.

Name of Unit	Antihistaminic Agents, Gastric Proton Pump Inhibitors & Anti-Neoplastic Agents
Subject /Course	Medicinal Chemistry-II
Subject/Course ID	BP 501T
Class: B.Pharm. Semester	5th
Course coordinator	Ms. Noel
Mobile No.	6280907651
Email id	noelchem00@gmail.com

Learning Outcome of Module 01

LO	Learning Outcome	Course Outcome Code
LO1	To understand the classification, uses & mechanism of action of antihistaminic agents	BP501.1
LO2	To understand the chemical synthesis of selected drugs.	BP501.2
LO3	To understand the Structural Activity Relationship of different class of drugs.	BP501.4

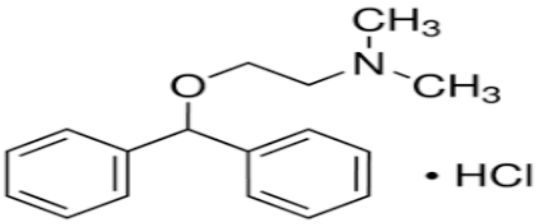
Content Table

Topic
Antihistaminic Agents • Histamine, receptors and their distribution in the human body. • H_1–Antagonists: Diphenhydramine hydrochloride*, Dimenhydrinate, Doxylamines succinate, Clemastine fumarate, Diphenylphyraline hydrochloride, Tripelenamine hydrochloride, Chlorcyclizine hydrochloride, Meclizine hydrochloride, Buclizine hydrochloride, Chlorpheniramine maleate, Triprolidine hydrochloride*, Phenidamine tartarate, Promethazine hydrochloride*, Trimeprazine tartrate, Cyproheptadine hydrochloride, Azatidine maleate, Astemizole, Loratadine, Cetirizine, Levocetrazine Cromolyn sodium. • H_2-Antagonists: Cimetidine*, Famotidine, Ranitidin. **Gastric Proton Pump Inhibitors** • Omeprazole, Lansoprazole, Rabeprazole, Pantoprazole. **Anti-Neoplastic Agents** • Alkylating Agents: Meclorethamine*, Cyclophosphamide, Melphalan, Chlorambucil, Busulfan, Thiotepa. • Antimetabolites: Mercaptopurine*, Thioguanine, Fluorouracil, Floxuridine, Cytarabine, Methotrexate*, Azathioprine. • Antibiotics: Dactinomycin, Daunorubicin, Doxorubicin, Bleomycin. • Plant products: Etoposide, Vinblastin sulphate, Vincristin sulphate • Miscellaneous: Cisplatin, Mitotane.

H_1-Antagonists

Until the discovery of H 1-receptors, no other histamine receptors had been identified. The H1-antagonists, termed as **antihistamines** cause a competitive inhibition of only H1-receptors (they do not block any other histamine receptors). Adrenaline is a physiological antagonist of histamine. It acts via adrenergic receptors and reverses the bronchodilator and vasoconstriction effects of histamine. Cromolyn sodium and corticosteroids block histamine release from mast cells. The H1-receptor antagonists are employed in the treatment of allergic disorders. The action of histamines on H 1-receptors is blocked by antihistamines (H 1- blockers) categorized into first and second generations. The **first generation** antihistamines bind to the central and peripheral H 1-receptors, while the **second generation** antihistamines bind to the peripheral H1-receptors. Though the sedative effects of second generation antihistamines are lesser as compared to the first generation antihistamines, still they are beneficial for the treatment of allergies.

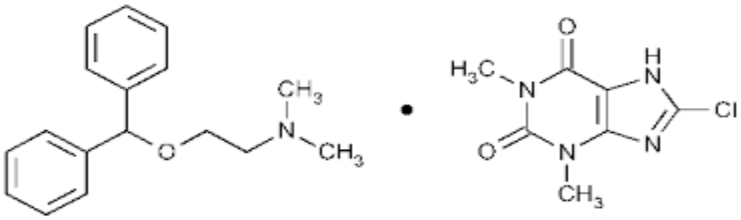
1. Diphenhydramine hydrochloride



MOA:- Diphenhydramine acts **as an inverse agonist at the H1 receptor**, thereby reversing the effects of histamine on capillaries, reducing allergic reaction symptoms. The H1 receptor is similar to muscarinic receptors.

USES:- Diphenhydramine is used to relieve red, irritated, itchy, watery eyes; **sneezing**; and runny nose caused by hay fever, allergies, or the common cold. Diphenhydramine is also used to relieve cough caused by minor throat or airway irritation.

2. Dimenhydrinate



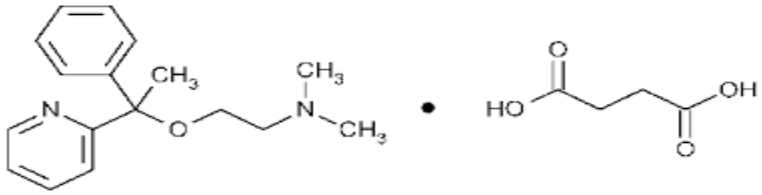
MOA:- Dimenhydrinate **competitively blocks H1 receptors**, thereby preventing the actions of histamine on bronchial smooth muscle, capillaries and gastrointestinal (GI) smooth muscle. This

prevents histamine-induced bronchoconstriction, vasodilation, increased capillary permeability, GI smooth muscle spasm.

USES:-

Dimenhydrinate is used to **prevent and treat nausea, vomiting, and dizziness caused by motion sickness**. Dimenhydrinate is in a class of medications called antihistamines. It works by preventing problems with body balance.

3. Doxylamine Succinate

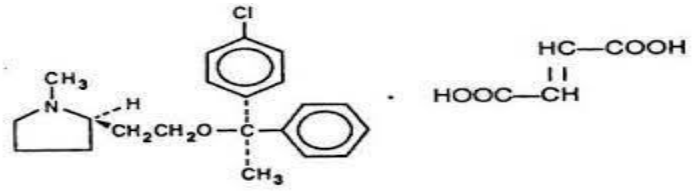


MOA:- As a member of the first-generation class of antihistamines, doxylamine exerts **its effects by competitively antagonizing the binding of free histamine at the H1-receptor binding sites**. It antagonizes the effects of histamine in the uterus, GI tract, large blood vessels, and bronchial muscles.

USES:-

Doxylamine is an antihistamine, used to **relieve symptoms of allergy, hay fever, and the common cold**. This medication works by blocking certain natural substances (histamine, acetylcholine) that your body makes. This effect helps to relieve allergy/cold symptoms such as watery eyes, runny nose, and sneezing.

4. Clemastine fumarate

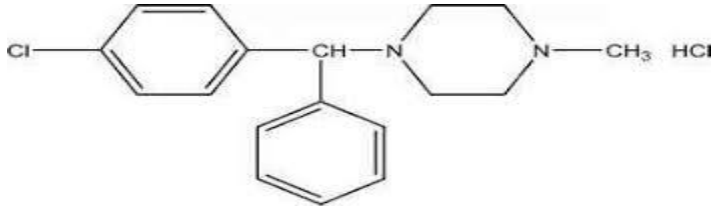


MOA:- Clemastine is a selective histamine H1 antagonist and binds to the histamine H1 receptor. This block the action of **endogenous histamine**, which subsequently leads to temporary relief of the negative symptoms brought on by histamine. Rapidly absorbed from the gastrointestinal tract.

USES:-

Clemastine is an antihistamine used **to relieve symptoms of allergy, hay fever, and the common cold**. These symptoms include rash, watery eyes, itchy eyes/nose/throat/skin, cough, runny nose, and sneezing.

5. Chlorcyclizine Hydrochloride

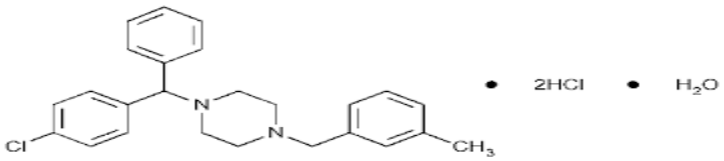


MOA:- It act as H1 receptor antagonist.

Uses:- Like other antihistamines it is used for pruritie skin disorders, rhinitis, urticaria and other allergy

symptoms. It has also some local anesthetic, anticholinergic and antiserotonergic properties and can be used as an antiemetic.

6. Meclizine hydrochloride

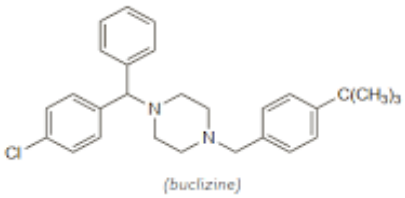


MOA:- Meclizine is an antagonist of H1 receptors. It also act as dopamine antagonist and anticholinergic.

Uses:-

- It is used for its long lasting antiemetic effect.
- It is primarily used for treatment of nausea and motion sickness or vertigo.
- It is also used in pruritic skin disorders.

7. Buclizine hydrochloride

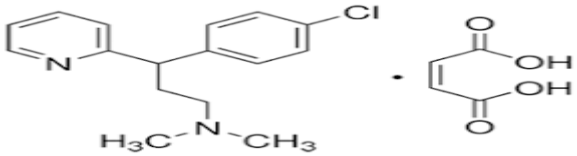


MOA:- Buclizine acts to block the histamine receptors in the vomiting centre. It also black muscarinic receptors.

Uses:-

- This drug is highly lipid soluble and cross blood brain barrier. So it is used as CNS depressant.
- It is also used as antihistamine, anti-cholinergic, antivertigo and local anaesthetic.

8. Chlorpheniramine maleate

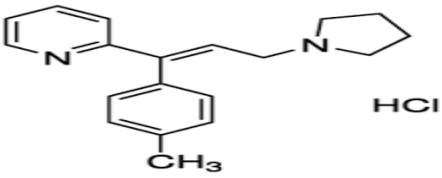


MOA:- Chlorpheniramine is a typical H1 receptor antagonist and lead temporary relief of negative symptoms brought on by histamine

USES:-

- This drug is generally combined with phenylpropolamine for the treatment of allergy and decongestion.
- Along with hydrocodone (Narcotic) Chlorpheniramine is used for the treatment of cough and upper respiratory tract allergic conditions.

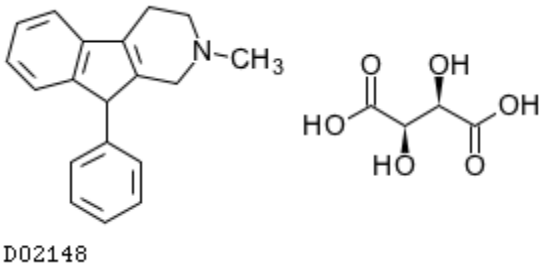
9. Triprolidine hydrochloride



MOA:- It act as H1 receptor antagonist and block the action of histamine.

USES:- Triprolidine is used to control the symptoms associated with allergies and sometimes combined with other cold drugs to provide general relief for flu like symptoms.

10. Phenidamine tartarate

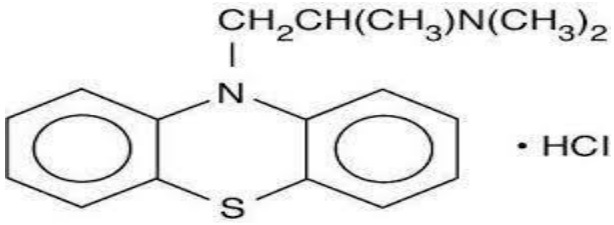


D02148

MOA:- It antagonize the pharmacological action of histamine by binding atH1 receptor.

USES:- This drug is used to treat sneezing, runny nose, itching, rashes, watery eyes and other common cold conditions.

11. Promethazine hydrochloride

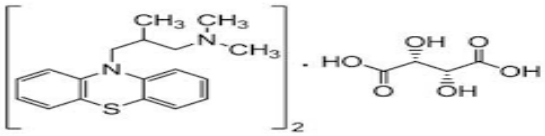


MOA:- It acts primarily as H, receptor antagonist and also have moderate anticholinergic (Ach receptor antagonist) activity It also have weak to moderate affinity for dopamine, serotonin or α1, -adrenergic receptors as antagonist.

USES:- Promethazine is used as sedative for treatment of insomnia. It is used for medication of allergy like rhinitis or other allergic reactions. It is used with codeine or dextromethorphan to treat cough.

Promethazine mainly used for its antiemetic action in motion sickness.

12. Trimeprazine tartrate



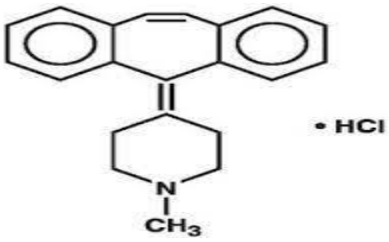
MOA:- Trimeprazine antagonises the actions of histamine by binding at H1 receptor.

USES:-It is used as an antipruritic.

It also act as a sedative, hypnotic and antiemetic for motion sickness.

In Russia, it is used for the treatment of anxiety disorders, personality disorders or organic mood disorders.

13. Cyproheptadine HCL

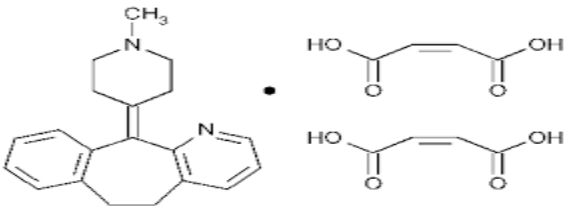


MOA:- It is potent antagonist of H, receptor. In high concentration also has anticholinergic, antidopamenergic and antiserotonergic activity.

USES:-

- It is used as an antihistamine to treat the allergic reactions.
- In liquid formulation this drug is used as a preventive measure against migraine.
- It is used for treatment of akathisia (movement disorder)
- It is also used as an antiemetic in cyclical vomiting syndrome (unknown chronic nausea or vomiting).
- In USA this drug is reported to increase the appetite and weight gain in children.

14. Azatidine maleate

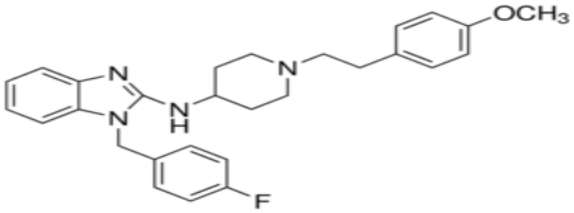


MOA:- It is a potent H1 receptor antagonist.

USES:-

- It is used for upper respiratory mucosal congestion in allergic rhinitis.
- It is used for the relief of nasal congestion and Eustachian T.B. congestion.

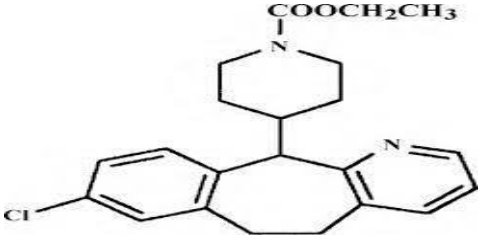
15. Astemizole



MOA:-It is a selective H1 receptors antagonist.

USES:- It is used for treatment of allergy symptoms particularly rhinitis and conjunctivitis. It has no longer now due to its cardiac toxicity i.e it causes arrhythmias.

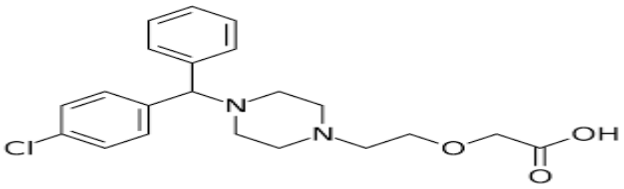
16. Loratadine



MOA:- It competitively antagonize the action of histamine at peripheral H1 receptors.

USES:- It is used alone or in combination with pseudoephedrine sulfate for relief of seasonal allergic rhinitis, Pruritis & urticaria.

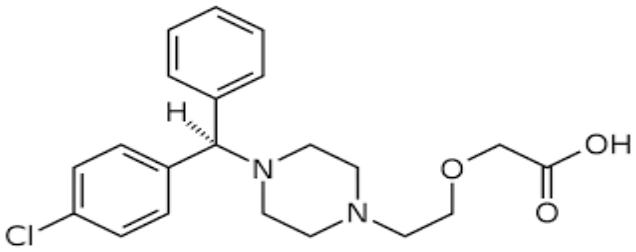
17. Cetirizine



MOA:- It acts as H1 receptor antagonist.

USES:- It is used to relief allergy symptoms like runny nose, itching eye, sneezing etc.

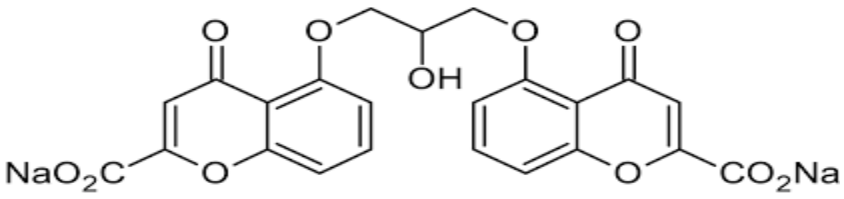
18. Levocetrazine



MOA:-Levocetrazine is active enantiomer of Cetirizine recamate which selectively bind to H1 receptor & antagonize the effect of histamine.

USES:-similar to Cetirizine.

19. Cromolyn sodium



MOA:- It inhibits degranulation of mast cells thus preventing the release of histamine and leukotrienes, It acts by inhibiting calcium influx.

USES:-

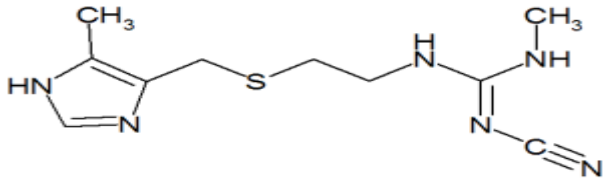
- Nebulized Cromolyn sodium is used for prophylactic management of bronchial asthma and bronchospasm.
- Its nasal solution is used for allergic rhinitis.

- Its eye drops are used to treat allergic conjuctivitis and keratitis
- Oral concentrate of Cromolyn sodium is used to treat histamine related symptoms like flushing, vomiting, urticaria and itching.

H2- RECEPTOR ANTAGONIST

H2 blockers are a group of medicine that block the action of histamine at H2 receptor present in the stomach. This decrease the production of acid in stomach. Therefore, these drugs are used in the treatment of gastric and duodenal ulcer. Except Cimetidine all H2 antagonists are well tolerated and have common side effects like hypotension, headache, diarrhea, constipation, dizziness and tiredness. The H2 blockers reduce the volume of gastric juice secreted and hydrogen ion concentration of gastric juice.

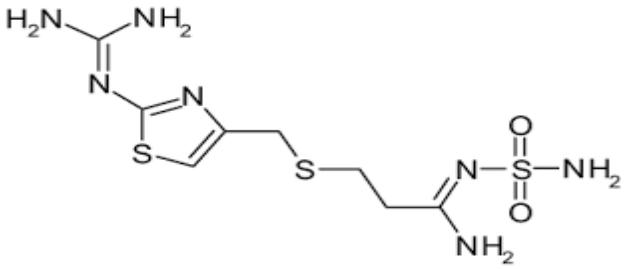
1. Cimetidine



MOA:-It is an antagonist of H2 receptor & deceases the activity of histamine.

USES:-Cimetadine is used in the treatment of gastric & duodenal ulcers.

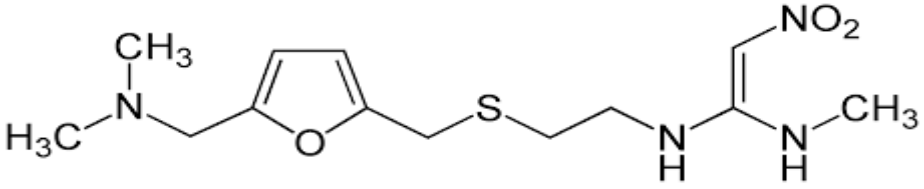
2. Famotidine



MOA:-It is more potent competitive inhibitor of histamine H2 receptor than Cimetidine.

USES:-It is used for the treatment of gastric & duodenal ulcers.

3. Ranitidin.



MOA:-Like other drugs inhibits the action of histamine at H2 receptor.

USES:-SAME AS FAMOTIDINE.

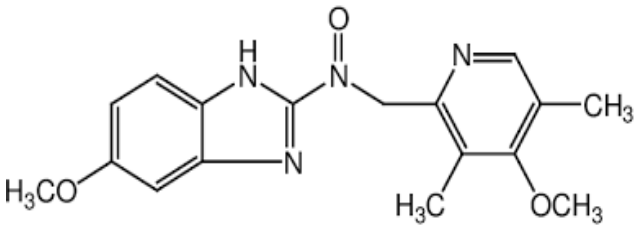
Gastric Proton Pump Inhibitors

Proton-pump inhibitors (PPIs) are a class of medications that cause a profound and prolonged reduction of stomach acid production. They do so by irreversibly inhibiting the stomach's H^+/K^+ ATPase proton pump.

They are the most potent inhibitors of acid secretion available. Proton-pump inhibitors have largely superseded the H_2-receptor antagonists, a group of medications with similar effects but a different mode of action.

All the PP'I contains a sulphonyl group in a bridge between substituted benzimidazole and pyridine rings. At neutral pH these agents gets protonated. The protonated agent rear-ranges to form a sulphenamide and sulphenic acid. The sulphenamide react covalentaly with sulphadryl groups of cysteine of H'K ATPase and inhibits irreversibly and blocks gastric acid secretion.

1) Omeprazole

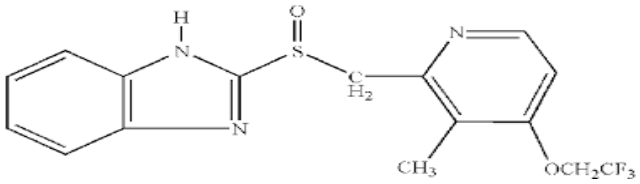


Mechanism of action:- Omeprazole is a selective and irreversible proton pump inhibitor. It inhibits H+/K- ATPase system and decrease acid secretion.

USES:-

- Omeprazole is used in treatment of peptic ulcer, gastroesophageal reflux disease,
- Elison syndrome and erosive esophagitis.

2) Lansoprazole

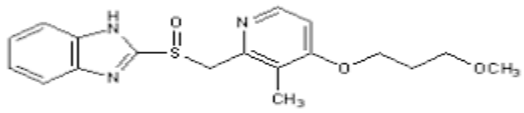


Mechanism of action:- Its action is similar to Omeprazole.

USES:-

- It is used in treatment of duodenal and gastric ulcer produced by NSAID.
- It is also used in Zollinger-Ellison syndrome (tumors of stomach which results peptic ulcer) and Gasteroesophageal reflux disease (stomach content rise up into esophagus) which result heart burn, chest pain, vomiting.

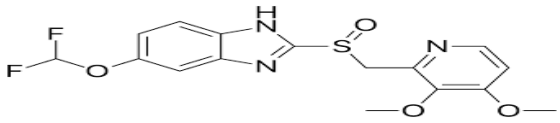
3) Rabeprazole



Mechanism of action:- Rabeprazole inhibits H*/K ATPase pumps in the stomach and supress the acid secretion.

USES:- Its uses are similar to Omeprazole and Lansoprazole.

4) Pantoprazole



Mechanism of action:- Similar to other PPI inhibitors.

USES:- Similar to Omeprazole.

Anti-Neoplastic Agents

Antineoplastic agents are used to treat the cancer. Cancer is a group of disease involving an abnormal and uncontrolled cell division in most of the normal body cells. This new cell growth invades the surrounding structures. The cancer may be benign and malignant benign tumors do not metastaise (spread of cancer to other locations in the body) but malignant do metastaise. Cancer is classified according to the type of cell in which new growth Occurs as:-

1. Carcinoma: This type of cancer derived from epithelial cells. This group represents nearly all those in breast, lung, prostate, and colon and pancreas cancer.

2. Sarcoma: This type of malignant tumor arises from transformed cells of connective tissue. This tumor is made of cartilage, fat, vascular, cancellous bone and hematopoietic tissues

3 Leukemia and lymphoma: These two malignant tumors derived from haematopoietic (blood cell forming) .This tumor mature in lymph nodes and blood respectively Lymphomas are Hodgkin Lymphoma and the non-Hodgkin Lymphomas. The enlarged Lymph nodes are usually painless.

4. Germ cell tumor: It is derived from germ cells. It may be malignant or benign Germ cells normally found in the ovary and testis.

5. Blastoma: It is common in children. It is a tumor that resembles an immature or embroynic tissue Examples are nephroblastoma, medulloblastoma and retinoblatoma.

CLASSIFICATION

- ✓ ***Alkylating Agents:*** Meclorethamine*, Cyclophosphamide, Melphalan, Chlorambucil, Busulfan, Thiotepa.
- ✓ ***Antimetabolites:*** Mercaptopurine*, Thioguanine, Fluorouracil, Floxuridine, Cytarabine, Methotrexate*, Azathioprine.
- ✓ ***Antibiotics:*** Dactinomycin, Daunorubicin, Doxorubicin, Bleomycin.
- ✓ ***Plant products:*** Etoposide, Vinblastin sulphate, Vincristin sulphate
- ✓ ***Miscellaneous:*** Cisplatin, Mitotane.

1. ALKYLATING AGENTS

Alkylating agents chemically bound to nucleic acid and bring about the changes in DNA and RNA of cells. This includes cross-linking between strands of DNA which results in breaking of the nucleic acid which will not be replicated. This altered DNA unable the functioning of the cell, resulting in cell death Normal cells may also be affected. Alkylating agents are the derivatives of nitrogen mustards, first alkylating agents, tested as anticancer was Nitrogen Mustard. Further development in nitrogen mustard gave new alkylating agents, found to have cytotoxic action against cancer.

2. ANTIMETABOLITES

Antimetabolites are structurally similar to normal metabolic constituents, like folic acid, pyrimidine, or purines. The y act by inhibiting the enzymes required for folic acid regeneration or pyrimidine or purine activation of DNA or RNA synthesis in neoplastic cells. Antimetabolites commonly kill the cells in S phase.

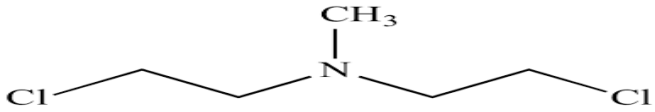
3. ANTIBIOTICS

Antibiotics have been recently recognised as an important class of antineoplastic agents. Thus, the antineoplastic agents should be produced by proper strain selection and controlled microbial fermentation conditions to optimise the development of a specific component in an antibiotic mixture. Antibiotics act by binding to DNA or fit ting into the helical lattice between specific bases, thus blocking the transcription of new RNA and DNA and cell replication.

4. PLANT PRODUCTS

For centuries herbal medicines have been used for treating various health problems in India. Herbal medicines comprise of plants or mixture of plant extracts used for treating illness and promoting health. People suffering from cancer used herbal medicines as the most commonly used complementary and Alternative methods. Medicinal plants relieve and treat cancer with the help of compounds having antioxidant and anticancer activities so that the carcinogenic cells can be destroyed. Some plants have a natural property to inhibit the spreading or risk of developing various forms of cancer.

1. Meclorethamine



Mechanism of Action:- Mustine can act by three different ways:-

a) It damages DNA via the formation of cross link.

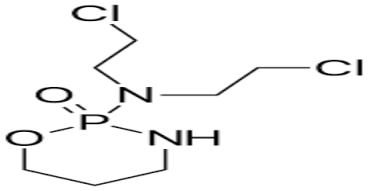
b) It prevents the DNA synthesis and RNA transcription by attachment of alkyl groups to DNA bases.

c) By induction of impairing of the nucleotides leading to mutations.

USES:-

- It is used in the treatment of Hodgkin's disease.
- It is used topically in the treatment of T-cell lymphoma.
- Its estrogen analogue is used in treatment of prostate cancer.

2. Cyclophosphamide

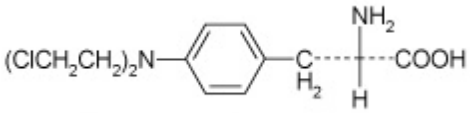


Mechanism of Action:- The active metabolite of cyclo phosphamide i.e phosphoramide mustard forms DNA cross links between and within DNA strands at guanine N-7 positions. This is irreversible and leads to cell death.

USES:-

- Cyclophosphamide is used alone or in combination with other medications to **treat Hodgkin's lymphoma (Hodgkin's disease)** and non-Hodgkin's lymphoma (types of cancer that begins in a type of white blood cells that normally fights infection).

3. Melphalan

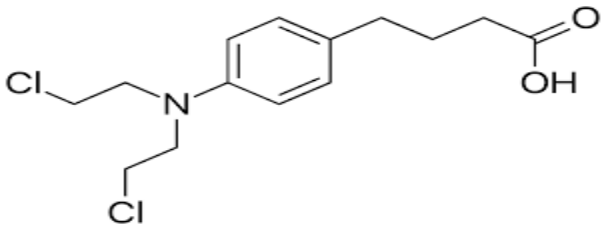


Mechanism of Action:- It acts by alkylation, alters the nucleotide guanine by causes cross linking between strands of DNA and leading to cell death.

USES:-

- Melphalan is used to **treat multiple myeloma** (a type of cancer of the bone marrow).
- Melphalan is also used to treat a certain type of ovarian cancer (cancer that begins in the female reproductive organs where eggs are formed).
- Melphalan is in a class of medications called alkylating agents.

4. Chlorambucil

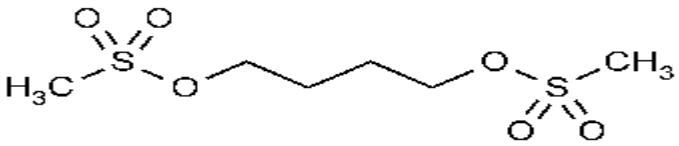


Mechanism of Action:- Chlorambucil alkylates and cross-links DNA during DNA replication and damage the DNA in a cell.

USES:-

- Chlorambucil is used treat a **certain type of chronic lymphocytic leukemia** (CLL; a type of cancer of the white blood cells).
- Chlorambucil is also used to treat non-Hodgkin's lymphoma (NHL) and Hodgkin's disease (types of cancer that begin in certain white blood cells that normally fight infection).

5. Busulfan

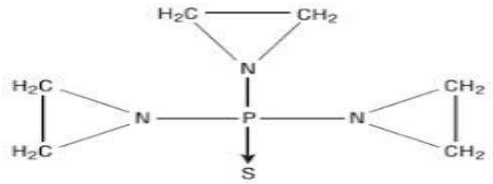


Mechanism of Action:- Busulfan is a bifunctional alkylating agent. 3-5 following systemic absorption, **carbonium ions are rapidly formed**, resulting in alkylation of DNA. This leads to breaks in the DNA molecule as well as cross-linking of the twin strands, resulting in interference of DNA replication and transcription of RNA.

USES:-

- Busulfan is used treat a **certain type of chronic myelogenous leukemia** (CML; a type of cancer of the white blood cells).
- Busulfan is in a class of medications called alkylating agents. It works by slowing or stopping the growth of cancer cells in your body.

6. Thiotepa

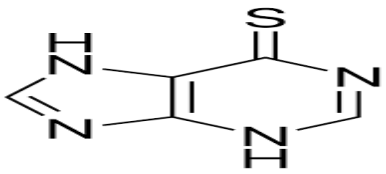


Mechanism of Action:- It acts on 7th position of guanine base of DNA and stop tumor growth by cross linking with DNA double helix strands so that the cells can no longer divide.

USES:-

- Thiotepa is used to **treat cancer**.
- It works by slowing or stopping the growth of cancer cells.
- Thiotepa is often given into the bladder to treat bladder cancer.
- Thiotepa is also used with other medications to prevent rejection of a stem cell transplant.

7. Mercaptopurine

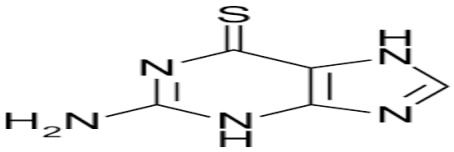


Mechanism of Action:- Specifically, Mercaptopurine is a purine antimetabolites or purine antagonist as such inhibits DNA synthesis by inhibiting the production of the purine containing nucleotides, adenine and guanine thus halting DNA synthesis.

USES:-

- Mercaptopurine is used alone or with other chemotherapy drugs to treat acute lymphocytic leukemia (ALL; also called acute lymphoblastic leukemia and acute lymphatic leukemia; a type of cancer that begins in the white blood cells).
- Mercaptopurine is in a class of medications called purine antagonists.

8. Thioguanine

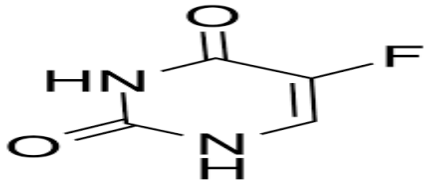


Mechanism of Action:- Thioguanine is an antimetabolites as it is a guanine analog and disrupts the synthesis of DNA and RNA. 6-Thioguanine is an analog of the purine guanine and is converted to 6-thioguanosine monophosphate by the enzyme hypoxanthine-guanine phosphoribosyl transferase.

USES:-

- Thioguanine is used to **treat acute myeloid leukemia** (AML; a type of cancer that begins in the white blood cells).
- Thioguanine is in a class of medications known as purine analogs.
- It works by slowing or stopping the growth of cancer cells in your body.

9. Fluorouracil

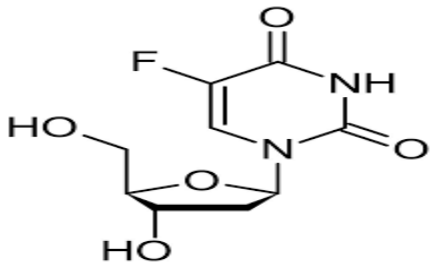


Mechanism of Action:- 5-Fluorouracil (5-FU) can activate p53 by more than one mechanism: **incorporation of fluorouridine triphosphate (FUTP) into RNA**, incorporation of fluorodeoxyuridine triphosphate (FdUTP) into DNA and inhibition of thymidylate synthase (TS) by fluorodeoxyuridine monophosphate (FdUMP) with resultant DNA damage.

USES:-

- FLUOROURACIL, 5-FU is a chemotherapy agent. It is used on the skin to treat skin cancer and certain types of skin conditions that could become cancer.

10. Floxuridine

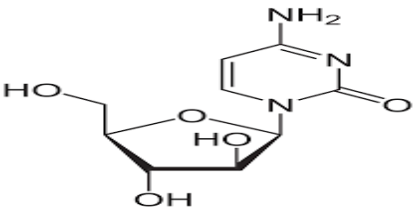


Mechanism of Action:- Floxuridine is an anti-metabolite or a pyrimidine analog that works by disrupting the process S-phase of cell division, **selectively targeting rapidly dividing cells**. Due to the structural similarities, antimetabolites act as pyrimidine-like molecules and prevent normal pyrimidine from being incorporated into DNA.

USES:-

- Floxuridine is used to **treat cancer of gastrointestinal (GI) tract** (cancer of the stomach or intestines) that has spread to the liver.
- Floxuridine is in a class of medications called antimetabolites. It works by slowing or stopping the growth of cancer cells in your body.

11. Cytarabine

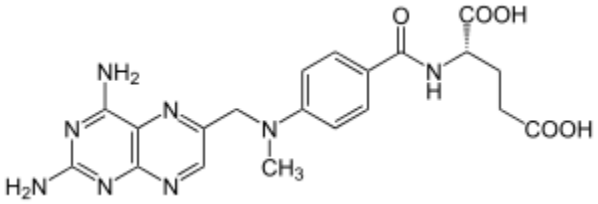


Mechanism of Action:- Cytarabine is a pyrimidine analog and is also known as arabinosylcytosine (ARA-C). It is **converted into the triphosphate form within the cell and competes with cytidine to incorporate itself in the DNA**. The sugar moiety of Cytarabine hinders the rotation of the molecule within the DNA.

USES:-

Cytarabine is used alone or with other chemotherapy drugs to **treat certain types of leukemia** (cancer of the white blood cells), including acute myeloid leukemia (AML), acute lymphocytic leukemia (ALL), and chronic myelogenous leukemia (CML).

12. Methotrexate

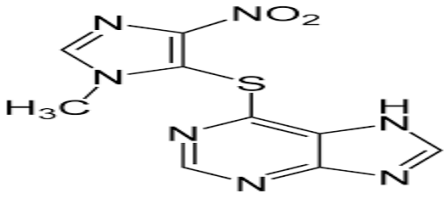


Mechanism of Action:- It inhibits the enzyme dihydrofolate reductase thus prevents the formation of THF.

USES:-

- Methotrexate is used to treat certain types of cancer or **to control severe psoriasis or rheumatoid arthritis** that has not responded to other treatments.
- It may also be used to control juvenile rheumatoid arthritis.
- Methotrexate belongs to a class of drugs known as antimetabolites.

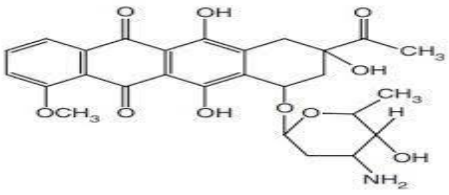
13. Azathioprine



Mechanism of Action:- Azathioprine **inhibits purine synthesis**. Purines are needed to produce DNA and RNA. By inhibiting purine synthesis, less DNA and RNA are produced for the synthesis of white blood cells, thus causing immunosuppression.

USES:-It mainly used as an immunosuppressant for facilitating the survival of organ and tissue transplants.

14. Daunorubicin

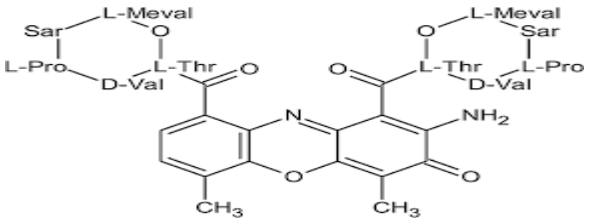


Mechanism of Action:- It interacts with DNA by intercalation & inhibits the macromolecular biosynthesis & inhibits the topoisomerase II which relax supercoils in DNA transcription.

USES:-

It is used for acute myeloid leukemia, chronic myelogenous leukemia & acute lymphocytic leukemia.

15. Dactinomycin

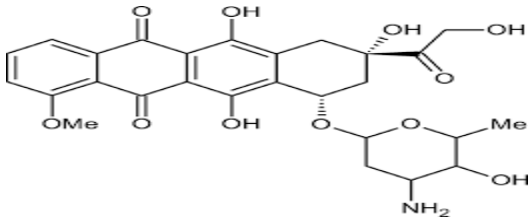


Mechanism of Action:- Dactinomycin is an antitumor antibiotic originally identified as a product of the Streptomyces yeast species. Its mechanism of action is through DNA intercalation and inhibition of RNA and protein synthesis, and it is cell cycle phase nonspecific.

USES:-

- Metastatic testicular tumors (nonseminomatous)
- Gestational trophoblastic neoplasm.
- Locally recurrent or locoregional solid tumors (sarcomas, carcinomas and adenocarcinomas)

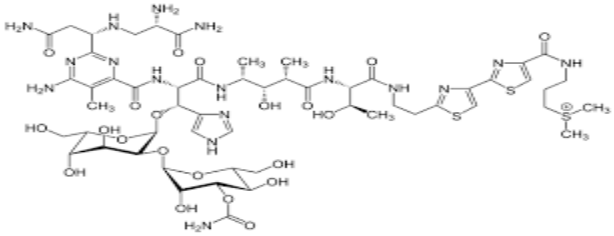
16. Doxorubicin



Mechanism of Action:-Similar to Daunorubicin.

USES:-It is used in the treatment of various cancers like breast cancer, lymphoma, bladder cancer & acute lymphocytic leukemia.

17. Bleomycin

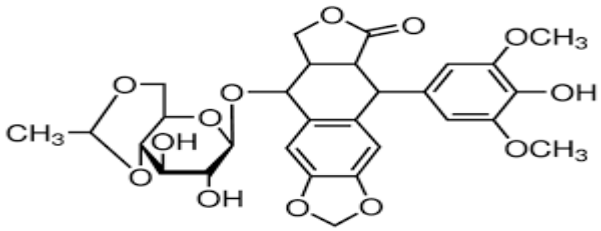


Mechanism of Action:-It binds to DNA by interaction and causes scission of DNA by interacting with molecular oxygen & ferrous ions.

USES:-

- Bleomycin is used to **treat cancer**.
- It works by slowing or stopping the growth of cancer cells. This medication may also be used to control the build-up of fluid around the lungs (pleural effusion) caused by tumors that have spread to the lungs.

18. Etoposide



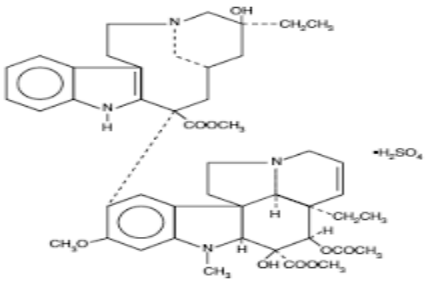
Mechanism of Action:-

Its mechanism of action is to cause single-strand and double-strand breaks in DNA through interaction with DNA topoisomerase II, inducing arrest in the G_2-phase of the cell cycle. This activity is mediated through the formation of a stable complex with DNA and topoisomerase II.

USES:-

Etoposide is used in combination with other medications to treat a certain type of lung cancer (small cell lung cancer; SCLC). Etoposide is in a class of medications known as podophyllotoxin derivatives. It works by slowing or stopping the growth of cancer cells in your body.

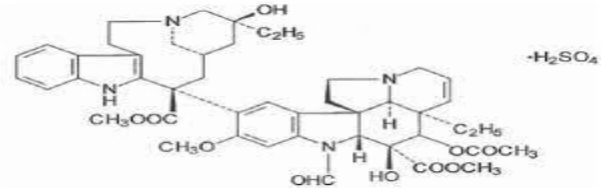
19. Vinblastin sulphate



Mechanism of Action:- Vinca alkaloids binds specifically with the tubulin protein present in the microtubules of cells & blocks mitosis at metaphase stage.

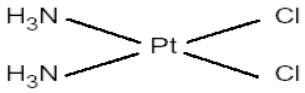
USES:- This drug is given to treat Hodgkin lymphoma, non-Hodgkin's lymphoma, testicular, breast, lung (Non-small cell lung cancer), head and neck, and bladder cancers, melanoma, soft tissue sarcoma, Kaposi's sarcoma, mycosis fungoides (t-cell lymphoma), and choriocarcinoma.

20. Vincristin sulphate



Same as Vinblastin sulphate

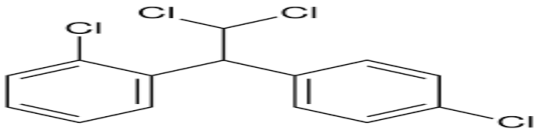
21. Cisplatin



Mechanism of Action:- It damage DNA by the cross links .

USES:- Cisplatin is used to treat various types of cancer. It is a chemotherapy drug that contains platinum. It is used to slow or stop cancer cell growth.

22. Mitotane



Mechanism of Action:-

Its mechanism of action is unknown but it is believed that it modifies the peripheral metabolism of steroids as well as directly suppressing the adrenal cortex.

USES:-

Mitotane is used to treat cancer of the adrenal glands. It works by slowing the growth of or killing adrenal gland cells and also decreases the amount of hormones made by the adrenal gland.

SHORT ANSWER QUESTIONS (5 Marks)

Q1 Write a short note on Histamine

Q2 what are antihistamines? Describe antihistaminic receptors and their distribution in human body.

Q3 Classify antihistamines with suitable examples in each category.

Q4 Write SAR of H,- receptor antagonist.

Q5 Describe synthesis of Diphenhydramine and Promethazine.

Q6 Write a short note on Mast cell stabilizers.

Q7 Describe structure properties and uses of any two drugs of H_1receptor antagonist.

LONG ANSWER QUESTIONS (10 Marks)

Q1 what are antihistamines? Classify them. Write synthesis, IUPAC name, properties and uses of Diphenhydramine and Triprolidine.

Q2 Enumerate H_1 receptor antagonists with suitable examples

Q3 Write down structuure and uses of following

a) Ramitidine

b) Citrizine

c) Omeprazole

d) Cyclizine

e) Clemastine

Q4 Write synthesis of

a) Triprolidine

b) Diphenhydramine

c)Promethazine