

# PRODUCT INFORMATION



## GW 501516

Item No. 10004272

CAS Registry No.: 317318-70-0

Formal Name: [2-methyl-4-[[[4-methyl-2-[4-(trifluoromethyl)phenyl]-5-thiazolyl]methyl]thio]phenoxy]-acetic acid

Synonym: GW 1516

MF:  $C_{21}H_{18}F_3NO_3S_2$

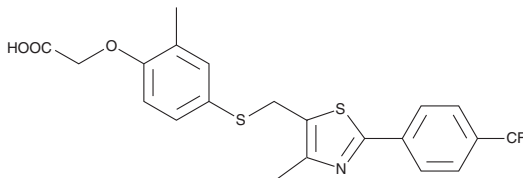
FW: 453.5

Purity:  $\geq 98\%$

Stability:  $\geq 2$  years at  $-20^\circ\text{C}$

Supplied as: A crystalline solid

UV/Vis.:  $\lambda_{\text{max}}$ : 201, 318 nm



### Laboratory Procedures

For long term storage, we suggest that GW 501516 be stored as supplied at  $-20^\circ\text{C}$ . It should be stable for at least two years.

GW 501516 is supplied as a crystalline solid. A stock solution may be made by dissolving the GW 501516 in an organic solvent purged with an inert gas. GW 501516 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of GW 501516 in these solvents is approximately 12, 20, and 25 mg/ml, respectively.

GW 501516 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GW 501516 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. GW 501516 has a solubility of approximately 0.5 mg/ml in a 1:2 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

### Description

Peroxisome proliferator-activated receptor  $\delta$  (PPAR $\delta$ ) stimulation or over-expression in adipocytes leads to increased fatty acid oxidation, improved exercise tolerance, and resistance to obesity.<sup>1</sup> GW 501516 is the first highly selective synthetic PPAR $\delta$  agonist available. GW 501516 binds to human PPAR $\delta$  with an  $IC_{50}$  value of 1 nM, and is at least 100-fold selective for PPAR $\delta$  compared to PPAR $\alpha$  and PPAR $\gamma$ .<sup>2</sup> In obese primates, GW 501516 increases high density lipoprotein cholesterol and apolipoprotein A-1 specific reverse cholesterol transport.<sup>3</sup> GW 501516 is therefore a model compound for a new type of obesity therapeutic, as well as a selective pharmacological tool for understanding lipid metabolism.

### References

1. Wang, Y.-X., Lee, C.-H., Tiep, S., *et al.* Peroxisome-proliferator-activated receptor  $\delta$  activates fat metabolism to prevent obesity. *Cell* **113**, 159-170 (2003).
2. Sznaidman, M.L., Haffner, C.D., Maloney, P.R., *et al.* Novel selective small molecule agonists for peroxisome proliferator-activated receptor  $\delta$  (PPAR $\delta$ )-synthesis and biological activity. *Bioorg. Medicinal Chem. Letters* **13**, 1517-1521 (2003).
3. Oliver, W.R., Shenk, J.L., Snaith, M.R., *et al.* A selective peroxisome proliferator-activated receptor  $\delta$  agonist promotes reverse cholesterol transport. *Proc. Natl. Acad. Sci. USA* **98**(9), 5306-5311 (2001).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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