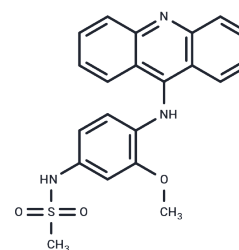


Amsacrine

Chemical Properties

CAS No. :	51264-14-3
Formula:	C ₂₁ H ₁₉ N ₃ O ₃ S
Molecular Weight:	393.46
Storage:	Store at low temperature Powder: -20°C for 3 years In solvent: -80°C for 1 year <i>Actual storage temperature shall be subject to the COA.</i>



Biological Description

Description	Amsacrine (AMSA) (mAMSA) an antineoplastic agent which can intercalate into the DNA of tumor cells. Amsacrine also expresses topoisomerase inhibitor activity, specifically inhibiting topoisomerase II.
Targets(IC50)	Autophagy,Potassium Channel,Topoisomerase
In vitro	Amsacrine blocks HERG currents in HEK 293 cells and Xenopus oocytes in a concentration-dependent manner, with IC50 values of 209.4 nM and 2.0 μM, respectively. Amsacrine causes a negative shift in the voltage dependence of both activation (7.6 mV) and inactivation (7.6 mV). HERG current block by amsacrine is not frequency dependent[1]. In vitro studies of normal human lymphocytes with various concentrations of m-AMSA, show both increased levels of chromosomal aberrations, ranging from 8% to 100%, and increase SCEs, ranging from 1.5 times the normal at the lowest concentration studied (0.005 μg/mL) to 12 times the normal (0.25 μg/mL)[3]. Amsacrine-induced apoptosis of U937 cells is characterized by caspase-9 and caspase-3 activation, increased intracellular Ca ²⁺ concentration, mitochondrial depolarization, and MCL1 down-regulation. Amsacrine induces MCL1 down-regulation by decreasing its stability. Further, amsacrine-treated U937 cells show AKT degradation and Ca ²⁺ -mediated ERK inactivation[4].
In vivo	In animals treated with amsacrine (0.5-12 mg/kg), the frequencies of micronucleated polychromatic erythrocytes significantly increase at doses of 9 and 12 mg/kg. This study demonstrates for the first time that amsacrine exhibits high clastogenicity and low aneugenicity, while nocodazole exhibits high aneugenicity and low clastogenicity during mitotic phases in vivo[2].

Solubility Information

Solubility	DMSO: 50 mg/mL (127.08 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 2 mg/mL (5.08 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and</i>

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In vivo Formulation	<i>used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.5416 mL	12.7078 mL	25.4155 mL
5 mM	0.5083 mL	2.5416 mL	5.0831 mL
10 mM	0.2542 mL	1.2708 mL	2.5416 mL
50 mM	0.0508 mL	0.2542 mL	0.5083 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

- Thomas D, et al. Inhibition of cardiac HERG currents by the DNA topoisomerase II inhibitor amsacrine: mode of action. *Br J Pharmacol.* 2004 Jun;142(3):485-94.
- Fu W, Li X, Lu X, et al. A novel acridine derivative, LS-1-10 inhibits autophagic degradation and triggers apoptosis in colon cancer cells. *Cell Death & Disease.* 2017, 8(10): e3086-e3086
- Attia SM. Molecular cytogenetic evaluation of the mechanism of genotoxic potential of amsacrine and nocodazole in mouse bone marrow cells. *J Appl Toxicol.* 2013 Jun;33(6):426-33.
- Kao-Shan CS, et al. Cytogenetic effects of amsacrine on human lymphocytes in vivo and in vitro. *Cancer Treat Rep.* 1984 Jul-Aug;68(7-8):1989-97.
- Lee YC, et al. Amsacrine-induced apoptosis of human leukemia U937 cells is mediated by the inhibition of AKT- and ERK-induced stabilization of MCL1. *Apoptosis.* 2016 Oct 19.
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