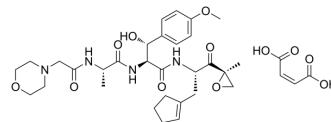


## Zetomipzomib maleate

<b>Cat. No.:</b>	HY-114419A
<b>CAS No.:</b>	2170983-62-5
<b>Molecular Formula:</b>	C <sub>34</sub> H <sub>46</sub> N <sub>4</sub> O <sub>12</sub>
<b>Molecular Weight:</b>	702.75
<b>Target:</b>	Proteasome
<b>Pathway:</b>	Metabolic Enzyme/Protease
<b>Storage:</b>	4°C, sealed storage, away from moisture * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



### SOLVENT & SOLUBILITY

<b>In Vitro</b>	DMSO : 250 mg/mL (355.75 mM; Need ultrasonic)					
	H <sub>2</sub> O : 50 mg/mL (71.15 mM; Need ultrasonic)					
	<b>Preparing Stock Solutions</b>	<b>Solvent</b>	<b>Mass</b>	<b>1 mg</b>	<b>5 mg</b>	<b>10 mg</b>
		<b>Concentration</b>				
		<b>1 mM</b>		1.4230 mL	7.1149 mL	14.2298 mL
<b>5 mM</b>			0.2846 mL	1.4230 mL	2.8460 mL	
<b>10 mM</b>		0.1423 mL	0.7115 mL	1.4230 mL		
Please refer to the solubility information to select the appropriate solvent.						
<b>In Vivo</b>	1. Add each solvent one by one: PBS Solubility: 100 mg/mL (142.30 mM); Clear solution; Need ultrasonic					
	2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.08 mg/mL (2.96 mM); Clear solution					
	3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.08 mg/mL (2.96 mM); Clear solution					

### BIOLOGICAL ACTIVITY

<b>Description</b>	Zetomipzomib (KZR-616) maleate, a first-in-class immunoproteasome inhibitor, selectively targets the LMP7 (IC <sub>50</sub> : 39/57 nM=hLMP7/mLMP7) and LMP2 (IC <sub>50</sub> : 131/179 nM=hLMP2/mLMP2) subunits of the immunoproteasome. Zetomipzomib maleate has the potential for the research of multiple autoimmune diseases <sup>[1][2]</sup> .
<b>In Vitro</b>	Zetomipzomib maleate is an immunoproteasome-selective inhibitor <sup>[3]</sup> . Zetomipzomib maleate also inhibits MECL-1 subunit (IC <sub>50</sub> =623 nM) and constitutive proteasome β5 subunit (IC <sub>50</sub> =688 nM). Zetomipzomib maleate maintains LMP7 and LMP2 selective inhibition in MOLT-4 cells. Zetomipzomib maleate (250 nM) shows a comparable cytokine inhibition profile peripheral blood mononuclear cells (PBMC) <sup>[1]</sup> .

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	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
<b>In Vivo</b>	Zetomipzomib maleate (5 mg/kg; i.v.; dosing was repeated on days 6, 8, 11, and 13) shows efficacy in the anticollagen antibody induced arthritis (CAIA) model <sup>[1]</sup> . MCE has not independently confirmed the accuracy of these methods. They are for reference only.
	Animal Model: 7-8 week old female BALB/c mice (CAIA model) <sup>[1]</sup>
	Dosage: I.v.; Dosing was repeated on days 6, 8, 11, and 13 until for 15 day
	Administration: 5 mg/kg
	Result: Showed efficacy in the anticollagen antibody induced arthritis (CAIA) model.

## REFERENCES

[1]. Johnson HWB, et al. Required Immunoproteasome Subunit Inhibition Profile for Anti-Inflammatory Efficacy and Clinical Candidate KZR-616 ((2 S,3 R)- N-((S)-3-(Cyclopent-1-en-1-yl)-1-((R)-2-methyloxiran-2-yl)-1-oxopropan-2-yl)-3-hydroxy-3-(4-methoxyphenyl)-2-((S)-2-(2-morpholinoacetamido)propanamido)propenamide). J Med Chem. 2018 Dec 27;61(24):11127-11143.

[2]. Muchamuel T, et al. FRI0296 Kzr-616, a selective inhibitor of the immunoproteasome, blocks the disease progression in multiple models of systemic lupus erythematosus (SLE). Annals of the Rheumatic Diseases 2018;77:685.

[3]. Xi J, et al. Immunoproteasome-selective inhibitors: An overview of recent developments as potential drugs for hematologic malignancies and autoimmune diseases. Eur J Med Chem. 2019;182:111646.

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

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