

## Thiamet G

## Chemical Properties

CAS No. : 1009816-48-1

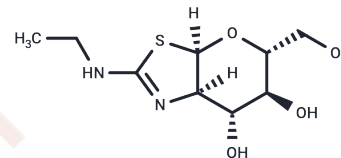
Formula: C<sub>9</sub>H<sub>16</sub>N<sub>2</sub>O<sub>4</sub>S

Molecular Weight: 248.3

Store at low temperature

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	Thiamet G is a potent O-GlcNAcase inhibitor (K <sub>i</sub> =20 nM) with selectivity. The role of O-GlcNAcase is to remove O-GlcNAc from the modified protein.
Targets(IC50)	Autophagy
In vitro	<p><b>METHODS:</b> PC-12 cells were treated with Thiamet G (1 nM-250 μM), and the level of O-GlcNAcase was detected by Western Blot. After PC-12 cells were treated with Thiamet G (100 nM), the level of O-GlcNAcase was detected by Western Blot.</p> <p><b>RESULTS:</b> Thiamet G inhibited O-GlcNAcase in PC-12 cells in a dose - and time-dependent manner and reduced the phosphorylation level of tau protein. [1]</p> <p><b>METHODS:</b> Mesangial cells were treated with Thiamet G (12.5, 25 nM), and the O-GlcNAcylation level and p38 phosphorylation level were detected by Western Blot.</p> <p><b>RESULTS:</b> Thiamet G significantly enhances p38 phosphorylation in glomerular cell lines by increasing O-GlcNAcylation. [2]</p>
In vivo	<p><b>METHODS:</b> To study the neuroprotective effect of Thiamet G, Thiamet G (20 mg/kg) was intraperitoneally injected into mice for 3 consecutive days.</p> <p><b>RESULTS:</b> Thiamet G exhibited neuroprotective effects by inhibiting O-GlcNAcase. [3]</p> <p><b>METHODS:</b> To study the antiepileptic effect of Thiamet G, Thiamet G (10 mg/kg) was intraperitoneally injected into an epileptic rat model once a day for three consecutive days.</p> <p><b>RESULTS:</b> Thiamet G significantly reduced the duration of epileptic seizures and the frequency of interictal spikes. [4]</p>
Kinase Assay	All enzymatic assays are performed in triplicate at 37°C using 4-methylumbelliferyl N-acetyl-β-d-glucosaminide dehydrate as substrate. 1 nM of purified OGA is incubated with the compounds for 5 min, and then 0.2 mM of the substrate is added. The liberation of 4-methylumbellifery is monitored by kinetic reading at excitation/emission 355/460 nm using a Tecan M200 plate in a mode of 60 s/cycle and 15 cycles in total.
Cell Research	Jurkat cells are seeded at 6000 cells/well in a 96-well plate, and 12 h later, cells are treated with compounds for the indicated time. Cell viability is determined by XTT assay.

## Solubility Information

## A DRUG SCREENING EXPERT

Solubility	H2O: 50 mg/mL (201.37 mM),Sonication is recommended. DMSO: 100 mg/mL (402.74 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: 4 mg/mL (16.11 mM),Sonication is recommended. <i>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.</i>

### Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	4.0274 mL	20.1369 mL	40.2739 mL
5 mM	0.8055 mL	4.0274 mL	8.0548 mL
10 mM	0.4027 mL	2.0137 mL	4.0274 mL
50 mM	0.0805 mL	0.4027 mL	0.8055 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

Yuzwa SA, et al. A potent mechanism-inspired O-GlcNAcase inhibitor that blocks phosphorylation of tau in vivo. *Nat Chem Biol.* 2008 Aug;4(8):483-90.

Liu R, Liu Y, Zhang W, et al.PCK1 attenuates tumor stemness via activating the Hippo signaling pathway in hepatocellular carcinoma.*Genes & Diseases.*2023: 101114.

Goldberg H, et al. O-linked  $\beta$ -N-acetylglucosamine supports p38 MAPK activation by high glucose in glomerular mesangial cells. *Am J Physiol Endocrinol Metab.* 2011 Oct;301(4):E713-26.

He Y, et al. Thiamet G mediates neuroprotection in experimental stroke by modulating microglia/macrophage polarization and inhibiting NF- $\kappa$ B p65 signaling. *J Cereb Blood Flow Metab.* 2017 Aug;37(8):2938-2951.

Sánchez RG, et al. Human and rodent temporal lobe epilepsy is characterized by changes in O-GlcNAc homeostasis that can be reversed to dampen epileptiform activity. *Neurobiol Dis.* 2019 Apr;124:531-543.

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