Sparfosic acid

Cat. No.: HY-112732

CAS No.: 51321-79-0

Molecular Formula: $C_6H_{10}NO_8P$

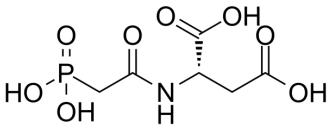
Molecular Weight: 255.12

Target: Apoptosis

Pathway: Apoptosis

Storage:

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



SOLVENT & SOLUBILITY

In Vitro

H_2O : 125 mg/mL (489.97 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.9197 mL	19.5986 mL	39.1972 mL
	5 mM	0.7839 mL	3.9197 mL	7.8394 mL
	10 mM	0.3920 mL	1.9599 mL	3.9197 mL

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

BIOLOGICAL ACTIVITY

Description

Sparfosic acid, a DNA antimetabolite agent, is a potent inhibitor of aspartate transcarbamoyl transferase, the enzyme catalyzing the second step of de novo pyrimidine biosynthesis. Sparfosic acid synergistically enhances the cytotoxicity of a combination of 5-fluorouracil (5-FU) and interferon-alpha (IFN) against human colon cancer cell lines[1][2][3].

In Vitro

Sparfosic acid (N-(Phosphonacetyl)-L-aspartate, PALA) causes apoptosis in the resistant Br1 cells[1].
Sparfosic acid (300 μM) shows progressive accumulation of cells in S phase and activation of an apoptotic pathway leading to cell death[1].

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

Cell Viability Assay[1]

Cell Line:	Br-l and L-2 cell lines established from metastasis in nude mouse injected with the human tumor cell line MDA-MB-435
Concentration:	300 μM

Incubation Time:	12, 24 and 48 hours
Result:	Cells were predominantly in S phase in both the cell lines, although slightly higher proportion of cells in S phase were noted in L-2 than Brl-3prl cells.

Western Blot Analysis[1]

Cell Line:	Br-l and L-2 cell lines
Concentration:	300 μM
Incubation Time:	4, 10 and 24 hours
Result:	There was moderate difference in the level of phosphorylated Rb proteins seen in the two cell types.Marked increase in the amount of cyclin A protein was detected in the L-2 cells undergoing apoptosis with the highest level detected at 10 h post-drug treatment.In contrast, there was no increase in the level of cyclin A seen in the Brl-3prl cells.Cyclin E protein was found elevated in the L-2 cells and Brl-3prl cells compared to their respective controls.

In Vivo

Sparfosic acid (490 mg/kg; i.p.; on days 1, 5, and 9; mice bearing B16 melanoma) shows the life-span is increased survives 77 to 86% longer than controls. Lewis lung carcinoma is highly sensitive to Sparfosic acid. Treatment on days 1, 5, and 9 following s.c. implantation of Lewis lung carcinoma is curative to 50% of the mice[4].

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CUSTOMER VALIDATION

- bioRxiv. 2023 Aug 3.

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REFERENCES

[1]. Wang J, et al. Elevated cyclin A associated kinase activity promotes sensitivity of metastatic human cancer cells to DNA antimetabolite drug. Int J Oncol. 2015 Aug;47(2):782-90.

[2]. Angela D. Morris, et al. A New, Efficient, Two Step Procedure for the Preparation of the Antineoplastic Agent Sparfosic Acid.

[3]. Johnson RK, et al. Antitumor activity of N-(phosphonacetyl)-L-aspartic acid, a transition-state inhibitor of aspartate transcarbamylase. Cancer Res. 1976;36(8):2720-2725.

[4]. Wadler S, et al. Phase II trial of N-(phosphonacetyl)-L-aspartate (PALA), 5-fluorouracil and recombinant interferon-alpha-2b in patients with advanced gastric carcinoma. Eur J Cancer. 1996;32A(7):1254-1256.

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