#### h C e С 0 S n e

## Print Date: Nov 2nd 2012

### www.tocris.com

#### Product Name: AM 251

#### Catalog No.: 1117 Batch No.: 18

CAS Number: IUPAC Name:

Storage:

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

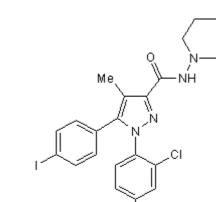
### **1. PHYSICAL AND CHEMICAL PROPERTIES**

183232-66-8

**Batch Molecular Formula: Batch Molecular Weight: Physical Appearance:** Solubility:

**Batch Molecular Structure:** 

C22H21CI2IN4O 555.24 white solid ethanol to 25 mM DMSO to 100 mM Store at RT



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#### 2. ANALYTICAL DATA

TLC: HPLC: <sup>1</sup>H NMR: Mass Spectrum: **Microanalysis:** 

 $R_f = 0.43$  (Ethyl acetate:Petroleum ether [1:1]) Shows 100% purity Consistent with structure Consistent with structure Carbon Hydrogen Nitrogen Theoretical 47.59 3.81 10.09 Found 47.52 3.85 9.99

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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# **TOCRIS** b i o s c i e n c e

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#### Product Name: AM 251

Catalog No.: 1117 Batch No.: 18

CAS Number: 183232-66-8

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

#### **Description:**

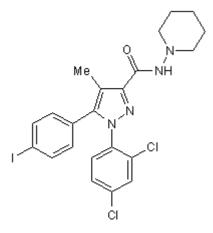
Potent CB<sub>1</sub> receptor antagonist ( $IC_{50} = 8 \text{ nM}$ , K<sub>i</sub> = 7.49 nM) that displays 306-fold selectivity over CB<sub>2</sub> receptors. Also potent GPR55 agonist ( $EC_{50} = 39 \text{ nM}$ ). Also available as part of the Cannabinoid CB<sub>1</sub> Receptor Tocriset<sup>TM</sup>; and in a fluorescent form (Cat. No. 2540).

#### Physical and Chemical Properties:

Batch Molecular Formula: C<sub>22</sub>H<sub>21</sub>Cl<sub>2</sub>IN<sub>4</sub>O Batch Molecular Weight: 555.24 Physical Appearance: white solid

#### Minimum Purity: >99%

#### **Batch Molecular Structure:**



#### Storage: Store at RT

CAUTION - This product is light sensitive and we recommend that the solid material and any solutions obtained are protected from exposure to light.

#### Solubility & Usage Info:

ethanol to 25 mM DMSO to 100 mM

#### **Stability and Solubility Advice:**

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

#### References:

**Gatley** *et al* (1996) <sup>125</sup>I-labeled AM 251: a radioiodinated ligand which binds in vivo to mouse brain cannabinoid CB<sub>1</sub> receptors. Eur.J.Pharmacol. **307** 331. PMID: 8836622.

Gatley et al (1997) Binding of the non-classical cannabinoid CP 55,940, and the diarylpyrazole AM251 to rodent brain cannabinoid receptors. Life Sci. 61 191. PMID: 9335234.

Lan *et al* (1999) Structure-activity relationships of pyrazole derivatives as cannabinoid receptor antagonists. J.Med.Chem. **42** 769. PMID: 10052983.

**Pertwee** (2005) Inverse agonism and neutral antagonism at cannabinoid CB<sub>1</sub> receptors. Life Sci. **76** 1307. PMID: 15670612.

Ryberg et al (2007) The orphan receptor GPR55 is a novel cannabinoid receptor. Br.J.Pharmacol. 152 1092. PMID: 17876302.

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