# Zoledronic acid monohydrate

Cat. No.:	HY-13777A		
CAS No.:	165800-06-0	ô	
Molecular Formula:	C <sub>5</sub> H <sub>12</sub> N <sub>2</sub> O <sub>8</sub> I	2	
Molecular Weight:	290.1		
Target:	Apoptosis; Autophagy; Bacterial		
Pathway:	Apoptosis; Autophagy; Anti-infection		
Storage:	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month

# SOLVENT & SOLUBILITY

	DM30.~1 mg/mL (u	Mass	Suble of slightly solu		
		Solvent	1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	3.4471 mL	17.2354 mL	34.4709 mL
		5 mM	0.6894 mL	3.4471 mL	6.8942 mL
	10 mM	0.3447 mL	1.7235 mL	3.4471 mL	
	Please refer to the sc	olubility information to select the app	propriate solvent.		
In Vivo	1. Add each solvent Solubility: 3.33 m	one by one: PBS g/mL (11.48 mM); Clear solution; Nee	d ultrasonic and war	ming and heat to 60°C	

DIULUGICAL ACTIV	
Description	Zoledronic acid monohydrate (Zoledronate monohydrate) is a third-generation bisphosphonate (BP), with potent anti- resorptive activity. Zoledronic acid monohydrate inhibits the differentiation and apoptosis of osteoclasts. Zoledronic acid monohydrate also has anti-cancer effects <sup>[1]</sup> .
In Vitro	Zoledronic Acid monohydrate (0.1-1 μM; 48 hours) increases receptor activator of nuclear factor kB ligand (RANKL) and sclerostin mRNA expressions in osteocyte-like MLO-Y4 cells <sup>[2]</sup> . Zoledronic Acid monohydrate increases the expression of osteoclastogenesis supporting factor from MLO-Y4 cells <sup>[2]</sup> . Zoledronic Acid monohydrate enhances the RANKL expression via IL-6/ JAK2/STAT3 pathway in MLO-Y4 cells <sup>[2]</sup> . Zoledronic Acid monohydrate inhibits osteoclast differentiation and function through the regulation of NF-κB and JNK signalling pathways <sup>[3]</sup> . Zoledronic Acid monohydrate (10-100 μM; 1-7 days) markedly reduces the viability of MC3T3-E1 cells <sup>[4]</sup> .





Zoledronic Acid monohydrate (10-100  $\mu$ M; 1-7 days) induces apoptosis in MC3T3-E1 cells<sup>[4]</sup>. Zoledronic Acid monohydrate (10-100  $\mu$ M; 4 days) inhibits cell viability due to the induction of apoptosis<sup>[4]</sup>. Zoledronic Acid monohydrate exerts inhibitory effects on the differentiation and maturation of MC3T3-E1 cells at concentrations <1  $\mu$ M<sup>[4]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay<sup>[4]</sup>

Cell Line:	MC3T3-E1 cells
Concentration:	0.02 μΜ , 0.1 μΜ, 1 μΜ, 10 μΜ, 100 μΜ
Incubation Time:	1 day, 3 days, 5 days, 7 days
Result:	Reduced cells viability at 10 $\mu M$ and 100 $\mu M.$

### Apoptosis Analysis<sup>[4]</sup>

Cell Line:	MC3T3-E1 cells
Concentration:	0.02 μΜ , 0.1 μΜ, 1 μΜ, 10 μΜ, 100 μΜ
Incubation Time:	1 days, 4 days, 7 days
Result:	Increased the number of early apoptotic cells and late apoptotic or necrotic cells at dose- dependent and time-dependent (high concentrations).

## Western Blot Analysis<sup>[4]</sup>

Cell Line:	MC3T3-E1 cells
Concentration:	0.02 μΜ , 0.1 μΜ, 1 μΜ, 10 μΜ, 100 μΜ
Incubation Time:	4 days
Result:	Down-regulated the protein level of inactive caspase-3 and up-regulated the protein level of active caspase-3 at the concentrations of 10 and 100 $\mu M.$

#### In Vivo

Zoledronic Acid monohydrate (0.05 mg/kg; i.p.; weekly; for 3 weeks) increases bone mineral density and content<sup>[5]</sup>. Zoledronic Acid monohydrate (0.5-1 mg/kg; i.p.; weekly; for 3 weeks) inhibits both osteoclast and osteoblasts function and bone remodeling in vivo interfering with bone mechanical properties<sup>[5]</sup>.

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Animal Model:	Five-week-old C57BL6 mice <sup>[5]</sup>
Dosage:	0.05 mg/kg, 0.5 mg/kg, 1 mg/kg
Administration:	Intraperitoneal injection, weekly, for 3 weeks
Result:	Inhibited both osteoclast and osteoblasts function and bone remodeling at 0.5 mg/kg and 1 mg/kg.

# **CUSTOMER VALIDATION**

• ACS Nano. 2023 Jul 10.

- Nat Commun. 2024 Mar 21;15(1):2529.
- Gut Microbes. 2023 Dec;15(2):2249143.
- Int Immunopharmacol. September 2022, 109030.
- Med Oncol. 2023 Apr 10;40(5):141.

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#### REFERENCES

[1]. Shea GKH, et al. Oral Zoledronic acid bisphosphonate for the treatment of chronic low back pain with associated Modic changes: A pilot randomized controlled trial. J Orthop Res. 2022 Feb 23.

[2]. Lianwei Wang, et al. Various pathways of zoledronic acid against osteoclasts and bone cancer metastasis: a brief review. BMC Cancer. 2020; 20: 1059.

[3]. Hyung Joon Kim, et al. Zoledronate Enhances Osteocyte-Mediated Osteoclast Differentiation by IL-6/RANKL Axis. Int J Mol Sci. 2019 Mar; 20(6): 1467.

[4]. Xiao-Lin Huang, et al. Zoledronic acid inhibits osteoclast differentiation and function through the regulation of NF-kB and JNK signalling pathways. Int J Mol Med. 2019 Aug;44(2):582-592.

[5]. XIN HUANG, et al. Dose-dependent inhibitory effects of zoledronic acid on osteoblast viability and function in vitro. Mol Med Rep. 2016 Jan; 13(1): 613-622.

[6]. Samantha Pozzi, et al. High-dose zoledronic acid impacts bone remodeling with effects on osteoblastic lineage and bone mechanical properties. Clin Cancer Res. 2009 Sep 15;15(18):5829-39.

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