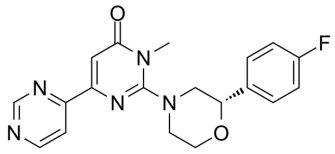
SAR502250

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:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (272.20 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.7220 mL	13.6099 mL	27.2198 mL
	5 mM	0.5444 mL	2.7220 mL	5.4440 mL
	10 mM	0.2722 mL	1.3610 mL	2.7220 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.80 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.80 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.80 mM); Clear solution

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 $A\beta_{25-35}$-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 $A\beta_{25-35}$[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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