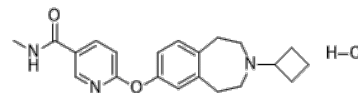


Product Name : GSK189254
Cat. No. : PC-27477
CAS No. : 945493-87-8
Molecular Formula : C₂₁H₂₆ClN₃O₂
Molecular Weight : 387.91
Target : Histamine Receptor
Solubility : 10 mM in DMSO



Biological Activity

GSK189254 (GSK189254A hydrochloride) is a potent, selective histamine H₃ receptor (H₃R) antagonist with pK_i of 9.59 - 9.90 and 8.51-9.17 for human and rat H₃R respectively.

GSK189254 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

- Giannoni P, et al. J Pharmacol Exp Ther. 2010 Jan;332(1):164-72.
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.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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