

JPH203

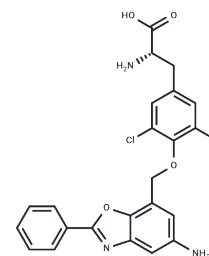
Chemical Properties

CAS No. : 1037592-40-7

Formula: C₂₃H₁₉Cl₂N₃O₄

Molecular Weight: 472.32

Storage: Store under nitrogen, Store at low temperature
 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	JPH203 (KYT-0353) is a potent and specific inhibitor of L-type amino acid transporter protein 1 (LAT-1). JPH203 inhibits cellular uptake of leucine, inhibits cell proliferation, induces apoptosis, and possesses anti-inflammatory and anti-tumor activities.
Targets(IC50)	Others
In vitro	<p>METHODS: HT-29, S2-LAT1 and S2-LAT2 cells were incubated with medium containing 14C-leucine and JPH203 (0.01-10 μM) for 1.0 min, and the radioactivity of cell lysates was counted using a scintillation counter.</p> <p>RESULTS: JPH203 inhibited 14C-leucine uptake by S2-hLAT1 cells in a concentration-dependent manner, with an IC₅₀ of 0.14 μM. JPH203 barely inhibited 14C-leucine uptake by S2-hL cells, and thus had a high in vitro hLAT1 inhibition selectivity. [1]</p> <p>METHODS: Human osteosarcoma cells Saos2 and human osteoblasts FOB were treated with JPH203 (0.01-30 mM) for 1-4 days, and cell viability was detected by MTT Assay.</p> <p>RESULTS: JPH203 effectively inhibited cell proliferation in a dose- and time-dependent manner in Saos2 cells. JPH203 only slightly inhibited the proliferation of FOB cells. [2]</p>
In vivo	<p>METHODS: To test the antitumor activity in vivo, JPH203 (6.5-25 mg/kg) was injected intravenously into nude mice bearing human colorectal cancer tumor HT-29 once daily for 14 days.</p> <p>RESULTS: JPH203 inhibited tumor growth in a dose-dependent manner. The maximum inhibition rates at 6.3, 12.5 and 25.0 mg/kg were 58.2% (day 42), 65.9% (day 30) and 77.2% (day 38), respectively. [1]</p> <p>METHODS: To test the antitumor activity in vivo, JPH203 (50 mg/kg in SBECD) was injected intraperitoneally into a matrix-enriched CRC mouse model once daily for fourteen days.</p> <p>RESULTS: JPH203 treatment significantly reduced tumor size and metastasis, and RNA sequencing-based pathway analysis showed that not only tumor growth and amino acid metabolism pathways, but also stromal activation-related pathways were inhibited. [3]</p>
Cell Research	Growth inhibition is evaluated by the MTT assay method. Namely, cell suspensions (1 × 10 ⁴ cells/mL) in a volume of 135 μL are placed into the wells of a flat-bottom 96-well microtiter plate and incubated in the atmosphere of 5% CO ₂ at 37°C (24 h). Drug solutions (15 μL) at various concentrations are added and incubated (96 h) under the same conditions. Next, MTT (15 μL, 5 mg/mL) dissolved in PBS is added and incubated

Cell Research	(4.0 h). The incubation medium containing MTT is aspirated off. Cells are mixed (5 min) with DMSO (200 μ L) and optical density read (540 nm) using a microtiter plate reader Emax. Subsequently, IC50 values are determined [1].
Animal Research	HT-29 tumor blocks are injected subcutaneously to the right flank of male nude mice. After tumor volumes reach 100 to 300 mm ³ , the mice are divided into groups (n = 6). On the day of grouping (day 0), JPH203 is administered intravenously daily for 14 days at three different doses (6.3, 12.5, and 25.0 mg/kg). Tumor volumes and body weights are measured two or three times a week for 42 days. Tumor volumes are expressed relative to initial tumor volume (day 0). Growth inhibition ratios for each treatment group is obtained from the mean tumor volume of the treated group compared to that of the control group [1].

Solubility Information

Solubility	DMSO: < 1 mg/mL (insoluble),Sonication is recommended. 5%TFA: 2.31 mg/mL (4.89 mM),Heating to 50°C is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	5% DMSO+40% PEG300+5% Tween 80+50% Saline: 5 mg/mL (10.59 mM),Solution. <i>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.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.1172 mL	10.586 mL	21.1721 mL
5 mM	0.4234 mL	2.1172 mL	4.2344 mL
10 mM	0.2117 mL	1.0586 mL	2.1172 mL
50 mM	0.0423 mL	0.2117 mL	0.4234 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

- Oda K, et al. L-type amino acid transporter 1 inhibitors inhibit tumor cell growth. *Cancer Sci.* 2010 Jan;101(1):173-9.
- Jiang R, Jin B, Sun Y, et al.SLC7A5 regulates tryptophan uptake and PD-L1 expression levels via the kynurenine pathway in ovarian cancer.*Oncology Letters.*2025, 29(1): 1-15.
- Onagi A, Sugimoto K, Kobayashi M, et al.Extrajunctional CLDN10 cooperates with LAT1 and accelerates clear cell renal cell carcinoma progression.*Cell Communication and Signaling: CCS.*2024, 22: 588.
- Choi DW, et al. JPH203, a selective L-type amino acid transporter 1 inhibitor, induces mitochondria-dependent apoptosis in Saos2 human osteosarcoma cells. *Korean J Physiol Pharmacol.* 2017 Nov;21(6):599-607.
- Otani R, et al. The Anti-Tumor Effect of the Newly Developed LAT1 Inhibitor JPH203 in Colorectal Carcinoma, According to a Comprehensive Analysis. *Cancers (Basel).* 2023 Feb 22;15(5):1383.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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