WZB117

Cat. No.: HY-19331

CAS No.: 1223397-11-2

Molecular Formula: $C_{20}H_{13}FO_6$

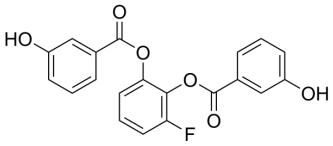
Molecular Weight: 368.31

Target: GLUT

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (678.78 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7151 mL	13.5755 mL	27.1510 mL
	5 mM	0.5430 mL	2.7151 mL	5.4302 mL
	10 mM	0.2715 mL	1.3576 mL	2.7151 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.79 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

WZB117 is a glucose transporter 1 (Glut1) inhibitor, which downregulates glycolysis, induces cell-cycle arrest, and inhibits cancer cell growth in vitro and in vivo.

IC_{50} & Target

GLUT1

In Vitro

Glucose uptake assays show that WZB117 inhibits glucose transport in cancer cells in a dose-dependent manner. The inhibition of glucose transport induced by WZB117 occurres within 1 minute after the assay started, suggesting that the

	inhibitory activity is likely to be via a direct and fast mechanism. Cell viability assay shows that WZB117 inhibits cancer cell proliferation with an IC_{50} of approximately 10 μM. The inhibitory activity of WZB117 on cancer cell growth is also confirmed with a clonogenic assay, which also indicates that the inhibition is irreversible in nature. WZB117 treatment results in significantly more cell growth inhibition in lung cancer A549 cells than in nontumorigenic lung NL20 cells. Similar results are also observed in breast cancer MCF7 cells and their nontumorigenic MCF12A cells. When WZB117 is added to cancer cells grown under hypoxic conditions, more cell growth inhibition is observed than under normoxic conditions[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	The animal study shows that after daily intraperitoneal injection of WZB117 at 10 mg/kg body weight, the sizes of the compound-treated tumors are on average more than 70% smaller than those of the mock (PBS/DMSO)-treated tumors. Notably, 2 of the 10 compound-treated tumors disappear during the treatment and never grow back even at the end of the study. Body weight measurement and analysis reveal that the mice treated with WZB117 lost about 1 to 2 grams of body weight compared with the mock-treated mice with most of the weight loss in the fat tissue. Blood counts and analysis of mice at the end of the study show that lymphocytes and platelets are changed in the compound-treated mice compared with the vehicle-treated mice, but the cell counts remained in the normal ranges. One of the concerns for using glucose transport inhibitors is that the inhibitor might produce hyperglycemia in the treated mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [1]	Human non-small cell lung cancer (NSCLC) cell lines H1299 and A549, human breast ductal carcinoma MCF7, as well as human nontumorigenic NL20 lung and MCF12A breast cells are maintained in cell culture media. Cells are treated with WZB117 for 24 or 48 hours. WZB117 (10 μM) is used in the experiments unless otherwise noted. Mock-treated and glucose deprivation samples served as negative and positive controls, respectively. In glucose deprivation, Dulbecco's Modified Eagle's Media (DMEM) with reduced glucose concentration (2 mM or 8% of glucose concentration in the regular cell culture medium) is prepared by mixing glucose-free DMEM with regular DMEM[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice[1] Male NU/J nude mice of 6 to 8 weeks of age are used. To determine the in vivo anticancer efficacy of WZB117 on human tumor xenograft growth, NSCLC A549 cells in exponential growth phase are harvested, washed, precipitated, and resuspended in PBS. Each mouse is injected subcutaneously with 5×10^6 cancer cells in the flank. Compound treatment started 3 days after the cancer cells injection and when all tumors become palpable. Tumor cell–injected mice are randomly divided into 2 groups: control group (n=10) treated with PBS/DMSO (1:1, v/v) and WZB117 treatment group (n=10) treated with WZB117 (10 mg/kg body weight) dissolved in PBS/DMSO solution (1:1, v/v). Mice are given intraperitoneal injection with either PBS/DMSO vehicle or WZB117 (10 mg/kg) daily for 10 weeks. Tumor sizes are measured every 7 days with calipers, and tumor volume is calculated[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Metab. 2022 Nov 11;S1550-4131(22)00490-9.
- J Nanobiotechnology. 2022 Sep 15;20(1):414.
- Cell Death Dis. 2022 Feb 4;13(2):118.
- Acta Pharmacol Sin. 2021 Aug 30.
- Oncogene. 2023 Oct 16.

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REFERENCES

[1]. Liu Y, et al. A small-molecule inhibitor of glucose transporter 1 downregulates glycolysis, induces cell-cycle arrest, and inhibits cancer cell growth in vitro and in vivo. Mol Cancer Ther. 2012 Aug;11(8):1672-82.

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