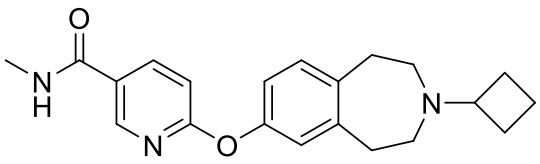
Product data sheet

MedKoo Cat#: 500804 Name: GSK-189254 free base CAS: 720690-73-3 (free base) Chemical Formula: $C_{21}H_{25}N_3O_2$ Exact Mass: 351.19468 Molecular Weight: 351.45	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
GSK-189254 is a potent and selective H3-receptor inverse agonist. It has subnanomolar affinity for the H3 receptor and selectivity of over 10,000x for H3 over other histamine receptor subtypes. Animal studies have shown it to possess not only stimulant and nootropic effects, but also analgesic action suggesting a role for H3 receptors in pain processing in the spinal cord. GSK-189,254 and several other related drugs are currently being investigated as a treatment for Alzheimer's disease and other forms of dementia, as well as possible use in the treatment of conditions such as narcolepsy, or neuropathic pain which do not respond well to conventional analgesic drugs.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	25.0	71.13

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	2.85 mL	14.23 mL	28.45 mL
5 mM	0.57 mL	2.85 mL	5.69 mL
10 mM	0.28 mL	1.42 mL	2.85 mL
50 mM	0.06 mL	0.28 mL	0.57 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Medhurst AD, Atkins AR, Beresford IJ, Brackenborough K, Briggs MA, Calver AR, Cilia J, Cluderay JE, Crook B, Davis JB, Davis RK, Davis RP, Dawson LA, Foley AG, Gartlon J, Gonzalez MI, Heslop T, Hirst WD, Jennings C, Jones DN, Lacroix LP, Martyn A, Ociepka S, Ray A, Regan CM, Roberts JC, Schogger J, Southam E, Stean TO, Trail BK, Upton N, Wadsworth G, Wald JA, White T, Witherington J, Woolley ML, Worby A, Wilson DM. GSK189254, a novel H3 receptor antagonist that binds to histamine H3 receptors in Alzheimer's disease brain and improves cognitive performance in preclinical models. J Pharmacol Exp Ther. 2007 Jun;321(3):1032-45. doi: 10.1124/jpet.107.120311. Epub 2007 Feb 27. PMID: 17327487.

In vivo study

1. Whittaker DS, Wang HB, Loh DH, Cachope R, Colwell CS. Possible use of a H3R antagonist for the management of nonmotor symptoms in the Q175 mouse model of Huntington's disease. Pharmacol Res Perspect. 2017 Oct;5(5):e00344. doi: 10.1002/prp2.344. PMID: 28971617; PMCID: PMC5625154.
2. Guo RX, Anaclet C, Roberts JC, Parmentier R, Zhang M, Guidon G, Buda C, Sastre JP, Feng JQ, Franco P, Brown SH, Upton N, Medhurst AD, Lin JS. Differential effects of acute and repeat dosing with the H3 antagonist GSK189254 on the sleep-wake cycle and

narcoleptic episodes in Ox-/- mice. Br J Pharmacol. 2009 May;157(1):104-17. doi: 10.1111/j.1476-5381.2009.00205.x. PMID: 19413575; PMCID: PMC2697793.

7. Bioactivity

Biological target:

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

In vitro activity

GSK189254 (3, 10, 30, and 100 nM) produced a dose-dependent rightward shift in the concentration-effect curve to imetit with a pA_2 of 9.06 ± 0.02 (n = 3).

Reference: J Pharmacol Exp Ther. 2007 Jun;321(3):1032-45. https://pubmed.ncbi.nlm.nih.gov/17327487/

In vivo activity

Daily treatment with GSK189254 improved several behavioral measures in the Q175 mice including strengthening activity rhythms, cognitive performance and mood as measured by the tail suspension test. The treatment also reduced inappropriate activity during the normal sleep time.

Reference: Pharmacol Res Perspect. 2017 Oct;5(5):e00344. https://pubmed.ncbi.nlm.nih.gov/28971617/

Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.