GW9508

Cat. No.: HY-15589
CAS No.: 885101-89-3
Molecular Formula: C₂₂H₂₁NO₃
Molecular Weight: 347.41
Target: GPR40; Potassium Channel
Pathway: GPCR/G Protein; Membrane Transporter/Ion Channel
Storage: Powder -20°C 3 years
4°C 2 years
In solvent -80°C 6 months
-20°C 1 month

SOLVENT & SOLUBILITY

In Vitro
DMSO: ≥ 100 mg/mL (287.84 mM)
* “≥” means soluble, but saturation unknown.

Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Concentration</th>
<th>Mass (mg/mL)</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.8784 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>14.3922 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>28.7844 mL</td>
</tr>
</tbody>
</table>

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 pEC₅₀ values 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 (KₐTP) channels opener. Anti-inflammatory and anti-atherosclerotic activities[1][2][3][4].

IC₅₀ & Target
pEC₅₀: 7.32 (GPR40) and 5.46 (GPR120)[5]
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].
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].
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].
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].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

Caution: Product has not been fully validated for medical applications. For research use only.
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