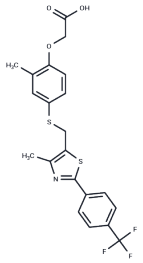
Data Sheet (Cat.No.T6151)

TargetMol

GW 501516

Chemical Properties



CAS No. : 317318-70-0

Formula: C21H18F3NO3S2

Molecular Weight: 453.5

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	GW 501516 (Endurobol) is a effective and highly specifc PPARβ/δ agonist (EC50: 1 nM), with 1000-fold selectivity over hPPARα/γ.
Targets(IC50)	Autophagy,PPAR
In vitro	GW 501516 is the most potent and selective PPARδ agonist, with an EC50 of 1.1 nM against PPARα and 1000-fold selectivity over other human subtypes, PPARα and -γ[1]. It exhibits anti-inflammatory effects in mouse cultured proximal tubular (mProx) cells, inhibiting palmitate- and TNFα-induced increases in MCP-1 mRNA expression in a dose-dependent manner[3].
In vivo	GW 501516 adversely affects bone formation, resulting in reduced bone mineral density (BMD) and compromised bone integrity in ovariectomized (OVX) rats[2]. Additionally, it mitigates interstitial inflammation and damage to proximal tubular cells in a mouse model of protein-overload nephropathy[3]. Furthermore, treatment with GW 501516 improves running endurance and increases the number of succinate dehydrogenase (SDH)-positive muscle fibers in both conditioned and unconditioned mice[4].
Kinase Assay	Tyrosine Kinase Assays: Enzyme assays for determination of IC50 are performed in 96-well filter plates in a total volume of 0.1 mL, containing 20 mM Hepes, pH 7.4, 50 mM sodium vanadate, 40 mM magnesium chloride, 10 μM adenosine triphosphate (ATP) containing 0.5 mCi of [32P]ATP, 20 mg of polyglutamic acid/tyrosine, 10 ng of EGFR tyrosine kinase, and appropriate dilutions of CI-1033. All components except the ATP are added to the well and the plate is incubated with shaking for 10 min at 25 °C. The reaction is started by adding [32P]ATP, and the plate is incubated at 25 °C for another 10 min. The reaction is terminated by addition of 0.1 mL of 20% trichloroacetic acid (TCA). The plate is kept at 4 °C for at least 15 min to allow the substrate to precipitate. The wells are then washed five times with 0.2 mL of 10% TCA and 32P incorporation determined with a Wallac β plate counter.
Cell Research	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].

Solubility Information

Solubility	DMSO: 75 mg/mL (165.38 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.2051 mL	11.0254 mL	22.0507 mL
5 mM	0.441 mL	2.2051 mL	4.4101 mL
10 mM	0.2205 mL	1.1025 mL	2.2051 mL
50 mM	0.0441 mL	0.2205 mL	0.441 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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.
Han W, Wang N, Kong R, et al. Ligand-activated PPARδ expression promotes hepatocellular carcinoma progression by regulating the PI3K-AKT signaling pathway. Journal of Translational Medicine. 2022, 20(1): 1-14.
Chen, W., Gao, R., Xie, X., Zheng, Z., Li, H., & Li, S. et al. (2015). A metabolomic study of the PPARδ agonist GW501516 for enhancing running endurance in Kunming mice. Scientific Reports, 5(1). doi: 10.1038/srep09884
Ren Q, Xie X, Zhao C, et al. 2, 2′, 4, 4′-Tetrabromodiphenyl Ether (PBDE 47) Selectively Stimulates Proatherogenic PPARγ Signatures in Human THP-1 Macrophages to Contribute to Foam Cell Formation. Chemical Research in Toxicology. 2022