

Product Data Sheet

VLX600

Cat. No.: HY-12406 CAS No.: 327031-55-0 Molecular Formula: $C_{17}H_{15}N_{7}$ 317.35 Molecular Weight:

Target: Autophagy; Mitochondrial Metabolism; Oxidative Phosphorylation

Pathway: Autophagy; Metabolic Enzyme/Protease

Powder -20°C Storage: 3 years 4°C 2 years

* The compound is unstable in solutions, freshly prepared is recommended.

SOLVENT & SOLUBILITY

In Vitro

DMSO: 25 mg/mL (78.78 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	3.1511 mL	15.7555 mL	31.5110 mL
	5 mM	0.6302 mL	3.1511 mL	6.3022 mL
	10 mM	0.3151 mL	1.5755 mL	3.1511 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: 2.08 mg/mL (6.55 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description VLX600 is an iron-chelating inhibitor of oxidative phosphorylation (OXPHOS). VLX600 causes mitochondrial dysfunction and induces a strong shift to glycolysis. VLX600 displays selective cytotoxic activity against malignant cell and induces

autophagy. Anticancer activity^{[1][2]}.

VLX600 (6 μM; 72 hours) induces an autophagic response^[2]. In Vitro

> VLX600 is cytotoxic to HCT116 spheroids. VLX600 induces a HIF-1α-dependent glycolytic response. VLX600 inhibits oxygen consumption in HCT116 cells. VLX600 inhibits phosphorylation of the mTOR downstream effectors 4EBP1 and p70-S6K by an HIF-1α-independent mechanism. VLX600 preferentially leads to decreased ATP levels in cancer but not normal cells^[2].

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

Cell Proliferation Assay^[2]

Cell Line: HCT116, HT29, SW620, HT8, DLD and RKO cells

Concentration:	0.1, 1, 10, 100μM	
Incubation Time:	72 hours	
Result:	Inhibited the proliferation of these cells.	
Western Blot Analysis ^[2]		
Cell Line:	HCT116 cells	
Concentration:	6 µМ	
Incubation Time:	72 hours	
Result:	LC3-II was induced.	

In Vivo

VLX600 (16 mg/kg; i.v.; every third day for 16 days) shows anti-tumor activity in human tumor xenografts^[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	NMRI nu/nu mice (HCT116 and HT29 colon cancer xenografts) ^[2]	
Dosage:	16 mg/kg	
Administration:	Intravenously; every third day for 16 days	
Result:	Anti-tumor activity was observed in both HCT116 and HT29 colon cancer xenografts.	

REFERENCES

[1]. Karlsson H, et al. A novel tumor spheroid model identifies selective enhancement of radiation by an inhibitor of oxidative phosphorylation. Oncotarget. 2019 Sep 3;10(51):5372-5382.

[2]. Zhang X, et al. Induction of mitochondrial dysfunction as a strategy for targeting tumour cells in metabolically compromised microenvironments. Nat Commun. 2014;5:3295.

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

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