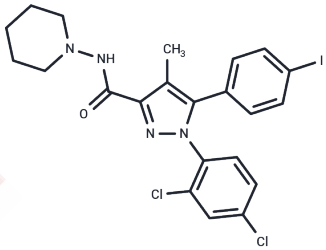
Data Sheet (Cat.No.T1915)

TargetMol

AM251

Chemical Properties



CAS No. : 183232-66-8

Formula: C22H21Cl2IN4O

Molecular Weight: 555.24

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	AM251 is a effective CB1 receptor antagonist (IC50/Ki: 8 /7.49 nM) that displays 306-fold selectivity over CB2 receptors; also effective GPR55 agonist (EC50: 39 nM).
Targets(IC50)	Cannabinoid Receptor,GPCR
In vitro	AM251 inhibits memory consolidation in avoidance tasks. Compared to the control group, AM251 significantly reduces latency in tested subjects, yet no difference is observed in open field adaptive tasks, including the number of crossroads. In related fear memory tests, animals pre-treated with AM251 (4.0/8.0 mg/kg) exhibit enhanced rigidity. AM251 consistently decreases daily food intake in rats, induces selective feeding, and behaviors associated with nausea, without affecting the rate of consumption.
In vivo	AM251 inhibits equilibrium binding by accelerating the dissociation of the [3H]-batrachotoxinin A 20-α-benzoate: sodium channel complex through a conformational change. It increases the dissociation constant (Kd) of the radioligand by 2.3 times without affecting the maximum binding capacity (Bmax). Furthermore, AM251 reduces the release of tetrodotoxin-inhibitory L-glutamate (IC50: 8.5 μM) and GABA (IC50: 9.2 μM) from synaptosomes in a veratridine-dependent manner. It also decreases unstimulated and acetylated LDL-stimulated Raw 264.7 macrophages, along with reducing the synthesis of cholesteryl esters in both CB2+/+ and CB2-/- peritoneal macrophages.
Kinase Assay	Macrophages are seeded (2×106/well) in 12-well culture plates. AM-251 or SR144528 are added from 4 mM stock solutions prepared in DMSO, 1h prior to the addition of 7-ketocholesterol (7KC) from a 2 mg/mL ethanol stock solution. Controls are adjusted to receive equivalent volumes of DMSO and ethanol. After 16 h, caspase-3 activity is determined. All treatments are done in triplicate and the data presented as the mean RFLU/mg protein±SD[3].

Solubility Information

Solubility	Ethanol: 13.9 mg/mL (25.03 mM),Sonication is recommended. DMSO: 51.5 mg/mL (92.75 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (3.6 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	1.801 mL	9.0051 mL	18.0102 mL
5 mM	0.3602 mL	1.801 mL	3.602 mL
10 mM	0.1801 mL	0.9005 mL	1.801 mL
50 mM	0.036 mL	0.1801 mL	0.3602 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

Liao C, et al. Basic Clin Pharmacol Toxicol, 2004, 94(2), 73-78.
Li P, Lin Q, Sun S, et al. Inhibition of cannabinoid receptor type 1 sensitizes triple-negative breast cancer cells to ferroptosis via regulating fatty acid metabolism. Cell Death & Disease. 2022, 13(9): 1-15.
Bowden G D, Land K M, O'Connor R M, et al. High-throughput screen of drug repurposing library identifies inhibitors of Sarcocystis neurona growth[J]. International Journal for Parasitology: Drugs and Drug Resistance. 2018 Apr；8(1): 137-144.
Thewke D, et al. Biochem Biophys Res Commun, 2009, 381(2), 181-186.
Bowden G D, Land K M, O'Connor R M, et al. High-throughput screen of drug repurposing library identifies inhibitors of Sarcocystis neurona growth. International Journal for Parasitology: Drugs and Drug Resistance. 2018 Apr；8(1): 137-144
Wang P P, Cheng X Q, Dou Z J, et al.Inhibiting the CB1 receptor in CIH-induced animal model alleviates colon injury. Applied Microbiology and Biotechnology.2024, 108(1): 380.
Chambers AP, et al. Physiol Behav, 2004, 82(5), 863-869.
McLaughlin PJ, et al. Psychopharmacology (Berl), 2005, 180(2), 286-293.
de Oliveira Alvares L, et al. Neurobiol Learn Mem, 2005, 83(2), 119-124.
Komaki A, et al. Study the Effect of Endocannabinoid System on Rat Behavior in Elevated Plus-Maze. Basic Clin Neurosci. 2015 Jul;6(3):147-53.
Carey LM, et al. A pro-nociceptive phenotype unmasked in mice lacking fatty-acid amide hydrolase. Mol Pain. 2016 May 13;12. pii: 1744806916649192.
Jiang X, et al. Role of cannabinoid receptor type 1 in tibial and pudendal neuromodulation of bladder overactivity in cats. Am J Physiol Renal Physiol. 2017 Mar 1;312(3):F482-F488.
Sun L, et al. Endocannabinoid activation of CB1 receptors contributes to long-lasting reversal of neuropathic pain by repetitive spinal cord stimulation. Eur J Pain. 2017 May;21(5):804-814.