GSK-3β inhibitor 6

Cat. No.: HY-143260

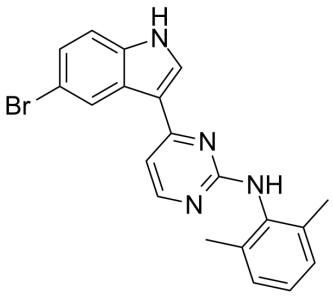
Molecular Formula: $C_{20}H_{17}BrN_4$

Molecular Weight: 393.28

Target: GSK-3

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

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



BIOLOGICAL ACTIVITY

Description

GSK-3β inhibitor 6 is a potent GSK-3β inhibitor with an IC_{50} value of 24.4 μM. GSK-3β inhibitor 6 shows high hepatocyte glucose uptake (38%). GSK-3β inhibitor 6 can be used in the research of numerous diseases like diabetes, inflammation, cancer, Alzheimer's disease, and bipolar disorder[1].

IC_{50} & Target

GSK-3β
24.4 μM (IC_{50})

In Vitro

GSK-3β inhibitor 6 (Compound B30, 0-30 μM, 30 min) shows good GSK-3β kinase inhibitory activity (IC_{50}: 24.4 μM)[1].
GSK-3β inhibitor 6 (5 μM, 3 h) shows high hepatocyte glucose uptake (38%) with no significant toxicity against HepG2 cells[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GSK-3β inhibitor 6 (Compound 5k, oral administration, 20 mg/kg) shows favorable drug-like properties ($t_{1/2}$: 1.41 h, C_{max}: 288 ng/mL)[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague-Dawley rats (pharmacokinetic assay)[2]
Dosage:	2 mg/kg, 20 mg/kg
Administration:	Intravenous injection (2 mg/kg), oral administration (20 mg/kg)
Result:	Pharmacokinetic profile of GSK-3β inhibitor 6 (Compound 5k).

Compound	Route	Dose (mg/kg)	$t_{1/2}$ (h)	Tmax (h)	C_{max} (ng/mL)	AUC_{0-t} (hr•ng/mL)	$AUC_{0-\infty}$ (hr•ng/mL)	CL (mL/hr/kg)	F (%)
GSK-3β inhibitor 6	Oral administration	20	1.41	1.33	288	1030	1073	18719	11.4
GSK-3β inhibitor 6	Intravenous injection	2	2.13	0.08	449	872.89	940.48	2190.83	

	F: oral bioavailability.

REFERENCES

[1]. Shuwen Han, et al. Structural-Based Optimizations of the Marine-Originated Meridianin C as Glucose Uptake Agents by Inhibiting GSK-3β. Mar Drugs. 2021 Mar 12;19(3):149.

[2]. Shuwen Han, et al. Structure-Based design of Marine-derived Meridianin C derivatives as glycogen synthase kinase 3β inhibitors with improved oral bioavailability: From aminopyrimidyl-indoles to the sulfonyl analogues. Bioorg Chem. 2022 Feb;119:105537.

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