PHARM.D • YEAR 3

Medicinal Chemistry

CHAPTER 6

Antineoplastic Agents

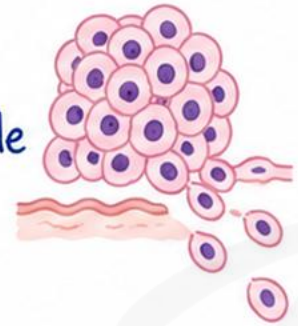
PPT CHAPTER COVER



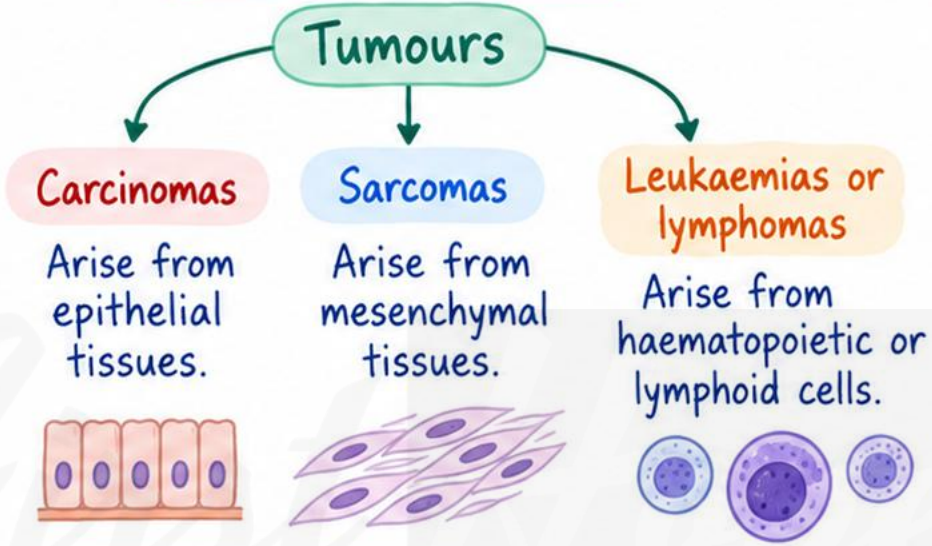
Antineoplastic agents: scope

What is neoplasia?

Neoplasia is abnormal cell proliferation; not every neoplasm is malignant. Malignant tumours invade and may metastasize.



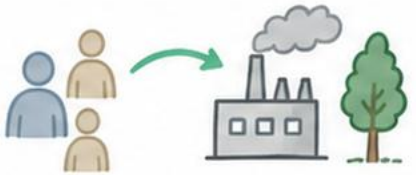
Types of tumour



Development of cancer

Cancer development involves genetic and epigenetic changes influenced by inherited susceptibility and environmental exposures.





Treatment approach

Surgery, radiotherapy, systemic medicines and supportive care have complementary roles.



Drug selection

Drug selection depends on tumour biology, stage and patient factors.



Role of chemical classes

A chemical-class list is a revision framework, not an interchangeable treatment menu.



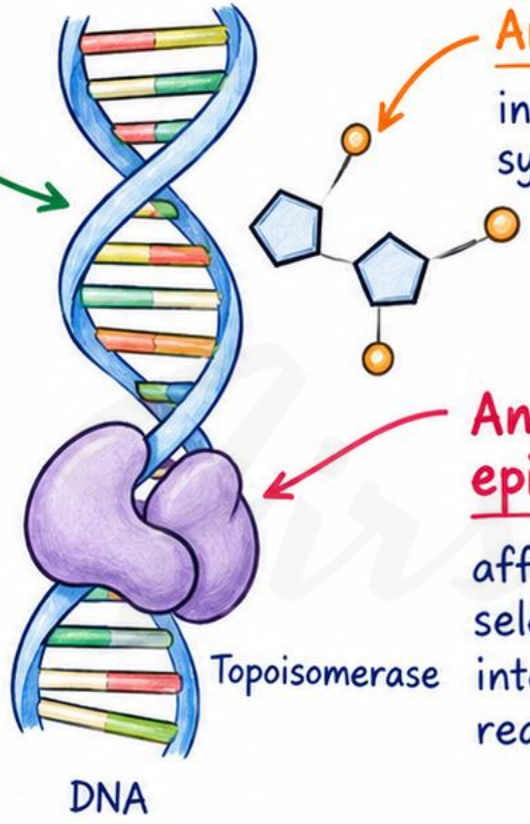
Cytotoxic classes and targets

Alkylating agents and platinum compounds

damage DNA.

Antimetabolites

interfere with nucleotide synthesis or function.

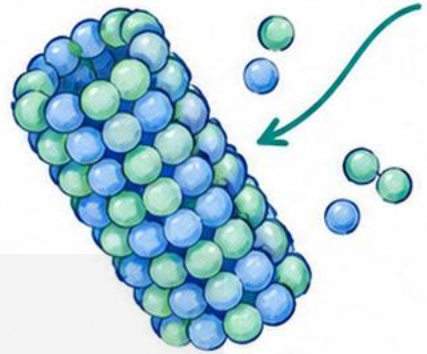


Anthracyclines and epipodophyllotoxins

affect topoisomerases; selected agents also intercalate DNA or generate reactive species.

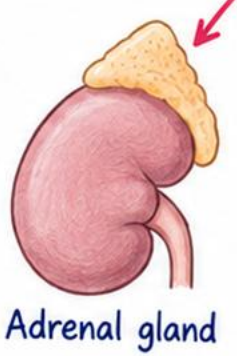
Vinca alkaloids

disrupt microtubules.



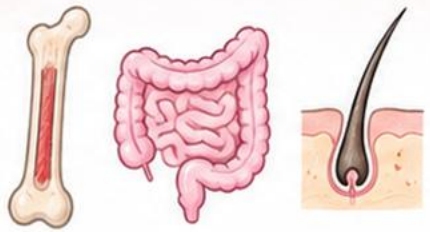
Mitotane

is an adrenolytic agent.



Toxicity to normal cells

Classical cytotoxic drugs can also injure normal rapidly dividing cells, causing marrow suppression, mucosal injury and hair loss.



Key point

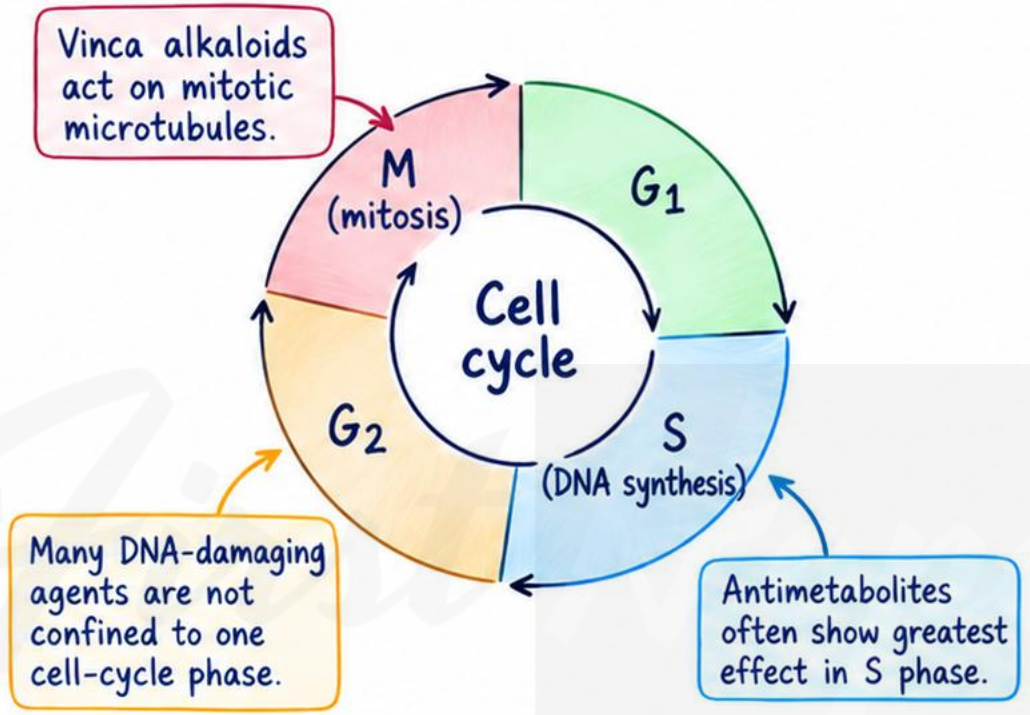
Modern targeted medicines in the source brand table have different mechanisms.

Similar clinical use does not imply a shared scaffold or molecular target.

Cell-cycle dependence and toxicity

Cell-cycle dependence

- Antimetabolites often show greatest effect during DNA synthesis in S phase.
- Vinca alkaloids act on mitotic microtubules.
- Many DNA-damaging agents are not confined to one cell-cycle phase.
- These teaching categories are useful but are not absolute for every regimen.



Combination therapy

- Combination regimens seek complementary activity while managing overlapping toxicity.



Toxicity to normal proliferating tissues

- Marrow suppression, gastrointestinal mucosal damage and alopecia reflect injury to normal proliferating tissues.


Bone marrow suppression


Gastrointestinal mucosal damage


Alopecia

Drug-specific toxicities

- Drug-specific toxicities still matter: renal injury, cardiotoxicity, neuropathy or pulmonary damage cannot be assigned equally to all agents.


Renal injury

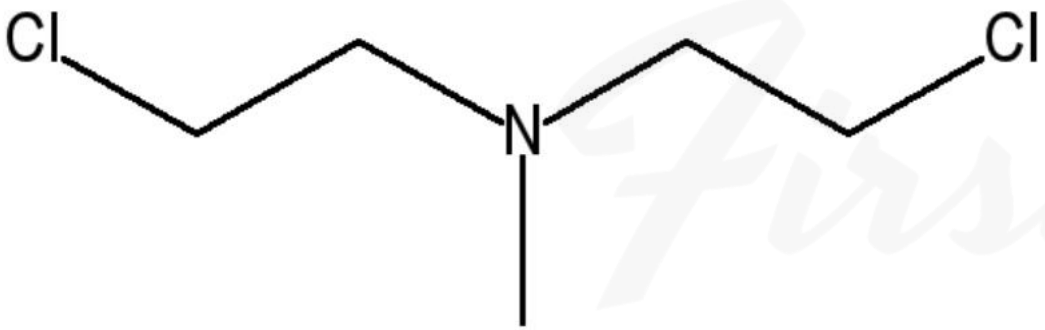

Cardiotoxicity


Neuropathy


Pulmonary damage

Mechlorethamine: nitrogen mustard

$C_5H_{11}Cl_2N$



Verified molecular structure

Structure

- Mechlorethamine is an aliphatic nitrogen mustard with two 2-chloroethyl groups and a methyl substituent on nitrogen.
- The correct free-base formula is $C_5H_{11}Cl_2N$.
- The two arms explain bifunctionality.

DNA effects



- Reactive intermediates alkylate nucleophilic sites in DNA, including guanine.
- Can form cross-links that impede replication and transcription.

Hazards



- It is a highly reactive cytotoxic compound associated with specialist oncology use.
- Tissue injury and marrow suppression are important hazards.

Revision focus

- Revise pharmacophore, DNA effect and toxicity rather than preparation instructions

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