Tiludronate disodium

Cat. No.: HY-A0213A

CAS No.: 149845-07-8

Molecular Formula: $C_7H_7ClNa_2O_6P_2S$

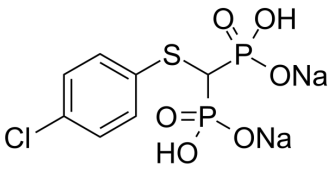
Molecular Weight: 362.57

Target: Proton Pump

Pathway: Membrane Transporter/Ion Channel

Storage: -20°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 : 62.5 mg/mL (172.38 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7581 mL	13.7904 mL	27.5809 mL
	5 mM	0.5516 mL	2.7581 mL	5.5162 mL
	10 mM	0.2758 mL	1.3790 mL	2.7581 mL

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

BIOLOGICAL ACTIVITY

Description

Tiludronate (Tiludronic Acid) disodium, an orally active bisphosphonate, can act an osteoregulator. Tiludronate is used for the research of the metabolic bone disorders. Tiludronate is a potent inhibitor of the osteoclast vacuolar H(+)-ATPase. Antiresorptive and anti-inflammatory properties[1][2][3][4].

In Vitro

The ability of Tiludronate to inhibit proton transport is 5-fold higher in kidney-derived vesicles (IC_{50}=1.1 mM) and 10,000-fold higher in vesicles derived from osteoclasts (IC_{50}=466 nM). Tiludronate also potently inhibits proton transport in yeast microsomal preparations (IC_{50}=3.5 microM) and inhibits the activity of purified yeast V-ATPase. The inhibition of the osteoclast V-ATPase-mediated proton transport by Tiludronate is rapid, pH-dependent, and reversible[3].

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

In Vivo

Tiludronate exerts a dose-dependent inhibitory activity on bone resorption. Tiludronate could act on mature osteoclasts by reducing their capacity to secrete proton into the resorption space and also by favoring their detachment from the bone matrix. Tiludronate is also tested in other models of osteoporosis. In the castrated male rat model, Tiludronate (5-200 mg/kg; p.o.) prevents the decrease in the skeletal mass, assessed physically by measuring the bone weight and density or chemically by determining the calcium and phosphate content[3].

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REFERENCES

[1]. Reginster JY, et al. Prevention of postmenopausal bone loss by tiludronate. Lancet. 1989 Dec 23-30;2(8678-8679):1469-71.

[2]. Nunes NLT, et al. Effects of local administration of tiludronic acid on experimental periodontitis in diabetic rats. J Periodontol. 2018 Jan;89(1):105-116.

[3]. Bonjour JP, et al. Tiludronate: bone pharmacology and safety. Bone. 1995;17(5 Suppl):473S-477S.

[4]. David P, et al. The bisphosphonate tiludronate is a potent inhibitor of the osteoclast vacuolar H(+)-ATPase. J Bone Miner Res. 1996;11(10):1498-1507.

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