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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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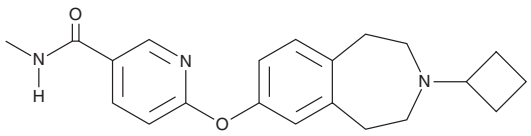
PRODUCT INFORMATION

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:	$C_{21}H_{25}N_3O_2$
FW:	351.4
Purity:	≥98%
UV/Vis.:	λ_{max}: 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_3 receptor antagonist (K_is = 0.13, 0.68, and 1.74 nM for the recombinant human, rat, and mouse receptors, respectively).[1] It is selective for the histamine H_3 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_o cells expressing the human histamine H_3 receptor (pA_2 = 9.06). It inhibits increases in water intake induced by the histamine H_3 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_{50}s = 0.77 and 1.5 mg/kg, i.p., respectively).[2]

References

1. Medhurst, A.D., Atkins, A.R., Beresford, I.J., *et al.* GSK189254, a novel H_3 receptor antagonist that binds to histamine H_3 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_3 receptor antagonist in the preclinical models of pain in rats and the involvement of central noradrenergic systems. *Brain Res.* **1354**, 74-84 (2010).

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