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Physiologically Active Substances from Microbe

Cancer Related Inhibitors

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Inhibitor for DNA Helicase

Heliquinomycin

Heliquinomycin inhibits DNA helicase from HeLa cell in a non-competitive manner with the inhibition constant (Ki) of 6.8 mM. The topoisomerase II and I enzymes are inhibited at 30 µg/ml and 100 µg/ml of heliquinomycin, respectively. Heliquinomycin inhibits the growth of HeLa S3, KB, LS180, K562 and HL60 human tumor cell lines at IC_{50} values of 0.96 to 2.8 µg/ml. Heliquinomycin inhibits both DNA and RNA synthesis in cell culture but does not inhibit protein synthesis. HeLa S3 cells are arrested at the G2/M phase by heliquinomycin.

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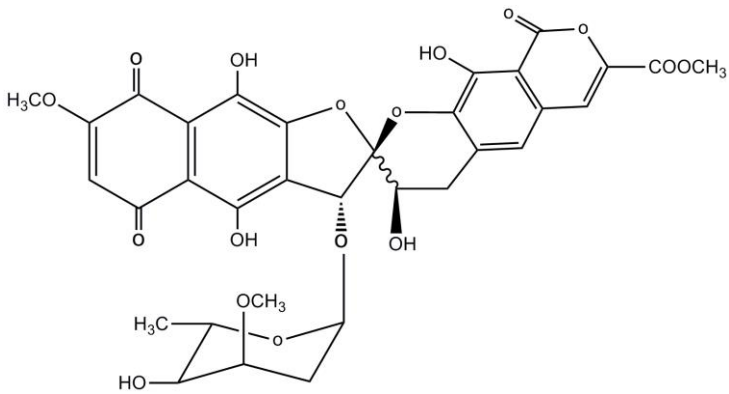


[Manufacturer : IMC]

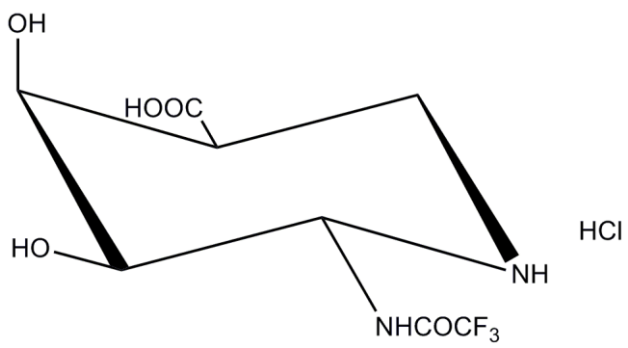
Product Name	Size	Catalog #	Storage
Heliquinomycin	0.2 mg	10665	4℃

Reference
Chino, M., *et al., J. Antibiotics*, 49, 752～757 (1996).
Chino, M., *et al., J. Antibiotics*, 50, 143～146 (1997).
Chino, M., *et al., J. Antibiotics*, 50, 781～784 (1997).
Chino, M., *et al., J. Antibiotics*, 51, 480～486 (1998).

Inhibitor for Heparanase

Heparastatin <SF4>

Heparastatin (SF4) inhibits recombinant human heparanase from human melanoma A375M cells transfected with pBK-CMV expression vectors containing the heparanase cDNA with IC_{50} 1.02 µM. Heparastatin (SF4) inhibits β-D-glucuronidase from bovine liver with IC_{50} 6.5 x 10^{-2} µM. Heparastatin (SF4) (100 µM) completely inhibits the enzyme activity of recombinant heparanase of murine mammary epithelial cells (NMuMG) transefected with a mouse heparanase expression vector pcDNA3.1(-)-Hygro-Hep at 0.15 µg/ml in a *in vitro* HS degradation assay. Heparastatin (SF4) inhibits heparan sulfate (HS) chain degradation of HSPGs of Matrigel by heparanase of the LPS-treated microglial lysates from the forebrain cells of Wistar rats and the *in vitro* transmigration of microglia through the Matrigel-coated insert in a dose-dependent manner. Heparastatin (SF4) markedly inhibits degradation of HS by heparanase in the nucleus translocated from the cytoplasm of the calcium-induced human esophageal keratinocyte cells and keratinocyte differentiation at 100µM. Heparastatin (SF4) markedly inhibits in a dose-dependent manner experimentally induced pulmonary metastasis of the B16BL6 in mice. Inhibitory ratio by *ex vivo* treatment with 50 µg/ml of Heparastatin (SF4) is 90.8%. Heparastatin (SF4) shows 57% inhibition of lung metastasis of 3LL cells by s.c. inoculation in mice with i.v. administration of 100 mg/kg/day for 5 days.



[Manufacturer : IMC]

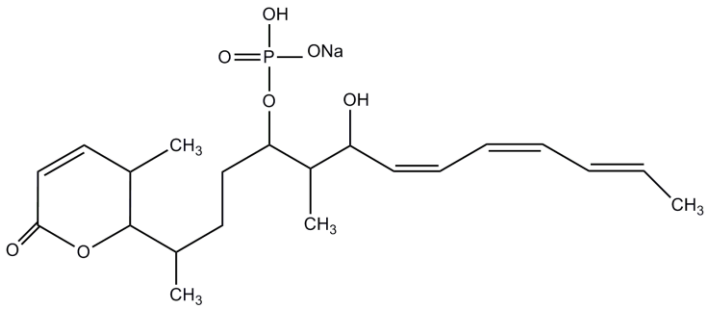
Product Name	Size	Catalog #	Storage
Heparastatin <SF4>	0.2 mg	11829	4℃

Inhibitor for Protein Phosphatase 2A

Cytostatin

Cytostatin inhibits the adhesion of B16 melanoma cells to laminin and collagen type IV in a dose dependent manner but not to fibronectin. The IC_{50} values are 1.3 µg/ml to laminin and 1.4 µg/ml to collagen. The administration of cytostatin inhibits metastases of B16-F10 markedly. The inhibitory ration is about 60 to 70% at 1.25 mg/kg/day. Cytostatin inhibits protein phosphatase 2A with an IC_{50} of 0.09 µg/ml in a non competitive manner against a substrate, p-nitrophenyl phosphate, but it has no apparent effect on other protein phosphatases including protein phosphatase 1, protein phosphatase 2B and alkaline phosphatase even at 100 µg/ml.

This product is licensed under JP patent NO.367455



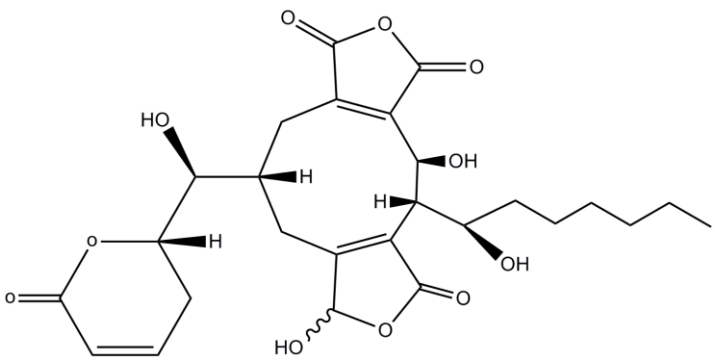
[Manufacturer : IMC]

Product Name	Size	Catalog #	Storage
Cytostatin	0.2 mg	10664	-20℃

Reference
Amemiya, M., *et al., J. Antibiotics*, 47, 536～540 (1994).
Masuda, T., *et al., J. Antibiotics*, 48, 528～529 (1995).
Kawada, M., *et al., Biochim. Biophys. Acta*., 1452, 209～217 (1999).
Kawada, M., *et al., Int. Immunopharmacol*., 3, 179～188 (2003).

Rubratoxin A

Rubratoxin A is a mycotoxin produced by Penicillium. While this compound inhibits protein phosphatase 2A (PP2A) in a competitive manner with the inhibition constant (Ki) of 28.7 nM (IC_{50}= 6.1-15.1 nM) , it does not inhibit protein phosphatase 1, protein phosphatase 2B, protein tyrosine phosphatase 1B, alkaline phosphatase, and calf intestine phosphatase(CIP) up to 200 µM. Rubratoxin A shows cytotoxicity in cultured mammalian cells with IC_{50} values of around 15 µM and induces overphosphorylation of PP2A substrate proteins.



Reference
Wada, S., *et al., Cancer Sci.*, 101, 743～750 (2010).

[Manufacturer : IMC]

Product Name	Size	Catalog #	Storage
Rubratoxin A	0.2 mg	10663	4℃

NOTE

※ All products here are research use only, not for diagnostic use.
※ Specs might be changed for improvement without notice.
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PELOBiotech

PELOBiotech GmbH

Address: Am Klopferspitz 19
82152 Planegg / Martinsried Germany
Phone : +49(0)89-517 286 59-0
Fax : +49(0)89-517 286 59-88
Email : info@pelobiotech.com

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JQA-EM5844

funakoshi Co., Ltd.

Address: 9-7 Hongo 2-Chome, Bunkyo-ku,
Tokyo 113-0033 JAPAN
Phone : +81-3-5684-6296
Fax : +81-3-5684-6297
Email : export@funakoshi.co.jp