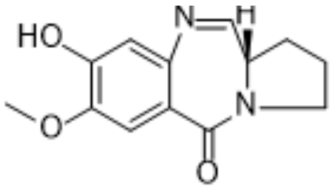
Antibiotic DC 81

Cat. No.:	HY-107767
CAS No.:	81307-24-6
Molecular Formula:	$C_{13}H_{14}N_2O_3$
Molecular Weight:	246.26
Target:	Antibiotic; Apoptosis; DNA/RNA Synthesis
Pathway:	Anti-infection; Apoptosis; Cell Cycle/DNA Damage
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

Antibiotic DC 81 (DC 81), an antitumor antibiotic produced by Streptomyces species, is a PBD (pyrrolo[2,1-c][1,4]benzodiazepine). Antibiotic DC 81 is potent inhibitor of nucleic acid synthesis. Antibiotic DC 81 can recognize and bind to specific sequences of DNA and form a labile covalent adduct[1][2].

In Vitro

Antibiotic DC 81 shows cytotoxicity against human melanoma cell lines B16, A375, A2058, and RPMI7951, with IC_{50} values of 4.4 μM, 18.5 μM, 31.0 μM, and 41.5 μM, respectively[1][2].

Antibiotic DC 81 exhibits its biological activity by covalently binding to the N2 of guanine in the minor groove of DNA, via the electrophilic carbinolamine functionality at N10-C11[1].

Antibiotic DC 81 (4 μM, 24 h) induces mitochondria dependent apoptosis[2].

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

Apoptosis Analysis[2]

Cell Line:	B16 melanoma cells
Concentration:	4 μM
Incubation Time:	24 h
Result:	Induced mitochondria dependent apoptosis.

In Vivo

Antibiotic DC 81 (0-10 mg/kg, i.p., at day 4, 7, 10, 13 after tumor cell injection) decreases the tumor burden in tumor-bearing mice, but the Antibiotic DC 81 at 10 mg/kg impairs cardiac muscle enzyme and liver function significantly[2].

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

Animal Model:	Female C57BL/6 mice (8-12 weeks old, B16 cells were injected into the tail veins of mice)[2]
Dosage:	0.1, 1, 10 mg/kg
Administration:	i.p., at day 4, 7, 10, 13 after tumor cell injection
Result:	Substantially decreased the tumor burden by 20% at 1 mg/kg. DC-81 at 10 mg/kg induced an 8-10-fold increase of GPT and a 6-8-fold increase in CPK, which indicated severe impaired liver function and muscle damage. Did not impair significant renal function as

	demonstrated by serum creatinine.

REFERENCES

[1]. Hu WP, et al. Biological evaluation of an antibiotic DC-81-indole conjugate agent in human melanoma cell lines. Kaohsiung J Med Sci. 2003 Jan;19(1):6-12.

[2]. Lee CH, et al. Pyrrolo[2,1-c][1,4]benzodiazepine and indole conjugate (IN6CPBD) has better efficacy and superior safety than the mother compound DC-81 in suppressing the growth of established melanoma in vivo. Chem Biol Interact. 2009 Aug 14;180(3):360-7.

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