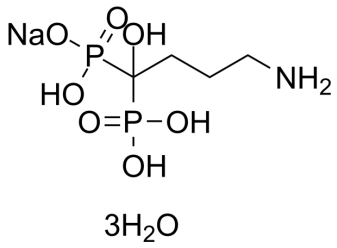
Alendronate sodium hydrate

Cat. No.:	HY-11101
CAS No.:	121268-17-5
Molecular Formula:	$C_4H_{18}NNaO_{10}P_2$
Molecular Weight:	325.12
Target:	Others
Pathway:	Others
Storage:	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 28.57 mg/mL (87.88 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.0758 mL	15.3789 mL	30.7579 mL
	5 mM	0.6152 mL	3.0758 mL	6.1516 mL
	10 mM	0.3076 mL	1.5379 mL	3.0758 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 10 mg/mL (30.76 mM); Clear solution; Need ultrasonic and warming and heat to 60°C

BIOLOGICAL ACTIVITY

Description

Alendronate (sodium hydrate) is a farnesyl diphosphate synthase inhibitor with IC_{50} of 460 nM.

IC_{50} & Target

IC50: 460 nM (farnesyl diphosphate synthase)

In Vitro

Alendronate, acting directly on osteoclasts, inhibits a rate-limiting step in the cholesterol biosynthesis pathway, essential for osteoclast function[1]. Alendronate inhibits the isoprenoid biosynthesis pathway and interferes with protein prenylation, as a result of reduced geranylgeranyl diphosphate levels. Alendronate inhibits the incorporation of [^{3}H]mevalonolactone into proteins of 18-25 kDa and into nonsaponifiable lipids, including sterols in osteoclasts[2]. Alendronate causes a dose-dependent inhibition of [^{3}H]MVA incorporation into sterols and a concomitant increase in incorporation of radiolabel into IPP and DMAPP[3].

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

In Vivo

Alendronate causes erosions in the rabbit stomach, but not antral ulceration in rats. Alendronate increases the incidence

and size of indomethacin-induced antral ulcers. Alendronate also enhances indomethacin-induced gastricdamage in the rat, and delays gastric ulcer healing[4]. Alendronate (0.04-0.1 mg/kg twice weekly or 0.1 mg/kg weekly) partially blocks the establishment of bone metastases by human PC-3 ML cells and results in tumor formation in the peritoneum and other soft tissues. Alendronate pretreatment of mice (0.1 mg/kg twice weekly or weekly) and dosing along with taxol (10-50 mg/kg/day, twice weekly, or weekly) blocks the growth of PC-3 ML tumors in the bone marrow and soft tissues in a statistically significant manner and improves survival rates significantly by 4-5 weeks[5].

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PROTOCOL

Kinase Assay [3]

Rat liver cytosol is prepared from a separate piece of liver from rat. Assays are carried out in a total volume of 0.1 mL containing 10 mg of cytosolic protein, and components according to Rilling. All reaction components (except IPP) are mixed and kept on ice for 15 min. Reactions are initiated by the addition of [^{14}C]IPP and incubation at 37°C. Reactions are stopped after 5 min by addition of 0.4 mL MeOH/HCl (4/1, by vol.) and the samples are incubated a further 15 min to hydrolyze the allylic pyrophosphates to petroleum ether-extractable products. Following addition of 0.5 mL water and 1 mL petroleum ether, 50% of the upper (petroleum ether-extractable) phase is taken for liquid scintillation analysis. Preliminary experiments indicated that the reaction is linear with time and protein under these conditions, and no more than 10% of the substrate is consumed.

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CUSTOMER VALIDATION

- J Biol Chem. 2019 Jul 19;294(29):11240-11247.

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REFERENCES

[1]. Fisher JE, et al. Alendronate mechanism of action: geranylgeraniol, an intermediate in the mevalonate pathway, prevents inhibition of osteoclast formation, bone resorption, and kinase activation in vitro. Proc Natl Acad Sci U S A. 1999 Jan 5;96(1):133-8

[2]. Bergstrom JD, et al. Alendronate is a specific, nanomolar inhibitor of farnesyl diphosphate synthase. Arch Biochem Biophys. 2000 Jan 1;373(1):231-41.

[3]. Keller RK, et al. Mechanism of aminobisphosphonate action: characterization of alendronate inhibition of the isoprenoid pathway. Biochem Biophys Res Commun. 1999 Dec 20;266(2):560-3.

[4]. Elliott SN, et al. Alendronate induces gastric injury and delays ulcer healing in rodents. Life Sci. 1998;62(1):77-91.

[5]. Stearns ME, et al. Effects of alendronate and taxol on PC-3 ML cell bone metastases in SCID mice. Invasion Metastasis. 1996;16(3):116-31.

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