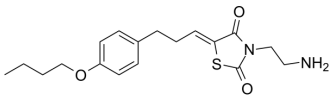
K145

Cat. No.:	HY-15779
CAS No.:	1309444-75-4
Molecular Formula:	$C_{18}H_{24}N_2O_3S$
Molecular Weight:	348.46
Target:	SphK; Apoptosis
Pathway:	Immunology/Inflammation; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	K145 is a selective, substrate-competitive and orally active SphK2 inhibitor with an IC_{50} of 4.3 μM and a K_i of 6.4 μM. K145 is inactive against SphK1 and other protein kinases. K145 induces cell apoptosis and has potently antitumor activity[1].
IC_{50} & Target	IC50: 4.3 μM (SphK2)[1] Ki: 6.4 μM (SphK2)[1]

In Vitro

K145 (0-10 μM; 24-72 hours; U937 cells) treatment significantly inhibits the growth of U937 cells in a concentration-dependent manner[1].

K145 (10 μM; 24 hours; U937 cells) treatment significantly induces apoptosis in U937 cells[1].

K145 (4-8 μM; 3 hours; U937 cells) treatment decreases the phosphorylation of ERK and Akt[1].

Treatment with K145 (10 μM) causes a decrease of total cellular S1P without significant effects on ceramide levels[1].

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

Cell Viability Assay[1]

Cell Line:	U937 cells
Concentration:	0 μM, 4 μM, 6 μM, 8 μM, 10 μM
Incubation Time:	24 hours, 48 hours, 72 hours
Result:	Significantly inhibited the growth of U937 cells in a concentration-dependent manner.

Apoptosis Analysis[1]

Cell Line:	U937 cells
Concentration:	10 μM
Incubation Time:	24 hours
Result:	Significantly induced apoptosis in U937 cells.

Western Blot Analysis[1]

Cell Line:	U937 cells

Concentration:	4 μM, 8 μM
Incubation Time:	3 hours
Result:	Phosphorylated ERK and Akt were decreased.

In Vivo

K145 (50 mg/kg; oral gavage; daily; for 15 days; BALB/c-nu mice) treatment significantly inhibits the growth of U937 tumors in nude mice[1].

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

Animal Model:	BALB/c-nu mice injected with U937 cells[1]
Dosage:	50 mg/kg
Administration:	Oral gavage; daily; for 15 days
Result:	Oral gavage; daily; for 15 daysInhibited the growth of U937 tumors at 50 mg/kg dose and no apparent toxicity was observed.

CUSTOMER VALIDATION

- Am J Cancer Res. 2019 Mar 1;9(3):546-561.
- Sci China Life Sci. 2021 May 27;1-21.
- Biochem Biophys Res Commun. 2021 Sep 28;580:1-6.
- Biochem Biophys Res Commun. 2017 Nov 4;493(1):286-290.
- Channels. 2020 Dec;14(1):216-230.

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REFERENCES

[1]. Liu K, et al. Biological characterization of 3-(2-amino-ethyl)-5-[3-(4-butoxyl-phenyl)-propylidene]-thiazolidine-2,4-dione (K145) as a selective sphingosine kinase-2 inhibitor and anticancer agent. PLoS One. 2013;8(2):e56471.

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