

Product Data Sheet

Product Name: WZB117

Cat. No.: GC13381

Chemical Properties

Cas. No. 1223397-11-2

Chemical Name 3-hydroxy-benzoic acid, (3-fluoro-1,2-phenylene)ester

SMILES OC1=CC=CC(C(OC2=CC=CC(F)=C2OC(C3=CC(O)=CC=C3)=O)=O)=C1

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

M.Wt 368.3

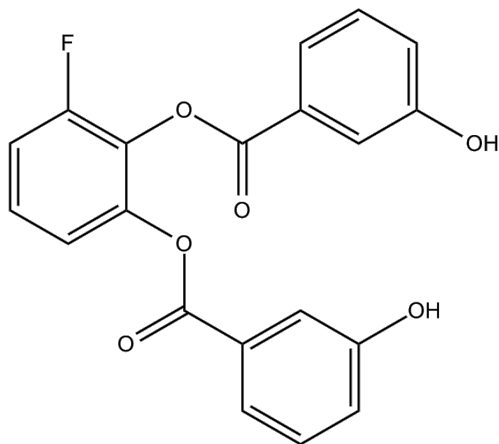
Solubility $\geq 18.4\text{mg/mL}$ in DMSO

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

Structure



Protocol

Cell experiment [1]:

Cell lines A375 and SK-MEL-28 melanoma cells

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

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cells were exposed to various concentrations of drugs (apatinib: range from 0 to 100μM; WZB117: range from 0 to 160μM) for 24h/48h/72h. Following apatinib or WZB117 treatment, 20μL of 0.5mg/mL MTT was added to each well and Preparation Method incubated for another 4h at 37°C. Then the supernatants were carefully removed and added 150μL DMSO per well. At last, the light absorbance at 570nm was determined in a luminescence plate reader according to the manufacturer's instructions.

Reaction
Conditions 0-160μM; 24h, 48h, 72h

Applications Apatinib combined with WZB117 showed synergistic cell growth inhibitory effects on A375 and SK-MEL-28 cells.

Animal experiment [2]:

Animal models *P. berghei* ANKA-infected mice model

For *in vivo* WZB117 treatment, 1.5×10^6 *P. berghei* ANKA-infected RBCs were intravenously injected into female BALB/c mice. We injected 10mg/kg WZB117 Preparation Method in 1:1 PBS/DMSO (v/v) or vehicle alone into the mice by intraperitoneal injection. The change in parasitemia was determined by a light microscope examination of Giemsa-stained thin smears from tail blood.

Dosage form 10mg/kg; iv; 11d

Applications WZB117 effectively inhibits the growth of blood-stage parasites.

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References:

- [1]. Zhang RS, Li ZK, Liu J, et al. WZB117 enhanced the anti-tumor effect of apatinib against melanoma via blocking STAT3/PKM2 axis. *Frontiers in Pharmacology*. 2022 Sep 16; 13: 976117.
- [2]. Wei M, Lu L, Sui W, et al. Inhibition of GLUTs by WZB117 mediates apoptosis in blood-stage Plasmodium parasites by breaking redox balance. *Biochemical and biophysical research communications*. 2018 Sep 5; 503(2): 1154-1159.

Background

WZB117 is a small molecule inhibitor targeting glucose transporter 1 (GLUT1) [1]. WZB117 reduces glucose uptake in cancer cells, lowers intracellular ATP levels, and activates AMPK, which in turn triggers cell cycle arrest, senescence, and necrosis [2-3]. WZB117 is mainly used in the field of tumor research to inhibit the glucose metabolism and growth of cancer cells [4].

In A375 and SK-MEL-28 cells, Apatinib combined with WZB117 (0-160 μ M; 24h, 48h, 72h) showed synergistic cell growth inhibitory effects on cell [5]. In PANC-1 cells, WZB117 (10 μ M, 25 μ M; 24h) significantly reduced glycogenolysis in cells in a dose-dependent manner [6]. In SH-SY5Y cells, WZB117 (1-30 μ M; 72h) treatment reduces tumor cell viability and downregulates GLUT1 protein levels [7].

In *P. berghei* ANKA-infected mice model, WZB117 (10mg/kg; iv; 11d) effectively inhibits the growth of blood-stage parasites [8]. In PANC-1 CSLC subcutaneous tumor mice model, WZB117 (20mg/kg; ip; 20d) inhibits the tumor-initiating ability of PANC-1 CSLCs *in vivo* [9].

References:

- [1]. Ojelabi OA, Lloyd KP, Simon AH, et al. WZB117 (2-Fluoro-6-(m-hydroxybenzoyloxy) Phenyl m-Hydroxybenzoate) inhibits GLUT1-mediated sugar transport by binding reversibly at the exofacial sugar binding site. *Journal of Biological Chemistry*. 2016 Dec 1;291(52):26762-26772.
- [2]. Liu Y, Cao Y, Zhang W, 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. *Molecular cancer therapeutics*. 2012 Aug 1; 11(8): 1672-1682.
- [3]. Ojelabi O, DeZutter J, Lloyd K, et al. Novel small molecule, WZB117, competitively inhibit

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GLUT1-mediated glucose transport to halt cancer growth. The FASEB Journal. 2016 Apr; 30: 1099.

[4]. Qian Y, Shriwas P, Wang X, et al. Screening and identification of new generation glucose transporter inhibitors as anticancer therapeutics. Cancer Research. 2017 Jul 1; 77(13_Supplement): 1157.

[5]. Zhang RS, Li ZK, Liu J, et al. WZB117 enhanced the anti-tumor effect of apatinib against melanoma via blocking STAT3/PKM2 axis. Frontiers in Pharmacology. 2022 Sep 16; 13: 976117.

[6]. Poonprasartporn A, Xiao J, Chan KA. A study of WZB117 as a competitive inhibitor of glucose transporter in high glucose treated PANC-1 cells by live-cell FTIR spectroscopy. Talanta. 2024 Jan 1; 266: 125031.

[7]. Peng Y, Xing SN, Tang HY, et al. Influence of glucose transporter 1 activity inhibition on neuroblastoma in vitro. Gene. 2019 Mar 20; 689: 11-17.

[8]. Wei M, Lu L, Sui W, et al. Inhibition of GLUTs by WZB117 mediates apoptosis in blood-stage Plasmodium parasites by breaking redox balance. Biochemical and biophysical research communications. 2018 Sep 5; 503(2): 1154-1159.

[9]. Shibuya K, Okada M, Suzuki S, et al. Targeting the facilitative glucose transporter GLUT1 inhibits the self-renewal and tumor-initiating capacity of cancer stem cells. Oncotarget. 2014 Nov 26; 6(2): 651.

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