Data Sheet (Cat.No.T1915)



AM251

Chemical Properties

CAS No.: 183232-66-8

Formula: C22H21Cl2IN4O

Molecular Weight: 555.24

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

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)	annabinoid 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: 60 mg/mL (108.06 mM), Sonication is recommended.	
	(< 1 mg/ml refers to the product slightly soluble or insoluble)	

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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.

Reference

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