

Catalog # 10-1282

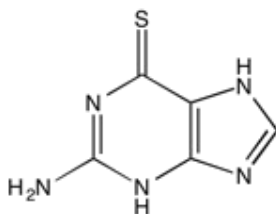
6-Thioguanine

CAS# 154-42-7

2-Amino-6-mercaptapurine

6-TG; NSC 752; NSC 76504

Lot # X106709



After incorporation into DNA, it disrupts cytosine methylation by DNA methyltransferases *in vitro* and acts as a DNA demethylating agent *in vivo*.¹ It reactivates epigenetically silenced genes in acute lymphoblastic leukemia cells by facilitating proteasome-mediated degradation of DNA methyltransferase (DNMT1).² Incorporates into DNA and induces double strand breaks which destabilize DNA structure resulting in cytotoxicity.³ Selectively kills BRCA2-defective tumors and overcomes PARP inhibitor resistance in a xenograft model.⁴ Anticancer and immunosuppressive activity.

- 1) Wang and Wang (2009), *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*, **48** 2290
- 2) Yuan *et al.* (2011), *6-thioguanine reactivates epigenetically silenced genes in acute lymphoblastic leukemia cells by facilitating proteasome-mediated degradation of DNMT1*; *Cancer Res.*, **71** 1904
- 3) Bohon and de los Santos (2005), *Effect of 6-thioguanine on the stability of duplex DNA*; *Nucleic Acid Res.*, **33** 2880
- 4) Issaeva *et al.* (2010), *6-thioguanine selectively kills BRCA2-defective tumors and overcomes PARP inhibitor resistance*; *Cancer Res.*, **70** 6268

PHYSICAL DATA

Molecular Weight:	167.19
Molecular Formula:	C ₅ H ₅ N ₅ S
Purity:	96% by HPLC
	NMR: (Conforms)
Solubility:	Soluble in DMF (up to 1.5 mg/ml with warming)
Physical Description:	Pale yellow solid
Storage and Stability:	Store as supplied, desiccated at -20°C for up to 1 year from the date of purchase. Solutions in DMF may be stored at -20°C for up to 1 month.

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