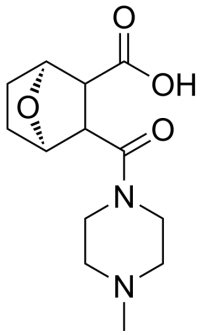
LB-100

Cat. No.:	HY-18597
CAS No.:	1632032-53-1
Molecular Formula:	$C_{13}H_{20}N_2O_4$
Molecular Weight:	268.31
Target:	Phosphatase
Pathway:	Metabolic Enzyme/Protease
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

H_2O : ≥ 48 mg/mL (178.90 mM)

DMSO : 1 mg/mL (3.73 mM; ultrasonic and warming and heat to 60°C)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	3.7270 mL	18.6352 mL	37.2703 mL
5 mM	0.7454 mL	3.7270 mL	7.4541 mL
10 mM	0.3727 mL	1.8635 mL	3.7270 mL

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

BIOLOGICAL ACTIVITY

Description

LB-100 is a protein phosphatase 2A (PP2A) inhibitor, with IC_{50} of 0.85 μM and 3.87 μM in BxPc-3 and Panc-1 cells[1][2][3].

IC_{50} & Target

IC50: 0.85 μM (PP2 in BxPc-3 cell), 3.87 μM (PP2 in Panc-1 cell)

In Vitro

LB-100 inhibits the cell growth with IC_{50} of 2.3 μM (in BxPc-3) or 1.7 μM (in Panc-1 cell). In BxPc-3, Panc-1, and SW1990 cells, LB-100 reduces the PP2A activity by 30-50%. LB-100 increases concentration of doxorubicin within cells (2.5 fold to control) and sensitizes tumor cells to the cytotoxicity of doxorubicin. LB-100 increaseds VEGF secretion, and thus enhances HIF-1α-VEGF mediated angiogenesis[1]. LB-100 alters VE-cadherin integrity between endothelial cells. Pretreatment of LB-100 results in a nearly 40% increase in dye passing through the HUVECs monolayer. LB-100 induces higher paracellular permeability of vascular endothelial cells potentially accounting for LB-100 increasing the concentration of doxorubicin in tumor cells[2]. LB-100 downregulates Bcl-2 expression and enhances sorafenib-induced apoptosis in HCC cells[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	LB-100 (2 mg/kg, i.p.) decreases in a time-dependent manner the activity of PP2A in xenografts and livers in nude mice. LB-100 does not alter the expression of the three PP2A subunits (PP2A_A, PP2A_B, and PP2A_C) in cell lines, xenografts, or livers, as confirmed by immunoblotting. The combination of doxorubicin (1.5 kg/mL, every other day) and LB-100 (2 mg/kg, every other day) significantly slows the growth of tumors with reduction of tumor volume in two animals with no effects on tumor growth in animals treated with single agents[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Cultured pancreatic cancer cells are treated with IC_{50} of LB-100 for each cell line or equal volume of vehicle for 2 hours, and PP2A activity assays are then performed using Ser/Thr phosphatase assay kit. Cells are lysed with an ultrasonic cell disruptor, and the PP2A concentration is measured using a Ser/Thr phosphatase assay kit according to the instructions. Assays for each cell line are performed in triplicate. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	Cytotoxicity is conducted by using a Cell Counting Kit-8. Cells are seeded in 96-well plates with a density of 3000 cells per well and are assessed after treatments following the CCK-8 protocol. Relative cytotoxicity is expressed as a percentage of specific controls. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	BALB/c nude mice are injected subcutaneously in the right flank with 1×10^6 Huh-7 cells suspended in 200 μL PBS per mouse. After a tumor volume of 100 to 200 mm^3 is reached, tumor-bearing mice are randomLy allocated to four groups: control group, doxorubicin/cisplatin group, LB-100 group, and doxorubicin/cisplatin plus LB-100 group. For the doxorubicin plus LB-100 study (n=6 to 8), doxorubicin and LB-100 are injected i.p. at 1.5 and 2 mg/kg, respectively, on alternate days for a total of 16 days. For the cisplatin plus LB-100 study (n=8 to 10), cisplatin and LB-100 are injected at 3 and 2.5 mg/kg, i.p., respectively; cisplatin is injected every 4 days and LB-100 is used every other day for 16 days. Control mice are injected with DMSO (in the doxorubicin plus LB-100 group) or PBS (in the cisplatin plus LB-100 group) on the same schedule as the drug-treated animals. Tumor size is monitored every 3 or 4 days, and is calculated by the formula: tumor volume=length × width × height/2. All mice are sacrificed at day 16, and xenografts are obtained, weighed, and fixed with 10% formaldehyde. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nat Commun. 2017 May 31;8:15580.
- Int J Biol Macromol. 30 July 2022.
- JCI Insight. 2021 May 10;6(9):141426.
- Elife. 2022 Jun 10;11:e78301.
- Mol Cancer Ther. 2019 Mar;18(3):556-566.

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REFERENCES

[1]. Bai X, et al. Inhibition of protein phosphatase 2A sensitizes pancreatic cancer to chemotherapy by increasing drug perfusion via HIF-1α-VEGF mediated angiogenesis. Cancer Lett. 2014 Oct 7. pii: S0304-3835(14)00589-8.

[2]. Bai XL, et al. Inhibition of protein phosphatase 2A enhances cytotoxicity and accessibility of chemotherapeutic drugs to hepatocellular carcinomas. Mol Cancer Ther. 2014 Aug;13(8):2062-72.

[3]. Fu QH, et al. LB-100 sensitizes hepatocellular carcinoma cells to the effects of sorafenib during hypoxia by activation of Smad3 phosphorylation. Tumour Biol. 2016 Jun;37(6):7277-8

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