PROTAC GSK-3β Degrader-1

Cat. No.: HY-149845

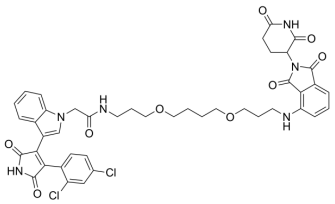
Molecular Formula: $C_{43}H_{42}Cl_2N_6O_9$

Molecular Weight: 857.73

Target: GSK-3; PROTACs

Pathway: PI3K/Akt/mTOR; Stem Cell/Wnt; PROTAC

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



BIOLOGICAL ACTIVITY

Description

PROTAC GSK-3β Degrader-1 (compound 1) is a degrader targets GSK-3β degradation with an IC_{50} value of 833 nM. PROTAC GSK-3β Degrader-1 contains SB-216763 (a GSK-3β inhibitor), a PEG linker and a CRBN (E3 ligase liand). PROTAC GSK-3β Degrader-1 reduces the neurotoxicity induced by $Aβ_{25-35}$ peptide and $CuSO_4$. PROTAC GSK-3β Degrader-1 can be used to research in Alzheimer's disease[1].

IC_{50} & Target

GSK-3β
833 nM (IC_{50})

In Vitro

PROTAC GSK-3β Degrader-1 (1.25-40 μM, 24 h) exhibits low cytotoxicity at low doses, exhibits cytotoxicity exceeds 20 μM in SH-SY5Y[1].
PROTAC GSK-3β Degrader-1 (1 μM, 24 h) counteracts the neurotoxicity induced by $CuSO_4$ (150 μM) in SH-SY5Y[1].
PROTAC GSK-3β Degrader-1 (0.5-1 μM, 2 h) reduces the neurotoxicity induced by $Aβ_{25-35}$ peptide, in a dose-dependent manner in SH-SY5Y[1].
PROTAC GSK-3β Degrader-1 (0.5-10 μM, 48 h) reduces GSK-3β protein levels in a dose-dependent manner in SH-SY5Y, with a DC_{50} value of 6.22 μM[1].
PROTAC GSK-3β Degrader-1 (10 μM, 24 h) degrades GSK-3β protein involves UPS in SH-SY5Y[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:	0.5 μM, 1 μM
Incubation Time:	2 h, 24 h
Result:	Counteracted the neurotoxicity induced by $CuSO_4$ at 1 μM for 24 h. Reduced the neurotoxicity induced by $Aβ_{25-35}$ peptide in a dose-dependent manner for 2 h.

Western Blot Analysis[1]

Cell Line:	SH-SY5Y
Concentration:	0.5 μM, 1 μM, 5 μM, 10 μM

Incubation Time:	48 h
Result:	Decreased GSK-3β protein levels in a dose-dependent manner, with a DC_{50} value of 6.22 μM.

Western Blot Analysis[1]

Cell Line:	SH-SY5Y
Concentration:	10 μM
Incubation Time:	24 h
Result:	Had no effect on the total GSK-3β protein level in the presence of lactacystin at a concentration of 5 μM.

REFERENCES

[1]. Guardigni M, et al. PROTAC-Induced Glycogen Synthase Kinase 3β Degradation as a Potential Therapeutic Strategy for Alzheimer's Disease. ACS Chem Neurosci. 2023 Jun 7;14(11):1963-1970.

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