GSK-3 inhibitor 3

Cat. No.: HY-154851

CAS No.: 2227279-84-5

Molecular Formula: $C_{23}H_{15}FN_6O$

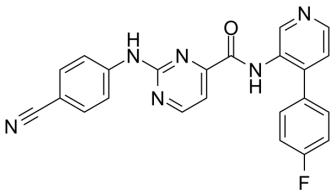
Molecular Weight: 410.4

Target: GSK-3; CDK; Tau Protein

Pathway: PI3K/Akt/mTOR; Stem Cell/Wnt; Cell Cycle/DNA Damage; Neuronal Signaling

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (121.83 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.4366 mL	12.1832 mL	24.3665 mL
	5 mM	0.4873 mL	2.4366 mL	4.8733 mL
	10 mM	0.2437 mL	1.2183 mL	2.4366 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

GSK-3 inhibitor 3 is a selective, orally active and brain-penetrant inhibitor of GSK-3, with IC_{50}s of 0.35 nM and 0.25 nM for GSK-3α and GSK-3β, respectively. GSK-3 inhibitor 3 lowers levels of tau protein phosphorylation at S396 in a triple-transgenic mouse Alzheimer's disease model, with IC_{50} of 10 nM. GSK-3 inhibitor 3 can be used for neurological disease research[1].

IC_{50} & Target

GSK-3α	GSK-3β	CDK2	CDK5
0.35 nM (IC_{50})	0.25 nM (IC_{50})	0.22 μM (IC_{50})	1.3 μM (IC_{50})

In Vitro

GSK-3 inhibitor 3 (Compound 34) (1 μM) is a highly selective inhibitor of CDK2 and CDK5, with IC_{50}s of 0.22 μM and 1.3 μM for CDK2 and CDK5, respectively[1].

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

In Vivo

GSK-3 inhibitor 3 (2 mg.kg for i.v., 10 mg/kg for p.o.) shows a $T_{1/2}$ of 2.5 h (i.v.), and oral bioavailability (F%) of ~100% in male C57BL6 mice [1].

GSK-3 inhibitor 3 (10 mg/kg for p.o.; only once) produces a 33% reduction in pTau396 in Alzheimer's disease model using

LaFerla 3xTg-C57BL6 mice [1].

Pharmacokinetic parameters for GSK-3 inhibitor 3(Compound 34) in Mice[1]

max

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>T (h)

Route	Dose (mg/kg)	CL (mL•min^{-1}/kg^{-1})	V_{ss} (L/kg)	MRT (h)	$T_{1/2}$ (h)	AUC_{tot} (μM/h)	C_{max} (μM)	F (%)
i.v.	2	23.3	5.4	3.9	2.5	/	/	/
p.o.	10	/	/	/	/	24.3	3.5	~100

Animal Model:	Alzheimer's disease model using LaFerla 3xTg-C57BL6 mice[1]
Dosage:	10 mg/kg
Administration:	Oral gavage (p.o.)
Result:	Produced a 37% reduction in pTau396.

REFERENCES

[1]. Hartz RA, et.al. Discovery of 2-(Anilino)pyrimidine-4-carboxamides as Highly Potent, Selective, and Orally Active Glycogen Synthase Kinase-3 (GSK-3) Inhibitors. J Med Chem. 2023 Jun 8;66(11):7534-7552.

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