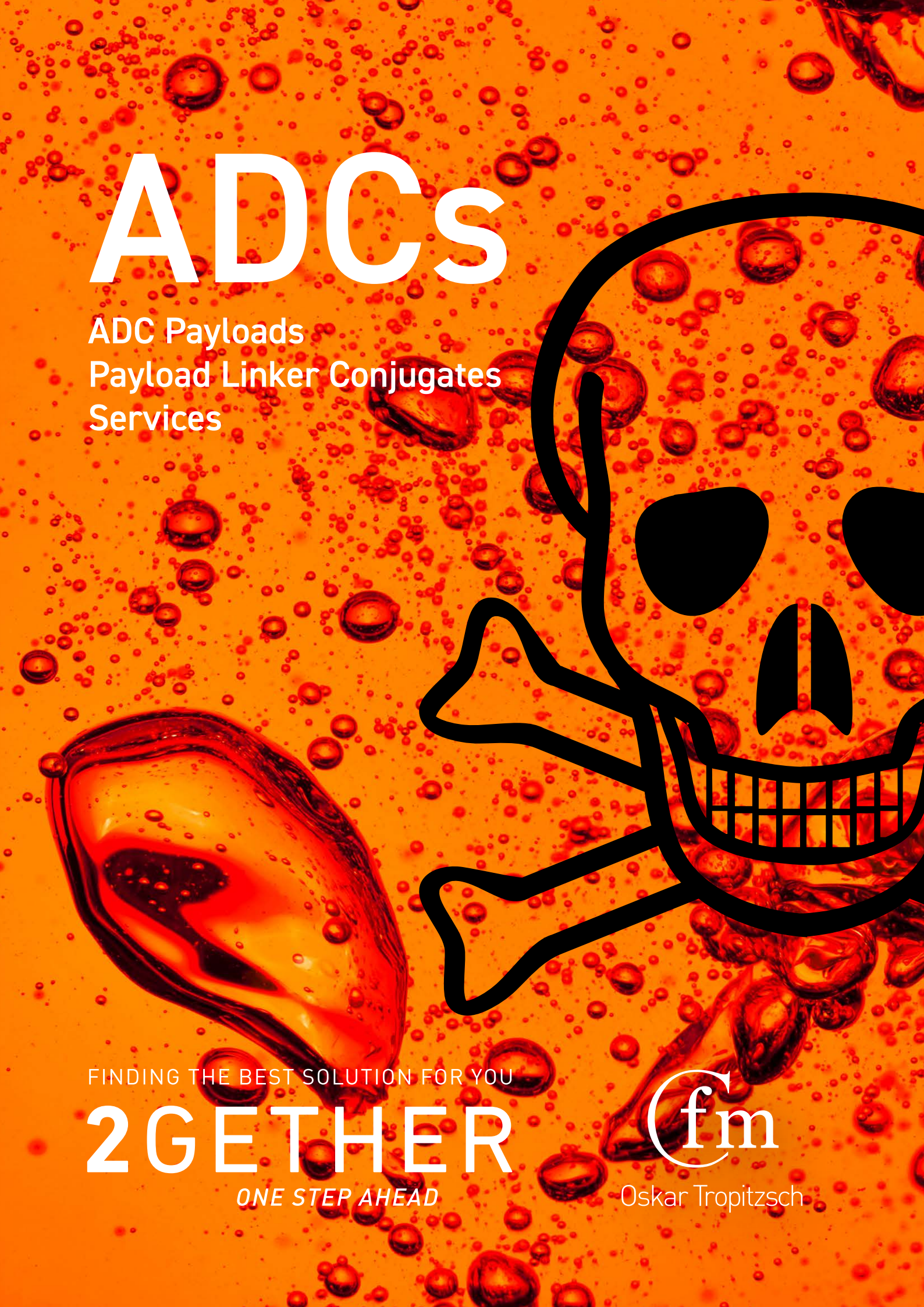
ADCs

ADC Payloads
Payload Linker Conjugates
Services



FINDING THE BEST SOLUTION FOR YOU

2GETHER

ONE STEP AHEAD

Oskar Tropitzsch

Dear Customer,

Antibody Drug Conjugates (ADCs) are a new approach in the development of innovative drugs for cancer therapy. The fundamental technology has already been described in 1908 by Paul Ehrlich and awarded the Nobel Prize for Medicine.

Human antibodies are coupled to highly potent toxins to target cancer cells and selectively kill them and faciliate healing.

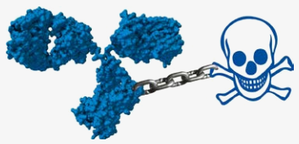
Leading biotech and pharmaceutical companies rely on us in in the sustainable sourcing of toxins as payloads for ADCs – including substances with IC50 values in the picomolar range and novel or special mode of action.

The active exchange with ADC experts enables us to set up further services: We arrange conjugation partners, specialists for contract manufacturing and experts for security classifications. Beside this we are able to advise on linker and linker strategies to optimize the conjugation process.

If you are interested, please contact us:
info@cfmot.de or visit us at www.cfmot.de

Kind regards,
Your Cfm-Team

Content



YOUR ONE STOP SHOP FOR TOXINS & LINKERS

Cfm Oskar Tropitzsch GmbH is GDP certified
(Good Distribution Practice)
by the German authorities starting January 2018.

ADC Payloads
Payload Linker Conjugates
Services

Contact

Cfm Oskar Tropitzsch GmbH
Adalbert-Zoellner-Str. 1
D-95615 Marktredwitz
Germany

Tel.: +49-(0)9231-9619-0
Fax: +49-(0)9231-9619-60
Mail: kontakt@cfmot.de
Web: www.cfmot.de

Fon: +49 9231 9619-0 · Fax: +49 9231 9619-60
E-Mail: info@cfmot.de · www.cfmot.de

Contract Manufacturing

You have selected an interesting molecule and now you are in need of mg, g or even kg quantities for further testing or for usage in clinical trials or as a payload produced under GMP conditions?

We work with partners around the world who offer exactly the services needed. The production facilities are equipped for handling Category 4 (OEL classification 1 – 0.05 µg / m3).

In case of technical questions, you are of course in direct contact with the manufacturer. The challenge of producing Actinomycin X2 is a good example to showcase our services. Our client was searching on the market for this product for months and used his network intensively but without success. Finally, they approached Cfm and were not confident that they might have a chance to proceed with their project. Our team thought that with some emails, phone calls and perhaps some screening Actinomycin X2 would be found and able to deliver to the customer. But this one not – not at all. The product was not available in Europe, USA, Japan, Australia/New Zealand or China. So to be able to have a chance to get this product we had to search for the strain. After two years of intensive market investigations, the team of Cfm together with cooperation partners found the strain which produces Actinomycin X2 in a research laboratory in Berlin. Subsequently, this strain was cultured in the laboratory of our manufacturing partner. It could have been simple if the fermentation just would produce the product – but you can imagine the challenge was not offer so far. The fermentation alone was extremely challenging, as the strain poisoned itself. Again further development was necessary to be able to reach our target – only some grams of Actinomycin X2.

As time is a critical parameter our partners optimized the harvesting time and were able to harvest good crude material. What else than an extremely difficult purification followed which was finally successfully. In the end, thanks to the help of our partner, we were able to deliver the product to our customers with a purity of> 90%. After reviewing this project of producing Actinomycin X2 we were only able to realize this due to an extreme good team work among specialists on all sides – customer, cooperation partner and Cfm.

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Payload Sourcing

The product category Payloads contains a variety of substances that are very new. In addition, well-known or forgotten products with possible applications in the field of ADCs are listed as well. If, contrary to expectations, you do not find the product you are looking for in our list, we will gladly help you find a suitable manufacturer.

Through our worldwide network of research institutions in various disciplines (fermentation, extraction, and chemical-synthesis), universities, specialized laboratories or specialized GMP manufacturers, we can usually deliver the product we are looking for, should there be no customs, regulatory or legal barriers avoiding us deliver. By participating regularly in fairs, congresses, symposia and exhibitions, we are always up-to-date with the latest trends in this area. Not only personally, we are also there for you online. On our Cfm-Linked-In-Focus page for ADCs you will find interesting articles about new products and trends. Have we stimulated your interest? Just get in contact with us to discuss further details.

Logistic Services for HPAPIs

Not only the production of these Highly Potent Active Pharmaceutical Ingredients (HAPAPIs) requires very special equipment, experienced chemists and all conceivable safety precautions. The subsequent logistics is more than a normal challenge and this seemingly trivial activity can decide whether your project is a success or a failure. In addition to suitable outer packaging, mostly so-called UN-V boxes, special inner packaging, the choice of the suitable mode of transport, has to comply with all the other important regulations. Depending on the substance class, certain documents may be required prior to shipping.

These can range from a simple end-user declaration for shipping within Europe to an export license for shipment to a third country. The authorization alone can take over a period of up to six weeks. Furthermore, special transport-relevant documents (shippers- declaration for airfreight shipping) or country-specific requirements such as a TSCA certificate can be mentioned. As far as possible, we take care of all these complex tasks as part of our service. Focus on your project and leave the rest to us!

Payload Linker Conjugation

If you already have selected a cytotoxin, have ideas for a corresponding linker and now you are looking for a suitable manufacturing partner for the so-called payload-linker-conjugation, of course we can also help you in this case. On basis of already implemented projects, we have gained experience in this area. Depending on the scope of your project, experienced production partners in Europe or even in North America are available. These can accompany your project from early research to clinical trials through to the final cGMP production process.

Our sister companies, Iris-Biotech GmbH and Iris-Biotech Laboratories are global specialists in peptide-based linker technologies. We assist you in selecting or producing the appropriate linker. You, or your lawyers, only have to help us with the patent law questionnaire. We take care of the production. Nearly all possible common linker variations were already produced successfully in high purity for test purposes. From Boc-Val-Ala-PAB via Fmoc-Val-Ala-PAB to Mal-Dap (Boc) -Val-Ala-PAB-PNP. If you require a different linker technology, contact us.

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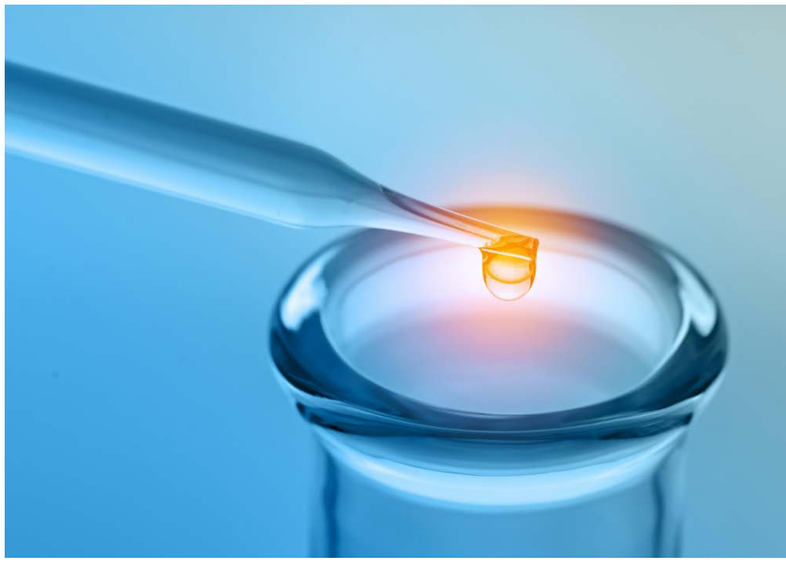

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Marketing of Payload Candidates

Our services are not a one-way street. If you have an innovative payload with the latest possible "Mode of Action", but have no market knowledge or limited marketing experience, we can help you here as well.

At the beginning of such a process we start with the signature of CDAs (Confidentiality Agreements) or NDAs (Non Disclosure Agreements), followed by the signing of an MTA (Material Transfer Agreement). All these documents are required to be secure and give you the assurance that you are determining what happens to your molecule and what does not.

University partners with groundbreaking new product candidates entrust us their hopefully future blockbuster molecules to make them known on the market. The multitude of potential product candidates also includes the right networking, trust in the market and our experience in this area. If you are in possession of such a molecule, please do not hesitate to contact us. We are happy to evaluate the chances in a confidential first meeting and give you useful tips if necessary.

Network Service for ADCs

In addition to all these mentioned services, we offer further support for your projects.

Examples include the analytical support. Specifically, the ADC field demands the latest, state-of-the-art analytical methods and analytical equipment with equally skilled personnel. All this we can offer through our partners.

Furthermore, we have contacts to certified and reliable laboratories for in vivo pharmacology, bio-analysis, molecular biology and chemical studies. In addition, we also offer bio-distribution studies including in vivo bio-imaging, LC-Radio Monitor-MS, LC-MS. Together with us and our partners, your projects are in good hands.

We have the appropriate answer for nearly every question. Our motto "FINDING THE BEST SOLUTION FOR YOU – 2Gether ONE STEP AHEAD" is our quality promise to you!

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Payload with Novel Mode of Action

Abstract

The lack of payload diversity has seriously hampered development of effective ADCs.
We have successfully developed several novel cytotoxic payloads that are superior to existing payloads used in ADCs.

Our compounds exhibit extremely potent anticancer activity against many drug-resistant cancer cells with IC50 values in the sub-nM to low pM range. Importantly, our compounds demonstrate excellent therapeutic selectivity, and exhibit promising efficacy against several types of cancers in animal studies. We have also developed an efficient patent-protected synthetic process that can be modified for the synthesis of next generation payloads for ADCs. Furthermore, we have discovered a suitable anchoring position on these molecules for conjugation to antibody via a proper linker.

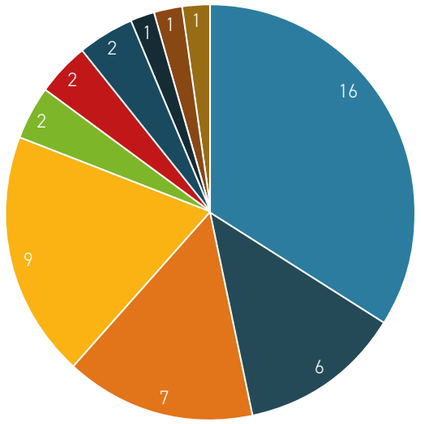
The pharmacological study showed that our compounds involve a mechanism of action that is independent of cell cycle and can effectively kill dormant cancer cells (including stem-like cancer cells) at sub-nM concentrations. Moreover, we discovered that our compounds abolish the GRP78 survival pathway that is closely correlated with its cytotoxicity.

Lack of Payload Diversity in ADCs

- 11 unique cytotoxic payloads are used in conjugation to 47 unique ADCs. Based on their mechanisms of action, they are mainly microtubule inhibitors and DNA-damaging drugs.
  Tubulin inhibitors comprise 38 of the 47 ADCs (81%) and 2 of the 2 approved ADCs (100%).
- Tubulin inhibitors are mainly from two natural products Auristatin and Maytansine. Monomethyl Auristatin E (MMAE) (n=16), Monomethyl Auristatin F (MMAF) (n=6), Maytansinoid DM1 (DM1) (n=7), and Maytansinoid DM4 (DM4) (n=9) are the most common warheads.
- Other cytotoxic payloads are: Calicheamicin (n=2), doxorubicin (n=2), pyrrolobenzodiaze-pine (PBD) (n=1), topoisomerase-I inhibitor/irinotecan metabolite (SN-38) (n=2), duocarmycin (n=2), and other unknown cytotoxins (n=1).
- Doxorubicin, an old chemotherapeutic agent, to which cancer cells have already developed resistance is still used in ADCs in clinical trials.

The lack of payload diversity has seriously hampered the development of effective ADCs. There is a clear, urgent need to develop novel cytotoxic payloads for ADC cancer therapy.

Commercial Cytotoxins Payloads in ADCs



Reasons for the Lack of Payload Diversity

The reasons for the lack of payload diversity are the direct results of three tough criteria for the selection of a qualified payload compound:

- payload compounds must be exceptionally cytotoxic, with IC50 values in the sub-nM to low picomolar range to induce an effective response;
- payload compounds must consist of appropriate functional groups that can bind to and re-lease from the chemical linker;
- payload compounds must remain stable until they are internalized into the target cell.

Only a very limited number of organic compounds meet these three tough criteria.

Natural Product OSW-1

Extremely Potent Anticancer Activity

OSW-1, a natural product isolated from the bulbs of Ornithogalum saundersiae, exhibits extremely potent anticancer activity against a wide spectrum of cancer cells with IC50 values in the sub-nM to low picomolar range and is one of the most potent anticancer agents ever tested at NCI. Its anticancer activities are about 10-100 times more potent than many well-known anticancer agents in clinical use, such as etoposide, methotrexate, mitomycin C, camptothecin, 5-FU, and paclitaxel. The IC50 values of OSW-1 against some cancer cell lines are shown in the following table.

Cancer Cells	Breast cancer	Endometrium cancer
Type of Cancer	0.270	0.200
IC50 (nM)	MDA-MB-468	A375P
ML-1	Breast cancer	Melanoma
Leukemia	0.360	0.013
0.021	SKOV3	A375SM
HL-60	Ovarian cancer	Melanoma
Leukemia	0.054	0.016
0.041	HCT116 p53+/+	WM35
Raji	Colon cancer	Melanoma
Lymphoma	0.568	0.139
0.073	U87	MEWO
MDA-MB-453	Brain cancer	0.013

Superior Therapeutic Selectivity

Non-malignant cells are significantly less sensitive to OSW-1, with the IC50 values 43-152x greater than those in cancer cells, demonstrating excellent therapeutic selectivity:

Cancer Cells	Breast cancer	Endometrium cancer
HL-60	0.270	0.200
Leukemia	Ovarian cancer	A375P
0.041	0.054	Melanoma

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A Novel Mode of Action

OSW-1 involves a novel mechanism of action that is independent of cell cycle and can even effectively kill dormant cancer cells (stem-like cancer cells) at sub-nM concentrations. Moreover, we discovered that OSW-1 abolishes the GRP78 survival pathway that is closely correlated with its cytotoxicity. GRP78 is a key member of the HSP70 protein family that functions as an ER chaperone involved in protein folding and assembly and ER-mediated stress signal. GRP78 is over-expressed in many cancers and plays important roles in tumor growth, tumor cell survival, angiogenesis, metastasis, drug resistance, and tumor immunity.
Despite the fact that OSW-1 belongs to the saponins, it does not show any hemolytic tox-icity, even at 100 µg/ml concentrations.

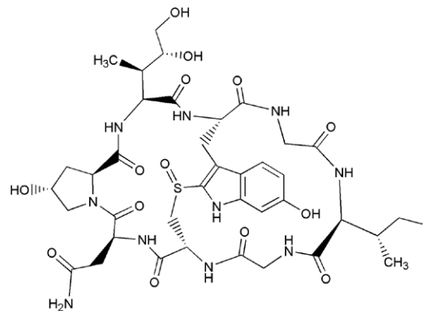
Mimaki Y, Kuroda M, Kameyama A, Sashida Y, Hirano T, Oka K, Maekawa R, Wada T, Sugita K, Beutler J A: Choles-tane glycosides with potent cytostatic activities on various tumor cells from Ornithogalum Saundersiae bulbs. Bioor-ganic Med. Chem. Lett. 1997; 7: 633.
Zhou Y, Garcia-Prieto C, Carney DA., Xu RH, Pelicano, H, Kang Y, Yu W, Lou C, Kondo S, Liu J, Harris DM, Estrov Z, Keating MJ, Jin Z, Huang P. OSW-1: A natural compound with potent anticancer activity and novel mechanism of ac-tion. J. Natl. Cancer Inst. 2005; 97: 1781-1785
Garcia-Prieto C, Riaz Ahmed KB, Chen Z, Zhou Y, Hammoudi N, Kang Y, Lou C, Mei Y, Jin Z, Huang P.: Effective kill-ing of leukemia cells by the natural product OSW-1 through disruption of cellular calcium homeostasis. J. Biol. Chem. 2013; 288(5): 3240-50

Ideas for Novel MoA Molecules

>220 potential molecules are available

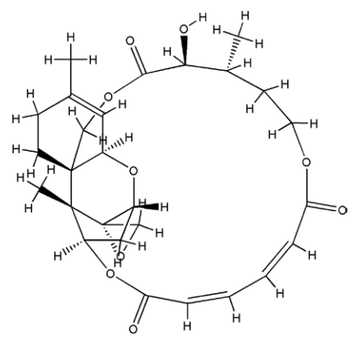
Alpha-Amanitin, a bicyclic octapeptide

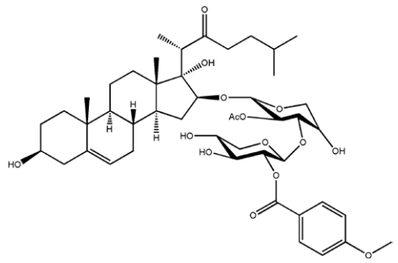
Inhibitor of RNA polymerase II (0.02 micrograms/ml).
Moldenhauer G, Salnikov AV, Lüttgau S, Herr I, Anderl J, Faulstich H: Therapeutic potential of amanitin-conjugated anti-epithelial cell adhe-sion molecule monoclonal antibody against pancreatic carcinoma. *J Natl Cancer Inst.* 2012;**104(8):** 622-34.



Verrucarin A is known as a mycotoxin. Nowadays, reasearch showed also growth inhibition on androgen-dependent prostate carcinoma cells. (LNCaP and DU-145).

Liu Y, Gao X, Deeb D, Zhang Y, Shaw J, Valeriote FA, Gautam SC: *J Exp Ther Oncol.* 2016; **11(4):** 251-260





OSW-1, abolishes the GPR78 survival pathway that is closely correlated with its cytoxtoxicity.

Garcia-Prieto C, Riaz Ahmed KB, Chen Z, Zhou Y, Hammoudi N, Kang Y, Lou C, Mei Y, Jin Z, Huang P. Effective killing of leukemia cells by the natural prod-uct OSW-1 through disruption of cellular calcium homeostasis. *J. Biol. Chem.* 2013; **288(5):** 3240-50.

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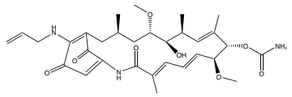
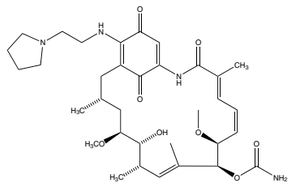
A

17-AAG



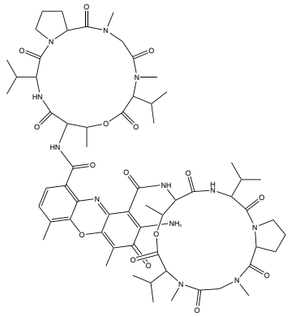
CODE 5500530
CAS 75747-14-7
FORMULA $C_{31}H_{43}N_3O_8$
MOL. WEIGHT 585,7 g/mol
DESCRIPTION 17-AAG is an ansamycin antibiotic which binds to HSP90 (Heat Shock Protein 90) and alters it`s function.
Origin: semi-synthetic derivate of Geldanamycin

17-AEP-GA



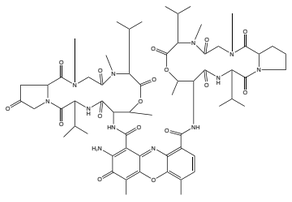
CODE 5600055
FORMULA $C_{34}H_{50}N_4O_8$
MOL. WEIGHT 642,78 g/mol
DESCRIPTION 17-AEP-GA belongs to the Geldanamycin family. It is an HSP90 inhibitor. 17-AEP-GA was shown to be a powerful inhibitor of cancer cell growth (IC_{50} below 100 nM). Its binding affinity to HSP90 was not significantly affected compated to Geldanamycin and other analogs while its water solubility was highly improved compated to 17-AAG. Reference: ZQ Tian et al. Bioorg. Med. Chem 2004 12:5317

Actinomycin D



CODE 5600133
CAS 50-76-0
FORMULA $C_{62}H_{86}N_{12}O_{16}$
MOL. WEIGHT 1255,5 g/mol
DESCRIPTION Actinomycin D induces apoptosis. It is a potent antitumor agent. Actinomycin D is used for cell culture applications as a selection agend.
Origin: Streptomyces parvulus HCT-116: IC_{50}=0,0008 µM; PSN1 : IC_{50}=0,0008 µM;
T98G : IC_{50}=0,008 µM; A549 : IC_{50}=0,04 µM (preliminary laboratory results).

Actinomycin X2



CODE 5500142
CAS 18865-48-0
FORMULA $C_{62}H_{84}N_{12}O_{17}$
MOL. WEIGHT 1269,4 g/mol
DESCRIPTION Antitumor antibiotic. Has higher cytotoxicity toward cultured human leukemia (HL-60) cells than actinomycin D. Induces cell death via apoptosis. Isolated from Streptomyces sp.

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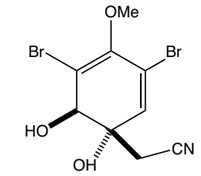
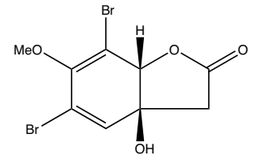
Aeroplysinin 1



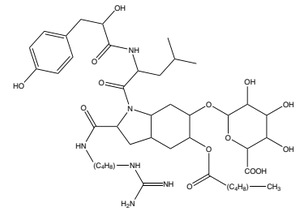
CODE 5500179
CAS 28656-91-9
FORMULA $C_9H_9Br_2NO_3$
MOL. WEIGHT 338,98 g/mol
DESCRIPTION The sponge Aplysina aerophoba Schmidt belogns to the family Aplysinidae, which may can be found in tropical and subtroical parts. Aeroplysinin-1, a brominated antibiotic, has a wide spectrum of anti-tumoral action and behaves as a potent anti-angiogenic compound for bovine aortic endotheliseems to have cytotoxic activites against HeLa tumor cells. An experimental approach confirmed effects on MCP-1 and TSP-1. Aeroplysinin reduced the viability of AML cells in a dose depentent manner with IC_{50} of 10-20 µM.

Aeroplysinin-2



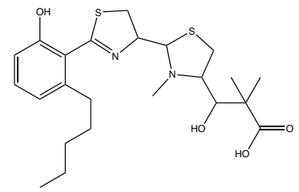
CODE 5500462
CAS 37694-12-5
FORMULA $C_9H_8Br_2O_4$
MOL. WEIGHT 339,97 g/mol
DESCRIPTION Aeroplysinin-2 is described as a PDE-inhibitor with antitumoral activities.

Aeruginosin 865



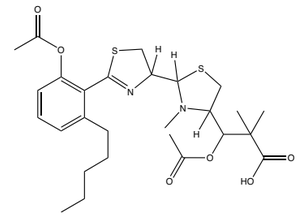
CODE 5600043
CAS 1611990-01-2
FORMULA $C_{41}H_{64}N_6O_{14}$
MOL. WEIGHT 864,98 g/mol
DESCRIPTION Aeruginosin 865 is a non-ribosomal peptide. Biological effects: anti-inflmatory, non-cytotoxic. IC_{50}: 100µM

Agrochelin A



CODE 5500008
FORMULA $C_{23}H_{34}N_2O_4S_2$
MOL. WEIGHT 466,66 g/mol
DESCRIPTION Agrochelin A is a new alkaloid cytotoxic substance, produced by the fermentation of Agrobacterium sp. Agrochelin A has shown cytotoxic activity.

Agrochelin B



CODE 5500009
CAS 247115-75-9
FORMULA $C_{27}H_{38}N_2O_6S_2$
MOL. WEIGHT 550,73 g/mol
DESCRIPTION Agrochelin B is a new alkaloid cytotoxic substance, produced by the fermentation of Agrobacterium sp. Agrochelin B has shown cytotoxic activity.

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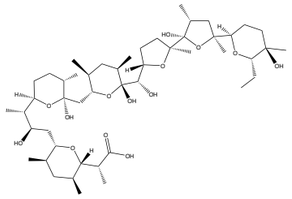
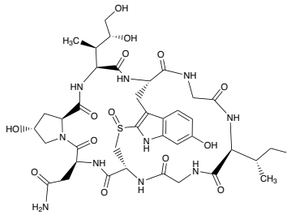
Alborixin



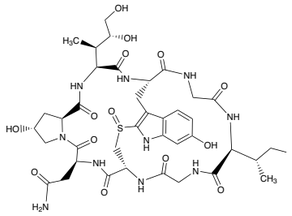
CODE 5600134
CAS 57760-36-8
FORMULA $C_{48}H_{84}O_{14}$
MOL. WEIGHT 885,17 g/mol
DESCRIPTION In lab tests, Alborixin exhibited antiproliferative activity against panel of cell lines N_2a, MCF-7, MiaPaca-2, PC-3, HCT-116, MDA-MB-231, HL-60 and A-549 cells with IC_{50} of 9.7, 15.4, 7.2, 8.1, 3.2, 9.7, 7.5 and 11.5 µM respectively. Alborixin displayed the maximum cytotoxic activity against HCT-116 human colon carcinoma cells. Alborixin decreased the clonogenic potential of HCT-116 cells in a dose dependent manner. It induced apoptotic cell death in HCT116 cells. Biochemical evidence of apoptosis came from elevating the intracellular ROS level that was accompanied by mitochondrial membrane potential loss, decreasing the expression profile of anti-apoptotic protein Bcl-2, whereas it augments cleavage of caspase-3 and PARP-1, activates caspase-8 and 9 with concomitant increase in expression of proapoptotic protein Bax in a dose dependent manner.

alpha-Amanitin



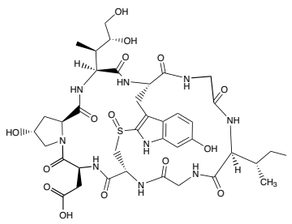
CODE 5600109
CAS 23109-05-9
FORMULA $C_{39}H_{54}N_{10}O_{14}S$
MOL. WEIGHT 918,97 g/mol
DESCRIPTION alpha-Amanitin, a bicyclic octapeptide, belongs originally to the large group of the so called amatoxins. alpha-Amanitin is an inhibitor of RNA polymerase II (0.02 micrograms/ml). RNA polymerase I was also inhibited by the relatively high concentration of alpha-Amanitin (IC_{50} = 100 micrograms/ml and IC_{70} = 750 micrograms/ml). The toxin works by binding to the bridging helix of RNA polymerase II inhibiting the translocation of RNA and DNA needed to empty the site for the next synthesis run. The transcription rated is lowed down by the factor of 1,000.

alpha-Amanitin - fungal fermentation origin



CODE 5600051
CAS 23109-05-9
FORMULA $C_{39}H_{54}N_{10}O_{14}S$
MOL. WEIGHT 918,97 g/mol
DESCRIPTION alpha-Amanitin, a bicyclic octapeptide, belongs originally to the large group of the so called amatoxins. The source of our specific alpha-Amanitin is fungal fermentation. By this production method the supply problems are solved. alpha-Amanitin is an inhibitor of RNA polymerase II (0.02 micrograms/ml). RNA polymerase I was also inhibited by the relatively high concentration of alpha-Amanitin (IC_{50} = 100 micrograms/ml and IC_{70} = 750 micrograms/ml). The toxin works by binding to the bridging helix of RNA polymerase II inhibiting the translocation of RNA and DNA needed to empty the site for the next synthesis run. The transcription rated is lowed down by the factor of 1,000.

beta-Amanitin



CODE 5600026
CAS 21150-22-1
FORMULA $C_{39}H_{53}N_9O_{15}S$
MOL. WEIGHT 919,95 g/mol
DESCRIPTION beta-Amanitin, a cyclic peptide, consisting of eight amino acids is part of the toxic peptide group of the Amanita phalloides mushroom. beta-Amanitin inhibits mammalian protein synthesis. It is an inhibitor of RNA polymerase II and III but not RNA polymerase I or bacterial RNA polymerase.

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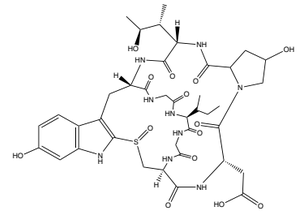
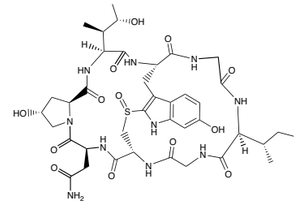
epsilon-Amanitin



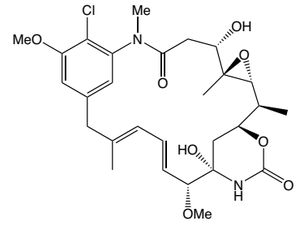
CODE 5600028
CAS 21705-02-2
FORMULA $C_{39}H_{53}N_9O_{14}S$
MOL. WEIGHT 903,96 g/mol
DESCRIPTION epsilon-Amanitin is a cyclic peptide, found i.e. in the Amanita genus of mushrooms. Oral LD_{50} is in the range of 0.1 mg/kg.

gamma-Amanitin



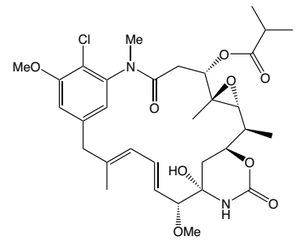
CODE 5600027
CAS 21150-23-2
FORMULA $C_{39}H_{54}N_{10}O_{13}S$
MOL. WEIGHT 902,97 g/mol
DESCRIPTION gamma-Amanitin is a cyclic peptide. gamma-Amanitin consists of eithgh amino acids. It is extracted i.e. from Amanita phaloides.

Ansamitocin P-0



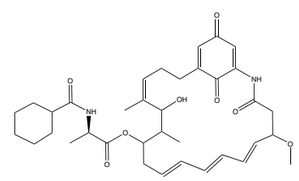
CODE 5600004
CAS 57103-68-1
FORMULA $C_{28}H_{37}ClN_2O_8$
MOL. WEIGHT 565,05 g/mol
DESCRIPTION Ansamitocin P-0/Maytanisinol inhibits microtubule assembly and induces microtubule disassembly in vitro. The Maytansinol target is the Microtubule/Tubulini. Maytansinol disrupts the mitotic spindle and prevents mitotic exit in Drosophila. Maytansinol reduces the growth and/or survival of HCT116 cells in a dose-dependent manner and that the effect was more severe for p53+/+ than for p53-/- cells at both low and high doses. Maytansinol inhibits the growth of HCT116 human colon cancer cells. Maytansinol induces apoptosis in imaginal discs of wild-type larvae but not p53 mutant larvae. This parallels the finding in human HCT116 cells, in which Maytansinol was more effective when p53 was present, at least at some doses. Maytansinol induces apoptosis in imaginal discs of wild-type larvae but not p53 mutant larvae at 24 hours after exposure to drug.

Ansamitocin P-3



CODE 5600135
CAS 66584-72-3
FORMULA $C_{32}H_{43}ClN_2O_9$
MOL. WEIGHT 635,14 g/mol
DESCRIPTION Ansamitocin P-3 is a fungal metabolite with antimitotic, antineoplastic activity. Ansamitocin P-3 binds to tubulin and inhibits vinblastine-induced spiral formation.

Ansatrienin A



CODE 5500640
CAS 82189-03-5
FORMULA $C_{36}H_{48}N_2O_8$
MOL. WEIGHT 636,8 g/mol
DESCRIPTION Ansatrienin A is an antitumor antibiotic. It inhibits osteoclastic bone resorption.

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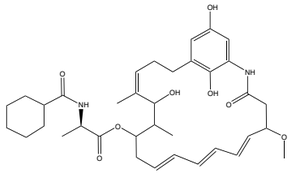
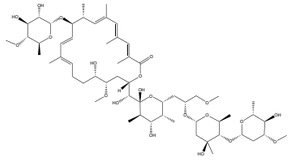
Ansatrienin B



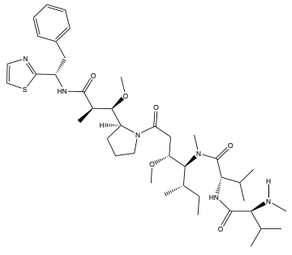
CODE 5500657
CAS 82189-04-6
FORMULA $C_{36}H_{50}N_2O_8$
MOL. WEIGHT 638,8 g/mol
DESCRIPTION Ansatrienin B is an antitumor antibiotic, closely related to the cytotrienins. It seems to have potent anticancer activities.

Apoptolidin



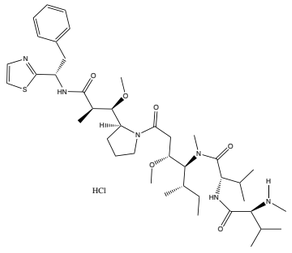
CODE 5500641
CAS 194874-06-1
FORMULA $C_{58}H_{96}O_{21}$
MOL. WEIGHT 1129,37 g/mol
DESCRIPTION Apoptolidin is a F_0F_1-ATPase inhibitor. Apotptolidin was originally isolated from Nocardiopsis sp. Antibiotic. It is an highly selective and potent apoptosis inducer in several cancer cell lines. Apoptosis in E_1A-transformed cells: IC_{50} = 11 ng/ml; F_0F_1-ATPase: IC_{50} = 700 nM (yeast).

Monomethyl Auristatin D



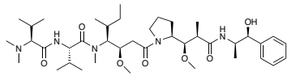
CODE 5600079
CAS 203849-91-6
FORMULA $C_{41}H_{64}N_5O_6S$
MOL. WEIGHT 771,06 g/mol
DESCRIPTION Monomethyl Auristatin D is a potent tubulin inhibitor.

Monomethyl Auristatin D, HCl



CODE 5600086
CAS 173441-26-4
FORMULA $C_{41}H_{67}ClN_4O_6S$
MOL. WEIGHT 807,53 g/mol
DESCRIPTION Monomethyl Auristatin D HCL (MMAD HCl), a potent tubulin inhibitor, is a toxin payload in antibody drug conjugate.

Auristatin E



CODE 5600006
CAS 160800-57-7
FORMULA $C_{40}H_{69}N_5O_7$
MOL. WEIGHT 732,01 g/mol
DESCRIPTION Auristatin E is a synthetic analog of Dolastatin 10. Auristatin E is a highly potent antimitotic agent. Auristatin E inhibits tubulin polymerization(1). Auristatin E-antibody cunjugates have proven to be successful anticancer agents.(2) 1. GR Pettit et al. Anticancer Drug Des. 1995 10:529. 2. SO Doronina et al. Nature Biotechnol. 2003 21:778. Auristatin E is a Tubulin inhibitor.

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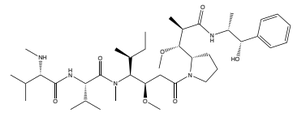
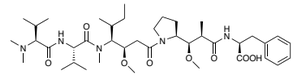
Monomethyl Auristatin E, free base



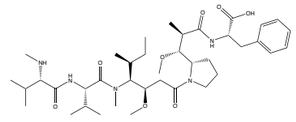
CODE 5600000
CAS 474645-27-7
FORMULA $C_{39}H_{67}N_5O_7$
MOL. WEIGHT 717,98 g/mol
DESCRIPTION Monomethyl Auristatin E (MMAE) is an antimitotic agent which inhibits cell division by blocking the polymerisation of tubulin. MMAE can potentially diffuse into other nearby tumor cells that are antigen negative and be cytotoxic to these cells (bystander killing effect). MMAE is a Tubulin inhibitor.
Mode of action: prevent tubulin polymerization. The family of auristatins are synthetic analogues of the antineoplastic natural product Dolastatin 10. MMAE is 100-1000 times more potent than doxorubicin. Bentuximab vedotin is currently the only approved MMAE-conjugate for the treatment of patients with Hodkin lymphoma and anaplatic large cell lymphoma.

Auristatin F



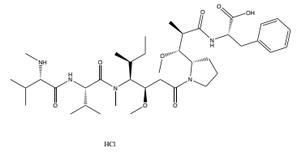
CODE 5600007
CAS 163768-50-1
FORMULA $C_{40}H_{67}N_5O_6$
MOL. WEIGHT 745,99 g/mol
DESCRIPTION Auristatin F is a synthetic analog of Dolastatin 10. Auristatin F is a highly potent antimitotic agent. Auristatin F inhibits tubulin polymerization(1). Auristatin F-antibody cunjugates have proven to be successful anticancer agents.(2) 1. GR Pettit et al. Anticancer Drug Des. 1995 10:529. 2. SO Doronina et al. Nature Biotechnol. 2003 21:778. Auristatin F is a Tubulin inhibitor.

Monomethyl Auristatin F



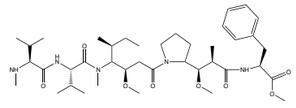
CODE 5600001
CAS 745017-94-1
FORMULA $C_{39}H_{65}N_5O_8$
MOL. WEIGHT 731,96 g/mol
DESCRIPTION Monomethyl Auristatin F (MMAF) is an antimitotic agent which inhibits cell division by blocking the polymerisation of tubulin. It is linked to an antibody with high affinity to structures on cancer cells, causing MMAF to accumulate in such cells. MMAF is a Tubulin inhibitor. Mode of action: prevent tubulin polymerization.

Monomethyl Auristatin F, HCl



CODE 5600087
CAS 1415246-68-2
FORMULA $C_{39}H_{66}ClN_5O_8$
MOL. WEIGHT 768,42 g/mol
DESCRIPTION Monomethyl Auristatin F HCl is an antitubulin agent that inhibit cell division by blocking the polymerization of tubulin; lower cytotoxic activity than MMAE; antibody drug cytotoxin.

Monomethyl Auristatin F methyl ester, free base



CODE 5600019
CAS 863971-12-4
FORMULA $C_{40}H_{67}N_5O_8$
MOL. WEIGHT 745,99 g/mol

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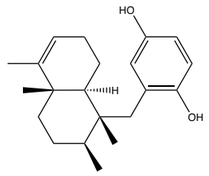
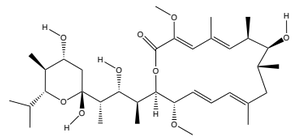
Avarol



CODE 5500471
CAS 55303-98-5
FORMULA $C_{21}H_{30}O_2$
MOL. WEIGHT 314,46 g/mol
DESCRIPTION Avarol is a secondary metabolite from the marine sponge D. avara. It is a sequiterpenoid hydroquinone with potent cytotoxicity. Althoug resolving edoplasmic reticulum (ER) stress is essential for intracellular homeostasis, erratic or excessive ER stress can lead to apoptosis. Avarol selectively induces cell death in pancreatic ductual adenocarcinomas (PDAC), which are difficult to treat owing to the availability of few chemotherapeutic agents. The proposed MoA of avarol-induced apoptosis indicates upregulation of ER stress marker BiP and ER stress-dependent apoptosis inducer CHOP in PDAC cells but not in normal cells, suggesting that avarol selectively induces ER stress repsonses. It is shown, taht avarol activates the PERK-eIF2alpha pathway but did not affect the IRE1 and ATF6 pathways. Moreover, CHOP downregulation was significantly suppressed by avarol-induced apoptosis. Thus, the PERK-eIF2alpha-CHOP signaling pathway may be a novel molecular mechanism of avarol-induced apoptosis. The present data indicate that avarol has the potential as a chemotherapeutic agent for PDAC and induces apoptosis by activating the PERK-eIF2alpha pathway.

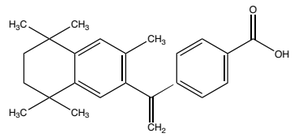
B

Bafilomycin A1



CODE 5500642
CAS 88899-55-2
FORMULA $C_{35}H_{58}O_9$
MOL. WEIGHT 622,80 g/mol
DESCRIPTION Bafilomycin A_1 is a inhibitor of V-ATPase in microoganisms, plant- and animal cells. Origin: Streptomyces griseus HCT-116: IC_{50}=0,0002 µM; PSN1 : IC_{50}=1,605 µM; T98G : IC_{50}=8,026 µM; A549 : IC_{50}=8,026 µM (preliminary laboratory results). International Journal of Oncology (2011), 38(3), 643-654.

Bexaroten



CODE 5600062
CAS 153559-49-0
FORMULA $C_{24}H_{28}O_2$
MOL. WEIGHT 348,48 g/mol
DESCRIPTION Bexarotene is a highly selective retinoid X receptor (RXR) agonist. It is an antineoplastic agent, already approved as an oral antineoplastic agent for cutaneous T cell lymphoma and being investigated against other cancers. We sell Bexaroten for R&D purposes only.

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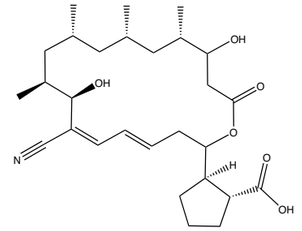
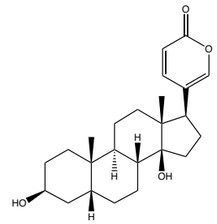
Borrelidin



CODE 5500643
CAS 7184-60-3
FORMULA $C_{28}H_{43}NO_6$
MOL. WEIGHT 489,6 g/mol
DESCRIPTION Borrelidin is an angiogenesis inhibitor that induces apoptosis of the capillary tube-forming cells. It also displays antimalarial activity against drug-resistant Plasmodia.

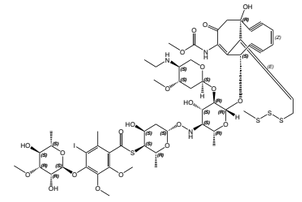
Bufalin



CODE 5600070
CAS 465-21-4
FORMULA $C_{24}H_{34}O_4$
MOL. WEIGHT 386,53 g/mol
DESCRIPTION Bufalin is a potent small-molecule inhibitor of the steroid receptor coactivators SRC-3 and SRC-1. Bufalin strongly promotes SRC-3 protein degradation and blocks cancer cell growth at nanomolar concentrations. Besides this Bufalin acts as an DNA topoisomerases I and II inhibitor.

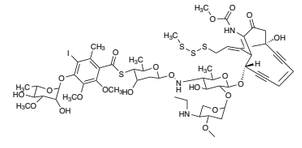
C

Calicheamicin



CODE 5600129
CAS 108212-75-5
FORMULA $C_{55}H_{74}IN_3O_{21}S_4$
MOL. WEIGHT 1368,35 g/mol
DESCRIPTION Calicheamicin is used as an antitumor antibiotic. It`s cytotoxic properties causes double-strand-DNA-breaks. Calicheamicin is a DNA synthesis inhibitor.

Calicheamicin g1



CODE 5600106
CAS 108212-75-5
FORMULA $C_{55}H_{74}IN_3O_{21}S_4$
MOL. WEIGHT 1368,35 g/mol
DESCRIPTION The group of calicheamicins is a class of enediyne anti-tumor antibiotics. They are derived from the bacterium Micromonospora echinospora.Calicheamicins are extremly toxic to all cells. Calicheamicins target the DNA and cause strand breaks. They bind with DNA in the minor groove, wherein they then undergo a cyclization reaction. CMC-544, constisting of a humanized CD_{22} Ab linked to calicheamicin, is effective in pediatric primary B-cell precursor acute lymphoblastic leikemia (BCP-ALL) cell lines in vitro. CMC-544 induces cell death in various ALL cell lines in a dose-and time-dependent way, with IC_{50} values ranging from 0.15 to 4.9 ng/ml.

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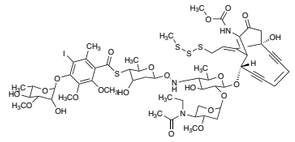
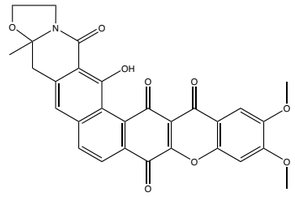
N-Acetyl Calicheamicin g1



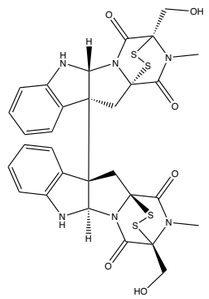
CODE 5600058
CAS 108212-76-6
FORMULA $C_{57}H_{76}IN_3O_{22}S_4$
MOL. WEIGHT 1410,4 g/mol
DESCRIPTION Calicheamicins are a group of enediyne antitumor antibiotics. Calicheamicins target DNA and cause strand scission. Story behind Calicheamicns: In the mid 1980's a Lederle Lab scientist was on vacation in Texas and took a chalky soil sample from an area near the town of Kerrville that the locals call the "calichi pits". Back in the lab a strain of the Actinomycete bacteria, Micromonospora echinospora, was isolated from this soil sample and was found to produce a novel antibiotic later named calicheamicin. Calicheamicin is fabulously potent. The good news was that only a couple of calicheamicin molecules could easily kill a cancer cell (almost totally unheard of in efficacy and a thousand times more potent than some of the best clinical antitumor drugs, like adriamycin). The bad news was that only a couple of calicheamicin molecules could also easily kill a normal cell. In fact, calicheamicin kills everything it touches: bacteria, fungi and viruses, eukaryotic cells and eukaryotic organisms like mice and people. Studies on calicheamicin by George Ellestad and Nada Zein, who among other scientists at at Lederle Laboratories*, showed why calicheamicin was so fabulously potent: it had a highly unusual mode of action. Calicheamicin acts as a "chemical nuclease". Calicheamicin is similar to an enzyme (it's really a chemical catalyst); it is able to repeatedly bind to DNA and make double strand breaks. Exposure to just a few molecules of calichaemicin can chop an entire genome into hamburger. It took ten years of hard work to get there, resulting in the development of gemtuzumab ozogamicin (Mylotarg®; Pfizer/ Wyeth). The gemtuzumab ozogamicin antibody binds CD_{33}, a myeloid-specific cell surface protein that targets the calicheamicin for the treatment of acute myeloid leukemia (AML). But frustrating everyone involved, gemtuzumab ozogamicin did not turn out to be the magic bullet. Ten years post launch gemtuzumab ozogamicin was removed from the market in the United States at the request of the U.S. Food and Drug Administration (FDA). After years of clinical experience the FDA concluded that the drug was still too toxic, although it is still being used in Japan and studies continue to support the re-approval of this agent - novel projects may bring Calicheamicins back into the game....

Cervinomycin A2



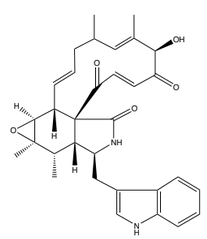
CODE 5600011
CAS 82658-22-8
FORMULA $C_{29}H_{21}NO_9$
MOL. WEIGHT 527,1 g/mol
DESCRIPTION Cervinomycin A_2 is classified as an antibiotic. Origin: wild strain of Amycolata autotrophica. HCT-116: IC_{50}=0,0019 µM; PSN1 : IC_{50}=0,0095 µM; T98G : IC_{50}=0,019 µM; A549 : IC_{50}=0,0095 µM (preliminary laboratory results).

Chaetocin



CODE 5500644
CAS 28097-03-2
FORMULA $C_{30}H_{28}N_6O_6S_4$
MOL. WEIGHT 696,84 g/mol
DESCRIPTION Chaetocin is an antitumor antibiotic. It is a thiodioxopiperazine natural product produced by Chaetomium species. Specific inhibitor of the lysine-specific methyltransferase SU. It displays potent antimyeloma activity in IL-6-dependent myeloma cell lines. Its antimyeloma activity appears to be due to induction of oxidative stress and consequent apoptosis.

Chaetoglobosin A



CODE 5500645
CAS 50335-03-0
FORMULA $C_{32}H_{36}N_2O_5$
MOL. WEIGHT 528,65 g/mol
DESCRIPTION Chaetoglobosin A preferentially induces apoptosis in chronic lymphocytic leukemia cells by targeting the sytoskeleton. Knudsen at al., Leukemia. 2014 Jun; 28(6):1289-98. doi: 10.1038/leu.2013.360. Epub 2013 Nov 27.

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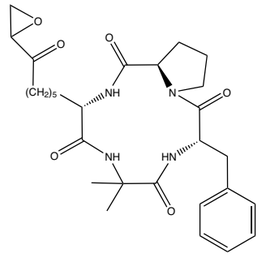
Chaetoglobosin A C13

CODE 5500455
FORMULA $C_{32}H_{36}N_2O_5$
MOL. WEIGHT 538,00 g/mol
DESCRIPTION This is the C_{13} labeled version of Chaetoglobosin A preferentially induces apoptosis in chronic lymphocytic leukemia cells by targeting the sytoskeleton. Knudsen at al., Leukemia. 2014 Jun; 28(6):1289-98. doi: 10.1038/ leu.2013.360. Epub 2013 Nov 27.

Chlamydocin

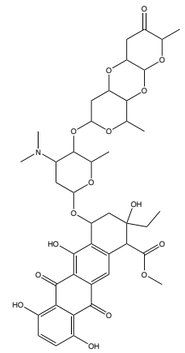


CODE 5600137
CAS 53342-16-8
FORMULA $C_{28}H_{38}N_4O_6$
MOL. WEIGHT 526,64 g/mol
DESCRIPTION Chlamydocin is a cyclic tetrapeptide. Chlamydocin is a very potent inhibitor of cell proliferation. Chlamydocin was shown to be a very potent histone deacetylase (DDAC) inhibitor with an IC_{50} value of 1.3 nM. Some data also indicate a potential link between degradtation of survivin and activation of the apoptotic pathway induced by HDAC inhibitors.

Chlorotoxin

CODE 5250019
CAS 163515-35-3
FORMULA $C_{158}H_{249}N_{53}O_{47}S_{11}$
MOL. WEIGHT 3995,8 Da
DESCRIPTION Chlorotoxin is a chloride channel blocker which has been isolated from the venom of the scorpion Leiurus quinquestriatus. It has been shown to specifically bind to glioma cells and to inhibit their invasive potential. The toxin has recently been reported to bind to a protein complex on the surface of glioma cells containing several proteins implicated in glioma cell invasion. Gelatinase A (matrix metalloproteinase-2 (MMP_2)) is one of the components present in this complex. The anti-invasive effect of chlorotoxin seems to be mediated by binding to and direct inhibition of gelatinase A, and its surface down-regulation.
Sequence: [Cys_2-Cys_{19}, Cys_5-Cys_{28}, Cys_{16}-Cys_{33}, Cys_{20}-Cys_{35}] H-Met-Cys-Met-Pro-Cys-Phe-Thr-Thr-Asp-His-Gln-Met-Ala-Arg-Lys-Cys-Asp-Asp-Cys-Cys-Gly-Gly-Lys-Gly-Arg-Gly-Lys-Cys-Tyr-Gly-Pro-Gln-Cys-Leu-Cys-Arg-NH_2

Cinerubin B



CODE 5500646
CAS 35906-51-5
FORMULA $C_{42}H_{51}NO_{16}$
MOL. WEIGHT 825,86 g/mol
DESCRIPTION Cinerubin B is described as an antibiotic compound. HCT-116: IC_{50}=0,0006 µM; PSN1 : IC_{50}=0,0012 µM; T98G : IC_{50}=0,0012 µM; A549 : IC_{50}=0,0006 µM (preliminary laboratory results). Biological & Pharmaceutical Bulletin (2006), 29(10), 1999-2003, Journal of Antibiotics (1981),34(12), 1596-1607.

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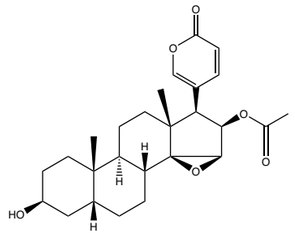
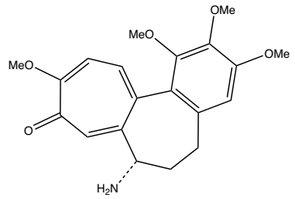
Cinobufagin

CODE 5600069
CAS 470-37-1
FORMULA $C_{26}H_{34}O_6$
MOL. WEIGHT 442,55 g/mol
DESCRIPTION Cinobufagin has been shown to have clinical applications in cancer treatment. Cinobufagin can induce cell cycle arrest at the G_2 and M phases as well as induce apoptosis in osteosarcoma cells. Potentially, cinobufagin could be used to stop proliferation of osteosarcoma cells as well as to induce apoptosis them. At the protein level, cinobufagin treated osteoscarcoma cells showed an increase in the Bax and cleaved-PARP apoptotic proteins, while inhibiting the GSK-3beta/NF-κB signaling pathway. Literature citation: Yin JQ; Wen L; Wu LC; Gao ZH; Huang G; Wang J; Zou CY; Tan PX; Yong BC; Jia Q; Shen JN (2013). „The glycogen synthase kinase-3beta/nuclear factor-kappa B pathway is involved in cinobufagin-induced apoptosis in cultured osteosarcoma cells.". Toxicology Letters 218 (2): 129-36. doi:10.1016/j.toxlet.2012.11.006. PMID 23164673.



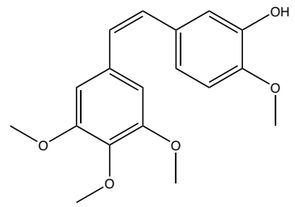
(S)-N-Deacetyl Colchicine

CODE 5600072
CAS 3476-50-4
FORMULA $C_{20}H_{23}NO_5$
MOL. WEIGHT 357,4 g/mol
DESCRIPTION (S)-N-Deacetyl Colchicine is an antimitotic agent that disrupts microtubles by binding to tubulin and preventing its polymerization. It stimulates the intrinsic GTPase activity of tubulin. Induces apoptosis in several normal and tumor cell lines and activates the JNK/SAPK signaling pathway. References: Andreu, J.M., et al.: Biochemistry, 37, 8356 (1998), Jordan, A., et al.: Med. Res. Rev., 18, 259 (1998), Alali, F., et al.: Phytochem. Anal., 19, 385 (2008), Chang, D., et al.: Bioorg. Med. Chem. Lett., 19, 4416 (2009).



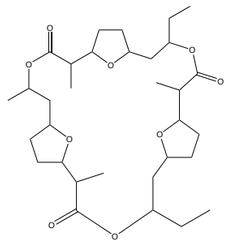
Combretastatin-A4

CODE 5600023
CAS 117048-59-6
FORMULA $C_{18}H_{20}O_5$
MOL. WEIGHT 316,35 g/mol
DESCRIPTION Combretastatin-A_4 is a potent tubulin polymerization inhibitor. Comretastatin-A_4 displays a strong inhibition on tumor cell growth. IUPAC name: 2-Methoxy-5-[(Z)-2-(3,4,5-trimethoxy-phenyl)-vinyl]-phenol.



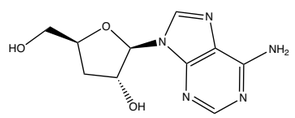
Compound CL0485

CODE 5600013
CAS 723340-57-6
FORMULA $C_{32}H_{52}O_9$
MOL. WEIGHT 580,75 g/mol
DESCRIPTION Compound CL_{0485} is a potential ADC payload. Tox data are as follows: HCT-116: IC_{50}=0,86 µM; PSN1 : IC_{50}=0,86 µM; T98G : IC_{50}=>8,62 µM; A549 : IC_{50}=>8,62 µM (preliminary laboratory results). Tetrahedon (2004), 60(22), 4871-4787.



Cordycepin

CODE 5600014
CAS 73-03-0
FORMULA $C_{10}H_{13}N_5O_3$
MOL. WEIGHT 251,24 g/mol
DESCRIPTION Cordycepin from Cordyceps militaris. Cordycepin blocks revovery of non-heat-shock mRNA translation following heat shock in Drosophilla. Antileukemic activity and mechanism of action of cordycepin against terminal deoxynucleotide transferase-positive leukemic cells has been reported. Cordycepin blocks the Smad signaling by 3`-deoxyadenosine (a mechanism for its anti-fibriotic potential).



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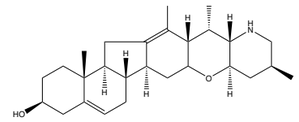
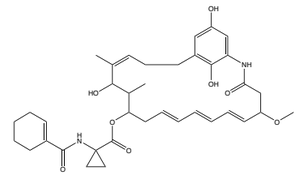
Cyclopamine

CODE 7550609
CAS 4449-51-8
FORMULA $C_{27}H_{41}NO_2$
MOL. WEIGHT 411,62 g/mol
DESCRIPTION Cyclopamine is a Hedgehog signaling pathway inhibitor. Cyclopamine inhibits the growth of medulloblastoma cells. Activation of the hedgehog (HH) pathway plays a critical role in the development and continued growth of pancreatic adenocarcinoma (PAC). Cyclopamine, a HH pathway inhibitor, has been shown to suppress PAC cell proliferation in vitro and in vivo. However, the molecular basis of response to cyclopamine has not been fully elucidated nor have genes that predict sensitivity to this compound been identified. The viability of 9 human PAC cell lines following cyclopamine exposure was determined using MTS assay. Among the cell lines examined, cyclopamine IC_{50} values ranged from 8.79 to >30 µM. Response to cyclopamine included reduced cell proliferation and induction of apoptosis with and without mitochondrial membrane depolarization. Regression analysis revealed that GLI_3 expression significantly correlated with cyclopamine resistance ($r = 0.80$; $p = 0.0102$). Knockdown of GLI_3 using siRNAs increased sensitivity to cyclopamine. In addition, GLI_3 siRNAs decreased PAC cell viability and reduced expression of genes involved in HH signaling (Patched 1 and GLI_1) and cell proliferation, similar to cyclopamine. These effects were not observed in PAC cells with undetectable GLI_3 expression. These data suggest that Gli_3 mediates cell survival and sensitivity to cyclopamine in pancreatic cancer. (Partially: Cancer Biol Ther. 2010 Nov 1;10(9):893-902. doi: 10.4161/cbt.10.9.13252. Epub 2010 Nov 1.).



Cytotrienin A

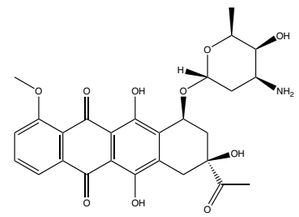
CODE 5500028
CAS 189010-85-3
FORMULA $C_{37}H_{48}N_2O_8$
MOL. WEIGHT 648,79 g/mol
DESCRIPTION Cytotrienin A is an anti-tumor agent isolated from Steptomyces species.



D

Daunorubicin

CODE 5600099
CAS 20830-81-3
FORMULA $C_{27}H_{29}NO_{10}$
MOL. WEIGHT 527,52 g/mol
DESCRIPTION Daunorubicin inhibits both DNA and RNA synthesis and inhibits DNA synthesis with Ki of 0.02 µM. The IC_{50} value: 0.02 µM (Ki for inhibition of DNA synthesis). in vitro: Daunorubicin inhibits both DNA and RNA syntheses in HeLa cells over a concentration range of 0.2 through 2 µM. Daunorubicin inhibits both DNA syntheses in Ehrlich ascites tumor cells over a concentration range of 4 µM. Daunorubic triggers apoptosis at concentrations of 0.5 and 1 µM in either HL-60 or U-937 human leukemic cells [1]. Daunorubicin stimulates ceramide elevation and apoptosis in P388 and U937 cells through de novo synthesis via activation of the enzyme ceramide synthase[2]. Daunorubicin dose-dependently increases the phosphatidylserine exposure and consequent procoagulant activity of human µMbilical vein endothelial cells. In vivo: daunorubicin inhibited the proliferation of KG_1a cells in a dose and time dependent manner ($r = 0.983$, $P < 0.01$).[1]. Fornari FA, et al. Interference by doxorubicin with DNA unwinding in MCF-7 breast tumor cells. Mol Pharmacol. 1994 Apr;45(4):649-56.[2]. Weiss RB. The anthracyclines: will we ever find a better doxorubicin? Semin Oncol. 1992 Dec;19(6):670-86.



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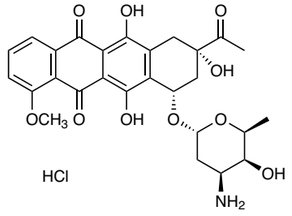
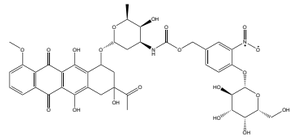
Daunorubicin HCl



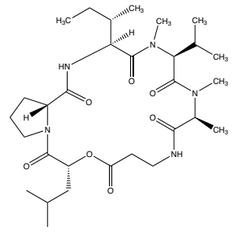
CODE	5600016
CAS	23541-50-6
FORMULA	$C_{27}H_{30}ClNO_{10}$
MOL. WEIGHT	563,98 g/mol
DESCRIPTION	Daunorubicin HCl is a chemotherapeutic of the anthracycline family. It is mainly used to treat acute myeloid leukemia and acute lymphocytic leukemia. The biochemical mode of action is the inhibition of DNA and RNA synthesis as sequence specific ds-DNA interacting agent. Daunomycin binds to every 3 base pairs and induces a local unwinding angel of 8°C. K562 (Erythroleukemia cells): IC_{50} = 15 nM (human); NHDF: IC_{50} = 190 nM (human). pKa: 7.39, pKb: 8.68.

Daunorubicin b-galactoside



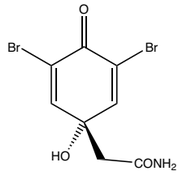
CODE	5600078
CAS	290304-24-4
FORMULA	$C_{41}H_{44}N_2O_{20}$
MOL. WEIGHT	884,79 g/mol
DESCRIPTION	$Daun_{02}$ is a Daunorubicin b-galactoside prodrug for use in conjunction.

Destruxin B



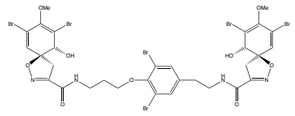
CODE	5500490
CAS	2503-26-6
FORMULA	$C_{30}H_{51}N_5O_7$
MOL. WEIGHT	593,76 g/mol
DESCRIPTION	2-catenin signaling pathway and epithelial-mesenchymal transition. Publication describe the following acitivies: Apoptotic toxicity of Destruxin B in human non-Hodgkin lymphoma cells - Novel Wnt signaling target suppressing proliferation and metastasis of colorectal cancer.

Dibromverongia-quinol



CODE	5500463
CAS	17194-81-9
FORMULA	$C_8H_7Br_2NO_3$
MOL. WEIGHT	324,95 g/mol
DESCRIPTION	Dibromverongia-quinol has antitumoral and antibiotic properties.

11, 19-Dideoxyfistularin 3



CODE	5500468
CAS	179523-38-7
FORMULA	$C_{31}H_{30}Br_6N_4O_9$
MOL. WEIGHT	1082,02 g/mol
DESCRIPTION	11, 19-Dideoxyfistularin 3 is descriebed as antitumoral and a cholinesterase-inhibitor.

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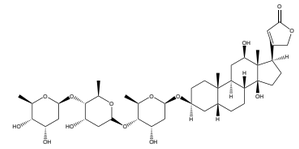
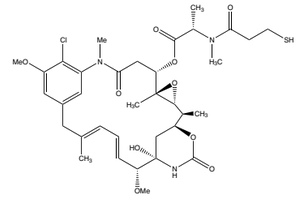
Digoxin



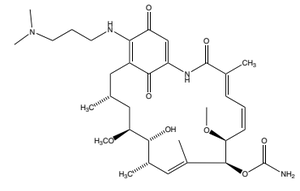
CODE	5600064
CAS	20830-75-5
FORMULA	$C_{41}H_{64}O_{14}$
MOL. WEIGHT	780,94 g/mol
DESCRIPTION	Digoxin is derived from the leaves of a digitalis plant. Digoxin helps make the heart beat stronger and with a more regular rhythm. The second application of cardiac glycosides is cancer. Digoxin inhibits DNA topoisomerase I and II and increases the intracellular Ca_2+ concentration. Digoxin induces cell cycle arrest through the upregulation of HIf-1alpha. Literature citation: http://dx.doi.org/10.5772/55381

DM1



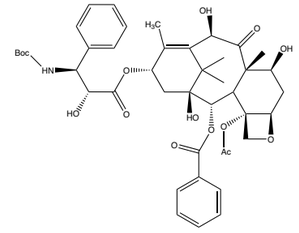
CODE	5600049
CAS	139504-50-0
FORMULA	$C_{35}H_{48}ClN_3O_{10}S$
MOL. WEIGHT	738,29 g/mol
DESCRIPTION	DM_1 is a derivative of Maytansine. DM_1 is a microtubule destablizing agent.

17-DMAP-GA



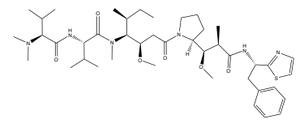
CODE	5600056
FORMULA	$C_{33}H_{50}N_4O_8$
MOL. WEIGHT	630,77 g/mol
DESCRIPTION	17-DMAP-GA belongs to the Geldanamycin family. It is an HSP90 inhibitor. 17-DMAP-GA was shown to be a powerful inhibitor of cancer cell growth (IC_{50} below 100 nM). Its binding affinity to HSP90 was not significantly affected compated to Geldanamycin and other analogs while its water solubility was highly improved compated to 17-AAG. Reference: ZQ Tian et al. Bioorg. Med. Chem 2004 12:5317

Docetaxel



CODE	5600130
CAS	114977-28-5
FORMULA	$C_{43}H_{53}NO_{14}$
MOL. WEIGHT	807,88 g/mol
DESCRIPTION	Docetaxel, a semisynthetic analog of paclitaxel, shares the latter's mechanism of action: the promotion of microtubule assembly and inhibition of microtubule disassembly. This anti-mitotic behavior results in apoptosis of human leukemia HL-60 cells arrested at the M phase in the cell cycle. Docetaxel has exhibited significant antitumor activity against prostate cancer, metastatic breast cancer, gastric cancer, and others. Docetaxel is the active ingredient in the drug product sold under the trade name Taxotere®. This drug is currently approved in at least one country for use in patients with Breast Cancer, Non Small Cell Lung Cancer, Hormone Refractory Prostrate Cancer, and many other conditions NOTE: The Docetaxel sold by Cfm Oskar Tropitzsch GmbH for R&D is not TAXOTERE®, and is nor for human use.

Dolastatin 10



CODE	5600003
CAS	110417-88-4
FORMULA	$C_{42}H_{68}N_6O_6S$
MOL. WEIGHT	785,09 g/mol
DESCRIPTION	Dolastatin 10 is described as a potent antitumor agent. Dolastatin 10 is for example isolated from the marine cyanobacterium Symploca sp. Dolastatin 10 is a potent microtubule inhibitor. The antitumor activity was assessed in vivo against several murine tumors. Dolastatin 10 is a Tubulin inhibitor.

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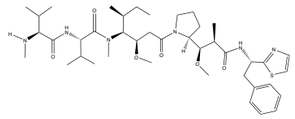
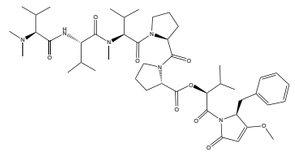
Monomethyl Dolastatin 10



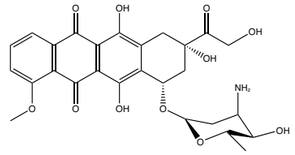
CODE 5600048
CAS 203849-91-6
FORMULA $C_{41}H_{66}N_6O_6S$
MOL. WEIGHT 771,06 g/mol
DESCRIPTION Monomethyl Auristatin D (MMAD) is a potent tubulin inhibitor.

Dolastatin 15



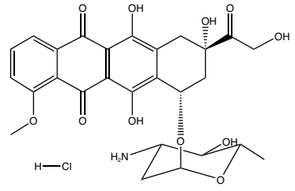
CODE 5600002
CAS 123884-00-4
FORMULA $C_{45}H_{68}N_6O_9$
MOL. WEIGHT 836,06 g/mol
DESCRIPTION Dolastatin 15 may be a useful tubulin-targeting payload for the conjugation at various antibody reactive sites, depending on the used linker technology. IC_{50} value 23 µM (Bai et al. 1992). Dolastatin 15 is a Tubulin inhibitor.

Doxorubicin



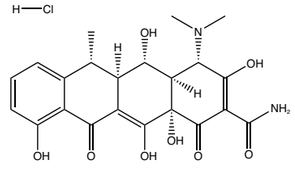
CODE 5600138
CAS 23214-92-8
FORMULA $C_{27}H_{29}NO_{11}$
MOL. WEIGHT 543,52 g/mol
DESCRIPTION Inhibitor of reverse transcriptase and RNA polymerase; immunosuppressive agent; intercalates DNA. Antitumor antibiotic. Effect of adriamycin on heart mitochondrial DNA_1. Inhibit DNA religation, leading to DNA double-strand breaks.

Doxorubicin HCl



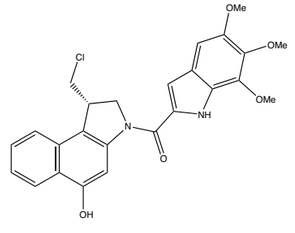
CODE 5600139
CAS 25316-40-9
FORMULA $C_{27}H_{29}NO_{11}$*HCl
MOL. WEIGHT 579,98 g/mol
DESCRIPTION Doxorubicin is a DNA intercalator and broad-spectrum antitumor agent, shown to downregulate expression of the oncogenes c-Jun and c-Myc, inhibit Topoisomerase II.

Doxycycline HCl



CODE 7000241
CAS 10592-13-9
FORMULA $C_{22}H_{24}N_2O_8$*HCl
MOL. WEIGHT 480,9 g/mol

Duocarmycin TM



CODE 5600117
CAS 157922-77-5
FORMULA $C_{25}H_{23}ClN_2O_5$
MOL. WEIGHT 466,91 g/mol
DESCRIPTION Duocarmycin TM, a DNA-Inhibitor, was first isolated from Streptomyces bacteria in 1988. Duocarmycin TM have shown activity in a variety of multi-drug resistant models. It`s potency is in the low picomolar range. This potency enables this molecule for maximizing cell-killing potency of antibody-drug conjugates to which they are attached.

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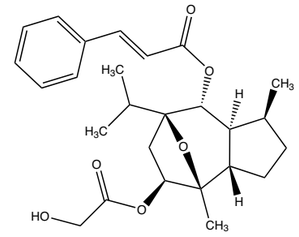
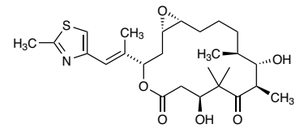
E

Englerin A



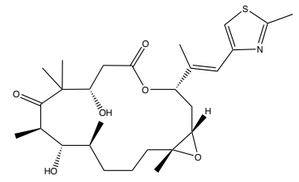
CODE 5600071
CAS 1094250-15-3
FORMULA $C_{26}H_{34}O_6$
MOL. WEIGHT 442,56 g/mol
DESCRIPTION Englerin A from the plant Phyllanthus engleri is inducing both necrosis and apoptosis in Weing cells subsequent to a G_2M accumulation of cells in the cell cylcle. Englerin A is causing a sustained increase in cytosolic aclcium levels. EA seems to exert its effect on Ewing cells throug a decrease in phosphorylation of WES-FLI_1 and its ability to bind to DNA. This effect is mediated as least in part through a decrease in the levels of the calcium dependent PKC-ßI after a transient upregulation.

(-)-Epothilone A



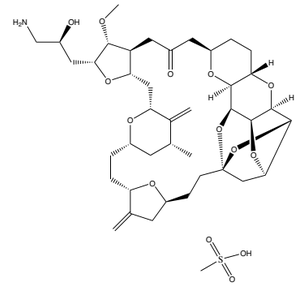
CODE 5600017
CAS 152044-53-6
FORMULA $C_{26}H_{39}NO_6S$
MOL. WEIGHT 493,66 g/mol
DESCRIPTION Epothilone A exhibits kinetics similar to paclitaxel by inducing tubulin polymerization in vitro and producing enhanced microtubule stability and bundling in cultured cells. In contrast to paclitaxel, Epothilone A exhibits a greater cytotoxicity against P-glycoprotein-expressing multidrug resistant cells (IC_{50}= 20 nM for MDR CCRF-CEM/VBL_{100} cells). Epo A is cytotoxic to human T-24 bladder carcinoma cells (IC_{50} = 0.05 µM in vitro) but has poor pharmacological properties and is 2-fold less potent in stabilizing microtubules compared to Epothilone B. (-)-Epothilone A is a microtubule stabilizing agent. (-)-Epothilone A is a Tubulin inhibitor.

Epothilone B



CODE 5600140
CAS 152044-54-7
FORMULA $C_{27}H_{41}NO_6S$
MOL. WEIGHT 507,68 g/mol
DESCRIPTION Microtubule stabilization agent that promotes tubulin polymerization and induces G_2-M cell cycle arrest. Inhibits a variety of human cancer cell lines, including MDR cells overexpressing the P-glycoprotein efflux pump. Exhibits potent anticancer activity in numerous human tumor xenografts in vivo. Epothilone B is a Tubulin inhibitor.

Eribulin mesylate



CODE 5600107
CAS 441045-17-6
FORMULA $C_{41}H_{63}NO_{14}S$
MOL. WEIGHT 826 g/mol
DESCRIPTION The research compound Eribulin Mesylate is the mesylate salt of a synthetic analogue of halichondrin B, a substance derived from a marine sponge with antineoplastic activity. Eribulin inhibits the polymerization of tubulin and the assembly of microtubules, resulting in inhibition of mitotic spindle assembly, the induction of cell cycle arrest at G_2/M phase, and, potentially, tumor regression. Different clinical programs are currently performed.

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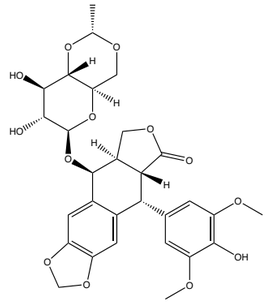
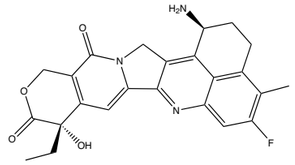
Etoposide



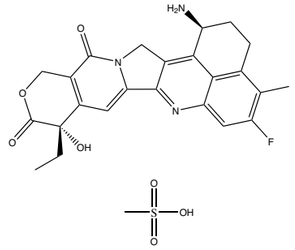
CODE 5600061
CAS 33419-42-0
FORMULA $C_{29}H_{32}O_{13}$
MOL. WEIGHT 588,56 g/mol
DESCRIPTION Etoposide is a cytotoxic anticander drug. Etoposide belongs to the group of the topoisomerase inhibitors. Etoposide forms a ternary complex with DNA and the topoisomerase II enzyme, which unwinds DNA. Besides this it prevents re-ligation o fthe DNA strands and by doing so causes DNA strand break. We sell this compound for R&D use only.

Exatecan



CODE 5600118
CAS 171335-80-1
FORMULA $C_{24}H_{22}FN_3O_4$
MOL. WEIGHT 435,16 g/mol
DESCRIPTION Exatecan is a potent topoisomerase I inhibitor.

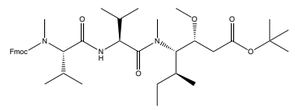
Exatecan Mesylate



CODE 5600112
CAS 169869-90-3
FORMULA $C_{25}H_{26}FN_3O_7S$
MOL. WEIGHT 531,55 g/mol
DESCRIPTION Exatecan Mesylate is a potent topoisomerase I inhibitor, with an IC_{50} of 0.975 µg/mL. It significantly inhibits the proliferation of several cancer cell lines, with mean GI50s of 2.02 ng/mL, 2.92 ng/mL, 1.53 ng/mL, and 0.877 ng/mL for breast cancer cells, colon cancer cells, stomach cancer cells and lung cancer cells, respectively. Exatecan Mesylate also known as DX-8951f displays cytotoxic activities against PC-6, PC-6/SN2-5 cells, with mean GI50s of 0.186 and 0.395 ng/mL, respctively.

F

Fmoc MeValValDilOtBu



CODE 5600147
CAS 474645-25-5
FORMULA $C_{40}H_{59}N_3O_7$
MOL. WEIGHT 693,93 g/mol
DESCRIPTION Fmoc MeValValDilOtBu is a intermediate for the synthesis of MMAE. We can offer this compound with a purity of min. 98% and an assay of min. 95%. Available documentation: HPLC; MS; Residual solvents by NMR; Water by KF.

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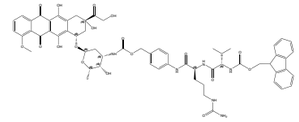
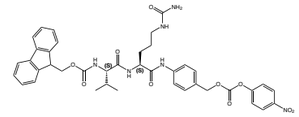
Fmoc-Val-Cit-PAB-N-Doxorubicin



CODE 5600119
CAS 1895915-85-1
FORMULA $C_{61}H_{66}N_6O_{18}$
MOL. WEIGHT 1171,21 g/mol
DESCRIPTION Fmoc-Val-Cit-PAB-N-Doxorubicin is a linker-payload construct, used in the synthesis of antibody-drug conjugates (ADCs).

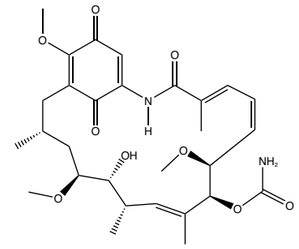
Fmoc-Val-Cit-PAB-PNP



CODE 5600120
CAS 863971-53-3
FORMULA $C_{40}H_{42}N_6O_{10}$
MOL. WEIGHT 766,81 g/mol
DESCRIPTION Linker for Antibody-Drug-Conjugation (ADC). The Val-Cit will specifically be cleaved by catepsin B. As this enzyme is only present in the lysosome the ACD payload will be release only in the cell. REFERENCESLaurent Ducry (ed.), Antibody-Drug Conju gates, Methods in Molecular Biology, vol. 1045, DOI 10.1007/978-1-62703-541-5_5, # Springer Science+Business Media, LLC 2013.

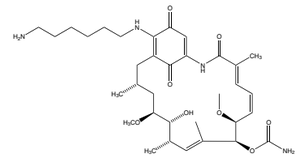
G

Geldanamycin



CODE 5500648
CAS 30562-34-6
FORMULA $C_{29}H_{40}N_2O_9$
MOL. WEIGHT 560,64 g/mol
DESCRIPTION Geldanamycin is a benzoquinone ansamycin antibiotic. Geldamycin is among other things used to cure cancer patients. It specifically ties up to the heat shock proteine HSP 90 (Heat Shock Protein 90) and changes its function. The bond of Geldamycin to HSP 90 causes the decomposition of target-proteins such as Tyrosinkinasen, Steroidrezeptoren, Transkriptionsfactors and cell-cycle regulative Kinasen. It induces the inactivation, destabilisation and finally the decomposition of HIF-1a. An extremely interesting research reagent for the biotechnology industry Origin: Streptomyces Hygroscopicus var Geldanus

17-AH-Geldanamycin



CODE 5600054
FORMULA $C_{34}H_{52}N_4O_8$
MOL. WEIGHT 644,8 g/mol
DESCRIPTION 17-AH-Geldanamycin is a semi-synthetic analog of geldanamycin containing a linker bearing a free NH_2 functional group for conjugation. Selectively binds to HSP90. 17-AH-Geldanamycin has been used in a copolymeric composition for sustained delivery and controlled release (1,2) as well as other applications. References: 1. MP Borgman et al. Mol. Pharm. 2009 6:1836; 2. Y Kasua et al. J. Control. Release 2001 74:203

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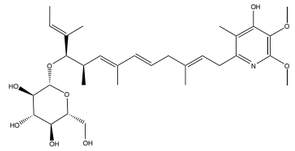
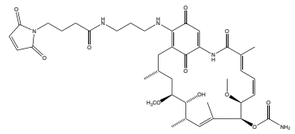
Glucopiericidin A



CODE 5500649
CAS 108073-65-0
FORMULA $C_{31}H_{47}NO_9$
MOL. WEIGHT 577,71 g/mol
DESCRIPTION Glucopiericidin A is a natural bioactive compound. Glucopiericidin A (GPA) interestingly alone did not inhibit filopodia protrusion, but synergistically inhibit protrusion with the mitochondrial respiration inhibitor, piericidin A (PA). These results suggested that GPA might inhibit glycolysis. GPA may therefore serve as a glucose transporter chemical probe. Simultaneous inhibition of both glycolysis and mitochondrial respiration dramatically decreased intracellular ATP levels, indicating that GPA inhibits ATP-dependent filopodia protrusion with PA. HCT-116: IC_{50}=1,73 µM; PSN1 : IC_{50}=>8,67 µM; T98G : IC_{50}=>8,67 µM; A549 : IC_{50}=0,87 µM (preliminary laboratory results). Journal of Antibiotics (1989), 42, 1734.

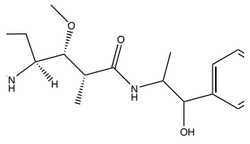
17-GMB-APA-GA



CODE 5600052
FORMULA $C_{39}H_{53}N_5O_{11}$
MOL. WEIGHT 767,9 g/mol
DESCRIPTION 17-GMB-APA-GA is an Geldanamycin analog equipped with linker for coupling to proteins or antibodies for the preparation of immunoconjugates, for example. This geldanamycin immunoconjugate induces less systemic toxicity than geldanamycin by being selectively delivered into malignant cells. This linker chain is just an example. We can also install other types of simpler side chains for example a chain with a free NH_2 at its terminus. Reference: 1. R. Mandler et al. Cancer Res. 2004 64:1460; 2. R. Mandler et al. Bioconj. Chem. 2002 13:786; 3. R. Mandler et al. J. Natl. Cancer Inst. 2000 92:1573

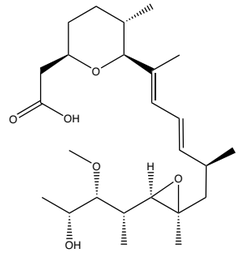
H

H-Dap-Nor, x HCl



CODE 5600148
FORMULA $C_{18}H_{28}N_2O_3$*xHCl
DESCRIPTION H-DAP-Nor, x HCl is an intermediate for the synthesis of MMAE. We can offer this compound with a purity of min. 98% and an assay of min. 95%. Available documentation: HPLC; MS; Residual solvents by NMR; Water by KF.

Herboxidiene



CODE 5500650
CAS 142861-00-5
FORMULA $C_{25}H_{42}O_6$
MOL. WEIGHT 438,6 g/mol
DESCRIPTION Herboxidiene is described as a polyketide microbial product, originated from Streptomyces chromofuscus, with antitumor activity. Herboxidiene seems to serve as a novel splicing inhibitor that specifically impairs the SF3b function by binding to SAP155. Herboxidiene in house activity data obtained from our manufacturing partner: Cellular line A549 (lung cancer) IC_{50}: 0,036 µg/ml - IC_{50} 82 uM; Cellular line H_{116} (colon cancer) IC_{50} 0,01 µg/ml - IC_{50} 22,7 µM; Cellular line PSN1 (pancreatic cancer) IC_{50} 0,036 µg/ml - IC_{50} 82 µM - Cellular line T98G (glioblastoma) IC_{50} 1 µg/ml - IC_{50} 20,5 nM. It displays anti-angiogenic activity via down-regulation of VEGFR-2 and HIF-1-ALPHA. Literature/References: Martinez-Montiel et al. (2016), Microbial and Natural Metabolites That Inhibiting Splicing: A Powerful Alternative for Cancer Treatment; biomed. Res. Int., epub ahead of print; Bioactivity: phytotoxic, herbicidal, cytotoxic, IC_{50} 0.0037-0.99 mM, antibiotic; Compound class: Polyketide

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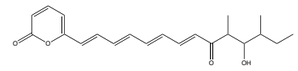
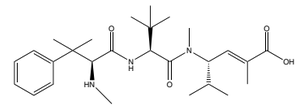
HL-100-AL1-R01 (H-3137)



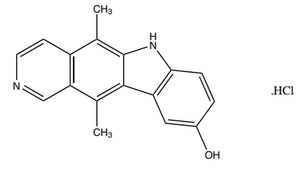
CODE 5600053
FORMULA $C_{21}H_{26}O_4$
MOL. WEIGHT 342,43 g/mol
DESCRIPTION Partners of us have recently isolated a compound related to Callystatin A. A Powerpoint file where the structural differences can be seen is available between the molecule HL-100-AL_1-R_{01} (H-3137) and Callystatin A. You will also find there the bibliographic references where Callystatin A was described as a antitumoral polyketide with extreme potency against the human epidermoid carcinoma KB cells (IC_{50}=10 pg/ml) and the mouse lymphocytic leukemia LL_{210} cells (IC_{50}=20 pg/ml), and where several parts of the molecule (in common with our new structure) are described as crucial. This compound has not shown activity at 20 µg/ml against A549, HCT-116, PSN1 y T98G cell lines, but Callystatin was described to be very selective. We have some stock, and would be able to provide (sell) material for the tumoral cell panel assays to check whether it results
pM/active in any of the cell lines you are considering.

HTI 286



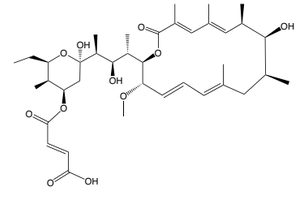
CODE 5600022
CAS 228266-40-8
FORMULA $C_{27}H_{43}N_3O_4$
MOL. WEIGHT 473,65 g/mol
DESCRIPTION HTI 286 is a potent tubulin inhibitor. HTI 286 is a synthetic hemiasterlin analogue. HTI is an potent inhibitor of cell growth. IUPAC name: (S,E)-2,5-dimethyl-4-{(S)-N,3,3-trimethyl-2-{(S)-3-methyl-2(methylamino)-3-phenylbutanamido)butanamido}hex-2-enoic acid. HTI-286 significantly inhibited proliferation of all three hepatic tumor cell lines (mean IC_{50} = 2 nMol/L +/- 1 nMol/L) in vitro. Interestingly, no decrease in viable primary human hepatocytes (PHH) was detected under HTI-286 exposure [1]. In all cell lines tested, HTI-286 was a potent inhibitor of proliferation and induced marked increases in apoptosis. Despite similar transcriptomic changes regarding cell death and cell cycle regulating genes after exposure to HTI-286 or docetaxel, array analysis revealed distinct molecular signatures for both compounds [2]. in vivo: Intravenous administration of HTI-286 significantly inhibited tumor growth in vivo (rat allograft model) [1]. HTI-286 significantly inhibited growth of PC-3 and LNCaP xenografts and retained potency in PC-3dR tumors. Simultaneous castration plus HTI-286 therapy was superior to sequential treatment in the LNCaP model [2]. References: [1]. Vashist YK, et al. Inhibition of hepatic tumor cell proliferation in vitro and tumor growth in vivo by taltobulin, a synthetic analogue of the tripeptide hemiasterlin. World J Gastroenterol. 2006 Nov 14;12(42):6771-8. [2]. Hadaschik BA, et al. Targeting prostate cancer with HTI-286, a synthetic analog of the marine sponge product hemiasterlin. Int J Cancer. 2008 May 15;122(10):2368-76.

9-Hydroxyellipticine, HCl



CODE 5600020
CAS 52238-35-4
FORMULA $C_{17}H_{14}N_2O$*HCl
MOL. WEIGHT 298,77 g/mol
DESCRIPTION 9-Hydroxyellipticine hydrochloride is a cell-permeable antitumor alkaloid that acts as a potent inhibitor of topoisomerase II. IC_{50}=3.3 µM. Synthetic source.

Hygrolidin



CODE 5500160
CAS 83329-73-1
FORMULA $C_{38}H_{58}O_{11}$
MOL. WEIGHT 690,86 g/mol
DESCRIPTION Hygrolidin is a macrocyclic lactone closely related to the group of bafilomycins. Hygrolidin is active against Valsa ceratosperma, the pathogen of an apple desease called „canker disease". Hygrolidin is active against SV40 tumour cells, and inhibits the growth of solid tumour-derived cell lines. HCT-116: IC_{50}=0,0014 µM; PSN1 : IC_{50}=0,72 µM; T98G : IC_{50}=1,447 µM; A549 : IC_{50}=1,447 µM (preliminary laboratory results).

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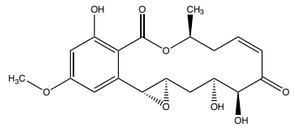
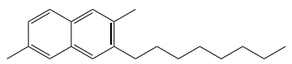
Hypothemycin



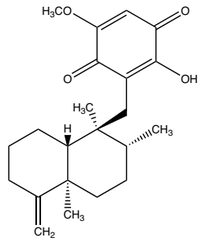
CODE	5600141
CAS	76958-67-3
FORMULA	$C_{19}H_{22}O_8$
MOL. WEIGHT	378,37 g/mol
DESCRIPTION	Exhibits antifungal and cytotoxic activity against some tumor cell lines partly attributed to inhibition of Ras-inducible genes. Inhibits proliferation of mouse and human T cells and modulates production of cytokines during T cell activation. Facilitates the ubiquitinylation process of cyclin D_1. Has been identified as a potent and selective inhibitor of threonine/tyrosine-specific kinase, MEK, and other protein kinases that contain a conserved cysteine residue in the ATP-binding site in both in vitro and in vivo studies. HCT-116: IC_{50}=0,0026 µM; PSN1 : IC_{50}=0,26 µM; T98G : IC_{50}=2,6 µM; A549 : IC_{50}=0,26 µM (preliminary laboratory results). Journal of Natural Products (2011), 74(5), 1126-1131.

IKD-8344



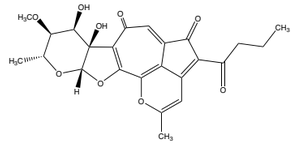
CODE	5500054
CAS	129046-69-1
FORMULA	$C_{20}H_{28}$
MOL. WEIGHT	268,44 g/mol
DESCRIPTION	IKD-8344 is a macrocyclic dilactone originally isolated from an actinomycete species and has diverse biological activities, including anticancer, antimicrobial, and anthelmintic properties. IKD-8344 is cytotoxic to L5178Y murine leukemia cells (IC_{50} = 0.54 ng/ml). IKD-8344 inhibits growth of the mycelial form of C. albicans (MIC = 6.25 µg/ml) and potentiates the activity of polymyxin B against the multidrug-resistant pathogenic bacterium B. cenocepacia.

Ilimaquinone



CODE	5600039
CAS	71678-03-0
FORMULA	$C_{22}H_{30}O_4$
MOL. WEIGHT	358,47 g/mol
DESCRIPTION	Ilimaquinone is a cell permeable, natural marine metabolite shown to have antiinflammatory, antimicrobial, and antimitotic properties. Golgi membrane studies reveal that exposure to ilimaquinone results in the formation of vesiculated Golgi membranes and blockage of the secretory pathway, which can be reversed with the removal of ilimaquinone. Additionally, ilimaquinone has been shown to block the association of the ADP-ribosylation factor (ARF) and beta-COP to the Golgi membrane, and depolarize cytoplasmic microtubules. Further studies report that the vesiculation of Golgi membranes through Ilimaquinone takes place by the activation of heterotrimeric G proteins.

Isatropolone A



CODE	5500385
CAS	2097813-40-4
FORMULA	$C_{24}H_{24}O_9$
MOL. WEIGHT	456,44 g/mol
DESCRIPTION	Isatropolone A is classified as an cytostatic agent. The cytotoxic activity of Isatropolone A is indicated with 3-10 µM.

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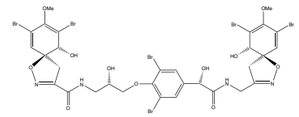
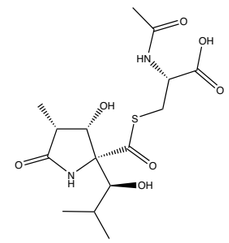
Isofistularin-3



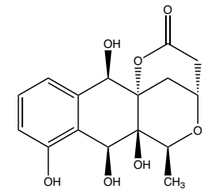
CODE	5600057
CAS	87099-50-1
FORMULA	$C_{31}H_{30}Br_6N_4O_{11}$
MOL. WEIGHT	1114,01 g/mol
DESCRIPTION	Isofistularin-3 is a natural, marine alkaloid belonging to the group of bromotyrosine-derivatives. It is a cytotoxic isoxazoline compound. Isofistularin-3 shows in vitro activity against HeLa cells. It has shown antiproliferative activities against Jurkat and U937 cells (MTT-Assay).

Lactacystin



CODE	5600142
CAS	133343-34-7
FORMULA	$C_{15}H_{24}N_2O_7S$
MOL. WEIGHT	376,43 g/mol
DESCRIPTION	Lactacystin is a cell-permeable, potent and selective proteasome inhibitor. A Streptomyces metabolite that is thought to bind irreversibly to the active site N-terminal threonine residue of the catalytic beta-subunit of the 20S proteasome, thereby inhibiting its chymotrypsin and trypsin-like activities. Lactacystin induces neurite outgrowth in Neuro 2a neuroblastoma cells and has been reported to induce apoptosis in human monoblast U937 cells.

Luisol A



CODE	5500138
CAS	225110-59-8
FORMULA	$C_{16}H_{18}O_7$
MOL. WEIGHT	322,3 g/mol
DESCRIPTION	Luisol A shows weak cytotoxic activities against tumor cell lines. Luisol A has antiparasitic activity.

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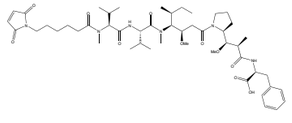
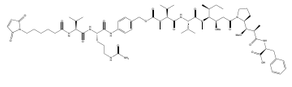
M

MC-MMAF



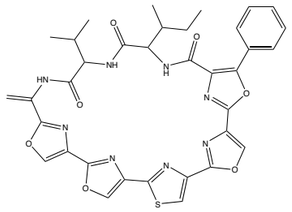
CODE	5600132
CAS	863971-19-1
FORMULA	$C_{49}J_{76}N_6O_{11}$
MOL. WEIGHT	925,16 g/mol
DESCRIPTION	Mc-MMAF is a protective group-conjugated MMAF. MMAF is a potent tubulin polymerization inhibitor. MMAF is a new auristatin derivative with a charged C-terminal phenylalanine that attenuates its cytotoxic activity compared to its uncharged counterpart, Monomethyl auristatin E (MMAE). Because of MMAF is highly toxic, it cannot be used as a drug itself. MMAF induces potent antitumor effects when conjugated via protease cleavable linkers to a monoclonal antibody targeting internalizing, tumor-specific cell surface antigens. The linker to the monoclonal antibody is stable in extracellular fluid, but is cleaved by cathepsin once the conjugate has entered a tumor cell, thus activating the anti-mitotic mechanism.

MC-Val-Cit-PAB-MMAF



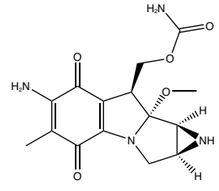
CODE	5600131
CAS	863971-17-9
FORMULA	$C_{68}H_{103}N_{11}O_{16}$
MOL. WEIGHT	1330,61 g/mol
DESCRIPTION	MC-Val-Cit-PAB-MMAF is a drug-linker conjugate for ADC with antitumor activity by using the tubulin inhibitor, MMAF, linked via cathepsin cleavable MC-Val-Cit-PAB.

Mechercharmycin A



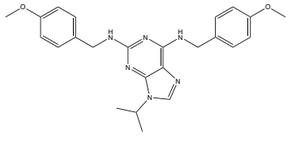
CODE	5600010
CAS	822520-96-7
FORMULA	$C_{35}H_{32}N_8O_7S$
MOL. WEIGHT	708,74 g/mol
DESCRIPTION	Mechercharmycin A is a cytotoxic compound with antitumor activitiy. It is a marine-derived Thermoactinomyces sp. HCT-116: IC_{50}=0,0014 µM; PSN1 : IC_{50}=0,007 µM; T98G : IC_{50}=0,014 µM; A549 : IC_{50}=0,007 µM (preliminary laboratory results). Journal of Antibiotics (2005), 58(4), 289-292.

Mitomycin C



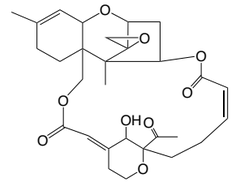
CODE	5600085
CAS	50-07-7
FORMULA	$C_{15}H_{18}N_4O_5$
MOL. WEIGHT	334,33 g/mol
DESCRIPTION	Mitomycin C is a DNA crosslinking agent that inhibits DNA synthesis and indcues apoptosis in a variety of cells.

Myoseverin



CODE	5600021
CAS	267402-71-1
FORMULA	$C_{24}H_{28}N_6O_2$
MOL. WEIGHT	432,52 g/mol
DESCRIPTION	Myoseverin is a microtubule-binding molecule and a reversible inhibitor of tubulin polymerization. Myoseverin is a potential angiogenesis inhibitor.

Mytoxin B



CODE	5500233
CAS	105049-15-8
FORMULA	$C_{29}H_{36}O_9$
MOL. WEIGHT	528,59 g/mol
DESCRIPTION	Cytotoxic molecule. HCT-116: IC_{50}=0,0019 µM; PSN1 : IC_{50}=0,0019 µM; T98G : IC_{50}=0,0019 µM; A549 : IC_{50}=0,0019 µM (preliminary laboratory results).

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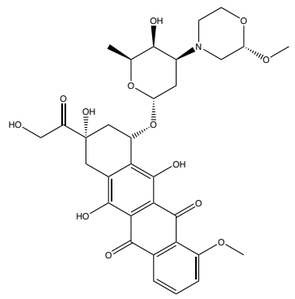
N

Nemorubicin

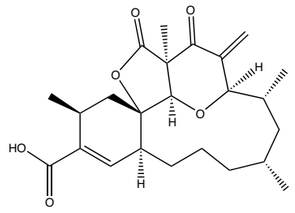
CODE	5600025
CAS	108852-90-0
FORMULA	$C_{32}H_{37}NO_{13}$
MOL. WEIGHT	643,64 g/mol
DESCRIPTION	Nemorubicin is a morpholinyl analog of doxorubicin. It is more cytotoxic and less cardiotoxic against multidrug-resistant tumor cells. IC_{50} = 0.08 µM.



O

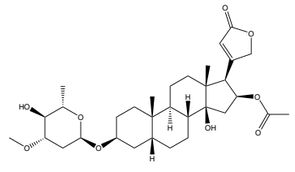
Okilactomycin

CODE	5500651
CAS	111367-04-5
FORMULA	$C_{24}H_{32}O_6$
MOL. WEIGHT	416,51 g/mol
DESCRIPTION	Okilactomycin is a novel antibiotic produced by a Streptomyces species. HCT-116: IC_{50}=0,002 µM; PSN1 : IC_{50}=0,120 µM; T98G : IC_{50}=0,240 µM; A549 : IC_{50}=0,240 µM (preliminary laboratory results). Journal of Antibiotics (1987), 40, 1475-82.



Oleandrin

CODE	5600067
CAS	465-16-7
FORMULA	$C_{32}H_{48}O_9$
MOL. WEIGHT	576,73 g/mol
DESCRIPTION	Oleandrin is a cardiac glycosides, used in the treatment of congestive heart failure and arrhythmia. Current trend shows use of some cardiac glycosides in the treatment of proliferative diseases, which includes cancer.



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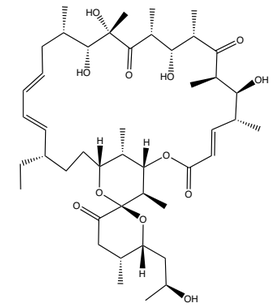
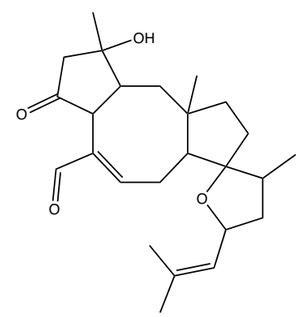
Oligomycin B

CODE	5500652
CAS	11050-94-5
FORMULA	$C_{45}H_{72}O_{12}$
MOL. WEIGHT	805,05 g/mol
DESCRIPTION	Oligomycin B is a macrolide antibiotic that inhibits membrane bound mitochondrial ATPase and which is practically free of homologs. Oligomycin B inhibits the growth of Rhodotorula gultinis, Aspergillus niger and other moulds. Origin: Streptomyces diastatochromogenes. HCT-116: IC_{50}=0,0012 µM; PSN1 : IC_{50}=1,24 µM; T98G : IC_{50}=6,21 µM; A549 : IC_{50}=6,21 µM (preliminary laboratory results).



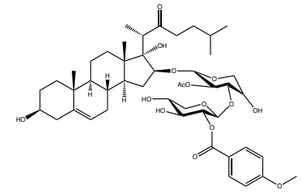
Ophiobolin A

CODE	5500666
CAS	4611-05-6
FORMULA	$C_{25}H_{36}O_4$
MOL. WEIGHT	400,6 g/mol
DESCRIPTION	Ophiobolin A is a natural product with anticancer properties. It induces cytotoxicity by covalent modification of phosphatidylethanolamine: C. Source: Cochliobolus heterostrophus



OSW-1

CODE	5600082
CAS	145075-81-6
FORMULA	$C_{47}H_{68}O_{15}$
MOL. WEIGHT	873,03 g/mol
DESCRIPTION	OSW-1 is a natural saponin isolated from the bulbs of Ornithogalum saundersiae. Relatively, its anticancer activities are about 10-100 times more potent than many anticancer drugs in clinical use. It exhibits exceptionally potent cytotoxic activities against NCI-60 human cancer cell lines with sub-nM IC_{50} values (more details available on request). However, it does not show any hemolytic toxicity even at 100 µg/mL concentration. OSW-1 meets all the requirements for an ADC payload such as sub-nM IC_{50} potency against a broad spectrum of cancers, a handler for conjugation, and etc, and has the following unique competitive advantages over other commercially available payloads: 1) It is also highly potent against dormant (stem-like) cancer cells with sub-nM IC_{50} values; 2) It has excellent therapeutic selectivity; 3) It has a novel mechanism of action. Research has shown that OSW-1 disables/abolishes GRP_{78} pathway that is very important for cancer cell survival especially under stress conditions; 4) It can be conjugated to different types of linkers, and we know where and how to conjugate OSW-1 to antibodies via a linker.



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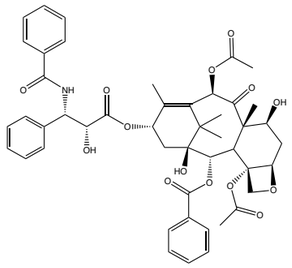
P

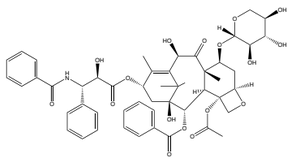
Paclitaxel

CODE 5500653
CAS 33069-62-4
FORMULA $C_{47}H_{51}NO_{14}$
MOL. WEIGHT 853,92 g/mol
DESCRIPTION Paclitaxel is an antineoplastic agent from a plant extract. It stabilizes microtubules in their polymerized form thus leading to cell death. A new study seems to confirm, that Taxol is supporting the regeneration after spinal cord injury. Original publication: Farida Hellal et al.: „Microtubule stabilization reduces scarring and causes axon regeneration after spinal cord injury"; Science online publicaiton, January 27th 2011.



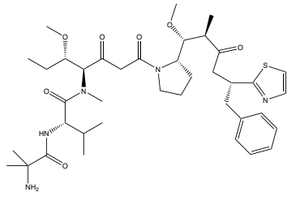
10-Deacetyl-7-xylosyl Paclitaxel

CODE 5600076
CAS 90332-63-1
FORMULA $C_{50}H_{57}NO_{17}$
MOL. WEIGHT 943,98 g/mol
DESCRIPTION 10-Deacetyl-7-xylosyl Paclitaxel is a Paclitaxel derivative with improved pharmacological features and higher water solubility.



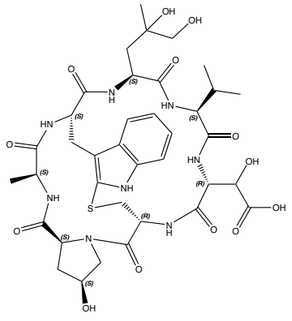
PF-06380101

CODE 5600080
CAS 1436391-86-4
FORMULA $C_{39}H_{62}N_8O_8S$
MOL. WEIGHT 743,01 g/mol
DESCRIPTION PF-06380101 is a novel cytotoxic Dolastatin 10 analogue with excellent potencies in tumor cell proliferation assays and differential ADME properties when compared to other synthetic auristatin analogues that are used in the preparation of ADCs.IC_{50} value: ~0.2 nM(GI50 in BT474, MDA-MB-361-DYT2 and N87 cell line). PF-06380101 is anticipated to be of lowrisk to perpetrate pharmacokinetic drug interactions with compounds for which CYP_1A_2, CYP_2B_6, CYP_2C_8, CYP_2C_9, CYP_2C_{19}, CYP_2D_6, and/or CYP_3A_4/5-mediated metabolismconstitutes the primary mechanism of clearance.



Phallacidin

CODE 5600029
CAS 26645-35-2
FORMULA $C_{37}H_{50}N_8O_{13}S$
MOL. WEIGHT 846,9 g/mol
DESCRIPTION Phallacidin is a bicyclic toxin from the Amanita phalloides mushroom. Phallacidin inhibits F-acting degradation by proteolytic enzymes including trypsin and alpha-chymotrypsin. Phallacidin is extracted i.e. from Amanita phalloides.



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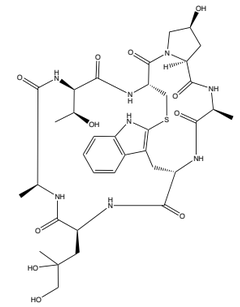
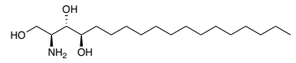
Phalloidin

CODE 5600030
CAS 17466-45-4
FORMULA $C_{35}H_{48}N_8O_{11}S$
MOL. WEIGHT 788,87 g/mol
DESCRIPTION Phalloidin is a bicyclic heptapeptide toxin of the death cap mushroom toxin family aslo called phallotoxins. Phalloidin binds F-actin, preventing its depolymerization and is poisoning the cell. It specially binds at the inferface between F-acting subunits, locking adjacetn subunits togeter. Phalloidin binds specifically to polymeric and oligomeric forms of actin and not to monomeric actin.



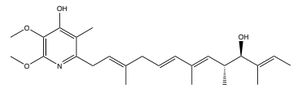
Phytosphingosine

CODE 5600018
CAS 554-62-1
FORMULA $C_{18}H_{39}NO_3$
MOL. WEIGHT 317,51 g/mol
DESCRIPTION Phytosphingosine is a sphingolipid endogenous to many organisms involved in cell signaling. Phytosphingosine displays anbibacterial activity (CL Fischer et al. Antimicrob. Agents Chemother. 2012 56:1157). Phytosphingosine can be taken up by E. coli and S. aureus and induce ultrastrucural damag (CL Fischer et al. Skin Pharmacol. Physiol. 2013 26:36). IC_{50} value: Jurkat (Acute leukemic T-cells): IC_{50} = 3.75 µM (human). pKa: 11.91 (Predicted), pKb: 7.98 (Predicted).



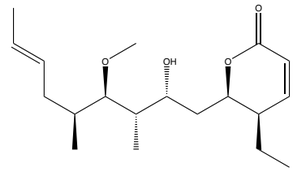
Piericidin A

CODE 5600143
CAS 2738-64-9
FORMULA $C_{25}H_{37}NO_4$
MOL. WEIGHT 415,6 g/mol
DESCRIPTION Potent inhibitor of the mitochondrial and bacterial type I NADH-ubiquinone oxireductase. HCT-116: IC_{50}=0,020 µM; PSN1 : IC_{50}=12,03 µM; T98G : IC_{50}=>12,03 µM; A549 : IC_{50}=>12,03 µM (preliminary laboratory results). Journal of cellular physiology (2008), 215(1), 243-50.



Pironetin

CODE 5600144
CAS 151519-02-7
FORMULA $C_{19}H_{32}O_4$
MOL. WEIGHT 324,45 g/mol
DESCRIPTION Pironetin is a potent inhibitor of alpha-tubulin. Pironetin covalently binds tubulin. Systematic alanine scanning shows, that the pironetin binding site was determined to be Lys_{352} of alpha-tubulin. Lys_{352} is located at the entrance of a small pocket of alpha-tubulin, and this pocket faces the beta-tubulin of the next dimer. This is the first compound that covalently binds to the alpha subunit of tubulin and Lys_{352} of alpha-tubulin and inhibits the interaction of tubulin heterodimers. HCT-116: IC_{50}=0,002 µM; PSN1 : IC_{50}=0,003 µM; T98G : IC_{50}=15,43 µM; A549 : IC_{50}=0,002 µM (preliminary laboratory results). Journal of Antibiotics (1996), 49, 173-180.



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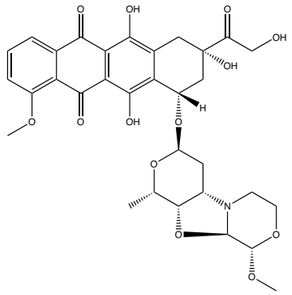
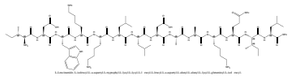
PNU-159682



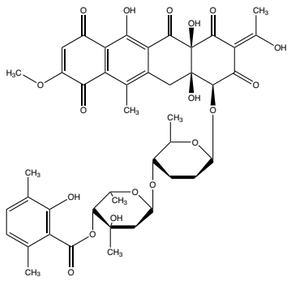
CODE 5600024
CAS 202350-68-3
FORMULA $C_{32}H_{35}NO_{13}$
MOL. WEIGHT 641,62 g/mol
DESCRIPTION PNU-159682 is a bioactive metabolite of Nemorubicin. It is approximately 3,000-fold more toxic than doxorubicin. The antitumor anthracycline nemorubicin is converted by human liver microsomes to a major metabolite, PNU-159682 (PNU). The mechanism of action of nemorubicin appears different from other anthracyclines and until now is the object of studies. In fact PNU is deemed to play a dominant, but still unclear, role in the in vivo antitumor activity of nemorubicin.

Polybia- MP1 TFA salt



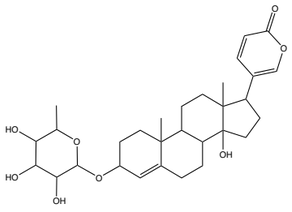
CODE 5600115
CAS 872043-01-1
FORMULA $C_{78}H_{132}N_{20}O_{19}$
MOL. WEIGHT 1654,03 g/mol
DESCRIPTION Brazilian Wasp Venom Kills Cancer Cells, But not Healthy Cells - Press release in August 2018. MP_1, the Brazilian Wasp Venom has been shown to attack cancer cells while leaving healthy cells alone. According to new reaserch, it exploits the atypical arrangement of fats, or lipids, in cancer cell membranes. Their abnomral distribution creates weak points where the toxin can attach the lipids. By this the membrane is penetrated.

Polyketomycin



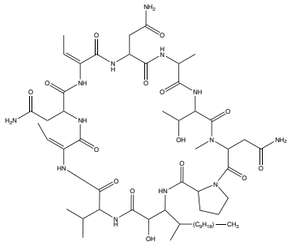
CODE 5500654
CAS 200625-47-4
FORMULA $C_{44}H_{48}O_{18}$
MOL. WEIGHT 864,9 g/mol
DESCRIPTION Polyketomycin is a tetracyclic quinone glycoside. Polyketomycin shows antibacterial, antimalarial and antitumor activity.

Proscillaridin A



CODE 5600068
CAS 466-06-8
FORMULA $C_{30}H_{42}O_8$
MOL. WEIGHT 530,65 g/mol
DESCRIPTION Proscillaridin is a inhibitor of DNA topoisomerases I and II. Increases the intracellular Ca_2+ concentration. It is classified as an cardiac glycoside with potent anti cancer properties.

Puwainaphycin F



CODE 5600040
CAS 1379577-47-5
FORMULA $C_{53}H_{87}N_{13}O_{15}$
MOL. WEIGHT 1146,34 g/mol
DESCRIPTION Puwainaphycin F is a cyclic lipopeptide. Puwainaphycin F is causing necrotic cell death to mammalina cells. Lab trials has shown necrotic cell death after about 10 h. The IC_{50}=2.2 µM.

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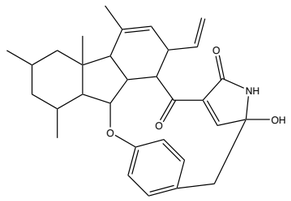
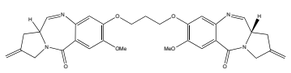
Pyrrocidine A



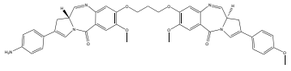
CODE 5500078
CAS 428439-24-1
FORMULA $C_{31}H_{37}NO_4$
MOL. WEIGHT 487,63 g/mol
DESCRIPTION Pyrrocidine A is a known antimicrobial compound produced by endophytic fungi and has a unique 13-membered macrocyclic alkaloid structure with an alpha,beta-unsaturated carbonyl group. The compound pyrrocidine A shows potent cytotoxicity against human acute promyelocytic leukemia HL60 cells, and the activity is 70-fold higher than that of pyrrocidine B which is the analog lacking the alpha,beta-unsaturated carbonyl group. Pyrrocidine A induced nuclear condensation, DNA fragmentation and caspase activation in HL60 cells. Since the DNA fragmentation was suppressed by pretreatment with the pan-caspase inhibitor, benzyloxycarbonyl-Val-Ala-Asp (OMe) fluoromethylketone (z-VAD-fmk), caspase-mediated apoptosis contributes to pyrrocidine A-induced cytotoxicity. JFCR39 human cancer cells panel indicated that the mechanism of action of pyrrocidine A is different from other clinical anticancer drugs, and this compound broadly inhibited the growth of various cancer cell lines. The apoptosis induction by pyrrocidine A was suppressed by both N-acetyl-L-cysteine (NAC) and glutathione, both of which are thiol-containing antioxidants. Furthermore, pyrrocidine A directly bound to N-acetyl-L-cysteine methyl ester (NACM) through the Michael-type addition at the alpha,beta-unsaturated carbonyl group and was detected by HPLC and liquid chromatography-ESI-tandem MS (LC-ESI-MS/MS) analyses. This indicates that this moiety is crucial for the potent apoptosis-inducing activity of Pyrrocidine A.

Pyrrolobenzodiazepine Dimer



CODE 5600009
FORMULA $C_{31}H_{32}N_4O_6$
MOL. WEIGHT 556,61 g/mol
DESCRIPTION Pyrrolobenzodiazepine (PBDs) are a class of DNA-crosslinking agents that are significantly more potent than systemic chemotherapeutic drugs. Novel results demonstrate that PBDs can be effectively used for antibody-targeted therapy.

Pyrrolobenzodiazepine Dimer, with NH2 function



CODE 5600084
FORMULA $C_{42}H_{39}N_5O_7$
MOL. WEIGHT 725,79 g/mol
DESCRIPTION Pyrrolobenzodiazepine are a class of DNA-crosslinking agents that are significantly more potent than systemic chemotherapeutic drugs. Novel results demonstrate that PBDs can be effectively used for antibody-targeted therapy. Our novel compound has a NH_2 function as coupling group for ADCs.

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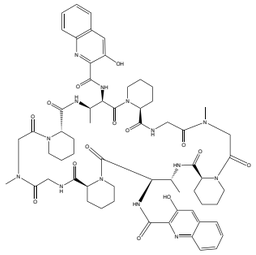
Q

Quinaldopeptin

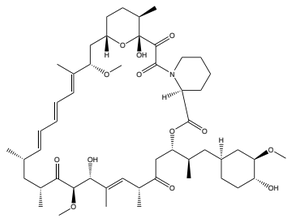
CODE	5500655
CAS	130743-07-6
FORMULA	$C_{62}H_{78}N_{14}O_{14}$
MOL. WEIGHT	1243,40 g/mol
DESCRIPTION	Quinaldopeptin is a quinomycin antibiotic isolated from an Amycolatopsis sp. with strong antimicrobial and cytotoxic activity. The symmetric cyclic peptide structure of Quinaldopeptin contains two intercalating naphtyl moieties which produce a bis-intercalation of DNA base pairs, creating DNA crosslinks and disturbing natural DNA processes. Quinaldopeptin is demonstrated to have high efficacy against gram-positive bacteria and cultured B16 melanoma cells. Quinaldopeptin is related to sandramycin and luzopeptins.



R

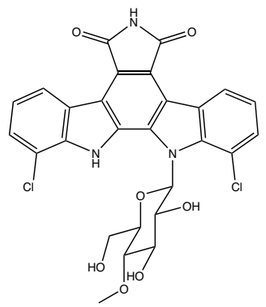
Rapamycin

CODE	5600150
CAS	53123-88-9
FORMULA	$C_{51}H_{79}NO_{13}$
MOL. WEIGHT	914,19 g/mol
DESCRIPTION	Rapamycin (Sirolimus) is a drug that blocks a protein in cells called mammalian target of rapamycin (mTOR). In cancer cells, mTOR is active when it should not be, allowing the cells to grow uncontrollably. This protein is unusually active in many cases of NSCLC. It forms a complex with FKBP12 that binds to and inhibits the molecular target of rapamycin (mTOR). Rapamycin is a potent immunosuppressant and has anticancer activity.



Rebeccamycin

CODE	5600063
CAS	93908-02-2
FORMULA	$C_{27}H_{21}Cl_2N_3O_7$
MOL. WEIGHT	570,4 g/mol
DESCRIPTION	An antibiotic composed of a halogenated indolocarbazole chromophore linked via N-glycosidic bond to a glucose derivative. It intercalates into the DNA and is an inhibitor of topoisomerase I. L1210: IC_{50} = 100 nM (mouse); K562: IC_{50} = 200 nM (human); A549: IC_{50} = 300 nM (human); B16 melanoma cells: IC_{50} = 480 nM (mouse); P388 leukemia cells: IC_{50} = 500 nM



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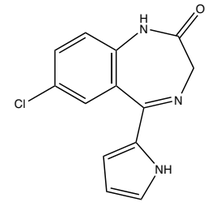
Ro 5-3335

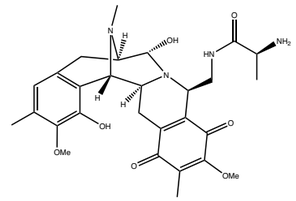
CODE	5600005
CAS	30195-30-3
FORMULA	$C_{13}H_{10}ClN_3O$
MOL. WEIGHT	259,69 g/mol
DESCRIPTION	Ro 5-3335 is described to kill human leukemia cell lines with CBF fusion proteins. The IC_{50} value - 1.1 µM. Ro 5-3335 is a benzodiazepine compound. Originally Ro 5-3335 was shown to inhibit gene expression controlled by the human immunodeficiency virus-1 (HIV-1) LTR promoter. The inhibition was specific for the viral transcriptional transactivator Tat. The compound did not inhibit the basal activity of the HIV-1 LTR or the activity of promoters not responsive to Tat. In addition Ro 5-3335 was able to interact with RUNX1 and CBFbeta directly, repress RUNX1/CBFB-dependent transactivation in reporter assays, and repress RUNX1-dependent hematopoiesis in zebrafish embryos. Ro5-3335 preferentially killed human CBF leukemia cell lines, rescued preleukemic phenotype in a RUNX1-ETO transgenic zebrafish, and reduced leukemia burden in a mouse CBFB-MYH11 leukemia model.



S

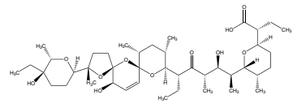
Safracin B

CODE	5600045
CAS	87578-99-2
FORMULA	$C_{28}H_{36}N_4O_7$
MOL. WEIGHT	540,61 g/mol
DESCRIPTION	Safracin B is an novel antibiotic compound produced by Pseudomonas fluorescens. Safracin B showed antitumor activity against L1210 and P388 leukemias and B16 melanoma. Early research indicates that the alpha-carbinolamine structure may plays an important role in the antitumor action of this type of antibiotic.



Salinomycin

CODE	5500582
CAS	53003-10-4
FORMULA	$C_{42}H_{70}O_{11}$
MOL. WEIGHT	751 g/mol
DESCRIPTION	Salinomycin induces cell death in some types of cancer cells such as breast, lung, gastric cancer, leukemia and osteosarcoma. Salinomycin inhibits multidrug resistance protein 1 and induces apoptosis by the generation of reactive oxygen species that cause DNA damage and inactivation of $Stat_3$. Salinomycin produced by Streptomyces albus is a carboxylic polyether ionophore with antibiotic and anti-cancer properties.



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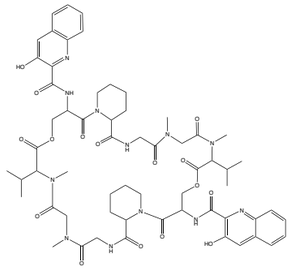
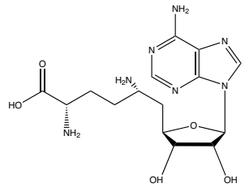
Sandramycin



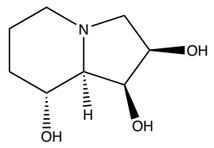
CODE 5500656
CAS 100940-65-6
FORMULA $C_{60}H_{76}N_{12}O_{16}$
MOL. WEIGHT 1221,32 g/mol
DESCRIPTION Sandramycin is a high molecular weight, symmetric, cyclic depsipeptide belonging to the quinomycyn class produced by Kribbella sp. Sandramycin is described to bisintercalate DNA strands through its two pendant quinoline moeities. This bisintercalation mechanism translates to a potent antitumor activity correlated with Sandramycin. Some EC_{50} values in different cell lines: HCT-15: 4.0 x 10-9; HL-60: 3.6 x 10-9; Raji: 7.5 x 10-10.

Sinefungin



CODE 5500415
CAS 58944-73-3
FORMULA $C_{15}H_{23}N_7O_5$
MOL. WEIGHT 381,39 g/mol
DESCRIPTION Sinefungin blocks the methylation of bases in DNA and RNA. Sinefungin is also involved in physiological processes such as aging and carcinogenesis.

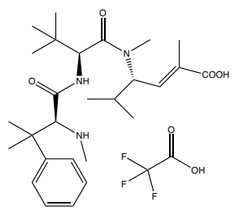
Swainsonine



CODE 5500494
CAS 72741-87-8
FORMULA $C_8H_{15}NO_3$
MOL. WEIGHT 173,21 g/mol
DESCRIPTION Swainsonine is described as an potent inhibitor of various alpha-mannosidase, especially of alpha-mannosidase II. Swainsonine It inhibits glycoprotein processing and acts as well as immune modulator. Swainsonine is an indolizidine alkaloid from the plant Metarrhizium anisopliae that is used as a potent alpha-mannosidase inhibitor. It has a potential for treating cancers such as glioma and gastric carcinoma. However, a phase II clinical trial of GD0039 (a hydrochloride salt of swainsonine) in patients with renal carcinoma was discouraging. Swainsonine's activity against tumors is attributed to its stimulation of macrophages. Swainsonine also has potential uses as an adjuvant for anti-cancer drugs and other therapies in use. In mice, swainsonine reduces the toxicity of doxorubicin, suggesting that swainsonine might enable use of higher doses of doxorubicin. Swainsonine may promote restoration of bone marrow damaged by some types of cancer treatments. Origin: From Metharhizium anisopliae.

T

Taltobulin TFA



CODE 5600081
FORMULA $C_{29}H_{44}F_3N_3O_6$
MOL. WEIGHT 587,67 g/mol
DESCRIPTION Taltobulin trifluoroacetate (HTI-286; SPA-110) is an analogue of Hemiasterlin. This compound is described as an potent tubulin inhibitor.

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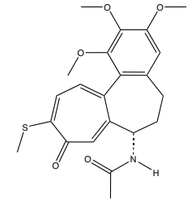
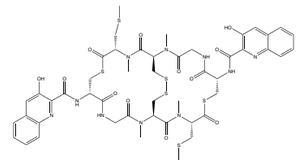
Thiocolchicine



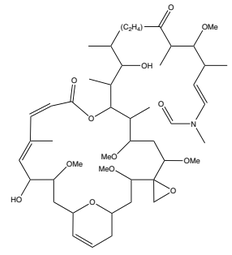
CODE 5600036
CAS 2730-71-4
FORMULA $C_{22}H_{25}NO_5S$
MOL. WEIGHT 415,5 g/mol
DESCRIPTION Thiocolchicine is an antimitotic alkaloide. Thiocolchicine is an inhibitor of microtubules by specific binding to tubulin. Thiocolchicine is a topoisomerase I inhibitor.

Thiocoraline



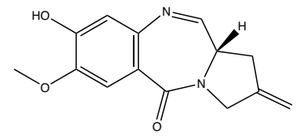
CODE 5500262
CAS 173046-02-1
FORMULA $C_{48}H_{56}N_{10}O_{12}S_6$
MOL. WEIGHT 1157,41 g/mol
DESCRIPTION Thiocoraline is an DNA polymerase inhibitor. The source of this compound is Micromonospora marina, an Actinomycete bacteria. Thiocoraline indues profound perturbations of the cell cycle. Thiocoraline does not inhibit DNA-topoisomerase II enzymes in vitro, nor does it induce DNA breakage in cells exposed to effective drug concentrations. Supposed mode of action: Inhibition of DNA polymerase alpha-activity.

Tolytoxin



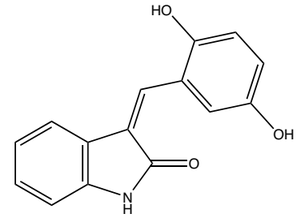
CODE 5600044
CAS 127999-44-4
FORMULA $C_{46}H_{75}NO_{13}$
MOL. WEIGHT 850,09 g/mol
DESCRIPTION Tolytoxin is a macrolactone with the following biological effects: cytotoxin, actin disruptor. IC_{50}: 0.5-8 nM

Tomaymycin DM



CODE 5600103
CAS 945490-09-5
FORMULA $C_{14}H_{14}N_2O_3$
MOL. WEIGHT 258,27 g/mol
DESCRIPTION Tomaymycin DM is the derivative of Tomaymycin. It belongs to the class of PBDs.

Tripolin A



CODE 5600037
CAS 1148118-92-6
FORMULA $C_{15}H_{11}NO_3$
MOL. WEIGHT 253,25 g/mol
DESCRIPTION Triptolin A is described as an specific non-ATP competitve Aurora A kinase inhibitor. Tripolin A doesn`t significantly inhibit Aurora B kinase in mammalian cells. Tripolin A reduces localization of Aurora A on spindle microtubules, affects centrosome integrity, spindle formation and lenght and MT dynamitcs in interphase. Tripolin A is a novel small molecule inhibitor of aurora A kinase.

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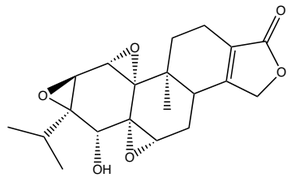
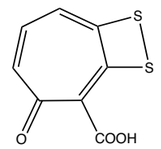
Triptolide



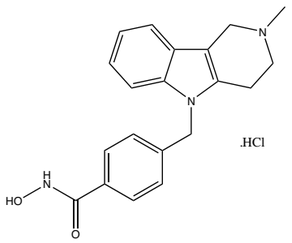
CODE 5600089
CAS 38748-32-2
FORMULA $C_{20}H_{24}O_6$
MOL. WEIGHT 360,4 g/mol
DESCRIPTION Triptolide is a diterpene triepoxide, immunosuppresive agent extracted from the Chinese herb Tripterygium wilfordii. Triptolide has been shown to inhibit the expression of IL-2 in activated T cells at the level of purine-box/nuclear factor and NF-κB mediated transcription activation. It synergizes with cyclosporin A in promoting graft survival in animal models and in suppression of graft versus host disease in allogeneic bone marrow transplants. In addition, it induces apoptosis in tumor cells and potentiates tumor necrosis factor (TNFalpha) induction of apoptosis in part through the suppression of c-IAP2 and c-IAP1 induction.

Tropodithietic acid



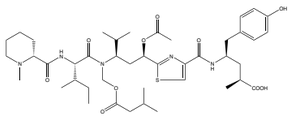
CODE 5500529
CAS 750590-18-2
FORMULA $C_8H_4O_3S_2$
MOL. WEIGHT 212,25 g/mol
DESCRIPTION Tropodithietic acid is isolated from Roseobacter gallaeciensis. It shows antitumor activities, antifungal activities and acts as an antibiotic by the fact that it is isomeric to thiotropocin.

Tubastatin A HCl



CODE 5600046
CAS 1310693-92-5
FORMULA $C_{20}H_{21}N_3O_2$*HCl
MOL. WEIGHT 371,86 g/mol
DESCRIPTION Tubastatin A hydrochloride is a potent and selective inhibitor of HDAC6. IC_{50}=15 nM. Tubastatin A HCl induced the acetylation of alpha-tubulin and protected primary cortical neurons against glutathione depletion-induced oxidative stress.

Tubulysin A



CODE 5600146
CAS 205304-86-5
FORMULA $C_{43}H_{65}N_5O_{10}S$
MOL. WEIGHT 844,07 g/mol
DESCRIPTION Tubulysins show a very high cytotoxic activity against in vitro and in vivo tumor models, especially against resistant tumor cell lines. Many representatives of these natural products are several orders of magnitude more potent than other available chemotheraprutics. Based on the SAR of the tubulysins this class allows for many chemical conjugation and targeting strategies which offer several different development opportunities.

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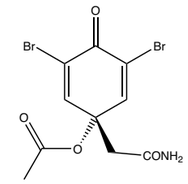
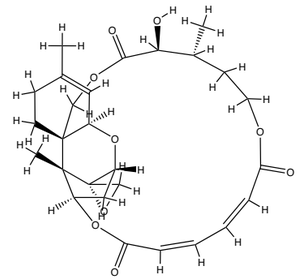
V

Acetyl Verongiaquinol



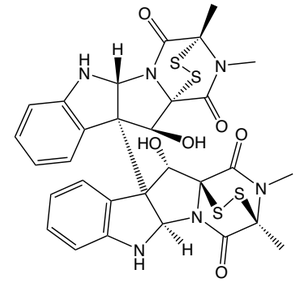
CODE 5500464
CAS 153535-66-1
FORMULA $C_{10}H_9Br_2NO_4$
MOL. WEIGHT 366,99 g/mol
DESCRIPTION Acety Verongiaquinol is a semi syntheitic derivative of the secondary metabolite Veronagiaquninol from the marine sponge Aplysin aerophoba. Acetyl Verongiaquinol shows antitumoral properties against HeLa-cells and acts antibacterial against B. subtilis.

Verrucarin A



CODE 5500665
CAS 3148-09-2
FORMULA $C_{27}H_{34}O_9$
MOL. WEIGHT 502,57 g/mol
DESCRIPTION Verrucarin A is a fungal plant pathogen and a macrocyclic trichothecene compound. Verrucarin A blocks the peptidyl transferase activity and favors apoptosis induction in cancer cells. Verrucarin A is phytotoxic to plantlet cultures and cytotoxic to cultured mammalian cell lines. Origin: Myrothecium verrucari.

Verticillin A



CODE 5500528
CAS 889640-30-6
FORMULA $C_{30}H_{28}N_6O_6S_4$
MOL. WEIGHT 696,84 g/mol
DESCRIPTION Verticillin A has antimicrobial activity against Gram-positive bacteria, but is inactive against Gram-negative species. Verticillin A is inactive against fungi, Verticillin A showed considerable anti-tumor properties against HeLa Cells. Verticillin A is a selective HMTase inhibitor. Verticillin A selectively inhibits SUV39H1, SUV39H2, G_9a, and GLP in vitro.

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Notes

Notes

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All orders placed by a buyer are accepted and all contracts are made subject to the terms which shall prevail and be effective notwithstanding any variations or additions contained in any order or other document submitted by the buyer. no modification of these terms shall be binding upon Cfm Oskar Tropitzsch GmbH unless made in writing by an authorised representative of Cfm Oskar Tropitzsch GmbH.

Terms and Conditions of Sale

Every order made by the buyer shall be deemed an offer by the buyer to purchase products from Cfm Oskar Tropitzsch GmbH and will not be binding on Cfm Oskar Tropitzsch GmbH until a duly authorised representative of Cfm Oskar Tropitzsch GmbH has accepted the offer made by the buyer. Cfm Oskar Tropitzsch GmbH may accept orders from commercial, educational or government organisations, but not from private individuals and Cfm Oskar Tropitzsch GmbH reserves the right to insist on a written order and/or references from the buyer before proceeding. There is no minimum order value. At the time of acceptance of an order Cfm Oskar Tropitzsch GmbH will either arrange prompt despatch from stock or the manufacture/acquisition of material to satisfy the order. In the event of the latter Cfm Oskar Tropitzsch GmbH will indicate an estimated delivery date. In addition to all its other rights Cfm Oskar Tropitzsch GmbH reserves the right to refuse the subsequent cancellation of the order if Cfm Oskar Tropitzsch GmbH expects to deliver the product on or prior to the estimated delivery date. Time shall not be of the essence in respect of delivery of the products. If Cfm Oskar Tropitzsch GmbH is unable to deliver any products by reason of any circumstances beyond its reasonable control („Force Majeure“) then the period for delivery shall be extended by the time lost due to such Force Majeure. Details of Force Majeure will be forwarded by Cfm Oskar Tropitzsch GmbH to the buyer as soon as reasonably practicable.

Prices, Quotations and Payments

Prices are subject to change. For the avoidance of doubt, the price advised by Cfm Oskar Tropitzsch GmbH at the time of the buyer placing the order shall supersede any previous price indications. The buyer must contact the local office of Cfm Oskar Tropitzsch GmbH before ordering if further information is required. Unless otherwise agreed by the buyer and Cfm Oskar Tropitzsch GmbH, the price shall be for delivery ex-works. In the event that the buyer requires delivery of the products otherwise than ex-works the buyer should contact the local office of Cfm Oskar Tropitzsch GmbH in order to detail its requirements. Cfm Oskar Tropitzsch GmbH shall, at its discretion, arrange the buyer‘s delivery requirements including, without limitation, transit insurance, the mode of transit (Cfm Oskar Tropitzsch GmbH reserves the right to vary the mode of transit if any regulations or other relevant considerations so require) and any special packaging requirements (including cylinders). For the avoidance of doubt all costs of delivery and packaging in accordance with the buyer‘s requests over and above that of delivery in standard packaging ex-works shall be for the buyer‘s account unless otherwise agreed by both parties. Incoterms 2010 shall apply. Any tax, duty or charge imposed by governmental authority or otherwise and any other applicable taxes, duties or charges shall be for the buyer‘s account. Cfm Oskar Tropitzsch GmbH may, on request and where possible, provide quotations for multiple packs or bulk quantities, and non-listed items. Irrespective of the type of request or means of response all quotations must be accepted by the buyer without condition and in writing before an order will be accepted by Cfm Oskar Tropitzsch GmbH. Unless agreed in writing on different terms, quotations are valid for 30 days from the date thereof. Payment terms are net 30 days from invoice date unless otherwise agreed in writing. Cfm Oskar Tropitzsch GmbH reserves the right to request advance payment at its discretion. For overseas transactions the buyer shall pay all the banking charges of Cfm Oskar Tropitzsch GmbH. The buyer shall not be entitled to withhold or set-off payment for the products for any reason whatsoever. Failure to comply with the terms of payment of Cfm Oskar Tropitzsch GmbH shall constitute default without reminder. In these circumstances Cfm Oskar Tropitzsch GmbH may (without prejudice to any other of its rights under these terms) charge interest to accrue on a daily basis at the rate of 2% per month from the date upon which payment falls due to the actual date of payment (such interest shall be paid monthly). If the buyer shall fail to fulfil the payment terms in respect of any invoice of Cfm Oskar Tropitzsch GmbH Cfm Oskar Tropitzsch GmbH may demand payment of all outstanding balances from the buyer whether due or not and/or cancel all outstanding orders and/or decline to make further deliveries or provision of services except upon receipt of cash or satisfactory securities. Until payment by the buyer in full of the price and any other monies due to Cfm Oskar Tropitzsch GmbH in respect of all other products or services supplied or agreed to be supplied by Cfm Oskar Tropitzsch GmbH to the buyer (including but without limitation any costs of delivery) the property in the products shall remain vested in Cfm Oskar Tropitzsch GmbH.

Shipping, Packaging and Returns

The buyer shall inspect goods immediately on receipt and inform Cfm Oskar Tropitzsch GmbH of any shortage or damage within five days. Quality problems must be notified within ten days of receipt. Goods must not be returned without prior written authorisation of Cfm Oskar Tropitzsch GmbH. Cfm Oskar Tropitzsch GmbH shall at its sole discretion replace the defective products (or parts thereof) free of charge or refund the price (or proportionate price) to buyer. Opened or damaged containers cannot be returned by the buyer without the written prior agreement of Cfm Oskar Tropitzsch GmbH. In the case of agreed damaged containers which cannot be so returned, the buyer assumes responsibility for the safe disposal of such containers in accordance with all applicable laws.

Product Quality, Specifications and Technical Information

Products are analysed in the Quality Control laboratories of Cfm Oskar Tropitzsch GmbH‘s production partners by methods and procedures which Cfm Oskar Tropitzsch GmbH considers appropriate. In the event of any dispute concerning reported discrepancies arising from the buyer‘s analytical results, determined by the buyer‘s own analytical procedures, Cfm Oskar Tropitzsch GmbH reserves the right to rely on the results of own analytical methods of Cfm Oskar Tropitzsch GmbH. Certificates of Analysis or Certificates of Conformity are available at the discretion of Cfm Oskar Tropitzsch GmbH for bulk orders but not normally for prepack orders. Cfm Oskar Tropitzsch GmbH reserves the right to make a charge for such Certification. Specifications may change and reasonable variation from any value listed should not form the basis of a dispute. Any supply by Cfm Oskar Tropitzsch GmbH of bespoke or custom product for a buyer shall be to a specification agreed by both parties in writing. Technical information, provided orally, in writing, or by electronic means by or on behalf of Cfm Oskar Tropitzsch GmbH, including any descriptions, references, illustrations or diagrams in any Catalogue or brochure, is provided for guidance purposes only and is subject to change.

Safety

All chemicals should be handled only by competent, suitably trained persons, familiar with laboratory procedures and potential chemical hazards. The burden of safe use of the products of Cfm Oskar Tropitzsch GmbH vests in the buyer. The buyer assumes all responsibility for warning his employees, and any persons who might reasonably be expected to come into contact with the products, of all risks to person and property in any way connected with the products and for instructing them in their safe handling and use. The buyer also assumes the responsibility for the safe disposal of all products in accordance with all applicable laws.

Uses, Warranties and Liabilities

All products of Cfm Oskar Tropitzsch GmbH are intended for laboratory research purposes and unless otherwise stated on product labels, in the catalogue and product information sheet of Cfm Oskar Tropitzsch GmbH or in other literature furnished to the buyer, are not to be used for any other purposes, including but not limited to use as or as components in drugs for human or animal use, medical devices, cosmetics, food additives, household chemicals, agricultural or horticultural products or pesticides. Cfm Oskar Tropitzsch GmbH offers no warranty regarding the fitness of any product for a particular purpose and shall not be responsible for any loss or damage whatsoever arising there from. No warranty or representation is given by Cfm Oskar Tropitzsch GmbH that the products do not infringe any letters patent, trademarks, registered designs or other industrial rights. The buyer further warrants to Cfm Oskar Tropitzsch GmbH that any use of the products in the United States of America shall not result in the products becoming adulterated or misbranded within the meaning of the Federal Food, Drug and Cosmetic Act (or such equivalent legislation in force in the buyer‘s jurisdiction) and shall not be materials which may not, under sections 404, 505 or 512 of the Act, be introduced into interstate commerce. The buyer acknowledges that, since the products of Cfm Oskar Tropitzsch GmbH are intended for research purposes, they may not be on the Toxic Substances Control Act 1976 („TSCA“) inventory. The buyer warrants that it shall ensure that the products are approved for use under the TSCA (or such other equivalent legislation in force in the buyer‘s jurisdiction), if applicable. The buyer shall be responsible for complying with any legislation or regulations governing the use of the products and their importation into the country of destination (for the avoidance of doubt to include, without limitation, the TSCA and all its amendments, all EINECS, ELINCS and NONS regulations). If any licence or consent of any government or other authority shall be required for the acquisition, carriage or use of the products by the buyer the buyer shall obtain the same at its own expense and if necessary produce evidence of the same to Cfm Oskar Tropitzsch GmbH on demand. Failure to do so shall not entitle the buyer to withhold or delay payment. Any additional expenses or charges incurred by Cfm Oskar Tropitzsch GmbH resulting from such failure shall be for the buyer‘s account. Save for death or personal injury caused by negligence of Cfm Oskar Tropitzsch GmbH, sole obligation of Cfm Oskar Tropitzsch GmbH and buyer‘s exclusive remedy with respect to the products proved to the satisfaction of Cfm Oskar Tropitzsch GmbH to be defective or products incorrectly supplied shall be to accept the return of said products to Cfm Oskar Tropitzsch GmbH for refund of the actual purchase price paid by the buyer (or proportionate part thereof), or replacement of the defective product (or part thereof) with alternative product. Cfm Oskar Tropitzsch GmbH shall have no liability to the buyer under or arising directly or indirectly out of or otherwise in connection with the supply of products by Cfm Oskar Tropitzsch GmbH to the buyer and/or their re-sale or use by the buyer or for any product, process or services of the buyer which in any way comprises the product in contract tort (including negligence or breach of statutory duty) or otherwise for pure economic loss, loss of profit, business, reputation, depletion of brand, contracts, revenues or anticipated savings or for any special indirect or consequential damage or loss of any nature except as may otherwise be expressly provided for in these terms. All implied warranties, terms and representations in respect of the products (whether implied by statute or otherwise) are excluded to the fullest extent permitted by law. The buyer shall indemnify Cfm Oskar Tropitzsch GmbH for and against any and all losses, damages and expenses, including legal fees and other costs of defending any action, that Cfm Oskar Tropitzsch GmbH may sustain or incur as a result of any act or omission by the buyer, its officers, agents or employees, its successors or assignees, its customers or all other third parties, whether direct or indirect, in connection with the use of any product. For the avoidance of doubt and in the event that Cfm Oskar Tropitzsch GmbH supplies bespoke or custom product to the buyer‘s design or specification, this indemnity shall extend to include any claim by a third party that the manufacture of the product for the buyer or the use of the product by the buyer infringes the intellectual property rights of any third party.

General

Cfm Oskar Tropitzsch GmbH shall be entitled to assign or sub-contract all or any of its rights and obligations hereunder. The buyer shall not be entitled to assign, transfer, sub-contract or otherwise delegate any of its rights or obligations hereunder. Any delay or forbearance by Cfm Oskar Tropitzsch GmbH in exercising any right or remedy under these terms shall not constitute a waiver of such right or remedy. If any provision of these terms is held by any competent authority to be invalid or unenforceable in whole or in part the validity of the other provisions of these terms and the remainder of the provision in question shall not be affected. These terms shall be governed by German Law and the German Courts shall have exclusive jurisdiction for the hearing of any dispute between the parties save in relation to enforcement where the jurisdiction of the German Courts shall be non-exclusive.

Cfm Oskar Tropitzsch GmbH

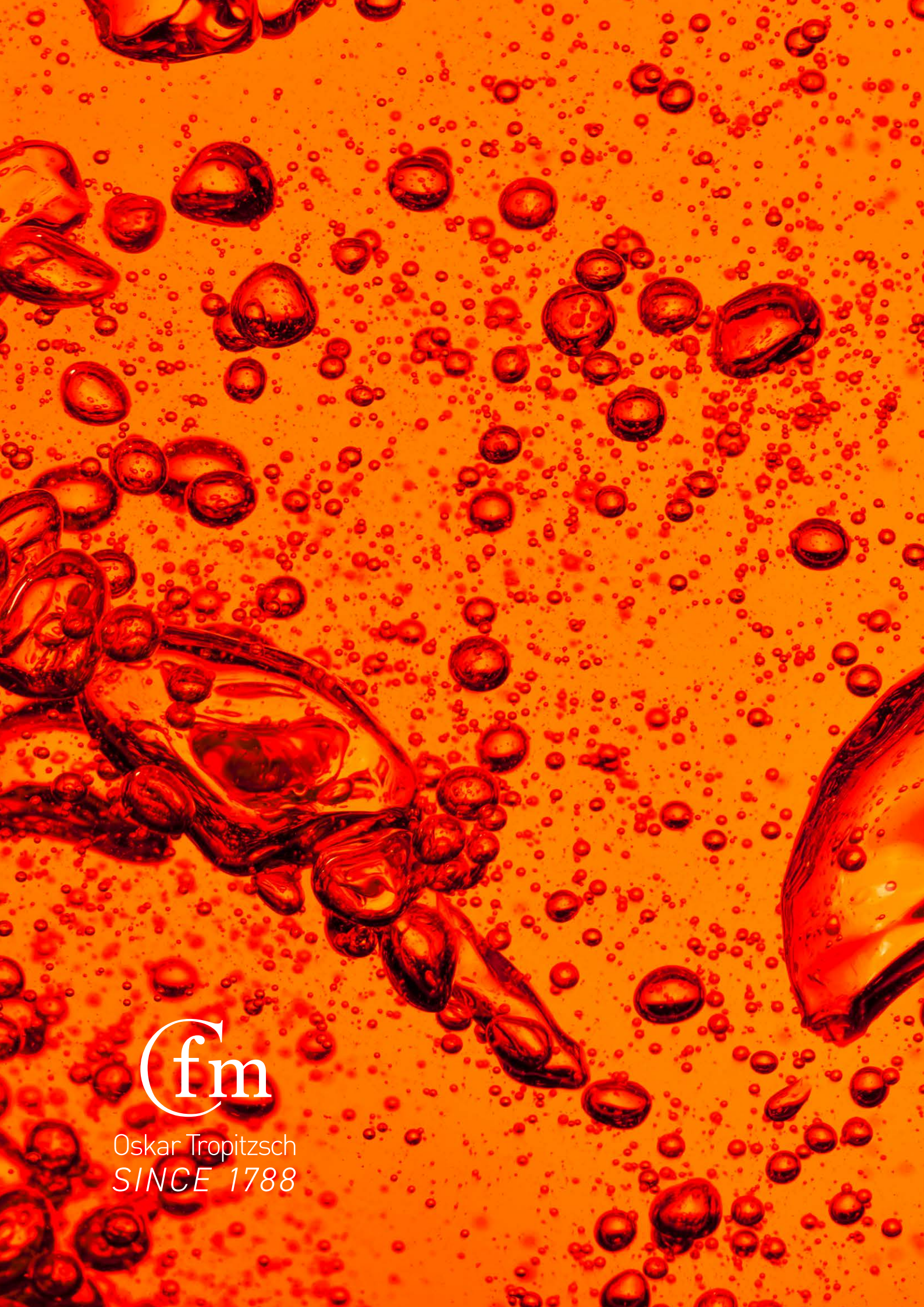
Cfm Oskar Tropitzsch GmbH
Adalbert-Zoellner-Str. 1
D-95615 Marktredwitz
Germany

Tel.: +49-(0)9231-9619-0
Fax: +49-(0)9231-9619-60
Mail: kontakt@cfmot.de
Web: www.cfmot.de

General Manager
Oskar Tropitzsch
Steffen Tropitzsch
Type of business ownershop
Limited Company

Tax identification number
9223/123/30192

VAT-Id-No.
DE 815468415
Registered at
Registergericht Hof HRB 5228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