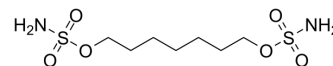


## Hepsulfam

Cat. No.:	HY-U00095		
CAS No.:	96892-57-8		
Molecular Formula:	C <sub>7</sub> H <sub>18</sub> N <sub>2</sub> O <sub>6</sub> S <sub>2</sub>		
Molecular Weight:	290.36		
Target:	Others		
Pathway:	Others		
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 : 100 mg/mL (344.40 mM; Need ultrasonic)

Concentration	Solvent	Mass		
		1 mg	5 mg	10 mg
Preparing Stock Solutions	1 mM	3.4440 mL	17.2200 mL	34.4400 mL
	5 mM	0.6888 mL	3.4440 mL	6.8880 mL
	10 mM	0.3444 mL	1.7220 mL	3.4440 mL

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

### BIOLOGICAL ACTIVITY

#### Description

Hepsulfam (NCI 329680; ZINC01574758) is a anticancer agent that shows excellent antileukemic activity with an median IC<sub>50</sub> of 0.91 µg/mL in a panel of different tumors.

#### IC<sub>50</sub> & Target

IC<sub>50</sub>: 0.91 µg/mL (Tumors)<sup>[1]</sup>

#### In Vitro

At a concentration of 1.0 µg/mL, hepsulfam is active in eight of 37 tumors (22%) in the clonogenic assay. Hepsulfam demonstrates a clear in vitro toxicity to human bone marrow cells (CFU-GM) from healthy donors. Evaluation of equitoxic concentrations in vitro reveals a higher activity of hepsulfam, especially in non-small cell lung cancer<sup>[1]</sup>. Hepsulfam is more toxic to L1210 leukemia cells than is busulfan, its structural homologue. Consistent with the difference in toxicity, hepsulfam induces DNA interstrand cross-links in L1210 mouse leukemia cells, whereas busulfan does not. Hepsulfam is more cytotoxic to two human leukemia cell lines (111-60 and K562) and to two human colon carcinoma cell lines (BE and HT-29) than is busulfan. As in L1210 cells, hepsulfam induces a higher level of DNA interstrand cross-links than busulfan. Hepsulfam is also more cytotoxic to the human leukemia cell lines when the concentrations are reduced 10-fold and the duration of drug exposure is increased to 12 h<sup>[2]</sup>.

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

### In Vivo

Hepsulfam demonstrates superior in vivo activity in a large cell lung cancer xenograft and a gastric carcinoma model. The preclinical activity of hepsulfam suggests a possible role of this compound in the treatment of solid human malignancies. However, the increased bone marrow toxicity of hepsulfam as compared with busulfan might be critical for further clinical application<sup>[1]</sup>.

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

## PROTOCOL

### Cell Assay <sup>[2]</sup>

HL-60 or K562 leukemia cells ( $1 \times 10^6$ /mL) are treated with various concentrations of hepsulfam for 2, 3, 6, 9, or 12 h at 37°C. The concentration of DMSO in either control or treated cells is never greater than 2% (v/v). Following drug exposure, the cells are washed by centrifugation in RPMI 1640 medium and resuspended in fresh medium. Following this wash, cells are either assayed immediately for DNA damage by alkaline elution or incubated at 37°C for various periods before assay. BE and HT-29 human colon carcinoma cells are processed for alkaline elution analysis or cytotoxicity assays<sup>[2]</sup>.

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

## REFERENCES

[1]. Berger DP, et al. Preclinical activity of hepsulfam and busulfan in solid human tumor xenografts and human bone marrow. *Anticancer Drugs*. 1992 Oct;3(5):531-9.

[2]. Pacheco DY, et al. Mechanisms of toxicity of hepsulfam in human tumor cell lines. *Cancer Res*. 1990 Dec 1;50(23):7555-8.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: [tech@MedChemExpress.com](mailto:tech@MedChemExpress.com)

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA