Actinonin

Cat. No.: HY-113952

CAS No.: 13434-13-4

Molecular Formula: $C_{19}H_{35}N_3O_5$

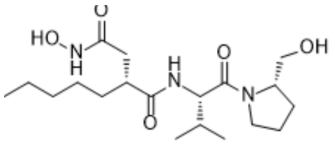
Molecular Weight: 386

Target: Bacterial; MMP; Apoptosis; Aminopeptidase; Antibiotic

Pathway: Anti-infection; Metabolic Enzyme/Protease; 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 (129.53 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5907 mL	12.9534 mL	25.9067 mL
	5 mM	0.5181 mL	2.5907 mL	5.1813 mL
	10 mM	0.2591 mL	1.2953 mL	2.5907 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 5 mg/mL (12.95 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 5 mg/mL (12.95 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 5 mg/mL (12.95 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].

IC_{50} & 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	Actinonin inhibits cell growth in various human tumor cell lines. The IC_{50} 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]. ? 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]. Actinonin is a potent inhibitor of all three forms (Zn-, Ni-, and Fe-) of peptide deformylases from both S. aureus and E. coli bacteria. Under the assay conditions, the IC_{50} values for Actinonin are 90, 3, 0.8, and 11 nM for Zn-PDF (E. coli), Ni-PDF (E. coli), Fe-PDF (E. coli), and Ni-PDF (S. aureus), respectively[2]. Actinonin is active against Gram-positive bacteria, including S. aureus (MIC value of 8-16 μg/mL), Streptococcus pyogenes (MIC value of 8 μg/mL) and Streptococcus epidermidis (MIC value of 2-4 μg/mL). Actinonin is also active against fastidious Gramne-gative bacteria, such as H. influenzae (MIC value of 1-2 μg/mL), Moraxella catarrhalis (MIC value of 0.5 μg/mL), and Neisseria gonorrheae (MIC value of 1-4 μg/mL).? Actinonin is very active against the H. influenzae acr (MIC value of 0.13 μ g/mL) and E. coli acr (MIC value of 0.25 μg/mL) efflux pump mutants[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Mbio. 2023 Sep 11;e0107423.
- Exp Cell Res. 2022 Sep 15;113358.
- Research Square Preprint. 2024 Jan 31.

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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.

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