DATASHEET
AM251

Product overview

<table>
<thead>
<tr>
<th>Name</th>
<th>AM251</th>
</tr>
</thead>
<tbody>
<tr>
<td>Cat No</td>
<td>HB2776</td>
</tr>
<tr>
<td>Biological action</td>
<td>Antagonist</td>
</tr>
<tr>
<td>Purity</td>
<td>&gt;98%</td>
</tr>
<tr>
<td>Customer comments</td>
<td>It (AM251) works - bang for your buck! Works as described! Great technical support. Verified customer, UC Denver</td>
</tr>
</tbody>
</table>

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 CB₁ receptor antagonist / inverse agonist

Images

Biological Data

Biological description
AM251 is a potent and selective prototypic cannabinoid 1 receptor (CB₁) antagonist / inverse agonist (IC₅₀ = 8 nM and Kᵢ = 7.49 nM).

AM251 shows ~306-fold selectivity over CB₂ receptors. Structural analog of SR141716A.

Also acts as a potent GPR55 orphan receptor agonist (EC₅₀ = 39 nM) and shows activity at the µ-opioid receptor (MOR) (Kᵢ = 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.

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### Chemical Data

**Chemical name**

N-(Piperidin-1-yl)-5-(4-iodophenyl)-1-(2,4-dichlorophenyl)-4-methyl-1H-pyrazole-3-carboxamide

**Molecular Weight**

555.24

**Chemical structure**

![Chemical structure image]

**Molecular Formula**

C$_{22}$H$_{21}$Cl$_2$IN$_4$O

**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)/p111315

**InChiKey**

BUZAJRPLUGXRAB-UHFFFAOYSA-N

**MDL number**

MFCD01861181

**Appearance**

White solid

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### References

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


PubMedID 22771770

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


PubMedID 17728698

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


PubMedID 10052983

**The orphan receptor GPR55 is a novel cannabinoid receptor.**


PubMedID 17876302

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


PubMedID 21470203