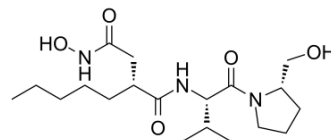


Actinonin

Cat. No.:	HY-113952	
CAS No.:	13434-13-4	
Molecular Formula:	C ₁₉ H ₃₅ N ₃ O ₅	
Molecular Weight:	385.5	
Target:	Aminopeptidase; Bacterial; MMP; Apoptosis; Antibiotic	
Pathway:	Metabolic Enzyme/Protease; Anti-infection; Apoptosis	
Storage:	Powder	-20°C 3 years
	In solvent	-80°C 6 months
		-20°C 1 month



SOLVENT & SOLUBILITY

In Vitro	DMSO : 50 mg/mL (129.70 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.5940 mL	12.9702 mL	25.9403 mL
		5 mM	0.5188 mL	2.5940 mL	5.1881 mL
		10 mM	0.2594 mL	1.2970 mL	2.5940 mL
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	<ol style="list-style-type: none"> Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 5 mg/mL (12.97 mM); Clear solution Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: 5 mg/mL (12.97 mM); Suspended solution; Need ultrasonic Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 5 mg/mL (12.97 mM); Clear solution 				

BIOLOGICAL ACTIVITY

Description	Actinonin ((-)-Actinonin) is a naturally occurring antibacterial agent produced by Actinomyces. Actinonin inhibits aminopeptidase M, aminopeptidase N and leucine aminopeptidase. Actinonin is a potent reversible peptide deformylase (PDF) inhibitor with a K _i of 0.28 nM. Actinonin also inhibits MMP-1, MMP-3, MMP-8, MMP-9, and hmeprin α with K _i values of 300 nM, 1,700 nM, 190 nM, 330 nM, and 20 nM, respectively. Actinonin is an apoptosis inducer. Actinonin has antiproliferative and antitumor activities ^{[1][2][3][4][5]} .
IC₅₀ & Target	Ki: 0.28 nM (Peptide deformylase (PDF)) ^[2] , 300 nM (MMP-1), 1,700 nM (MMP-3), 190 nM (MMP-8), 330 nM (MMP-9) ^[3] , and 20 nM (hmeprin α) ^[5]

	Apoptosis ^[1] Aminopeptidase M, Aminopeptidase N and Leucine aminopeptidase ^[1]
In Vitro	<p>Actinonin inhibits cell growth in various human tumor cell lines. The IC₅₀ of 4, 6.9, 12.8, 16.6, 27.4, 15.7 and 49.3 μM for Raji cells, MDA-MB-468 cells, PC3 cells, SK-LC-19 cells, HeLa cells, HT-1080 cells and AL67 cells, respectively^[1].</p> <p>HsPDF is a critical target of actinonin and that the inhibition of this protein in the mitochondria leads to cell death in tumor cells. Actinonin treatment of cells led to a tumor-specific mitochondrial membrane depolarization and ATP depletion in a time- and dose-dependent manner^[1].</p> <p>Actinonin is a potent inhibitor of all three forms (Zn-, Ni-, and Fe-) of peptide deformylases from both <i>S. aureus</i> and <i>E. coli</i> bacteria. Under the assay conditions, the IC₅₀ values for Actinonin are 90, 3, 0.8, and 11 nM for Zn-PDF (<i>E. coli</i>), Ni-PDF (<i>E. coli</i>), Fe-PDF (<i>E. coli</i>), and Ni-PDF (<i>S. aureus</i>), respectively^[2].</p> <p>Actinonin is active against Gram-positive bacteria, including <i>S. aureus</i> (MIC value of 8-16 μg/mL), <i>Streptococcus pyogenes</i> (MIC value of 8 μg/mL) and <i>Streptococcus epidermidis</i> (MIC value of 2-4 μg/mL). Actinonin is also active against fastidious Gram-negative bacteria, such as <i>H. influenzae</i> (MIC value of 1-2 μg/mL), <i>Moraxella catarrhalis</i> (MIC value of 0.5 μg/mL), and <i>Neisseria gonorrhoeae</i> (MIC value of 1-4 μg/mL). Actinonin is very active against the <i>H. influenzae</i> acr (MIC value of 0.13 μg/mL) and <i>E. coli</i> acr (MIC value of 0.25 μg/mL) efflux pump mutants^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>
In Vivo	<p>Actinonin has been safely administered to mice as an antibiotic at doses up to 400 mg/kg. Actinonin does not appear to have significant toxicity to normal tissues, despite its antitumor activity in vitro. Remarkably, Actinonin exhibits significant antitumor activity when given i.p. or orally in a CWR22 human prostate tumor xenograft model in nude mice. During treatment, the animals show no signs of toxicity^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

REFERENCES

- [1]. Lee MD, et al. Human mitochondrial peptide deformylase, a new anticancer target of actinonin-based antibiotics. *J Clin Invest.* 2004 Oct;114(8):1107-16.
- [2]. Chen DZ, et al. Actinonin, a naturally occurring antibacterial agent, is a potent deformylase inhibitor. *Biochemistry.* 2000 Feb 15;39(6):1256-62.
- [3]. Wahl, R.C., et al. Hydroxamate inhibitors of human gelatinase B (92 kDa). *Bioorganic & Medicinal Chemistry Letters* 5(4), 349-352 (1995).
- [4]. Duke SO, et al. Modes of action of microbially-produced phytotoxins. *Toxins (Basel).* 2011 Aug;3(8):1038-64.
- [5]. Kruse MN, et al. Human meprin alpha and beta homo-oligomers: cleavage of basement membrane proteins and sensitivity to metalloprotease inhibitors. *Biochem J.* 2004 Mar 1;378(Pt 2):383-9.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA