Tideglusib

Cat. No.: HY-14872

CAS No.: 865854-05-3

Molecular Formula: $C_{19}H_{14}N_2O_2S$

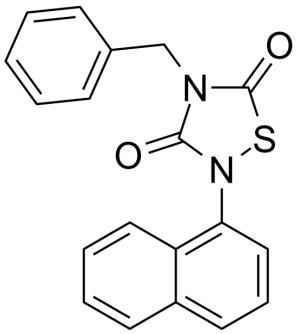
Molecular Weight: 334.39

Target: GSK-3

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

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

DMSO : 33.33 mg/mL (99.67 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9905 mL	14.9526 mL	29.9052 mL
5 mM	0.5981 mL	2.9905 mL	5.9810 mL
10 mM	0.2991 mL	1.4953 mL	2.9905 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Tideglusib (NP031112) is an irreversible GSK-3 inhibitor with IC_{50}s of 5 nM and 60 nM for GSK-3β^{WT} (1 h preincubation) and GSK-3β^{C199A} (1 h preincubation), respectively.

IC_{50} & Target

GSK-3β(WT)	GSK-3β(C199A)
5 nM (IC_{50})	60 nM (IC_{50})

In Vitro

Incubation of both astrocyte and microglial cultures with Tideglusib (NP031112) completely abrogates the induction of TNF-α and COX-2 expression after glutamate treatment. These effects of NP031112 are not caused by a loss of cell viability, because the 24 h exposure of astrocyte and microglial cells to this TDZD does not modify cell viability[2].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Injection of Tideglusib (NP031112) (50 mg/kg) into the rat hippocampus dramatically reduces kainic acid-induced inflammation, as measured by edema formation using T2-weighted magnetic resonance imaging and glial activation and has a neuroprotective effect in the damaged areas of the hippocampus[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Animal Administration [2]	Rats[2] Adult male Wistar rats (8-12 weeks old) are used in this study. Rats (n≥5 per group) are placed into a stereotaxic apparatus. KA (1 μg in 2.5 μL PBS) alone or in combination with Tideglusib (2 ng in 2.5 μL PBS) is injected into the hippocampus. Control animals of the same age are injected with vehicle. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Sci Transl Med. 2018 Jul 18;10(450):eaaq1093.
- Stem Cell Res Ther. 2022 Jun 21;13(1):269.
- Biochem Biophys Res Commun. 2021 Apr 1;554:206-213.
- Int J Clin Exp Pathol. 2017;10(3):3033-3042.
- Harvard Medical School LINCS LIBRARY

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REFERENCES

[1]. Domínguez JM, et al. Evidence for irreversible inhibition of glycogen synthase kinase-3β by tideglusib. J Biol Chem, 2012, 287(2), 893-90

[2]. Luna-Medina R, et al. NP031112, a thiadiazolidinone compound, prevents inflammation and neurodegeneration under excitotoxic conditions: potential therapeutic role in brain disorders. J Neurosci, 2007, 27(21), 5766-5776.

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