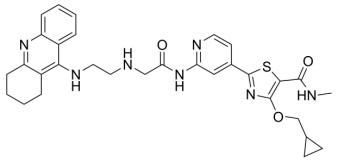
AChE/GSK-3β-IN-1

Cat. No.:	HY-150537
CAS No.:	2412364-73-7
Molecular Formula:	$C_{31}H_{35}N_7O_3S$
Molecular Weight:	585.72
Target:	Cholinesterase (ChE); GSK-3; Microtubule/Tubulin; ROS Kinase
Pathway:	Neuronal Signaling; PI3K/Akt/mTOR; Stem Cell/Wnt; Cell Cycle/DNA Damage; Cytoskeleton; Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

AChE/GSK-3β-IN-1 (compound GT15) is a potent, dual AChE/GSK-3β inhibitor with IC_{50} values of 1.2, 149.8 and 22.4 nM for hAChE , hBChE and hGSK-3β, respectively. AChE/GSK-3β-IN-1 penetrates the blood-brain barrier (BBB). AChE/GSK-3β-IN-1 has high kinase selectivity profiles for the CMGC kinase family. AChE/GSK-3β-IN-1 occupies the ATP binding site of DYRK1A. AChE/GSK-3β-IN-1 inhibits ROS expression and reduces oxidative stress. AChE/GSK-3β-IN-1 can be used for Alzheimer's disease research[1].

In Vitro

AChE/GSK-3β-IN-1 (compound GT15) (300 nM) has strong binding affinities with GSK3 family and exhibits a potent inhibitory activity against dual tyrosine phospho-regulated kinase 1 (DYRK1) (DYRK1α and DYRK1β with IC_{50} of 28.3 nM and 119.7 nM, respectively)[1].

AChE/GSK-3β-IN-1 (compound GT15) (5-15 μM; 1 hours; N2a-tau cells) exhibits good permeability across the blood-brain-barrier and ability to inhibit the phosphorylation of tau protein[1].

AChE/GSK-3β-IN-1 (compound GT15) (5 μM; BV2 cells) prevents the increase of ROS caused by LPS and reduces oxidative stress[1].

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

Western Blot Analysis[1]

Cell Line:	N2a-tau cells
Concentration:	5, 10, and 15 μM
Incubation Time:	6 hours
Result:	Decreased the level of phosphorylated tau protein was reduced to 49% at 5 μM and 17% at 15 μM.

In Vivo

AChE/GSK-3β-IN-1 (compound GT15) (15 mg/kg,; p.o.; ICR male mice) has a function of improving memory and cognition in mice[1].

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

Animal Model:	ICR male mice[1]
Dosage:	15 mg/kg

Administration:	Oral administration
Result:	Improved the cognitive impairment of the mice.

REFERENCES

[1]. Jiang X, et, al. Rational design and biological evaluation of a new class of thiazolopyridyl tetrahydroacridines as cholinesterase and GSK-3 dual inhibitors for Alzheimer's disease. Eur J Med Chem. 2020 Dec 1;207:112751.

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