K145 hydrochloride

Cat. No.: HY-15779A

CAS No.: 1449240-68-9

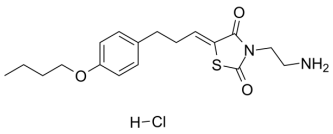
Molecular Formula: $C_{18}H_{25}ClN_2O_3S$

Molecular Weight: 384.92

Target: SphK; Apoptosis

Pathway: Immunology/Inflammation; Apoptosis

Storage: 4°C, sealed storage, away from moisture
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

H_2O : 126.7 mg/mL (329.16 mM; Need ultrasonic and warming)
DMSO : 50 mg/mL (129.90 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.5979 mL	12.9897 mL	25.9794 mL
5 mM	0.5196 mL	2.5979 mL	5.1959 mL
10 mM	0.2598 mL	1.2990 mL	2.5979 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 0.83 mg/mL (2.16 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.83 mg/mL (2.16 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 0.83 mg/mL (2.16 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

K145 hydrochloride 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 hydrochloride is inactive against SphK1 and other protein kinases. K145 hydrochloride 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:	Inhibited the growth of U937 tumors at 50 mg/kg dose and no apparent toxicity was observed.

CUSTOMER VALIDATION

- Sci China Life Sci. 2021 May 27;1-21.
- Am J Cancer Res. 2019 Mar 1;9(3):546-561.
- Exp Mol Pathol. 2016 Feb;100(1):51-8.

- Channels. 2020 Dec;14(1):216-230.
- Biochem Biophys Res Commun. 2021 Sep 28;580:1-6.

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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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