

Product Data Sheet

Product Name: JPH203 Dihydrochloride

Cat. No.: GC19400

Chemical Properties

Cas. No. 1597402-27-1

SMILES N[C@@H](CC1=CC(Cl)=C(C(Cl)=C1)OCC2=C(OC(C3=CC=CC=C3)=N4)C4=CC(N)=C2)C(O)=O.[H]Cl.[H]Cl

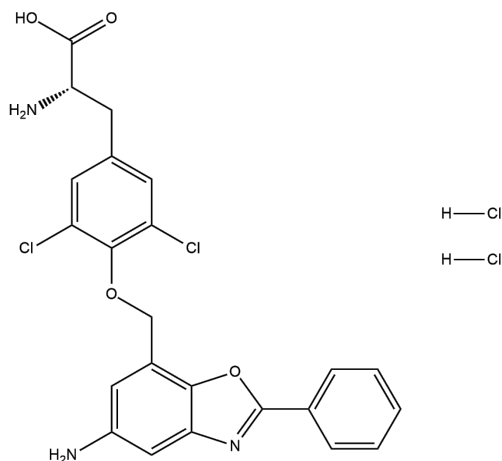
Formula $C_{23}H_{21}Cl_4N_3O_4$ M.Wt 545.24

Solubility DMSO : ≥ 105.5 mg/mL (193.49 mM; Storage Store at -20°C
use within 2 hours); Do not use water
to dissolve.

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 size: ship with RT , or
blue ice upon request.

Structure



Protocol

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

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Cell experiment: Growth inhibition is evaluated by the MTT assay method. Namely, cell suspensions (1×10^4 cells/mL) in a volume of 135 μ L are placed into the wells of a flat-bottom 96-well microtiter plate, and incubated in the atmosphere of 5% CO₂ at 37°C (24 h). Drug solutions (15 μ L) at various concentrations are added and incubated (96 h) under the same conditions. Next, MTT (15 μ L; 5 mg/mL) dissolved in PBS is added and incubated (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 experiment: Human-derived nude mouse HT-29 tumor growth inhibition is evaluated. HT-29 tumor blocks are injected subcutaneously to the right flank of male nude mice. After tumor volumes reach 100 to 300 mm³, the mice are divided into groups (n = 6). On the day of grouping (day 0), test compounds (JPH203) are 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].

References:

- [1]. Oda K, et al. L-type amino acid transporter 1 inhibitors inhibit tumor cell growth. Cancer Sci. 2010 Jan;101(1):173-9.
- [2]. Yun DW, et al. JPH203, an L-type amino acid transporter 1-selective compound, induces apoptosis of YD-38 human oral cancer cells. J Pharmacol Sci. 2014;124(2):208-17. Epub 2014 Feb 4.
- [3]. Choi DW, et al. JPH203, a selective L-type amino acid transporter 1 inhibitor, 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.

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Background

JPH203 Dihydrochloride is a tyrosine analog, acts as a selective inhibitor of L-type amino acid transporter 1 (LAT1), and is used in cancer research.

JPH203 Dihydrochloride is a selective inhibitor of LAT1. JPH203 (KYT-0353) inhibits 14C-leucine uptake in S2-hLAT1 and HT-29 cells, with IC₅₀s of 0.14 μ M and 0.06 μ M. JPH203 (3-1000 μ M) exhibits concentration-dependent inhibitory effects on S2-hLAT1 cell growth with an IC₅₀ of 16.4 μ M. JPH203 also displays inhibitory activities against HT-29 cell growth, with an IC₅₀ value of 4.1 μ M[1]. JPH203 (0.001-100 μ M) inhibits the 14C-leucine (1.0 μ M) uptake in a concentration dependent way by the YD-38 cells with an IC₅₀ value of 0.79 ± 0.06 μ M. JPH203 slightly shows such effects in normal human oral keratinocytes (NHOKs). JPH203 (0.01-30 mM, 1-4 d) completely inhibits the proliferation of YD-38 cells in a dose- and time-dependent manner. However, JPH203 slightly inhibits the proliferation of NHOKs. JPH203 (30 mM) induces apoptosis of YD-38 cells. JPH203 (3 mM) also increases the level of cleaved PARP in activation of the caspases cascade[2]. JPH203 (30 mM) induces mitochondria-dependent apoptosis in Saos2 human osteosarcoma cells. JPH203 (0.001-100 μ M) inhibits 14C-leucine (1.0 μ M) uptake slightly in FOB cells with an IC₅₀ value of 92.12 ± 10.71 μ M, but potently exhibits such effects in Saos2 cells with an IC₅₀ value of 1.31 ± 0.27 μ M. JPH203 (0.01 to 30 mM, 1-4 d) potently inhibits cell proliferation in Saos2 cells in a dose- and time-dependent manner, with an IC₅₀ of 4.09-0.09 mM, but slightly inhibits that of FOB cells, with an IC₅₀ of 24.1-2.8 mM[3].

JPH203 (6.3, 12.5, and 25.0 mg/kg, i.v. for 14 days) exhibits dose-dependent inhibition on HT-29 tumor growth in nude mice[1].

References:

- [1]. Oda K, et al. L-type amino acid transporter 1 inhibitors inhibit tumor cell growth. Cancer Sci. 2010 Jan;101(1):173-9.
- [2]. Yun DW, et al. JPH203, an L-type amino acid transporter 1-selective compound, induces apoptosis of YD-38 human oral cancer cells. J Pharmacol Sci. 2014;124(2):208-17. Epub 2014 Feb 4.
- [3]. Choi DW, et al. JPH203, a selective L-type amino acid transporter 1 inhibitor, 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.

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