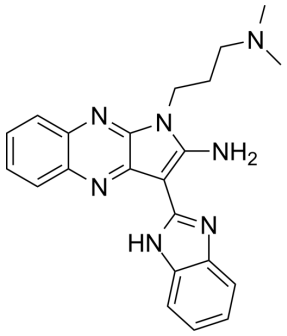
INI-43

Cat. No.:	HY-121607
CAS No.:	881046-01-1
Molecular Formula:	$C_{22}H_{23}N_7$
Molecular Weight:	385.46
Target:	AP-1; Apoptosis
Pathway:	Immunology/Inflammation; Apoptosis
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 10 mg/mL (25.94 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5943 mL	12.9715 mL	25.9430 mL
	5 mM	0.5189 mL	2.5943 mL	5.1886 mL
	10 mM	0.2594 mL	1.2972 mL	2.5943 mL

Please refer to the solubility information to select the appropriate solvent.

BIOLOGICAL ACTIVITY

Description

INI-43 is an inhibitor of Kpnβ1, interfering with the nuclear localization of Kpnβ1 and known Kpnβ1 cargo proteins, NFAT, NF κB, AP-1, and NFY. INI-43 can inhibit the proliferation of cancer cells, cause G_2-M cell cycle arrest in cancer cells, and induce the intrinsic apoptosis pathway[1][2][3].

In Vitro

INI-43 (5 μM, 2h) pretreatment n HeLa and SiHa cell lines of cervical cancer can effectively reduce the nuclear accumulation and activity of NFκB, resulting in decreased expression of cyclin D1, c-Myc and XIAP, and impaired DNA repair ability. Make cells more sensitive to Cisplatin[2].

INI-43 (10, 15 μmol/L, 1.5, 3h) interferes with the entry of Kpnβ1, NFAT, p65 and NFY into the nucleus and induces apoptosis in HeLa cells. The IC_{50} value of INI-43 against HeLa cells is 9.3 μmol/L[3].

INI-43 (5, 10 μmol/L, 5 days) has different sensitivity to cancer cell lines (cervical and esophageal cancer) and non-cancer lines (DMB and FG0), and INI-43 can kill cancer cells and has no effect on non-cancer cells[3].

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

Cell Proliferation Assay[3]

Cell Line:	CaSki, HeLa, Kyse30, WHCO6, DMB and FG0 cells
Concentration:	5, 10 μmol/L
Incubation Time:	5 days
Result:	At a concentration of 10 μmol/L, in less than 24 hours, the activity of cancer cells was significantly reduced, and within 48 to 72 hours, the cells were completely dead.

Western Blot Analysis[3]

Cell Line:	HeLa cells
Concentration:	10, 15 μmol/L
Incubation Time:	1.5, 3h
Result:	Prevented NFY from entering the nucleus. Cytochrome C levels in mitochondria decreased, activating endogenous apoptotic pathways, and caspase-3/7 activity significantly increased.

Western Blot Analysis[2]

Cell Line:	HeLa and SiHa cells
Concentration:	5 μM
Incubation Time:	2h
Result:	Has a synergistic effect with Cisplatin, can inhibit Kpnβ1, increase the stability of p53, reduce the nuclear localization of NFκB and its target expression in SiHa cells after Cisplatin treatment, and enhance the DNA damage after Cisplatin treatment.

Immunofluorescence[3]

Cell Line:	HeLa cells
Concentration:	10 μmol/L
Incubation Time:	1.5, 3h
Result:	Prevented p65 from entering the nucleus.

In Vivo

INI-43 has good metabolic stability with a degradation half-life of more than 100 minutes and a maximum tolerable dose (MTD) of 50 mg/kg[3].

INI-43 (50 mg/kg, intrabitoneal injection, once every 2-3 days, for 3 to 4 weeks) can inhibit tumor growth in mouse tumor xenotransplantation model [3].

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

Animal Model:	WHCO6 esophageal cancer or CaSki cervical cancer cells mouse xenografts models[3]
Dosage:	50 mg/kg
Administration:	Intraperitoneal injection (i.p.)
Result:	Significantly inhibited the growth of esophageal and neck tumors.

REFERENCES

[1]. F J Stanfield, et al. The antiviral activity of caprochlorone. Proc Soc Exp Biol Med. 1967 May;125(1):297-303.

[2]. Chi RA, et al. Inhibition of Kpnβ1 mediated nuclear import enhances cisplatin chemosensitivity in cervical cancer. BMC Cancer. 2021 Feb 2;21(1):106.

[3]. van der Watt PJ, et al. Targeting the Nuclear Import Receptor Kpnβ1 as an Anticancer Therapeutic. Mol Cancer Ther. 2016 Apr;15(4):560-73.

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