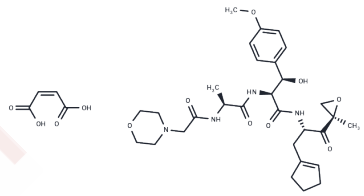
Data Sheet (Cat.No.T39653)

TargetMol

Zetomipzomib maleate

Chemical Properties



CAS No. : 2170983-62-5

Formula: C34H46N4O12

Molecular Weight: 702.758

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Zetomipzomib maleate (KZR-616), a novel immunoproteasome inhibitor, effectively and selectively inhibits the LMP7 subunit (hLMP7/mLMP7 IC50: 39/57 nM) and LMP2 subunit (hLMP7/mLMP7 IC50: 131/179 nM) of the immunoproteasome. This compound shows promising potential for research in various autoimmune diseases.
Targets(IC50)	Others,Proteasome
In vitro	Zetomipzomib maleate also inhibits MECL-1 subunit (IC 50 =623 nM) and constitutive proteasome β5 subunit (IC 50 =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)[1]. Zetomipzomib maleate is an immunoproteasome-selective inhibitor identified based on the optimization of ONX-0914 and PR-924[3].
In vivo	Zetomipzomib maleate, administered intravenously (i.v.) at a dosage of 5 mg/kg, demonstrated effectiveness in the anticollagen antibody induced arthritis (CAIA) model [1]. This study utilized 7-8 week old female BALB/c mice, with dosing repeated on days 6, 8, 11, and 13. The results highlighted zetomipzomib maleate's potential in mitigating CAIA, marking it as efficacious in this specific animal model.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.423 mL	7.1148 mL	14.2296 mL
5 mM	0.2846 mL	1.423 mL	2.8459 mL
10 mM	0.1423 mL	0.7115 mL	1.423 mL
50 mM	0.0285 mL	0.1423 mL	0.2846 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.

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.

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.

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