

Rare Antibiotics & Unique Natural Products

Introduction

The definition of the term “antibiotics” has evolved and is much broader compared to the past, when an antibiotic had to be produced by a microorganism and had to be directed to bacteria or other microorganisms. Today antibiotics include next to secondary metabolites isolated from microorganisms, semisynthetic derivatives and chemically synthesized compounds (e.g. sulfonamides), which have antibacterial, antimicrobial, antifungal and antiprotozoal or similar effects and are potentially useful as antitumor agents, chemotherapeutic agents, enzyme inhibitors, hypocholesterolemic agents, immunosuppressive agents, antimetabolites, plant growth modulators, feed additives, or inhibitors (insecticides, miticides, antiparasitics, phytotoxins, herbicides, etc.).

Antibiotics can be classified based on their mechanism of action (MoA), chemical structures, mode of production (fermentation, synthetic or semisynthetic), producing organisms (actinobacteria, fungi (incl. **mycotoxins**), filamentous bacteria) or spectrum of activity. Some antibiotics inhibit cell wall biosynthesis, protein synthesis, nucleic acid synthesis, metabolic pathways or interfere with cell membrane integrity. They also can be classified by their molecular biological activities (anti-infective, anticancer and other activities).

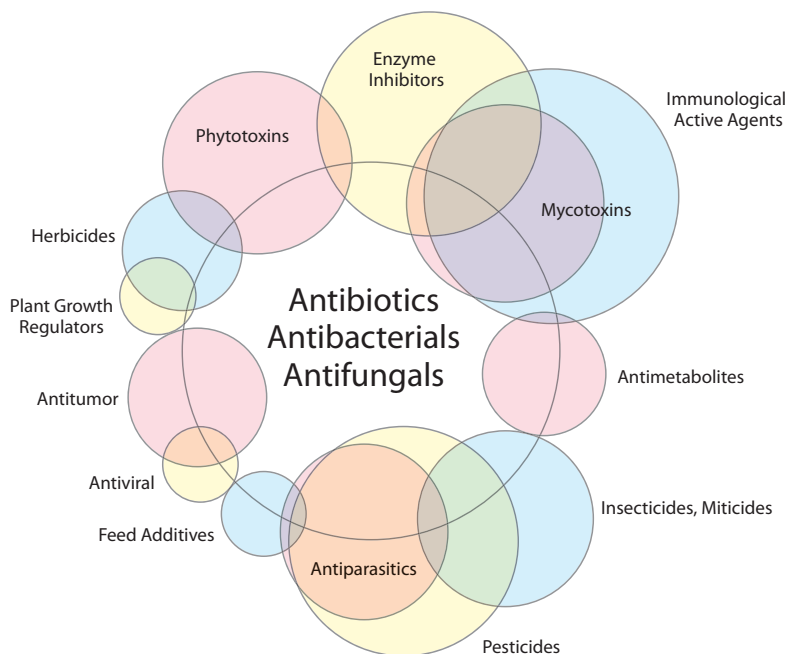


FIGURE: Bioactive metabolites.

Adapted from *Antibiotics: Current innovations and future trends*: S. Sanchez & A.L. Demain (2015)

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The majority of the antibiotic drug class in use today was discovered in the “golden era” of antibiotic research from the 1930s to the 1970s. Meanwhile, pathogenic bacteria developed rapidly **antibiotic/antimicrobial resistance (AMR)** and **multidrug-resistance (MDR)** causing an urgent threat to public health. New families of antibiotics are continuously required to combat new diseases caused by evolving pathogens. The need for development of novel antibiotics is currently very high.

In addition, recent studies on gut microbiota have shown its immense impact on human health. It plays a key role in digestion, metabolism and immune function and has widespread impact beyond the gastrointestinal tract. Changes in the biodiversity of the gut microbiota are associated with pathologies such as inflammatory diseases, metabolic syndrome or cancer and have far reaching consequences on host health and development. Further understanding of the importance of developing and maintaining gut microbiota diversity may lead to targeted interventions.

AdipoGen Life Sciences provides next to key standard research antibiotics, rare metabolites/antibiotics with new chemical structures (often only described once in literature and afterwards lost to research) or old already forgotten substances for lead drug research, seeking new “antibiotics” with new mode of actions and new molecular targets. In addition, these substances can be used for *in vitro* or *in vivo* studies based on their biological activities or as standard secondary metabolites, important as chemo-taxonomic markers of microbial species.

For simplification this brochure uses a classification of antibiotics focusing into research areas. All compounds are listed into one class only. From plants only selected isolates are included.

For a complete list of compounds and activity information, please visit our website www.adipogen.com.

Rifamycins versus NEW Pseudouridimycin Bacterial DNA-dependent RNA Polymerase Inhibitors

The rifamycins are a group of antibiotics which are a subclass of the larger family of ansamycins. They are particularly effective against mycobacteria, and are therefore used to treat tuberculosis, leprosy and mycobacterium avium complex (MAC) infections. The rifamycins have a unique mechanism of action, selectively inhibiting bacterial DNA-dependent RNA polymerase (RNAP), due to the high affinity of rifamycins for the prokaryotic RNA polymerase and a very poor affinity for the analogous mammalian enzyme. Crystal structure data of the antibiotic bound to RNA polymerase indicates that rifamycin blocks synthesis by causing strong steric clashes with the growing oligonucleotide (“steric-occlusion” mechanism). Rifamycins show no cross-resistance with other antibiotics in clinical use. However, despite their activity against bacteria resistant to other antibiotics, the rifamycins themselves suffer from a rather high frequency of resistance. Single step high level resistance to the rifamycins occurs as the result of a single amino acid change in the bacterial DNA-dependent RNA polymerase.

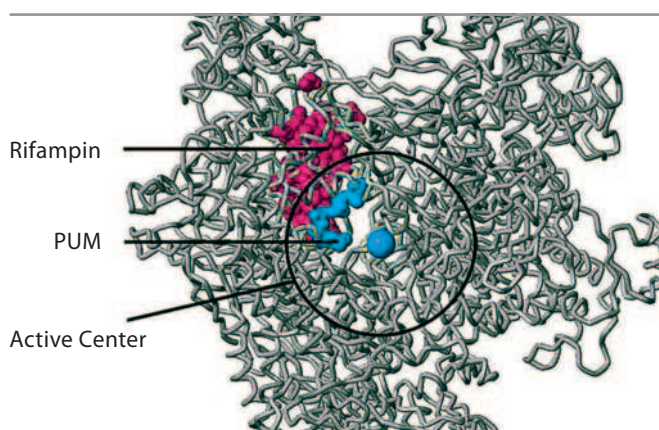


FIGURE: Different binding sites of the bacterial DNA-dependent RNAP Inhibitors Rifampin (Rifamycin) and Pseudouridimycin

AdipoGen Life Sciences offers a broad panel of uniquely available rifamycins, which were isolated from actinobacteria and semi-synthetically derived. All of these derivatives are bacterial RNA polymerase inhibitors.

PRODUCT NAME	PID
Rifamycin AF-K43033	AG-CN2-0320
Rifamycin AF	AG-CN2-0321
Rifamycin AF-K55517	AG-CN2-0322
Rifamycin AF-K56035	AG-CN2-0323
Rifamycin AF-K28259	AG-CN2-0324
Rifamycin AF-API	AG-CN2-0325
Rifamycin AF-EPTAPI	AG-CN2-0326
Rifamycin AF-K91725	AG-CN2-0327
Rifamycin AF-DA	AG-CN2-0328
Rifamycin AF-O13	AG-CN2-0336

PRODUCT NAME	PID
Rifamycin AF-pNFI	AG-CN2-0338
Rifamycin AG	AG-CN2-0329
Rifamycin AMI-DA	AG-CN2-0330
Rifamycin AMP-DA	AG-CN2-0331
Rifamycin M14	AG-CN2-0332
Rifamycin O	AG-CN2-0333
Rifamycin PR-14	AG-CN2-0334
Rifamycin PR-3	AG-CN2-0335
Rifamycin S, 8-Methyl-	AG-CN2-0337

UNIQUE

Antibiotic Pseudouridimycin

The newly discovered antibiotic Pseudouridimycin [PUM] is the first nucleoside-analog inhibitor that selectively inhibits bacterial RNA polymerase but not human RNA polymerases. It mimics nucleoside-triphosphate (NTP), the chemical "building block" that bacterial RNA polymerase uses to synthesize RNA. PUM binds tightly to the NTP binding site on bacterial RNA polymerase and, by occupying the NTP binding site, prevents NTPs from binding. Because PUM inhibits through a different binding site (see Figure, blue) and mechanism than rifampin, PUM exhibits no cross-resistance with rifampin. In addition it has a much lower spontaneous resistance rate than rifampin and kills a broad spectrum of drug-sensitive and drug-resistant bacteria *in vitro* and *in vivo*.

Pseudouridimycin

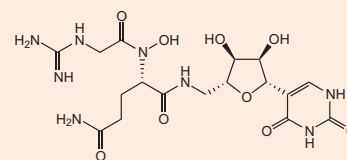
AG-CN2-0316

1 mg | 5 mg

Formula: C₁₇H₂₆N₈O₉

MW: 486.4

CAS: 1566586-52-4

Source: *Streptomyces* sp. (Actinobacteria)

LIT: Pseudouridimycin: The First Nucleoside Analogue That Selectively Inhibits Bacterial RNA Polymerase: M.F. Chellat & R. Riedl; Angew. Chem. Int. Ed. Engl. **56**, 13184 (2017)

Other Selected Antibiotics isolated from Bacteria Species

Nargenicin A1

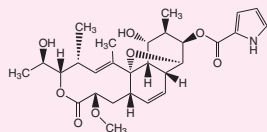
BVT-0204

1 mg | 5 mg

Formula: C₂₈H₃₇NO₈

MW: 515.6

CAS: 70695-02-2

Source: *Actinomyces* sp. Gö301 (Actinobacteria)

Antibiotic against Gram-positive bacteria.

Effective against multi-resistant strains (MRSA).

Thaxtomin A

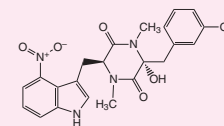
BVT-0206

1 mg | 5 mg

Formula: C₂₂H₂₂N₄O₆

MW: 438.4

CAS: 122380-18-1

Source: *Streptomyces bottropensis* Gö-Dra 17 (Actinobacteria)

Phytotoxin. Plant cell necrosis inducer. Natural cellulose synthesis inhibitor.

PRODUCT NAME	BIOLOGICAL ACTIVITY	PID
Aureothricin	Potent bacterial and yeast RNA polymerases inhibitor.	BVT-0345
Aurodox	Protein biosynthesis (EF-Tu) inhibitor.	AG-CN2-0133
Enterocin	Broad spectrum activity against Gram-positive and Gram-negative bacteria.	AG-CN2-0116
Josamycin	Broad spectrum antimicrobial.	CDX-J0001
Kirromycin	Protein biosynthesis (EF-Tu) inhibitor.	BVT-0157
Lysolipin I	Antibacterial, antifungal and anticoccidial. Cell wall synthesis inhibitor.	BVT-0037
Nocardamine	Siderophore (iron (Fe) chelating compound).	AG-CN2-0150
Orthoformimycin	Protein synthesis inhibitor. Bacterial translation elongation inhibitor.	AG-CN2-0314
Paramagnetoquinone A/B	Potent antibacterial agent.	AG-CN2-0315
Purpuromycin	Protein synthesis inhibitor.	AG-CN2-0317
Ramoplanin A2	Antibacterial. Cell wall synthesis inhibitor by forming a complex with Lipid II.	AG-CN2-0318
Simocyclinone D8	Bacterial DNA gyrase inhibitor.	BVT-0290
Thermorubin	Antibacterial. Inhibits the initiation stage of bacterial protein synthesis.	AG-CN2-0339
Valinomycin	K ⁺ -selective ionophore.	CDX-P0163
Zelkovamycin	Antibacterial.	AG-CN2-0128

Lantibiotics & Thiazolylpeptides (RiPPs)

Ribosomally Synthesized and Post-translationally Modified Peptides

Lantibiotics (a subset of lanthipeptides with antimicrobial activity) are ribosomally synthesized peptides that undergo posttranslational modifications to yield the active structures containing the typical thioether-linked lanthionines (Lans) or methylanthionines (Melans). Lantibiotics with antibacterial activity are divided into different classes according to their biogenesis and into two groups type A and type B, according to their different modes of action. The target molecule for both type A and B lantibiotics has been shown to be lipid II, the basic peptidoglycan precursor. In general, type B lantibiotics (e.g. actagardine) bind to lipid II and inhibit cell wall synthesis whereas binding of type A lantibiotics (e.g. nisin) to lipid II seems to facilitate pore formation and more rapid cell death. As lantibiotics bind lipid II (a highly conserved structure) at a site different from that affected by vancomycin and related glycopeptides, they represent important leads in the ongoing fight against the rise of antibiotic-resistant strains of bacteria and are active against multidrug-resistant (MDR) Gram-positive pathogens.

Thiazolylpeptides are highly modified, ribosomally synthesized peptides that inhibit bacterial protein synthesis by affecting either elongation factor Tu or the loops defined by 23S rRNA and the L11 protein. Most thiazolylpeptides show potent activity against Gram-positive pathogens.

Collaborating with



UNIQUE

NAI-107 [Microbisporicin A1/A2 Mixture]

AG-CN2-0307

1 mg | 5 mg

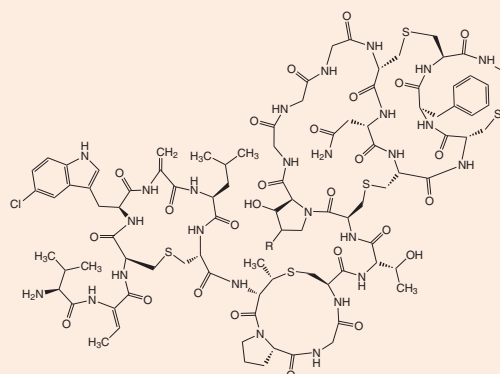
Formula: C₉₄H₁₂₇ClN₂₆O₂₇S₅ (A1)
C₉₄H₁₂₇ClN₂₆O₂₆S₅ (A2)

MW: 2249.0 (A1; R=OH)
2233.0 (A2; R=H)

CAS: 845293-74-5 [A1/A2 Mixture]

Source: *Microbispora* sp. (Actinobacteria)

Antibacterial class I lantibiotic. Inhibits cell wall synthesis and consequently bacterial growth by forming a complex with lipid intermediate II (Lipid II), a key intermediate in peptidoglycan biosynthesis. Active against aerobic and anaerobic Gram-positive pathogens, including all antibiotic-resistant strains (e.g. MRSA and VRE) in whole cell and *in vitro* assays as well as *in vivo*. Rapidly bactericidal and highly efficacious in experimental models of infection (septicemia, endocarditis, granuloma pouch) and developed for **treatment of serious infections by multiresistant Gram-positive bacteria.**



LIT: Advancing cell wall inhibitors towards clinical applications: S.I. Maffioli, et al.; J. Ind. Microbiol. Biotechnol. **43**, 177 (2016) (Review) • The Lantibiotic NAI-107 Efficiently Rescues *Drosophila melanogaster* from Infection with Methicillin-Resistant *Staphylococcus aureus* USA300: T.T. Thomsen, et al.; Antimicrob. Agents Chemother. **60**, 5427 (2016) • Microbisporicin (NAI-107) protects *Galleria mellonella* from infection with *Neisseria gonorrhoeae*: N. Hofkens, et al.; Microbiol. Spectr. **11**, e0282523 (2023)

PRODUCT NAME	BIOLOGICAL ACTIVITY	SOURCE	PID
Actagardine	Tetracyclic class II lantibiotic. Specifically inhibits peptidoglycan synthesis.	Actinobacteria	AG-CN2-0300
BE-31405	Broad spectrum antifungal agent. Inhibits the protein synthesis.	Fungi	AG-CN2-0302
GE2270A	Thiopeptide antibiotic. Inhibitor of domain II of elongation factor Tu (EF-Tu).	Actinobacteria	AG-CN2-0303
GE2270 D2	Thiopeptide antibiotic. Inhibitor of elongation factor Tu (EF-Tu).	Actinobacteria	AG-CN2-0304
GE23077 A1/B1	Cyclic heptapeptide antibiotic. Potent and selective bacterial RNAP inhibitor.	Actinobacteria	AG-CN2-0305
GE81112 A/B	Tetrapeptide antibiotic. Potent and selective inhibitor of bacterial protein synthesis.	Actinobacteria	AG-CN2-0306
NAI-108	Antibacterial class I lantibiotic. Brominated variant of NAI-107. Cell wall synthesis inhibitor.	Actinobacteria	AG-CN2-0308
NAI-112	Labionin-containing class III lanthipeptide. Antinociceptive agent.	Actinobacteria	AG-CN2-0309
NAI-802	Actagardine-related class II lantibiotic. Cell wall synthesis inhibitor.	Actinobacteria	AG-CN2-0310
NAI-857	Antibacterial class I lantibiotic. Cell wall synthesis inhibitor.	Actinobacteria	AG-CN2-0311
NAI-97 [Planosporicin]	Antibacterial class I lantibiotic. Cell wall synthesis inhibitor.	Actinobacteria	AG-CN2-0312

Quorum Sensing – Targeting the Bacterial Biofilm

Quorum sensing is a signaling system used by bacteria to coordinate gene expression, biofilm formation, virulence and antibiotic resistance based upon their population density. The system involves the exchange of signaling molecules among bacteria via cell receptors. Next to the potential antimicrobial functionality, quorum-sensing molecules are recently investigated for their use in immunology and oncology, based on findings that they can modulate prokaryote-eukaryote signaling and due to the similarities between the bacterial quorum-sensing mechanisms and the metastatic process initiated by tumor cells.

Tropodithietic acid [TDA] **UNIQUE**

BVT-0152

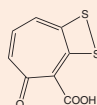
Formula: $C_8H_4O_3S_2$

MW: 212.3

CAS: 750590-18-2

Source: *Roseobacter gallaeciensis* (Proteobacteria)

1 mg | 5 mg

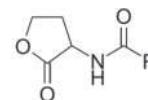


Quorum sensing bacterial signal substance. Active against Gram-positive and Gram-negative bacteria. Antifungal and anti-nematocidal. Shows antitumor activity.

LIT: Dual function of tropodithietic acid as antibiotic and signaling molecule in global gene regulation of the probiotic bacterium *Phaeobacter inhibens*: P.G. Beyersmann, et al.; Sci. Rep. 7, 730 (2017)

N-Acylhomoserine Lactones (AHLs)

FIGURE: General chemical structure of a N-Acylhomoserine Lactone.



N-Acylhomoserine Lactones (AHL) are involved in quorum sensing, controlling gene expression and cellular metabolism. The diverse applications of this kind of molecule include regulation of virulence in general, infection prevention and formation of biofilms.

Visit www.adipogen.com for a broad Panel of DL-Homoserine Lactones and Quorum Sensing Agents!

Exosome Biogenesis Modulators

RAS signaling directly regulates the sorting of a variety of cargos into exosomes. RAS proteins are small GTPases that play a critical role in cell signaling pathways. Farnesyltransferase (FTase) is responsible for the addition of a farnesyl group to RAS proteins, which is an essential step in their proper function and localization within the cell. Targeting exosome biogenesis might be crucial for RAS signaling inhibitors to exert their anticancer effects.

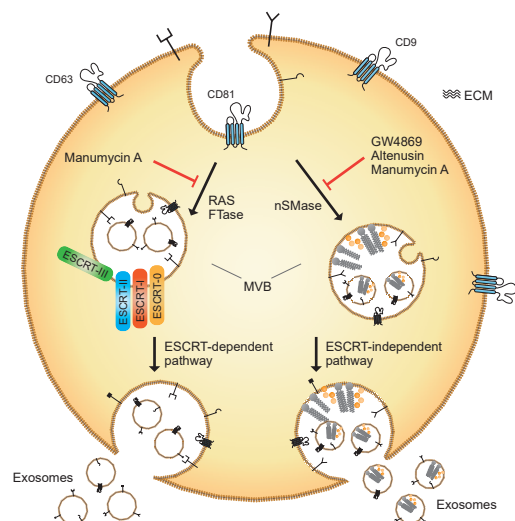


FIGURE: Schematic of exosome biogenesis and inhibition.

THE SOURCE BULK

Manumycin A

BVT-0091

AG-CN2-2000

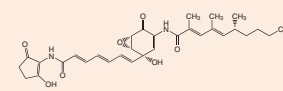
Formula: $C_{31}H_{38}N_2O_7$

MW: 550.6

CAS: 52665-74-4

Source: *Streptomyces parvulus* (Actinobacteria)

Manumycin A is a selective inhibitor of FTase, suppressing thereby RAS/RAF/ERK1/2 signaling and inhibiting exosome biogenesis and secretion.

1 mg | 5 mg
10 mg

Rasfarnesyltransferase Inhibitors

Andrastin A	Fungi	AG-CN2-0144
Deoxymanumycin A	Actinobacteria	BVT-0158
Dihydromanumycin A	Actinobacteria	BVT-0414
Manumycin A	Actinobacteria	BVT-0091
Manumycin B	Actinobacteria	BVT-0264
Palmarumycin C3	Fungi	BVT-0078
Saquayamycin B1	Actinobacteria	BVT-0382

Antibiotics for Cancer Research

Antibiotics comprise many chemical structures and act by different mechanisms to reveal their antineoplastic and immune regulating properties. Their different mode of actions, including DNA and RNA synthesis inhibitors, DNA crosslinkers, DNA strand break inducers, DNA-cleaving agents, microtubule stabilizing agents, P-glycoprotein efflux pump inhibitors, metabolic modulators or other kinase/enzyme inhibitors, make antibiotics important research tools, targeting processes such as apoptosis, angiogenesis, autophagy, proteasomal degradation, cell cycle, proliferation or immunometabolism. The structural diversity make them also attractive scaffolds for potential future therapeutics.

DNA/RNA Synthesis & Replication Modulators

THE STANDARDS

Actinomycin D

BVT-0089

5 mg | 25 mg

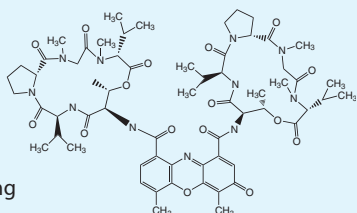
Formula: $C_{62}H_{86}N_{12}O_{16}$

MW: 1255.4

CAS: 50-76-0

Source: *Streptomyces parvulus* (Actinobacteria)

Potent RNA synthesis inhibitor. DNA intercalating agent.



(+)-Aphidicolin

BVT-0307

1 mg | 5 mg | 25 mg

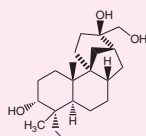
Formula: $C_{20}H_{34}O_4$

MW: 338.5

CAS: 38966-21-1

Source: *Phoma sp.* BS 7210. (Fungi)

Reversible inhibitor of eukaryotic nuclear DNA replication. Specific DNA polymerase α and δ inhibitor in eukaryotic cells. Useful for cell synchronization.



PRODUCT NAME	TARGET	PID
Alternariol	Topoisomerase II α	BVT-0465
Antibiotic UK-1	Topoisomerase II	BVT-0013
Becatecarin	Topoisomerase II	BVT-0258
Borrelidin	Threonyl-tRNA Synthetase	BVT-0098
Chartreusin	Topoisomerase II	BVT-0005
Chrysomycin A	Topoisomerase II	BVT-0099
Chrysomycin B	Topoisomerase II	BVT-0100
Cordycepin	DNA/RNA Synthesis	CDX-C0339
Daptomycin	DNA/RNA Synthesis	AG-CN2-0542
3-Deoxyaphidicolin	DNA Polymerase α	BVT-0451
Doxorubicin HCl	DNA/RNA Synthesis	CDX-D0257
Heliquinomycin	DNA Helicase	AG-CN2-0091
Gilvocarcin V	Cross-linking between DNA/Histone H3	BVT-0256
5-Methylmellein	DNA Polymerase I	BVT-0413
Mitomycin C	DNA Synthesis	CDX-M0161
OM173-αA	DNMT3B	AG-CN2-0158
Rebeccamycin	Topoisomerase I	BVT-0139
Reticulol	Topoisomerase I	BVT-0011
Rubrofusarin	RNA Polymerase	BVT-0395
β-Rubromycin	Telomerase	BVT-0251
γ-Rubromycin	Telomerase	BVT-0007
T-2 Toxin	DNA/RNA Synthesis	AG-CN2-0473

Specific Vacuolar-type H⁺-ATPase Inhibitors

THE SOURCE BULK

Concanamycin A (high purity)

BVT-0237

25 μ g | 100 μ g | 1 mg | 5 mg

Formula: $C_{46}H_{75}NO_{14}$

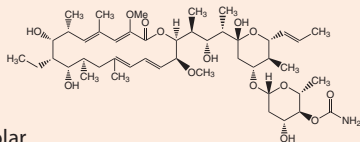
MW: 866.1

CAS: 80890-47-7

Source: *Streptomyces sp.* (Actinobacteria)

Potent and specific vacuolar H⁺-ATPase inhibitor.

Also Available: **Concanamycin B & C**



THE SOURCE BULK

Bafilomycin A₁ (high purity)

AG-CN2-2001

100 μ g | 1 mg | 5 mg

Formula: $C_{35}H_{58}O_9$

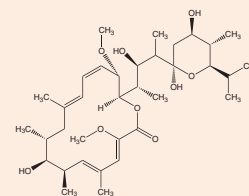
MW: 622.8

CAS: 88899-55-2

Source: *Streptomyces griseus* (Actinobacteria)

Specific vacuolar-type H⁺-ATPase inhibitor. Distinguishes among different types of ATPases.

Also Available: **Bafilomycin B₁, C₁ & D**



Immunosuppressive Antibiotics

The common immunosuppressive antibiotics are involved in cell proliferation pathways and include calcineurin (FK-506, cyclosporin A, ascomycin), mTOR (everolimus, rapamycin) and purine synthesis (mycophenolic acid) inhibitors. Inhibition of calcineurin leads to inhibition of NFAT activation, reduced IL-2 production and consequently to reduced T cell proliferation. Inhibition of mTOR leads to inhibition of IL-2 mediated cell cycle, which consequently blocks T cell activation and B cell differentiation. Blockade of purine synthesis by inhibiting inosine monophosphate dehydrogenase (IMPDH) leads to a selective inhibition of lymphocyte proliferation.

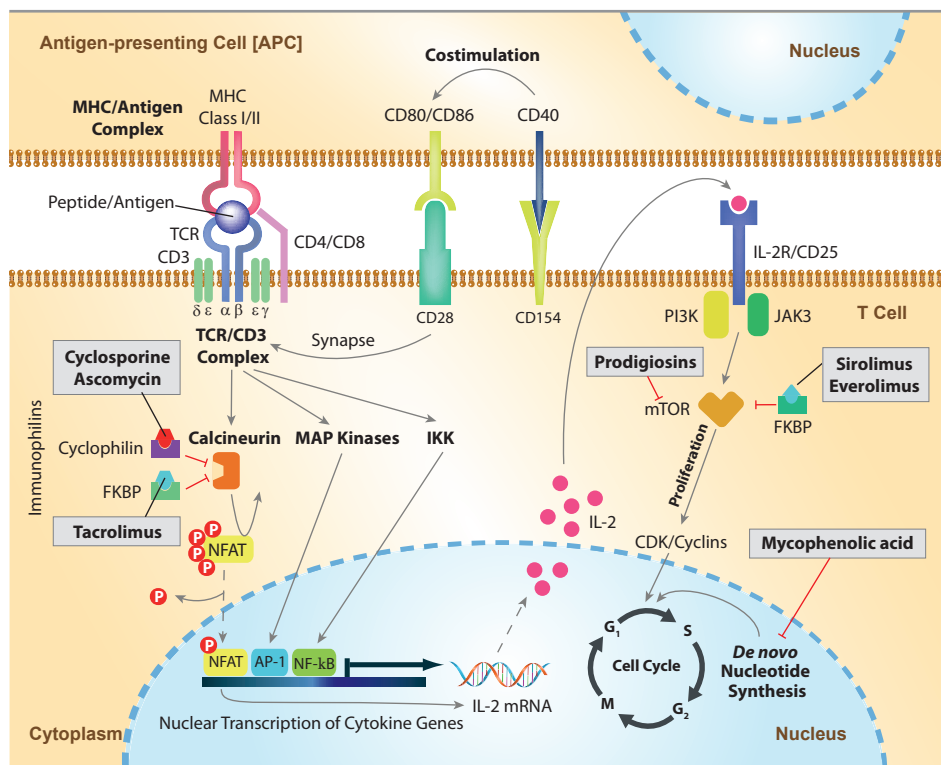


FIGURE: Mechanisms of T Cell Immunosuppression.

Everolimus

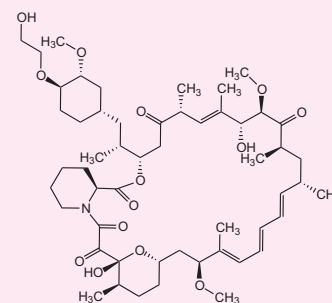
AG-CN2-0520
CDX-E0074

Formula: $C_{53}H_{83}NO_{14}$
MW: 958.2
CAS: 159351-69-6

Source: *Streptomyces hygroscopicus* (Actinobacteria) / Semi-synthetic

Potent immunosuppressive agent. Binds with high affinity to the FK-506 binding protein-12 (FKBP12) to generate an immunosuppressive complex that inhibits the activation of the mammalian target of rapamycin (mTOR). Shows also anticancer and antibacterial activities.

1 mg | 5 mg | 25 mg
100 mg | 250 mg



PRODUCT NAME	TARGET	SOURCE	PID
Rapamycin [Sirolimus]	mTOR	Actinobacteria	AG-CN2-0025
FK-506 [Tacrolimus]	Calcineurin	Actinobacteria	AG-CN2-0047
Ascomycin (high purity) [Immunomycin]	Calcineurin	Actinobacteria	AG-CN2-0420
Cyclosporin A	Calcineurin	Fungi	AG-CN2-0079
Cyclosporin C	Calcineurin	Fungi	AG-CN2-0443
Pimecrolimus	Calcineurin	Synthetic	CDX-P0598
<i>Also Available: Cyclosporin D, Cyclosporin H</i>			
Mycophenolic acid [MPA]	Purine Synthesis	Fungi	AG-CN2-0419
Prodigiosin	mTOR	Proteobacteria	AG-CN2-0105
Undecylprodigiosin . HCl	mTOR	Actinobacteria	BVT-0422
Butylcycloheptylprodigiosin	mTOR	Actinobacteria	BVT-0423

Cell Metabolism / Immunometabolism Modulators

m Atpenin A5 (synthetic)

AG-CN2-0100

250 µg | 1 mg

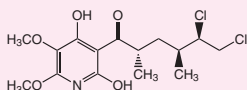
Formula: C₁₅H₂₁Cl₂NO₅

MW: 366.2

CAS: 119509-24-9

Source: Originally isolated from *Penicillium* sp. FO-125 (Fungi)

Potent and specific mitochondrial complex II (succinate-ubiquinoneoxidoreductase) inhibitor.



BULK **UNIQUE**

m Heptelidic acid

AG-CN2-0118

250 µg | 1 mg

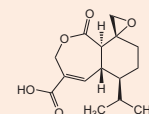
Formula: C₁₅H₂₀O₅

MW: 280.3

CAS: 74310-84-2

Source: *Trichoderma* sp. (Fungi)

Potent selective GAPDH inhibitor. Selectively kills high-glycolytic cancer cells through glucose-dependent active ATP deprivation.



PRODUCT NAME	TARGET	SOURCE	PID
Aureothin	NADH dehydrogenase (Complex I) inhibitor / OXPHOS.	Actinobacteria	BVT-0303
m Fusicin	NADH dehydrogenase (Complex I) inhibitor / OXPHOS.	Fungi	AG-CN2-0138
m Harzianopyridone	Succinate-Q Oxidoreductase (Complex II) inhibitor / OXPHOS.	Fungi	AG-CN2-0149
Iromycin A	NADH dehydrogenase (Complex I) inhibitor / OXPHOS.	Actinobacteria	BVT-0262
Itaconate	Succinate dehydrogenase (SDH) inhibitor.	Synthetic	AG-CN2-0426
4-Octyl itaconate	Succinate dehydrogenase (SDH) inhibitor.	Synthetic	AG-CR1-3700
Oligomycin A	ATPases (F0F1) inhibitor / OXPHOS.	Actinobacteria	AG-CN2-0517
m Phomoxanthone A	Disrupts inner mitochondrial membrane.	Fungi	BVT-0453
Propionyl-L-carnitine . HCl	Stimulates pyruvate dehydrogenase activity.	Synthetic	AG-CR1-3595
Venturicidin A	ATPases (F0F1) inhibitor / OXPHOS.	Actinobacteria	BVT-0454

Microtubule & F-actin Modulators

THE SOURCE **BULK**

Latrunculin B

AG-CN2-0031

500 µg | 1 mg

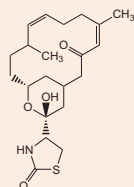
Formula: C₂₀H₂₉NO₅S

MW: 395.5

CAS: 76343-94-7

Source: *Latrunculia magnifica* (Marine)

Actin polymerization inhibitor. Potent phagocytosis inhibitor. Anticancer compound. Inhibits tumor cell invasion.



THE SOURCE

Jasplakinolide

AG-CN2-0037

50 µg | 100 µg

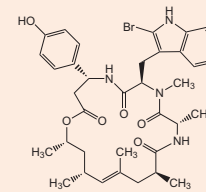
Formula: C₃₆H₄₅BrN₄O₆

MW: 709.7

CAS: 102396-24-7

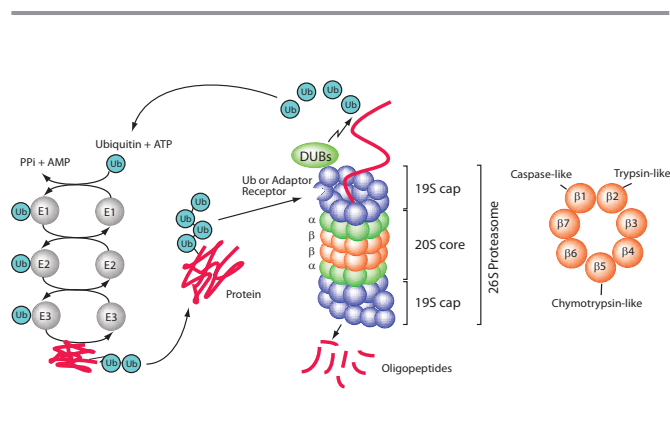
Source: *Jaspis splendens* (Marine)

Cell permeable, non-fluorescent F-actin probe. Potent inducer of actin polymerization and stabilization. Tool used for autophagy/phagocytosis research.



PRODUCT NAME	TARGET	SOURCE	PID
m Citrinin	Tubulin polymerization and mitotic spindle assembly inhibitor.	Fungi	AG-CN2-0101
m Curvulin	Microtubule assembly inhibitor.	Fungi	BVT-0097
m Cytochalasin B	Actin polymerization inhibitor.	Fungi	AG-CN2-0504
m Cytochalasin H	Actin polymerization inhibitor.	Fungi	BVT-0447
m Cytochalasin J	Actin and myosin inhibitor.	Fungi	BVT-0450
Ilimaquinone	Cytoplasmic microtubule inhibitor.	Marine	AG-CN2-0038
Latrunculin A	Actin polymerization inhibitor.	Marine	AG-CN2-0027
16-epi-Latrunculin B	Actin polymerization inhibitor.	Marine	AG-CN2-0034
m Phomopsin A	Microtubule assembly inhibitor.	Fungi	AG-CN2-0515
Sceptrin . 2HCl	Actin polymerization inhibitor.	Marine	AG-CN2-0440
Swinholide A	Actin filament (F-actin) inhibitor.	Marine	AG-CN2-0035

The Ubiquitin-Proteasome System (UPS)



The **ubiquitin-proteasome system (UPS)** and the autophagolysosomal pathway are the two major **degradation systems** for both native and misfolded proteins in eukaryotic cells. The regulated proteolysis of bulk and misfolded proteins is strictly controlled by the 26S proteasome complex, which consists of the 19S regulatory cap and the 20S proteasome core. Although eukaryotic 20S proteasomes harbor seven different β -subunits in their two-fold symmetrical $\alpha_7\beta_7\beta_7\alpha_7$ stacked complexes, only three β -subunits per β -ring [**subunits $\beta 1$ (caspase-like), $\beta 2$ (trypsin-like) and $\beta 5$ (chymotrypsin-like)**] are proteolytically active. These three β -subunits are major targets for small molecule proteasome inhibitors. **Proteasome inhibition** has implications in a number of human diseases such as cancer, inflammation and ischemic stroke and is an important therapeutic target.

BULK UNIQUE

Potent 20S Proteasome Inhibitor

Salinosporamide A

AG-CN2-0444

100 μ g | 1 mg | 5 mg | 50 mg

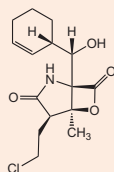
Formula: $C_{15}H_{20}ClNO_4$

MW: 313.8

CAS: 437742-34-2

Source: *Salinospora tropica* (Marine)

Inhibits all three catalytic activities: chymotrypsin-like ($EC_{50} = 3.5nM$); trypsin-like ($EC_{50} = 28nM$); caspase-like ($EC_{50} = 430nM$).



PRODUCT NAME	TARGET	PID
Epoxomicin	Predominant chymotrypsin-like activity inhibitor.	AG-CN2-0422
Kendomycin	Chymotrypsin-like inhibitor.	BVT-0001
Lactacystin	Chymotrypsin-like, trypsin-like and caspase-like activity inhibitor.	AG-CN2-0104
clasto-Lactacystin β-lactone	Chymotrypsin-like, trypsin-like and caspase-like activity inhibitor.	AG-CN2-0442

Protein Phosphatase 2A (PP2A) Inhibitors












THE SOURCE

Protein Phosphatase 2A (PP2A) is an important and ubiquitously expressed serine/threonine phosphatase and regulates the function by dephosphorylating many critical cellular molecules like Akt, p53, c-Myc and β -catenin. It plays a critical role in cellular processes, such as cell proliferation, signal transduction and apoptosis.

PRODUCT NAME	SOURCE	PID
Cantharidin	Blister Beetle	CDX-C0643
Cytostatin	Actinobacteria	AG-CN2-0093
Fostriecin	Actinobacteria	AG-CN2-0057
Okadaic acid (high purity)	Marine	AG-CN2-0056
Okadaic acid . ammonium salt (high purity)	Marine	AG-CN2-0058
Okadaic acid . sodium salt (high purity)	Marine	AG-CN2-0062
Rubratoxin A	Fungi	AG-CN2-0092

Protein Kinase & Enzyme Modulation


A protein kinase is an enzyme that modifies other proteins by chemically adding phosphate groups to them (phosphorylation). Phosphorylation usually results in a functional change of the target protein (substrate) by changing enzyme activity, cellular location or association with other proteins. Therefore, protein kinase (or in general enzyme such as synthase, transferase, etc.) inhibitors can be used to treat diseases due to hyperactive protein kinases/enzymes or to modulate cell functions to overcome other disease drivers and are used in the treatment of cancer and inflammatory disorders.

PRODUCT NAME	TARGET	SOURCE	PID
PKC, CDK and GSK Inhibitors			
 Butyrolactone I	CDK-1, -2 and -5	Fungi	BVT-0448
 Calphostin C	PKC, PKA, PKG, DAG, Phospholipase D1 and D2, MLCK, c-Src	Fungi	AG-CN2-0430
 Cercosporin	PKC	Fungi	AG-CN2-0111
Debromohymenialdisine	PKC & MEK-1	Marine	AG-CN2-0068
Hymenidin	CDK5/p25, GSK-3 β	Marine	AG-CN2-0503
K-252a	PKC, PKA, PKG	Actinobacteria	AG-CN2-0019
K-252c	PKC	Actinobacteria	AG-CN2-0097
Phenylmethylene hydantoin	GSK-3 β	Marine	AG-CN2-0041
Staurosporine	PKA, PKC, PKG, CaM kinase, MLCK, CDK-1, -2, -4, -5, GSK-3 β , Pim-1, (Topo II)	Actinobacteria	AG-CN2-0022
HDAC Inhibitors			
 Apicidin	HDAC	Fungi	AG-CN2-0087
 Dihydrochlamydocin	HDAC	Fungi	AG-CN2-0115
Psammaplin A	Class I HDAC	Marine	AG-CN2-0088
PI3K Inhibitors			
 Bostrycin	PI3K/Akt	Fungi	AG-CN2-0175
 Viridiol	PI3K	Fungi	AG-CN2-0126
 Wortmannin	PI3K	Fungi	AG-CN2-0023
Other Enzyme Inhibitors			
Actinonin	PDF, MMP and Mepriin A	Actinobacteria	AG-CN2-0161
Ageladine A . trifluoroacetate	MMP-1, -2, -8, -9, -12, -13, TYK2, DYRK2, Dyrk1A, YSK4, RPS6KA1/2	Marine	AG-CMA-1001
 Altenusin	pp60c-Src, cFMS receptor tyrosine kinase, MLCK	Fungi	AG-CN2-0143
 Anomalin A	Non-specific protein kinases	Fungi	AG-CN2-0006
Benadrostin	PARP	Actinobacteria	BVT-0079
 Cephalochromin	PDE	Fungi	BVT-0440
 Curvularin	iNOS (NOSII)	Fungi	AG-CN2-0147
Decoyinine	GMP synthetase	Actinobacteria	BVT-0030
 Fumagillin	MetAP2	Fungi	AG-CN2-0529
 Hypothenylin	Threonine/tyrosine-specific kinase	Fungi	BVT-0067
 Penicillide	Calpain	Fungi	AG-CN2-0122
Psicofuranine	Antimetabolite of the purine biosynthesis	Actinobacteria	BVT-0284
 Pyridoxatin	MMP-2	Fungi	AG-CN2-0123
Streptochlorin	Tyrosinase	Actinobacteria	AG-CN2-0141
Terreic acid	MurA, BTK	Fungi	BVT-0477
 Xanthomegnin	iNOS (NOSII)	Fungi	BVT-0365

HSP90 Inhibitors

THE SOURCE

HSP90 (heat shock protein 90) is a chaperone protein that assists other proteins to fold properly, stabilizes proteins against heat stress and aids in protein degradation. It also stabilizes a number of proteins required for tumor growth, which is why HSP90 inhibitors are investigated as anti-cancer drugs.

PRODUCT NAME	SOURCE	PID
Geldanamycin	Actinobacteria	BVT-0196
17-AAG	Semi-synthetic	BVT-0244
17-DMAG	Semi-synthetic	AG-CN2-0540
Herbimycin A	Actinobacteria	AG-CN2-0429
 Radicalol	Fungi	AG-CN2-0021

Hypoxia-inducible Factor (HIF)-1 Inhibitors

Hypoxia-inducible factor (HIF)-1 is a transcription factor for dozens of target genes and plays an integral role in the body's response to low oxygen concentrations or hypoxia. HIF-1 is among the primary genes involved in the homeostatic process, which can increase vascularization in hypoxic areas such as localized ischemia and tumors. As HIF-1 allows for survival and proliferation of cancerous cells due to its angiogenic properties, inhibition potentially could prevent the spread of cancer.

THE SOURCE

	PRODUCT NAME	SOURCE	PID
m	Chetomin	Fungi	BVT-0161
	Echinosporin	Actinobacteria	BVT-0006
	Echinomycin	Actinobacteria	BVT-0267

Selected Anticancer Compounds

THE SOURCE

m Fumitremorgin C

BVT-0189

250 µg | 1 mg

Formula: C₂₂H₂₅N₃O₃

MW: 379.5

CAS: 118974-02-0

Source: *Aspergillus fumigatus* (Fungi)

Mycotoxin. Potent and specific inhibitor of the breast cancer resistance protein (BCRP; ABCG2).

Mensacarcin

BVT-0028

1 mg | 5 mg

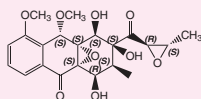
Formula: C₂₁H₂₄O₉

MW: 420.4

CAS: 808750-39-2

Source: *Streptomyces bottropensis* (Actinobacteria)

Anti-melanoma drug lead compound. Effective in BRAF V600E mutation cell lines.



m Beauvericin

AG-CN2-0043

1 mg | 5 mg

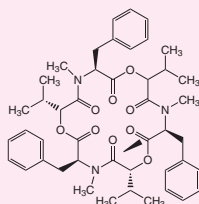
Formula: C₄₅H₅₇N₃O₉

MW: 784.0

CAS: 26048-05-5

Source: *Beauveria sp.* (Fungi)

Anti-melanoma drug lead compound. Effective in BRAF V600E mutation

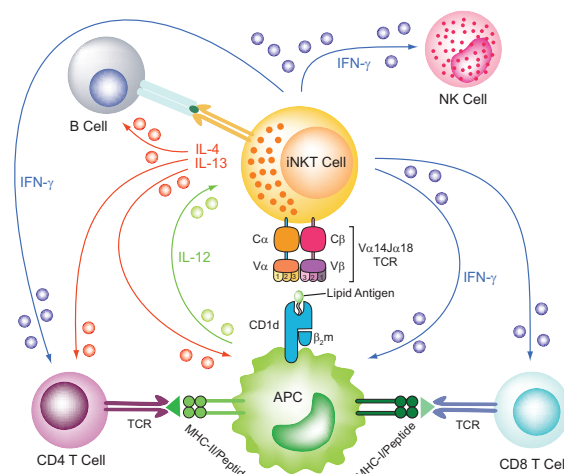


	PRODUCT NAME	SOURCE	PID
	Acetomycin	Actinobacteria	BVT-0150
	Actinomycin X2	Actinobacteria	BVT-0375
	Ansatrienin A	Actinobacteria	BVT-0246
m	Aranorosin	Fungi	AG-CN2-0114
m	Asperphenamate	Fungi	AG-CN2-0171
	Avarol	Marine	AG-CN2-0044
m	Averantin	Fungi	BVT-0169
m	Bikaverin	Fungi	AG-CN2-0130
m	Chaetoglobosin A	Fungi	BVT-0092
m	Cladosporone bisepoxide	Fungi	BVT-0065
m	10,11-Dehydrocurvularin	Fungi	AG-CN2-0165
	Elaiophylin	Actinobacteria	BVT-0185
m	Globosuxanthone A	Fungi	AG-CN2-0174
m	Harzianum A	Fungi	AG-CN2-0117
	Hexacyclinic acid	Actinobacteria	BVT-0261
m	Macrosphelide A	Fungi	AG-CN2-0152
m	Malformin A1	Fungi	AG-CN2-0169
m	Malformin C	Fungi	AG-CN2-0107
m	5-Methoxysterigmatocystin	Fungi	BVT-0416
m	Neoxaline	Fungi	AG-CN2-0154
m	Ophiobolin A	Fungi	AG-CN2-0431
m	Phomoxanthone A	Fungi	AG-CN2-0017
	Polyketomycin	Actinobacteria	BVT-0033
	Rasfonin	Helminth	AG-CN2-0173
m	Roridin E	Fungi	AG-CN2-0176
	Reductiomycin	Actinobacteria	BVT-0292
	Rubiginone A2	Actinobacteria	BVT-0023
	Rubiginone B2	Actinobacteria	BVT-0026
	Rubiginone D2	Actinobacteria	BVT-0024
	Sarcophine	Marine	BVT-0305
	Sipholenol A	Marine	AG-CN2-0506
	Sipholenone A	Marine	AG-CN2-0507
m	Terrein	Fungi	BVT-0193
	Violacein	Proteobacteria	BVT-0473
m	(-)-Viriditoxin	Fungi	AG-CN2-0471

CD1d Ligands – Potent iNKT Stimulators

Invariant natural killer T (iNKT) cells are a subset of innate-like lymphocytes that express a characteristic antigen receptor that includes an invariant TCR- α chain and recognize glycolipid antigens bound by the major histocompatibility complex (MHC)-class-I-related protein CD1d. iNKT cells are activated early during a variety of infections and inflammatory diseases and contribute to the subsequent development of adaptive immune responses. Consequently, iNKT cells play a critical role in the development and resolution of inflammatory diseases and represent attractive targets for the development of immunotherapies. In cancer, iNKT cells were attributed a role in immunosurveillance and act as potent activators of antitumor immunity when stimulated with a synthetic agonist.

FIGURE: iNKT Cell Activation by APC-presented Lipid Antigens.



THE SOURCE BULK

α -Galactosylceramide [KRN7000]

AG-CN2-0013

250 μ g | 1 mg | 5 mg

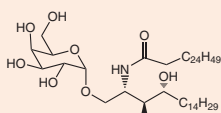
Formula: $C_{50}H_{99}NO_9$

MW: 858.3

CAS: 158021-47-7

Source: Synthetic.

Derivative of Agelasphins isolated from marine sponge *Agelas mauritanus*.



PRODUCT NAME	PID
α -Galactosylceramide (Dansylated)	AG-CN2-0514
4-Fluorophenylundecanoyl- α -galactosylceramide [7DW8-5]	AG-CN2-0519
α -Galactosylceramide Analog I (water soluble) [KBC-007]	AG-CR1-3608
α -GalCer Analog 8	AG-CR1-3622
OCH (Truncated Analog of α -GalCer)	AG-CR1-3593
α -Mannosylceramide	AG-CR1-3594
β -Mannosylceramide	AG-CR1-3621

Selected Compounds from Marine Sources

PRODUCT NAME	BIOLOGICAL ACTIVITY	PID
Aerothionin	Anti-mycobacterial.	AG-CN2-0453
Agelaine D	Antifouling compound. Antimycobacterial and antibacterial agent. Inhibits the enzyme BCG 3185c, disrupting bacterial homeostasis. Antineoplastic against several cancer cell lines, including the drug resistant renal cancer cell line (ACHN).	AG-CN2-0492
(-)-Ageloxime D	Antifouling compound. Inhibits biofilm formation but not bacterial growth of <i>Staphylococcus epidermidis</i> . Cytotoxic against L5178Y mouse lymphoma cells.	AG-CN2-0016

Selected Synthetic Antibiotics

PRODUCT NAME	BIOLOGICAL ACTIVITY	PID
Amikacin disulfate salt	Protein synthesis inhibitor.	CDX-A0286
Amikacin hydrate	Protein synthesis inhibitor.	CDX-A0287
Ampicilline sodium salt	Bacterial cell-wall synthesis inhibitor.	CDX-A0313
Balofloxacin	DNA gyrase inhibitor.	CDX-B0302
Cordycepin	DNA/RNA synthesis inhibitor.	CDX-C0339
D-Cycloserine	Bacterial cell-wall synthesis inhibitor.	CDX-D0356
Ethionamide	InHA enzyme inhibitor.	CDX-E0237
Flumequine	DNA synthesis inhibitor.	CDX-F0079
Linezolid	Protein synthesis inhibitor.	CDX-L0031
Moxifloxacin hydrochloride	DNA gyrase inhibitor.	CDX-M0189
Sancycline	Protein synthesis inhibitor.	CDX-S0344

Antibiotics for Metabolic Syndrome Research

THE STANDARDS

Streptozotocin

AG-CN2-0046

50 mg | 250 mg | 1 g

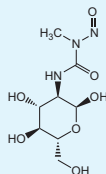
Formula: C₈H₁₅N₃O₇

MW: 265.2

CAS: 18883-66-4

Source: Synthetic. Originally isolated from *Streptomyces achromogenes* (Actinobacteria)

Diabetes inducer. Induces diabetes mellitus in animal models through its toxic effects on pancreatic β-cells.



UNIQUE

Pyripyropene A

AG-CN2-0106

250 µg | 1 mg

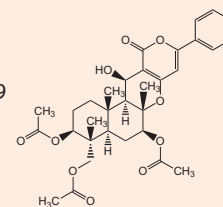
Formula: C₃₁H₃₇NO₁₀

MW: 583.6

CAS: 7147444-03-9

Source: *Aspergillus fumigatus* FO-1289 (Fungi)

Highly specific inhibitor of acyl-coenzyme A:cholesterol acetyltransferase 2 (ACAT2).



PRODUCT NAME	TARGET	SOURCE	PID
Agistatin B	Cholesterol biosynthesis	Fungi	BVT-0223
Agistatin D	Cholesterol biosynthesis	Fungi	BVT-0286
Agistatin E	Cholesterol biosynthesis	Fungi	BVT-0231
Amidepsine A	Diacylglycerol acyltransferase (DGAT)	Fungi	AG-CN2-0109
Amidepsine D	Diacylglycerol acyltransferase (DGAT)	Fungi	AG-CN2-0110
Cerulenin	Fatty acid synthase (FASN) / Palmitoylation	Fungi	AG-CN2-0513
Chaetoviridin A	Cholesteryl ester transfer protein (CETP)	Fungi	BVT-0419
Convulxin	Glycoprotein GPVI receptor	Snake venom	AG-CN2-0465
Decarestrictine D	Cholesterol biosynthesis	Fungi	BVT-0283
Deoxynojirimycin	α-Glucosidase I and II	Actinobacteria	BVT-0112
EM574	Motilin receptor	Actinobacteria	AG-CN2-0102
Geodin	Glucose uptake	Fungi	AG-CN2-0139
(R,R)-Hymeglusin	HMG-CoA synthase	Fungi	AG-CN2-0103
(3S,6R)-Lateritin	Acyl-CoA:cholesterol acyltransferase (ACAT)	Fungi	AG-CN2-0042
Lovastatin	HMG-CoA reductase	Fungi	AG-CN2-0051
N-Methyl-1-deoxynojirimycin	α-Glucosidase	Actinobacteria	BVT-0130
Orlistat	DAGLα	Actinobacteria	AG-CN2-0050
Sclerotiorin	Cholesteryl ester transfer protein (CETP)	Fungi	AG-CN2-0054
Skyrin	Receptor-selective glucagon antagonist	Fungi	AG-CN2-0001
Sterigmatocystin	Acyl-CoA:cholesterol acyltransferase 2 (ACAT2)	Fungi	BVT-0171
Terpendole C	Acyl-CoA:cholesterol acyltransferase (ACAT1 & 2)	Fungi	AG-CN2-0125
Terpendole E	Acyl-CoA:cholesterol acyltransferase (ACAT)	Fungi	AG-CN2-0127

UNIQUE

YM-254890

AG-CN2-0509

500 µg | 1 mg

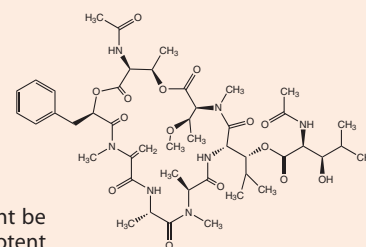
Formula: C₄₆H₆₉N₇O₁₅

MW: 960.1

CAS: 568580-02-9

Source: *Chromobacterium sp.* QS3666 (Proteobacteria)Cyclic depsipeptide composed of unique amino acids differing from normal amino acids. Might be used as a starting point for new approaches in cancer drug discovery. Membrane permeable, potent and selective Gα_q family inhibitor. Gα_q signaling has been shown to regulate brown/beige adipocytes.

LIT: The Gq signalling pathway inhibits brown and beige adipose tissue: K. Klepac, et al.; Nat. Commun. 7, 10895 (2016)



Antibiotics for Inflammation & Neuroscience Research

Inflammatory & Viral Target Modulators

THE STANDARDS

Nigericin . sodium salt

AG-CN2-0020

5 mg | 25 mg

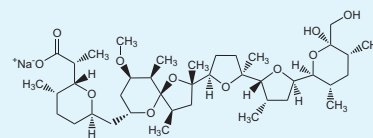
Formula: C₄₀H₆₇O₁₁ . Na

MW: 724.0 . 23.0

CAS: 28643-80-3

Source: *Streptomyces hygroscopicus* (Actinobacteria)

High affinity ionophore for monovalent cations such as H⁺, K⁺, Na⁺, Pb²⁺. Used as a standard NLRP3/NALP3 activator. In addition, shows antibacterial (Gram-positive), antifungal, antitumor and antiviral activity.



PRODUCT NAME	TARGET	SOURCES	PID
Alternariol monomethyl ether	Hepatitis C NS3-4A protease	Fungi	BVT-0323
Antibiotic L-696,474	HIV-1 protease	Fungi	BVT-0331
Asperloxine A	Anti-inflammatory	Fungi	BVT-0266
Auranofin	5-Lipoxygenase (5-LOX)	Synthetic	AG-CR1-3611
Aurantimycin A	C5a antagonist	Actinobacteria	BVT-0398
Boromycin	HIV-1 integrase	Actinobacteria	AG-CN2-0166
Butyrolactone II	5-Lipoxygenase (5-LOX)	Fungi	AG-CN2-0423
Corynesidone A	ROS and RNS scavenger	Fungi	AG-CN2-0496
Elasnin	Leukocyte elastase	Actinobacteria	BVT-0342
Funalenone	HIV-1 integrase	Fungi	AG-CN2-0137
10Z-Hymenialdisine	MEK-1, NF-κB, MARK	Marine	AG-CN2-0067
Mutolide	NF-κB	Fungi	BVT-0070
Myxochelin A	5-Lipoxygenase (5-LOX)	Proteobacteria	AG-CN2-0470
Nebularine (high purity)	Adenosine deaminase	Actinobacteria	BVT-0304
Petasol	HIV-1 Tat transduction	Fungi	BVT-0439
Pyranonigrin A	DPPH and superoxide scavenger	Fungi	AG-CN2-0156
Rugulosin	HIV-1 integrase	Fungi	BVT-0444
(R)-Semiovioxanthin	IκB (Inhibitor of NF-κB), TNF-α, MAPK	Fungi	BVT-0360
Siamycin I	HIV envelope glycoprotein gp41	Actinobacteria	AG-CN2-0146

Neuroscience Target Modulators

PRODUCT NAME	TARGET	SOURCES	PID
Amauromine	CB1 receptor	Fungi	AG-CN2-0113
epi-Aszonalenin A	Substance P	Fungi	AG-CN2-0163
NEW Collinolactone	Aβ Aggregates, Tau Tangles	Actinobacteria	BVT-0480
Cycloopenin	Acetylcholinesterase (AChE)	Fungi	AG-CN2-0134
Fulvic Acid	Tau and Ab aggregation	Fungi	AG-CN2-0135
NG 012	Nerve growth factor (NGF)	Fungi	AG-CN2-0155
Paxilline	Calcium-activated potassium (BKCa) channels Sarco/endoplasmic reticulum Ca ²⁺ -ATPase (SERCA)	Fungi	AG-CN2-0167
Pimprinine	Monoamine oxidase (MAO)	Actinobacteria	BVT-0297
Pikromycin	Prolyl endopeptidase (PREP)	Actinobacteria	BVT-0400
Pseurotin D	Apomorphine	Fungi	BVT-0426
Quinolactacin A	Acetylcholinesterase (AChE)	Fungi	AG-CN2-0164
Roquefortine C	Cytochrome p450	Fungi	BVT-0425
Territrem B	Acetylcholinesterase (AChE)	Fungi	AG-CN2-0142
Verruculogen	KCa1.1 channels	Fungi	BVT-0443

Potent TRPV1 Agonist for Pain Relief

THE SOURCE

Resiniferatoxin (RTX)

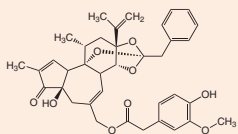
AG-CN2-0534

0.1 mg | 0.5 mg

Formula: $C_{37}H_{40}O_9$

MW: 628.7

CAS: 57444-62-9

Source: *Euphorbia resinifera*
(Plant)

The plant *Euphorbia resinifera* (Resin spurge) contains a milky latex. It is the most potent irritant known so far and was used in ancient traditional medicine for its analgesic properties. The irritant principle of the cactus-like plant was isolated and identified as resiniferatoxin (RTX).

Resiniferatoxin (RTX), an analog of capsaicin, is a highly potent transient receptor potential vanilloid 1 (TRPV1) agonist ($K_i=43\text{pM}$) and acts as a selective modulator of primary afferent neurons. **The primary action of RTX is to activate sensory neurons responsible for the perception of pain.**

Standard Reagent for THP-1 Cell Differentiation

The human monocytic cell line THP-1 is the most widely used cell line for *in vitro* studies investigating primary human macrophage function. The reason is that following the differentiation of THP-1 cells using PMA, they acquire a macrophage-like phenotype, which mimics in many respects, primary human macrophages (M0 macrophages). PMA is a potent activator of protein kinase C (PKC), which is a key regulator of macrophage differentiation. When PMA is added to THP-1 cells, it causes them to express the surface markers CD14, CD16 and CD68, which are characteristic for M0 macrophages. PMA also induces the production of pro-inflammatory cytokines by M0 macrophages. Further treatment with PMA can activate M0 macrophages and differentiate them into M1 or M2 macrophages. The differentiation of THP-1 cells into

M0 macrophages is a complex process, important for the immune response to infection and injury.

PMA is commonly used to activate protein kinase C (PKC), a family of enzymes involved in various cellular processes such as cell growth, differentiation, proliferation and apoptosis (programmed cell death). PMA can activate all isoforms of PKC, but it has a particularly strong affinity for PKC α , PKC ϵ and PKC δ .

THE SOURCE BULK

Phorbol 12-myristate 13-acetate [PMA]

AG-CN2-0010

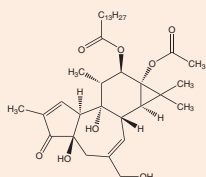
1 mg | 5 mg | 10 mg | 25 mg

Formula: $C_{36}H_{56}O_8$

MW: 616.8

CAS: 16561-29-8

Source: Semi-synthetic from plant.



THP1 Differentiation using PMA

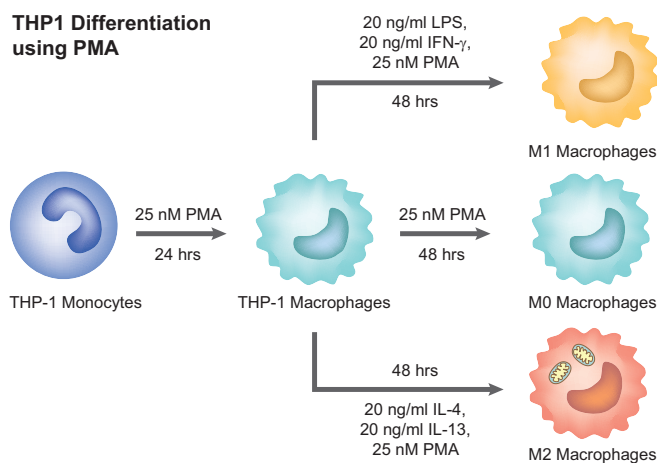


FIGURE: THP1 cell differentiation into macrophages using PMA.

Newly Introduced High Purity Natural Products

THE SOURCE

Spilanthol

AG-CN2-0543

5 mg

Excellent stable model compound for sensory/chemoreception studies. Shown to have a broad range of biological properties.

THE SOURCE

Taspine

AG-CN2-0544

1 mg | 5 mg | 10 mg

Potent acetylcholinesterase (AChE) inhibitor, dual topoisomerase inhibitor, VEGFR-2 inhibitor and P2X4 receptor inhibitor.

Key Research Natural Products / Antibiotics for Your Lab

BULK from the Original Source

Cell Selection, Gene Expression and Membrane Traffic

PRODUCT NAME	PRODUCT DESCRIPTION	SOURCE	PID
Anhydrotetracycline . HCl	Used with tetracycline-controlled gene expression systems in bacteria. No antibiotic activity.	Actinobacteria	CDX-A0197
(+)-Brefeldin A	Protein transport inhibitor. Tool to study membrane traffic and vesicle transport dynamics.	Fungi	AG-CN2-0018
G418 . sulfate	Cell selective agent.	Actinobacteria	AG-CN2-0030
Gentamicin sulfate (USP Grade)	Cell selective agent.	Actinobacteria	AG-CN2-0066
Puromycin . 2HCl	Cell selective agent.	Actinobacteria	AG-CN2-0078
Tetracycline . HCl	Cell selective agent.	Actinobacteria	CDX-T0096

Ionophore Antibiotics

PRODUCT NAME	SOURCE	PID
Enniatin A	Fungi	AG-CN2-0477
Enniatin A1	Fungi	AG-CN2-0478
Enniatin B	Fungi	AG-CN2-0479
Enniatin B1	Fungi	AG-CN2-0480

PRODUCT NAME	SOURCE	PID
Ionomycin (free acid)	Actinobacteria	AG-CN2-0416
Ionomycin . Ca	Actinobacteria	AG-CN2-0418
Lasalocid A . Na	Actinobacteria	CDX-L0015
Lasalocid A . Na Solution	Actinobacteria	CDX-L0515

Also Available:

Colistin sulfate (USP & Ph.Eur. Grade) – Potent Bacterial Membrane Disruptor!

THE SOURCE

Potent Tumor Promoters

PRODUCT NAME	SOURCE	PID
Phorbol 12-myristate 13-acetate [PMA; TPA]	Plant	AG-CN2-0010
Thapsigargin (high purity)	Plant	AG-CN2-0003

PMA is the most commonly-used phorbol ester. It binds to and activates protein kinase C (PKC) at nM concentrations.

Thapsigargin is a potent non-TPA/PMA tumor promoter.

THE SOURCE

Gene Expression Inducers

PRODUCT NAME	SOURCE	PID
Ecdysone	Plant	AG-CN2-0071
20-Hydroxyecdysone	Plant	AG-CN2-0072
Makisterone A	Plant	AG-CN2-0073
Muristerone A	Plant	AG-CN2-0070
Ponasterone A	Plant	AG-CN2-0053

Ecdysone receptor (EcR) agonists. Inducers of ecdysone-inducible gene expression systems in mammalian cells and transgenic animals.

