

Ellipticine hydrochloride

Chemical Properties

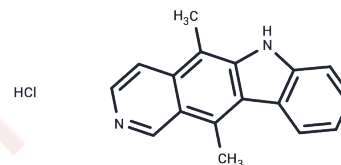
CAS No. : 5081-48-1

Formula: C₁₇H₁₅ClN₂

Molecular Weight: 282.76

Storage: Store at low temperature, Store under nitrogen
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	Ellipticine hydrochloride (NSC-71795 (hydrochloride)) is a potent antineoplastic agent; inhibits DNA topoisomerase II activities.
Targets(IC50)	Topoisomerase
In vitro	Ellipticine is a potent antineoplastic agent exhibiting the multimodal mechanism of its action. The mechanisms of ellipticine antitumor, mutagenic and cytotoxic activities are suggested to be intercalation into DNA and inhibition of DNA topoisomerase II activity. Another mode of ellipticine action is the formation of covalent DNA adducts mediated by its oxidation with cytochromes P450 (CYP) and peroxidases[1]. Ellipticine can also act as an inhibitor or inducer of biotransformation enzymes, thereby modulating its own metabolism leading to its genotoxic and pharmacological effects. Treatment of cells with ellipticine results in inhibition of cell growth and proliferation. This effect is associated with formation of two covalent ellipticine-derived DNA adducts[2].
In vivo	Ellipticine treatment results in ellipticine-derived DNA adduct generation in several healthy organs (liver, kidney, lung, spleen, breast, heart and brain) and in DNA of mammary adenocarcinoma. The levels of ellipticine-derived DNA adducts generated in these adenocarcinomas are almost 2-fold higher than in normal healthy mammary tissue. The induced expression of cytochrome b5?protein in liver of rats treated with ellipticine suggests that cytochrome b5?may modulate the CYP-mediated bioactivation and detoxification of ellipticine[3].
Cell Research	The cytotoxicity of ellipticine is determined by MTT test. Ellipticine is dissolved in DMSO (1 mM) and diluted in culture medium to final concentrations of 0, 0.1, 1, 5 or 10 μM. Cells in exponential growth are seeded at 1×10 ⁴ per well in a 96-well microplate. After incubation the MTT solution is added, the microplates are incubated for 4 hours and cells lysed in 50% N,N-dimethylformamide containing 20% of sodium dodecyl sulfate (SDS), pH 4.5. The absorbance at 570 nm is measured. The mean absorbance of medium controls is subtracted as a background. The viability of control cells is taken as 100% and the values of treated cells are calculated as a percentage of control. The IC ₅₀ values are calculated using linear regression of the dose-log response curves[2].

Solubility Information

A DRUG SCREENING EXPERT

Solubility	DMSO: 5.33 mg/mL (18.85 mM), 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	3.5366 mL	17.6828 mL	35.3657 mL
5 mM	0.7073 mL	3.5366 mL	7.0731 mL
10 mM	0.3537 mL	1.7683 mL	3.5366 mL
50 mM	0.0707 mL	0.3537 mL	0.7073 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

- Stiborova M, et al. Molecular mechanisms of antineoplastic action of an anticancer drug ellipticine. *Biomed Pap Med Fac Univ Palacky Olomouc Czech Repub.* 2006 Jul;150(1):13-23.
- Wang W, Shu M, Nie A, et al. Ultrasensitive evaluation of Ribonuclease H activity using a DNAzyme-powered on-particle DNA walker. *Sensors and Actuators B: Chemical.* 2020, 304: 127380
- Li X, Ye C, Mulati M, et al. Ellipticine blocks synergistic effects of IL-17A and TNF- α in epithelial cells and alleviates severe acute pancreatitis-associated acute lung injury. *Biochemical Pharmacology.* 2020: 113992.
- Stiborova M, et al. Ellipticine cytotoxicity to cancer cell lines - a comparative study. *Interdiscip Toxicol.* 2011 Jun;4 (2):98-105.
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- Wang W, Shu M, Nie A, et al. Ultrasensitive evaluation of Ribonuclease H activity using a DNAzyme-powered on-particle DNA walker[J]. *Sensors and Actuators B: Chemical.* 2020, 304: 127380.

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