
Product Data Sheet

Product Name: Zetomipzomib

Cat. No.: GC62239

Chemical Properties

Cas. No. 1629677-75-3

Formula $C_{30}H_{42}N_4O_8$ M.Wt 586.68

Solubility Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available sizes: ship with RT, or blue ice upon request.

Structure **Background**

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].

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].

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].

[1]. Johnson HWB, et al. Required Immunoproteasome Subunit Inhibition Profile for Anti-Inflammatory Efficacy and Clinical Candidate KZR-616 ((2S,3R)-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

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

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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.

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