Product Data Sheet

Tiludronate disodium hemihydrate

Cat. No.: HY-A0213B CAS No.: 155453-10-4

Molecular Formula: C₇H₉ClO₆P₂S.1/2H₂O.2Na

Molecular Weight: 371.57

Target: Proton Pump

Pathway: Membrane Transporter/Ion Channel

Storage: Please store the product under the recommended conditions in the Certificate of

Analysis.

BIOLOGICAL ACTIVITY

Description	Tiludronate (Tiludronic Acid) disodium hemihydrate, an orally active bisphosphonate, can act an osteoregulator. Tiludronate disodium hemihydrate is used for the research of the metabolic bone disorders. Tiludronate disodium hemihydrate is a potent inhibitor of the osteoclast vacuolar H ⁺ -ATPase. Antiresorptive and anti-inflammatory properties [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 inhibited proton transport in yeast microsomal preparations ($IC_{50}=3.5$ microM) and inhibited 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] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Reginster JY, et al. Prevention of postmenopausal bone loss by tiludronate. Lancet. 1989;2(8678-8679):1469-1471.

[2]. Nunes NLT, et al. Effects of local administration of tiludronic acid on experimental periodontitis in diabetic rats. J Periodontol. 2018;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.

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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