

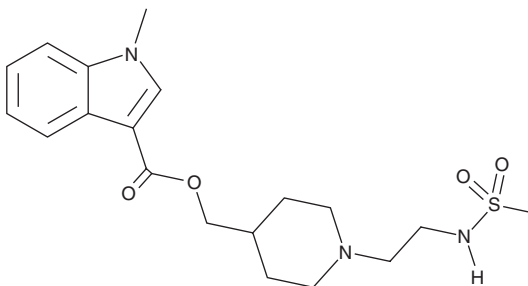
# PRODUCT INFORMATION



**GR113808**

Item No. 28733

**CAS Registry No.:** 144625-51-4  
**Formal Name:** 1-methyl-1H-indole-3-carboxylic acid, [1-[2-[(methylsulfonyl)amino]ethyl]-4-piperidiny]methyl ester  
**MF:** C<sub>19</sub>H<sub>27</sub>N<sub>3</sub>O<sub>4</sub>S  
**FW:** 393.5  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 216, 290 nm  
**Supplied as:** A crystalline solid  
**Storage:** -20°C  
**Stability:** ≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

## Laboratory Procedures

GR113808 is supplied as a crystalline solid. A stock solution may be made by dissolving the GR113808 in the solvent of choice, which should be purged with an inert gas. GR113808 is soluble in organic solvents such as ethanol and DMSO. The solubility of GR113808 in these solvents is approximately 10 and 100 mM, respectively.

## Description

GR113808 is an antagonist of the serotonin (5-HT) receptor subtype 5-HT<sub>4</sub>.<sup>1</sup> It binds to 5-HT<sub>4</sub> receptors with an IC<sub>50</sub> value of 0.4 nM in COS-7 cells expressing the human recombinant receptor and in guinea pig striatal membranes (IC<sub>50</sub> = 0.5 nM).<sup>2</sup> GR113808 is selective for 5-HT<sub>4</sub> receptors over 5-HT<sub>1</sub> receptors (K<sub>s</sub> = >10 μM in dog saphenous vein and porcine vena cava), as well as 5-HT<sub>2</sub> and 5-HT<sub>3</sub> receptors (K<sub>s</sub> = >10 and 1 μM in rabbit thoracic aorta and rat cerebral cortex, respectively).<sup>1</sup> It is also selective for 5-HT<sub>4</sub> over adenosine, adrenergic, dopamine, GABA, muscarinic, nicotinic, histamine, and NMDA receptors (K<sub>s</sub> = >10 μM for all). GR113808 inhibits relaxation induced by 5-HT (Item No. 14332) in rat thoracic esophagus precontracted by carbachol (carbamoylcholine; Item No. 14486; pA<sub>2</sub> = 9.3). *In vivo*, GR113808 inhibits 5-methoxytryptamine-induced tachycardia in anaesthetized piglets.

## References

1. Gale, J.D., Grossman, C.J., Whitehead, J.W., *et al.* GR113808: A novel, selective antagonist with high affinity at the 5-HT<sub>4</sub> receptor. *Br. J. Pharmacol.* **111**(1), 332-338 (1994).
2. Van den Wyngaert, I., Gommeren, W., Verhasselt, P., *et al.* Cloning and expression of a human serotonin 5-HT<sub>4</sub> receptor cDNA. *J. Neurochem.* **69**(5), 1810-1819 (1997).

### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

### WARRANTY AND LIMITATION OF REMEDY

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