

Product Data Sheet

Product Name: FJ9
Cat. No.: GC79549

Chemical Properties

Cas. No. 873841-43-1

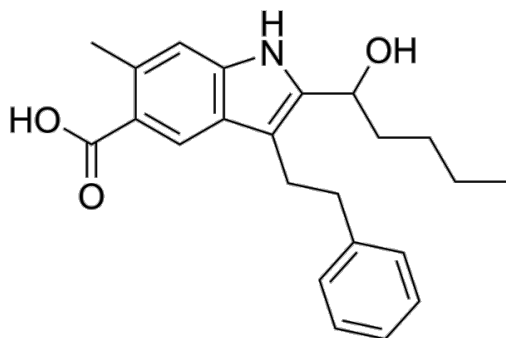
Formula $C_{23}H_{27}NO_3$ M.Wt 365.47

Solubility DMSO: 100 mg/mL (273.62 mM; Need ultrasonic) Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

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

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FJ9 is a NHERF1/PDZ inhibitor with human NHERF1 PDZ1 IC_{50} 1540 μ M, NHERF1 PDZ2 IC_{50} 160 μ M, and Frizzled-7-Dishevelled PDZ complex K_i 10 μ M. FJ9 binds ligand-binding pockets of NHERF1 PDZ domains to block cognate ligand interactions, disrupts Frizzled-7-Dishevelled interactions, and down-regulates canonical Wnt signaling. FJ9 induces apoptosis in cancer cells with intact β -catenin signaling. FJ9 can be used for the research of non-small cell lung cancer, melanoma [1] [2].

In Vivo, FJ9 (50 mg/kg; i.p.; daily; 14 days) significantly inhibits H460 non-small cell lung cancer xenograft growth in nude mice without causing significant weight loss[2].

In Vitro, FJ9 (Compound 1) inhibits the interaction between GST-fused human NHERF1 PDZ1 domain and biotinylated β 2AR carboxy-terminal peptide with an IC_{50} of 1540 μ M^[1]. FJ9 inhibits the interaction between GST-fused human NHERF1 PDZ2 domain and biotinylated CFTR carboxy-terminal peptide with an IC_{50} of 160 μ M^[1]. FJ9 (0.1-1000 μ M) competitively inhibits Frz7 peptide binding to purified human DVL1 PDZ domain with a K_i of 63 μ M and to purified human DVL3 PDZ domain with a K_i of 29 μ M^[2]. FJ9 (100-300 μ M; 24 hours) penetrates HEK293T cells and disrupts the intracellular interaction between HA-tagged mouse DVL1 and myc-tagged human Frz7 at concentrations of 100 μ M and 300 μ M^[2]. FJ9 (100-300 μ M; 48 hours) dose-dependently down-regulates cytosolic β -catenin levels in hTERT-immortalized LP9 mesothelial cells stably expressing full-length mouse DVL1, but not in control LP9 cells or LP9 cells expressing PDZ domain-deleted mouse DVL1^[2]. FJ9 (100-300 μ M; 24 hours) dose-dependently inhibits constitutive Tcf transcriptional activity in HCT116 p53^{-/-} colon cancer cells, reducing TOPflash reporter activity while minimally affecting FOPflash reporter activity^[2]. FJ9 (30-100 μ M; 1-2 days) down-regulates canonical Wnt signaling target proteins (cyclin D1, c-myc, survivin) and mRNA (cyclin D1, survivin) in HCT116 p53^{-/-} colon cancer cells, and down-regulates survivin protein in NCI-H1703 non-small cell lung cancer cells^[2]. FJ9 (30-100 μ M; 24 hours) does not affect JNK activation in mDVL1-transfected HeLa cells after 24 hours of treatment at 30 μ M and 100 μ M^[2]. FJ9 (86-100 μ M; 3 to 6 days) induces significant apoptosis in LOX melanoma, NCI-H460 non-small cell lung cancer, and NCI-H1703 non-small cell lung cancer cells, but has no apoptotic effect on NCI-H28 mesothelioma cells or normal NHBE and SAEC cells, after 3 to 6 days of treatment at 86 to 100 μ M^[2].

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References:

- [1]. Mayasundari A, et al. Rational design of the first small-molecule antagonists of NHERF1/EBP50 PDZ domains. *Bioorg Med Chem Lett*. 2008;18(3):942-945.
- [2]. Fujii N, et al. An antagonist of dishevelled protein-protein interaction suppresses beta-catenin-dependent tumor cell growth. *Cancer Res*. 2007;67(2):573-579.

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