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DATASHEET

AM251

Product overview

Name	AM251
Cat No	HB2776
Biological action	Antagonist
Purity	>98%
Customer comments	*It (AM251) works - bang for your buck! Works as described! Great technical support.* **Verified customer, UC Denver** *Another quality product from Hello Bio - AM251 works as expected in our experiments and at a good price as well. We always order with confidence from Hello Bio, and are often surprised at how quickly we receive our products.* **Verified customer, University of South Carolina**
Description	Potent, selective CB_1 receptor antagonist / inverse agonist

Images

Biological Data

Biological description

AM251 is a potent and selective prototypic cannabinoid 1 receptor (CB_1) antagonist / inverse agonist (IC_{50} = 8 nM and K_i = 7.49 nM).

AM251 shows ~306-fold selectivity over CB_2 receptors. Structural analog of SR141716A.

Also acts as a potent GPR55 orphan receptor agonist (EC_{50} = 39 nM) and shows activity at the μ-opioid receptor (MOR) (K_i = 251 nM). Additionally, directly potentiates $GABA_A$ receptors.

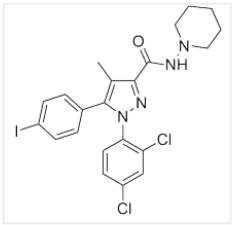
AM251 attenuates responses to established cannabinoid receptor agonists *in vitro* or *in vivo*.

Blocks heterosynaptic long term depression (LTD).

Solubility & Handling

Storage instructions	Room temperature
Solubility overview	Soluble in DMSO (100 mM) and in ethanol (25 mM)
Handling	This compound is light sensitive; we therefore recommend protecting the solid material and solutions from exposure to light. Ongoing solubility of AM251 in aqueous solutions can be unpredictable and the compound can precipitate out of solution. We therefore recommend: 1. If possible, make up solutions and use immediately. Do not store solutions. 2. When creating your stock solutions, ensure the compound is fully dissolved in DMSO (use heat to achieve this if necessary). 3. Heat DMSO stock solution prior to addition to ACSF 4. Heat your ACSF solution to ~42°C before addition of AM251. 5. Mix the compound with ACSF immediately before use
Important	This product is for RESEARCH USE ONLY and is not intended for therapeutic or diagnostic use. Not for human or veterinary use.

Chemical Data

Chemical name	*N*-(Piperidin-1-yl)-5-(4-iodophenyl) -1-(2,4-dichlorophenyl)-4-methyl-1*H*-pyrazole-3-car boxamide
Molecular Weight	555.24
Chemical structure	
Molecular Formula	$C_{22}H_{21}Cl_2IN_4O$
CAS Number	183232-66-8
PubChem identifier	2125
SMILES	CC1=C(N(N=C1C(=O)NN2CCCCC2)C3=C(C=C(C=C3)Cl)Cl)C4=CC=C(C=C4)I
Source	Synthetic
InChi	InChI=1S/C22H21Cl2IN4O/c1-14-20(22(30)27-28-11-3-2-4-12-28)26-29(19-10-7-16(23)13-18(19)24)21(14)15-5-8-17(25)9-6-15/h5-10,13H,2-4,11-12H2,1H3,(H,27,30)
InChiKey	BUZAJRPLUGXRAB-UHFFFAOYSA-N
MDL number	MFCD01861181
Appearance	White solid

References

AM-251 and SR141716 act as direct antagonists at mu-opioid receptors: implications for opioid/cannabinoid interaction studies.

Seely et al (2012) Neuropharmacology 63(5)
PubMedID 22771770

Cannabinoid CB1 receptor antagonists attenuate cocaine's rewarding effects: experiments with self-administration and brain-stimulation reward in rats.

Xi et al (2008) Neuropsychopharmacology 33(7)
PubMedID 17728698

Structure-activity relationships of pyrazole derivatives as cannabinoid receptor antagonists.

Lan et al (1999) J Med Chem 42(4)
PubMedID 10052983

The orphan receptor GPR55 is a novel cannabinoid receptor.

Ryberg et al (2007) Br J Pharmacol 152(7)

PubMedID 17876302

The cannabinoid CB1 receptor antagonists SR141716 and AM251 directly potentiate GABA(A) receptors.

Baur et al (2012) Br J Pharmacol 165(8)

PubMedID 21470203