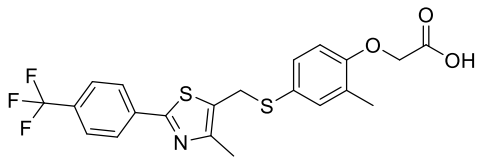
Product data sheet

MedKoo Cat#: 319593 Name: Endurobol CAS#: 317318-70-0 Chemical Formula: $C_{21}H_{18}F_3NO_3S_2$ Exact Mass: 453.068 Molecular Weight: 453.4942	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Endurobol, also known as GW501516 and GSK-516, is a PPARδ receptor agonist. Endurobol was entered into clinical development as a drug candidate for metabolic diseases and cardiovascular diseases, and was abandoned in 2007 because animal testing showed that the drug caused cancer to develop rapidly in several organs.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	63.84	140.77
DMF	25.0	55.13
DMF:PBS (pH 7.2) (1:2)	0.5	1.10
Ethanol	17.34	38.24

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.21 mL	11.03 mL	22.05 mL
5 mM	0.44 mL	2.21 mL	4.41 mL
10 mM	0.22 mL	1.10 mL	2.21 mL
50 mM	0.04 mL	0.22 mL	0.44 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Gu L, Shi Y, Xu W, Ji Y. PPARβ/δ Agonist GW501516 Inhibits Tumorigenesis and Promotes Apoptosis of the Undifferentiated Nasopharyngeal Carcinoma C666-1 Cells by Regulating miR-206. Oncol Res. 2019 Aug 8;27(8):923-933. doi: 10.3727/096504019X15518706875814. Epub 2019 Apr 8. PMID: 30982495; PMCID: PMC7848406.
2. Kim WJ, Lee W, Jung Y, Jang HJ, Kim YK, Kim SN. PPARβ/δ agonist GW501516 inhibits TNFα-induced repression of adiponectin and insulin receptor in 3T3-L1 adipocytes. Biochem Biophys Res Commun. 2019 Mar 19;510(4):621-628. doi: 10.1016/j.bbrc.2019.02.013. Epub 2019 Feb 8. PMID: 30739791.

In vivo study

1. Zhou J, Zhe R, Guo X, Chen Y, Zou Y, Zhou L, Wang Z. The Role of PPARδ Agosnist GW501516 in Rats with Gestational Diabetes Mellitus. Diabetes Metab Syndr Obes. 2020 Jun 30;13:2307-2316. doi: 10.2147/DMSO.S251491. PMID: 32669864; PMCID: PMC7335770.

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2. Idrees M, Xu L, El Sheikh M, Sidrat T, Song SH, Joo MD, Lee KL, Kong IK. The PPARδ Agonist GW501516 Improves Lipolytic/Lipogenic Balance through CPT1 and PEPCK during the Development of Pre-Implantation Bovine Embryos. Int J Mol Sci. 2019 Dec 2;20(23):6066. doi: 10.3390/ijms20236066. PMID: 31810173; PMCID: PMC6928732.

7. Bioactivity

Biological target:

GW 501516 (GW 1516) is a PPARδ agonist with an EC50 of 1.1 nM.

In vitro activity

To further corroborate this, this study compared the expression level of these miRNAs in GW501516-treated C666-1 cells at the in vitro level. Figure 1B indicates, when compared to that of the control NP69 cells, that the content of miR-206 and miR-29c in the C666-1 NPC cells were diminished prominently ($p < 0.01$ for both), whereas miR-21's expression was markedly higher than that in the NP69 cells ($p < 0.01$) (Fig. 1B). Consistent with the result from xenograft samples, GW501516 treatment was found to be associated with an apparent elevation on the expression of miR-206, while the elevated miR-21's expression was also partially suppressed simultaneously (Fig. 1B). Correspondingly, this study found that the promoting effect of GW501516 on the expression of miR-206 could be antagonized by the PPARβ/δ selective antagonist GSK378721, signifying the specificity of PPARβ/δ activation on the suppression of miR-206 (Fig. 1C).

Reference: Oncol Res. 2019; 27(8): 923–933. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7848406/

In vivo activity

FBG and FINS in each group were examined when GDM rats were treated with GW501516 on 3rd, 10th, an 17th day, as shown in Figure 1, this study found that compared with the control group, the level of FBG, FINS and HOMA-IR in GDM rats increased and the level of ISI decreased significantly; Compared with the GDM group, the levels of FBG, FINS and HOMA-IR in rats treated with GW501516 decreased in dose dependence, while the levels of ISI increased significantly.

Reference: Diabetes Metab Syndr Obes. 2020; 13: 2307–2316. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC7335770/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.