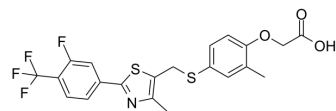


## GW0742

<b>Cat. No.:</b>	HY-13928		
<b>CAS No.:</b>	317318-84-6		
<b>Molecular Formula:</b>	C <sub>21</sub> H <sub>17</sub> F <sub>4</sub> NO <sub>3</sub> S <sub>2</sub>		
<b>Molecular Weight:</b>	471.49		
<b>Target:</b>	PPAR		
<b>Pathway:</b>	Cell Cycle/DNA Damage; Vitamin D Related/Nuclear Receptor		
<b>Storage:</b>	Powder	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	2 years
		-20°C	1 year



### SOLVENT & SOLUBILITY

#### In Vitro

DMSO : ≥ 34 mg/mL (72.11 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1 mg	5 mg	10 mg
	1 mM		2.1209 mL	10.6047 mL	21.2094 mL
	5 mM		0.4242 mL	2.1209 mL	4.2419 mL
	10 mM		0.2121 mL	1.0605 mL	2.1209 mL

Please refer to the solubility information to select the appropriate solvent.

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
 Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
 Solubility: ≥ 2.5 mg/mL (5.30 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

GW0742 is a potent PPAR $\beta$  and PPAR $\delta$  agonist, with an IC<sub>50</sub> of 1 nM for human PPAR $\delta$  in binding assay, and EC<sub>50</sub>s of 1 nM, 1.1  $\mu$ M and 2  $\mu$ M for human PPAR $\delta$ , PPAR $\alpha$ , and PPAR $\gamma$ , respectively.

#### IC<sub>50</sub> & Target

PPAR $\delta$ 1 nM (EC <sub>50</sub> )	PPAR $\alpha$ 1.1 $\mu$ M (EC <sub>50</sub> )	PPAR $\gamma$ 2 $\mu$ M (EC <sub>50</sub> )
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#### In Vitro

GW0742 is a potent PPAR $\beta$  and PPAR $\delta$  agonist, with an IC<sub>50</sub> of 1 nM for human PPAR $\delta$ , and EC<sub>50</sub>s of 1 nM, 1.1  $\mu$ M and 2  $\mu$ M for human PPAR $\delta$ , PPAR $\alpha$ , and PPAR $\gamma$  respectively<sup>[1]</sup>. GW0742 (100  $\mu$ M) activates human PPAR $\alpha$  and mouse PPAR $\beta$  in MCF-7 cells. GW0742 (100  $\mu$ M) significantly reduces low-KCl-induced apoptosis of cerebellar granule neurons. GW0742 shows no

obvious inherent toxicity on cerebellar granule neuronal cells after treatment of 3-100  $\mu\text{M}$  for 24 h, but induces increased cell death at 100  $\mu\text{M}$  after 48 hr of treatment. Moreover, GW0742 (100  $\mu\text{M}$ ) increases c-Jun expression in cerebellar granule neuron cultures observed at 6 hr<sup>[2]</sup>. GW0742 (1  $\mu\text{M}$ ) induces PPAR $\delta$  protein in neonatal rat cardiomyocytes. GW0742 also raises mRNA levels of long-chain acyl-CoA dehydrogenase (LCAD), very long-chain acyl-CoA dehydrogenase (VLCAD), acyl-CoA oxidase 1 (ACOX1), uncoupling protein 3 (UCP3), malonyl-CoA decarboxylase (MCD), and pyruvate dehydrogenase kinase 4 (PDK4) in neonatal rat cardiomyocytes<sup>[4]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### In Vivo

GW0742 (0.3 mg/kg, i.p.) reduces intensity masson-trichrome staining, and attenuates the histological signs in bleomycin instillation (BLEO)-induced lung injury of mice. GW0742 (0.3 mg/kg, i.p.) also causes a reduction of the BLEO-induced loss body weight, and a decrease of myeloperoxidase (MPO) activity. GW0742 shows significant inhibition of TNF- $\alpha$  and IL-1 $\beta$  in instilled-mice. GW0742 prevents bleomycin-induced I $\kappa$ B- $\alpha$  degradation, reduces the levels of NF- $\kappa$ B p65 in the lung, and decreases iNOS and p-ERK expression in BLEO-induced mice<sup>[3]</sup>. GW0742 (5 mg/kg/day, i.v.) increases PPAR $\delta$  protein level in the heart of rats. GW0742 also induces the increase in LCAD, VLCAD, and ACOX1 in the heart of rats<sup>[4]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## PROTOCOL

#### Cell Assay <sup>[2]</sup>

The PPAR $\beta$  activator GW0742 and the RXR activator 9-cis-retinoic acid are dissolved in DMSO. The final DMSO concentration does not exceed 0.5% v/v, and this concentration is used in control wells. For each culture plate, one row of wells is treated with 500  $\mu\text{M}$  glutamate. These wells serve as a positive control and for normalisation of data. Cell death (toxicity) is assessed by using an assay designed to measure lactate dehydrogenase (LDH) release<sup>[2]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

#### Animal Administration <sup>[3]</sup>

Male CD mice (25-35 g) are housed in a controlled environment and provided with standard rodent chow and water. Mice are randomized into four experimental groups: bleomycin-treated group: mice are subjected to lung injury induced by intratracheal instillation of bleomycin and treated daily via intraperitoneal injection with vehicle of GW0742 (10% dimethylsulfoxide (DMSO), 1 mL/kg), 1 h after BLEO instillation (n = 15). GW0742 group: identical to bleomycin-treated group but mice are treated daily with GW0742 (0.3 mg/kg, 1h after BLEO instillation) via intraperitoneal injection (n = 15). Sham-operated mice + vehicle group: animals are subjected to the identical surgical procedure but receive intratracheal instillation of saline (0.9%) instead of BLEO and are treated daily with the vehicle of GW0742 (10% dimethylsulfoxide (DMSO), 1 mL/kg, i.p.), 1 h after saline instillation (n = 15). Sham-operated mice + GW0742 group: identical to sham + vehicle group but mice are treated daily with GW0742 (0.3 mg/kg, 1 h after saline instillation) via intraperitoneal injection (n = 15)<sup>[3]</sup>.

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

## CUSTOMER VALIDATION

- Biol Psychiatry. 2021 Mar 15;89(6):615-626.
- Pharmacol Res. 2020 Mar;153:104679.
- Br J Pharmacol. 2020 May;177(10):2286-2302.
- Eur J Med Chem. 5 February 2022, 114061.
- Eur J Med Chem. 2021 Aug 25;225:113807.

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## REFERENCES

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- [1]. Sznajdman ML, et al. Novel selective small molecule agonists for peroxisome proliferator-activated receptor delta (PPARdelta)--synthesis and biological activity. *Bioorg Med Chem Lett*. 2003 May 5;13(9):1517-21.
- [2]. Smith SA, et al. Effect of the peroxisome proliferator-activated receptor beta activator GW0742 in rat cultured cerebellar granule neurons. *J Neurosci Res*. 2004 Jul 15;77(2):240-9.
- [3]. Galuppo M, et al. GW0742, a high affinity PPAR- $\beta/\delta$  agonist reduces lung inflammation induced by bleomycin instillation in mice. *Int J Immunopathol Pharmacol*. 2010 Oct-Dec;23(4):1033-46.
- [4]. Kuo SC, et al. Activation of receptors  $\delta$  (PPAR $\delta$ ) by agonist (GW0742) may enhance lipid metabolism in heart both in vivo and in vitro. *Horm Metab Res*. 2013 Nov;45(12):880-6.
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**Caution: Product has not been fully validated for medical applications. For research use only.**

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