brain barrier, so it is used as CNS Depressant.
- It is used as Antihistamine, Anti-Cholinergic & Local Anaesthetics

(12) CHLORPHENIRAMINE MALEATE

- Chlorpheniramine Maleate is a H_1 receptors Antagonist
- It is used in treatment of various Allergic Reactions.



Mechanism Of Action

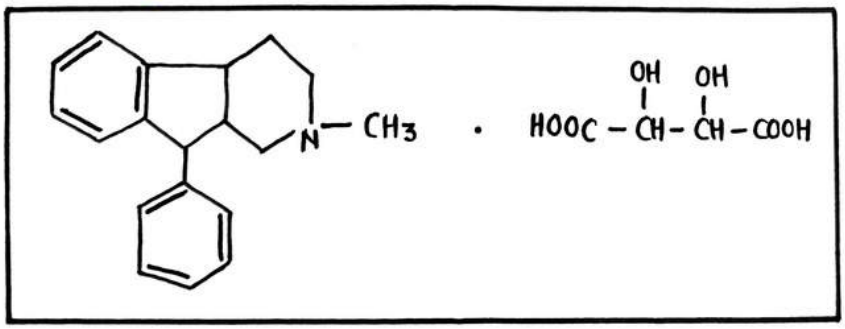
Chlorpheniramine is a typical H_1 - receptor antagonist and lead to temporary relief from the symptoms caused by Histamine.

Uses

- It is used for relieving the symptoms of Allergy, common cold rashes, watery eyes, itchy nose etc.
- It often used in combination with other drugs such as Hydrocodone, Phenylpropanolamine etc.

⑬ PHENIDAMINE TARTARATE

- Phenidamine Tartarate is a first generation Antihistamine drug.
- It exhibits apetite depressant property and having effects on naturally occuring histamine in the body .



Mechanism Of Action

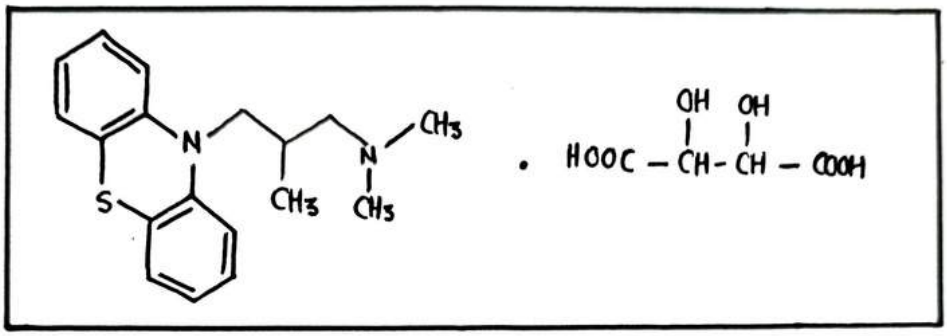
Phenidamine Tartarate antagonizes the pharmacological action of Antihistamines by binding on H_1 receptor & reduces the allergic reactions caused by Antihistamine

Uses

It is used for releiving symptoms of sneezing, runny nose, itching, watery eyes rashes & common cold.

(14) TRIMEPRAZINE TARTARATE

- It is a phenothiazine derivative & a tartarate salt.
- It is an antihistaminic agent also acts as sedative, Hypnotic or antiemetic.



Mechanism Of Action

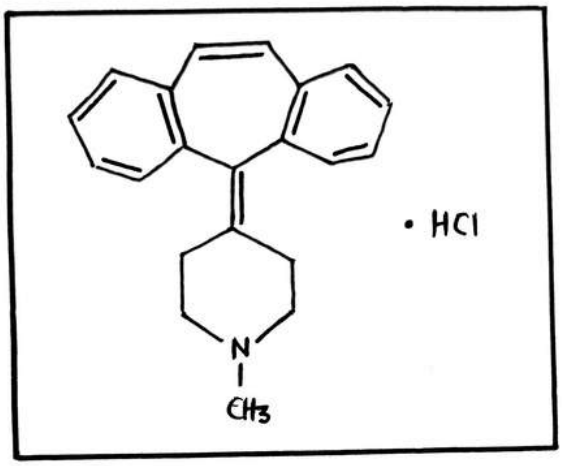
- Trimeprazine Tartarate is a competitive antagonist of H_1 receptor.
- It binds on H_1 receptor & blocks the effect of Histamine.

Uses

- It is used alone or along with corticosteroids in controlling Allergic or inflammatory problems.

(15) CYPROHEPTADINE HYDROCHLORIDE

It is a first generation Antihistamine having Serotonin- Antagonist and calcium channel blocking activities.



Mechanism Of Action

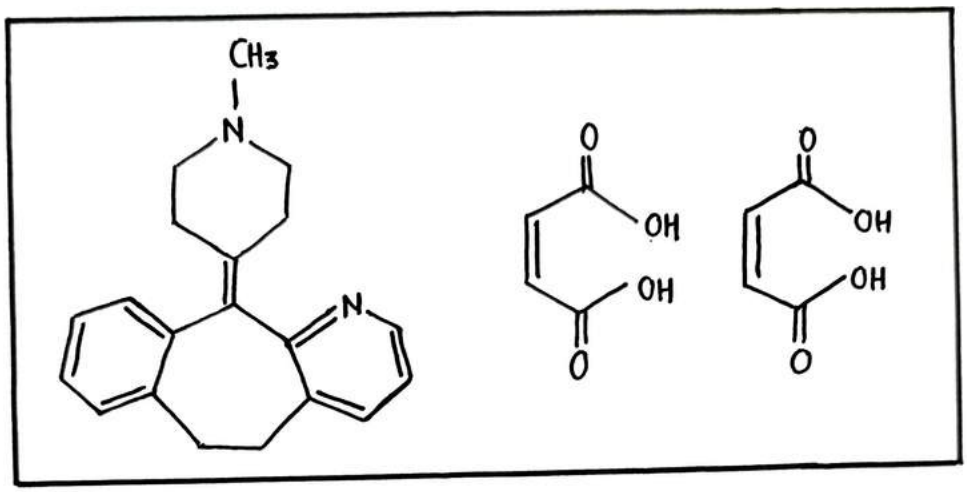
- It is a potent antagonist of H_1 receptor
- In high concentration it also has anticholinergic and antidopaminergic activity.

Uses

- It is used for treating various allergic conditions.
- It is also used as Apetite stimulant.
- It also have some antiserotonin activity.

⑯ AZATADINE MALEATE

- Azatadine Maleate is a first generation Antihistamine
- It is dimaleate salt of Azatadine.



Mechanism Of Action

- It acts as a potent H_1 receptor antagonist.
- It blocks H_1 receptor & reduces effect of Histamine.

Uses

- It is used for treatment of upper respiratory mucosal congestion.
- It is used for treatment of allergic rhinitis.

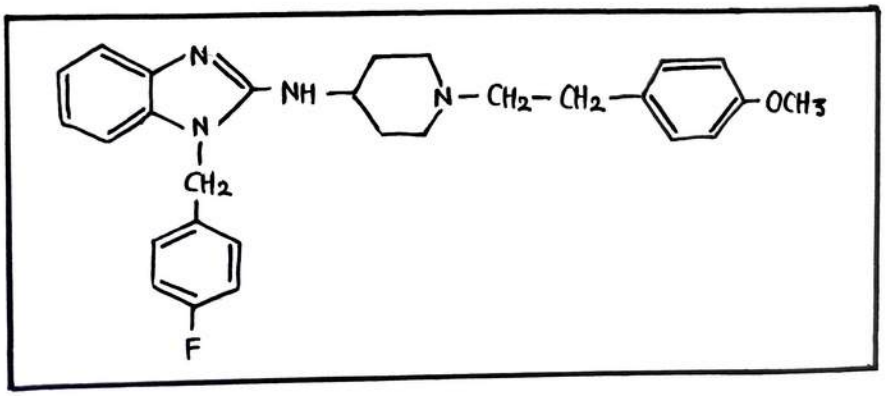
SECOND GEN H_1 ANTAGONIST

- These are the new generation antihistamine (H_1 Antagonist) that are less likely to cross the Blood Brain Barrier, resulting a minimal sedation.
- They are designed to provide effective relief from allergy symptoms with fever sedative effects compared to First Gen Antihistamines.
- These drugs generally include :

① Astemizole
② Loratidine
③ Cetrizine
④ Levocetrizine
⑤ Cromolyn Sodium

① ASTEMIZOLE

- Astemizole is a potent antihistaminic agent having longer duration of action.
- At higher doses, it causes arythmias due to which it was withdrawn from the market by manufacturer in 1999.



Mechanism Of Action

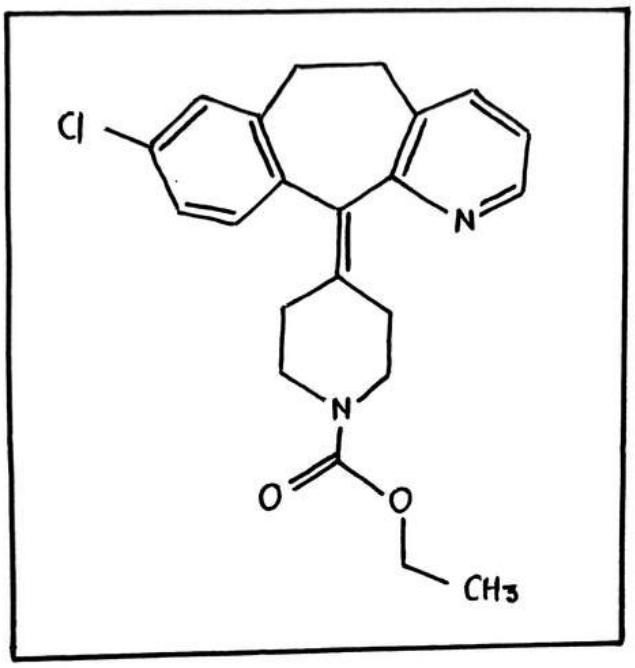
- It acts by competing with Histamine for binding on H_1 receptors sites in the GUT, Uterus, Large Blood Vessels, Bronchial Muscles.
- Since Astemizole does not cross the blood brain barrier easily it binds to the peripheral H_1 receptors.

Uses

It is used for treating allergic symptoms such as Rhinitis & Conjuctivitis.

② LORATIDINE

- Loratidine is an azo isomer of Cyproheptidine.
- It is a second generation H_1 Antagonist & often used for releiving the symptoms of Allergic Rhinitis & Urticaria.



Mechanism Of Action

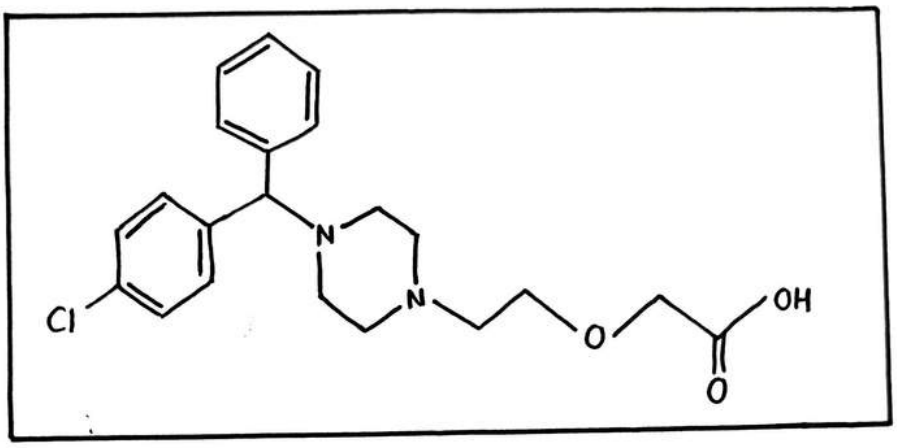
- It is a competitive antagonist of Histamine at peripheral H_1 receptors.
- It inhibits the action of Histamine & temporarily releives nasal congestion & watery eyes caused by Histamine.

Uses

- It is often used in combination with pseudoephedrine for treatment of seasonal allergic rhinitis.

③ CETIRIZINE

- Cetirizine is an orally active second generation H_1 Antagonist.
- It penetrates brain poorly but can cause Mild Sedation.



Mechanism Of Action

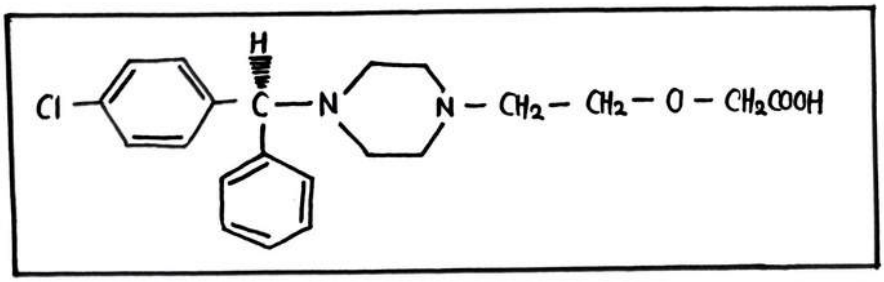
- It mainly acts by selective inhibition of Peripheral H_1 receptors.
- It has long duration of action & rapid onset of activity.

Uses

- It is used for treatment of seasonal allergic rhinitis.
- It often used for treatment of various allergic symptoms like runny nose, itching, skin rashes etc.

④ LEVOCETIRIZINE

- It is levorotatory enantiomer of Cetirizine.
- It is thirty times more active than dextro form
- It is rapidly absorbed after oral administration & poorly metabolized thus shows longer duration of action.



Mechanism Of Action

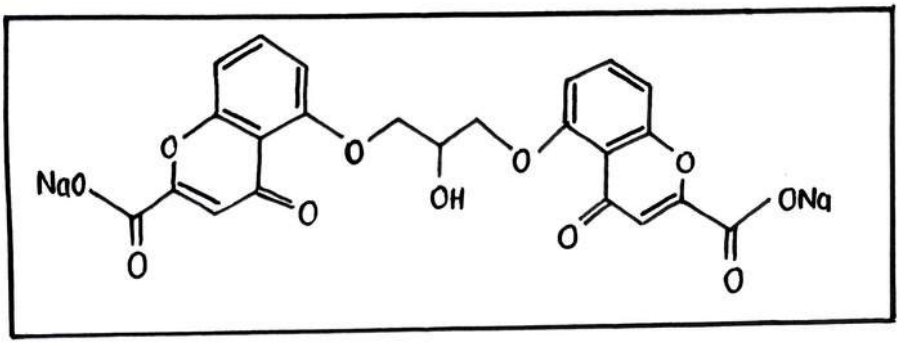
- Levocetirizine is active enantiomer of Cetirizine.
- Its affinity for H_1 receptor is twice than that of cetirizine.
- It selectively binds to H_1 receptor and antagonize the effect of Histamine.

Uses

- It is used for treating symptoms related to seasonal allergies in adults and childrens of 6 years of age and above.
- It is used for treating symptoms like watery eyes, runny nose etc.

⑤ CROMOLYN SODIUM

- Cromolyn Sodium is the sodium salt form of Cromolyn.
- Although we are studying this drug under Antihistamines but actually it is a Mast Cell Stabilizer.
- It has Anti-inflammatory activity.
- It stabilizes the mast cell, hence inhibits the release of Histamine, Leukotrienes and other inflammatory mediators that causes hypersensitivity reactions.



Mechanism Of Action

- Cromolyn Sodium prevents the degranulation of Mast Cells, and thus prevents release of Histamine & other inflammatory substances.
- It acts by inhibiting calcium influx.

Uses

- It is used for treatment of bronchial asthama.
- Its nasal solution is used for allergic rhinitis.

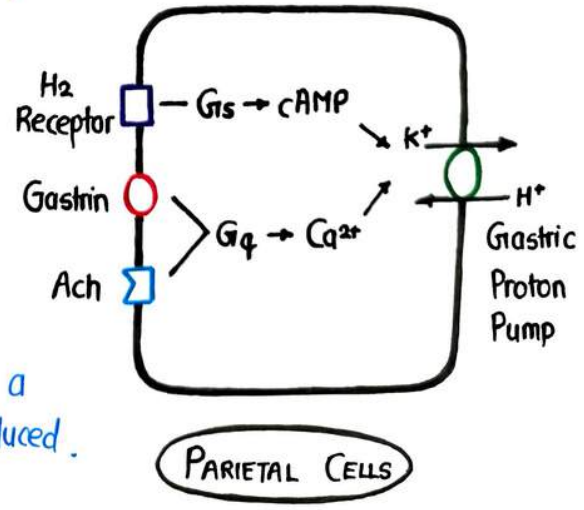
H_2 Antagonist

- H_2 Antagonist, also known as H_2 blockers, are a class of medications that reduce stomach acid production by blocking Histamine H_2 receptors on cells in stomach lining.
- The H_2 Receptors present on parietal cells of stomach.
- H_2 Antagonists help decreases acid production.
- These drugs are commonly used to treat conditions related to excess stomach acid such as GERD disease, Peptic Ulcers, Zollinger - Ellison Syndrome etc.
- In H_2 Antagonists we have to study about

① Cimetidine *
② Famotidine
③ Ranitidine

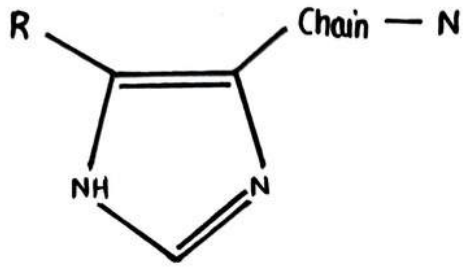
Mechanism Of Action

- The H_2 Antagonist are competitive antagonist of Histamine at H_2 receptor in parietal cells.
- H_2 Antagonist binds with H_2 receptor & block the Histamine from binding on H_2 receptor
- When Histamine is unable to bind to H_2 receptor, parietal cells do not receive the signal to produce & secrete gastric acid, As a result overall acid production is reduced.



SAR OF H_2 ANTAGONIST

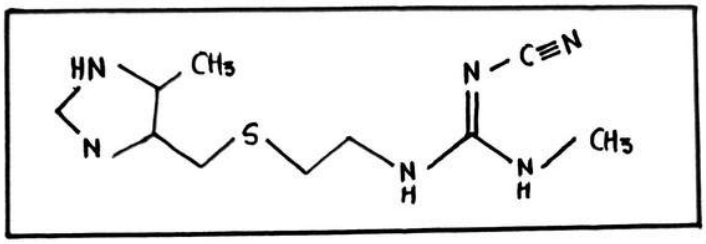
- The H_2 receptor antagonist were the result of international modification of Histamine structure & deliberate search for a chemically related substance that would act as a competitive inhibitor of H_2 Receptor.



- Imidazole ring is not the only required ring for competitive antagonism of Histamine H_2 receptor.
- Other heterocyclic ring (Furan, Thiophene, Thiazole) etc. that inhance the potency and selectivity of H_2 - receptor antagonism can be used
- The ring and terminal nitrogen should be separated by at least 4 carbon atom for optimum antagonist property
- The terminal nitrogen group should be Polar, Non basic for maximum antagonistic activity.

① CIMETIDINE

- Cimetidine was the first agent to be clinically used as an H_2 Antagonist .
- Cimetidine competitively inhibits the binding of Histamine to H_2 Receptors & prevents gastric acid secretion .



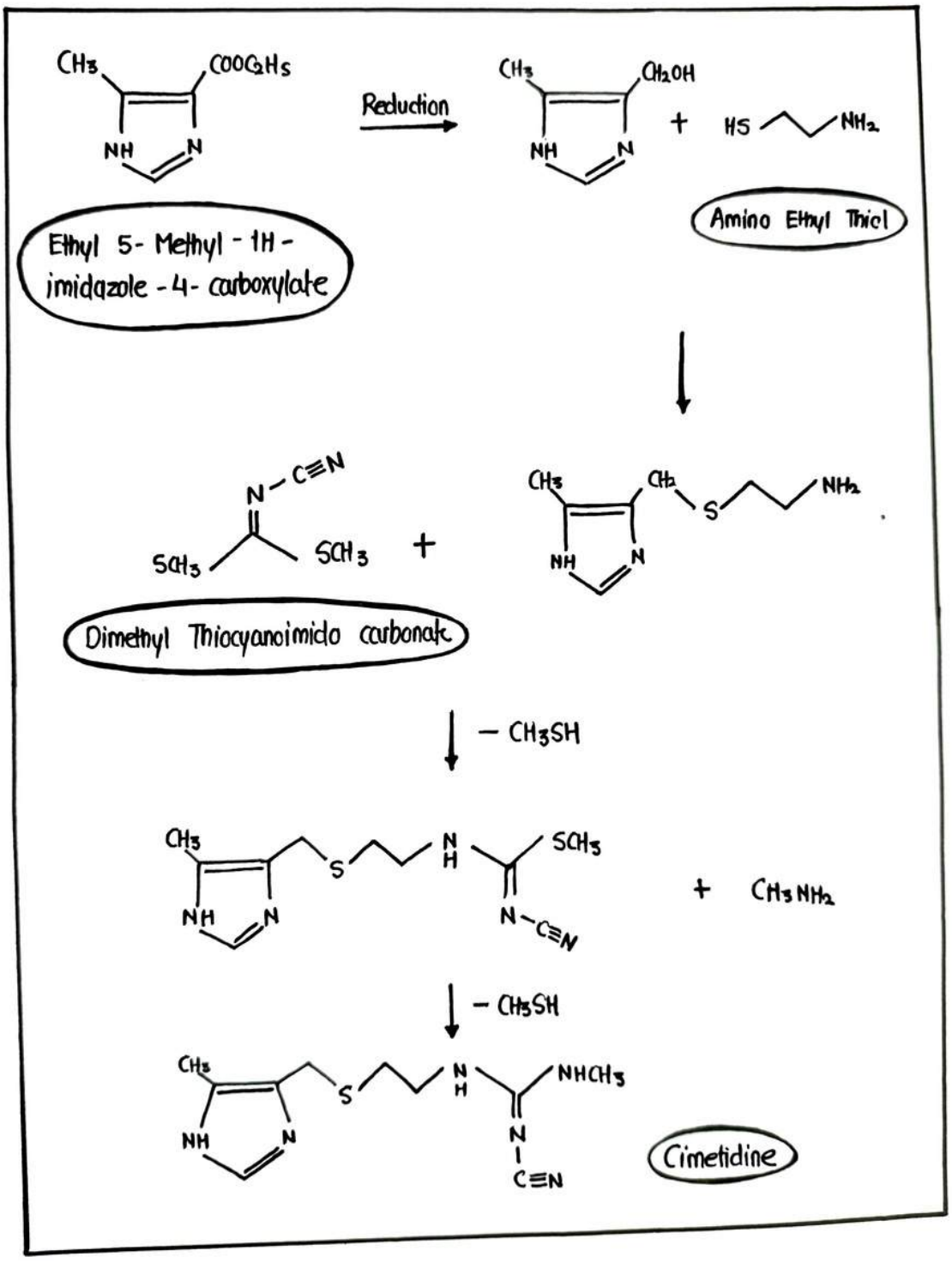
Mechanism Of Action

- Cimetidine blocks the histamine effects by binding on H_2 receptor presents on parietal cells of stomach .
- Due to this competitive inhibition , amount of gastric acid secretion , gastric volume and acidity is reduced .

Properties

- It is a white crystalline powder.
- It is soluble in water & sparingly soluble in ethanol .
- It is stored at a dark place in well closed air tight conditioner.

SYNTHESIS

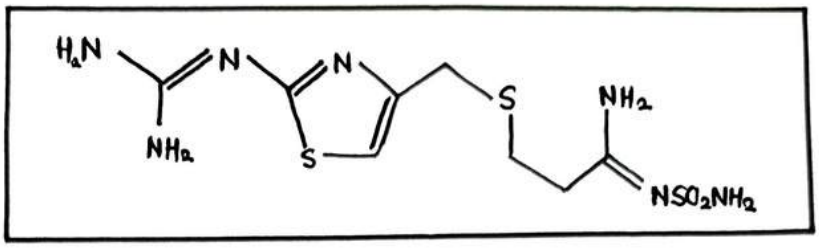


Uses

- It is used to treat various types of ulcers.
- It is used for treating the conditions in which too much acid is secreted by the stomach.
- It is also used for treating acid-reflux disorders like GERD, peptic ulcer disease, Heartburn etc.

② FAMOTIDINE

Famotidine is a competitive H_2 receptor antagonist and its main pharmacological effect is inhibition of gastric secretion.



Mechanism of Action

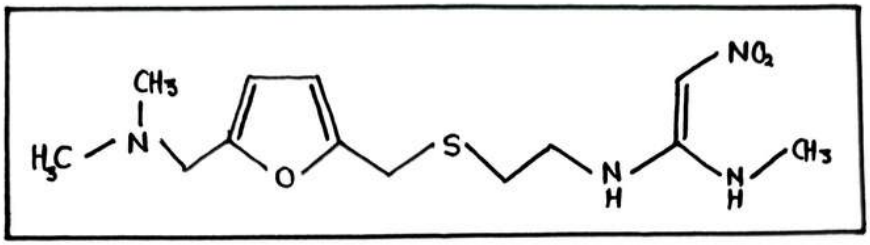
- Famotidine blocks the histamine effects by competitively binding to H_2 receptor found on parietal cells of stomach.
- This competitive inhibition reduces gastric acid secretion, gastric volume, acidity and amount of gastric acid produced in response to stimulus including Food, Caffiene, Insulin etc.

Uses

- It is used for treating stomach & intestinal ulcers.
- It is used in the treatment of Zollinger-Ellison Syndrome.
- It is used to treat GERD.

③ RANITIDINE

- Ranitidine is a non- imidazole H_2 receptor blocker.
- It is used for treating gastrointestinal ulcers.



Mechanism Of Action

Ranitidine reduces normal as well as meal- stimulated acid secretion of acid by parietal cells by two mechanism :

- Histamine is released by ECL cells in stomach is prevented from binding to H_2 receptor on parietal cells that stimulate acid secretion.
- When H_2 receptor are blocked, substances promoting acid secretion (e.g. Gastrin & Acetylcholin.) have a decreased effect on parietal cells.

Uses

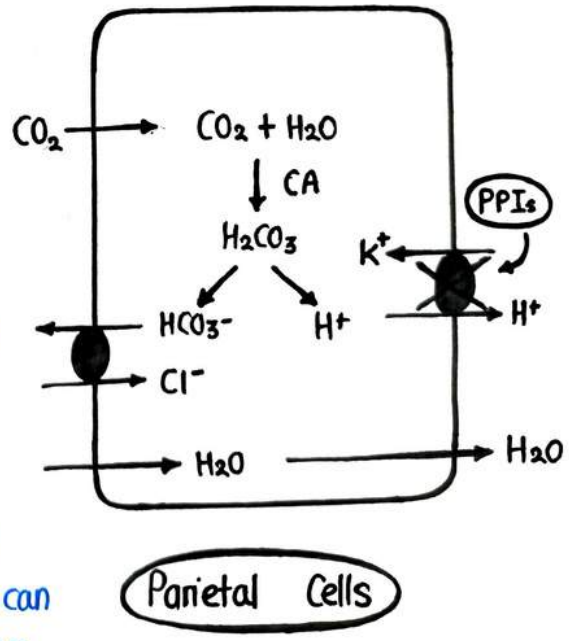
- It is used for treating Ulcers & GERD.
- It is used in various conditions in which stomach produces too much acid.

Gastric Proton Pump Inhibitors

- Gastric Proton Pump Inhibitors are the class of drugs that are used to control the gastric acid & ulcers.
- They work by inhibiting the proton pump in gastric parietal cells which is responsible for secreting Hydrochloric acid (HCl) in the stomach.
- The reduction in acid can help manage conditions such as
  - Gastroesophageal Reflux Disease
  - Peptic Ulcer Disease
  - Zollinger - Ellison Syndrome etc.

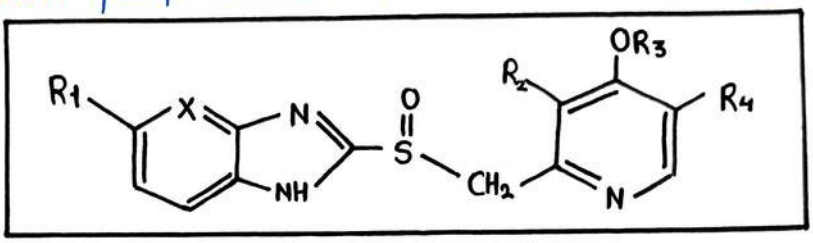
Mechanism Of Action

- Gastric proton pump inhibitors work by specifically targeting & inhibiting the proton pump that is H^+/K^+ ATPase enzyme located in gastric parietal cells of stomach lining.
- By binding to the proton pump, the PPIs effectively block its ability to exchange potassium for Hydrogen ions.
- The inhibition of proton pump is irreversible. New proton pumps needs to be synthesized by parietal cells to restore acid secretion, that can take several days, Hence PPIs have a prolonged duration of action.



CLASSIFICATION

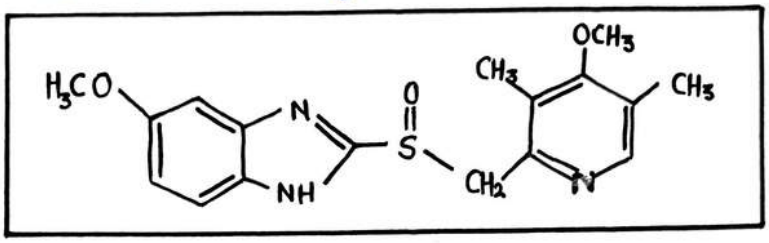
- Proton Pump Inhibitors are prodrugs, means they are initially inactive.
- They are absorbed into the bloodstream & then converted into their active form in the highly acidic environment of stomach.
- The proton pump inhibitors are classified as follows:



DRUGS	X	R_1	R_2	R_3	R_4
Omeprazole	CH	OCH_3	CH_3	CH_3	CH_3
Lansoprazole	CH	H	CH_3	CH_2CF_3	H
Rabeprazole	CH	H	CH_3	$[CH_2]_3OCH_3$	H
Pantoprazole	CH	$OCHF_2$	OCH_3	CH_3	H

① OMEPRAZOLE

- Omeprazole is a medication that belongs to a class of drugs known as Proton Pump Inhibitors (PPIs).
- It is a powerful inhibitor of gastric acid, that totally inhibits HCl secretion, both resting as well as food stimulated.



Mechanism Of Action

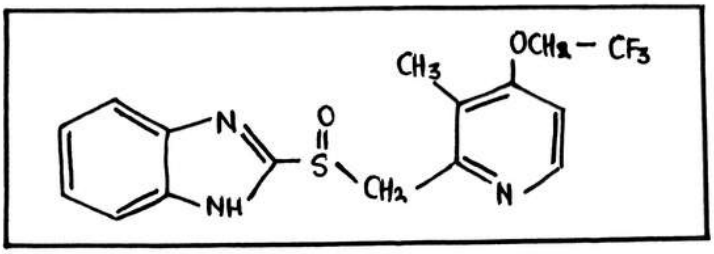
- Omeprazole is a selective and irreversible proton pump inhibitor.
- It suppresses gastric acid secretion by inhibiting H^+/K^+ ATPase in gastric parietal cells.
- By acting specifically on the proton pump it blocks final step in acid production & reduces gastric acidity.

Uses

- For treatment of GERD
- For treatment of Gastric & Duodenal Ulcers.
- To relieve Heartburn
- To promote the healing of Erosive oesophagitis.

② LANSOPRAZOLE

- Lansoprazole is a substituted benzimidazole prodrug having selective and irreversible proton pump inhibitor activity.
- It prevents production of acid in the stomach.



Mechanism Of Action

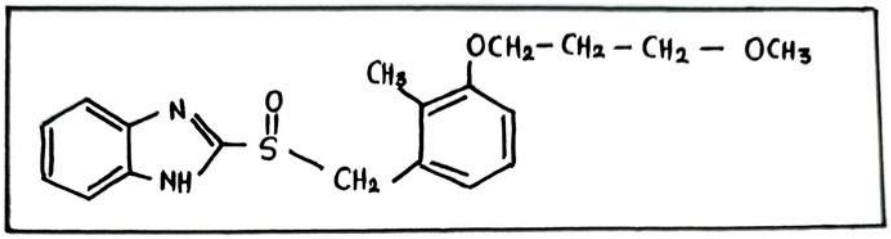
- It suppresses gastric acid secretion by inhibiting H^+/K^+ ATPase enzyme system at the surface of gastric parietal cell.
- It has higher oral bioavailibility, faster onset of action & slightly longer $t_{1/2}$ than omeprazole.

Uses

- It is used for treating acid-reflux disorders (like GERD).
- It is used for treatment of NSAIDs induced gastric ulcers.
- Treatment of Heartburn.

③ RABEPRAZOLE

- Rabeprazole is an antiulcer drug that blocks H^+/K^+ ATPase.
- It is absorbed & metabolized in liver by oxidation.



Mechanism Of Action

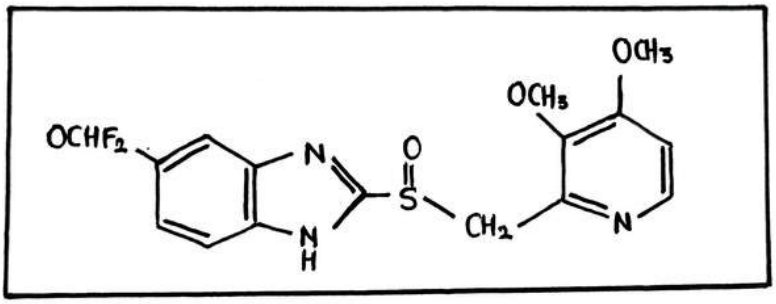
Rabeprazole suppresses gastric acid secretion by inhibiting H^+/K^+ ATPase enzyme system

Uses

- In GERD
- In severe erosive esophagitis
- In zollinger - Ellison syndrome
- In duodenal ulcers

④ PENTOPRAZOLE

- Pentoprazole is a proton pump inhibitor similar to Omeprazole.
- It works by decreasing the amount of acid produced in the stomach & used to treat conditions involving excess stomach acid.



Mechanism Of Action

- Pentoprazole's mechanism of action involves inhibiting the proton pum in the parietal cells.
- This leads to inhibition of gastric acid secretion.

Uses

- Treatment of GERD
- Treatment of Zollinger- Ellison Syndrome.
- Treatment of erosive oesophagitis

ANTINEOPLASTIC AGENTS

- Antineoplastic Agents are the drugs that are used to treat cancer.
- Antineoplastic Agents are also known as Anticancer, Chemotherapy or cytotoxic drugs.
- They work by targeting and killing cancer cells by inhibiting their growth and proliferation.
- They work through different mechanisms depending on their class and type.

CANCER

- Cancer is a very serious disease characterized by uncontrolled growth & spread of abnormal cells in the body.
- These abnormal cells can form Tumour & spread throughout the body via blood & lymphatic system.
- Cancer can start almost anywhere in the human body & often named after organ or type of cell where it starts, such as **Breast Cancer**, **Lung Cancer**.
- Other terms like Neoplasm & Tumour are also used for Cancer, however they have slight different meaning.

TUMOUR

- A Tumour is an abnormal growth of cells that forms a Mass or Lump.
- It can be classified into two types :

① Benign Tumour
② Malignant Tumour

BENIGN TUMOUR	MALIGNANT TUMOUR
• It is a Non-Cancerous Tumour • It generally doesn't spread to other parts of body • It can be easily removed through surgery	• It is a Cancerous Tumour • It spreads to other part of body through Bloodstream or Lymphatic System. • It can not be easily removed.

CLASSIFICATION OF CANCER

On the basis of tissue involved it can be classified into following types :

- Carcinomas
- Sarcomas
- Leukamias
- Lymphomas

① CARCINOMAS

- Cancer that originates in epithelial cells, which line the inner & outer surfaces of body.
- Example : Breast Cancer, Lung Cancer etc.

② SARCOMAS

- These are the cancer that arises from connective tissues.
- Example : Bone, Muscle, Joints etc.

③ LEUKAMIAS

- It is also known as Blood Cancer.
- It begins when healthy blood cell changes & grow uncontrollably.

④ LYMPHOMAS

- Cancer that originates in the Lymphatic System.
- Example : Hodgkin's Lymphoma

CAUSES

Cancer is often caused by changes (mutations) in the DNA within cells, which lead to uncontrolled cell division & growth.
These mutations can be triggered by various factors as follows :

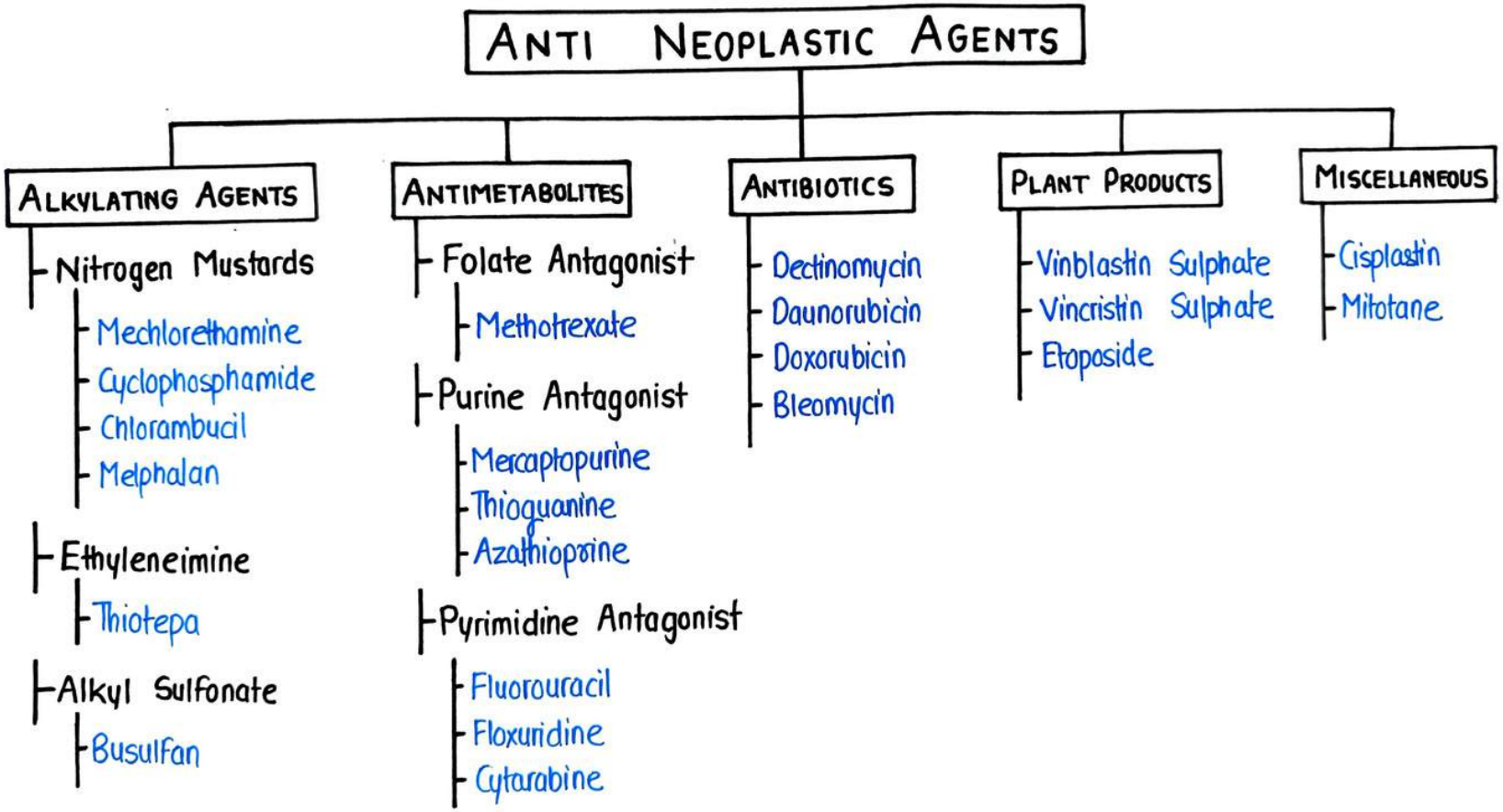
- Genetic Mutations
- Environmental Exposures
- Smoking
- Alcohol
- Virus, Bacteria, Parasites
- Hormonal Imbalances

SIGN & SYMPTOMS

- Fatigue
- Weight Changes
- Skin Changes
- Bowel Changes
- Persistent Cough
- Breathing Trouble
- Fever/ Night Sweats

TREATMENT

- Surgery
- Chemotherapy
- Radiation Therapy etc.



ALKYLATING AGENTS

- Alkylating Agents are a type of Antineoplastic drug used in cancer treatment.
- They are the oldest and most useful Antineoplastic drugs.
- They work by directly damaging the DNA within cancer cells.
- Effectiveness of Alkylating Agents as Anticancer Drugs was confirmed by clinical trials in middle 1940s.
- They are often used in treatment of various types of cancer because they can target rapidly dividing cancer cells.

CLASSIFICATION

Alkylating Agents are classified as follows :

① Nitrogen Mustards
- Mechlorethamine
- Cyclophosphamide
- Chlorambucil
- Melphalan
- Ifosfamide

② Ethyleneimine
- Thiotepa

③ Alkyl Sulfonate
- Busulfan

④ Nitroscureas
- Carmustine
- Lomustine

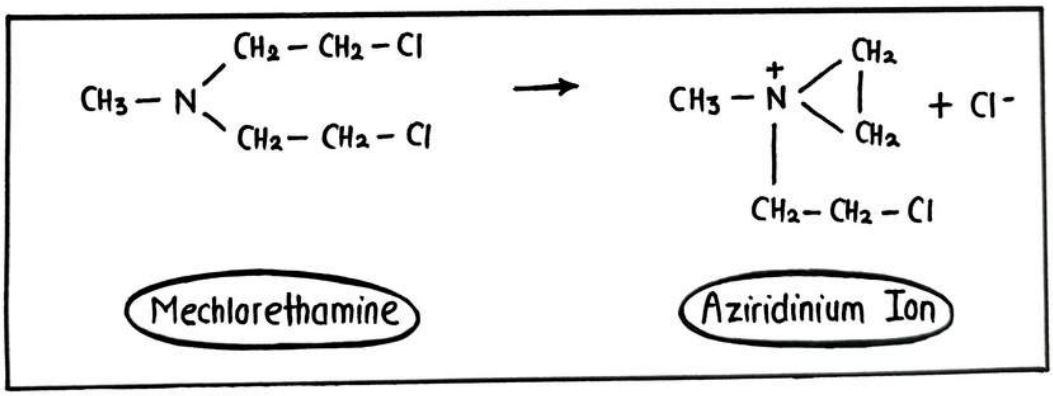
⑤ Triazine
- Dacarbazine

MECHANISM OF ACTION

- Alkylating Agents are a class of chemotherapy drugs that work by adding alkyl groups to DNA, which interferes with DNA replication & transcription.
- Here's a detailed overview of their mechanism of action :

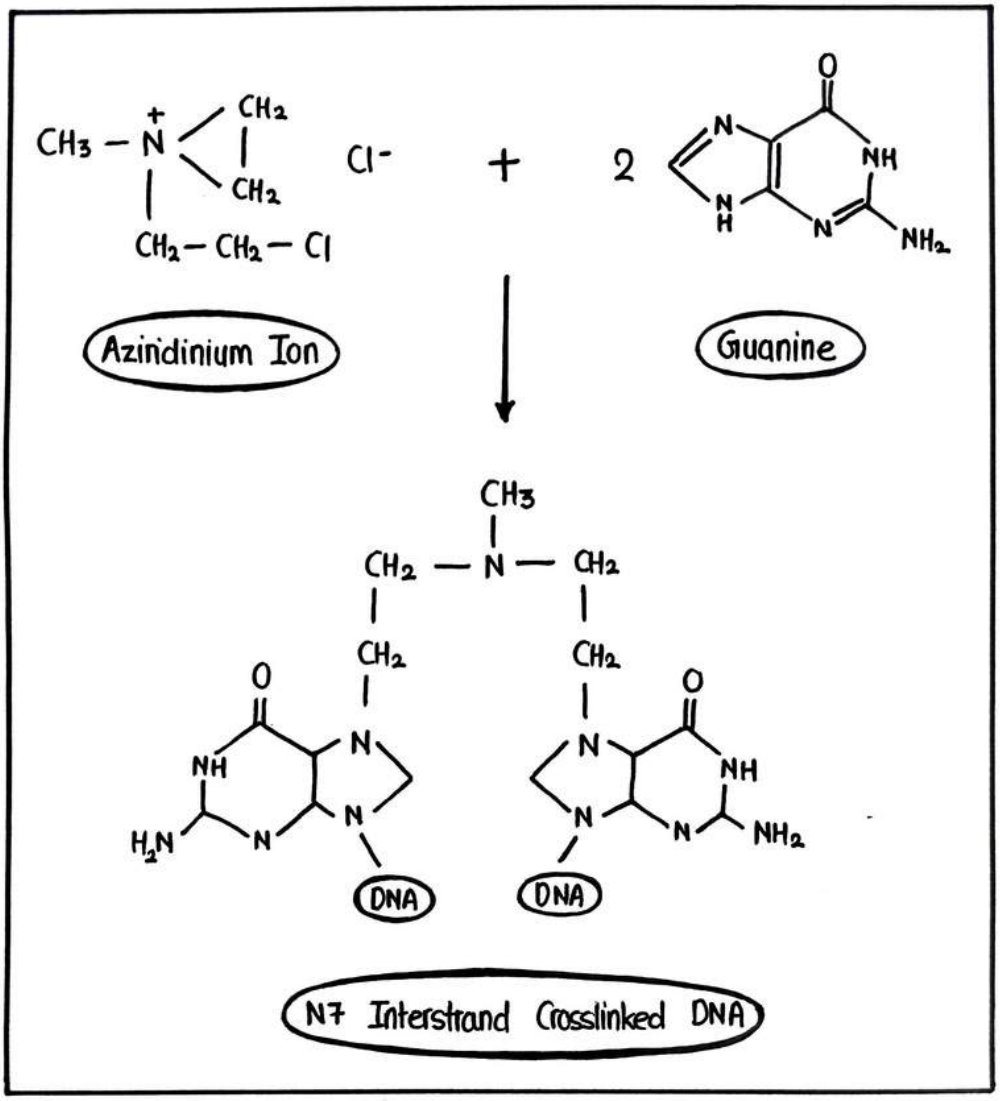
① Alkylation

- Alkylating Agents transfer an alkyl group (typically methyl or ethyl) to the DNA molecule.
- This usually occurs at the N7 position of Guanine bases, leading to the formation of N-7 alkylguanine.
- First these Alkylating Agents (specially Nitrogen Mustards derivatives) undergoes intermolecular cyclization to form Aziridinium Ion.



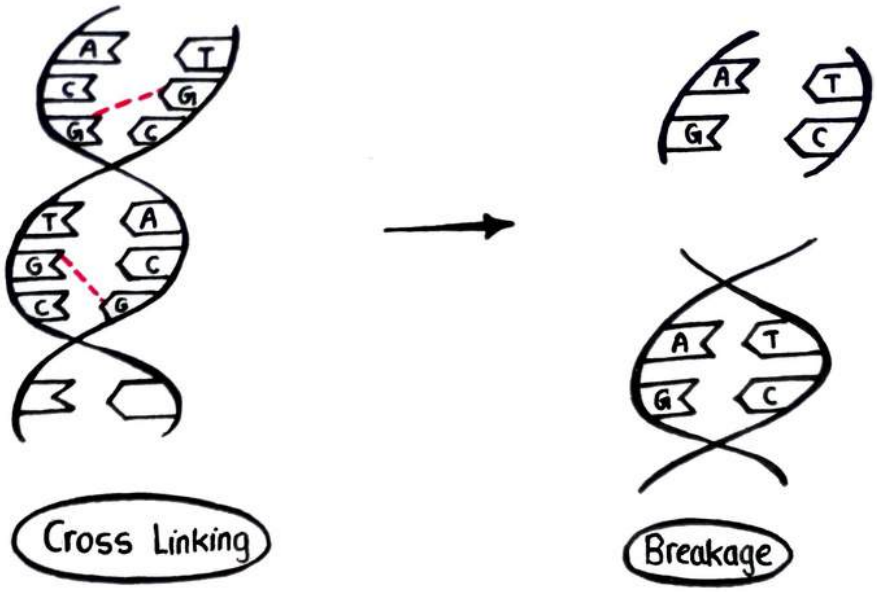
- By this reaction, tertiary amine is converted to an unstable quaternary ammonium compound, which react by forming carbonium ion.

- Now this unstable quaternary ammonium compound alkylates two guanine bases at 7th N atom



② Cross Linking

- The alkyl groups form covalent bond between different DNA strands (interstrand cross linking) or within same strand (intrastrand cross linking).
- This prevents separation of DNA strands necessary for replication & transcription.



③ DNA Damage

- The alkylation of DNA causes structural distortions and breaks in the DNA.
- This breaks leads to errors during DNA replication & eventually leads to cell death.

④ Cell Death

Ultimately, the accumulation of DNA damage & inability to repair it lead to cell death, particularly in rapidly dividing cancer cells

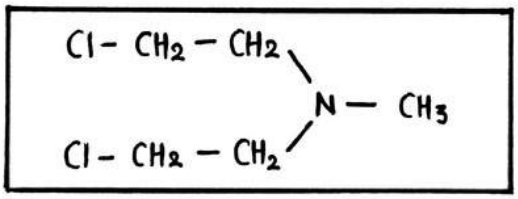
DRUGS IN OUR SYLLABUS

In our syllabus, we have to study about following drugs :

- Mechlorethamine *
- Cyclophosphamide
- Melphalan
- Chlorambucil
- Busulfan
- Thiotepa

① MECHLORETHAMINE

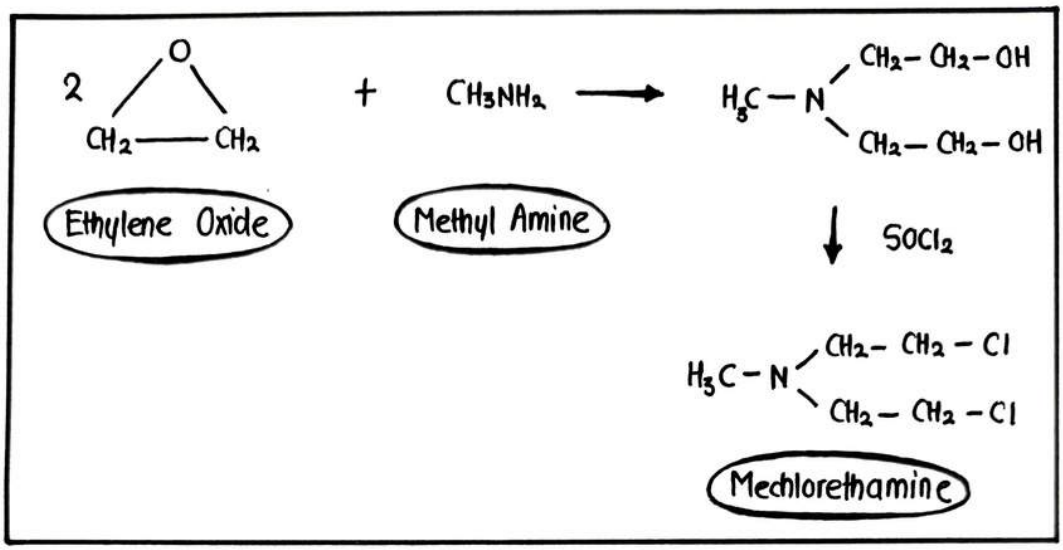
- It is also known as Mustine HCl
- It is first nitrogen mustard and highly reactive in nature
- It is administered by IV route (Intravenously).



Mechanism Of Action

- The drug undergoes rapid chemical transformation & converted to reactive aziridinium ion.
- It damages DNA via cross links formation.
- It prevents DNA synthesis & RNA transcription by attachment of alkyl groups to DNA bases (mostly Guanine at N-7 position).
- The cross links formation leads to cell death.

Synthesis



Properties

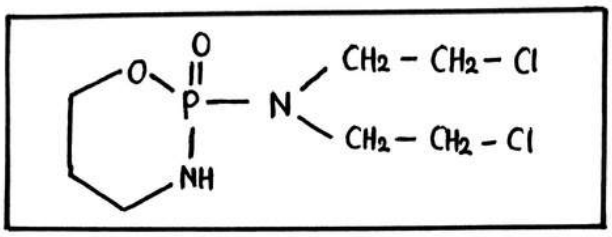
- It is white crystalline hygroscopic powder.
- Soluble in water and alcohol.

Uses

- It is used to treat Hodgkin's Lymphoma.
- Treatment of T-Cell lymphoma.
- Often used to treat certain types of Non-Hodgkin's Lymphoma.
- Also used to treat Lung cancers.

② CYCLOPHOSPHAMIDE

- Cyclophosphamide is a widely used alkylating agent
- It has immunosuppressant property.
- It is well absorbed orally & activated in liver.



Mechanism Of Action

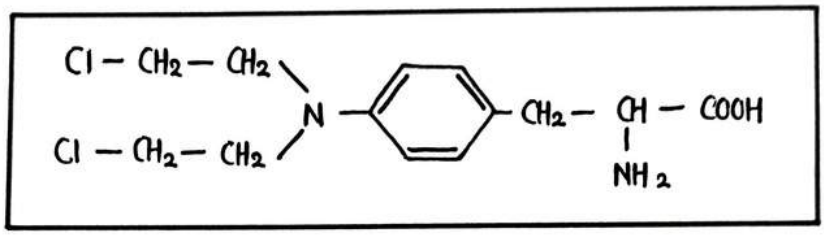
- Cyclophosphamide acts against the cells that are actively dividing and resting before entering the cell cycle.
- The hepatic cytochrome P-450 enzyme system activates cyclophosphamide to make it cytotoxic
- The active metabolite of cyclophosphamide forms DNA cross links. between & within DNA strands at Guanine N-7 positions.
- This is irreversible & leads to cell death.

Uses

- It is used in acute leukemia of children.
- In Hodgkin's disease, Breast Cancer, Ovarian cancer & Lung cancer.

③ MELPHALAN

- Melphalan is an Alkylating nitrogen mustard whose levo isomer, dextro isomer and racemic mixture are used as Antineoplastic Agents.
- It causes bone marrow toxicity but a potential anticancer agent.



Mechanism Of Action

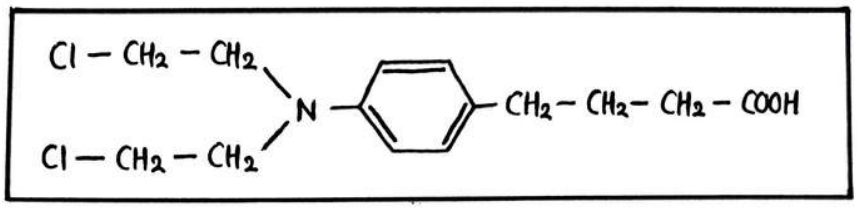
- Melphalan acts by Alkylation causes breaks & cross-linkage in DNA strands leading to cell death.

Uses

- It is used for treatment of Multiple Myeloma
- Used in the treatment of Breast cancer & ovarian cancer

④ CHLORAMBUCIL

- It is a slow acting Alkylating Agent
- It also have some immunosupressant activity.



Mechanism Of Action

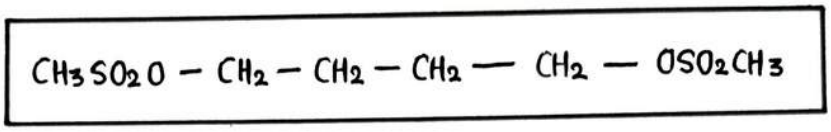
- Chlorambucil acts by alkylating & cross-linking the DNA of cancer cells.
- The cross linking leads to breakage of DNA & ultimately leads to cell death.

Uses

- It is used in the treatment of chronic lymphocytic leukemia. Used in treatment of Hodgkin's & Non-hodgkin's lymphoma.
- It can be used in treatment of ovarian cancer.

⑤ BUSULFAN

- It belongs to the class of Alkyl Sulfonate
- It exerts a selective immunosuppresive effect on bone marrow.



Mechanism Of Action

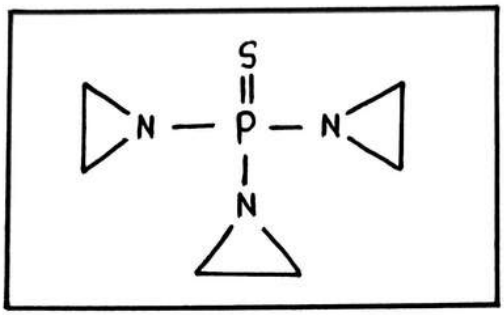
- Busulfan adds alkyl groups to the DNA.
- It preferentially reacts with the N7 position of guanine in DNA, forming covalent bonds.
- These cross-linkages prevents the synthesis & function of DNA.

Uses

- It is used in the treatment of granulocytic leukemia
- It is also a component of pre-transplant conditioning regimen in bone marrow transplantation.

⑥ THIOTEPA

- It belongs to the class of Ethylenimine.
- It produces high toxicity.



Mechanism Of Action

- It works by alkylating & breakage of DNA strands & eventually leads to cell death.

Uses

- It is used for treating Breast, Ovarian & Bladder Cancer.
- It is also used in bone marrow transplantation.

ANTIMETABOLITES

- Antimetabolites are belongs to class of anticancer agents that are structurally similar to the metabolites that are essential for synthesis of DNA such as Purine, Pyrimidine & Folic Acid.
- They act either by inhibiting their synthesis, or by competing with them in DNA & RNA synthesis.
- They interferes with normal cell functioning.
- Antimetabolites commonly kill the cell in S Phase.

CLASSIFICATION

Antimetabolites are classified as follows :

① Folate Antagonist
- Methotrexate *

② Purine Antagonist
- Mercaptopurine *
- Thioguanine
- Azathioprine

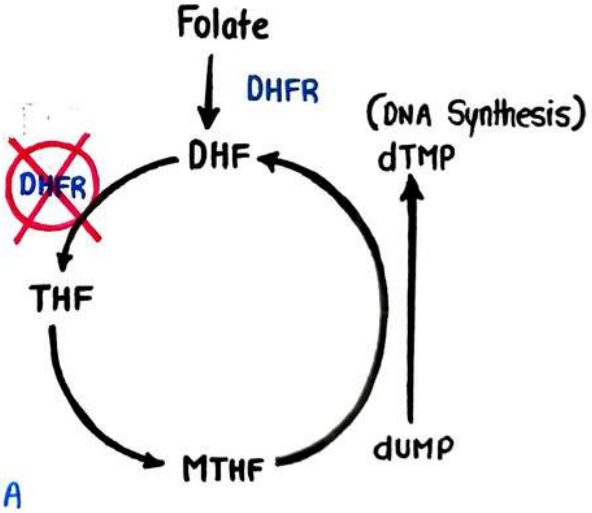
③ Pyrimidine Antagonist
- Fluorouracil
- Floxuridine
- Cytarabine

FOLATE ANTAGONIST

- Folate Antagonist are also referred to Antifolic Acid or Antifolics.
- It is a type of drug that interferes with the metabolism of Folic Acid (Vitamin B9), an essential nutrient required for synthesis of DNA.
- These drugs are particularly effective in treating rapidly dividing cancer cells, but can also affect healthy cells.

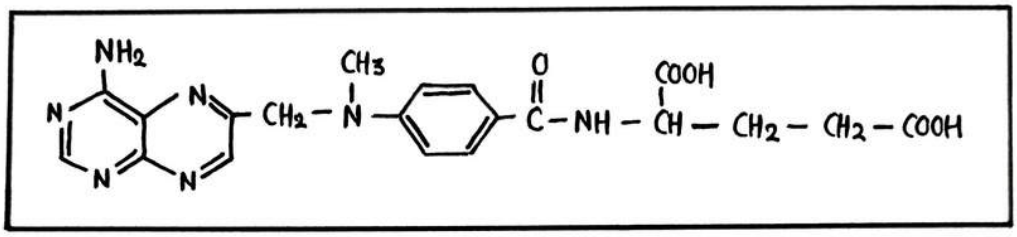
MECHANISM OF ACTION

- Folic Acid is an essential dietary factor.
- Folate Antagonists, such as Methotrexate, specifically inhibit the enzyme Dihydrofolate Reductase (DHFR).
- DHFR is responsible for converting DHF into THF, a reduced form of Folate that is essential for synthesizing Purine & dTMP that are necessary for DNA & RNA production.
- They mostly kill cells in the S Phase



① METHOTREXATE

- Methotrexate is one of the oldest & highly effective Antineoplastic drugs.
- It also has immunosupressant properties.



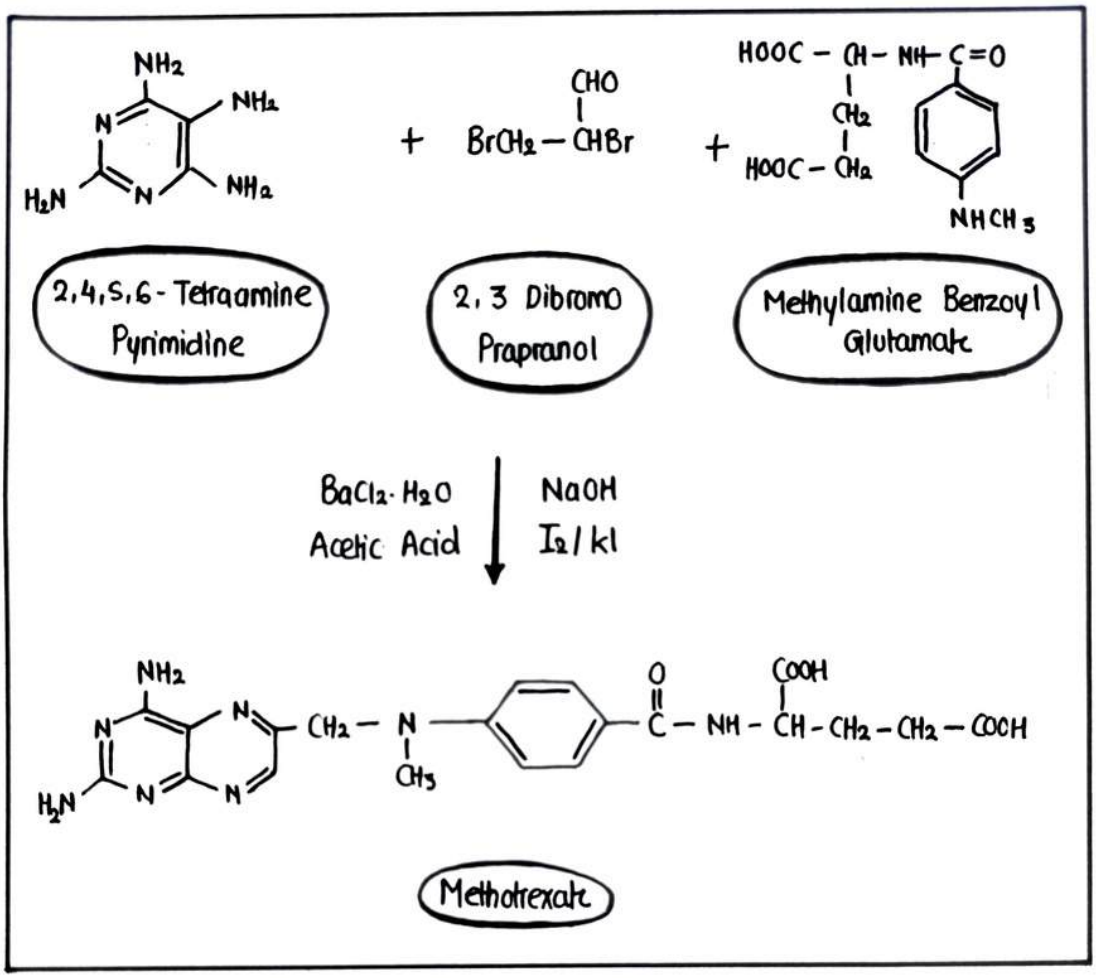
Mechanism Of Action

- Methotrexate act by preventing the synthesis of Folic Acid.
- It binds strongly to Dihydrofolate Reductase (DHFR), an enzyme required for conversion of DHF to THF, which is essential for synthesis of DNA.
- Methotrexate, By blocking DHFR, disrupts the production of DNA, thereby slowing down the growth of rapidly dividing cancer cells.

Properties

- It is yellow / orange crystalline powder
- It is hygroscopic in nature.
- It is soluble in water & slightly soluble in alcohol.

Synthesis



Uses

- It is used in the treatment of lymphocytic leukamia.
- It is used in the treatment of Breast cancer, lung cancer head & neck cancer with combination of other drugs.

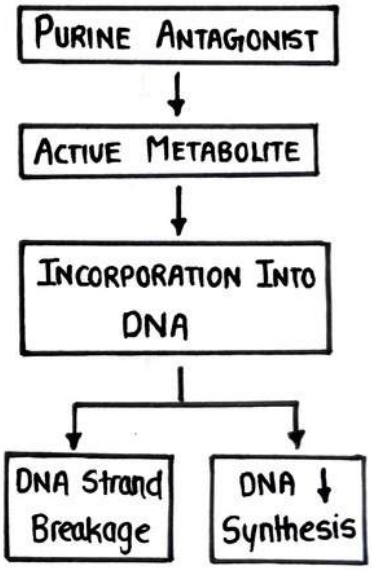
PURINE ANTAGONIST

- A Purine Antagonist belongs to the class of antimetabolites under Anticancer Agents that interferes with the synthesis & function of Purines, which are essential building blocks of DNA & RNA.
- Few drugs belonging to class of Purine Antagonist are as follows:

① Mercaptopurine *
② Thioguanine
③ Azathioprine

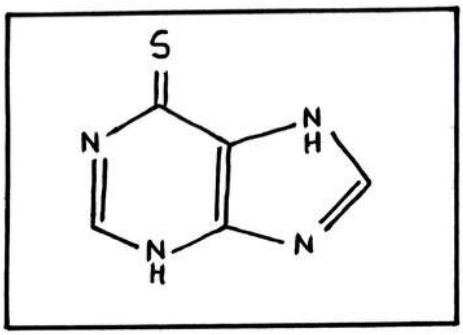
MECHANISM OF ACTION

- Purine Antagonists such as 6-Mercaptopurine and 6-Thioguanine are structurally similar to natural purine bases (Adenine, Guanine)
- Once inside the cell, these drugs are converted into active metabolites, which can be incorporated into growing DNA or RNA strands during S-Phase
- This incorporation results into faulty nucleic acids (DNA & RNA), leading to dysfunctional or incomplete genetic information, which inhibit cell's ability to function properly.
- They also interfere with IMP Dehydrogenase and other enzymes involved in conversion of Inosinic Acid (IMP) to adenine & guanine nucleotides.



② MERCAPTOPURINE

- Mercaptopurine is a purine antagonist antimetabolite under Antineoplastic Agents having immunosuppressant properties.
- It prevents purine metabolism, thus inhibits nucleic acid synthesis



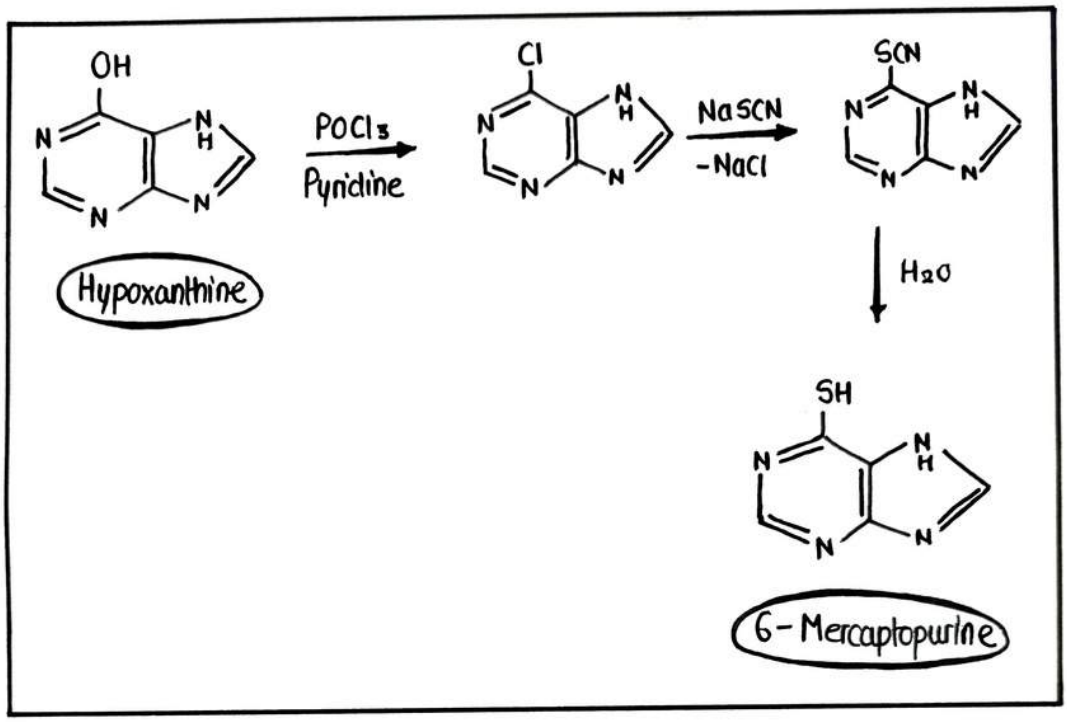
Mechanism Of Action

- Mercaptopurine inhibits the synthesis of Purine Nucleotides.
- Once administered it converted into its active metabolites such as Thioinosine monophosphate (TIMP) and thioguanine nucleotides (TGNs) with the help of Hypoxanthine - Guanine Phosphoribosyltransferase (HGPRT)
- These active metabolites inhibits the conversion of IMP to Adenine & Guanine nucleotides which are essential for DNA & RNA synthesis.
- 6-MP also works by incorporating into DNA & RNA causing further disruption of nucleic acid function & contributing to cytotoxic effects on cancer cells.

Properties

- It is yellowish crystalline powder
- It is insoluble in water & slightly soluble in alcohol.

Synthesis

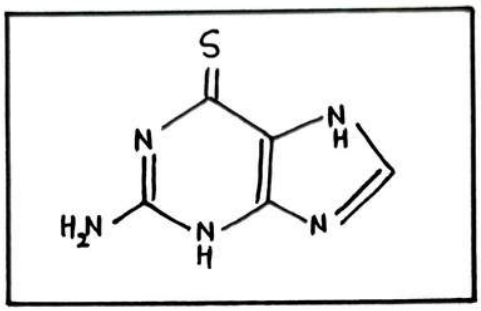


Uses

- It is useful in acute leukaemia.
- It can be used in the treatment of Non-Hodgkin's Lymphoma.
- It is also used in certain types of Autoimmune Disorders.

③ THIOGUANINE

- Thioguanine is a purine analogue under Antimetabolites.
- It is used in the therapy of acute leukaemia.



Mechanism Of Action

- Thioguanine, after administration converted into its active metabolites and interferes with Purine Synthesis.
- It acts by three mechanism

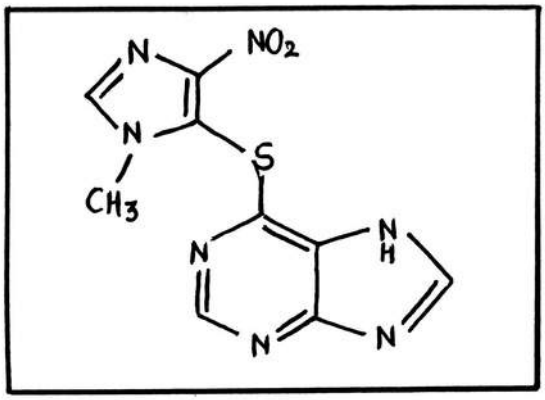
(i) Feedback Inhibition of de novo purine synthesis
(ii) Inhibition of inter conversion of purine nucleotide
(iii) Incorporation into DNA & RNA.

Uses

- It is used in the treatment of acute leukamia, especially in combination with cytarabine.

④ AZATHIOPRINE

- Azathioprine is a purine analogue and prodrug of Mercaptopurine
- It is also used as an immunosuppressive agent in organ transplantation.



Mechanism Of Action

- Azathioprine inhibits the purine synthesis.
- Its metabolites are incorporated into DNA & RNA.
- It prevents the synthesis of DNA, RNA & proteins.

Uses

- The use of azathioprine as an antineoplastic agent is less common compared to its immunosuppressive applications.
- It is used mainly in autoimmune disorders.

PYRIMIDINE ANTAGONIST

- Pyrimidine Antagonist are a class of Antimetabolites drugs under Antineoplastic Agents that interferes with the synthesis of Nucleic Acids by mimicking Pyrimidine Bases, that are essential components of DNA & RNA.
- The drugs under Pyrimidine Antagonist includes :

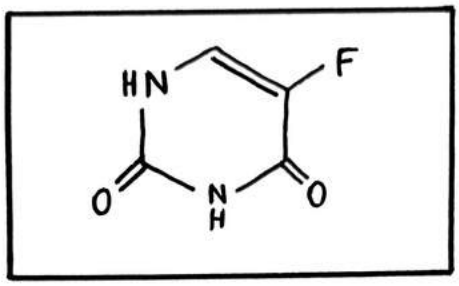
① Fluorouracil
② Cytarabine
③ Floxuridine

MECHANISM OF ACTION

- These drugs inhibit enzymes involved in de novo synthesis of pyrimidines.
- For example : drugs like 5- Fluorouracil inhibit thymidylate synthase, an enzyme crucial for converting uridine into thymidine, a necessary component of DNA.
- Some pyrimidine antagonist can be incorporated into DNA or RNA, disrupting normal nucleic acid function.
- By inhibiting DNA synthesis & repair mechanism, these drugs eventually leads to cell death, especially in rapidly dividing cancer cells.

⑤ FLUOROURACIL

- It is a pyrimidine analogue which is an antineoplastic Antimetabolite



Mechanism Of Action

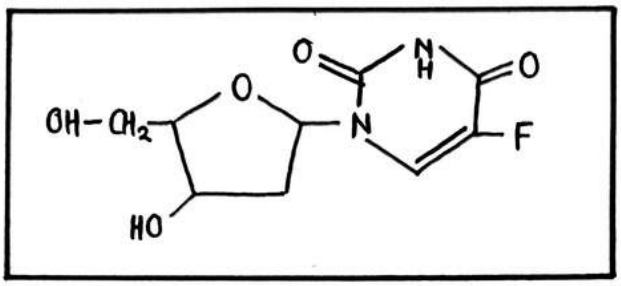
- It inhibits the enzyme Thymidylate synthase that results in inhibition of formation of thymidine from uracil.
- This leads to inhibition of DNA & RNA synthesis & cell death.

Uses

- It is used in the treatment of various types of cancers such as colorectal, breast & skin cancers.

⑥ FLOXURIDINE

- Floxuridine belongs to the class of Pyrimidine Antagonist which on metabolism converted to 5- Fluorouracil.



Mechanism Of Action

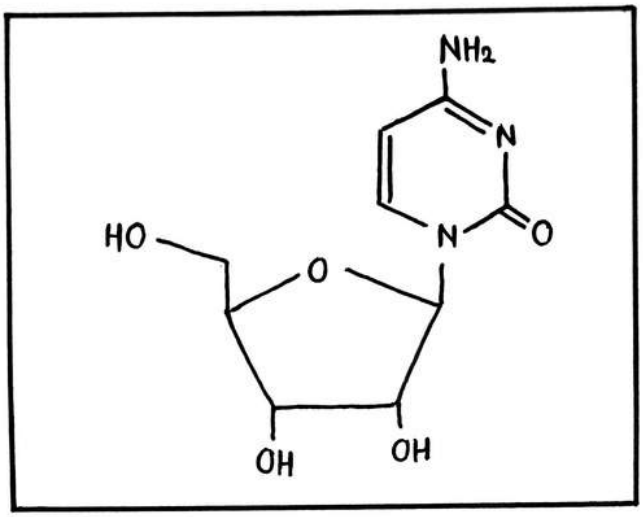
- Floxuridine metabolises into the active 5- Fluorouracil.
- Along with DNA synthesis it also inhibits RNA formation by incorporating into it & producing a false RNA.

Uses

- It is used for management of gastrointestinal adenocarcinoma metastatic to liver.

⑦ CYTARABINE

- Cytarabine belongs to the class of Pyrimidine Antagonist under Antineoplastic Antimetabolite



Mechanism Of Action

- The exact mechanism of action of Cytarabine is not fully understood, however it is believed that it acts through inhibition of DNA Polymerase enzyme by incorporating into DNA

Uses

- It is used for the treatment of acute myeloid leukamia, & various other types of leukamia.

ANTIBIOTICS

- Antibiotics are the drugs that are obtained from Microorganisms.
- They have been recently recognized as an important class of Antineoplastic Agents.
- These are class of drugs known for their ability to inhibit cancer cell growth.
- In our syllabus, we have to study about following drugs :

① Dactinomycin
② Daunorubicin
③ Doxorubicin
④ Bleomycin

MECHANISM OF ACTION

- Antibiotics used as antineoplastic agents works through several mechanism to combat cancer cells.
- Here are following ways :

① Intercalation Into DNA

- Antibiotics such as Doxorubicin & Daunorubicin insert themselves between DNA base pairs, which interferes with normal function of DNA.
- This intercalation disrupts DNA replication & transcription, leading to cell cycle arres and apoptosis (programmed cell death).

② Inhibition Of Topoisomerases

- In addition to intercalation, it inhibits topoisomerase II, an enzyme crucial for DNA replication & repair.
- This inhibition causes DNA strand breakage and impairs the repair process, leading to cell death.

③ Formation Of Free Radicals

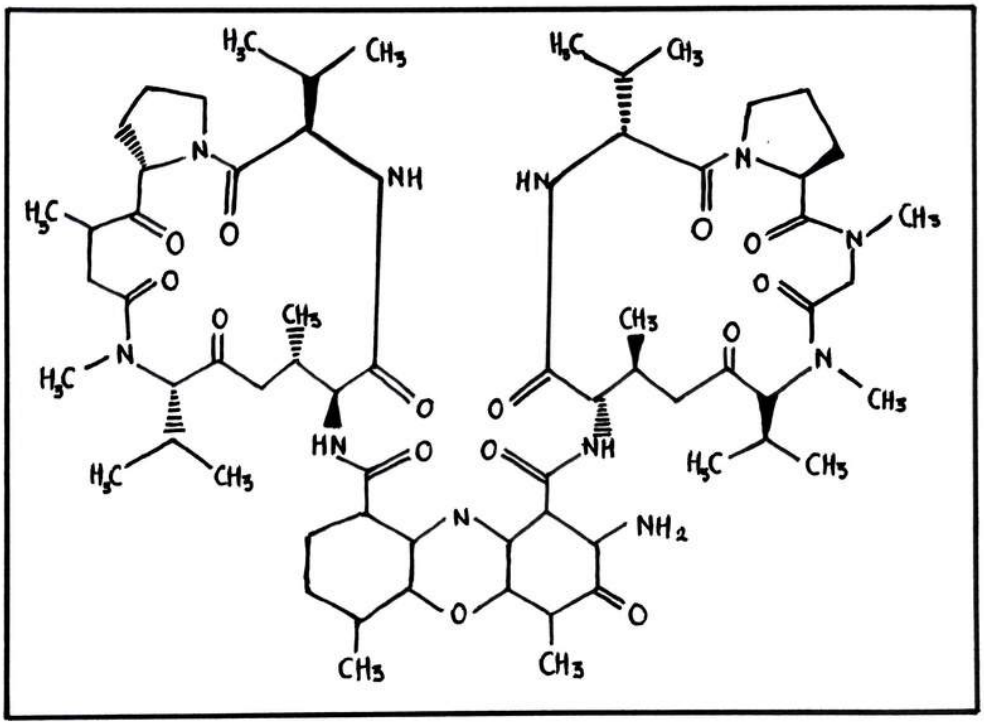
- Antibiotics such as Bleomycin generates Free Radicals, which cause oxidative damage to DNA, leading to single & double strand breakage.
- This damage inhibits DNA replication and transcription, resulting in cell death.

④ Cross Linking of DNA

- Some Antibiotics creates cross-links between DNA strands, which prevents DNA from separating properly for replication & transcription.
- This leads to apoptosis and inhibits tumour growth.

① DACTINOMYCIN

- Dactinomycin, also known as actinomycin D, is an anticancer antibiotic used in treatment of various cancers.
- Dactinomycin having L-threonine, D-valine, L-proline, N-Methyl glycine and L-N methyl valine amino acids.



Mechanism Of Action

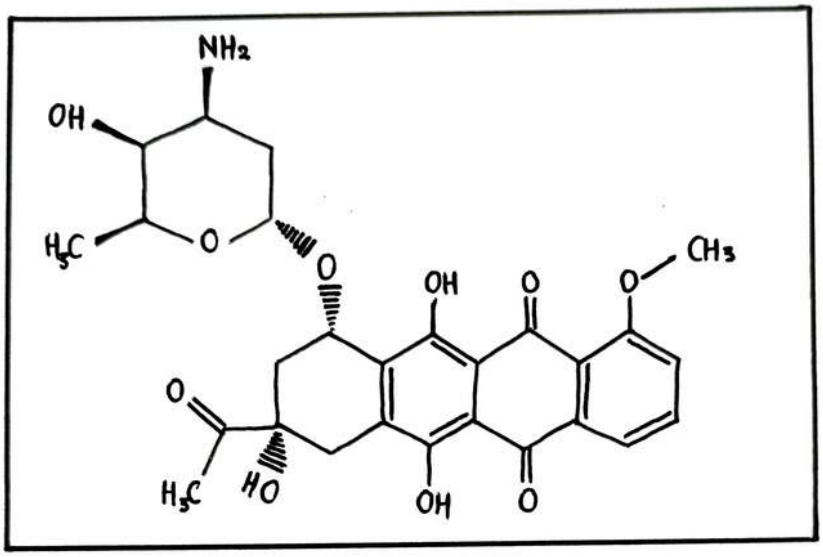
- Dactinomycin is an antineoplastic antibiotic that works by binding to DNA and interfering with its transcription process.
- It intercalates b/w Guanine & Cytosine base pairs in DNA.
- It also inhibits RNA polymerase enzyme required for synthesis of RNA

Uses

- It is used in treatment of various types of cancers.

② DAUNORUBICIN

- Daunorubicin is a toxic anthracycline aminoglycoside antineoplastic agent obtained from Streptomyces Peucetius.



Mechanism Of Action

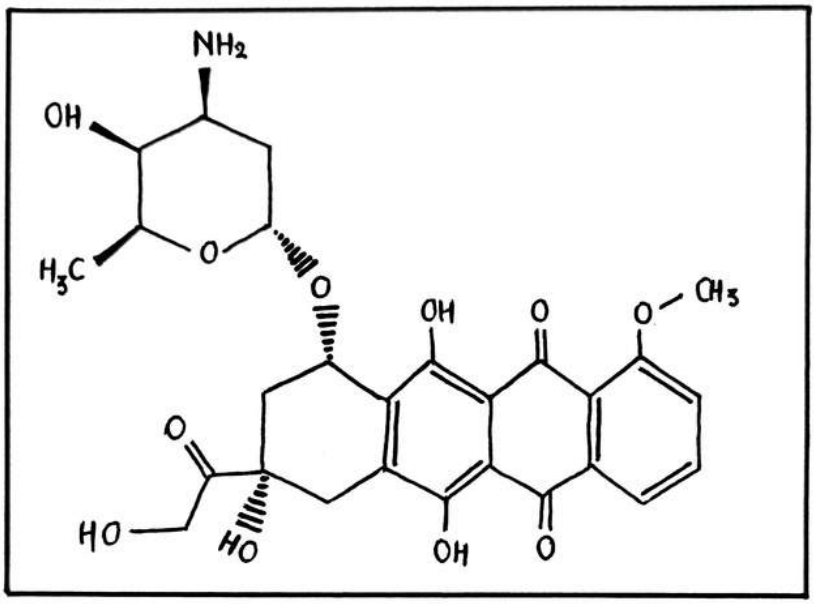
- It damages DNA by intercalating between Base Pairs resulting in uncoiling of the helix, inhibiting DNA & RNA synthesis.
- Daunorubicin may also act by inhibiting Polymerase Activity, affecting regulation of gene expression and generating free radicals.

Uses

- These drugs are used for the treatment of acute myclocytic leukaemia, & acute lymphoblastic leukaemia.

③ DOXORUBICIN

- It is an anthracycline antibiotic with antineoplastic activity & isolated from Streptomyces Peucetius.



Mechanism Of Action

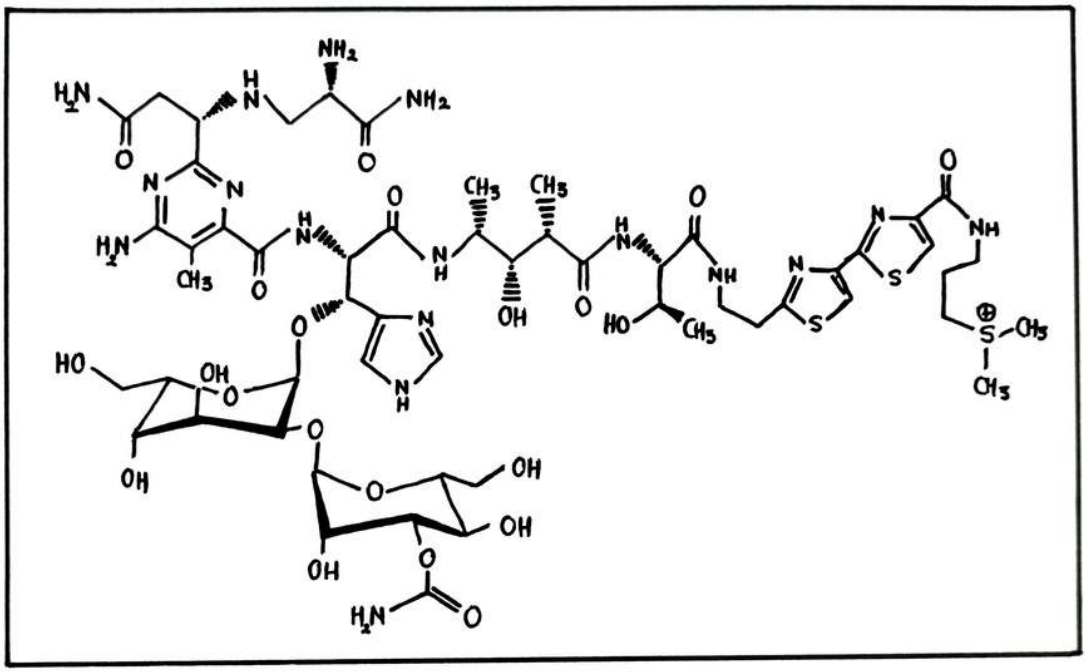
- Doxorubicin act by forming complexes with DNA through intercalation between base pairs.
- It also inhibits the active of Topoisomerase -II.

Uses

- Doxorubicin used in combination with other medications to treat certain types of bladder, breast, lungs, stomach & ovarian cancer.
- It also used in treatment of Hodgkin's & Non-Hodgkin's lymphoma.

④ BLEOMYCIN

- Bleomycin is a complex of related glycopeptide antibiotic obtained from Streptomyces Verticillus & consist of Bleomycin A2 & B2.



Mechanism Of Action

- The exact mechanism of action of Bleomycin is not known, but available evidences indicates that it inhibits DNA synthesis & also RNA & protein synthesis.

Uses

- Hodgkin's Lymphoma
- Non- Hodgkin's Lymphoma
- Testicular, Ovarian Cancer

PLANT PRODUCTS

- Plant Products as Antineoplastic Agents refers to compound derived from plants that have the ability to inhibit or prevent the growth of cancer cells
- These compounds known as phytochemicals, can act in various ways to combat cancer such as disrupting cell division, including apoptosis or interfering with blood supply to tumors.
- Plant derived drugs have fewer or no side effect.

In our syllabus, we have to study about :

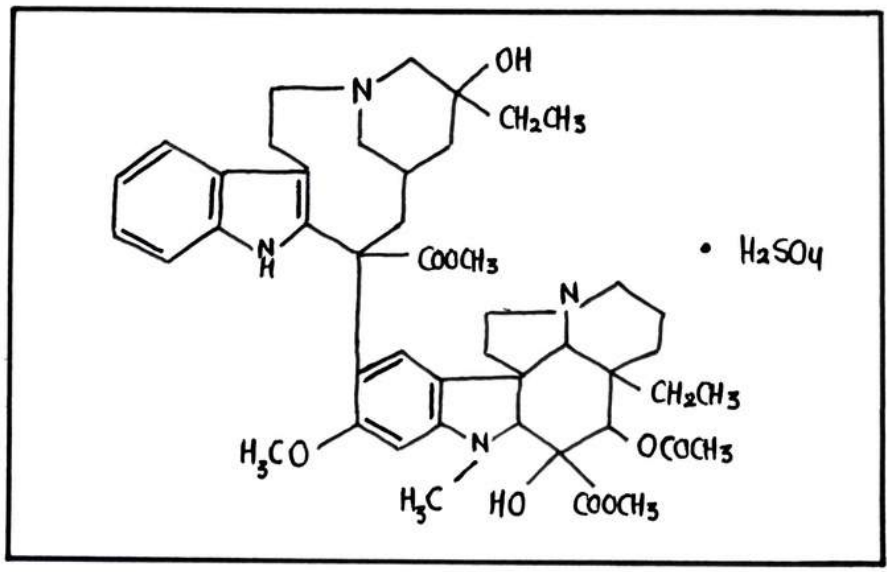
① Vinblastin Sulphate
② Vincristin Sulphate
③ Etoposide

Mechanism Of Action

- Plant products as antineoplastic agents work through various mechanism to target and kill cancer cells.
- Plant products such as Vinblastin & Vincristine inhibit the polymerization of tubulin into microtubules, disrupting spindle formation & blocking cell division.
- Drugs such as Etoposide inhibits topoisomerase II, an enzyme crucial for DNA strands breakage and cell death.

① VINBLASTIN SULPHATE

- Vinblastin sulphate is the sulphate salt of Vinblastine, a natural alkaloid isolated from the plant Cathrantus Roseus with antineoplastic properties.



Mechanism Of Action

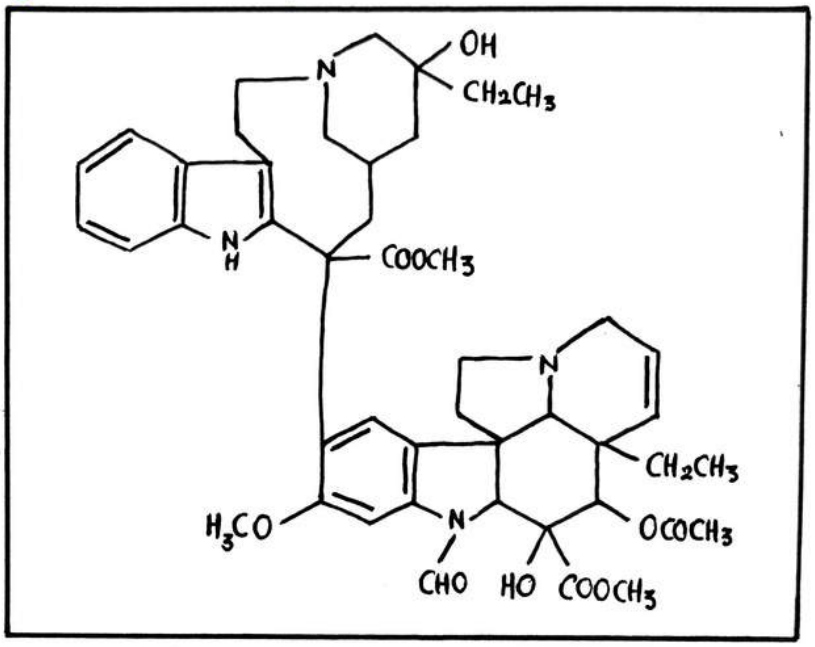
- Vinblastine binds to tubulin & inhibits microtubule formation, resulting in disruption of mitotic spindle.
- The chromosomes fails to move apart during mitosis & lead to metaphase arrest.

Uses

- Hodgkin's Lymphoma
- Non-Hodgkin's lymphoma
- Lymphocytic Lymphoma

② VINCRISTINE SULPHATE

Vincristine was first isolated in 1961
It is given intravenously.



Mechanism Of Action

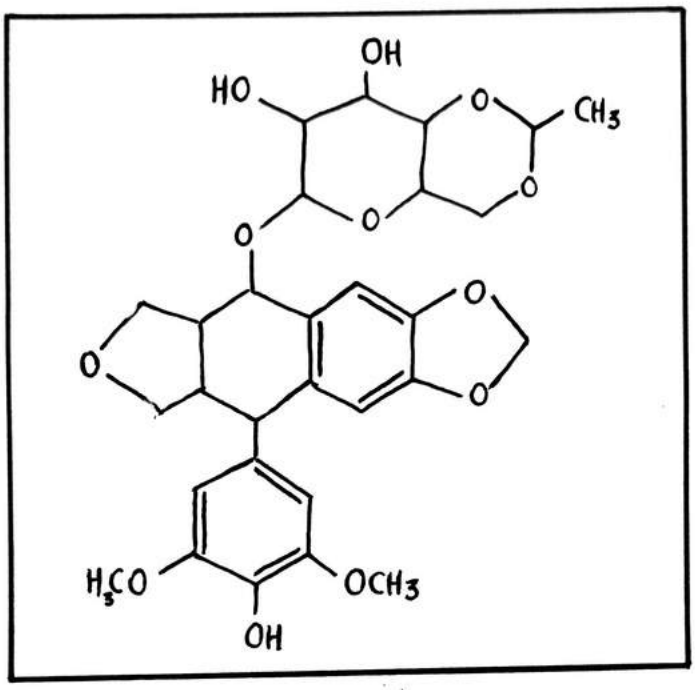
- It binds to tubulin protein, prevents polymerization and assembly of microtubules, and causes mitotic spindle destruction
- The chromosomes fails to move apart during mitosis & lead to metaphase arrest.

Uses

- Acute Myeloid Leukaemia
- Hodgkin's Disease
- Lung Cancer etc.

③ ETOPOSIDE

Etoposide is a semisynthetic derivative of podophyllotoxin. It possess potent antineoplastic property.



Mechanism Of Action

- It forms complex with topoisomerase II enzyme and causes breakage of DNA strand.

Uses

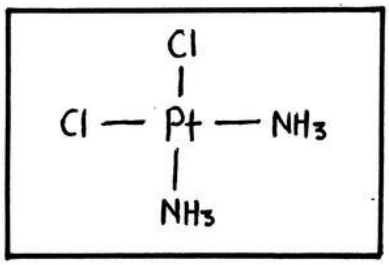
- Testicular Cancer
- Lung Cancer
- Bladder Cancer
- Hodgkin's Lymphoma etc.

MISCELLANEOUS

- Miscellaneous antineoplastic agents refer to a group of cancer drugs that do not fit completely into the typical categories of chemotherapy due to their unique MOA.
- Some of them are as follows :

① CISPLASTIN

- Cisplastin is a platinum based chemotherapy drug known for its antineoplastic activity



Mechanism Of Action

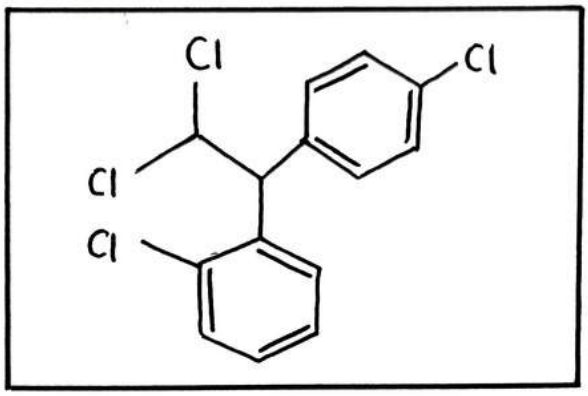
- Cisplastin works by damaging the DNA of cancer cells, by DNA crosslinking, leading to cell death.

Uses

- Testicular Tumour
- Ovarian Tumour
- Bladder Cancer

② MITOTANE

- Mitotane is the derivative of dichlorodiphenyldichloroethane having anticancer properties.



Mechanism of Action

- The exact MOA of Mitotane is not known, but according to present data it affects the Adrenal Cortex by inhibiting steroid production, leading to destruction of adrenal cancer cells.

Uses

- Cancer of Adrenal Gland (Adrenocortical Carcinoma)

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