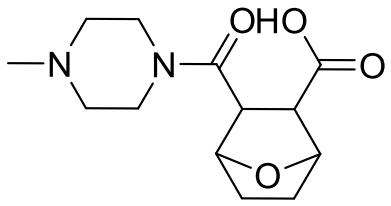
# Product data sheet

| | |
|---|---|
| MedKoo Cat#: 206834<br>Name: LB-100<br>CAS#: 1632032-53-1<br>Chemical Formula: $C_{13}H_{20}N_2O_4$<br>Exact Mass: 268.1423<br>Molecular Weight: 268.313 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**
LB-100 is a protein phosphatase 2A(PP2A)inhibitor. LB-100 sensitizes hepatocellular carcinoma cells to the effects of sorafenib during hypoxia by activation of Smad3 phosphorylation. LB-100 enhanced the effects of sorafenib in HCC cells only during hypoxic environments. LB-100 dramatically increased intracellular p-Smad3 level, which was responsible for the effect of LB-100 as a sensitizer. LB-100 downregulated Bcl-2 expression and enhanced sorafenib-induced apoptosis in HCC cells.

**2. CoA, QC data, SDS, and handling instruction**
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

**3. Solubility data**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| DMSO | 54 | 201.26 |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 3.73 mL | 18.63 mL | 37.27 mL |
| 5 mM | 0.75 mL | 3.73 mL | 7.45 mL |
| 10 mM | 0.37 mL | 1.86 mL | 3.73 mL |
| 50 mM | 0.07 mL | 0.37 mL | 0.75 mL |

**5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator**
Please refer the product web page under section of "Calculator"

**6. Recommended literature which reported protocols for in vitro and in vivo study**
In vitro study

1. Bai X, Zhi X, Zhang Q, Liang F, Chen W, Liang C, Hu Q, Sun X, Zhuang Z, Liang T. Inhibition of protein phosphatase 2A sensitizes pancreatic cancer to chemotherapy by increasing drug perfusion via HIF-1α-VEGF mediated angiogenesis. Cancer Lett. 2014 Dec 28;355(2):281-7. doi: 10.1016/j.canlet.2014.09.048. Epub 2014 Oct 7. PMID: 25304380.

2. Uddin MH, Pimentel JM, Chatterjee M, Allen JE, Zhuang Z, Wu GS. Targeting PP2A inhibits the growth of triple-negative breast cancer cells. Cell Cycle. 2020 Mar;19(5):592-600. doi: 10.1080/15384101.2020.1723195. Epub 2020 Feb 3. PMID: 32011210; PMCID: PMC7100985.

In vivo study

1. Bai X, Zhi X, Zhang Q, Liang F, Chen W, Liang C, Hu Q, Sun X, Zhuang Z, Liang T. Inhibition of protein phosphatase 2A sensitizes pancreatic cancer to chemotherapy by increasing drug perfusion via HIF-1α-VEGF mediated angiogenesis. Cancer Lett. 2014 Dec 28;355(2):281-7. doi: 10.1016/j.canlet.2014.09.048. Epub 2014 Oct 7. PMID: 25304380.

2. Maggio D, Ho WS, Breese R, Walbridge S, Wang H, Cui J, Heiss JD, Gilbert MR, Kovach JS, Lu RO, Zhuang Z. Inhibition of protein phosphatase-2A with LB-100 enhances antitumor immunity against glioblastoma. J Neurooncol. 2020 Jun;148(2):231-244. doi: 10.1007/s11060-020-03517-5. Epub 2020 Apr 27. PMID: 32342332; PMCID: PMC7467059.

**7. Bioactivity**

Biological target:

LB-100 is a water soluble protein phosphatase 2A (PP2A) inhibitor with IC50s of 0.85 μM and 3.87 μM in BxPc-3 and Panc-1 cells.

In vitro activity

A panel of TNBC cell lines were treated with LB-100 and growth inhibition was determined by MTT assay. Figure 1(a) shows that LB-100 effectively inhibited the growth of all cell lines tested with IC50 ranging from 1.17 to 7.145 μM. To confirm if such inhibitory effect applies to TNBC cells that have acquired TRAIL resistance, we tested the effects of LB-100 on growth inhibition using two TRAIL-resistant TNBC cell models. To establish TRAIL-resistant SUM159 (SUM159-R) and MDA213 (MDA231-R) cells, TRAIL-sensitive SUM159 and MDA231 (SUM159-P and MDA231-P, respectively) were exposed to gradually increased concentrations of TRAIL starting from 5 ng to 120 ng/ml for over a 6-month duration. We treated SUM159-P/SUM159-R and MDA231-P/MDA231-R cells with various doses of LB-100 for 72 h, and MTT was performed and IC50 value was calculated. While the IC50 for TRAIL in SUM159-P and SUM159-R cells were 10.7 ng/ml and >1000 ng/ml, respectively, both cell lines were equally sensitive to LB-100, reflected by IC50 value of LB-100 being 3.83 μM and 3.81 μM, respectively (Figure 2). Similar results were obtained with MDA231-P/MDA231-R cells. These data suggest that LB-100 effectively inhibits the growth of TNBC cells regardless of the status of TRAIL sensitivity.

Reference: Cell Cycle. 2020 Mar;19(5):592-600. https://www.ncbi.nlm.nih.gov/pmc/articles/pmid/32011210/

In vivo activity

ELISA assays showed that pancreatic cancer cells secreted 1.5 to 2 times more VEGF when exposed to LB-100 (Fig. 3A). The microvessel density was checked in all xenografts mentioned above. Using immunohistochemical measurement of CD31, we found a significantly higher density of microvessel in tumors of mice with treated LB-100 (Fig. 3B and C). In addition, LB-100 injection resulted in rapid blood flow at the surface of tumors in mice (Fig. 3D), assessed by Doppler measurement. More doxorubicin accumulated within tumors in mice treated with LB-100 as judged by stronger intensity of doxorubicin specific fluorescence (Fig. 3E). Taken together these results suggest that PP2A inhibition by LB-100 led to higher perfusion and consequently more doxorubicin within tumors.

Reference: Cancer Lett. 2014 Dec 28;355(2):281-7. https://linkinghub.elsevier.com/retrieve/pii/S0304-3835(14)00589-8

*Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.*