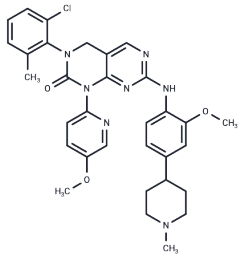
Data Sheet (Cat.No.T17271)

YKL-05-099

Chemical Properties



CAS No. : 1936529-65-5

Formula: C32H34ClN7O3

Molecular Weight: 600.11

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	YKL-05-099 is a salt-inducible kinase (SIK) inhibitor. It can inhibit the activity of SIK1 (IC50: 10 nM) and SIK3 (IC50: 30 nM), and has a slightly weaker inhibitory effect on SIK2 (IC50: 40 nM). [1]
Targets(IC50)	SIK
In vitro	YKL-05-099 inhibits the production of the inflammatory cytokines TNFα, IL-6 and IL-12p40, and only modestly enhances IL-1β release in BMDCs stimulated with the yeast cell wall extract Zymosan A. YKL-05-099 has slightly less potent SIK2-inhibitory (IC50=40 nM) and IL-10-enhancing activities (EC50=460 nM). YKL-05-099 binds to SIK1 and SIK3 (IC50s: 10 and 30 nM, respectively, in a competitive binding assay). Preincubating bone marrow-derived macrophages with YKL-05-099 decreases LPS stimulated phosphorylation of HDAC5 at the SIK-specific phosphorylation site Ser259 [1].
In vivo	**METHODS**: YKL-05-099 (6, 18 mg/kg/d) was tested in surgically ovariectomized mice by intraperitoneal injection to see if it could increase cancellous bone mass in hypogonadal female mice. **RESULTS** YKL-05-099 treatment increased trabecular bone mass in the femur and L5 vertebrae of hypogonadal female mice, indicating that the agent does not cause significant defects in cortical bone mass. [1]

Solubility Information

Solubility	DMSO: 45 mg/mL (74.99 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.33 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6664 mL	8.3318 mL	16.6636 mL
5 mM	0.3333 mL	1.6664 mL	3.3327 mL
10 mM	0.1666 mL	0.8332 mL	1.6664 mL
50 mM	0.0333 mL	0.1666 mL	0.3333 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

Tang CC, et al. Dual targeting of salt inducible kinases and CSF1R uncouples bone formation and bone resorption. Elife. 2021 Jun 23;10:e67772.

Wan J, He Z, Zhao Y, et al.Novel strategy of senescence elimination via toxicity-exempted kinome perturbations by nanoliposome-based thermosensitive hydrogel for osteoarthritis therapy.Advanced Composites and Hybrid Materials.2023, 6(3): 104.

Sundberg TB, et al. Development of Chemical Probes for Investigation of Salt-Inducible Kinase Function in Vivo. ACS Chem Biol. 2016 Aug 19;11(8):2105-11.

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