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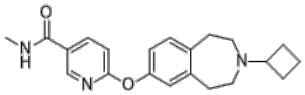
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GSK189254A
Cat. No.	:	PC-27476
CAS No.	:	720690-73-3
Molecular Formula	:	$C_{21}H_{25}N_3O_2$
Molecular Weight	:	351.45
Target	:	Histamine Receptor
Solubility	:	10 mM in DMSO



Biological Activity

GSK189254A (GSK189254 free base) is a potent, selective histamine H3 receptor (H3R) antagonist with pKi of 9.59 -9.90 and 8.51-9.17 for human and rat H3R respectively.
GSK189254A exhibits potent functional antagonism (pA(2) = 9.06 versus agonist-induced changes in cAMP) and inverse agonism [pIC(50) = 8.20 versus basal guanosine 5'-O-(3-[(35)S]thio)triphosphate binding] at the human recombinant H(3) receptor.
GSK189254 inhibits cortical ex vivo R-(-)-alpha-methyl[imidazole-2,5(n)-(3)H]histamine dihydrochloride ([(3)H]R-alpha-methylhistamine) binding (ED(50) = 0.17 mg/kg) and increases c-Fos immunoreactivity in prefrontal and somatosensory cortex (3 mg/kg).
GSK189254 (0.3-3 mg/kg p.o.) increases the release of acetylcholine, noradrenaline, and dopamine in the anterior cingulate cortex and acetylcholine in the dorsal hippocampus.
GSK189254 significantly improves performance of rats in diverse cognition paradigms, including passive avoidance (1 and 3 mg/kg p.o.), water maze (1 and 3 mg/kg p.o.), object recognition (0.3 and 1 mg/kg p.o.), and attentional set shift (1 mg/kg p.o.).

References

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Guo RX, et al. Br J Pharmacol. 2009 May;157(1):104-17.

Medhurst AD, et al. J Pharmacol Exp Ther. 2007 Jun;321(3):1032-45.

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