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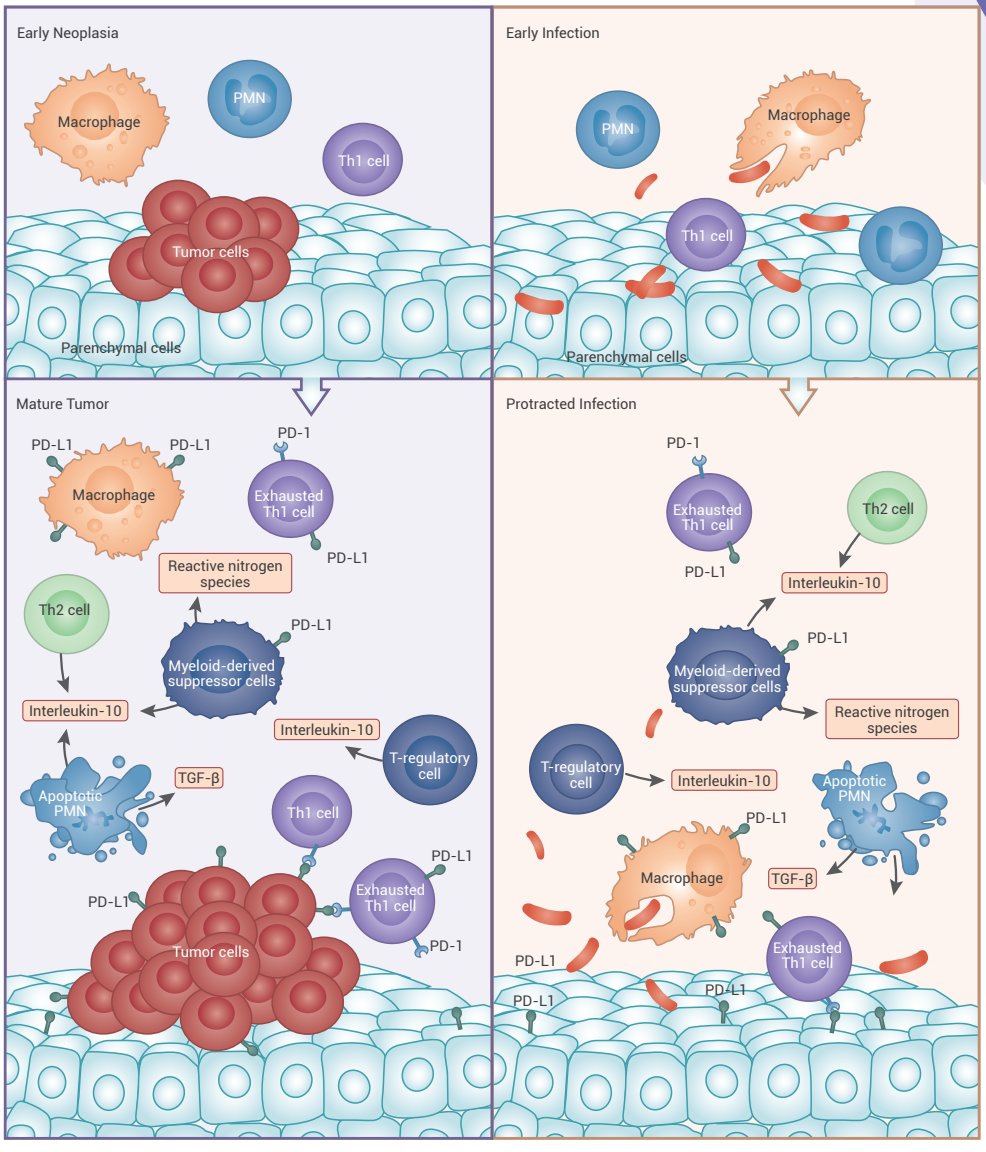
Immunology

Product Handbook



Reference:
Richard S. Hotchkiss, M.D., and Lyle L. Moldawer, Ph.D. Parallels between Cancer and Infectious Disease.

Immunology

The immune system is a network consists of multiple cells, tissues, and organs that work together to protect an organism from diseases. Immune system recognizes self and non-self, and responds to a wide variety of pathogen, from viruses, bacteria, fungi, parasites to cancer cells.

Human have two major subsystem of immune system: the innate immune system and the adaptive immune system. The innate immune system, the system we born with, provide a preconfigured response to broad groups of stimuli, and can respond quickly during early onset of infection. The adaptive immune system only developed when our body is exposed to the pathogen, and can act with great specificity.

In a balanced immune system, immune cells distinguish “non-self” from “self”, innate immunity and adaptive immunity collaborates efficiently to wipe out invaders. While disordered immune system are leading causes of multiple major diseases: inactivated immune system induce infectious diseases and cancer, while overactive immune response led to autoimmune diseases like lupus erythematosus, rheumatoid arthritis.

In this brochure, brief introductions will be given to SARS-CoV, cancer immunotherapy, innate immunity, inflammation and anti-infection.

Contents

SARS-CoV & Antiviral Immunity

Coronavirus disease 2019 (COVID-19) is an infectious disease with major symptoms of fever and pneumonia. COVID-19 is caused by SARS coronavirus 2 (SARS-CoV-2), which belongs to coronavirus (CoV). CoV have four main structural proteins: spike (S), membrane (M), envelope (E), and nucleocapsid (N) proteins[1].

After primed by a protease called TMPRSS2 (transmembrane protease, serine 2), the S protein mediates the CoV entry into host cells by attaching to a cellular receptor named ACE2, followed by fusion between virus and host cell membranes[2]. Genome replication and subgenomic RNA transcription after entry carry on with the participation of many nonstructural proteins such as Mpro (main protease or 3CLpro), PLpro (papain-like protease) and RdRp (RNA-dependent RNA polymerase). Then the structural proteins are translated, assembled into mature virions, and released via vesicles by exocytosis. What’s worth mentioning, the vast release of cytokines (such as IL-1β, GM-CSF, IL-6, IL-10) by the immune system in response to severe infection of SARS-CoV-2 called cytokine storm contributes largely to the mortality of COVID-19.

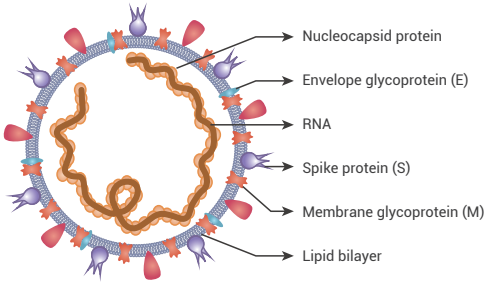


Figure 1. Structure of respiratory syndrome causing human coronavirus [3]

MCE provide 100+ small molecules with potential to cure COVID-19, including antiviral compounds targeting TMPRSS2, Mpro, PLpro etc., anti-inflammatory drugs like Dexamethasone and various of immune regualtors.

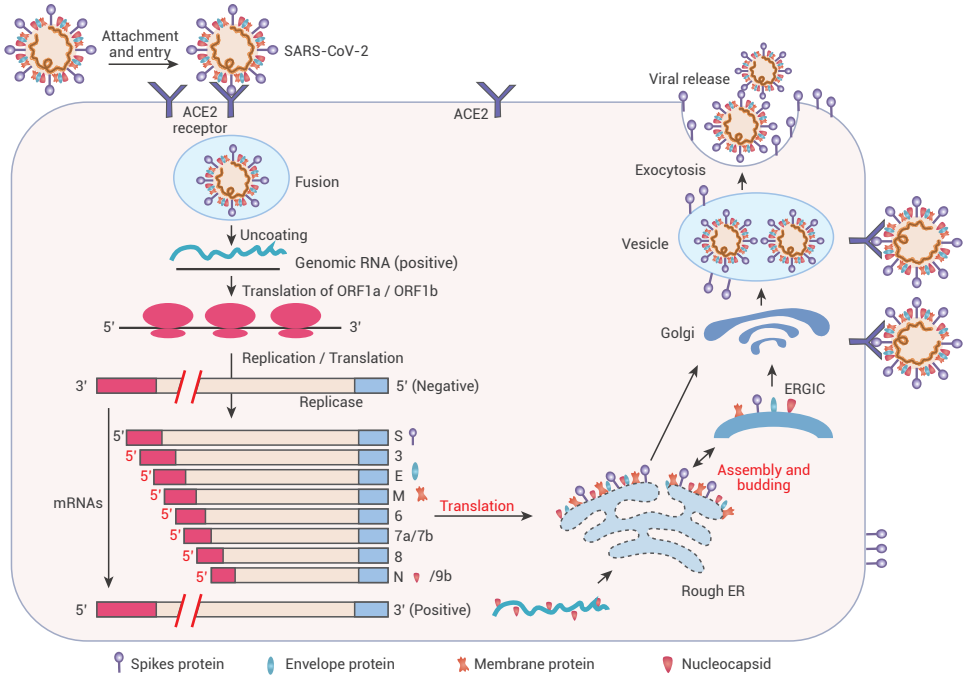


Figure 2. The life cycle of SARS-CoV-2 in host cells [3]

Compounds

Cat. No.	Product Name	Description
HY-14648	Dexamethasone	Glucocorticoid receptor agonist, highly effective in the control of severe COVID-19.
HY-15287	Nelfinavir	Potent and orally bioavailable HIV-1 protease inhibitor, also inhibits SARS-CoV.
HY-18649A	Galidesivir	Adenosine analog, active in vitro against MERS-CoV, SARS-CoV, and SARS-CoV-2.
HY-117043	GRL0617	Inhibitor of SARS-CoV papain-like protease/deubiquitinase.
HY-B1123	Auranofin	Thioredoxin reductase (TrxR) inhibitor, exhibits antiviral activity against SARS-CoV.
HY-90001	Ritonavir	Inhibitor of HIV protease, used to treat AIDS.
HY-14588	Lopinavir	Peptidomimetic inhibitor of the HIV-1 protease.
HY-17589A	Chloroquine	Antimalarial and anti-inflammatory agent widely used, shows in vitro activity for SARS-CoV-2 infection.

		Compounds
Cat. No.	Product Name	Description
HY-B1370	Hydroxychloroquine	Synthetic antimalarial agent, efficiently inhibits SARS-CoV-2 infection in vitro.
HY-13004	Maraviroc	Selective CCR5 antagonist with activity against human HIV.
HY-50101	Mavorixafor	CXCR4 antagonist, inhibits the replication of T-tropic HIV-1.
HY-125033	EIDD-1931	Nucleoside analog and behaves as a potent anti-virus agent.
HY-12559	Alisporivir	Cyclophilin inhibitor with potent anti-hepatitis C virus activity.
HY-P2036A	FSL-1 TFA	Bacterial-derived TLR2/6 agonist, enhances resistance to experimental HSV-2 infection.
HY-B0240	Disulfiram	ALDH1 inhibitor, inhibit PIpros of MERS-CoV and SARS-CoV.
HY-13986	Merimepodib	IMPDH inhibitor with broad spectrum antiviral activities.
HY-14768	Favipiravir	Potent viral RNA polymerase inhibitor.
HY-30234A	Clemizole hydrochloride	H1 histamine receptor antagonist, shows antiviral activity against HCV.
HY-13512	Camostat mesylate	Serine protease inhibitor for chronic pancreatitis, shows antiviral activity against SARS-CoV-2.
HY-13750	Ebselen	Potent voltage-dependent calcium channel (VDCC) blocker, an inhibitor of HIV-1 capsid CTD dimerization.
HY-B0182	Carmofur	Acid ceramidase inhibitor, inhibits the SARS-CoV-2 main protease (Mpro).
HY-17026	Gemcitabine	Pyrimidine nucleoside analog antimetabolite and antineoplastic agent.
HY-10586	5-Azacytidine	Nucleoside analogue of cytidine that specifically inhibits DNA methylation.
HY-13605	Cytarabine	Nucleoside analog, has antiviral effects against HSV.
HY-P9917	Tocilizumab	Anti-human IL-6R neutralizing antibody, effective for the study of severe COVID-19.
HY-P0012A	Aviptadil acetate	Vasoactive intestinal polypeptide, potentially used for SARS-CoV-2 caused respiratory failure.
HY-135867D	NHC-diphosphate	Pyrimidine ribonucleoside, behaves as a potent anti-virus agent.

Compounds

Cat. No.	Product Name	Description
HY-D1270	Direct Violet 1	Textile dye, inhibit the interaction between the SARS-CoV-2 spike protein and ACE2.
HY-15463	Imatinib	Tyrosine kinases inhibitor, inhibits SARS-CoV and MERS-CoV.
HY-13433	Thapsigargin	Inhibitor of microsomal Ca2+-ATPase, efficiently inhibits coronavirus replication in different cell types.
HY-100229	Aloxistatin	Broad-spectrum cysteine protease inhibitor, exhibits entry-blocking effect for MERS-CoV.
HY-B0190A	Nafamostat mesylate	Serine protease inhibitor, blocks activation of SARS-CoV-2.
HY-14904A	Umifenovir hydrochloride	Broad-spectrum antiviral compound, shows as an efficient inhibitor of SARS-CoV-2 in vitro.
HY-14393	Emodin	Anthraquinone derivative, anti-SARS-CoV compound.
HY-B0260	Methylprednisolone	Synthetic corticosteroid, improves severe or critical COVID-19 by activating ACE2 and reducing IL-6 levels.
HY-13765	6-Thioguanine	Anti-leukemia and immunosuppressant agent, acts as an inhibitor of SARS and MERS coronavirus Plpros.
HY-17470	Mizoribine	Imidazole nucleoside, inhibits HCV, SARS-CoV.
HY-17443	Sivelestat	Neutrophil elastase inhibitor, potential used in COVID-19.
HY-N0191	Andrographolide	NF-κB inhibitor, shows broad antiviral activity.
HY-N0360	Dihydrotanshinone I	Natural compound exhibits entry-blocking effect for MERS-CoV.
HY-B0372A	Bromhexine hydrochloride	Potent and specific TMPRSS2 protease inhibitor, can prevent and manage SARS-CoV-2 infection.

Compound Screening Library

Cat. No. : HY-L052

Anti-COVID-19 Compound Library

A unique collection of 1500+ compounds that may have anti-COVID-19 activity.

Cancer Immunotherapy

Immune checkpoints are key immune regulators in maintaining immune homeostasis and preventing autoimmunity. Through re-activating the proper function of T cells, Immune checkpoint inhibitors proved to be one of the most promising therapeutic methods for cancer treatment. In light of this, scientists are trying to kill cancers by cancer immunotherapy, which is to cure cancers by exploiting our immune system. Several approaches have been proved successful these years, including immune checkpoint inhibitors, tumor microenvironment modulators[4].

The tumor microenvironment (TME) is the cellular environment in which the tumor exists, including surrounding blood vessels, the extracellular matrix (ECM), other non-malignant cells and also signaling molecules. Researchers have discovered that 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[5]. Molecules associated with TME such as cytokine receptors, metabolic enzymes are critical targets in cancer immunotherapy. These targets include RORγt, Chemokine receptor (CXCR), Sting, IDO, TLR, etc.

MCE provides hundreds of products regarding cancer immunotherapy, covering various targets including PD1/PDL1， CTLA-4, STING, IDO, TLR, etc.

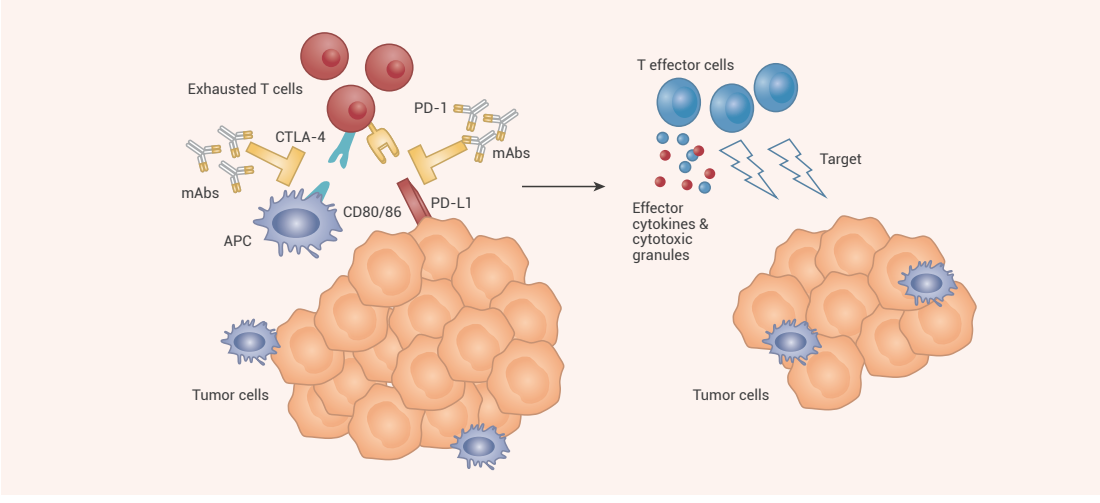


Figure 3. Immune checkpoint blockade for T-cell activation [4]

Compounds		
Cat. No.	**Product Name**	**Description**
HY-12885	ADU-S100	STING activator, leads to potent and systemic tumor regression.
HY-10964	Vadimezan	Murine agonist of STING and also a potent inducer of type I IFNs and other cytokines.
HY-B0180	Imiquimod	TLR7 agonist, exhibits antiviral and antitumor effects.

Compounds

Cat. No.	Product Name	Description
HY-19776	3α-Aminocholestane	Selective SHIP1 inhibitor.
HY-120635	BMS-1001	Orally active human PD-L1/PD-1 immune checkpoint inhibitor.
HY-13740	Resiquimod	TLR7/TLR8 agonist that induces the upregulation of cytokines.
HY-16724	Indoximod	Indoleamine 2,3-dioxygenase (IDO) pathway inhibitor.
HY-101111	PF-06840003	highly selective orally bioavailable IDO-1 inhibitor.
HY-10219	Rapamycin	Potent and specific mTOR inhibitor, autophagy activator and immunosuppressant.
HY-100453	HO-3867	Selective and potent STAT3 inhibitor, shows antitumor activity.
HY-100461	C29	TLR2 inhibitor blocks hTLR2/1 and hTLR2/6 signaling.
HY-100493	BP-1-102	Orally available inhibitor of transcription factor Stat3.
HY-100678	CGS 15943	Orally bioavailable non-xanthine Adenosine Receptor antagonist.
HY-100747	PSB-12379	Nucleotide analogue, is a potent CD73 inhibitor.
HY-108472	Loxoribine	Selective TLR 7 agonist with anti-viral and anti-tumor activities.
HY-110120	DSR-6434	SelectiveTLR7 agonist has a strong antitumor ability.
HY-110318	VUF11207 fumarate	CXCR7 agonist, induces recruitment of β-arrestin2 and subsequent internalization.
HY-110353	CU-T12-9	Specific TLR1/2 agonist,invokes an elevation of the downstream effectors TNF-α, IL-10, and iNOS.
HY-128588	STAT3-IN-3	STAT3 inhibitor with anti-proliferative activity, induces apoptosis in breast cancer cells.
HY-13245	PF-4136309	Potent, selective, and orally bioavailable CCR2 antagonist.
HY-13406	TAK-779	CCR5/CXCR3 antagonist, selectively inhibits R5 HIV-1.

Compound Screening Libraries

Cat. No. : HY-L031

Small Molecule Immuno-Oncology Compound Library

A unique collection of 200+ bioactive tumor immunology compounds.

Cat. No. : HY-L025

Anti-Cancer Compound Library

A unique collection of 4000+ bioactive anti-cancer compounds.

Immunity & Inflammation

Human immune system is composed of innate immunity and adaptive immunity, in which innate immunity served as the first line of defense against non-self pathogens. Upon infection or tissue damage, pattern recognition receptors (PRRs) of innate immune cells recognize pathogen-associated molecular patterns (PAMPs) or damage-associated molecular patterns (DAMPs), triggering the activation of transcription factors NF-κB, AP1 or IRF, which eventually led to the transcription of interferon, proinflammatory cytokines and chemokines[6].

Overactive innate immunity is closely related to autoimmune diseases, meanwhile inactivated innate immunity related closely to cancers. Besides, innate immune inhibitors are often used in organ transplant.

MCE provides hundreds of immunity & inflammation related products, covering hot targets including TLR, NLR, RLR, cGAS/STING, etc.

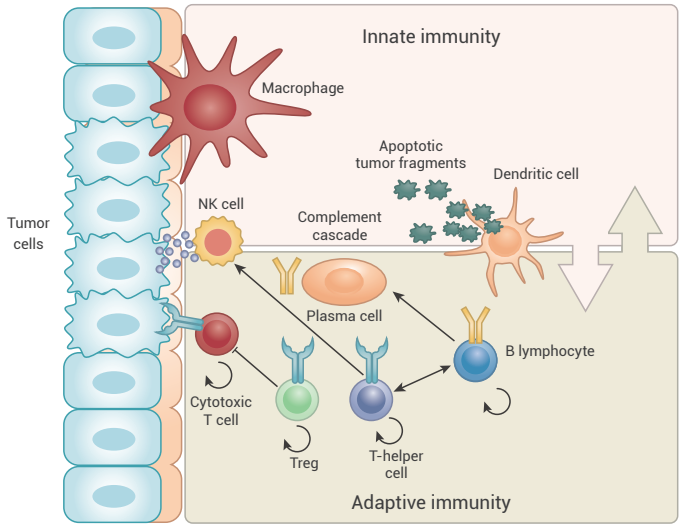


Figure 4. Immune surveillance [7]

Compounds

Cat. No.	Product Name	Description
HY-12815A	MCC950 sodium	Potent, selective NLRP3 inhibitor.
HY-18739	PMA	Dual SphK and protein kinase C activator, induces differentiation in THP-1 cells.
HY-127105	Iptacopan (LNP023)	Highly selective factor B inhibitor, targets the underlying cause of complement 3 glomerulopathy.
HY-16561	Resveratrol	Anti-oxidant, anti-inflammatory, cardioprotective, and anti-cancer drugs, has a wide spectrum of targets including mTOR, JAK, β-amyloid, Adenylyl cyclase, IKKβ, DNA polymerase.
HY-15775	Arginase inhibitor 1	Potent inhibitor of human arginases I and II.

Compounds

Cat. No.	Product Name	Description
HY-100381	Nigericin sodium salt	Antibiotic from Streptomyces hygroscopicus that works by acting as an H^+, K^+, and Pb^{2+} ionophore, a NLRP3 activator.
HY-103666	CY-09	NLRP3 inhibitor, directly binds to the ATP-binding motif of NLRP3 NACHT domain.
HY-111149A	PS372424	Three amino-acid fragment of CXCL10, atc as a CXCR3 agonist with anti-inflammatory activity.
HY-103362	CCR2 antagonist 4	Potent and specific CCR2 antagonist, potently inhibits MCP-1-induced chemotaxis.
HY-107575	TLR4-IN-C34	Orally active TLR4 inhibitor and reduces systemic inflammation in models of endotoxemia and necrotizing enterocolitis.
HY-11109	Resatorvid	TLR4 inhibitor, inhibits NO, TNF-α and IL-6 production.
HY-N0283	Diacerein	Interleukin-1 beta inhibitor, is a slow-acting medicine of the class anthraquinone used to treat joint diseases.
HY-114775	RCGD423	Gp130 modulator, which prevents articular cartilage degeneration and promotes repair.
HY-N0722	Neochlorogenic acid	Orally active steroidal anti-inflammatory drug (SAID), inhibits proinflammatory cytokine activity.
HY-15614	SC144	First-in-class, orally active gp130 inhibitor.
HY-102084	LMT-28	Orally active and the first synthetic IL-6 inhibitor that functions through direct binding to gp130.

Compound Screening Libraries

Cat. No. : HY-L007

Immunology/Inflammation Compound Library

A unique collection of 3,000+ compounds with biological activity used for Immunology/Inflammation research.

Cat. No. : HY-L008

JAK/STAT Compound Library

A unique collection of 250+ bioactive compounds related to JAK/STAT signaling.

Cat. No. : HY-L014

NF-κB Signaling Compound Library

A unique collection of 500+ NF-κB signaling related small molecule compounds.

Anti-infection

Anti-infectives are drugs that can either kill an infectious agent or inhibit it from spreading, which including antibiotics, antibacterials, antifungals, antiprotozoals, etc.

Antibiotics specifically treat infections caused by bacteria, most commonly used types of antibiotics are: Aminoglycosides, Penicillins, Fluoroquinolones, Cephalosporins, Macrolides, and Tetracyclines. New other approaches such as photodynamic therapy (PDT) and antibacterial peptides have been considered as alternatives to kill bacteria.

The high rates of morbidity and mortality caused by fungal infections are associated with the current limited antifungal arsenal and the high toxicity of the compounds. The most common antifungal targets include fungal RNA synthesis and cell wall and membrane components, though new antifungal targets are being investigated.

Antiprotozoal drugs are medicines that treat infections caused by protozoa. Of which, malaria remains a major world health problem following the emergence and spread of Plasmodium falciparum that is resistant to the majority of antimalarial drugs.

As one of the biggest public health challenges of our time, antimicrobial resistance become an increasing concern that need to be settled urgently.

MCE here provide 1000+ potential anti-infection reagents, in hoping to help you find antimicrobials of the new generation.

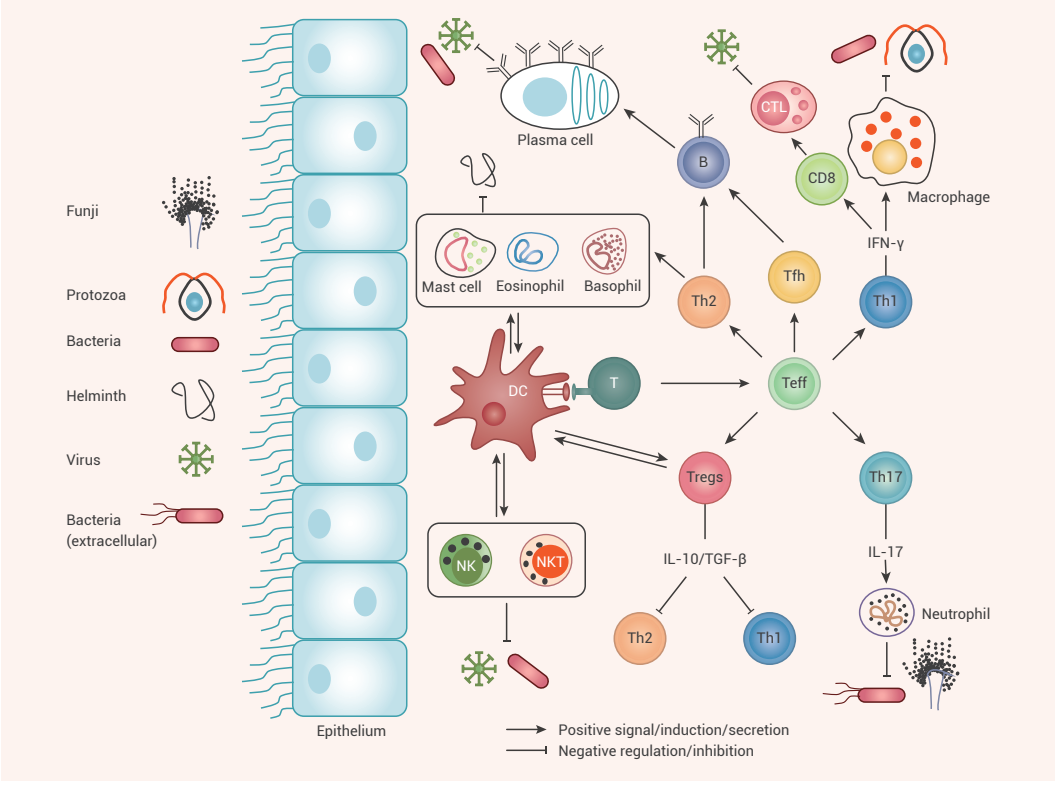


Figure 5. Schematic representation of the host immune response against microbial pathogens [8]

Compounds		
Cat. No.	Product Name	Description
HY-17006	Caspofungin	Caspofungin Acetate (MK-0991 Acetate) is an antifungal drug, and noncompetitively inhibits 1,3-β-D glucan synthase activity.
HY-10844	Pretomanid	Antibiotic used for the research of multi-drug-resistant tuberculosis affecting the lungs.
HY-B0879A	Suramin sodium salt	Competitive PTPases inhibitor, used as antiparasitic, anti-neoplastic and anti-angiogenic agent.
HY-10846	Delamanid	Mycobacterial cell wall synthesis inhibitor, inhibits the synthesisi of mucolic acids.
HY-10373	Trimetrexate (CI-898)	Potent competitive inhibitor of bacterial, protozoan, and mammalian dihydrofolate reductase.
HY-17586	Dalbavancin	Semisynthetic lipoglycopeptide antibiotic with potent bactericidal activity against Gram-positive bacteria.
HY-10392	Sutezolid	Orally active oxazolidinone antimicrobial agent, acts by inhibiting bacterial protein synthesis.
HY-B1743A	Puromycin dihydrochloride	Aminonucleoside antibiotic, inhibits protein synthesis.
HY-128423	Tylvalosin tartrate	Macrolide antibiotic that can against Gram-positive bacteria.
HY-Y0055	Phenothiazine	Antibiotic, has insecticidal, fungicidal, and antibacterial activities.
HY-N0565B	Doxycycline hyclate	Antibiotic, is an orally active and broad-spectrum MMP inhibitor.
HY-16592	Brefeldin A	Lactone antibiotic and a specific inhibitor of protein trafficking.
HY-108009A	Rezafungin acetate	Next-generation, broad-spectrum, and long-lasting echinocandin, shows potent antifungal activity.
HY-B0856	Validamycin A	Fungicidal, is an agricultural antibiotic.
HY-N1347	Robinetin	Naturally occurring flavonoid with antifungal, antiviral, antibacterial, antimutagenesis, and antioxidant activity.
HY-B0490	Hygromycin B	Hygromycin B is an aminoglycoside antibiotic active against prokaryotic and eukaryotic cells.
HY-15310	Ivermectin	Broad-spectrum anti-parasite agent, a specific inhibitor of Impα/β 1-mediated nuclear import.
HY-B0318	Metronidazole	Nitroimidazole antibiotic medication used particularly for anaerobic bacteria and protozoa.

Compounds

Cat. No.	Product Name	Description
HY-15695	Puromycin aminonucleoside	Aminonucleoside portion of the antibiotic puromycin, and used in nephrosis animal models.
HY-100579	Ferrostatin-1	Potent and selective ferroptosis inhibitor, suppresses Erastin-induced ferroptosis.

Compound Screening Libraries

Cat. No. : HY-L002

Anti-Infection Compound Library

A unique collection of 1,800+bioactive anti-infection compounds.

Cat. No. : HY-L027

Antiviral Compound Library

A unique collection of 600+ bioactive anti-virus compounds.

Cat. No. : HY-L048

Antifungal Compound Library

A unique collection of 200+ bioactive anti-fungal compounds.

Cat. No. : HY-L049

Antibacterial Compound Library

A unique collection of 800+ bioactive anti-bacterial compounds.

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