

Certificate of Analysis

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Product Name: GR 113808

Catalog No.: 1322

Batch No.: 3

CAS Number: 144625-51-4

IUPAC Name: 1-methyl-1*H*-indole-3-carboxylic acid, [1-[2-[(methylsulfonyl)amino]ethyl]-4-piperidinyl]methyl ester

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₁₉H₂₇N₃O₄S·¼H₂O

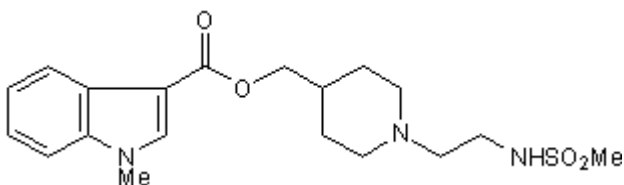
Batch Molecular Weight: 398

Physical Appearance: White solid

Solubility: DMSO to 12 mM
1eq. HCl to 25 mM
ethanol to 50 mM

Storage: Store at RT

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.4 (Chloroform:Methanol [9:1])

HPLC: Shows 98.3% purity

¹H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	57.34	6.96	10.56
Found	57.35	6.85	10.57

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

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Description:

Potent, selective 5-HT₄ receptor antagonist (pK_B = 9.43 in human colonic muscle, and K_d = 0.15 nM for binding to cloned human 5-HT₄ receptors). Displays > 300-fold selectivity over 5-HT_{1A}, 5-HT_{1B}, 5-HT_{2A}, 5-HT_{2C} and 5-HT₃ receptors.

Physical and Chemical Properties:

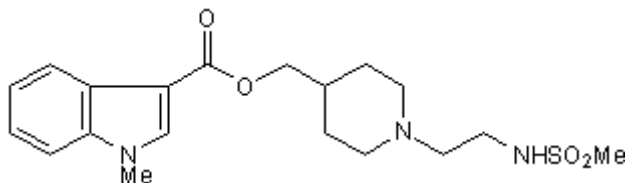
Batch Molecular Formula: C₁₉H₂₇N₃O₄S.¼H₂O

Batch Molecular Weight: 398

Physical Appearance: White solid

Minimum Purity: >98%

Batch Molecular Structure:



Storage: Store at RT

Solubility & Usage Info:

DMSO to 12 mM
1eq. HCl to 25 mM
ethanol to 50 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:

Gale et al (1994) GR113808: a novel, selective antagonist with high affinity at the 5-HT₄ receptor. *Br.J.Pharmacol.* **111** 332. PMID: 8012715.

Eglen et al (1995) Central 5-HT₄ receptors. *TIPS* **16** 391. PMID: 8578609.

Van den Wyngaert et al (1997) Cloning and expression of a human serotonin 5-HT₄ receptor cDNA. *J.Neurochem.* **69** 1810. PMID: 9349523.

Prins et al (2000) An improved *in vitro* bioassay for the study of 5-HT₄ receptors in the human isolated large intestinal circular muscle. *Br.J.Pharmacol.* **129** 1601. PMID: 10780964.

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