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Unit-I/Part-C

Anti-neoplastic Agent

PRESENTED BY;-

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SPECIALIZATION:- PHARMACEUTICAL CHEMISTRY

What is Neoplasm ?

- I. Medical term of Cancer is Neoplasm.
- II. Neoplasm = *Neo* (new) + *Plasm* (formation)
- III. Cancer is an abnormal growth of tissue that arises due to uncontrolled and excessive cell division.
- IV. Stages of Cancer:-

Stages	Characteristics
Benign	Non-cancerous, slow-growing, does not spread to other parts of the body
Malignant	Cancerous, grows rapidly, invades nearby tissues, can metastasize (spread)
Pre-malignant	Not cancer yet, but has the potential to become malignant

Types of Cancer

Type	Origin	Examples
Carcinoma	Epithelial cells (skin or organ linings)	Breast, lung, colon, prostate cancer
Sarcoma	Connective tissues (bone, muscle, fat, etc.)	Osteosarcoma (bone), liposarcoma (fat)
Leukemia	Blood-forming tissues (bone marrow)	Acute lymphoblastic leukemia (ALL), Chronic myeloid leukemia (CML)
Lymphoma	Lymphatic system	Hodgkin lymphoma, Non-Hodgkin lymphoma
Myeloma	Plasma cells (a type of white blood cell)	Multiple myeloma
Melanoma	Melanocytes (pigment-producing cells)	Skin melanoma
CNS Cancers	Brain and spinal cord tissues	Glioblastoma, astrocytoma

Treatment of Cancer

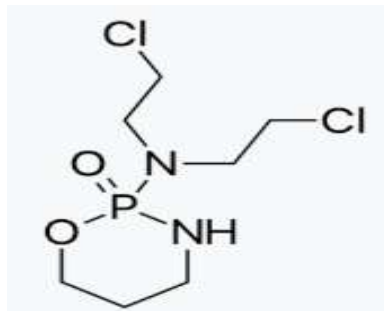
Treatment Method	Description
1. Surgery	Removal of the tumor and surrounding tissues if localized.
2. Radiation Therapy	High-energy rays (X-rays or gamma rays) to kill or shrink cancer cells.
3. Chemotherapy	Use of drugs to kill or stop the growth of rapidly dividing cancer cells.
4. Immunotherapy	Boosts the body's immune system to recognize and destroy cancer cells.
5. Targeted Therapy	Drugs that target specific molecules (like proteins or genes) in cancer cells.
6. Hormone Therapy	Blocks hormones that fuel certain cancers (e.g., breast or prostate cancer).
7. Stem Cell Transplant	Replaces damaged bone marrow after high-dose chemo or radiation.
8. Anti-neoplastic Medicine	Medications used to treat neoplasms (tumors or cancers)

Anti-neoplastic Agents

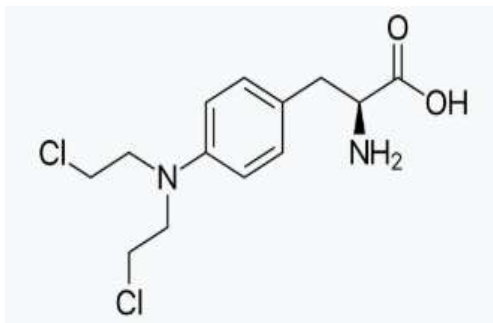
Anti-neoplastic agents (also called **anticancer drugs**) are medications used to **treat neoplasms** (tumors or cancers) by **inhibiting the growth and spread of cancer cells**.

Classification of Anti-neoplastic Agent

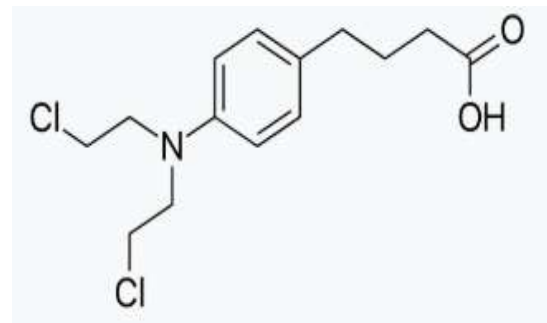
1. **Alkylating agents:** Meclorethamine*, Cyclophosphamide, Melphalan, Chlorambucil, Busulfan, Thiotepa
2. **Antimetabolites:** Mercaptopurine*, Thioguanine, Fluorouracil, Floxuridine, Cytarabine, Methotrexate*, Azathioprine
3. **Antibiotics:** Dactinomycin, Daunorubicin, Doxorubicin, Bleomycin
4. **Plant products:** Etoposide, Vinblastin sulphate, Vincristin sulphate
5. **Miscellaneous:** Cisplatin, Mitotane.



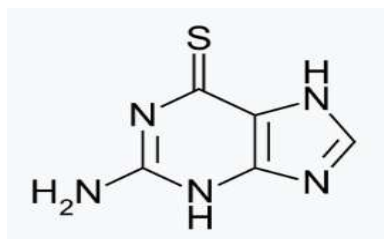
Cyclophosphamide



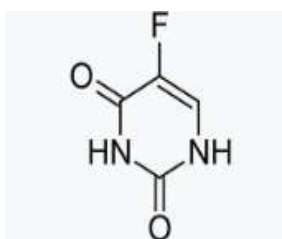
Melphalan



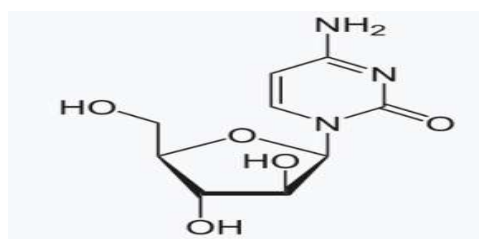
Chlorambucil



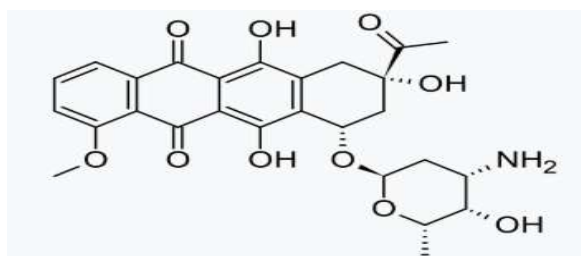
Thioguanine



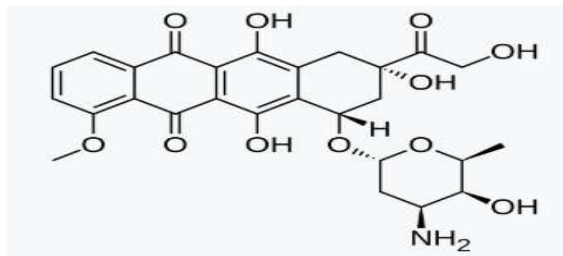
Fluorouracil



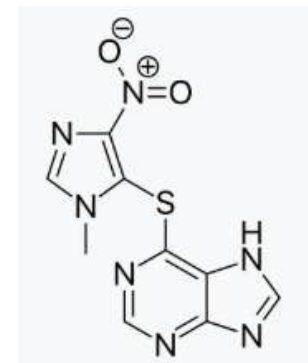
Cytarabine



Daunorubicin



Doxorubicin

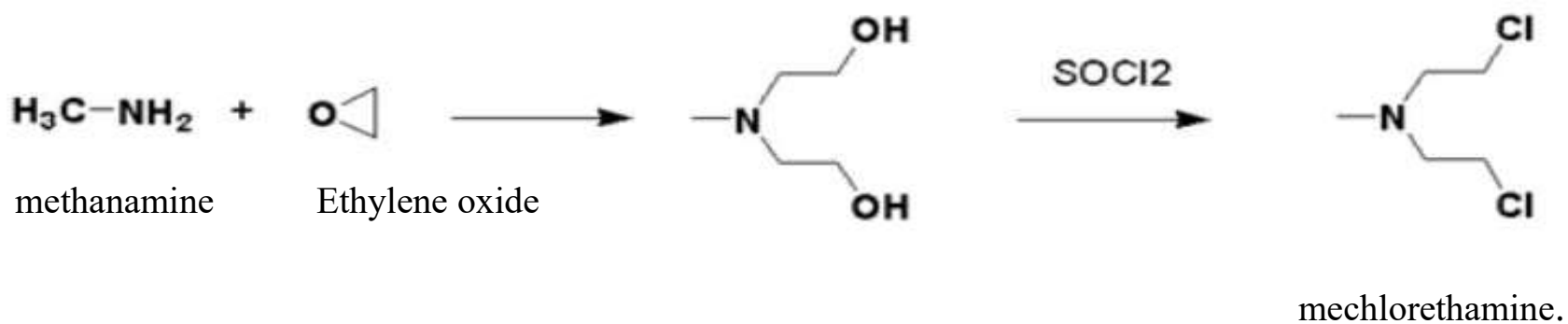


Azathioprine

1. Table with the **Mechanism of Action (MOA)** and **Uses** of the given **alkylating agents**:

Drug Name	Mechanism of Action (MOA)	Uses
Mechlorethamine	Cross-links DNA strands by alkylating the N7 position of guanine → inhibits DNA replication	Hodgkin's disease, lymphosarcoma, bronchogenic carcinoma
Cyclophosphamide	Alkylates DNA after activation in liver → inhibits DNA replication and induces apoptosis	Breast cancer, lymphomas, leukemias, autoimmune disorders
Melphalan	Binds to DNA bases forming cross-links → inhibits both DNA and RNA synthesis	Multiple myeloma, ovarian cancer
Chlorambucil	Forms DNA cross-links → blocks replication and transcription	Chronic lymphocytic leukemia (CLL), lymphoma
Busulfan	Alkylates DNA and forms cross-links → interferes with DNA replication	Chronic myeloid leukemia (CML), bone marrow ablation before transplant
Thiotepa	Alkylates DNA via ethyleneimine groups → inhibits DNA and RNA function	Ovarian, breast, and bladder cancers

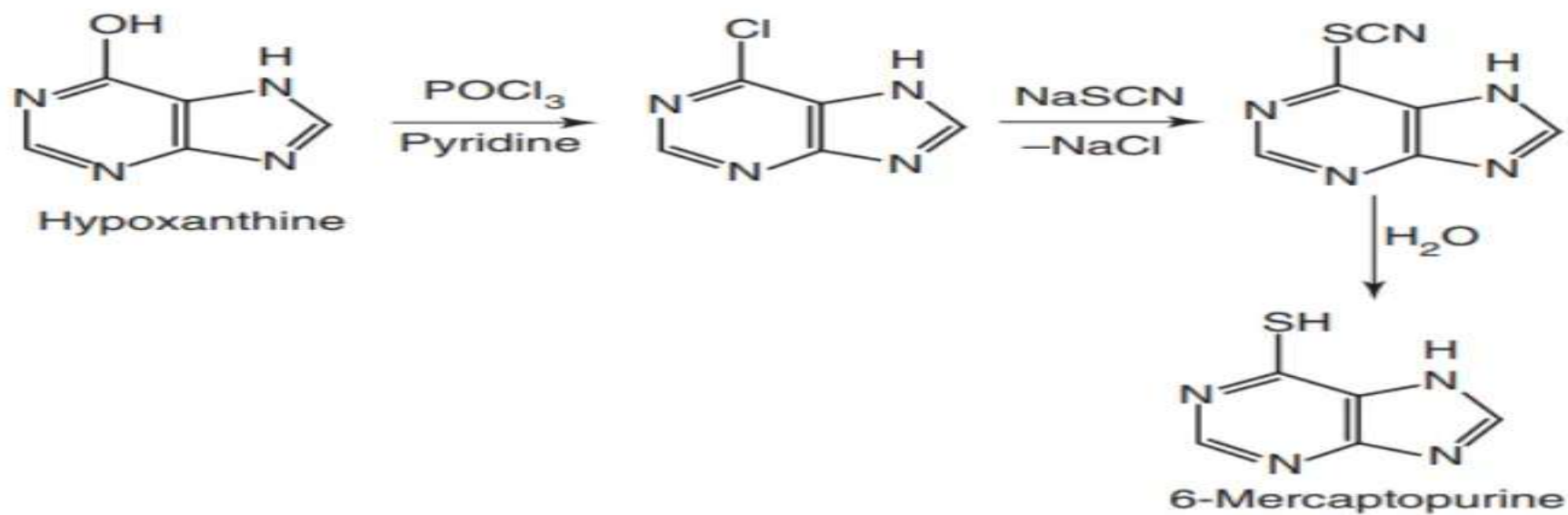
Synthesis of mechlorethamine



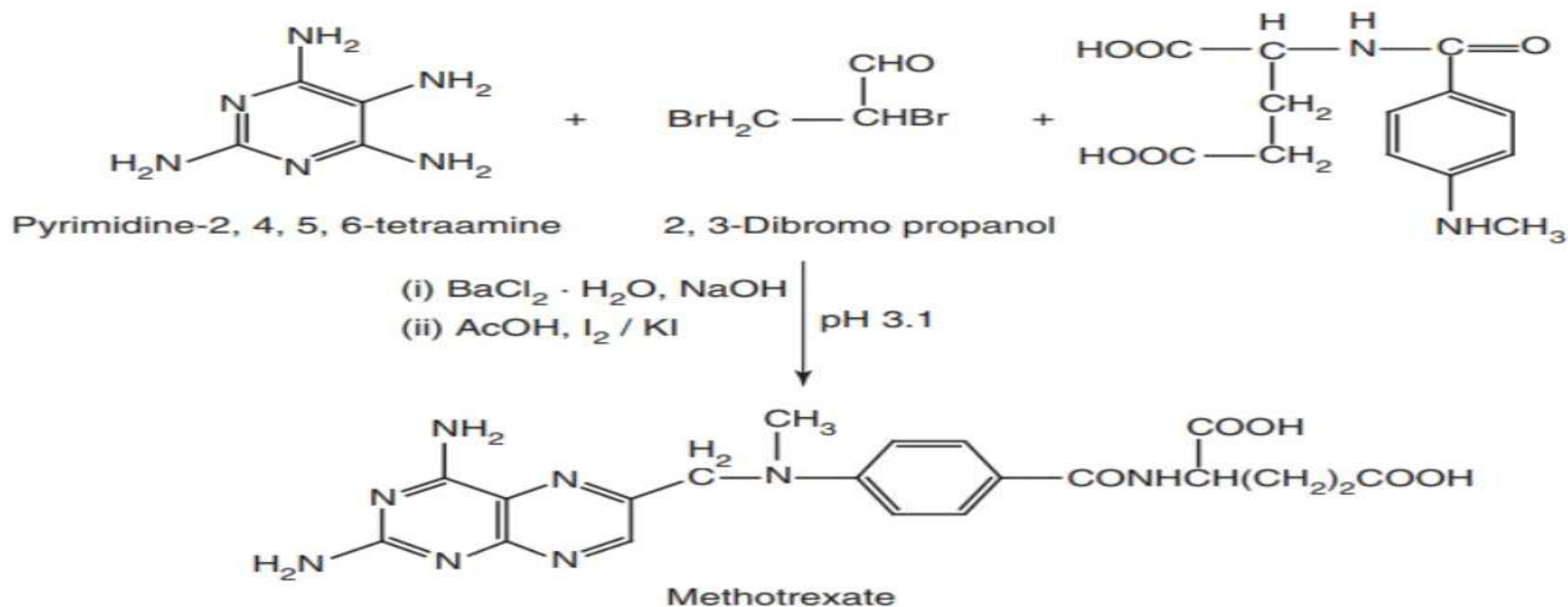
2. Table with the Mechanism of Action (MOA) and Uses of the given antimetabolite drugs:

Drug Name	Mechanism of Action (MOA)	Clinical Uses
Mercaptopurine	Inhibits purine synthesis by mimicking hypoxanthine → inhibits DNA/RNA synthesis	Acute lymphocytic leukemia (ALL), inflammatory bowel disease
Thioguanine	Mimics guanine → inhibits DNA/RNA synthesis and causes cytotoxicity	Acute myeloid leukemia (AML)
Fluorouracil (5-FU)	Inhibits thymidylate synthase → blocks thymidine synthesis → DNA synthesis inhibition	Colorectal, breast, pancreatic, and gastric cancers
Floxuridine	Converted to 5-FU → inhibits thymidylate synthase and DNA synthesis	Metastatic colon cancer to liver
Cytarabine	Pyrimidine analog → inhibits DNA polymerase → prevents DNA elongation	AML, ALL, non-Hodgkin lymphoma
Methotrexate	Inhibits dihydrofolate reductase (DHFR) → reduces thymidine and purine synthesis	Leukemias, lymphomas, breast cancer, psoriasis, rheumatoid arthritis
Azathioprine	Prodrug of mercaptopurine → suppresses purine synthesis → immunosuppressive and cytotoxic	Leukemia, transplant rejection, autoimmune diseases

Synthesis of Mercaptopurine



Synthesis of Methotrexate



3. Table showing the **Mechanism of Action (MOA)** and **Uses** of the listed **antibiotic anticancer drugs**:

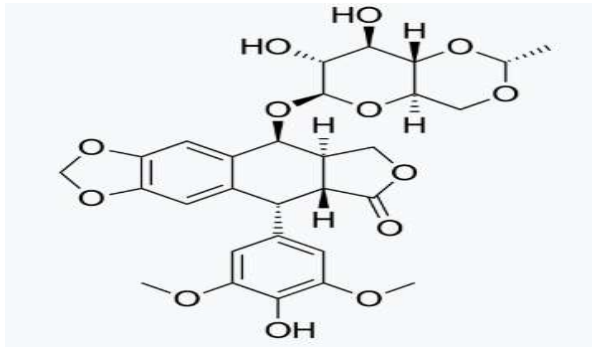
Drug Name	Mechanism of Action (MOA)	Clinical Uses
Dactinomycin	Intercalates into DNA → inhibits RNA polymerase → blocks RNA synthesis	Wilms tumor, Ewing's sarcoma, rhabdomyosarcoma, testicular cancer
Daunorubicin	Intercalates DNA and inhibits topoisomerase II → causes DNA strand breaks	Acute myeloid leukemia (AML), acute lymphoblastic leukemia (ALL)
Doxorubicin	Intercalates DNA, inhibits topoisomerase II, and generates free radicals → DNA damage	Breast cancer, lymphomas, sarcomas, solid tumors
Bleomycin	Binds DNA and produces free radicals → causes DNA strand breaks (especially in G2 phase)	Testicular cancer, Hodgkin and non-Hodgkin lymphomas

4. Table showing the Mechanism of Action (MOA) and Uses of the given plant-derived anticancer agents:

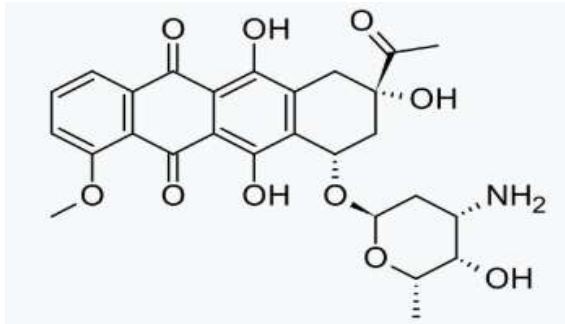
Drug Name	Mechanism of Action (MOA)	Clinical Uses
Etoposide	Inhibits topoisomerase II → causes DNA strand breaks and blocks DNA replication	Testicular cancer, small cell lung cancer, lymphomas
Vinblastine sulfate	Binds to tubulin → inhibits microtubule assembly → arrests cells in metaphase	Hodgkin's lymphoma, breast cancer, Kaposi sarcoma
Vincristine sulfate	Binds to tubulin → prevents microtubule formation → blocks mitotic spindle formation	Acute lymphoblastic leukemia (ALL), lymphomas, childhood cancers

5. Table showing the Mechanism of Action (MOA) and Uses of the given miscellaneous antineoplastic agents:

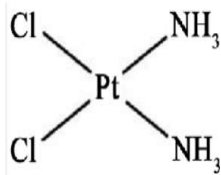
Drug Name	Mechanism of Action (MOA)	Clinical Uses
Cisplatin	Forms DNA cross-links (intra- and inter-strand) → inhibits DNA replication and transcription	Testicular, ovarian, bladder, lung, and head & neck cancers
Mitotane	Adrenolytic agent → destroys adrenal cortex cells and inhibits cortisol production	Adrenocortical carcinoma



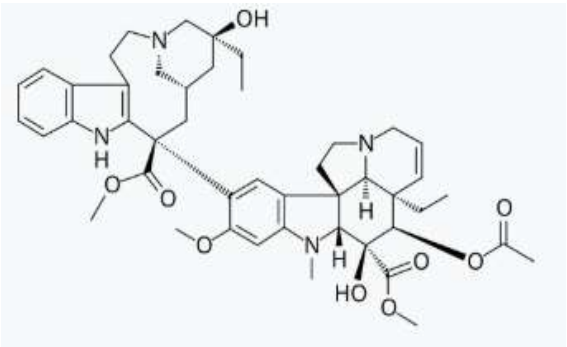
Etoposide



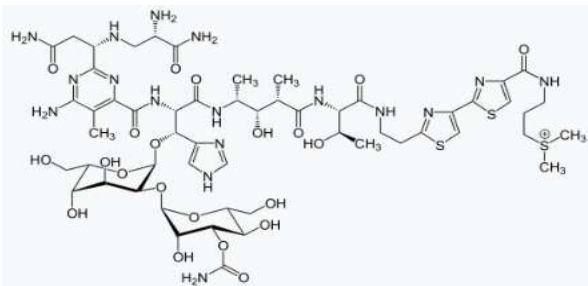
Daunorubicin



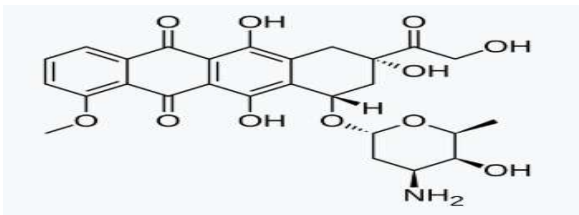
Cisplatin



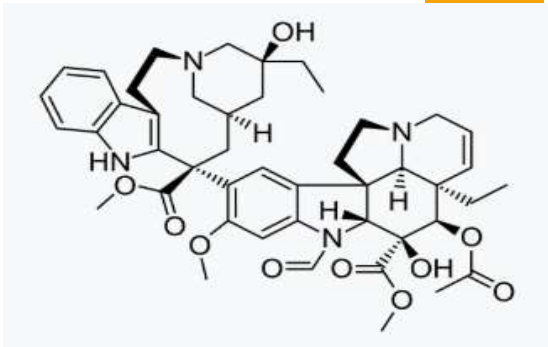
Vinblastine sulfate



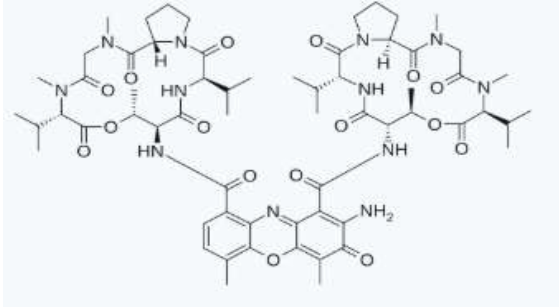
Bleomycin



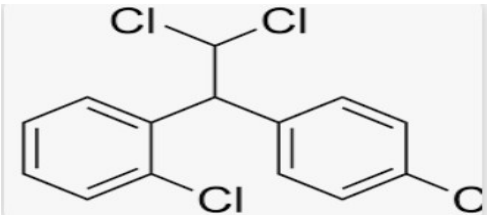
Doxorubicin



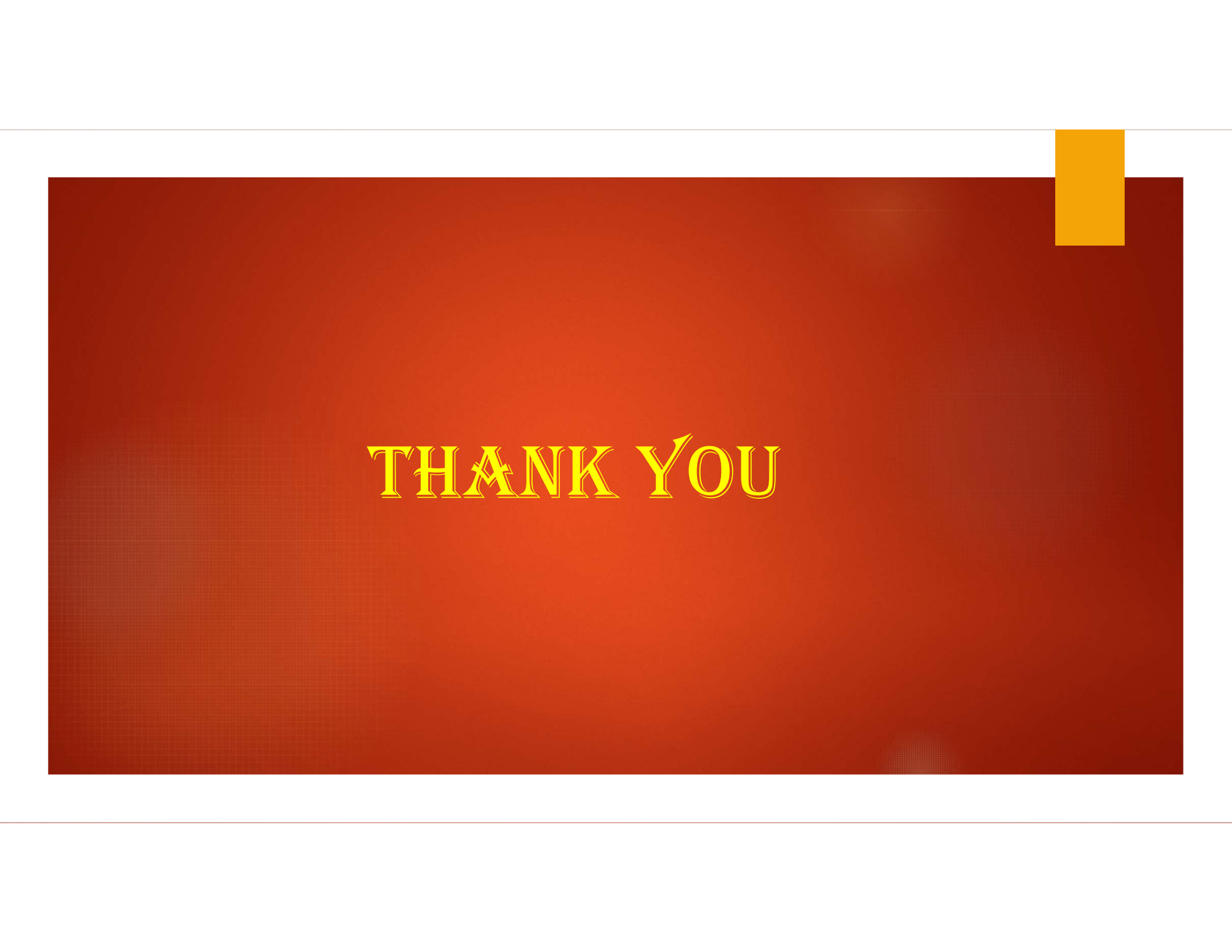
Vincristine sulfate



Dactinomycin



Mitotane



THANK YOU