FH535

Cat. No.: HY-15721

CAS No.: 108409-83-2

Molecular Formula: $C_{13}H_{10}Cl_2N_2O_4S$

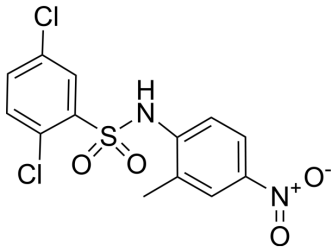
Molecular Weight: 361.2

Target: PPAR; Wnt; β-catenin

Pathway: Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor; Stem Cell/Wnt

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	1 year
	-20°C	6 months



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (92.28 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.7685 mL	13.8427 mL	27.6855 mL
5 mM	0.5537 mL	2.7685 mL	5.5371 mL
10 mM	0.2769 mL	1.3843 mL	2.7685 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: ≥ 2.5 mg/mL (6.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.92 mM); Suspended solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description FH535 is an inhibitor of Wnt/β-catenin and PPAR, with anti-tumor activities.

IC_{50} & Target PPAR; β-catenin

In Vitro

FH535 is an inhibitor of Wnt/β-catenin and PPAR. FH535 inhibits PPARγ and PPARδ transactivation in HCT116 cells. FH535 (15 μM) activities depend on functional PPARδ but does not require a cysteine residue in the PPAR ligand-binding domain. FH535 inhibits recruitment of the coactivators GRIP1 and β-catenin to PPARδ and PPARγ. FH535 shows toxic effects on 12 carcinoma cell lines expressing wnt/β-catenin pathway[1].
FH535 (20 μM) suppresses the β-catenin pathway in pancreatic cancer cells, and inhibits pancreatic cancer cell migration.

	Furthermore, FH535 (20, 40 μM) inhibits pancreatic cancer cell invasion and cell growth[2]. FH535 represses angiogenesis-related genes in pancreatic cancer cells[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	FH535 (25 mg/kg, i.p.) exhibits an anti-tumor effect on pancreatic cancer xenografts in mice. FH535 also represses angiogenesis in pancreatic cancer xenografts[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Cell growth is evaluated using the MTT assay. Cells (5×10^4/well) are seeded in 24-well tissue culture plates. Blank control is treated with DMSO. After FH535 treatment, MTT is added to each well (final concentration, 0.5 mg/mL), followed by 4-hour incubation at 37°C. The medium is removed, and 800 μL of DMSO is added to each well. The absorbance of the mixture is measured at 490 nm using a microplate enzyme-linked immunosorbent assay reader. The relative cell viability is calculated as follows: relative cell viability = (mean experimental absorbance/mean control absorbance) ×100%[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [3]	Four-week-old female BALB/c athymic nude mice receive humane care. PANC-1 cells stably expressing firefly luciferase are injected into the left flanks of the mice in a total volume of 100 μL (0.5×10^7 cells), and the mice are randomly assigned to a DMSO [intraperitoneally injected with 100 μL DMSO/DMEM (1:1)] or FH535 group [intraperitoneally injected with 25 mg/kg FH535 dissolved in 100 μL DMSO/DMEM (1:1)]. Treatment is conducted every 2 days for 20 days; tumor volume is measured with a caliper using the formula: volume = length × width^2/2. At the end of the experiment, the mice are anaesthetized and given D-luciferin in PBS. Twenty minutes after the injection, bioluminescence is imaged with a charge-coupled device camera. Then, the tumor tissue is stripped and formalin-fixed, paraffin-embedded, cut into 4-μm sections, and immunohistochemically stained[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Exp Mol Med. 2022 Sep 1.
- Cancer Lett. 2024 Jan 10:216632.
- iScience. 14 February 2022, 103927.
- Front Oncol. 01 April 2022.
- Neurochem Res. 2022 Nov 12.

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REFERENCES

[1]. Handeli S, et al. A small-molecule inhibitor of Tcf/beta-catenin signaling down-regulates PPARgamma and PPARdelta activities. Mol Cancer Ther. 2008 Mar;7(3):521-9.

[2]. Wu MY, et al. FH535 inhibited metastasis and growth of pancreatic cancer cells. Onco Targets Ther. 2015 Jul 6;8:1651-70.

[3]. Liu L, et al. FH535, a β-catenin pathway inhibitor, represses pancreatic cancer xenograft growth and angiogenesis. Oncotarget. 2016 Jul 26;7(30):47145-47162.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA