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# Produktinformation

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## Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

## Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand


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# GW0742

**Cat. No.:** HY-13928

**CAS No.:** 317318-84-6

**Molecular Formula:** $C_{21}H_{17}F_4NO_3S_2$

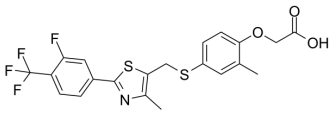
**Molecular Weight:** 471.49

**Target:** PPAR

**Pathway:** Cell Cycle/DNA Damage; Vitamin D Related/Nuclear Receptor

**Storage:**

| | | |
|---|---|---|
| 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**

1. 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
2. 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 PPARβ and PPARδ agonist, with an $IC_{50}$ of 1 nM for human PPARδ in binding assay, and $EC_{50}$s of 1 nM, 1.1 μM and 2 μM for human PPARδ, PPARα, and PPARγ, respectively.

**$IC_{50}$ & Target**

| PPARδ | PPARα | PPARγ |
|---|---|---|
| 1 nM (EC50) | 1.1 μM (EC50) | 2 μM (EC50) |

**In Vitro**

GW0742 is a potent PPARβ and PPARδ agonist, with an $IC_{50}$ of 1 nM for human PPARδ, and $EC_{50}$s of 1 nM, 1.1 μM and 2 μM for human PPARδ, PPARα, and PPARγ respectively[1]. GW0742 (100 μM) activates human PPARα and mouse PPARβ in MCF-7 cells. GW0742 (100 μM) 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 μM for 24 h, but induces increased cell death at 100 μM after 48 hr of treatment. Moreover, GW0742 (100 μM) increases c-Jun expression in cerebellar granule neuron cultures observed at 6 hr[2]. GW0742 (1 μM) induces PPARδ 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[4].<br>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 instillatio (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-a and IL-1β in instilled-mice. GW0742 prevents bleomycin-induced IkB-a degradation, reduces the levels of NF-kB p65 in the lung, and decreases iNOS and p-ERK expression in BLEO-induced mice[3]. GW0742 (5 mg/kg/day, i.v.) increases PPARδ protein level in the heart of rats. GW0742 also induces the increase in LCAD, VLCAD, and ACOX1 in the heart of rats[4].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## PROTOCOL

| | |
|---|---|
| **Cell Assay** [2] | The PPARβ activator GW0742 and the RXR activator 9-cis-retinoic acid are dissolved in DMSO. The final DMSO concentration des 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 μM 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[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **Animal Administration** [3] | 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 (OMSO, 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)[3].<br>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

[1]. Sznaidman 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-β/δ 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 δ (PPARδ) 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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