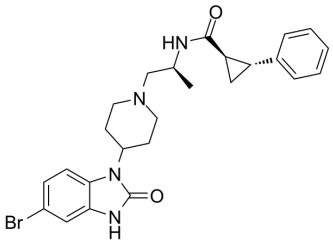
VU0359595

Cat. No.:	HY-101293
CAS No.:	1246303-14-9
Molecular Formula:	$C_{25}H_{29}BrN_4O_2$
Molecular Weight:	497.43
Target:	Phospholipase; Fungal
Pathway:	Metabolic Enzyme/Protease; Anti-infection
Storage:	4°C, sealed storage, away from moisture and light * In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (20.10 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.0103 mL	10.0517 mL	20.1033 mL
	5 mM	0.4021 mL	2.0103 mL	4.0207 mL
	10 mM	0.2010 mL	1.0052 mL	2.0103 mL

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

BIOLOGICAL ACTIVITY

Description

VU0359595 (CID-53361951; ML-270) is a potent and selective pharmacological phospholipase D1 (PLD1) inhibitor with an IC_{50} of 3.7 nM. VU0359595 is >1700-fold selective for PLD1 over PLD2 (IC_{50} of 6.4 μM). VU0359595 can be used for the research of cancer, diabetes, neurodegenerative and inflammatory diseases[1][2][3][4].

IC_{50} & Target

PLD1	PLD2
3.7 nM (IC_{50})	6.4 μM (IC_{50})

In Vitro

VU0359595 (5, 50, 500, 5000 nM) inhibits basal and FCS/IGF-1 stimulated proliferation of astroglial cells[2].

VU0359595 (5, 50, 500 nM; 30 min) does not affect basal PLD activity in astrocytes but reduces mitogen-stimulated PLD activity in a concentration-dependent manner[2].

VU0359595 (0.15 μM; 1 h before high glucose treatment and 4 h during high glucose treatment) partially reduces the increase [^{3}H]-phosphatidylethanol (PEth) generation induced by high glucose (33 mM) in retinal pigment epithelium (RPE) cells[3].

VU0359595 (5 μM; 1 h prior to LPS treatment) modulates the autophagic process of LPS-induced (10 μg/ml; 24 h) RPE cells[4].

VU0359595 (2 nM; pretreatment 30 min) blocks the increase of A. fumigatus internalization induced by 50 ng/ml gliotoxin in A549 cells[5].

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

REFERENCES

[1]. Burkhardt U, et al. Phospholipase D is a target for inhibition of astroglial proliferation by ethanol. Neuropharmacology. 2014;79:1-9.

[2]. Tenconi PE, et al. High glucose-induced phospholipase D activity in retinal pigment epithelium cells: New insights into the molecular mechanisms of diabetic retinopathy. Exp Eye Res. 2019;184:243-257.

[3]. Bermúdez V, et al. Lipopolysaccharide-Induced Autophagy Mediates Retinal Pigment Epithelium Cells Survival. Modulation by the Phospholipase D Pathway. Front Cell Neurosci. 2019;13:154. Published 2019 Apr 24.

[4]. Lewis JA, et al. Design and synthesis of isoform-selective phospholipase D (PLD) inhibitors. Part I: Impact of alternative halogenated privileged structures for PLD1 specificity. Bioorg Med Chem Lett. 2009;19(7):1916-1920.

[5]. Jia X, et al. Gliotoxin promotes Aspergillus fumigatus internalization into type II human pneumocyte A549 cells by inducing host phospholipase D activation. Microbes Infect. 2014 Jun;16(6):491-501.

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