

Hello Bio, Inc.  
304 Wall St., Princeton, NJ 08540 USA

T. 609-683-7500  
F. 609-228-4994

customercare-usa@hellowbio.com



## DATASHEET

AM251

### Product overview

<b>Name</b>	AM251
<b>Cat No</b>	HB2776
<b>Description</b>	Potent, selective CB <sub>1</sub> receptor antagonist / inverse agonist
<b>Biological action</b>	Antagonist
<b>Purity</b>	>98%
<b>Customer comments</b>	<i>It (AM251) works - bang for your buck! Works as described! Great technical support. Verified customer, UC Denver</i>

*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*

### Images



### Biological Data

**Biological description** AM251 is a potent and selective prototypic cannabinoid 1 receptor (CB<sub>1</sub>) antagonist / inverse agonist (IC<sub>50</sub> = 8 nM and K<sub>i</sub> = 7.49 nM).

AM251 shows ~306-fold selectivity over CB<sub>2</sub> receptors. Structural analog of SR141716A.

Also acts as a potent GPR55 orphan receptor agonist (EC<sub>50</sub> = 39 nM) and shows activity at the μ-opioid receptor (MOR) (K<sub>i</sub> = 251 nM). Additionally, directly potentiates GABA<sub>A</sub> receptors.

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

Blocks heterosynaptic long term depression (LTD).

### Solubility & Handling

<b>Storage instructions</b>	Room temperature
<b>Solubility overview</b>	Soluble in DMSO (100 mM) and in ethanol (25 mM)
<b>Handling</b>	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:

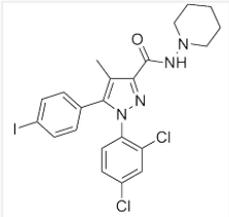
1. If possible, make up solutions and use immediately. Do not store solutions.
2. When creating your stock solutions, ensure the compound is fully dissolved in DMSO (use heat to achieve this if necessary).
3. Heat DMSO stock solution prior to addition to ACSF
4. Heat your ACSF solution to ~42°C before 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

<b>Chemical name</b>	<i>N</i> -(Piperidin-1-yl)-5-(4-iodophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1 <i>H</i> -pyrazole-3-carboxamide
<b>Molecular Weight</b>	555.24
<b>Chemical structure</b>	
<b>Molecular Formula</b>	C <sub>22</sub> H <sub>21</sub> Cl <sub>2</sub> I <sub>2</sub> N <sub>4</sub> O
<b>CAS Number</b>	183232-66-8
<b>PubChem identifier</b>	2125
<b>SMILES</b>	CC1=C(N(N=C1C(=O)NN2CCCC2)C3=C(C=C(C=C3)Cl)Cl)C4=CC=C(C=C4)I
<b>Source</b>	Synthetic
<b>InChi</b>	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)
<b>InChiKey</b>	BUZAJRPLUGXRAB-UHFFFAOYSA-N
<b>MDL number</b>	MFCD01861181
<b>Appearance</b>	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](#)

