

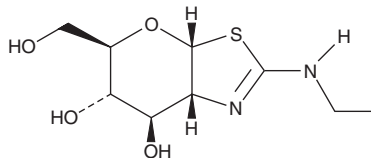
Product Information



Thiamet G

Catalog No. 13237

CAS Registry No.: 1009816-48-1
Formal Name: 2-(ethylamino)-3aR,6S,7R,7aR-tetrahydro-5R-(hydroxymethyl)-5H-pyrano[3,2-d]thiazole-6,7-diol
MF: C₉H₁₆N₂O₄S
FW: 248.3
Purity: ≥97%
Stability: ≥2 years at -20°C
Supplied as: A crystalline solid



Laboratory Procedures

For long term storage, we suggest that thiamet G be stored as supplied at -20°C. It should be stable for at least two years.

Thiamet G is supplied as a crystalline solid. A stock solution may be made by dissolving the thiamet G in an organic solvent purged with an inert gas. Thiamet G is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of thiamet G in these solvents is approximately 0.5 mg/ml in ethanol and approximately 20 mg/ml in DMSO and DMF.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of thiamet G can be prepared by directly dissolving the crystalline compound in aqueous buffers. The solubility of thiamet G in PBS, pH 7.2, is approximately 3 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Hyperphosphorylation of the tau protein leads to its aggregation and formation of neurofibrillary tangles, a hallmark of Alzheimer's disease and other tauopathic, neurodegenerative disorders. Tau is also dynamically modified by the addition and cleavage of O-linked β-N-acetylglucosamine (O-GlcNAc) moieties, which is mediated in part by O-GlcNAcase. Levels of O-GlcNAcylated proteins from Alzheimer's disease brain extracts are decreased as compared to that in controls, suggesting that impaired brain glucose metabolism may contribute to pathogenesis.¹ Thiamet G is a potent and selective inhibitor of O-GlcNAcase that demonstrates a K_i value of 21 nM.² It increases cellular O-GlcNAc-modified protein levels (EC₅₀ = 30 nM) and blocks phosphorylation of tau protein both in cultured PC-12 cells and in rats (200 mg/kg/day).² Thiamet G is the first highly potent O-GlcNAcase inhibitor known to be orally bioavailable and effectively cross the blood brain barrier.²

References

1. Liu, F., Iqbal, K., Grundke-Iqbal, I., *et al.* O-GlcNAcylation regulates phosphorylation of tau: A mechanism involved in Alzheimer's disease. *Proc. Natl. Acad. Sci. USA* **101**(29), 10804-10809 (2004).
2. Yuzwa, S.A., Macauley, M.S., Heinonen, J.E., *et al.* A potent mechanism-inspired O-GlcNAcase inhibitor that blocks phosphorylation of tau *in vivo*. *Nat. Chem. Bio.* **4**(8), 483-490 (2008).

Related Product

N-acetyl-D-Glucosamine - Cat. No. 13136

WARNING: THIS PRODUCT IS FOR LABORATORY RESEARCH ONLY: NOT FOR ADMINISTRATION TO HUMANS. NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

MATERIAL SAFETY DATA

This material should be considered hazardous until information to the contrary becomes available. Do not ingest, swallow, or inhale. Do not get in eyes, on skin, or on clothing. Wash thoroughly after handling. This information contains some, but not all, of the information required for the safe and proper use of this material. Before use, the user must review the complete Material Safety Data Sheet, which has been sent under separate cover to the MSDS supervisor at your institution.

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