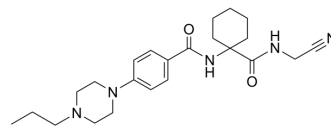


Balicatib

Cat. No.:	HY-15100		
CAS No.:	354813-19-7		
Molecular Formula:	C ₂₃ H ₃₃ N ₅ O ₂		
Molecular Weight:	411.54		
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 : 75 mg/mL (182.24 mM; Need ultrasonic)				
		Solvent Concentration	Mass 1 mg	5 mg	10 mg
	Preparing Stock Solutions	1 mM	2.4299 mL	12.1495 mL	24.2990 mL
		5 mM	0.4860 mL	2.4299 mL	4.8598 mL
10 mM		0.2430 mL	1.2149 mL	2.4299 mL	
Please refer to the solubility information to select the appropriate solvent.					
In Vivo	1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 3.75 mg/mL (9.11 mM); Clear solution 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 3.75 mg/mL (9.11 mM); Clear solution				

BIOLOGICAL ACTIVITY

Description	Balicatib (AAE581) is a potent, orally active and selective cathepsin K inhibitor with IC ₅₀ values of 22, 61, 48, 2900 nM for cathepsin K, cathepsin B, cathepsin L, cathepsin S, respectively. Balicatib inhibits bone turnover, decreases bone formation rates. Balicatib has the potential for the research of osteoporosis ^{[1][2]} .			
IC ₅₀ & Target	cathepsin K 22 nM (IC ₅₀)	cathepsin L 48 nM (IC ₅₀)	Cathepsin B 61 nM (IC ₅₀)	cathepsin S 2900 nM (IC ₅₀)
In Vitro	Balicatib (0-10 μM) shows less than 1.5-fold accumulation of Type I collagen at concentrations up to 10 μM in human dermal fibroblasts ^[2] . MCE has not independently confirmed the accuracy of these methods. They are for reference only.			

In Vivo

Balicatib (0, 3, 10, 50 mg/kg; Oral gavage; twice daily for 18 months) partially prevented ovariectomy-induced changes in bone mass, inhibited bone turnover at most sites, and had a stimulatory effect on periosteal bone formation in cynomolgus monkeys^[1].

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

Animal Model:	11-13 years, female cynomolgus monkeys (<i>Macaca fascicularis</i>) ^[1]
Dosage:	0, 3, 10, 50 mg/kg
Administration:	Oral gavage; twice daily for 18 months
Result:	Completely prevented ovariectomy-induced increases in BFR/BS in cancellous bone of vertebra and femur and in osteonal and endocortical bone of vertebra, significantly decreased bone formation rates.

CUSTOMER VALIDATION

- iScience. 2023 May 5.
- Sci Rep. 2022 Jul 16;12(1):12197.

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REFERENCES

- [1]. Jerome C, et al. Balicatib, a cathepsin K inhibitor, stimulates periosteal bone formation in monkeys. *Osteoporos Int.* 2012 Jan;23(1):339-49.
- [2]. Gauthier JY, 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.

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

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