AChE/BChE-IN-1

Cat. No.: HY-131971

CAS No.: 2720624-42-8

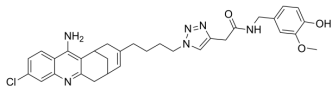
Molecular Formula: $C_{32}H_{35}ClN_6O_3$

Molecular Weight: 587.11

Target: Cholinesterase (ChE)

Pathway: Neuronal Signaling

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	AChE/BChE-IN-1 is a potent and brain-penetrant dual inhibitor of Acetylcholinesterase and Butyrylcholinesterase, with IC_{50}s of 1.06 and 7.3 nM for hAChE and hBChE, respectively. AChE/BChE-IN-1 also has antioxidant activity. AChE/BChE-IN-1 can be used for the research of Alzheimer's disease[1].

IC_{50} & Target	AChE	BChE

In Vitro

AChE/BChE-IN-1 (compound 5i) is notactive against hBACE-1 at the tested concentration (1 μM)[1].

AChE/BChE-IN-1 scavenges the 2,2-diphenyl-1-picrylhydrazyl (DPPH) free radical, with an IC_{50} of 92.0 μM[1].

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

In Vivo

AChE/BChE-IN-1 (2 mg/kg; i.p. 3 times per week for 4 weeks) rescues learning and memory impairments, delays the Alzheimer-like pathology progression, improves basal synaptic efficacy, and significantly reduces hippocampal oxidative stress and neuroinflammation in 10 month-old APP/PS1 mice[1].

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Animal Model:	AβPPswe/PS-1 double transgenic male mice (10 months)[1]
Dosage:	2 mg/kg
Administration:	I.p. 3 times per week for 4 weeks
Result:	Significantly enhanced learning and memory. Reduced Aβ42/Aβ40 ratio in the hippocampus. Increased the strength of synaptic transmission, without affecting long-term potentiation (LTP). Decreased the levels of oxidative stress and neuroinflammation markers in the hippocampus.

REFERENCES

[1]. Viayna E, et, al. Discovery of a Potent Dual Inhibitor of Acetylcholinesterase and Butyrylcholinesterase with Antioxidant Activity that Alleviates Alzheimer-like Pathology

in Old APP/PS1 Mice. J Med Chem. 2020 Dec 28.

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