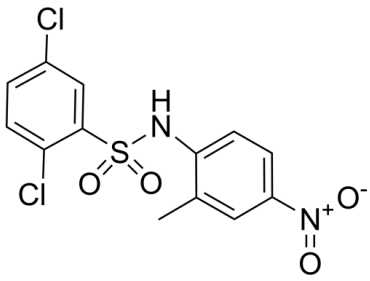
Data Sheet

Product Name:	FH535
Cat. No.:	CS-1538
CAS No.:	108409-83-2
Molecular Formula:	$C_{13}H_{10}Cl_2N_2O_4S$
Molecular Weight:	361.20
Target:	PPAR; Wnt; β-catenin
Pathway:	Cell Cycle/DNA Damage; Stem Cell/Wnt; Vitamin D Related/Nuclear Receptor
Solubility:	DMSO : 33.33 mg/mL (92.28 mM; Need ultrasonic)



BIOLOGICAL ACTIVITY:

FH535 is an inhibitor of **Wnt/β-catenin** and **PPAR**, with anti-tumor activities. IC50 & Target: Wnt, β-catenin, PPAR[1] ***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]. ***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].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: FH535 is dissolved in DMSO.[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]. **Animal Administration:** FH535 is dissolved in 100 μL DMSO/DMEM (1:1).[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].

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.

CAIndexNames:

Benzenesulfonamide, 2,5-dichloro-N-(2-methyl-4-nitrophenyl)-

SMILES:

O=S(C1=CC(Cl)=CC=C1Cl)(NC2=CC=C([N+]([O-])=O)C=C2C)=O

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