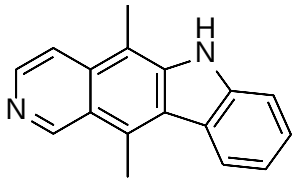
Product data sheet

MedKoo Cat#: 406537 Name: Ellipticine CAS#: 519-23-3 (free base) Chemical Formula: $C_{17}H_{14}N_2$ Exact Mass: 246.1157 Molecular Weight: 246.31	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:
Ellipticine is a DNA intercalating agent and a DNA topoisomerase II inhibitor. Ellipticine is an alkaloid first extracted from trees of the species Ochrosia elliptica and Rauvolfia sandwicensis,[5][6] which inhibits the enzyme topoisomerase II via intercalative binding to DNA. Ellipticine is a known intercalator, capable of entering a DNA strand between base pairs. In its intercalated state, ellipticine binds strongly[9] and lies parallel to the base pairs, increasing the superhelical density of the DNA. Intercalated ellipticine binds directly to topoisomerase II, an enzyme involved in DNA replication, inhibiting the enzyme and resulting in powerful antitumour activity.

2. CoA, QC data, SDS, and handling instruction
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	9.37	38.04
DMSO:PBS (pH 7.2) (1:3)	0.25	1.01
DMF	10.0	40.60
Ethanol	1.0	4.06

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	**1 mg**	**5 mg**	**10 mg**
1 mM	4.06 mL	20.30 mL	40.60 mL
5 mM	0.81 mL	4.06 mL	8.12 mL
10 mM	0.41 mL	2.03 mL	4.06 mL
50 mM	0.08 mL	0.41 mL	0.81 mL

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator
Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study
In vitro study

1. Wen HL, Yang G, Dong QR. Ellipticine inhibits the proliferation and induces apoptosis in rheumatoid arthritis fibroblast-like synoviocytes via the STAT3 pathway. Immunopharmacol Immunotoxicol. 2017 Aug;39(4):219-224. doi: 10.1080/08923973.2017.1327963. Epub 2017 May 30. PMID: 28555524.
2. Chen Q, Liu J, Zhuang Y, Bai LP, Yuan Q, Zheng S, Liao K, Khan MA, Wu Q, Luo C, Liu L, Wang H, Li T. Identification of an IKKβ inhibitor for inhibition of inflammation in vivo and in vitro. Pharmacol Res. 2019 Nov;149:104440. doi: 10.1016/j.phrs.2019.104440. Epub 2019 Aug 31. PMID: 31479750.

In vivo study

Product data sheet

1. Stiborová M, Breuer A, Aimová D, Stiborová-Rupertová M, Wiessler M, Frei E. DNA adduct formation by the anticancer drug ellipticine in rats determined by 32P postlabeling. Int J Cancer. 2003 Dec 20;107(6):885-90. doi: 10.1002/ijc.11511. PMID: 14601046.
2. Chen Q, Liu J, Zhuang Y, Bai LP, Yuan Q, Zheng S, Liao K, Khan MA, Wu Q, Luo C, Liu L, Wang H, Li T. Identification of an IKKβ inhibitor for inhibition of inflammation in vivo and in vitro. Pharmacol Res. 2019 Nov;149:104440. doi: 10.1016/j.phrs.2019.104440. Epub 2019 Aug 31. PMID: 31479750.

7. Bioactivity

Biological target: Ellipticine (NSC 71795) is an antineoplastic agent which inhibits DNA topoisomerase II activities.

In vitro activity

Ellipticine treatment significantly inhibited the viability and proliferation of RA-FLSs (rheumatoid arthritis fibroblast-like synoviocytes) in a concentration-dependent manner. In contrast, ellipticine exposure did not alter the viability of normal human FLSs. Moreover, ellipticine triggered significant apoptosis and increased caspase-3 activity in RA-FLSs. Mechanistically, ellipticine reduced the phosphorylation of STAT3 and downregulated the expression of Mcl-1, cyclin D1 and Bcl-2. Luciferase reporter assay demonstrated that ellipticine treatment led to a significant inhibition of STAT3-mediated transcriptional activity in RA-FLSs. Overexpression of constitutively active STAT3 reversed the suppressive effects of ellipticine on RA-FLSs, which was accompanied by restoration of Mcl-1, cyclin D1 and Bcl-2.

Reference: Immunopharmacol Immunotoxicol. 2017 Aug;39(4):219-224. https://www.tandfonline.com/doi/abs/10.1080/08923973.2017.1327963?journalCode=iipi20

In vivo activity

The capacity of ellipticine to form DNA adducts was investigated in vivo. Male Wistar rats were treated with ellipticine, and DNA from various organs was analyzed by (32)P postlabeling. Ellipticine-specific DNA adduct patterns were detected in most test organs. The highest level of DNA adducts was found in liver (19.7 adducts per 10(7) nucleotides), followed by spleen, lung, kidney, heart and brain. One major and one minor ellipticine-DNA adducts were found in DNA of all these organs of rats exposed to ellipticine. The predominant adduct formed in rat tissues in vivo was identical to the deoxyguanosine adduct generated in DNA by ellipticine in vitro as shown by cochromatography in 2 independent systems. Correlation studies showed that the formation of this major DNA adduct in vivo is mediated by CYP3A1- and CYP1A-dependent reactions. The results show the formation of CYP-mediated covalent DNA adducts by ellipticine in vivo and confirm the formation of covalent DNA adducts as a new mode of ellipticine action.

Reference: Int J Cancer. 2003 Dec 20;107(6):885-90. https://onlinelibrary.wiley.com/doi/full/10.1002/ijc.11511