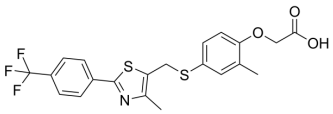
GW 501516

Cat. No.:	HY-10838
CAS No.:	317318-70-0
Molecular Formula:	$C_{21}H_{18}F_3NO_3S_2$
Molecular Weight:	453.5
Target:	PPAR; Autophagy
Pathway:	Cell Cycle/DNA Damage; Metabolic Enzyme/Protease; Vitamin D Related/Nuclear Receptor; Autophagy
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (220.51 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	2.2051 mL	11.0254 mL	22.0507 mL
	5 mM	0.4410 mL	2.2051 mL	4.4101 mL
	10 mM	0.2205 mL	1.1025 mL	2.2051 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 (5.51 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (5.51 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.51 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GW 501516 (GW 1516) is a PPARδ agonist with an EC_{50} of 1.1 nM[1].

IC_{50} & Target

PPARδ

1.1 nM (EC50)

In Vitro	GW 501516 is shown to be the most potent and selective PPARδ agonists known with an EC_{50} of 1.1 nM against PPARδ and 1000-fold selectivity over the other human subtypes, PPARα and-γ[1]. GW 501516 exerts anti-inflammatory effects in mouse cultured proximal tubular (mProx) cells. GW 501516 inhibits palmitate- and TNFα-induced increases in MCP-1 mRNA expression in a dose-dependent manner[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	GW 501516 causes impaired bone formation, leading to decreased BMD and deterioration of bone properties in OVX rats[2]. GW 501516 attenuates interstitial inflammation and proximal tubular cell damage in a protein-overload mouse nephropathy model[3]. GW 501516 treatment enhances running endurance and the proportion of succinate dehydrogenase (SDH)-positive muscle fibres in both trained and untrained mice[4]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [3]	GW 501516 is dissolved in DMSO. Cells are starved by incubation in 0.2% FCS DMEM for 9 h, then pre-incubated with GW 501516, at a final concentration of 2.5 and 5 μM, or 0.05% DMSO as control for 3 hours, followed by stimulation with 150 μM palmitate bound to 8.0% BSA for 12 h[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2][3]	Rats: Female Sprague Dawley rats, 12 weeks of age, are allocated to a sham-operated group and 3 OVX groups; high-dose GW 501516 (OVX-GW5), low-dose GW 501516 (OVX-GW1), and a control group (OVX-CTR), respectively. Animals receive GW 501516 or vehicle (methylcellulose) daily for 4 months by gavage. Bone mineral density (BMD) is assessed by dual x-ray absorptiometry at the femur, spine, and whole body[2]. Mice: Mice are randomly allocated to different groups and receive therapeutic diet and treatment. The GW 501516-containing rodent diet is made by evenly adding GW 501516 to the control diet to a final concentration of 0.04% w/w. In the control diet, 10% of the total calories are from fat (5.5% from soybean oil and 4.5% from lard)[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell Stem Cell. 2022 Sep 1;29(9):1366-1381.e9.
- Cell Stem Cell. 2022 Jul 7;29(7):1102-1118.e8.
- J Adv Res. 2020 Jun 20;27:115-125.
- Sci Total Environ. 2023 Nov 30:168949.
- J Med Chem. 2022 Jan 21.

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REFERENCES

[1]. Wei ZL, et al. A short and efficient synthesis of the pharmacological research tool GW501516 for the peroxisome proliferator-activated receptor delta. J Org Chem. 2003 Nov 14;68(23):9116-8.

[2]. Mosti MP, et al. Effects of the peroxisome proliferator-activated receptor (PPAR)-δ agonist GW 501516 on bone and muscle in ovariectomized rats. Endocrinology. 2014 Jun;155(6):2178-89.

[3]. Yang X, et al. GW 501516, a PPARδ agonist, ameliorates tubulointerstitial inflammation in proteinuric kidney disease via inhibition of TAK1-NFκB pathway in mice. PLoS One. 2011;6(9):e25271.

[4]. Chen W, et al. A metabolomic study of the PPARδ agonist GW 501516 for enhancing running endurance in Kunming mice. Sci Rep. 2015 May 6;5:9884.

[5]. Ji Y, et al. PPARβ/δ Agonist GW501516 Inhibits Tumorigenicity of Undifferentiated Nasopharyngeal Carcinoma in C666-1 Cells by Promoting Apoptosis. Front Pharmacol. 2018 Jun 28;9:648.

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