6-Thioguanine

Cat. No.: HY-13765

CAS No.: 154-42-7

Molecular Formula: $C_5H_5N_5S$

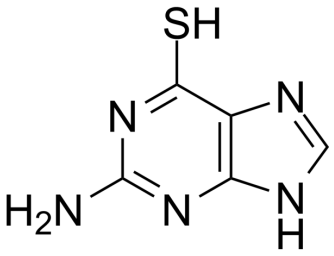
Molecular Weight: 167.19

Target: SARS-CoV; Deubiquitinase; Autophagy; Apoptosis; DNA Methyltransferase; Endogenous Metabolite

Pathway: Anti-infection; Cell Cycle/DNA Damage; Autophagy; Apoptosis; Epigenetics; Metabolic Enzyme/Protease

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (59.81 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	5.9812 mL	29.9061 mL	59.8122 mL
	5 mM	1.1962 mL	5.9812 mL	11.9624 mL
	10 mM	0.5981 mL	2.9906 mL	5.9812 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.67 mg/mL (9.99 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

6-Thioguanine (Thioguanine; 2-Amino-6-purinethiol) is an anti-leukemia and immunosuppressant agent, acts as an inhibitor of SARS and MERS coronavirus papain-like proteases (PLpros) and also potently inhibits USP2 activity, with IC_{50}s of 25 μM and 40 μM for Plpros and recombinant human USP2, respectively.

IC_{50} & Target

IC50: 25 μM (PLpros), 40 μM (Recombinant human USP2)[3]

In Vitro

6-Thioguanine (Thioguanine; 2-Amino-6-purinethiol) is an anti-leukemia and immunosuppressant agent, acts as an inhibitor of SARS and MERS coronavirus papain-like proteases (PLpros) and also potently inhibits USP2 activity, with IC_{50}s of 25 μM and 40 μM for Plpros and recombinant human USP2, respectively[1]. 6-Thioguanine (Thioguanine) affects the methylation of cytosine residues by purified DNA methyltransferases including human DNMT1 and bacterial HpaII methylase. 6-

Thioguanine (Thioguanine) (1 or 3 μM) decreases global cytosine methylation in Jurkat T cells and cytosine methylation in human cells at 3 μM[2]. 6-Thioguanine (Thioguanine) (18.75, 37.50, or 75.00 μM) adversely affects cell viability, but with no effect on LDH or ALT activity[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [3]

Treatments consists of 3 thiopurines (azathioprine, 6-mercaptopurine, and 6-Thioguanine (Thioguanine)) at each of 6 concentrations (0.468, 0.937, 1.875, 3.750, 7.500, and 15.000 μM). Each thiopurinee is dissolved in DMSO solution to achieve a concentration of 10 mg/mL. Sterile filtered maintenance medium is used to further dilute each thiopurine solution to each of the 6 treatment concentrations. Twenty-four hours after the hepatocytes are plated on 96-well culture[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Nucleic Acids Res. 2021 Jan 8;49(D1):D1113-D1121.
- Cancer Lett. 2022 Jul 10;538:215692.
- Transl Res. 2023 Oct 30:S1931-5244(23)00179-2.
- PLoS Negl Trop Dis. 2019 Aug 20;13(8):e0007681.
- Patent. US20180263995A1.

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REFERENCES

[1]. Chuang SJ, et al. 6-Thioguanine is a noncompetitive and slow binding inhibitor of human deubiquitinating protease USP2. Sci Rep. 2018 Feb 15;8(1):3102.

[2]. Wang H, et al. 6-Thioguanine perturbs cytosine methylation at the CpG dinucleotide site by DNA methyltransferases in vitro and acts as a DNA demethylating agent in vivo. Biochemistry. 2009 Mar 17;48(10):2290-9.

[3]. LaDuke KE, et al. Effects of azathioprine, 6-mercaptopurine, and 6-thioguanine on canine primary hepatocytes. Am J Vet Res. 2015 Jul;76(7):649-55.

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