# Balicatib

**Cat. No.:** HY-15100

**CAS No.:** 354813-19-7

**Molecular Formula:** $C_{23}H_{33}N_5O_2$

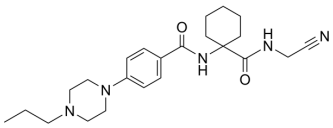
**Molecular Weight:** 411.54

**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)

| Preparing Stock Solutions | Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|---|
| | 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 | cathepsin L | Cathepsin B | cathepsin S |
|---|---|---|---|
| 22 nM ($IC_{50}$) | 48 nM ($IC_{50}$) | 61 nM ($IC_{50}$) | 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 ovariectomyinduced changes in bone mass, inhibited bone turnover at most sites, and had an 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 (Macaca fascicularis)[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.



Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA