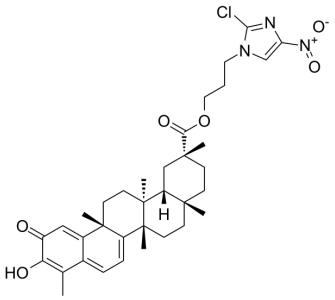
Hsp90-Cdc37-IN-3

Cat. No.:	HY-144650
CAS No.:	2361009-68-7
Molecular Formula:	$C_{35}H_{44}ClN_3O_6$
Molecular Weight:	638.19
Target:	Apoptosis; HSP
Pathway:	Apoptosis; Cell Cycle/DNA Damage; Metabolic Enzyme/Protease
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 : 100 mg/mL (156.69 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.5669 mL	7.8347 mL	15.6693 mL
	5 mM	0.3134 mL	1.5669 mL	3.1339 mL
	10 mM	0.1567 mL	0.7835 mL	1.5669 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: 2.5 mg/mL (3.92 mM); Clear solution; Need ultrasonic

BIOLOGICAL ACTIVITY

Description

Hsp90-Cdc37-IN-3 (Compound 9) is a novel celastrol−imidazole derivative with anticancer activity. Hsp90-Cdc37-IN-3 inhibits Hsp90−Cdc37 by covalent-binding, and induces apoptosis[1].

IC_{50} & Target

Hsp90-Cdc37

In Vitro

Hsp90-Cdc37-IN-3 (Compound 9) (24 h) shows broad-spectrum antitumor potential with IC_{50} values of 0.54, 0.59, 0.57, and 0.57 μM against A549, HTC116, U2OS, and MDA-MB231 cells, respectively[1].

Hsp90-Cdc37-IN-3 (0-5 μM, 12 h) inhibits Hsp90−Cdc37 and influences the function of apoptosis-related proteins by covalently combining with both Hsp90 and Cdc37[1].

Hsp90-Cdc37-IN-3 (0-0.8 μM, 48 h) induces apoptosis significantly in A549 cells[1].

Hsp90-Cdc37-IN-3 (0-0.4 μM, 24 h) arrests the cell cycle in the G_0/G_1 phase in a dose-dependent manner[1].

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

Western Blot Analysis[1]

Cell Line:	A549
Concentration:	1.25, 2.5, and 5 μM
Incubation Time:	12 h
Result:	Downregulated the levels of Hsp90−Cdc37 clients (p-Akt and Cdk4) in a dose-dependent manner, and the levels of apoptosis-related proteins (Bax, Bcl-2, cleaved caspase-3, and cleaved PARP) were significantly regulated.

Apoptosis Analysis[1]

Cell Line:	A549
Concentration:	0.2, 0.4, and 0.8 μM
Incubation Time:	48 h
Result:	Induced apoptosis significantly.

Cell Cycle Analysis[1]

Cell Line:	A549
Concentration:	0.1, 0.2, and 0.4 μM
Incubation Time:	24 h
Result:	Arrested the cell cycle in the G_0/G_1 phase in a dose-dependent manner.

In Vivo

Hsp90-Cdc37-IN-3 (Compound 9) (0-1 mg/kg; i.p.; once a day, 21 days) shows strong antitumor activity with no significant toxicity[1].

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

Animal Model:	Female BALB/c nude mice at 6 weeks old[1].
Dosage:	0.5 mg/kg or 1 mg/kg. Mice were inoculated subcutaneously with A549 cells ($1*10^7$ in 100 μL of PBS for each mouse).
Administration:	Intraperitoneal injection, once a day, 21 days
Result:	Decreased tumor weight and enhanced TIR without show toxicity.

REFERENCES

[1]. Na Li, et al. Discovery of Novel Celastrol-Imidazole Derivatives with Anticancer Activity In Vitro and In Vivo. J Med Chem. 2022 Mar 24;65(6):4578-4589.

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