

Product Data Sheet

Product Name: Thioguanine

Cat. No.: GC14366

Chemical Properties

Cas. No. 154-42-7

Chemical Name 2-amino-3,7-dihydropurine-6-thione

SMILES C1=NC2=C(N1)C(=S)N=C(N2)N

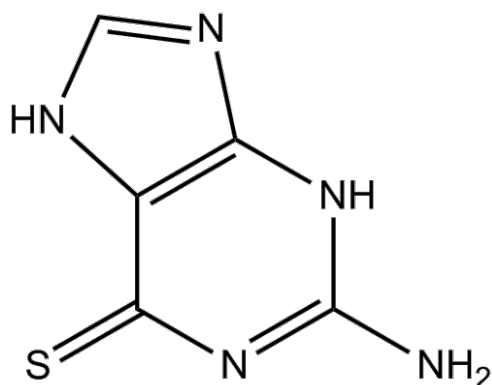
Formula C5H5N5S M.Wt 167.19

Solubility ≥ 8.35 mg/mL in DMSO with gentle warming Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



Protocol

Cell experiment [1]:

Cell lines MDA-MB-231 cells

Caution: Product has not been fully validated for medical applications. For research use only.

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MDA-MB-231 cells were cultured in RPMI 1640 medium supplemented with 10% fetal bovine serum, 100IU/ml penicillin, and 100µg/ml streptomycin. The cells were cultured at 37°C in a humidified environment with 5% CO₂. 6.5×10³ Preparation Method cells were seeded in each well of a 96-well plate and treated with various concentrations of Thioguanine (0.01, 0.1, 1, 10, 100, and 1000µM) for 48 hours. After that, CCK-8 solution was added and absorbance was measured at 450nm wavelength.

Reaction Conditions 0.01, 0.1, 1, 10, 100, and 1000µM; 48h

Applications Thioguanine treatment decreased the cell viability of MDA-MB-231 cells in a dose-dependent manner.

Animal experiment [2]:

Animal models Female C3H/HeSlc mice

10-week-old female C3H/HeSlc mice acclimated to the environment for one week.

Preparation Method A mouse model of Leptomeningeal carcinomatosis was established by injecting 0.05 ml of 2×10⁵ methotrexate -resistant MM46 cells into the cisterna magna through a 26-gauge needle under anesthesia. Mice were maintained under SPF-grade conditions with controlled temperature and humidity, 12h light/12h dark cycles, and had ad libitum access to standard diet and sterilized tap water. The body weight, mobility, and food intake of mice were observed daily. Thioguanine was administered by gavage once a day for five consecutive days at a dose of 0.04mg, and the survival rate was analyzed.

Dosage form 0.04mg/day for 5 days; p.o.

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Applications Thioguanine treatment significantly extended survival of mouse model of leptomeningeal carcinomatosis.

References:

- [1] Zhang D, An X, Li Q, et al. Thioguanine induces apoptosis in triple-negative breast cancer by regulating PI3K–AKT pathway[J]. *Frontiers in Oncology*, 2020, 10: 524922.
- [2] Nakagawa H, Yui Y, Suzuki T, et al. Investigation of 6-thioguanine as a strategy to overcome methotrexate resistance in a mouse model of leptomeningeal carcinomatosis[J]. *Journal of Neuro-Oncology*, 2026, 176(1): 64.

Background

Thioguanine is an anti-leukemia and immunosuppressant agent, with IC₅₀ values of 40μM and 25μM for recombinant USP2 and coronaviral PLpro, respectively [1]. Thioguanine can be incorporated into CpG sites, affecting methylation of cytosine residues by methyltransferases and reducing the overall methylation level of cytosine[2]. Thioguanine has been widely used to inhibit a wide variety of cancer cells with a high frequency of homozygous deletion of the gene for methylthioadenosine phosphorylase (MTAP) [3].

In vitro, Thioguanine treatment for 48h inhibited the cell viability of MDA-MB-231, HCC1937, and MCF-10A cells with IC₅₀ values of 2.489, 6.3, and 646.5μM, respectively [4]. Thioguanine treatment for 24 hours inhibited Herpes simplex virus 1 (HSV-1) replication in human corneal epithelial cells (HCECs) with an IC₅₀ value of 0.104μM[5]. Treatment with 1μM Thioguanine for 48 hours significantly inhibited DNA polymerase γ replication in HCT116 cells, damaged mitochondrial DNA, and led to mitochondrial dysfunction[6].

In vivo, Thioguanine treatment via oral administration at a dose of 2.5mg/kg/day for 14 days ameliorated dextran sodium sulfate-induced chronic colitis in C57Bl/6 mice [7]. Thioguanine treatment (0.04mg/day; p.o.) for 5 days significantly extended the survival of the mouse model of leptomeningeal carcinomatosis[8].

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- [1] Chuang S J, Cheng S C, Tang H C, et al. 6-Thioguanine is a noncompetitive and slow binding inhibitor of human deubiquitinating protease USP2[J]. Scientific reports, 2018, 8(1): 3102.
- [2] Wang H, Wang Y. 6-Thioguanine perturbs cytosine methylation at the CpG dinucleotide site by DNA methyltransferases in vitro and acts as a DNA demethylating agent in vivo[J]. Biochemistry, 2009, 48(10): 2290-2299.
- [3] Munshi P N, Lubin M, Bertino J R. 6-thioguanine: a drug with unrealized potential for cancer therapy[J]. The oncologist, 2014, 19(7): 760-765.
- [4] Zhang D, An X, Li Q, et al. Thioguanine induces apoptosis in triple-negative breast cancer by regulating PI3K–AKT pathway[J]. Frontiers in Oncology, 2020, 10: 524922.
- [5] Chen D, Liu Y, Zhang F, et al. 6-Thioguanine inhibits herpes simplex virus 1 infection of eyes[J]. Microbiology Spectrum, 2021, 9(3): e00646-21.
- [6] Daehn I, Brem R, Barkauskaite E, et al. 6-Thioguanine damages mitochondrial DNA and causes mitochondrial dysfunction in human cells[J]. FEBS letters, 2011, 585(24): 3941-3946.
- [7] Oancea I, Movva R, Das I, et al. Colonic microbiota can promote rapid local improvement of murine colitis by thioguanine independently of T lymphocytes and host metabolism[J]. Gut, 2017, 66(1): 59-69.
- [8] Nakagawa H, Yui Y, Suzuki T, et al. Investigation of 6-thioguanine as a strategy to overcome methotrexate resistance in a mouse model of leptomeningeal carcinomatosis[J]. Journal of Neuro-Oncology, 2026, 176(1): 64.

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