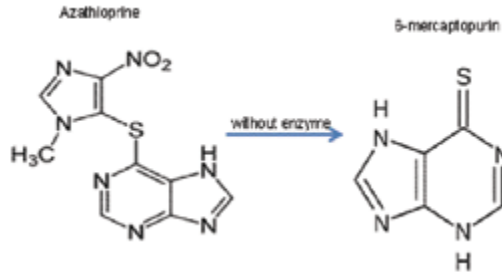


ANTI-NEOPLASTIC AGENTS (PART-1)

Antineoplastic agents or cytotoxic drugs, which are used for the treatment of cancer, Cancer or Neoplasm (Greek: New Formation) unique form of disease caused by several agents, certain chemical agents, radiant energy, certain viruses, pollutants (from air, water and food etc.)

1. Azathioprine -Antimetabolite (purine)
 2. Busulphan -Alkyl sulfonate-alkylating agent
 3. Chlorambucil -Alkylating agents
 4. Fluoro uracil -Antimetabolite (pyrimidine)
 5. Methotrexate -Antimetabolite (folic acid analogue)
 6. Thiotepea -Alkylating agents (aziridine)
1. AZATHIOPRINE (Imuran, Azasan)



CATEGORY: Immunosuppressant

NOMENCLATURE: 6-[(1-methyl, 4-imidazol-5-yl) thio] purine

PROPERTIES:

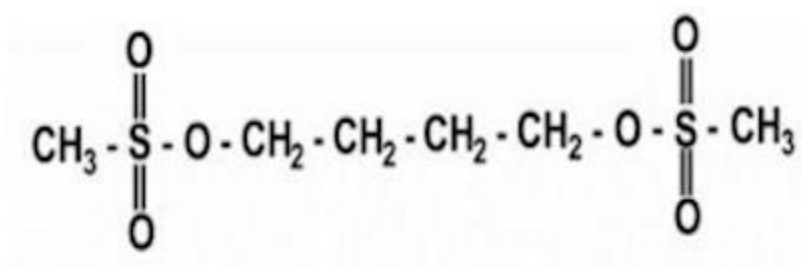
Yellow, matted powder; odorless, slightly bitter taste, light sensitive, non-hygroscopic, and stable to reasonable temperature; decomposes at about 245°C. Very slightly soluble in alcohol or chloroform, insoluble in water and soluble in dilute alkali hydroxide solutions; sparingly soluble in dilute mineral acids; it should be stored in light resistant container.

USES: It is a Prodrug of 6-Mercaptopurine (6MP). It is used in the prevention of renal transplantation rejection (act as immunosuppressive agent). It is also used in kidney transplantation, rheumatoid arthritis, ulcerative colitis, crohn's disease, systemic lupus erythematosus

DOSE: Usual adult dose 50-100 mg once daily or bid

PREPARATIONS: Azathioprine Tablets, Injection BP

2. BUSULPHAN (Busulfex, Myleran)



CATEGORY: Antineoplastic/ cytotoxic

NOMENCLATURE: 1, 4-butanediol dimethanesulphonate

1, 4-butanediol dimethanesulphonate esters

PROPERTIES: white or almost white, crystalline powder; odorless.

Very slightly soluble in water, ethanol and ether; freely soluble in acetone, chloroform and acetonitrile; It should be stored in light resistant container.

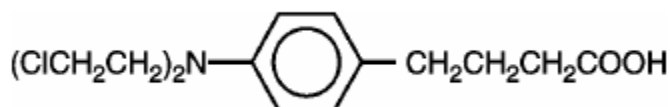
MP: Melts between 114-118⁰ C

USES: It is used in the treatment of chronic myeloid leukemia (CML)

DOSE: Usual dose range 2-4 mg daily

PREPARATIONS: Busulphan Tablets IP (2 mg)

3. CHLORAMBUCIL (Amboclorin; leukeran)



CATEGORY: Antineoplastic/ cytotoxic

NOMENCLATURE: 4-[4-bis (2-chloroethyl) amino phenyl] butyric acid

4-[Bis-(2-chloroethyl) amino] benzenebutanoic acid

PROPERTIES: white or slightly yellowish, crystalline powder. Freely soluble in ethanol, acetone, and chloroform; practically insoluble in water; soluble in dilute solutions of alkali hydroxides; it should be stored in well closed container and protected from light

MP: Melts between 64-66⁰ C

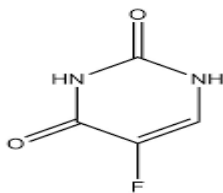
USES: It is used in the treatment of chronic lymphocytic leukemia, lymphomas, including Hodgkin's disease. It is also used as immunosuppressive agent in various autoimmune disorders.

DOSE: The usual oral doses range is 100-200 µg/kg body wt. daily for 4-8 weeks

PREPARATIONS: Chlorambucil Tablets IP, BP (2mg and 5mg)

4.

4. FLUOROURACIL (Aduracil; Efudex; Florac)



CATEGORY: Antineoplastic/Cytotoxic

NOMENCLATURE: 5-fluoropyrimidine-2, 4-(1 *H*, 3 *H*) Dione

PROPERTIES: white or almost white, crystalline powder. It is sparingly soluble in water; slightly soluble in ethanol; practically insoluble in chloroform and ether.

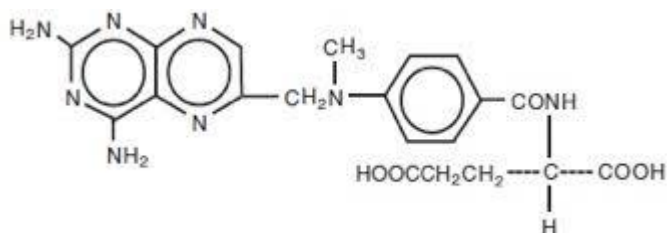
MP: decomposes about 282° C

USES: It is used in the treatment of solid tumors, particularly disseminated colorectal and breast cancer. It is a drug of choice in adjuvant chemotherapy of breast cancer. Topical formulations are used in premalignant keratoses of the skin and basal cell carcinomas.

DOSE: Given by intravenous injection in the dose of 3 mg/kg body wt. on alternate days to 12 mg /kg body wt. daily, to maximum 800 mg daily

PREPARATIO Fluorouracil Injection IP, BP; 25mg/ ml; 50mg/ml

5. METHOTREXATE (Folex)



CATEGORY: Antineoplastic.

NOMENCLATURE:

Disodium-N-[[[2, 4-Diamino peridin-6-yl methyl] methyl amino] benzoyl L-glutamic acid

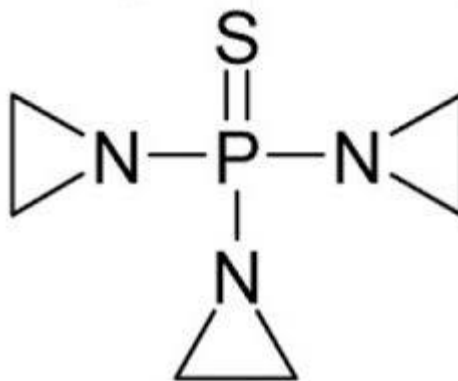
PROPERTIES: white or slightly yellowish, crystalline powder; odorless. Very soluble in water, freely soluble in chloroform and ethanol, very slightly soluble in ether. It should be stored in light resistant container

USES: It is used in the treatment of acute lymphoblastic leukemia, choriocarcinoma, cancer in neck and head, lung, in osteosarcoma. It is used in the treatment of severe, disabling psoriasis, also used in refractory rheumatoid arthritis.

DOSE: Usual range is 5- 100 mg tid

PREPARATIONS: Methotrexate Tablets, Injection IP, BP (IM and IV route)

6. THIOTEPA (Thioplex)



Thiophosphoramidate

CATEGORY: Antineoplastic

NOMENCLATURE: Tri-1-aziridinyl phosphine sulphide

PROPERTIES: white or slightly yellowish, crystalline powder; odorless. It should be stored in a well closed container and protected from light, (Temperature between 2 and 8 degree)

Solubility: Very soluble in water; freely soluble in chloroform and ethanol; very slightly soluble in ether.**MP:** Melts about 54°c

USES: It is used in the palliative treatment of various solid tumors, such as carcinoma of bladder, breast and ovary. **DOSE:** 300-400mcg per kg body weight.

PREPARATIONS: Thiotepe Injection IP, BP