Product Datasheet

GSK-239512

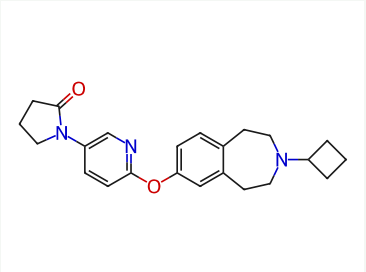
Catalog No. **BP-00051** · CAS **720691-69-0** · Form **free base** · Physical state **solid** · Color **White to light yellow** · Version **1.0** · Revision **2026-11-04**

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Target	Research area
Histamine Receptor	**Neurological Disease**

Molecular formula	$C_{23}H_{27}N_3O_2$
Molecular weight	**377.4794**

STRUCTURE



DESCRIPTION

GSK239512 is a potent and brain penetrated H3 receptor antagonist. GSK239512 can be used for the research of mild-to-moderate Alzheimer's disease (AD).

BIOLOGICAL ACTIVITY

In Vitro

GSK239512 (10 μM; 5 days) promotes the differentiation of primary rat oligodendrocyte precursor cells into mature oligodendrocytes.

GSK239512 potently binds to human and rat H3 receptors in native cerebral cortex membranes and recombinant HEK-293 cells, with pKi values ranging from 9.44 to 9.92, and exhibits over 1000-fold selectivity over other protein targets.

GSK239512 exhibits favorable in vitro druggability profiles, including extremely weak hERG inhibitory effect, no cytochrome P450 inhibitory activity, high water solubility, moderate binding affinity to human serum albumin, and good metabolic stability in rat and human liver microsomes.

In Vivo

Adaptive Immunity

Others

GSK239512 (10 mg/kg; p.o.; twice daily; 1 month) restores the expression of some myelin-related genes in rmTBI-injured Tau58.4 mice, but fails to repair white matter damage at the histopathological level[2].

Others

GSK239512 (0.3-3 mg/kg; p.o.) significantly reverses scopolamine (HY-N0296)-induced cognitive impairment in rats at doses of 1 mg/kg and 3 mg/kg (p.o.)[6].

GSK239512 (0.04-3 mg/kg; p.o.) achieves significant occupancy of histamine H3 receptors in the rat cortex, with an estimated EC50 value of 0.015 μM; it also significantly reverses polydipsia induced by H3 agonists in rats, with an ED50 of 0.04 mg/kg[6].

SOLUBILITY

Soluble in DMSO : 5 mg/mL

REFERENCES

[1]. Grove RA, et al. A randomized, double-blind, placebo-controlled, 16-week study of the H3 receptor antagonist, GSK239512 as a monotherapy in subjects with mild-to-moderate Alzheimer's disease. Current Alzheimer research. 2014 Jan;11(1):47-58.

[2]. Cheng H, et al. Tau overexpression exacerbates neuropathology after repeated mild head impacts in male mice. Neurobiol Dis. 2020 Feb;134:104683.

[3]. Schwartzbach CJ, et al. Lesion remyelinating activity of GSK239512 versus placebo in patients with relapsing-remitting multiple sclerosis: a randomised, single-blind, phase II study. Journal of neurology. 2017 Feb;264(2):304-315.

[4]. Jarskog LF, et al. A Phase II study of a histamine H_3 receptor antagonist GSK239512 for cognitive impairment in stable schizophrenia subjects on antipsychotic therapy. Schizophrenia research. 2015 May;164(1-3):136-42.

[5]. Ashworth S, et al. Unexpectedly high affinity of a novel histamine H(3) receptor antagonist, GSK239512, in vivo in human brain, determined using PET. British journal of pharmacology. 2014 Mar;171(5):1241-9.

[6]. Wilson DM, et al. Identification of clinical candidates from the benzazepine class of histamine H3 receptor antagonists. Bioorganic & medicinal chemistry letters. 2013 Dec 15;23(24):6890-6.