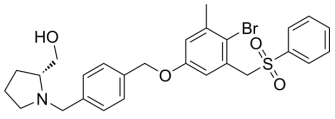
SphK1-IN-2

Cat. No.:	HY-150615
Molecular Formula:	$C_{27}H_{30}BrNO_4S$
Molecular Weight:	544.5
Target:	SphK; Apoptosis
Pathway:	Immunology/Inflammation; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

SphK1-IN-2 is a potent, selective SphK1 inhibitor with IC_{50} values of 19.81 nM and ⊠10 μM for SphK1 and SphK2, respectively. SphK1-IN-2 exhibits anti-proliferative activities and induces cell cycle arrest and apoptosis. SphK1-IN-2 can be used for cancer research[1].

IC_{50} & Target

SphK1	SphK2
19.81 nM (IC_{50})	⊠10 μM (IC_{50})

In Vitro

SphK1-IN-2 (4-24 μM; 72 hours; cancer cell lines) has anti-proliferative activity on cancer cells[1].
SphK1-IN-2 (10-30 μM; 48 hours; HT-29 cells and MDA-MB-231 cells) induces G0/G1 phase arrest and apoptosis in colon cancer cells[1].

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

Cell Viability Assay[1]

Cell Line:	HT-29, SW480, MDA-MB231 and MCF-7 cells
Concentration:	4-24 μM
Incubation Time:	72 hours
Result:	Had inhibitory activity against HT-29 and MDA-MB-231 with IC_{50} of 3.85 and 7.14 μM, respectively.

Cell Cycle Analysis[1]

Cell Line:	HT-29 cells and MDA-MB-231 cells
Concentration:	10 and 30 μM
Incubation Time:	72 hours
Result:	Arrestd cell cycle at G0/G1 phase and reduced the expression of cyclin A, cyclin E1, cyclin D1, and CDK6.

Apoptosis Analysis[1]

Cell Line:	HT-29 cells and MDA-MB-231 cells
Concentration:	10 and 30 μM
Incubation Time:	48 hours
Result:	Induced cell apoptosis in a dose-dependent manner.

In Vivo

SphK1-IN-2 (50-100 mg/kg; i.p.; daily; for 14 days; female BALB/c nude mice) inhibits the growth of colon tumors and breast tumors in vivo[1].

SphK1-IN-2 (2-50 mg/kg; p.o., i.p. and i.v.; female BALB/c nude mice) exhibits a long half-life ($T_{1/2}$=8.13 h) and high plasma exposure (AUC_{last} = 8061 h*ng/mL)[1].

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

Animal Model:	Female BALB/c nude mice[1]
Dosage:	2, 20 and 50 mg/kg(Pharmacokinetic Analysis)
Administration:	Oral administration, intraperitoneal injection and intravenous injection

Result:

admin.	p.o.	i.p.	i.v.
T_{max} (min)	0.83	0.18	
C_{max} (ng/mL)	171	78582	
AUC_{last} (h*ng/mL)	242	8061	408
$T_{1/2}$ (h)	8.13	4.20	2.23
CL_obs (mL/min/kg)			81.10
F (%)	2.38	79.00	

Animal Model:	Female BALB/c nude mice[1]
Dosage:	50 and 100 mg/kg
Administration:	Intraperitoneal injection; daily; for 14 days
Result:	Inhibited the growth of HT29 tumors at a dose of 50 mg/kg and inhibited the growth of MDA-MB-231 breast tumors in a dose-dependent manner.

REFERENCES

[1]. Zhang S, et, al. Novel Sphingosine Kinase 1 Inhibitor Suppresses Growth of Solid Tumor and Inhibits the Lung Metastasis of Triple-Negative Breast Cancer. J Med Chem. 2022 Jun 9;65(11):7697-7716.

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

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