hAChE/hBACE-1-IN-2

Cat. No.: HY-149288

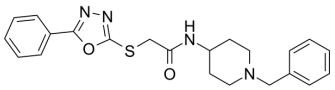
Molecular Formula: $C_{22}H_{24}N_4O_2S$

Molecular Weight: 408.52

Target: Cholinesterase (ChE); Beta-secretase; Amyloid-β

Pathway: Neuronal Signaling

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



BIOLOGICAL ACTIVITY

Description

hAChE/hBACE-1-IN-2 is a potent, orally active, blood-brain barrier transboundary triple inhibitor of hAChE, hBChE, and HACE-1 with IC_{50}s of 0.113 μM, 1.48 μM and 0.38 μM, respectively. hAChE/hBACE-1-IN-2 has antioxidant activity. hAChE/hBACE-1-IN-2 also inhibits $A\beta1_{1-42}$ aggregation. hAChE/hBACE-1-IN-2 can be used to study Alzheimer's disease[1].

IC_{50} & Target

hAChE	hBACE-1	hBCHE
0.113 μM (IC_{50})	0.38 μM (IC_{50})	1.48 μM (IC_{50})

In Vitro

hAChE/hBACE-1-IN-2 (compound 5f) (10-80 μM; 72 h) is considered similar to Donepezil (HY-14566) in terms of safety in SH-SY5Y neuroblastoma cell lines[1].

hAChE/hBACE-1-IN-2 (compound 5f) (20 μM; 48 h, 72 h) has the potential of anti-$A\beta_{1-42}$ aggregation potential in SH-SY5Y neuroblastoma cell lines[1].

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

Cell Viability Assay[1]

Cell Line:	SH-SY5Y cell lines (The SH-SY5Y cells were sequentially treated with RA and BDNF for 6 days)
Concentration:	10, 20, 40, and 80 μM
Incubation Time:	48-72 h
Result:	Indicated a similar reduction in the differentiated neuroblastoma cell population at a maximum 80 μM concentration.

Cell Viability Assay[1]

Cell Line:	$A\beta_{1-42}$ treated SH-SY5Y cells (incubated with $A\beta_{1-42}$ (10μM) for 24 h)
Concentration:	20 μM
Incubation Time:	48-72 h
Result:	The cells incubated the cell viability to 65% and 75% after 48 h and 72 h.

In Vivo

hAChE/hBACE-1-IN-2 (2.5-10 mg/kg/day, p.o., 14 days) improves learning and memory and reduce RTL and time spent in

open arms in the Scopolamine (HY-N0296)-induced cognitive deficit mouse model[1].
hAChE/hBACE-1-IN-2 (500 mg/kg, p.o., 14 days) is well tolerated and has no apparent toxicity in Swiss albino mice, including brain, liver, kidneys, and heart abnormalities[1].
hAChE/hBACE-1-IN-2 (10 mg/kg, p.o., 14 days) crosses the blood-brain barrier, reduces AchE levels or substrate hydrolysis in hippocampal and cortical brain homogenates, delays oxidative stress and reduces the potential for pro-inflammatory cytokine levels in a mouse model induced by Scopolamine (HY-N0296)[1].
hAChE/hBACE-1-IN-2 (10 mg/kg, p.o., 14 days) inhibits BACE-1, Aβ, APP/Aβ, and tau protein, protect 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:	Swiss albino mice[1]
Dosage:	500 mg/kg
Administration:	Oral administration (p.o.), 14 days
Result:	Showed no signs or symptoms of toxicity over the 14 days

Animal Model:	Scopolamine-induced cognitive deficit mouse model[1]
Dosage:	2.5 mg, 5 mg, and 10 mg/kg
Administration:	Oral administration (p.o.), 14 days
Result:	Elevated plus maze test showed significant reductions in transfer latency and time spent in open arms, similar to the effects observed with Scopolamine (HY-N0296)-induced dementia reversal.

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.

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