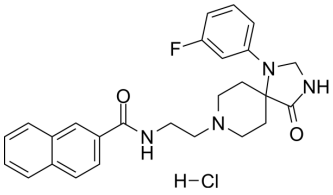
VU 0364739 hydrochloride

Cat. No.:	HY-108616
CAS No.:	1244640-48-9
Molecular Formula:	$C_{26}H_{28}ClFN_4O_2$
Molecular Weight:	482.98
Target:	Phospholipase
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	VU 0364739 hydrochloride is a highly selective phospholipase D2 (PLD2) inhibitor with IC_{50}s of 20 and 1500 nM for PLD2 and PLD1, respectively. VU 0364739 hydrochloride induces apoptosis and it can be used for cancer research[1].

IC_{50} & Target	PLD2	PLD1
	20 nM (IC_{50})	1500 nM (IC_{50})

In Vitro

VU 0364739 (1, 5 and 10 μM; 24, 48, 72 and 96 hours) time- and dose-dependently decreases cell proliferation of MDA-MB-231 cells under serum-free conditions[1].

VU 0364739 (1, 10 and 100 μM; 48 hours) increases Caspase 3 and 7 activities at a dose of 10 μM[1].

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

Cell Proliferation Assay[1]

Cell Line:	MDA-MB-231 cell line
Concentration:	10 μM
Incubation Time:	24 hours
Result:	Inhibited cell proliferation of MDA-MB-231 cells.

Apoptosis Analysis[1]

Cell Line:	MDA-MB-231 cell line
Concentration:	1, 10 and 100 μM
Incubation Time:	48 hours
Result:	Induced cell apoptosis at a dose of 10 μM under serum-free condition or 10% FBS containg condition.

In Vivo

Pharmacokinetic Properties of VU 0364739 in Rats[1].

	Rats IV 1 mg/kg	Rats PO 10 mg/kg
CL (mL/min/kg)	61.5	
$t_{1/2}$ (h)	1.52	
Vd_{ss} (L/kg)	8.1	
plasma (ng/mL)		39.9
brain (ng/mL)		29

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REFERENCES

[1]. Lavieri RR, et al. Design, synthesis, and biological evaluation of halogenated N-(2-(4-oxo-1-phenyl-1,3,8-triazaspiro[4.5]decan-8-yl)ethyl)benzamides: discovery of an isoform-selective small molecule phospholipase D2 inhibitor. J Med Chem. 2010 Sep 23;53(18):6706-19.

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

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