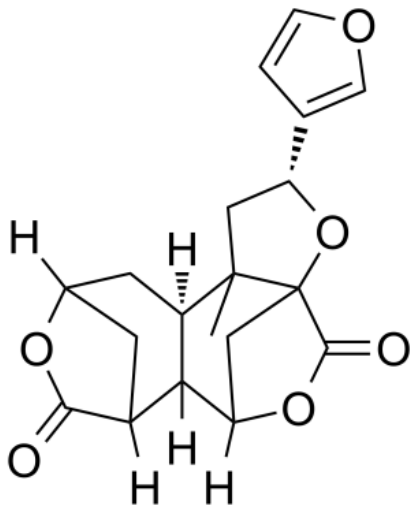
Product Data Sheet

Product Name: Diosbulbin B
Cat. No.: GC38448

Chemical Properties

Cas. No.	20086-06-0		
SMILES	O=C1OC2([H])C3([H])[C@](CC4([H])OC(C3([H])C4)=O)([H])C5(C)C1(C2)O[C@@H](C6=COC=C6)C5		
Formula	$C_{19}H_{20}O_6$	M.Wt	344.36
Solubility	DMSO: 16 mg/mL (46.46 mM)	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 A549 cells

Product Data Sheet

Preparation Method	A549 cells were cultured in RPMI-1640 medium containing 10% fetal bovine serum (FBS) in 5% CO_2 at 37°C. Cells were placed in 96-well plates at a concentration of 1×10^4 cells per well. Using 0.1% DMSO as a control, cells received diverse levels of Diosbulbin B (0, 5, 12.5, 25, 50, 100, 200, 400μM) treatment for 24, 48, and 72h. At the end of the administration, the supernatant was discarded, and the viable cell numbers were measured.
Reaction Conditions	0, 5, 12.5, 25, 50, 100, 200, 400μM; 24, 48, and 72h
Applications	Diosbulbin B treatment significantly reduced cell proliferation of A549 cells in a dose and time-dependent manner.

Animal experiment [2]:

Animal models	Male C57BL/6 mice
Preparation Method	Male C57BL/6 mice (20-24g) were housed in a specialized animal care facility, in a room with constant temperature (25°C), humidity control, and a 12/12h light/dark cycle with free access to food and water. Mice were randomly divided into 2 groups: (1) Control (n=11), (2) Diosbulbin B (50mg/kg) (n=13). Diosbulbin B was dissolved in 0.5% carboxymethylcellulose sodium (CMC-Na) solution. Mice were given (intragastric administration) with Diosbulbin B for 2 months. After treatment, mice were anesthetized with urethane and sacrificed, and the liver tissues were collected for analysis.
Dosage form	50mg/kg/day for 2 months; i.g.
Applications	Diosbulbin B treatment promoted liver fibrosis and increased liver hydroxyproline content, hepatic collagen deposition, and immune cell infiltration in mice.

Caution: Product has not been fully validated for medical applications. For research use only.
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References:
[1] Zhao J Q, Zhou Q Q, Sun Y, et al. The anti-non-small cell lung cancer effect of Diosbulbin B: Targeting YY1 induced cell cycle arrest and apoptosis[J]. Phytomedicine, 2024, 130: 155734.
[2] Zhang Y, Miao H, Guan H, et al. Long-term diosbulbin B treatment induced liver fibrosis in mice[J]. Chemico-biological interactions, 2019, 298: 15-23.

Background

Diosbulbin B is the main hepatotoxic component of *Dioscorea bulbifera* L., exhibiting potent anti-tumor activity [1]. Diosbulbin B can significantly induce an increase in liver MPO enzyme activity and protein expression, reduce IκB expression and promote the increase in NF-κB p65 translocation, and lead to an increase in serum levels of TNF-α, IL-6 and IFN-γ[2]. Diosbulbin B has been widely used in establishing animal models of liver injury and inhibiting the tumor growth of xenograft models[3].

In vitro, Diosbulbin B treatment for 72h significantly inhibited the viability of A549 cells and PC-9 cells, with IC_{50} values of 44.61μM and 22.78μM, respectively [4]. Treatment with 200μM Diosbulbin B for 48 hours induced autophagy and apoptosis in L-02 cells, accompanied by an increase in the expression of LC3 II/I and Beclin-1, and a decrease in the expression of p62[5]. Treatment with 50μM Diosbulbin B for 48 hours induced G2/M phase arrest in CYP3A4-transfected L-02 cells and decreased the expression of CDK1[6].

In vivo, Diosbulbin B treatment via oral administration at a dose of 50mg/kg/day for 2 months led to hepatic infiltration of immune cells, swelling and necrosis of hepatocytes in mice, and promoted liver fibrosis in the mice[7]. Oral administration of 64mg/kg/day of Diosbulbin B for 12 consecutive days led to liver structure damage and extensive necrosis in mice, and caused oxidative stress injury[8].

References:
[1] Tan R, Hu Z, Zhou M, et al. Diosbulbin B: An important component responsible for hepatotoxicity and protein covalent binding induced by Dioscorea bulbifera L[J]. Phytomedicine, 2022, 102: 154174.
[2] Niu C, Sheng Y, Yang R, et al. Scutellarin protects against the liver injury induced by diosbulbin B in mice and its mechanism[J]. Journal of ethnopharmacology, 2015, 164: 301-308.
[3] Wang J, Sheng Y, Ji L, et al. Ferulic acid prevents liver injury and increases the anti-tumor effect

of diosbulbin B in vivo[J]. Journal of Zhejiang University-SCIENCE B, 2014, 15(6): 540-547.
[4] Zhao J Q, Zhou Q Q, Sun Y, et al. The anti-non-small cell lung cancer effect of Diosbulbin B: Targeting YY1 induced cell cycle arrest and apoptosis[J]. Phytomedicine, 2024, 130: 155734.
[5] Ye J, Xue M, Liu Y, et al. Diosbulbin B-induced mitochondria-dependent apoptosis in L-02 hepatocytes is regulated by reactive oxygen species-mediated autophagy[J]. Frontiers in Pharmacology, 2019, 10: 676.
[6] Yang R, Wei M, Yang F, et al. Diosbulbin B induced G2/M cell cycle arrest in hepatocytes by miRNA-186-3p and miRNA-378a-5p-mediated the decreased expression of CDK1[J]. Toxicology and Applied Pharmacology, 2018, 357: 1-9.
[7] Zhang Y, Miao H, Guan H, et al. Long-term diosbulbin B treatment induced liver fibrosis in mice[J]. Chemico-biological interactions, 2019, 298: 15-23.
[8] Ma Y, Niu C, Wang J, et al. Diosbulbin B-induced liver injury in mice and its mechanism[J]. Human & experimental toxicology, 2014, 33(7): 729-736.