SIBA

Cat. No.: HY-18684

CAS No.: 35899-54-8

Molecular Formula: $C_{14}H_{21}N_5O_3S$

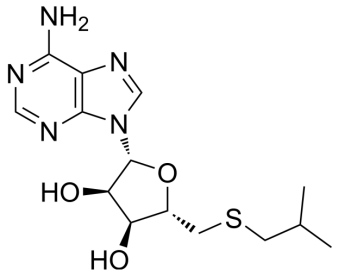
Molecular Weight: 339.41

Target: HSV; Nucleoside Antimetabolite/Analog; Parasite

Pathway: Anti-infection; Cell Cycle/DNA Damage

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 100 mg/mL (294.63 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.9463 mL	14.7314 mL	29.4629 mL
5 mM	0.5893 mL	2.9463 mL	5.8926 mL
10 mM	0.2946 mL	1.4731 mL	2.9463 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: ≥ 2.5 mg/mL (7.37 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (7.37 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (7.37 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

SIBA (5'-Isobutylthioadenosine) is a transmethylation inhibitor (SAH (HY-19528) analogue), with potent anti-proliferative activity. SIBA reversibly inhibits the production of HSV-1 by blocking methylation, specifically by blocking the 5' end-capping of viral mRNA. SIBA also inhibits the growth of tumour cells in vitro and metastatic spread in vivo. SIBA can be used in cancer, HSV-1 infection and anti-malaria studies[1][2][3].

IC_{50} & Target	Plasmodium	HSV-1

In Vitro

SIBA (0.5 mM; 24-96 h) shows strong anti-proliferative activity against 3LL and RMS-J1 tumour cells[1].
SIBA (1 mM; 12, 24 h) reversibly inhibits HSV production in HEp2 cells (infected by HSV-1)[2].
SIBA inhibits protein synthesis by 98% after 10 h infection of HEp2 cells (infected by HSV-1)[2].
SIBA (1 mM; 8.5 h) inhibits protein synthesis and RNA methylation in HEp2 cells (infected by HSV-1)[2].
SIBA (0.5, 1.0 mM; 24, 48 h) inhibits the conversion of putrescine into spermidine and/or spermine and that this inhibition is a reversible one (interferes with polyamine biosynthesis, probably by blocking aminopropyltransferase)[3].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	3 LL and RMS-J1 cells
Concentration:	0.5 mM
Incubation Time:	24-96 h
Result:	Inhibited 3LL and RMS-J1 tumor cell growth potently by 96% and 88%, respectively.

Cell Viability Assay[2]

Cell Line:	HEp2 cells (infected by HSV-1)
Concentration:	1 mM
Incubation Time:	12, 24 h
Result:	Decreased virus production by 88.4 and 98.2% when at 12 and 24 h, respectively.

Cell Viability Assay[2]

Cell Line:	HEp2 cells (infected by HSV-1)
Concentration:	1 mM
Incubation Time:	8.5 h
Result:	Reduced protein synthesis by 41.3% in normal medium and by 63.5% in medium poor in methionine. Inhibited RNA methylation by 65.4%.

Cell Viability Assay[3]

Cell Line:	chick embryo fibroblasts
Concentration:	0.5, 1.0 mM
Incubation Time:	24, 48 h
Result:	Inhibited the uptake of the radioactive diamine and that the inhibition was dose-dependent. Markedly inhibited the formation of [^{14}C]spermidine and [^{14}C]spermine from [^{14}C]putrescine. Inhibited the growth of chick embryo fibroblasts mainly after exposure for 48 h.

In Vivo

SIBA (150 mg/kg; i.p.; twice weekly for 3 weeks) inhibits tumor growth in vivo[1].
SIBA (15 mg/kg; i.p.; thrice weekly for 4 weeks) inhibits metastatic spread of RMS-J1 cells in vivo[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	C57BL/6 female mice (4-8 weeks old)[1].
Dosage:	150 mg/kg
Administration:	Intraperitoneal injection; twice weekly for 3 weeks.
Result:	Significantly reduced the median number of lung metastases.

Animal Model:	Adult syngeneic Wistar AG rats (8-week-old; subcutaneously grafted with RMS-J1 cells)[1].
Dosage:	15 mg/kg
Administration:	Intraperitoneal injection; thrice weekly for 4 weeks.
Result:	Inhibited in vivo metastatic spread of RMS-J1 cells, and showed median numbers of lung metastatic nodules was 26.

REFERENCES

[1]. Lawrence F, et al. Effect of 5'-deoxy-5'-isobutylthioadenosine on putrescine uptake and polyamine biosynthesis by chick embryo fibroblasts. Biochem J. 1982 Jun 15;204(3):853-9.

[2]. F Breillout , et al. Association of SIBA treatment and a Met-depleted diet inhibits in vitro growth and in vivo metastatic spread of experimental tumor cell lines. Clin Exp Metastasis. Jan-Feb 1988;6(1):3-16.

[3]. B Jacquemont, et al. Inhibition of viral RNA methylation in herpes simplex virus type 1-infected cells by 5' S-isobutyl-adenosine. J Virol. 1977 Apr;22(1):160-7.

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