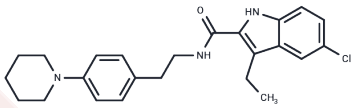
Data Sheet (Cat.No.T2635)

TargetMol

Org 27569

Chemical Properties



CAS No. : 868273-06-7

Formula: C24H28ClN3O

Molecular Weight: 409.95

Storage: 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	Org 27569, an allosteric modulator of cannabinoid CB1 receptor, can induce a CB1 receptor state that is characterized by decreased inverse agonist affinity and enhanced agonist affinity.
Targets(IC50)	Cannabinoid Receptor
In vivo	ORG 27569 (3.2 and 5.6 mg/kg, intraperitoneally) significantly reduces both cue-induced and priming-induced reinstatement of cocaine and methamphetamine in rats [4]. At a dosage of 30 mg/kg, intraperitoneally, ORG 27569 suppresses appetite independent of CB1 receptors and does not alter the discriminative stimulus effects of anandamide (AEA). Additionally, intracerebroventricular administration of ORG 27569 (100 μg) does not influence the pharmacological effects of systemic CP55,940 compared to a vehicle[5].
Kinase Assay	Equilibrium Binding Assays.: Binding assays are performed with the CB1 receptor agonist [3H]CP 55,940 (0.7 nM) and the CB1 receptor antagonist [3H]SR 141716A (1.2 nM), 1 mg/ml BSA and 50 mM Tris buffer containing 0.1 mM EDTA and 0.5 mM MgCl2, pH 7.4, in a total assay volume of 500 μl. Binding is initiated by the addition of mouse brain membranes (30 μg). Assays are carried out at 37°C for 60 min before termination by addition of ice-cold wash buffer (50 mM Tris buffer and 1 mg/ml BSA) and vacuum filtration using a 24-well sampling manifold and Whatman GF/B glass-fiber filters that have been soaked in wash buffer at 4°C for 24 h. Each reaction tube is washed five times with a 4-ml aliquot of buffer. The filters are oven-dried for 60 min and then placed in 5 ml of scintillation fluid, and radioactivity is quantitated by liquid scintillation spectrometry. Specific binding is defined as the difference between the binding that occurred in the presence and absence of 1 μM concentrations of the corresponding unlabeled ligand and is 70 to 80% of the total binding.
Cell Research	Cells expressing CB1 receptors are exposed to ORG27569 (10 μM) for 5 to 15 min. For toxin treatment to abrogate Gi coupling effects, PTX is added to the medium at 5 ng/ml. Following an 18-h incubation in the presence of toxin, cells are washed twice with PBS and treated with compounds. Cells are washed with ice-cold PBS, and cell lysates are obtained by harvesting the cells with ice-cold lysis buffer (150 mM NaCl, 1.0% IGEPAL CA-630, 0.5% sodium deoxycholate, 0.1% SDS, and 50 mM Tris, pH 7.5 containing 4-(2-aminoethyl)benzenesulfonyl fluoride, pepstatin A, E-64, bestatin, leupeptin, and aprotinin as protease inhibitors).(Only for Reference)

Solubility Information

Solubility	DMSO: 41 mg/mL (100.01 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+90% Corn Oil: 2 mg/mL (4.88 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	2.4393 mL	12.1966 mL	24.3932 mL
5 mM	0.4879 mL	2.4393 mL	4.8786 mL
10 mM	0.2439 mL	1.2197 mL	2.4393 mL
50 mM	0.0488 mL	0.2439 mL	0.4879 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

Price MR, et al. Mol Pharmacol, 2005, 68(5), 1484-1495.
Ahn KH, et al. J Biol Chem, 2012, 287(15), 12070-12082.
Fay JF, et al. J Biol Chem, 2012, 287(40), 33873-33882.
Jing L, et al. Effects of the cannabinoid CB_1 receptor allosteric modulator ORG 27569 on reinstatement of cocaine- and methamphetamine-seeking behavior in rats. Drug Alcohol Depend. 2014 Oct 1;143:251-6.
Gamage TF, et al. In-vivo pharmacological evaluation of the CB1-receptor allosteric modulator Org-27569. Behav Pharmacol. 2014 Apr;25(2):182-5.