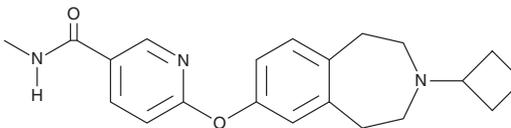


# PRODUCT INFORMATION



**GSK189254**  
Item No. 36705

**CAS Registry No.:** 720690-73-3  
**Formal Name:** 6-[(3-cyclobutyl-2,3,4,5-tetrahydro-1H-3-benzazepin-7-yl)oxy]-N-methyl-3-pyridinecarboxamide  
**Synonym:** GSK189254A  
**MF:** C<sub>21</sub>H<sub>25</sub>N<sub>3</sub>O<sub>2</sub>  
**FW:** 351.4  
**Purity:** ≥98%  
**UV/Vis.:** λ<sub>max</sub>: 239 nm  
**Supplied as:** A 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

GSK189254 is supplied as a solid. A stock solution may be made by dissolving the GSK189254 in the solvent of choice, which should be purged with an inert gas. GSK189254 is soluble in DMSO (warmed and sonicated).

## Description

GSK189254 is a histamine H<sub>3</sub> receptor antagonist (K<sub>i</sub>s = 0.13, 0.68, and 1.74 nM for the recombinant human, rat, and mouse receptors, respectively).<sup>1</sup> It is selective for the histamine H<sub>3</sub> receptor over a panel of 50 receptors and ion channels at 1 μM. GSK189254 prevents imetit-induced decreases in forskolin-stimulated cAMP accumulation in HEK293-Gα<sub>o</sub> cells expressing the human histamine H<sub>3</sub> receptor (pA<sub>2</sub> = 9.06). It inhibits increases in water intake induced by the histamine H<sub>3</sub> receptor agonist R(-)-α-methylhistamine (Item No. 25601) and reverses scopolamine-induced memory deficits in the passive avoidance test in rats. GSK189254 increases hindlimb grip force in a rat model of monoiodoacetate-induced osteoarthritic pain and increases the paw withdrawal threshold in a rat model of spinal nerve ligation-induced neuropathic pain (ED<sub>50</sub>s = 0.77 and 1.5 mg/kg, i.p., respectively).<sup>2</sup>

## References

1. Medhurst, A.D., Atkins, A.R., Beresford, I.J., *et al.* GSK189254, a novel H<sub>3</sub> receptor antagonist that binds to histamine H<sub>3</sub> receptors in Alzheimer's disease brain and improves cognitive performance in preclinical models. *J. Pharmacol. Exp. Ther.* **321**(3), 1032-1045 (2007).
2. Hsieh, G.C., Honore, P., Pai, M., *et al.* Antinociceptive effects of histamine H<sub>3</sub> receptor antagonist in the preclinical models of pain in rats and the involvement of central noradrenergic systems. *Brain Res.* **1354**, 74-84 (2010).

### 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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