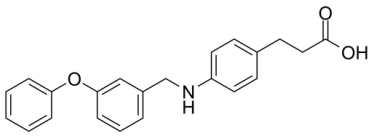
Data Sheet

Product Name:	GW9508
Cat. No.:	CS-1286
CAS No.:	885101-89-3
Molecular Formula:	C22H21NO3
Molecular Weight:	347.41
Target:	GPR40
Pathway:	GPCR/G Protein
Solubility:	DMSO : ≥ 100 mg/mL (287.84 mM)



BIOLOGICAL ACTIVITY:

GW9508 is a potent and selective agonist for FFA1 (GPR40) with pEC50 of 7.32, 100-fold selective against GPR120, stimulates insulin secretion in a glucose-sensitive manner. IC50 value: 7.32 (pEC50) [1] Target: GPR40 GW9508 is shown to be at least 100-fold selective against 220 other GPCRs, 60 kinases, 63 proteases, seven integrins and 20 nuclear receptors including PPARα, δ and γ (pEC50 4.0, 4 and 4.9, respectively). GW9508 produces a concentration-dependent increase in intracellular Ca2+ concentrations via GPR40 receptor activation and the GPR120 receptor. GW9508 is active as an agonist at both GPR40 and GPR120, it is approximately 100-fold selective for GPR40 with respect to GPR120. GW9508 produces a concentration-dependent increase (pEC50=6.14) in glucose-stimulated insulin secretion at high glucose levels (25 mM). GW9508 dose dependently stimulated insulin secretion in a glucose-sensitive manner in MIN6 cells. Furthermore, GW9508 is able to potentiate the KCl-mediated increase in insulin secretion in MIN6 cells. [1] GW9508 induced hyperpolarization and opening of KATP channels in rat β-cells. [2] GW9508 inhibits CCL17 and CCL5 expression in a pertussis toxin-sensitive manner. 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. [3]

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.

CAIndexNames:

Benzenepropanoic acid, 4-[[(3-phenoxyphenyl)methyl]amino]-

SMILES:

O=C(O)CCC1=CC=C(NCC2=CC=CC(OC3=CC=CC=C3)=C2)C=C1

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

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