

Mitoguazone

Chemical Properties

CAS No. : 459-86-9

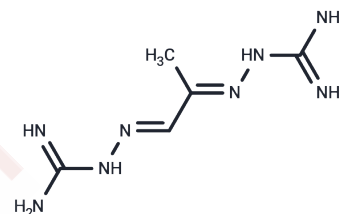
Formula: C₅H₁₂N₈

Molecular Weight: 184.2

Store at low temperature

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	Mitoguazone (Methyl-GAG) is a selective S-adenosyl-methionine decarboxylase inhibitor that penetrates the blood-brain barrier and disrupts polyamine biosynthesis. Mitoguazone is a synthetic polycarbonyl derivative with anti-tumor activity that inhibits the integration of HIV DNA into cellular DNA in monocytes and macrophages, inducing apoptosis. Mitoguazone can be used to prevent acute leukemia, Hodgkin lymphoma and non-Hodgkin lymphoma.
Targets(IC50)	Apoptosis,HIV Protease
In vitro	At concentrations as low as 0.5 µg/mL, Mitoguazone competitively inhibits spermidine synthesis in lymphocytes. At levels of 30 µg/mL or higher, it inhibits protein synthesis and mitochondrial respiration[5]. The ability of Mitoguazone to induce apoptosis by inhibiting the polyamine pathway was evaluated in three Burkitt lymphoma cell lines (Raji, Ramos, and Daudi) and a prostate cancer cell line (MPC 3). Mitoguazone induces apoptosis in a concentration- and time-dependent manner in all tested human cancer cell lines, and triggers p53-independent programmed cell death in the human breast cancer MCF7 cell line[2].
In vivo	The impact of different stages of leukemia (P388) on the pharmacokinetics of the anti-tumor drug Mitoguazone was investigated in mice. Regardless of the tumor stage under study, there was a slight reduction in the total clearance rate of Mitoguazone, reflecting a moderate increase in the AUC in the serum of leukemia-afflicted animals. Additionally, at the late tumor stage, the drug levels in the kidneys, liver, spleen, and serum were somewhat higher compared to early-stage leukemia, and were elevated to a certain extent compared to the tumor-free control[1].

Solubility Information

Solubility	H ₂ O: 50 mg/mL (271.44 mM),when pH is adjusted to 9 with HCl. Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	5.4289 mL	27.1444 mL	54.2888 mL
5 mM	1.0858 mL	5.4289 mL	10.8578 mL
10 mM	0.5429 mL	2.7144 mL	5.4289 mL
50 mM	0.1086 mL	0.5429 mL	1.0858 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

- R Amlacher, et al. Influence of Leukemia P388 on the Pharmacokinetics of Mitoguazone in B6D2F1 Mice. *Pharmazie*. 1990 May;45(5):364-6.
- K Davidson, et al. Mitoguazone Induces Apoptosis via a p53-independent Mechanism. *Anticancer Drugs*. 1998 Aug;9(7):635-40.
- Xia Jin, et al. Inhibition of HIV Expression and Integration in Macrophages by Methylglyoxal-Bis-Guanylhydrazone. *J Virol*. 2015 Nov;89(22):11176-89.
- A M Levine, et al. Mitoguazone Therapy in Patients With Refractory or Relapsed AIDS-related Lymphoma: Results From a Multicenter Phase II Trial. *J Clin Oncol*. 1997 Mar;15(3):1094-103.
- J Rizzo, et al. Pharmacokinetic Profile of Mitoguazone (MGBG) in Patients With AIDS Related non-Hodgkin's Lymphoma. *Invest New Drugs*. 1996;14(2):227-34.

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