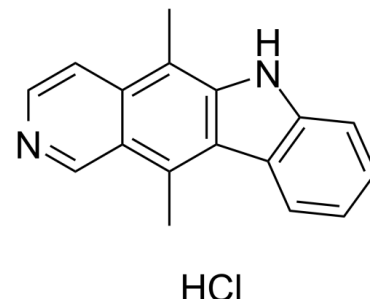


Data Sheet

Product Name:	Ellipticine (hydrochloride)
Cat. No.:	CS-6199
CAS No.:	5081-48-1
Molecular Formula:	C ₁₇ H ₁₅ ClN ₂
Molecular Weight:	282.77
Target:	Topoisomerase
Pathway:	Cell Cycle/DNA Damage
Solubility:	DMSO : 5.8 mg/mL (20.51 mM; Need ultrasonic and warming); H ₂ O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)



BIOLOGICAL ACTIVITY:

Ellipticine (NSC 71795) hydrochloride is a potent antineoplastic agent; inhibits **DNA topoisomerase II** activities. **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]. **In Vivo:** Ellipticine (NSC 71795) 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 (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 b₅ protein in liver of rats treated with Ellipticine (NSC 71795) suggests that cytochrome b₅ may modulate the CYP-mediated bioactivation and detoxification of Ellipticine (NSC 71795)^[3].

PROTOCOL (Extracted from published papers and Only for reference)

Cell Assay: ^[2]The cytotoxicity of Ellipticine (NSC 71795) 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 1×10⁴ 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 IC₅₀ values are calculated using linear regression of the dose-log response curves^[2].

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. 2014 Dec 25;16(1):284-306.

CAIndexNames:

6H-Pyrido[4,3-b]carbazole, 5,11-dimethyl-, hydrochloride (1:1)

SMILES:

CC1=C(C=NC=C2)C2=C(C)C(N3)=C1C4=C3C=CC=C4.Cl

Caution: Product has not been fully validated for medical applications. For research use only.

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