产品名称：Thiamet G
产品别名：Thiamet G0nDiscontinued; Thiamet G; Thiamet G;

生物活性：

Description	Thiamet G is a potent and selective inhibitor of O-GlcNAcase (OGA), which acts to remove O-GlcNAc from modified proteins, with K_i of 20 nM for human OGA.
IC_{50} & Target	Ki: 20 nM (Human OGA)[1]
In Vitro	Thiamet G (1 μM) induces a clear increase in the accumulation of O-GlcNAcylated proteins of ATDC5 cells. O-GlcNAc accumulation induced by Thiamet G also evokes a clear increase in the activity of these MMPs. Thiamet G (1 μM) induces the phosphorylation of JNK, ERK, and p38 but not phosphorylation of Akt[2]. Thiamet G (0.1-10 μM) does not significantly affect the cell viability. Thiamet G decreases phosphorylation of tau and alters the microtubule dynamics[3].
In Vivo	Thiamet G (500 mg/kg/d) increases global and tau O-GlcNAc and reduces neurodegeneration. Thiamet G-treated group has 1.4-fold more motor neurons and hinders tau-driven neurodegeneration within this transgenic model. Thiamet G treatment therefore has no detectable effect on mice lacking the P301L transgene, indicating that prevention of neurodegeneration and weight loss is mediated by Thiamet G treatment only in the context of the P301L transgene. In Thiamet G-treated mice, the O-GlcNAc increases in the brain and spinal cord tissues[1]. Thiamet G (20 mg/kg, i.p.) increases O-GlcNAc levels in brain, liver, and knee of the C57BL/6 mice in a dose-dependent manner[2].
Solvent&Solubility	***In Vitro:*** **H_2O : ≥ 50 mg/mL (201.37 mM)** **DMSO : ≥ 45 mg/mL (181.23 mM)** * "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

References	[1]. Yuzwa SA, et al. Increasing O-GlcNAc slows neurodegeneration and stabilizes tau against aggregation. Nat Chem Biol. 2012 Feb 26;8(4):393-9. [2]. Andrés-Bergós J, et al. The increase in O-linked N-acetylglucosamine protein modification stimulates chondrogenic differentiation both in vitro and in vivo. J Biol Chem. 2012 Sep 28;287(40):33615-28. [3]. Ding N, et al. Thiamet-G-mediated inhibition of O-GlcNAcase sensitizes human leukemia cells to microtubule-stabilizing agent paclitaxel. Biochem Biophys Res Commun. 2014 Oct 24;453(3):392-7.

实验参考：

Cell Assay	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. [3]
	For the Thiamet G dose dependence study, six 23-day-old male C57BL/6 mice receive single intraperitoneal injections of either 0, 10, 20, 100, 200, or 500 mg/kg of Thiamet G dissolved in

Animal Administration	phosphate-buffered saline (PBS) and then are euthanized 8 h later to evaluate the O-GlcNAc levels in different tissues (brain, liver, muscle, and knee). The time of sacrifice is chosen on the basis of previously published data on Thiamet G in rodents, which demonstrates that the peak level of O-GlcNAc proteins following administration of the drug is achieved after 8-10 h. Tissues are collected immediately after sacrifice, flash-frozen in liquid nitrogen, and stored at −80°C until required for use. [2]
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. [3]
References	[1]. Yuzwa SA, et al. Increasing O-GlcNAc slows neurodegeneration and stabilizes tau against aggregation. Nat Chem Biol. 2012 Feb 26;8(4):393-9. [2]. Andrés-Bergós J, et al. The increase in O-linked N-acetylglucosamine protein modification stimulates chondrogenic differentiation both in vitro and in vivo. J Biol Chem. 2012 Sep 28;287(40):33615-28. [3]. Ding N, et al. Thiamet-G-mediated inhibition of O-GlcNAcase sensitizes human leukemia cells to microtubule-stabilizing agent paclitaxel. Biochem Biophys Res Commun. 2014 Oct 24;453(3):392-7.