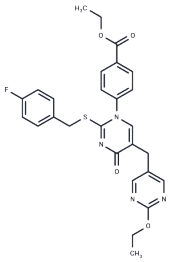
Data Sheet (Cat.No.T15448)

TargetMol

GW-1100

Chemical Properties



CAS No. : 306974-70-9

Formula: C27H25FN4O4S

Molecular Weight: 520.58

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GW-1100 is a selective GPR40 and FFAR1 antagonist for the study of diabetes and metabolic diseases.
Targets(IC50)	GPCR
In vitro	GW-1100 (1 μM) caused a significant right shift in the concentration-response curve of GW9508 (pEC50=7.17±0.08 in the absence, pEC50=6.79±0.09P<0.05 in the presence of 1 μM GW-1100; n=3); At GW-1100 concentrations (3 μM and above), the maximum response is significantly reduced, and the pEC50 response continues to shift to the right [2]; CHO-K1/bFFAR1 cells were incubated with 10 μM GW1100 or vehicle (0.1% DMSO) for 15 minutes, and then Stimulated with vehicle, oleic acid, linoleic acid, or GW9508. GW-1100 significantly reduced 300 μM oleic acid (AUC(60-150 s), p<0.05), 100 μM linoleic acid (AUC(60-150 s), p<0.05) and 10 μM GW9508 (AUC(60 - 150 s), p<0.05); GW-1100 dose-dependently inhibited the GW9508- and linoleic acid-stimulated GPR40-mediated increase in Ca2+ (pIC50: 5.99±0.03 and 5.99±0.06)[3].
In vivo	Intracerebroventricular administration of DHA (50 μg) and GW9508 (1.0 μg) significantly reduced mechanical and thermal hyperalgesia on day 7, but not on day 1, after CFA administration. These effects were inhibited by intracerebroventricular administration of the GPR40 antagonist GW-1100 (10 μg) [4].

Solubility Information

Solubility	DMSO: 30 mg/mL (57.63 mM),Sonication is recommended. H2O: < 0.1 mg/mL (Insoluble.) (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (3.84 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.9209 mL	9.6047 mL	19.2093 mL
5 mM	0.3842 mL	1.9209 mL	3.8419 mL
10 mM	0.1921 mL	0.9605 mL	1.9209 mL
50 mM	0.0384 mL	0.1921 mL	0.3842 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Stoddart LA, et al. Uncovering the pharmacology of the G protein-coupled receptor GPR40: high apparent constitutive activity in guanosine 5'-O-(3-[35S]thio)triphosphate binding studies reflects binding of an endogenous agonist. Mol Pharmacol. 2007 Apr;71(

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.

Manosalva C, et al. Cloning, identification and functional characterization of bovine free fatty acid receptor-1 (FFAR1/GPR40) in neutrophils. PLoS One. 2015 Mar 19;10(3):e0119715.

Nakamoto K, et al. Hypothalamic GPR40 signaling activated by free long chain fatty acids suppresses CFA-induced inflammatorychronic pain. PLoS One. 2013 Dec 12;8(12):e81563.