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Cancer Research
Product Handbook

Cancer

Introduction

With the increasing rate of morbidity and mortality worldwide, cancer has become the leading cause from death and a global public health problem. According to statistics of Globocan 2020, there were an estimated 19.3 million new cases and nearly 10 million deaths from cancer[1].

The most common cases of cancer in 2020 (in terms of new cases) were breast cancer (2.26 million cases); lung cancer (2.21 million cases); colon and rectum cancer (1.93 million cases), and prostate cancer (1.41 million cases). The most common causes of death due to cancer in 2020 from lung cancer (1.80 million deaths); colon and rectum cancer (916 000 deaths); liver cancer (830 000 deaths); stomach cancer (769 000 deaths), and breast cancer (685 000 deaths). Figure 1 illustrates the most prevalent types of cancers in the world[1].

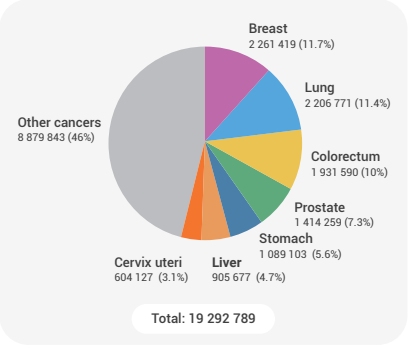


Figure 1. Estimated number of new cases in 2020, World, both sexes, all ages[1].

The traditional hallmarks of cancer include sustaining proliferative signaling, evading growth suppressors, resisting cell death, enabling replicative immortality, inducing angiogenesis, and activating invasion and metastasis. Nowadays, reprogramming of energy metabolism and evading immune destruction are also included as emerging hallmarks of cancer. This handbook discusses some hot topics in cancer research, such as cancer metabolism, cancer immunotherapy, and cancer stem cells, and lists some related small molecule inhibitors[2].

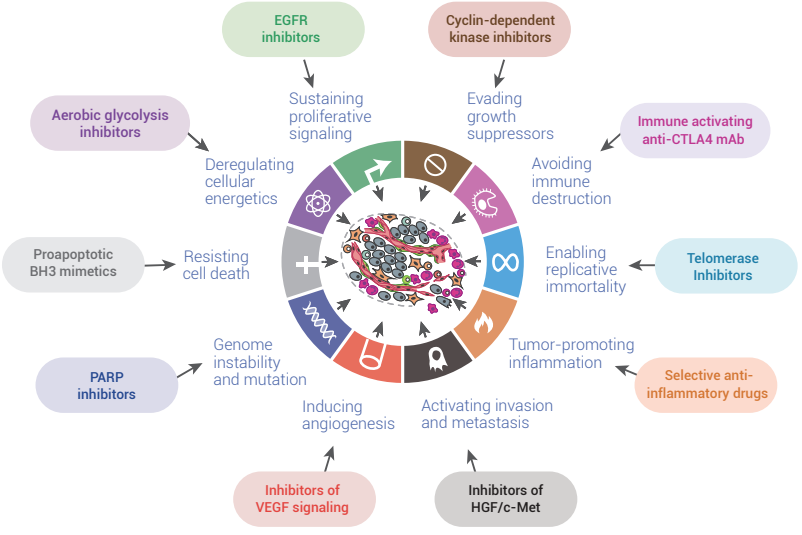


Figure 2. Therapeutic Targeting of the Hallmarks of Cancer[2].

Contents

Cancer Metabolism

Abnormal cancer metabolism, characterized by altered aerobic glycolysis and increased anabolic pathways, plays a crucial role in tumorigenesis, drug resistance, and cancer stem cells[3]. The protein targets of aberrant metabolism in cancer cells present new therapeutic perspectives, and great progress has been made in this research area.

Warburg effect or **altered aerobic glycolysis** indicates that cancer cells consume tremendous amount of glucose and metabolize it into lactate despite the presence of oxygen. Lactate and pyruvate generated in **altered aerobic glycolysis**, and intermediates from shortened TCA cycle can guarantee the sufficient biomass for synthesizing lipids, nucleotides and amino acids that are needed for proliferating cancer cells. Moreover, a high level of lactate offers an acidic immunosuppressive environment for cancer cells. Enzymes and signaling pathways involved in glycolysis such as glutaminase and PI3K/AKT/mTOR signaling pathway are promising targets for anti-cancer therapy[4].

In addition to carbohydrate metabolism, the metabolism of other molecules i.e., amino acid and fat metabolism pathways are also altered in cancer cells. Because of the inability to synthesize some non-essential amino acids, an extra supply of energy is necessary for the survival of cancer cells; targeting those molecules such as phosphoglycerate dehydrogenase (PHGDH) (which is involved in synthesis of amino acid, serine) is a potential anti-cancer approach. The majority of cancer cells can synthesize lipid de novo, which ensures a continuous supply of raw materials to build a cell membrane. Acetyl-coA carboxylase (ACC) and fatty acid synthase (FASN) are the key enzymes in lipid synthesis and might be important targets for anti-cancer therapy. Nucleotide metabolism is also hyperactive in many cancer cells. In fact, the clinical success of anti-metabolite chemotherapies for treating cancer is due to the increased demand of nucleotides for nucleotide biosynthesis and DNA replication[4].

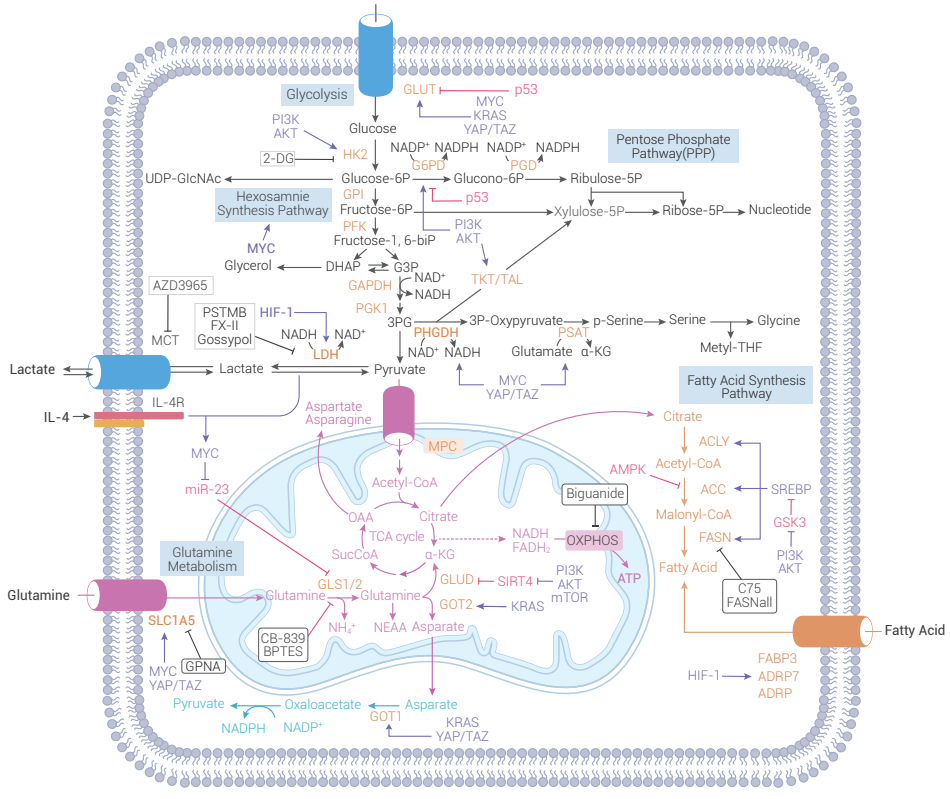


Figure 3. Main metabolic pathways deregulated in cancers[3].

Related Products

Cat. No. HY-100116A
Mitoquinone mesylate
A TPP-based, mitochondrially targeted antioxidant.

Cat. No. HY-12040
Elesclomol
Potent copper ionophore and promotes **cuproptosis**.

Cat. No. HY-100681
GSK2837808A
A selective lactate dehydrogenase A (**LDHA**) inhibitor.

Cat. No. HY-100017
BAY-876
An orally active **GLUT1** inhibitor, inhibits glycolytic metabolism and ovarian cancer growth.

Cat. No. HY-10450
Dapagliflozin
A sodium/glucose cotransporter 2 (**SGLT2**) inhibitor, induces HIF1 expression & attenuates renal IR injury.

Cat. No. HY-16214
FX-11
A selective **LDHA** inhibitor, reduces ATP levels and induces oxidative stress, ROS production and cell death.

Cat. No. HY-17386
Rosiglitazone
A **PPARγ** agonist, TRPC5 activator and TRPM3 inhibitor.

Cat. No. HY-P73090
GSK-3 beta
A key downstream protein of the **PI3 kinase/Akt** signaling pathway.

Cat. No. HY-P73718
PDK1
Serine/threonine protein kinase.

Cat. No. HY-Y0445A
Sodium dichloroacetate
Regulates various metabolism-related processes such as oxygen glycolysis, reactive oxygen species (ROS) production, etc.

Cat. No. HY-B0988
Deferoxamine mesylate
An iron chelator (binds to Fe(III) and many other metal cations), reduces iron accumulation and deposition.

Cat. No. HY-P7744
Catalase
Key enzymes for H_2O_2 and reactive nitrogen metabolism.

Compound Screening Libraries

Glycolysis Compound Library

Cat. No. : HY-L058

A unique collection of **600+** glycolysis-related small molecules targeting **hexokinase, glucokinase, enolase, pyruvate kinase, PDHK,** etc.

Glycolysis Compound Library

Cat. No. : HY-L064

A unique collection of **800+** glutamine metabolism -related small molecules targeting **glucose transporter, glutamate dehydrogenase, glutaminase, c-Myc** ,etc.

Anti-Cancer Metabolism Compound Library

Cat. No. : HY-L083

A unique collection of **1,700+** cancer metabolism-related small molecules that can be used in ancer metabolism research and anti-cancer drug discovery.

Glucose Metabolism Compound Library

Cat. No. : HY-L092

A unique collection of **900+** small molecule compounds targeting **GLUT, Hexokinase, Pyruvate Kinase, IDH**, etc.

Cancer Immunotherapy

Cancer immunotherapy (CIT) is a type of biological therapy aiming to improve anti-tumor immune response with less off-target effects than chemotherapy. Different forms of cancer immunotherapy including oncolytic virus therapies, cancer vaccines, cytokine therapies, adoptive cell transfer (ACT), and immune checkpoint inhibitors (ICIs) have evolved and shown promise in clinical trials[3].A variety of proteins/receptors are now being investigated as potential targets for cancer immunotherapy, in which immune checkpoints and tumor microenvironment (TME) are promising research areas[5].

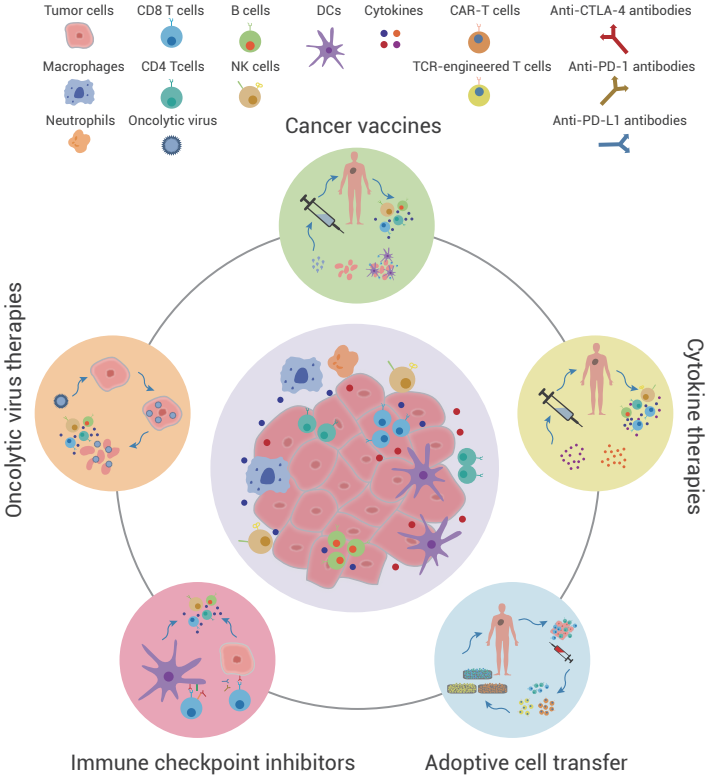


Figure 4. The major categories of immunotherapy[5].

Immune checkpoints are regulators of the immune system which include stimulatory checkpoint molecules and inhibitory checkpoint molecules. Stimulatory checkpoint molecules such as CD28 and TCR (T Cell Receptor) are necessary for activation of T cells whereas inhibitory checkpoint molecules i.e., PD-1 and CTLA4 cause inhibition of T cells. Targeting the inhibitory checkpoints using antibodies or small molecules is a promising treatment strategy for cancer[6].

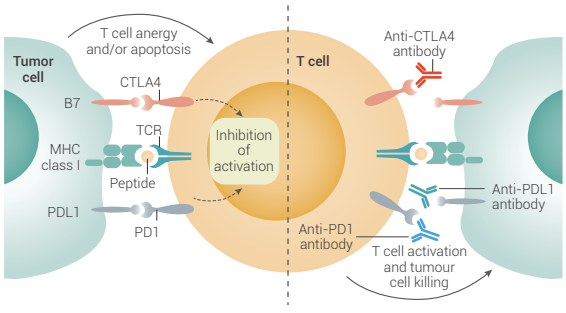


Figure 5. Targets of currently FDA-approved immune checkpoint inhibitors[6].

The tumor microenvironment (TME) is the cellular environment in which tumor exists and includes surrounding blood vessels, the extracellular matrix (ECM), other non-malignant cells, and signaling molecules etc. Researchers have recognized that normal cells in TME are stromal cells, immune cells, and endothelial cells, etc. Except toxic T cells and B cells, regulatory T cells, natural killer (NK) cells, neutrophils, tumor-associated macrophages (TAMs), and myeloid derived suppressor cells (MDSCs) are also part of tumor microenvironment. The immune surveillance functions of immune cells are often suppressed by multiple mechanisms. The growth factors secreted by stromal cells and cancer-associated fibroblasts (CAFs) can not only promote growth and survival of malignant cells but also function as negative regulators of the immune response. All the components contribute to an immunosuppressive TME. Molecules associated with TME such as cytokine receptors and metabolic enzymes are crucial targets in cancer immunotherapy. These include RORγt, Chemokine receptor (CXCR), STING, IDO, and TLR, etc[7].

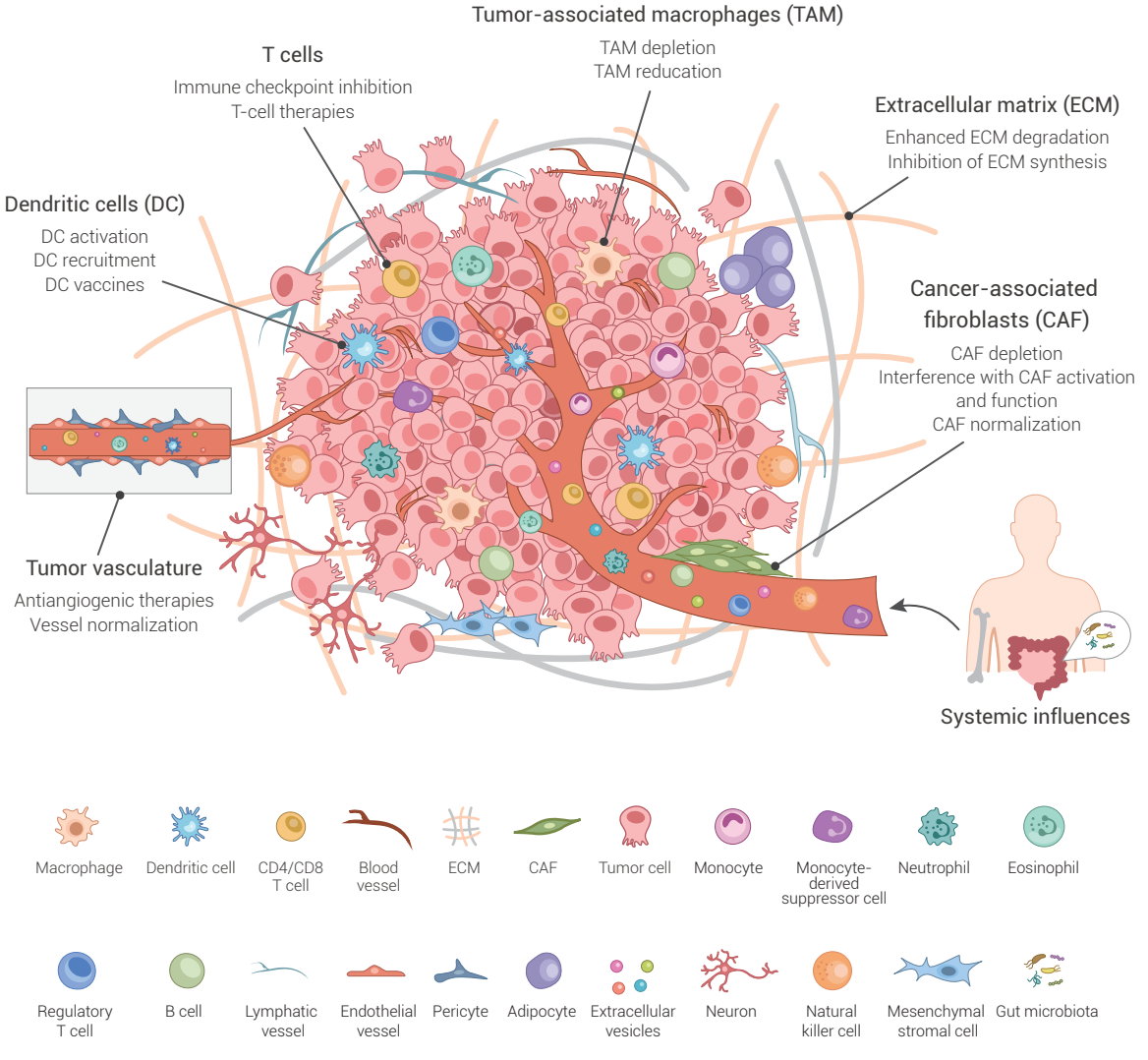
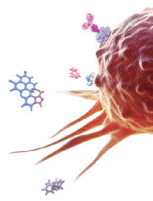


Figure 6. The TME is composed of diverse cell types and secreted factors that represent targets for anti-cancer therapies[7].



Related Products

Cat. No. HY-111789

Subasumstat

A first in class inhibitor of the **SUMOylation** enzymatic cascade, with potential immune-activating and antineoplastic activities.

Cat. No. HY-13756

Tacrolimus

A macrocyclic lactone with **immunosuppressive properties**, inhibits T-lymphocyte signal transduction and IL-2 transcription.

Cat. No. HY-11109

Resatorvid

A selective **TLR4** inhibitor, inhibits NO, TNF-α and IL-6 production.

Cat. No. HY-101979

Numidargistat (CB-1158)

An orally active inhibitor of **arginase**. Immuno-oncology agent.

Cat. No. HY-136927

MSA-2

A **STING** agonist, stimulates interferon-β secretion in tumors, induces tumor regression.

Cat. No. HY-B0579

Cyclosporin A

An **immunosuppressant**, inhibits calcineurin and CD11a/CD18 adhesion.

Cat. No. HY-16046

Rimiducid

A dimerizer agent that acts by cross-linking the **FKBP** domains.

Cat. No. HY-19991

BMS-1

Inhibits the **PD-1/PD-L1** protein/protein interaction.

Cat. No. HY-12885B

ADU-S100 ammonium salt

An STING activator, leads to systemic tumor regression and antitumor immunity.

Cat. No. HY-P70684

CTLA-4

A leukocyte differentiation antigen, acts as an immune checkpoint and downregulates the immune response.

Cat. No. HY-P7326

CD276/B7-H3

B7 molecular family of **immune checkpoints**.

Cat. No. HY-P73361

PD-L1

Regulates the immune system by suppressing **T-cell inflammatory** activity.

Cat. No. HY-P9901

Ipilimumab

A fully human mAb that blocks **CTLA-4**.

Cat. No. HY-P9902

Pembrolizumab

An anti-human **PD-L1** mAb.

Cat. No. HY-P99029

Magrolimab

An anti-human **CD47** mAb.

Cat. No. HY-P9903

Nivolumab

An anti-human **PD-1** mAb.

Cat. No. HY-P9905

Cetuximab

An anti-human **EGFR** mAb.

Compound Screening Library

Small Molecule Immuno-Oncology Compound Library

Cat. No. : HY-L031

A unique collection of **400+** bioactive tumor immunology compounds that target some important checkpoints such as **PD1/PD-L1, CXCR, STING, IDO, TLR**, etc.

Cancer Targeted Therapy

Cancer targeted therapy is the foundation of precision medicine, it uses drugs or other substances to target specific genes and proteins that control cancer cells' growth, multiplication and metastasis. Compared to traditional chemotherapy drugs, targeted-drugs can specifically act on cancer cells with high efficacy without affecting normal cells. Drugs used in cancer targeted therapy mainly include small molecules and large molecules (e.g., monoclonal antibodies), that can target cancer cells and other cells in the tumor microenvironment to activate the immune system. Anti-angiogenesis drugs, such as those targeting vascular endothelial growth factor (VEGF), epidermal growth factor receptor (EGFR), transforming growth factor (TGF)-α, TGF-β, Tumor necrosis factor (TNF)-α, and platelet-derived endothelial growth factor (PDGFR) inhibit the proliferation and metastasis of cancer cells. In recent years, the proportion of antibody drugs (therapeutic antibodies) against angiogenesis in cancer treatment has also increased and received approval from FDA.

Targeted therapy is a useful strategy in treatment of cancer either alone or in combination with traditional chemotherapy. At present, targeted therapy has proved significant clinical success in treating many types of cancer including breast, colorectal, leukemia, ovarian, and lung cancers[8].

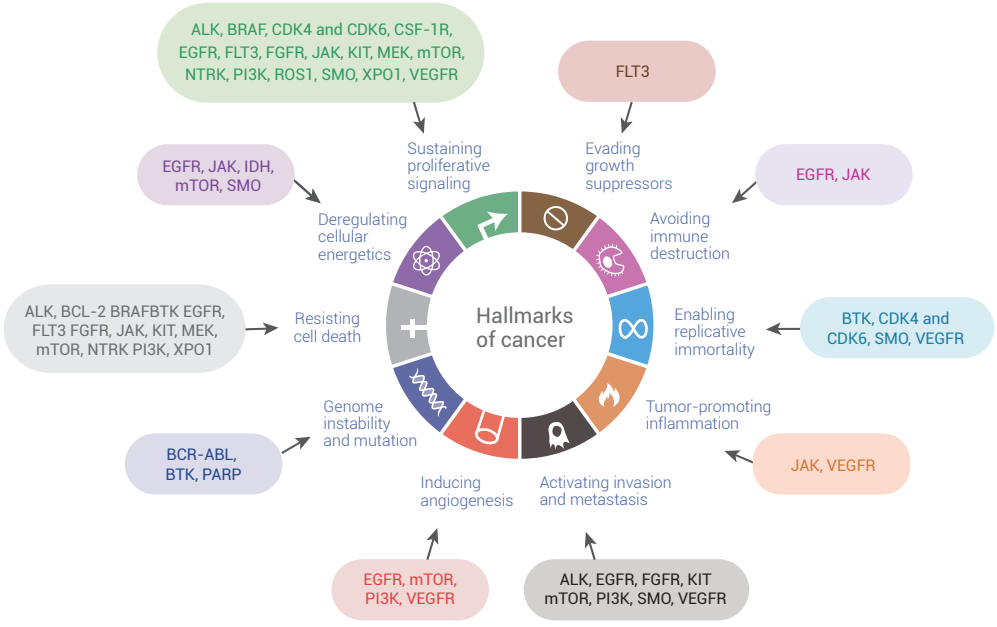


Figure 7. Targets of approved small molecule inhibitors[8].

Related Products

Cat.No. HY-100741

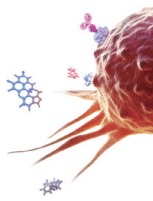
S63845

A selective myeloid cell leukemia 1 (MCL1) inhibitor.

Cat.No. HY-10087

Navitoclax

An inhibitor of multiple anti-apoptotic Bcl-2 family proteins (Bcl-x_L, Bcl-2 and Bcl-w).



Related Products

Cat. No. HY-10162
Olaparib
An orally active **PARP** inhibitor, induces autophagy and mitophagy.

Cat. No. HY-10201
Sorafenib
An orally active, multi-targeted inhibitor targeting **Raf, VEGFR2, VEGFR3, PDGFRβ, FLT3** and **c-Kit**.

Cat. No. HY-10261
Afatinib
An orally active, dual specific inhibitor of ErbB family (**EGFR** and **HER2**).

Cat. No. HY-10374
Semaxinib
A selective inhibitor of VEGFR (**Flk-1/KDR**).

Cat. No. HY-10981
Lenvatinib
A multi-targeted inhibitor, inhibits **VEGFR1-3, FGFR1-4, PDGFR, KIT,** and **RET**.

Cat. No. HY-134813
MRTX1133
A noncovalent, potent, and selective **KRAS G12D** inhibitor.

Cat. No. HY-130149
Adagrasib (MRTX849)
An orally active, and mutation selective covalent **KRAS G12C** inhibitor.

Cat. No. HY-132167
Saruparib
A **PARP** inhibitor, inhibits growth in cells with deficiencies in DNA repair.

Cat. No. HY-114277
Sotorasib
A first-in-class, orally active, and selective **KRAS G12C** covalent inhibitor.

Cat. No. HY-134836
STM2457
A first-in-class, selective and orally active **METTL3** inhibitor.

Cat. No. HY-15244
Alpelisib
A potent, selective, and orally active **PI3Kα** inhibitor.

Cat. No. HY-15431
Capivasertib
An orally active and potent pan-**AKT** kinase inhibitor.

Cat. No. HY-15531
Venetoclax
A highly potent, selective and orally active **Bcl-2** inhibitor, induces **autophagy**.

Cat. No. HY-15772
Osimertinib
An orally active, mutant-selective **EGFR** inhibitor against L858R/T790M.

Cat. No. HY-16749
Pexidartinib (PLX-3397)
An ATP-competitive colony stimulating factor 1 receptor (**CSF1R**) and **c-Kit** inhibitor.

Cat. No. HY-50767
Palbociclib
A **CDK4** and **CDK6** inhibitor, induces cell cycle arrest in cancer cells.

Cat. No. HY-50904
Nintedanib
A potent triple angiokinase inhibitor for **VEGFR**1/2/3, **FGFR**1/2/3 and **PDGFR**α/β.

Cat. No. HY-70002
Enzalutamide
An **androgen receptor** (AR) antagonist. An autophagy activator.

Cat. No. HY-P9910
Obinutuzumab
A novel glycoengineered Type II **CD20** humanized IgG1 mAb.

Cat. No. HY-P9912
Pertuzumab
An anti-human **HER2** mAb for metastatic HER2-positive breast cancer research.

Cat. No. HY-P9913
Rituximab
An anti-human **CD20** mAb, for the reserach of autoimmune diseases and types of cancer.

Related Products

Cat. No. HY-P9915

Daratumumab

A first-in-class human-specific anti-**CD38**, has anti-multiple myeloma (MM) effect.

Cat. No. HY-P9920

Ramucirumab

An anti-human **VEGFR-2** mAb, used in cancer study.

Cat.No. HY-P9976

Isatuximab

A monoclonal antibody targeting **CD38**, with antitumor activity.

Compound Screening Libraries

Anti-Cancer Compound Library

Cat. No. : HY-L025

A unique collection of **6,700+** bioactive anti-cancer compounds for the discovery of anti-cancer drugs.

Targeted Therapy Drug Library

Cat. No. : HY-L080

A unique collection of **100+** targeted therapy drugs targeting include **EGFR, Bcr-Abl, ALK, JAK, Epigenetics**, etc. Targeted Therapy Drug Library is a useful tool for the research of targeted therapy.

Chemotherapy Drug Library

Cat. No. : HY-L112

A unique collection of **100+** chemotherapy drugs for cancer treatment research.

FDA-Approved Anticancer Drug Library

Cat. No. : HY-L122

A unique collection of **1,100+** approved drugs with anti-cancer activity for anti-cancer research and drug repurposing.

Cancer Stem Cells

Heterogeneity is one of the most relevant features of cancer cells within different tumor types and is responsible for treatment failure and recurrence. Cancer stem cells (CSCs) are a population of cells with stem cell properties that are considered to be the root cause of tumor heterogeneity because of their ability of self-renewal and differentiation into all cancer cell types.

CSCs are generally considered insensitive to traditional chemotherapy drugs. Conventional therapy kills non-CSCs but leaves CSCs untouched, leading to tumor relapse. Killing the CSCs may result in eventual tumor eradication. During anti-CSC cancer therapy, CSCs differentiation into non-CSCs is enhanced and self-renewal property of CSCs is inhibited. CSCs present in tumor microenvironment are promising targets. What's more, molecules or pathways directly related to drug resistance shown by CSCs such as multidrug resistance proteins and anti-apoptotic pathways have also been explored.

To date, the most studied signaling pathways associated with the self-renewal of CSCs are the Hedgehog signaling, Notch signaling pathway, and Wnt/β-catenin signaling pathway. For enhancing differentiation of CSCs, bone morphogenic protein (BMP) signaling and P13K/mTOR signaling are among the most studied signaling pathways[9].

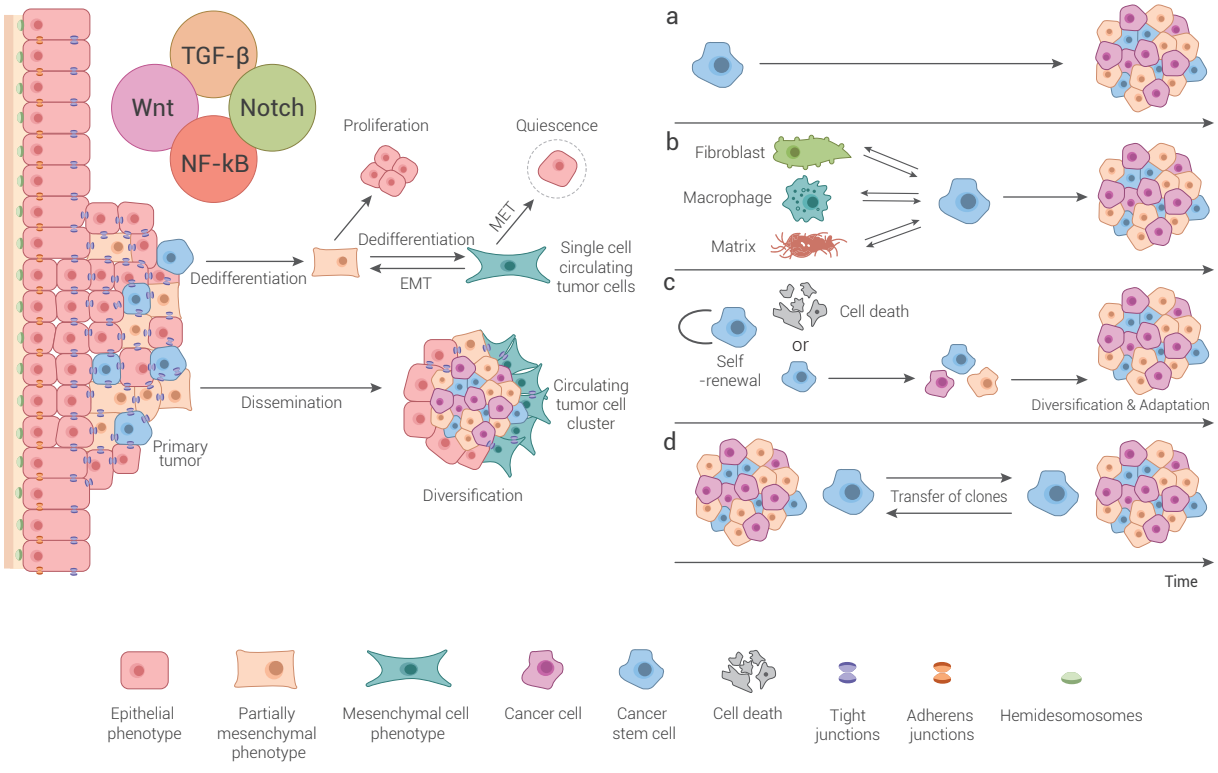


Figure 8. The role of CSCs in metastatic and heterogeneous cancer progression[9].

Related Products

Cat. No. HY-100526
XMU-MP-1
A selective **MST1/2** inhibitor.

Cat. No. HY-10409
Fedratinib
An ATP-competitive **JAK2** inhibitor.

Cat. No. HY-15315
Baricitinib
A selective **JAK1** and **JAK2** inhibitor.

Cat. No. HY-10182
Laduviglusib
A **GSK-3α/β** inhibitor and **Wnt/β-catenin** signaling pathway activator, enhances mouse and human embryonic stem cells self-renewal.

Cat. No. HY-10583
Y-27632 dihydrochloride
An orally active and ATP-competitive **ROCK** (Rho-kinase) inhibitor with antiepileptic effects.

Cat. No. HY-12302
Kenpaullone
An inhibitor of **CDK1/cyclin B, GSK-3β** and **KLF4**, reduces self-renewal of breast cancer stem cells and cell motility ***in vitro***.

Cat. No. HY-12238
IWR-1
A **tankyrase** inhibitor, inhibits **Wnt/β-catenin** signaling pathway.

Cat. No. HY-13257
Thiazovivin
A **ROCK** inhibitor, improves the efficiency of iPSC generation.

Cat. No. HY-13901
GANT 61
An inhibitor of **Gli1** and **Gli2** targeting the Hedgehog/GLI pathway.

Cat. No. HY-13919
Napabucasin
A **STAT3** inhibitor which blocks stem cell activity in cancer cells.

Cat. No. HY-10440
Vismodegib
An orally active **hedgehog** pathway inhibitor.

Cat. No. HY-15392
Chroman 1
A **ROCK** and **MRCK** inhibitor.

Cat. No. HY-40354
Tofacitinib
An orally available **JAK3/2/1** inhibitor.

Cat. No. HY-P70505
CD19 Protein
A myeloma cancer stem cell markers.

Cat. No. HY-P70809
CD24 Protein
Breast cancer stem cell markers.

Cat. No. HY-50856
Ruxolitinib
A JAK1/2 inhibitor, induces autophagy and kills tumor cells through toxic mitophagy.

Cat. No. HY-P71219
Podoplanin Protein
A Glioma/Medulloblastoma cancer stem cell marker.

Cat. No. HY-P72197
FOXM1 Protein
A cancer stem cell tanscription factor.

Compound Screening Library

Cancer Stem Cells Compound Library

Cat. No. : HY-L135

A unique collection of **1,800+** bioactive tumor immunology compounds that target some important checkpoints such as **PD1/PD-L1, CXCR, STING, IDO, TLR,** etc.

PROTACs

PROTACs or PROteolysis TArgeting Chimeric Molecules are structurally comprised of two recognition motifs linked by a linker. One recognition motif is a small molecule ligand for the protein of interest, the other recognizes a specific E3 ligase. A PROTAC can recruit an E3 ligase to a target protein and result in the degradation of the protein through ubiquitination proteasome pathway.

PROTACs are an emerging and promising approach for the development of targeted therapy drugs and many PROTACs with high potency have been frequently reported.

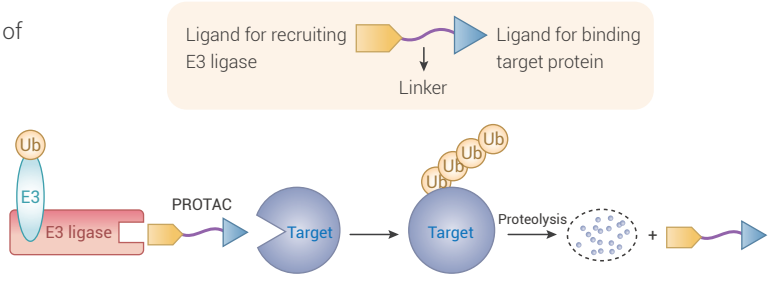


Figure 9. Structure of PROTACs[10].

All components of PROTACs (target protein ligand, E3 ligase ligand and linker) are extensively used in designing new PROTACs. Recently, epigenetic targets (e.g., bromodomain and extraterminal (BET) proteins), nuclear receptors (such as RAR, ER, and AR) and kinases (CDK, RIPK2) have successfully been targeted by PROTACs. The E3 ligases commonly used in PROTACs are VHL, Cereblon, IAP, and MDM2, etc.

300+
PROTAC
Heterobifunctional nanomolecules that structurally comprised of two functional motifs linked by a linker.

3,000+
PROTAC Linker
Connects two functional motifs of a PROTAC, a target protein binder and an E3 ligase recruiter.

100+
Ligand for E3 Ligase
Binds to a pocket or surface of the E3 ligase, to provide a suitable starting point for the design of the bifunctional PROTACs.

20+
Target Protein Ligand-Linker Conjugate
Incorporates a ligand for the target protein and a linker. When binding to an E3 ligand, the conjugate will be a PROTAC to induce ubiquitylation and subsequent degradation of target proteins.

300+
E3 Ligase Ligand-Linker Conjugate
One part of PROTACs, incorporates a ligand for the E3 ubiquitin ligase and a linker.

5+
PROTAC-linker Conjugate for PAC
Comprises an antibody conjugated via a linker to a PROTAC.

70+
Ligand for Target Protein for PROTAC
Leads to attachment of a PROATC to target proteins for ubiquitylation and subsequent degradation.

20+
SNIPER
Induces IAP-mediated ubiquitylation and proteasomal degradation of target proteins.

Related Products

Cat.No. HY-100972
ARV-771
A BET **PROTAC** based on E3 ligase von Hippel-Lindau.

Cat.No. HY-128359
ACBI1
A SMARCA2, SMARCA4 and PBRM1 **PROTAC**, shows anti-proliferative activity.

Cat.No. HY-112588
dBET6
A **PROTAC** connected by ligands for Cereblon and BET.

Cat.No. HY-114312
MD-224
A MDM2 **PROTAC**, consists of ligands for Cereblon and MDM2.

Cat.No. HY-107425
MZ 1
A **PROTAC** connected by ligands for von Hippel-Lindau and BRD4.

Cat.No. HY-145388
AU-15330
A **PROTAC** degrader of the SWI/SNF ATPase subunits, SMARCA2 and SMARCA4.

Cat.No. HY-138642
Vepdegestrant
A estrogen receptor **PROTAC** protein degrader for breast cancer research.

Cat.No. HY-134582
dCBP-1
A p300/CBP **PROTAC** based on Cereblon ligand.

Cat.No. HY-16954
ARV-825
A **PROTAC** connected by ligands for Cereblon and BRD4.

Cat.No. HY-100947
VH-298
A **PROTAC** degrader of the VHL:HIF-α interaction.

Cat.No. HY-A0003
Lenalidomide
A Ligand of CRBN, acts as a **molecular glue**.

Cat.No. HY-10997
Ibrutinib
A Btk inhibitor, acts as a ligand for target protein for **PROTAC**.

Cat.No. HY-13001
Quizartinib
A Type II FLT3 tyrosine kinase inhibitor, acts as **a ligand for target protein** for PROTAC.

Cat.No. HY-13030
(+)-JQ-1
A BET bromodomain inhibitor, acts as **a ligand for target protein** for PROTAC.

Cat.No. HY-101291
Iberdomide
A Cereblon (CRBN) **E3 ligase** modulator, has antitumor and immunostimulatory activities.

Cat.No. HY-101488
CC-885
A **Cereblon (CRBN)** modulator with potent anti-tumour activity, acts as a **molecular glue**.

Cat.No. HY-129395
Mezigdomide
A Cereblon E3 ubiquitin ligase modulating drug (CELMoD), acts as a **molecular glue**.

Cat.No. HY-B0579
Cyclosporin A
An immunosuppressant, binds to the cyclophilin and inhibits phosphatase activity of calcineurin, acts as a **molecular glue**.

Cat.No. HY-148333
MS177
An effective and fast-acting **PROTAC**-based EZH2 degrader.

Cat.No. HY-145765
JQAD1
A CRBN-dependent **PROTAC** that selectively targets EP300 for degradation.

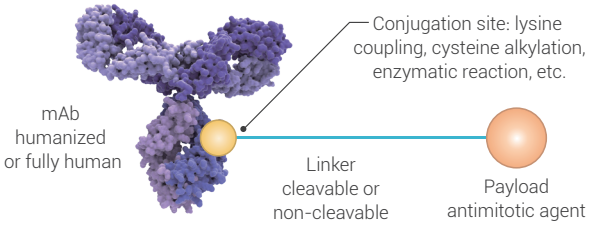
Cat.No. HY-117690
dBRD9
A selective **PROTAC**-based BRD degrader.

Antibody-Drug Conjugates (ADCs)

Antibody-Drug Conjugates (ADCs) are potent biopharmaceutical cancer-targeted drugs comprised of a humanized or human monoclonal antibody conjugated with cytotoxic drugs (payloads) via a chemical linker.

ADCs exhibit high selectivity and toxicity to the tumor, and become one of the fastest-growing classes of therapeutics. To date, several ADCs (Mylotarg, Adcetris, Kadcyla, Besponsa, Lumoxiti, Polivy) have been approved for tumor treatment and hundreds of ADCs are currently in clinical trials.

Except for specific antigen and antibody, linkers and payloads are also very important factors for the efficacy of ADCs. The following products including cytotoxins, linkers and drug-linker conjugates for ADCs are available in MedChemExpress (MCE).



Key features

-High potency
-Low immunogenicity
-Low cytotoxicity to off-target cells
- High cancer cell specificity
- Long circulating life

Figure 10. Structure of ADCs[11].

Related Products

Cat. No. HY-132254
Sacituzumab govitecan
An ADC targeting Trop-2 for delivery of SN-38 (topoisomerase I inhibitor).

Cat. No. HY-138298A
Trastuzumab deruxtecan
An ADC targeting HER2 for delivery of Dxd (topoisomerase I inhibitor).

Cat. No. HY-19609
Calicheamicin
An antitumor antibiotic, causes double-strand DNA breaks.

Cat. No. HY-14519
Methotrexate
An antimetabolite and antifolate agent, inhibits the enzyme dihydrofolate reductase and DNA synthesis.

Cat. No. HY-12454
DM4
An antitubulin agent that inhibits cell division.

Cat. No. HY-15584
Taltobulin
A potent antimicrotubule agent, induces mitotic arrest and apoptosis.

Cat. No. HY-19792
Mertansine
A microtubulin inhibitor, acts as a cytotoxic component of ADC.

Cat. No. HY-15162
Monomethyl auristatin E
Synthetic derivative of dolastatin 10, also known as MMAE, inhibits tubulin polymerization.

Cat. No. HY-15579
MMAF
A tubulin polymerization inhibitor, used as a antitumor agent.

Cat. No. HY-101161
SG3199
A cytotoxic DNA minor groove interstrand crosslinking pyrrolobenzodiazepine (PBD) dimer.

Cat. No. HY-19610
α-Amanitin
The principal toxin of several deadly poisonous mushrooms, inhibits RNA-polymerase II, acts as a cytotoxic component of ADC.

Related Products

Cat. No. HY-13631D

Dxd

A DNA topoisomerase I inhibitor, used as a conjugated drug of HER2-targeting ADC (DS-8201a).

Cat. No. HY-100374

Val-Cit-PAB-MMAE

An **ADC drug-linker conjugate**, composed of MMAE and the ADCs linker (peptide Val-Cit-PAB).

Cat. No. HY-101070

SMCC-DM1

An **ADC drug-linker conjugate** composed of DM1 (microtubule-disrupting agent) and a linker SMCC.

Cat. No. HY-112786

MC-Val-Cit-PAB-MMAF

An **ADC drug-linker conjugate** composed of MMAF and cathepsin cleavable MC-Val-Cit-PAB.

Cat. No. HY-117410

Vipivotide tetraxetan

A prostate-specific membrane antigen (PSMA) inhibitor composed of pharmacophore Glutamate-urea-Lysine, the chelator DOTA, and a linker.

Cat. No. HY-128946

CL2A-SN-38

An **ADC drug-linker conjugate** composed of SN-38 and a linker CL2A.

Compound Screening Library

Toxins for Antibody-Drug Conjugate Research Library

Cat. No. : HY-L023

A unique collection of **50+** highly potent cytotoxins that contain auristatin derivatives, maytansinoids, calicheamicin, duocarmycin, pyrrolobenzodiazepines (PBDs), etc.

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