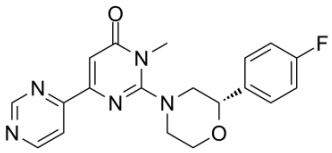
SAR502250

Cat. No.:	HY-137472
CAS No.:	503860-57-9
Molecular Formula:	$C_{19}H_{18}FN_5O_2$
Molecular Weight:	367.38
Target:	GSK-3
Pathway:	PI3K/Akt/mTOR; Stem Cell/Wnt
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	SAR502250 is a potent, selective, ATP competitive, orally active and brain-penetrant inhibitor of GSK3, with an IC_{50} of 12 nM for human GSK-3β. SAR502250 displays antidepressant-like activity. SAR502250 can be used for the research of Alzheimer's disease (AD)[1][2].
IC_{50} & Target	hGSK-3β 12 nM (IC_{50})
In Vitro	SAR502250 (0.01-1 μM; 36 h) attenuates the $Aβ_{25-35}$-induced cell death in rat embryonic hippocampal neurons[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	SAR502250 (1-100 mg/kg; a single p.o,) attenuates tau hyperphosphorylation in the cortex and spinal cord of transgenic mice expressing P301L tau[2]. SAR502250 (10-30 mg/kg; p.o. once daily for 7 weeks) improves the cognitive deficit in transgenic APP(SW)/Tau(VLW) mice after infusion of $Aβ_{25-35}$[2]. SAR502250 (10-30 mg/kg; a single p.o.) significantly increases the percentage of lever-presses in the inter-response time (IRT) bin (49-96 s), with a significant augmentation of the percentage of reinforced responses[2]. SAR502250 (30 mg/kg; i.p. once daily for 28 d) ameliorates chronic stress-induced degradation of the physical state of the mice coat[2]. SAR502250 (10-60 mg/kg; a single p.o.) decreases hyperactivity produced by psychostimulantsin mice[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Female P301L human tau transgenic mice (three-month-old; 32 g)[2]
Dosage:	1, 3, 10, 30, 100 mg/kg
Administration:	A single p.o.
Result:	Attenuated dose-dependently tau phosphorylation in the cortex and spinal cord, with ED_{50}s of 12.5 and 11.5 mg/kg, respectively.

REFERENCES

[1]. Fukunaga K, et, al. 2-(2-Phenylmorpholin-4-yl)pyrimidin-4(3H)-ones; a new class of potent, selective and orally active glycogen synthase kinase-3β inhibitors. Bioorg Med Chem Lett. 2013 Dec 15;23(24):6933-7.

[2]. Griebel G, et, al. The selective GSK3 inhibitor, SAR502250, displays neuroprotective activity and attenuates behavioral impairments in models of neuropsychiatric symptoms of Alzheimer's disease in rodents. Sci Rep. 2019 Dec 2;9(1):18045.

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