Product Data Sheet

Product Name: Ellipticine
Cat. No.: GC15305

Chemical Properties

Cas. No.	519-23-3		
Chemical Name	5,11-dimethyl-6H-pyrido[4,3-b]carbazole		
SMILES	CC1=C2C(NC3=CC=CC=C23)=C(C)C4=CC=NC=C14		
Formula	$C_{17}H_{14}N_2$	M.Wt	246.31
Solubility	≥ 24.6mg/mL in DMSO with gentle warming	Storage	Store at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ for several months.		
Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.		
Structure	Ellipticine		

Protocol

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

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 1×104 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].

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

Background

IC50 = 0.99 μM for L1210 lymphocytic leukemia cells [1]

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Plant alkaloid ellipticine shows antitumor, mutagenic and cytotoxic activities by inhibition of DNA topoisomerase II activity. DNA topoisomerase II regulates the overwinding or underwinding of DNA by cuting DNA double helix, passing another unbroken DNA helix through it, and then reannealing the cut strands.

In vitro: Treatment mammalian DNA topoisomerase II reaction mixture with ellipticine resulted in the stimulation of DNA cleavage. The drug-stimulation of DNA cleavage is related to the formation of a ternary complex between topoisomerase II, DNA, and ellipticine. Ellipticine dose not inhibit enzyme-mediated DNA religation, however, it stimulates DNA breakage by enhancing the forward rate of cleavage [2]. Ellipticine showed growth inhibition activity on L1210 lymphocytic leukemia cells with a IC50 of 0.99 μM [1].

In vivo: Ellipticine was evaluated in P. berghei infected mice in the 4-day suppressive test. Ellipticine had a 100% inhibition versus controls on days 5 and 7 at an oral dose of 50 mg/kg/day, and the mean survival time (MST) was more than 40 days [3].

Clinical trial: Several ellipticine derivatives have been validated in clinical trials, however, due to adverse side-effects, no progress has be reported.

References:
[1] Paoletti C, Cros S, Xuong ND, Lecointe P, Moisand A. Comparative cytotoxic and antitumoral effects of ellipticine derivatives on mouse L 1210 leukemia. Chem Biol Interact. 1979 Apr;25(1):45-58.
[2] Tewey KM, Chen GL, Nelson EM, Liu LF. Intercalative antitumor drugs interfere with the breakage-reunion reaction of mammalian DNA topoisomerase II. J Biol Chem. 1984 Jul 25;259(14):9182-7.
[3] Rocha e Silva LF, Montoia A, Amorim RC, Melo MR, Henrique MC, Nunomura SM, Costa MR, Andrade Neto VF, Costa DS, Dantas G, Lavrado J, Moreira R, Paulo A, Pinto AC, Tadei WP, Zacardi RS, Eberlin MN, Pohlit AM. Comparative in vitro and in vivo antimalarial activity of the indole alkaloids ellipticine, olivacine, cryptolepine and a synthetic cryptolepine analog. Phytomedicine. 2012 Dec 15;20(1):71-6.

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