GSK-3β inhibitor 7

Cat. No.: HY-143261

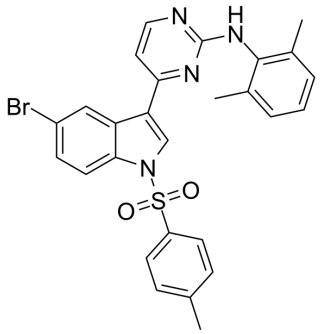
Molecular Formula: $C_{27}H_{23}BrN_4O_2S$

Molecular Weight: 547.47

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 7 is a GSK-3β inhibitor with an IC_{50} value of 5.25 μM. GSK-3β inhibitor 7 is inserted into the ATP-binding binding pocket of GSK-3β and forms hydrogen-bond. GSK-3β inhibitor 7 shows high hepatocyte glucose uptake (83.5%), and 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
5.25 μM (IC_{50})

In Vitro

GSK-3β inhibitor 7 (Compound 6x, 5 μM, 3 h) shows high glucose uptake (83.5%) in musle L6 cells[1].
GSK-3β inhibitor 7 (0-30 μM, 30 min) inhibits GSK-3β with an IC_{50} value of 5.25 μM[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

GSK-3β inhibitor 7 (Compound 6x, oral administration, 20 mg/kg) shows favorable drug-like properties ($t_{1/2}$: 5.4 h, C_{max}: 507 ng/mL) and oral bioavailability in rats[1].
GSK-3β inhibitor 7 (intragastric administration, 1 g/kg) displays no acute toxicity at a 1 g/kg dose in mice[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Sprague-Dawley rats (pharmacokinetic assay)[1]
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 7 (Compound 6x).

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 7	Oral administration	20	5.40	1.67	507	4265	4501	4536	47.4

GSK-3β inhibitor 7	Intravenous injection	2	8.95	0.08	519	859	948.6	2138.66	

F: oral bioavailability.

Animal Model:	Male and female mice (acute assay)[1]
Dosage:	1 g/kg
Administration:	intragastric administration
Result:	Increased body weights, caused no death or obvious weight loss. Showed no marked pathological damage in important organs (brain, heart, liver, spleen, lung, and kidney).

REFERENCES

[1]. 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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