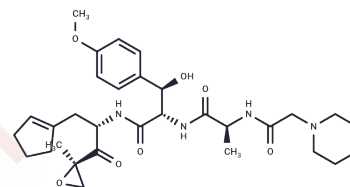


Zetomipzomib

Chemical Properties

CAS No. :	1629677-75-3
Formula:	C30H42N4O8
Molecular Weight:	586.68
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	KZR-616, a first-in-class inhibitor of the immunoproteasome, selectively targets the LMP7 (IC50: 39/57 nM=hLMP7/mLMP7) and LMP2 (IC50: 131/179 nM=hLMP7/mLMP7) subunits of the immunoproteasome. KZR-616 has the potential for the research of multiple autoimmune diseases[1][2].
Targets(IC50)	Others,Proteasome
In vitro	KZR-616 also inhibits MECL-1 subunit (IC50=623 nM) and constitutive proteasome β5 subunit (IC50=688 nM). KZR-616 maintains LMP7 and LMP2 selective inhibition in MOLT-4 cells. KZR-616 (250 nM) shows a comparable cytokine inhibition profile peripheral blood mononuclear cells (PBMC)[1].KZR-616 is an immunoproteasome-selective inhibitor identified based on the optimization of ONX-0914 and PR-924 [3].
In vivo	KZR-616 (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[1].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7045 mL	8.5225 mL	17.0451 mL
5 mM	0.3409 mL	1.7045 mL	3.409 mL
10 mM	0.1705 mL	0.8523 mL	1.7045 mL
50 mM	0.0341 mL	0.1705 mL	0.3409 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)propanamide). 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.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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