LCH-7749944

Cat. No.: HY-125035

CAS No.: 796888-12-5

Molecular Formula: $C_{20}H_{22}N_4O_2$

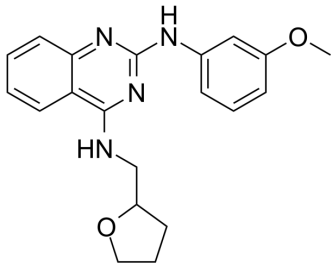
Molecular Weight: 350.41

Target: PAK; Apoptosis

Pathway: Cell Cycle/DNA Damage; Cytoskeleton; Apoptosis

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 250 mg/mL (713.45 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8538 mL	14.2690 mL	28.5380 mL
5 mM	0.5708 mL	2.8538 mL	5.7076 mL
10 mM	0.2854 mL	1.4269 mL	2.8538 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: ≥ 2.17 mg/mL (6.19 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.17 mg/mL (6.19 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

LCH-7749944 (GNF-PF-2356) is a potent PAK4 inhibitor with an IC_{50} of 14.93 μM. LCH-7749944 effectively suppresses the proliferation of human gastric cancer cells through downregulation of PAK4/c-Src/EGFR/cyclin D1 pathway and induces apoptosis[1].

IC_{50} & Target

PAK4
14.93 μM (IC_{50})

In Vitro

LCH-7749944 (GNF-PF-2356; 5-50 μM; 24 hours) inhibits the proliferation of MKN-1, BGC823, SGC7901 and MGC803 cells in a concentration dependent manner[1].
LCH-7749944 (5-20 μM; 12-48 hours) induces apoptosis of SGC7901 cells[1].

LCH-7749944 (5-20 μM; 12-48 hours) prominently induces a dose-dependent increase in the percentage of cells in G1 phase and decrease in S phase[1].
LCH-7749944 (5-30 μM; 24 hours) dramatically decreases levels of phosphoPAK4, phospho-c-Src, phospho-EGFR and cyclin D1 protein expression in a dose-dependent manner[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MKN-1, BGC823, SGC7901 and MGC803 human gastric cancer cells
Concentration:	5, 10, 15, 20, 25, 30, 35, 40, 45, 50 μM
Incubation Time:	24 hours
Result:	Inhibited the proliferation of MKN-1, BGC823, SGC7901 and MGC803 cells in a concentration dependent manner.

Apoptosis Analysis[1]

Cell Line:	SGC7901 cells
Concentration:	5, 10, 20 μM
Incubation Time:	12, 24, 48 hours
Result:	Induced apoptosis of SGC7901 cells.

Cell Cycle Analysis[1]

Cell Line:	SGC7901 cells
Concentration:	5, 10, 20 μM
Incubation Time:	12, 24, 48 hours
Result:	Prominently induced a dose-dependent increase in the percentage of cells in G1 phase and decrease in S phase.

Western Blot Analysis[1]

Cell Line:	SGC7901 cells
Concentration:	5, 10, 20, 30 μM
Incubation Time:	24 hours
Result:	Dramatically decreased levels of phosphoPAK4, phospho-c-Src, phospho-EGFR and cyclin D1 protein expression in a dose-dependent manner.

CUSTOMER VALIDATION

- Adv Sci (Weinh). 2022 Oct;9(30):e2200717.

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REFERENCES

[1]. Zhang J, et al. LCH-7749944, a novel and potent p21-activated kinase 4 inhibitor, suppresses proliferation and invasion in human gastric cancer cells. Cancer Lett. 2012 Apr 1;317(1):24-32.

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