**Proteins** 

## **Product** Data Sheet

# L-873724

Cat. No.: HY-50887 CAS No.: 603139-12-4 Molecular Formula:  $C_{23}H_{26}F_3N_3O_3S$ 

Molecular Weight: 481.53 Target: Cathepsin

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, sealed storage, away from moisture and light

\* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture

and light)

#### **SOLVENT & SOLUBILITY**

Vitro

DMSO: 100 mg/mL (207.67 mM; Need ultrasonic)

	Solvent Mass Concentration	1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	2.0767 mL	10.3836 mL	20.7671 mL
	5 mM	0.4153 mL	2.0767 mL	4.1534 mL
	10 mM	0.2077 mL	1.0384 mL	2.0767 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: ≥ 2.5 mg/mL (5.19 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (5.19 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.19 mM); Clear solution

### **BIOLOGICAL ACTIVITY**

Description	L-873724 is a potent, orally bioavailable, selective and reversible <sup>[2]</sup> non-basic cathepsin K inhibitor, with IC <sub>50</sub> s of 0.2, 178, 264, and 5239 nM for cathepsin K, cathepsin S, cathepsin L, cathepsin B, respectively <sup>[1]</sup> . L-873724 also exhibits an IC <sub>50</sub> of 0.5 nM for rabbit cathepsin K. L-873724 inhibits bone resorption <sup>[2]</sup> .
IC <sub>50</sub> & Target	IC50: 0.2 nM (Cathepsin K), 178 nM (Cathepsin S), 264 nM (Cathepsin B), 5239 nM (Cathepsin B) <sup>[1]</sup> , 0.5 nM (Rabbit cathepsin K) [2]
In Vitro	L-873724 (Compound 22) is a potent and selective non-basic cathepsin K inhibitor, with IC $_{50}$ s of 0.2, 178, 264, and 5239 nM for cathepsin K, cathepsin S, cathepsin L, cathepsin B, respectively. L-873724 also shows IC $_{50}$ s of 95, 1221 and 4807 nM for

	Ramos cathepsin S, Hep G2 cathepsin L, Hep G2 cathepsin $B^{[1]}$ . L-873724 exhibits an $IC_{50}$ of 0.5 nM for rabbit cathepsin $K^{[2]}$ . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	L-873724 is orally bioavailable, non-lysosomotropic, and efficacious in the rhesus monkey bone resorption model <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### **REFERENCES**

[1]. Li CS, et al. Identification of a potent and selective non-basic cathepsin K inhibitor. Bioorg Med Chem Lett. 2006 Apr 1;16(7):1985-9.

[2]. Zhuo Y, et al. Inhibition of bone resorption by the cathepsin K inhibitor odanacatib is fully reversible. Bone. 2014 Oct;67:269-80.

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

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