Ellipticine

Cat. No.: HY-15753

CAS No.: 519-23-3

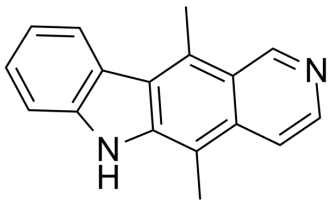
Molecular Formula: $C_{17}H_{14}N_2$

Molecular Weight: 246.31

Target: Topoisomerase

Pathway: Cell Cycle/DNA Damage

Storage: 4°C, sealed storage, away from moisture and light
* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture and light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 5.8 mg/mL (23.55 mM; Need ultrasonic and warming)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	4.0599 mL	20.2996 mL	40.5992 mL
	5 mM	0.8120 mL	4.0599 mL	8.1198 mL
	10 mM	0.4060 mL	2.0300 mL	4.0599 mL

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

BIOLOGICAL ACTIVITY

Description

Ellipticine (NSC 71795) is a potent antineoplastic agent; inhibits DNA topoisomerase II activities.

IC_{50} & Target

Topoisomerase II

In Vitro

Ellipticine (NSC 71795) is a potent antineoplastic agent exhibiting the multimodal mechanism of its action. The mechanisms of Ellipticine (NSC 71795) antitumor, mutagenic and cytotoxic activities are suggested to be intercalation into DNA and inhibition of DNA topoisomerase II activity. Another mode of Ellipticine (NSC 71795) action is the formation of covalent DNA adducts mediated by its oxidation with cytochromes P450 (CYP) and peroxidases[1]. Ellipticine (NSC 71795) 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 (NSC 71795) results in inhibition of cell growth and proliferation. This effect is associated with formation of two covalent Ellipticine (NSC 71795)-derived DNA adducts[2].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Ellipticine (NSC 71795) treatment results in Ellipticine (NSC 71795)-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 (NSC 71795)-derived DNA adducts generated in these adenocarcinomas are almost 2-fold higher than in normal healthy

mammary tissue. The induced expression of cytochrome b_5 protein in liver of rats treated with Ellipticine (NSC 71795) suggests that cytochrome b_5 may modulate the CYP-mediated bioactivation and detoxification of Ellipticine (NSC 71795)[3].

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PROTOCOL

Cell Assay [2]

The cytotoxicity of ellipticine is determined by MTT test. Ellipticine (NSC 71795) 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].

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

CUSTOMER VALIDATION

- J Adv Res. 2023 Jun 6;S2090-1232(23)00148-0.
- J Cell Biol. 2023 Jan 2;222(1):e202202110.
- Front Cell Dev Biol. 2019 Sep 20;7:204.

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REFERENCES

[1]. 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.

[2]. Stiborova M, et al. Ellipticine cytotoxicity to cancer cell lines - a comparative study. Interdiscip Toxicol. 2011 Jun;4(2):98-105.

[3]. Stiborova M, et al. The anticancer drug ellipticine activated with cytochrome P450 mediates DNA damage determining its pharmacological efficiencies: studies with rats, Hepatic Cytochrome P450 Reductase Null (HRN?) mice and pure enzymes. Int J Mol Sci. 201

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