Data Sheet (Cat.No.T61431)

TargetMol

Topoisomerase IIα-IN-4

Chemical Properties

CAS No. :

Formula: C25H21NO2

Molecular Weight: 367.44

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Topoisomerase IIα-IN-4 (F2) is a non-intercalative ATP-competitive inhibitor of human DNA topoisomerase II, selectively inhibiting TopoIIα with an IC50 value of 3.8 μM and TopoIIβ with an IC50 value of 10.1 μM. It induces apoptosis and arrests the cell cycle in HepG2 cells, demonstrating strong antitumor effects against various human cancer cell lines, thereby highlighting its significance for cancer research [1].
Targets(IC50)	Apoptosis,Others,Topoisomerase
In vitro	Topoisomerase IIα-IN-4 demonstrates antiproliferative effects on various cancer cell lines (including HeLa, HCT-116, MDA-MB231, HepG2, A549, CCL-226, BEAS-2B, and HL-7702) at concentrations ranging from 0-50 μM over 72 hours, with IC 50 values between 0.1 and 31.9 μM, depending on the cell line. It exhibits potent inhibitory activity specifically against Topoisomerase IIα and β, achieving IC 50 values of 3.8 and 10.1 μM, respectively. The compound acts as a non-intercalative catalytic inhibitor of Topoisomerase IIα at a concentration of 0.3 μM over 4 hours. Further, it induces cell apoptosis and cell-cycle arrest at concentrations of 0.5-1 μM over 48 and 24 hours, respectively. Interesting to note, at 0.3 μM for 4 hours in HepG2 cells, it does not affect phospho-histone H2AX levels, suggesting specific mechanisms of action without DNA damage.
In vivo	Topoisomerase IIα-IN-4 administered orally at a dosage of 500 mg/kg, twice on the first day, was observed to exhibit minimal acute toxicity in an in vivo study utilizing C57BL/6 mice (Animal Model: C57BL/6 mice [1]). The compound was administered via oral gavage at 500 mg/kg, twice on the initial day, and results indicated that it demonstrated lower toxicity in the established test without causing significant changes in the body weight of the mice.

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.7215 mL	13.6077 mL	27.2153 mL
5 mM	0.5443 mL	2.7215 mL	5.4431 mL
10 mM	0.2722 mL	1.3608 mL	2.7215 mL
50 mM	0.0544 mL	0.2722 mL	0.5443 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

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