JPM-OEt

Cat. No.: HY-102087

CAS No.: 262381-84-0

Molecular Formula: $C_{20}H_{28}N_2O_6$

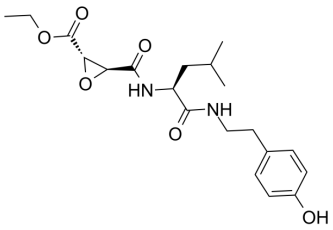
Molecular Weight: 392.45

Target: Cathepsin

Pathway: Metabolic Enzyme/Protease

Storage: 4°C, protect from light

* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (318.51 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5481 mL	12.7405 mL	25.4810 mL
	5 mM	0.5096 mL	2.5481 mL	5.0962 mL
	10 mM	0.2548 mL	1.2740 mL	2.5481 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: ≥ 6.25 mg/mL (15.93 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 6.25 mg/mL (15.93 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 6.25 mg/mL (15.93 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

JPM-OEt is a broad spectrum cysteine cathepsin inhibitor. JPM-OEt binds covalently in the active site, and irreversibly inhibits the cysteine cathepsin family. Antitumor activity[1][2].

In Vivo

JPM-OEt (50 mg/kg; i.p.; daily for 30 days) reduces tumor cathepsin B activity significantly[1].

JPM-OEt (50 mg/kg; i.p.; twice daily for 4 weeks) leads to tumor regression in the RIP1-Tag2 (RT2) mouse model of pancreatic islet cell tumorigenesis[2].

JPM-OEt (50 mg/kg; i.p.; daily from 63 to 98 days) causes a significant delay in the increase of tumour burden during the first 2 weeks of treatment[3].

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

Animal Model:	Female mice of a transgenic mouse[3]
Dosage:	50 mg/kg
Administration:	i.p.; daily from 63 to 98 days
Result:	Caused a significant delay in the increase of tumour burden during the first 2 weeks of treatment. However, on days 84, 91 and 98 no significant differences between both groups could be detected.

CUSTOMER VALIDATION

- Clin Cancer Res. 2022 Jul 6;ccr.22.1215.
- Sci Rep. 2022 Jul 16;12(1):12197.
- Mol Imaging. 14 Jul 2022.

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REFERENCES

[1]. Withana NP, et al. Cathepsin B inhibition limits bone metastasis in breast cancer. Cancer Res. 2012 Mar 1;72(5):1199-209.

[2]. Bell-McGuinn KM, et al. Inhibition of cysteine cathepsin protease activity enhances chemotherapy regimens by decreasing tumor growth and invasiveness in a mouse model of multistage cancer. Cancer Res. 2007 Aug 1;67(15):7378-85.

[3]. Schurigt U, et al. Trial of the cysteine cathepsin inhibitor JPM-OEt on early and advanced mammary cancer stages in the MMTV-PyMT-transgenic mouse model. Biol Chem. 2008 Aug;389(8):1067-74.

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