

Product Data Sheet

Product Name: GW 6471

Cat. No.: GC14187

Chemical Properties

Cas. No. 880635-03-0

Chemical Name (S,Z)-N-(3-(4-(2-(5-methyl-2-phenyloxazol-4-yl)ethoxy)phenyl)-2-((4-oxo-4-(4-(trifluoromethyl)phenyl)but-2-en-2-yl)amino)propyl)propionamide

SMILES CC1=C(N=C(C2=CC=CC=C2)O1)CCOC3=CC=C(C[C@H](N/C(C)=C\C(C4=CC=C(C(F)(F)F)C=C4)=O)CNC(CC)=O)C=C3

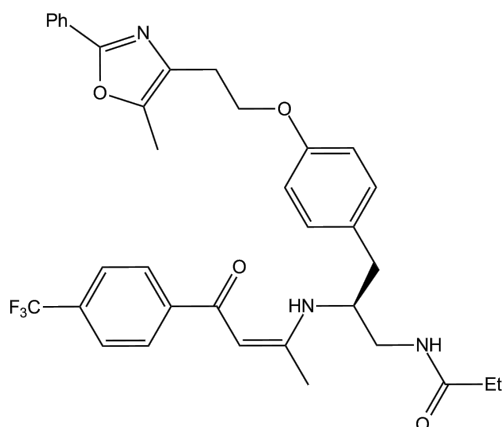
Formula $C_{35}H_{36}F_3N_3O_4$ M.Wt 619.67

Solubility DMF: 20 mg/ml, DMF:PBS(pH7.2) (1:2): 0.33 mg/ml, DMSO: 11 mg/ml, Ethanol: 10 mg/ml 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



Protocol

Cell experiment [1]:

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

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Cell lines HNPGL cells (PTJ64i and PTJ86i)

Preparation Method A stock solution of GW 6471 (70 mM) was prepared in DMSO, with a final DMSO concentration of 0.16% in the experiments. After incubation with GW 6471 (3 to 24 μ M) for 72 hours, the cell viability was measured by MTT assay.

Reaction
Conditions 3 to 24 μ M, 72 hours

Applications GW 6471 significantly reduced cell viability in a dose-dependent manner.

Animal experiment [2]:

Animal models Caki-1 cell xenograft mouse model

Preparation Method After the tumor diameter reached about 5 mm, GW 6471 (20 mg/kg) was injected intraperitoneally every other day for 4 weeks.

Dosage form 20 mg/kg, once every two days, 4 weeks, i.p.

Applications GW 6471 was able to inhibit tumor growth in vivo, and GW 6471 did not adversely affect the renal and liver functions of mice.

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References:

- [1]. Florio R, De Lellis L, di Giacomo V, et al. Effects of PPAR α inhibition in head and neck paraganglioma cells[J]. PLoS One, 2017, 12(6): e0178995.
- [2]. Abu Aboud O, Donohoe D, Bultman S, Fitch M, Riiff T, Hellerstein M, Weiss RH. PPAR α inhibition modulates multiple reprogrammed metabolic pathways in kidney cancer and attenuates tumor growth. Am J Physiol Cell Physiol. 2015 Jun 1;308(11):C890-8.

Background

GW 6471 is a potent dual PPAR α/γ antagonist with anti-proliferative activity in vivo and in vitro. The IC₅₀ values of GW 6471 binding affinity to PPAR α and PPAR γ are 95.3 ± 42.0 nM and 39.4 ± 18.2 nM, respectively^[1]. GW 6471 can be used in cancer research^[1-3].

GW 6471 inhibits the growth (0.5 μ M to 8 μ M), migration and invasion (0.5 μ M to 10 μ M) of human mesothelioma cells and, at higher concentrations, reduces the viability of human mesothelioma cell line VGE62 and murine mesothelioma cell lines AB1 and AE17^[1]. GW 6471 reduces HNPGL cell viability and growth by inducing cell cycle arrest and caspase-dependent apoptosis with IC₅₀ values of 10 μ M and 16 μ M in PTJ64i and PTJ86i cells, respectively. The effect of GW 6471 on HNPGL cells is associated with inhibition of the PI3K/GSK3 β / β -catenin signaling pathway^[2].

GW 6471 (20 mg/kg) inhibited tumor growth in Caki-1 cell xenograft mouse models and the expression of c-Myc in mouse tumor tissues. No toxicity was observed at a dose of 20 mg/kg, and renal and liver functions were not adversely affected^[3]. Medial prefrontal cortex injection of GW6471 (10 μ g) in rats delayed the onset of the early second phase of formalin-induced nociceptive behavior^[4].

References:

- [1] Morales M L O, Rinaldi C A, de Jong E, et al. PPAR α and PPAR γ activation is associated with pleural mesothelioma invasion but therapeutic inhibition is ineffective[J]. Iscience, 2022, 25(1).
- [2] Florio R, De Lellis L, di Giacomo V, et al. Effects of PPAR α inhibition in head and neck paraganglioma cells[J]. PLoS One, 2017, 12(6): e0178995.
- [3] Abu Aboud O, Donohoe D, Bultman S, Fitch M, Riiff T, Hellerstein M, Weiss RH. PPAR α inhibition modulates multiple reprogrammed metabolic pathways in kidney cancer and attenuates tumor

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growth. Am J Physiol Cell Physiol. 2015 Jun 1;308(11):C890-8.

[4] Okine B N, Rea K, Olango W M, et al. A role for PPAR α in the medial prefrontal cortex in formalin-evoked nociceptive responding in rats[J]. British journal of pharmacology, 2014, 171(6): 1462-1471.

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