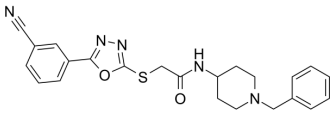
hAChE/hBACE-1-IN-1

Cat. No.:	HY-149287
Molecular Formula:	$C_{23}H_{23}N_5O_2S$
Molecular Weight:	433.53
Target:	Cholinesterase (ChE)
Pathway:	Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

hAChE/hBACE-1-IN-1 (compounds 5d) is an orally active inhibitor of hAChE with blood-brain permeability. hAChE/hBACE-1-IN-1 inhibits hAChE and hBACE-1 with IC_{50} values of 0.076 and 0.23 μM, respectively. hAChE/hBACE-1-IN-1 inhibits $A\beta_{1-42}$ aggregation and improves mouse learning and memory ability. hAChE/hBACE-1-IN-1 can be used to research in Alzheimer's disease[1].

IC_{50} & Target

hAChE	hBACE-1	hBCHE
0.076 μM (IC_{50})	0.23 μM (IC_{50})	1.204 μM (IC_{50})

In Vitro

hAChE/hBACE-1-IN-1 (10-80 μM, 48 h) has safety at maximum concentration in SH-SY5Y cell[1].

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

Cell Viability Assay[1]

Cell Line:	SH-SY5Y
Concentration:	10 μM, 20 μM, 40 μM, 80 μM
Incubation Time:	48 h, 72 h
Result:	Indicated the similar in safety to donepezil (HY-14566) at a maximum 80 μM concentration. Restored the cell that $A\beta_{1-42}$ treated viability to 70% after 48 h, to 77% after 72 h cell.

In Vivo

hAChE/hBACE-1-IN-1 (2.5-10 mg/kg/day, p.o., 14 days) improves learning and memory and reduces RTL and time spent in open arms in the scopolamine-induced cognitive deficit mouse model[1].

hAChE and hBACE-1 inhibitors (500 mg/kg, p.o., 30 days) is well tolerated and has no apparent toxicity to mice, including brain, liver, kidneys, and heart abnormalities[1].

hAChE/hBACE-1-IN-1 (10 mg/kg, p.o., 14 days) is capable of crossing the blood-brain barrier, decreases AChE levels or substrate hydrolysis in hippocampal and cortex brain homogenates, has the potential to retard the oxidative stress and reduces levels of proinflammatory cytokines induced by scopolamine [1].

hAChE/hBACE-1-IN-1 (10 mg/kg, p.o., 14 days) inhibits BACE-1, Aβ, APP/Aβ, and tau protein, protects brain tissue in different brain regions in the Aβ-induced model[1].

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

Animal Model:	scopolamine-induced amnesia models in Swiss albino mice[1].
Dosage:	2.5, 5, 10 mg/kg/day
Administration:	oral administration (p.o.) 14 days
Result:	Improved learning and memory and reduce RTL and time spent in open arms. Crossed the blood-brain barrier, and reduced AChE levels or substrate hydrolysis in hippocampal and cortex brain homogenates. Reduced MDA, ROS levels, nitrite concentration, and increased GSH levels in a dose-dependent manner. Reduced levels of proinflammatory cytokines.

Animal Model:	Aβ-induced models in Swiss albino mice[1].
Dosage:	10 mg/kg/day
Administration:	oral administration (p.o.) 14 days
Result:	Inhibited BACE-1, Aβ, APP/Aβ, and tau protein and protected brain tissue in different brain regions.

REFERENCES

[1]. Waiker DK, et al. Design, Synthesis, and Biological Evaluation of Piperazine and N-Benzylpiperidine Hybrids of 5-Phenyl-1,3,4-oxadiazol-2-thiol as Potential Multitargeted Ligands for Alzheimer's Disease Therapy. ACS Chem Neurosci. 2023 Jun 7;14(11):2217-2242.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA