Odanacatib

Cat. No.: HY-10042

CAS No.: 603139-19-1

Molecular Formula: $C_{25}H_{27}F_4N_3O_3S$

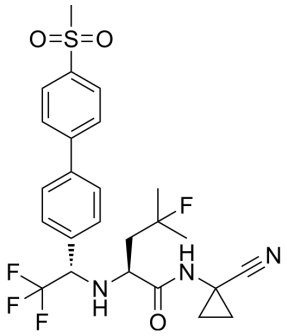
Molecular Weight: 525.56

Target: Cathepsin

Pathway: Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 25 mg/mL (47.57 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.9027 mL	9.5137 mL	19.0273 mL
5 mM	0.3805 mL	1.9027 mL	3.8055 mL
10 mM	0.1903 mL	0.9514 mL	1.9027 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.76 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Odanacatib (MK-0822) is a potent and selective inhibitor of cathepsin K, with an IC_{50} of 0.2 nM for human cathepsin K.

IC_{50} & Target

cathepsin K

In Vitro

Odanacatib is a weak inhibitor of antigen presentation, measured in a mouse B cell line (IC_{50}=1.5±0.4 μM), compared to the Cat S inhibitor LHVS (IC_{50}=0.001 μM) in the same assay. Odanacatib also shows weak inhibition of the processing of the MHC II invariant chain protein Iip10 in mouse splenocytes compared to LHVS (minimum inhibitory concentration 1-10 μM versus 0.01 μM, respectively)[1].

Odanacatib reduces resorption activity as measured by CTx release (IC_{50}=9.4 nM) or resorption area (IC_{50}=6.5 nM), but has no impact on OC activation. Odanacatib dose-dependently reduces CTx release with an IC_{50}=9.4±1.0 nM. Odanacatib treated OC accumulates labeled degraded bone matrix proteins in CatK containing vesicles[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Odanacatib (30 mg/kg, orally, once daily) persistently suppresses bone resorption markers and serum bone formation markers versus vehicle-treated OVX monkeys. Odanacatib displays compartment-specific effects on trabecular versus cortical bone formation, with treatment resulting in marked increases in periosteal bone formation and cortical thickness in ovariectomized monkeys whereas trabecular bone formation is reduced[3]. The bone volume/total volume (BV/TV) and bone mineral density (BMD) of the OVX?+?ODN-h group is significantly higher than that of the OVX?+?Veh group (p?[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	To assess cell survival, differentiated osteoclast (OC) at appr 7×10^4 cells/cm^2 are re-seeded on bovine bone slices with or without 100 nM Odanacatib (ODN). Bone slices are fixed on days 2, 4, 6, and 12 with no media changes. Samples are stained for TRAP activity, and OC number. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [4]	Sixteen, 8-month-old, female Sprague-Dawley (SD) rats (weight, 385 ± 55 g) are given water and soft diet food ad libitum in a temperature-controlled environment with regular 12-h cycles of light and dark. The rats are randomised into 4 groups, with 4 rats in each group: sham group, OVX + Veh group, OVX + ODN-l group and OVX + ODN-h group. Following implant insertion, Odanacatib (ODN, 5 mg/mL) is administered to the OVX + ODN-l and OVX + ODN-h groups at concentrations of 1 mL/kg and 6 mL/kg, respectively, by gavaging once a day for 8 weeks. The OVX + Veh group is gavaged with 0.5% sodium carboxymethyl cellulose at a concentration of 6 mL/kg over the same duration. After the gavage administration, the rats of each group are sacrificed by injecting sodium pentobarbital intravenously. The implants are harvested and fixed in 10% buffered formalin together with the surrounding bone. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Prolif. 2021 May 30;e13058.
- Mol Ther-Nucl Acids. December 10, 2021.
- Sci Rep. 2022 Jul 16;12(1):12197.
- Heliyon. 2023 Aug, 9(8), e19220.
- Biochem Biophys Res Commun. 2015 Jun 26;462(2):159-64.

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REFERENCES

[1]. Jacques Yves Gauthier, et al. The discovery of odanacatib (MK-0822), a selective inhibitor of cathepsin K. Bioorg Med Chem Lett. 2008 Feb 1;18(3):923-8.

[2]. Leung P, et al. The effects of the cathepsin K inhibitor odanacatib on osteoclastic bone resorption and vesicular trafficking. Bone. 2011 Oct;49(4):623-635.

[3]. Ng KW. Potential role of odanacatib in the treatment of osteoporosis. Clin Interv Aging. 2012;7:235-47.

[4]. Yi C, et al. Inhibition of cathepsin K promotes osseointegration of titanium implants in ovariectomised rats. Sci Rep. 2017 Mar 17;7:44682.

Caution: Product has not been fully validated for medical applications. For research use only.

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