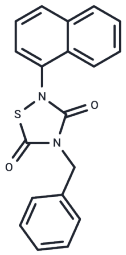
Data Sheet (Cat.No.T3067)

TargetMol

Tideglusib

Chemical Properties



CAS No. : 865854-05-3

Formula: C19H14N2O2S

Molecular Weight: 334.39

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Tideglusib (NP031112), a non-ATP competitive inhibitor of glycogen synthase kinase 3 (GSK-3), is with anti-inflammatory and neuroprotective activities.
Targets(IC50)	GSK-3
In vitro	Tideglusib (2.5 μM) inhibited glutamate-induced cell activation in rat primary astrocytes or microglia. In human adult neuroblastoma cells and murine primary neurons, Tideglusib irreversibly inhibited GSK-3, resulting in a decrease in tau protein phosphorylation levels and preventing apoptosis.
In vivo	Tideglusib (2.5 μM) inhibited glutamate-induced cell activation in rat primary astrocytes or microglia. In human adult neuroblastoma cells and murine primary neurons, Tideglusib irreversibly inhibited GSK-3, resulting in a decrease in tau protein phosphorylation levels and preventing apoptosis.
Kinase Assay	[35S]Tideglusib (207 Bq/nmol) at 55 μM is incubated with 5 μM GSK-3β for 1 h at 25°C in 315 μL of 50 mM Tris-HCl, pH 7.5, containing 150 mM NaCl and 0.1 mM EGTA. The incubation is extended for another 30 min after having added 35 μL of the same buffer with or without 100 mM DTE. Samples are then processed in three different ways. First, an aliquot of 125 μL of each sample is mixed with 375 μL of 8 M GdnHCl in Water and heated at 80°C for 5 min. A second aliquot of 125 μL is diluted up to 500 μL with Water and left at room temperature for 5 min. In both cases, the free drug is removed afterwards by gel filtration through Sephadex G-25, and the amount of bound drug is determined by liquid scintillation counting on a 1450-MicroBeta TriLux counter. Finally, a third 40 μL aliquot of each original sample is mixed with 10 μL of denaturing electrophoresis sample buffer without reducing agents, and 35 μL of this mixture is loaded onto a 10% polyacrylamide gel and subjected to SDS-PAGE (again in the absence of reducing agents except for the DTE already included in the corresponding sample), followed by fluorography of the dried gel[1].

Solubility Information

Solubility	Ethanol: < 1 mg/mL (insoluble or slightly soluble), DMSO: 33.33 mg/mL (99.67 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.98 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.9905 mL	14.9526 mL	29.9052 mL
5 mM	0.5981 mL	2.9905 mL	5.981 mL
10 mM	0.2991 mL	1.4953 mL	2.9905 mL
50 mM	0.0598 mL	0.2991 mL	0.5981 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Domínguez JM, et al. J Biol Chem, 2012, 287(2), 893-90
Dou X, Sun Q, Xu G, et al. Discovery of 2-(furan-2-ylmethylene) hydrazine-1-carbothioamide derivatives as novel inhibitors of SARS-CoV-2 main protease. European Journal of Medicinal Chemistry. 2022: 114508
Luna-Medina R, et al. J Neurosci, 2007, 27(21), 5766-5776.
Serenó L, et al. Neurobiol Dis, 2009, 35(3), 359-367.