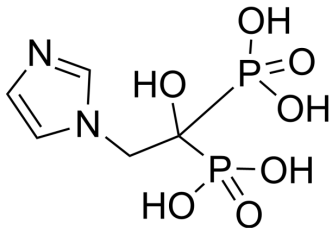
Zoledronic Acid

Cat. No.:	HY-13777
CAS No.:	118072-93-8
Molecular Formula:	$C_5H_{10}N_2O_7P_2$
Molecular Weight:	272.09
Target:	Apoptosis; Autophagy; Bacterial
Pathway:	Apoptosis; Autophagy; Anti-infection
Storage:	4°C, stored under nitrogen * In solvent : -80°C, 6 months; -20°C, 1 month (stored under nitrogen)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 4.81 mg/mL (17.68 mM; ultrasonic and warming and adjust pH to 6 with NaOH and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.6753 mL	18.3763 mL	36.7525 mL
	5 mM	0.7351 mL	3.6753 mL	7.3505 mL
	10 mM	0.3675 mL	1.8376 mL	3.6753 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 8.7 mg/mL (31.97 mM); Clear solution; Need ultrasonic and adjust pH to 8 with 1M NaOH

BIOLOGICAL ACTIVITY

Description

Zoledronic Acid (Zoledronate) is a third-generation bisphosphonate (BP), with potent anti-resorptive activity. Zoledronic Acid inhibits the differentiation and apoptosis of osteoclasts. Zoledronic Acid also has anti-cancer effects[1].

In Vitro

Zoledronic Acid (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[2].
Zoledronic Acid increases the expression of osteoclastogenesis supporting factor from MLO-Y4 cells[2].
Zoledronic Acid enhances the RANKL expression via IL-6/ JAK2/STAT3 pathway in MLO-Y4 cells[2].
Zoledronic acid inhibits osteoclast differentiation and function through the regulation of NF-κB and JNK signalling pathways [3].
Zoledronic Acid (10-100 μM; 1-7 days) markedly reduces the viability of MC3T3-E1 cells[4].
Zoledronic Acid (10-100 μM; 1-7 days) induces apoptosis in MC3T3-E1 cells[4].
Zoledronic Acid (10-100 μM; 4 days) inhibits cell viability due to the induction of apoptosis[4].
Zoledronic Acid exerts inhibitory effects on the differentiation and maturation of MC3T3-E1 cells at concentrations <1 μM[4].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Viability Assay[4]

Cell Line:	MC3T3-E1 cells
Concentration:	0.01 μM , 0.1 μM, 1 μM, 10 μM, 100 μM
Incubation Time:	1 day, 3 days, 5 days, 7 days
Result:	Reduced cells viability at 10 μM and 100 μM.

Apoptosis Analysis[4]

Cell Line:	MC3T3-E1 cells
Concentration:	0.01 μM , 0.1 μM, 1 μM, 10 μM, 100 μM
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[4]

Cell Line:	MC3T3-E1 cells
Concentration:	0.01 μM , 0.1 μM, 1 μM, 10 μM, 100 μM
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 μM.

In Vivo

Zoledronic Acid (0.05 mg/kg; i.p.; weekly; for 3 weeks) increases bone mineral density and content[5].
Zoledronic Acid (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[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Five-week-old C57BL6 mice[5]
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.
- Gut Microbes. 2023 Dec;15(2):2249143.
- Int Immunopharmacol. September 2022, 109030.
- Med Oncol. 2023 Apr 10;40(5):141.
- Appl Biochem Biotechnol. 2024 Mar 25.

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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-κB 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.

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