# GW9508

**Cat. No.:** HY-15589

**CAS No.:** 885101-89-3

**Molecular Formula:** $C_{22}H_{21}NO_3$

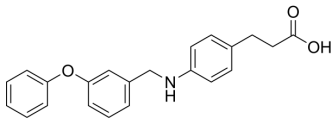
**Molecular Weight:** 347.41

**Target:** Free Fatty Acid Receptor; Potassium Channel

**Pathway:** GPCR/G Protein; Membrane Transporter/Ion Channel

**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 : ≥ 100 mg/mL (287.84 mM)

* "≥" means soluble, but saturation unknown.

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.8784 mL | 14.3922 mL | 28.7844 mL |
| 5 mM | 0.5757 mL | 2.8784 mL | 5.7569 mL |
| 10 mM | 0.2878 mL | 1.4392 mL | 2.8784 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 (7.20 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.20 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.20 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

GW9508 is a potent and selective G protein-coupled receptors FFA1 (GPR40) and GPR120 agonist with $pEC_{50}$s of 7.32 and 5.46, respectively. GW9508 shows ~100-fold selectivity for GPR40 over GPR120. GW9508 is inactive against other GPCRs, kinases, proteases, integrins and PPARs. GW9508 is a glucose-sensitive insulin secretagogue and an ATP-sensitive potassium ($K_{ATP}$) channels opener. Anti-inflammatory and anti-atherosclerotic activities[1][2][3][4].

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

pEC50: 7.32 (GPR40) and 5.46 (GPR120)[1]

| | |
|---|---|
| **In Vitro** | GW9508 stimulates intracellular $Ca^{2+}$ mobilization in HEK-293 cells expressing GPR40 ($pEC_{50}$ of 7.32) or GPR120 ($pEC_{50}$ of 5.46), but not in the parent HEK-293 cell line[1].<br>GW9508 produces a concentration-dependent increase ($pEC_{50}$ of 6.14) in glucose-stimulated insulin secretion at high glucose levels (25 mM). This resulted in a 1.52-fold increase in insulin secretion with 20 μM GW9508 in the presence of 25 mM glucose, compared with 25 mM glucose alone. The ability of GW9508 (10 μM) to enhance insulin secretion from MIN6 cells is significantly enhanced as glucose concentrations are increased[1].<br>GW9508 inhibits CCL17 and CCL5 expression in a pertussis toxin-sensitive manner. The inhibitory effect by GW9508 is abrogated by depletion of GPR40 with RNA interference. GW9508 further suppresses expression of IL-11, IL-24, and IL-33 induced in HaCaT cells by TNF-α and IFN-γ. GW9508 also inhibits CCL5 and CXCL10 production by normal human epidermal keratinocytes[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Administration of GW9508 200 (μM) topically to the skin suppresses ear swelling in a repeated hapten application model (BALB/c and C57BL/6 mice) and contact hypersensitivity with downregulation of CCL5 and CXCL10, respectively[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

## CUSTOMER VALIDATION

- Int J Mol Sci. 2023 Mar 18.
- Inflammation. 2020 Oct 3.
- Eur J Pharmacol. 2021 Jul 20;174362.
- Bioengineering (Basel). 2023 Apr 27, 10(5), 535.

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## REFERENCES


[1]. Briscoe CP, et al. Pharmacological regulation of insulin secretion in MIN6 cells through the fatty acid receptor GPR40: identification of agonist and antagonist small molecules. Br J Pharmacol. 2006 Jul;148(5):619-28.

[2]. Zhao YF, et al. Activation of ATP-sensitive potassium channels in rat pancreatic beta-cells by linoleic acid through both intracellular metabolites and membrane receptor signalling pathway. J Endocrinol, 2008, 198(3), 533-540.

[3]. Fujita T, et al. A GPR40 agonist GW9508 suppresses CCL5, CCL17, and CXCL10 induction in keratinocytes and attenuates cutaneous immune inflammation. J Invest Dermatol, 2011, 131(8), 1660-1667.

[4]. Suski M, et al. Anti-atherosclerotic action of GW9508 - Free fatty acid receptors activator - In apoE-knockout mice. Pharmacol Rep. 2019 Aug;71(4):551-555.





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