

COMMONLY USED ANTINEOPLASTIC DRUGS

DRUG	MECHANISM OF ACTION	COMMONLY RESPONSIVE TUMORS	TOXICITY AND COMMENTS
ANTIMETABOLITES: FOLATE ANTAGONISTS			
Methotrexate	Binds to dihydrofolate reductase and interferes with thymidylate synthesis	Acute lymphocytic leukemia Choriocarcinoma (women) Head and neck cancer Malignant lymphoma Osteogenic sarcoma Ovarian cancer	Mucosal ulceration Bone marrow suppression Increased toxicity with impaired renal function or ascitic fluid (with pooling of drug) Reversal of toxicity with leucovorin rescue at 24 h (10–20 mg q 6 h × 10 doses)
Pemetrexed	Inhibits thymidylate synthase	Lung cancer Mesothelioma Ovarian cancer	Bone marrow suppression Mucosal ulceration
ANTIMETABOLITES: PURINE ANTAGONISTS			
Cladribine	Inhibits ribonucleotide reductase	Leukemia Lymphoma	Myelosuppression Immunosuppression
Clofarabine	Inhibits DNA synthesis	Acute lymphocytic leukemia refractory to at least 2 prior chemotherapy regimens	Myelosuppression Immunosuppression Nausea Diarrhea
Fludarabine	Terminates DNA synthesis and inhibits ribonucleotide reductase	Leukemia Lymphoma	Myelosuppression Immunosuppression Autoimmune reactions
6-Mercaptopurine	Blocks de novo purine synthesis	Acute leukemia	Myelosuppression Immunosuppression
Nelarabine	Inhibits DNA synthesis	Leukemia Lymphoma	Myelosuppression Immunosuppression
Pentostatin	Inhibits DNA synthesis	Leukemia	Myelosuppression Immunosuppression Nausea Vomiting
ANTIMETABOLITES: PYRIMIDINE ANTAGONISTS			
Capecitabine	Inhibits thymidylate synthase	Breast cancer GI tumors	Mucositis Alopecia Myelosuppression Diarrhea Vomiting Hand or foot tenderness Ulceration

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Cytarabine	Terminates chain when incorporated into DNA	Acute leukemia (especially nonlymphocytic) Lymphoma	Myelosuppression Nausea Vomiting Cerebellar toxicity (at high doses) Conjunctival toxicity (at high doses) Rash
5-Fluorouracil	Inhibits thymidylate synthase	Breast cancer GI tumors	Mucositis Alopecia Myelosuppression Diarrhea Vomiting
Gemcitabine	Terminates chain when incorporated into DNA and inhibits ribonucleotide reductase	Bladder cancer Lung cancer Pancreatic cancer	Myelosuppression Hemolytic-uremic syndrome
Hydroxyurea	Inhibits ribonucleotide reductase	Chronic myelocytic leukemia	Myelosuppression
BIOLOGIC RESPONSE MODIFIERS			
Interferon-alfa	Has antiproliferative effect	Chronic myelocytic leukemia Hairy cell leukemia Kaposi's sarcoma Lymphomas Melanoma Renal cell cancer	Fatigue Fever Myalgias Arthralgias Myelosuppression Nephrotic syndrome (rare)
BLEOMYCINS			
Bleomycin	Causes DNA strands to break	Lymphoma Squamous cell cancer Testicular cancer	Anaphylaxis Chills and fever Rash Pulmonary fibrosis at dosage > 200 mg/m ² Requires renal excretion
DNA ALKYLATING AGENTS: NITROSOUREAS			
Carmustine	Alkylates DNA with restricted uncoiling and replication of strands	Brain tumors Lymphoma	Myelosuppression Pulmonary toxicity (fibrosis)
Lomustine	Same as for carmustine	Brain tumors (astrocytoma, glioblastoma)	Myelosuppression Pulmonary toxicity (delayed) Renal toxicity

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DNA CROSS-LINKING DRUGS AND ALKYLATING AGENTS			
Bendamustine	Form adducts with DNA, causing DNA strands to break	Breast cancer	Alopecia with high IV dosage
Chlorambucil		Chronic lymphocytic leukemia	Nausea
Cyclophosphamide		Gliomas	Vomiting
Ifosfamide		Hodgkin lymphoma	Myelosuppression
Mechlorethamine (nitrogen mustard)		Lymphoma	Hemorrhagic cystitis (especially with cyclophosphamide and ifosfamide), which can be ameliorated with mesna
Melphalan		Multiple myeloma	Mutagenesis Secondary leukemias Aspermia Permanent sterility (possible)
		Small cell lung cancer	
Dacarbazine	Form adducts with DNA	Melanoma	Neutropenia
		Malignant glioma	Nausea Vomiting Secondary leukemias
Procarbazine	Unclear	Hodgkin lymphoma	Neutropenia Nausea Vomiting Secondary leukemias
ENZYMES			
Asparaginase	Depletes asparagine, on which leukemic cells depend	Acute lymphocytic leukemia	Acute anaphylaxis Hyperthermia Pancreatitis Hyperglycemia Hypofibrinogenemia
HORMONES			
Bicalutamide	Bind to androgen receptor	Prostate cancer	Decreased libido
Flutamide			Hot flushes Gynecomastia
Fulvestrant	Binds to estrogen receptor	Metastatic breast cancer	Nausea Vomiting Constipation Diarrhea Abdominal pain Headache Back pain Hot flushes Pharyngitis

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Leuprolide acetate	Inhibits gonadotropin secretion	Prostate cancer	Hot flushes Decreased libido Irritation at injection site
Megestrol acetate	Progesterone agonist	Breast cancer Endometrial cancer	Weight gain Fluid retention
Tamoxifen	Binds to estrogen receptor	Breast cancer	Hot flushes Hypercalcemia Deep venous thrombosis
HORMONES: AROMATASE INHIBITORS			
Anastrozole	Block conversion of androgen to estrogen	Breast cancer	Osteoporosis
Exemestane			Hot flushes
Letrozole			
MONOCLONAL ANTIBODIES			
Alemtuzumab	Binds to B and T cells	Lymphomas	Immunosuppression
Bevacizumab	Binds to vascular endothelial growth factor	Colon cancer Renal cancer	Hypersensitivity Bleeding Hypertension
Gemtuzumab	Binds to CD33 on leukemic cells	Acute myelocytic leukemia	Myelosuppression
Ibritumomab tiuxetan	Binds to CD20 on lymphoid cells	Lymphomas	Delivers radiation to cancer cells
Iodine-131 tositumomab Tositumomab	Binds to CD20 on lymphoid cells	Lymphomas	Myelosuppression Fever Rash
Rituximab	Binds to CD20 on B cells	B-cell lymphoma	Hypersensitivity Immunosuppression
Trastuzumab	Binds to HER2/neu receptor	Breast cancer	Hypersensitivity Cardiac toxicity
OTHER ANTIBIOTICS			
Mitomycin	Inhibits DNA synthesis by acting as a bifunctional alkylator	Breast cancer Colon cancer Gastric adenocarcinoma Lung cancer Transitional cell cancer of the bladder	Local extravasation causing tissue necrosis Myelosuppression, with leukopenia and thrombocytopenia 4 to 6 wk after treatment Alopecia Lethargy Fever Hemolytic-uremic syndrome

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PLATINUM COMPLEXES			
Carboplatin	Establishes cross links within and between DNA strands	Breast cancer Lung cancer Ovarian cancer	Myelosuppression Peripheral neuropathy
Cisplatin	Same as for carboplatin	Bladder cancer Breast cancer Head and neck cancer Gastric cancer Lung cancer (especially small cell) Testicular cancer	Anemia Ototoxicity Nausea Vomiting Peripheral neuropathy Myelosuppression
Oxaliplatin	Same as for carboplatin	Colon cancer	Myelosuppression Neuropathic throat pain Peripheral neuropathy
PROTEASOME INHIBITORS			
Bortezomib	Inhibits proteasome functions	Multiple myeloma	Myelosuppression Diarrhea Nausea Constipation Peripheral neuropathy
SPIDLE POISON (FROM PLANTS): TAXANES			
Docetaxel	Promotes assembly of microtubules	Breast cancer Head and neck cancer Lung cancer Ovarian cancer	Myelosuppression Alopecia Rash Fluid retention
Paclitaxel	Same as for docetaxel	Bladder cancer Breast cancer Head and neck cancer Lung cancer Ovarian cancer	Myelosuppression Alopecia Myalgia Arthralgia Neuropathy
SPIDLE POISON (FROM PLANTS): VINCAS			
Vinblastine	Arrests mitosis by inhibiting polymerization of microtubules	Breast cancer Ewing's sarcoma Leukemia Lymphomas Testicular cancer	Alopecia Myelosuppression Peripheral neuropathy
Vincristine	Same as for vinblastine	Acute leukemia Lymphoma	Peripheral neuropathy Ileus Syndrome of inappropriate antidiuretic hormone secretion
Vinorelbine	Same as for vinblastine	Breast cancer Lung cancer	Myelosuppression Neuropathy

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TOPOISOMERASE INHIBITORS: ANTHRACYCLINES			
Daunorubicin (daunomycin)	Inhibits topoisomerase II and causes DNA strands to break	Leukemia	Myelosuppression Cardiac toxicity at cumulative dosage > 1000 mg/m ²
Doxorubicin	Same as for daunorubicin	Acute leukemia Breast cancer Lung cancer Lymphoma	Nausea Vomiting Alopecia Myelosuppression Cardiac toxicity at cumulative dosage > 550 mg/m ²
Epirubicin	Same as for daunorubicin	Acute myelocytic leukemia Breast cancer Gastric cancer	Myelosuppression Cardiac toxicity at cumulative dosage > 1000 mg/m ²
TOPOISOMERASE INHIBITORS: CAMPTOTHECINS			
Irinotecan	Inhibits topoisomerase I	Colon cancer Lung cancer Rectal cancer	Diarrhea Myelosuppression Alopecia
Topotecan	Same as for irinotecan	Ovarian cancer Small cell lung cancer	Myelosuppression
TOPOISOMERASE INHIBITORS: PODOPHYLLOTOXINS			
Etoposide Teniposide	Inhibit topoisomerase II and cause DNA strands to break	Acute leukemia Hodgkin lymphoma Lymphoma Lung cancer (especially small cell) Testicular cancer	Nausea Vomiting Myelosuppression Peripheral neuropathy Increased toxicity in renal failure Neutropenia Cleared by liver and kidneys
Mitoxantrone	Same as for etoposide	Acute leukemia Lymphoma	Neutropenia Nausea Vomiting
TYROSINE KINASE INHIBITORS			
Erlotinib Gefitinib	Inhibit epidermal growth factor receptor	Non-small cell lung cancer	Acne Diarrhea
Imatinib	Inhibits Bcr-Abl kinase and c-kit kinase	Chronic myelocytic leukemia GI stromal tumors	Leukopenia Hepatocellular toxicity Edema

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Lapatinib	Inhibits Her2/neu activity	Breast cancer	Diarrhea Nausea Rash Vomiting Fatigue
Sorafenib	Inhibits intracellular and cell surface kinases (eg, vascular endothelial growth factor receptors)	Hepatocellular cancer Renal cancer	Hypertension Proteinuria
Sunitinib	Inhibits receptor tyrosine kinases	GI stromal tumors Renal cancer	Hypertension Proteinuria