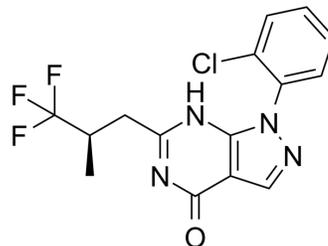


BAY 73-6691

Cat. No.:	HY-104028		
CAS No.:	794568-92-6		
Molecular Formula:	C ₁₅ H ₁₂ ClF ₃ N ₄ O		
Molecular Weight:	356.73		
Target:	Phosphodiesterase (PDE)		
Pathway:	Metabolic Enzyme/Protease		
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 (280.32 mM)
 * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent		1 mg	5 mg	10 mg
	Concentration	Mass			
	1 mM		2.8032 mL	14.0162 mL	28.0324 mL
	5 mM		0.5606 mL	2.8032 mL	5.6065 mL
	10 mM		0.2803 mL	1.4016 mL	2.8032 mL

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

In Vivo

- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
 Solubility: 2.5 mg/mL (7.01 mM); Suspended solution; Need ultrasonic
- Add each solvent one by one: 10% DMSO >> 90% corn oil
 Solubility: ≥ 2.5 mg/mL (7.01 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

BAY 73-6691 ((R)-BAY 73-6691) is a potent, brain penetrant, and selective PDE9A inhibitor^[1].

IC₅₀ & Target

PDE9

In Vitro

The BAY 73-6691 dose-dependently alleviates cell viability loss due to Aβ₂₅₋₃₅ treatment. It is found that when SH-SY5Y cells are cultured by Aβ₂₅₋₃₅, a high degree of cell apoptosis is observed, while additional stimulation with BAY 73-6691 causes attenuation of cell apoptosis. BAY 73-6691 dose-dependently attenuates oxidative stress induced by Aβ₂₅₋₃₅, and BAY 73-6691 at 200 μg/mL almost neutralizes Aβ₂₅₋₃₅-induced oxidative damage. The BAY 73-6691 attenuates Aβ₂₅₋₃₅-induced increase of apoptosis cells^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

BAY 73-6691 dose-dependently improves the acquisition performance in the A β ₂₅₋₃₅-injected mice on days 7 to 10 (day 7, F_(5,54)=65.153; day 8, F_(5,54)=62.340; day 9, F_(5,54)=37.529; day 10, F_(5,54)=38.624; P<0.001). BAY 73-6691 at 3 mg/kg can almost completely abolish the prolongation of escape-latency on days 9 to 10. BAY 73-6691 dose-dependently elevates the A β ₂₅₋₃₅-induced decrease of the dwell time on the 10th day post A β ₂₅₋₃₅ injection (day 10, F_(5,54)=27.360, P<0.001). Results reveal that the A β ₂₅₋₃₅ injection and BAY 73-6691 treatment cause no influence on the swimming speed. Treatment with BAY 73-6691 does not cause detectable alteration of spatial memory in sham mice. BAY 73-6691 alleviates A β ₂₅₋₃₅-induced abnormalities of the above indices. The BAY 73-6691 causes no influence on the four indices mentioned above in sham mice. The BAY 73-6691 has no significant effect on the apoptosis of hippocampal neurons in sham mice^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay ^[1]

The SH-SY5Y human neuroblastoma cell line is used in this study. The cells are routinely cultured in a mixture of Dulbecco's modified Eagle's medium (DMEM)/Ham's F12 containing 10% fetal bovine serum, 2 mM L-glutamine, antibiotic and antimycotic solution under a humidified atmosphere of 5% CO₂-95% air at 37°C. The SH-SY5Y are plated in 96-well plates at 1×10⁵ cells per well for the treatment with A β ₂₅₋₃₅ and the BAY 73-6691. Before experiments, freshly prepared A β ₂₅₋₃₅ peptide at 20 μM is added to the cells with or without exposure to different concentrations (50, 100, 150 and 200 μg/mL) of BAY 73-6691^[1].

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Animal Administration ^[1]

Male ICR mice (weighing 25 to 30 g) are used to induce the animal model of Alzheimer's disease (AD). All mice are housed in a temperature- and humidity-controlled room with a constant light-dark cycle (12 h/12 h) and are maintained on ad libitum food and water. BAY 73-6691 at different doses (0.3, 1 and 3 mg/kg) is consecutively injected (i.p.) once daily at 7:30 A.M on days 1 to 10 after injection of A β ₂₅₋₃₅ (day 0). Mice are divided into six groups: (I) sham, (II) A β , (III) A β +0.3 mg/kg BAY 73-6691, (IV) A β +1 mg/kg BAY 73-6691, (V) A β +3 mg/kg BAY 73-6691 and (VI) 3 mg/kg BAY 73-6691^[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- J Biomater Tissue Eng. 2021, pp. 295-301(7).

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REFERENCES

[1]. Li J, et al. Protective effects of BAY 73-6691, a selective inhibitor of phosphodiesterase 9, on amyloid- β peptides-induced oxidative stress in in-vivo and in-vitro models of Alzheimer's disease. Brain Res. 2016 Jul 1;1642:327-335.

Caution: Product has not been fully validated for medical applications. For research use only.

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