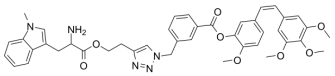
HI5

Cat. No.:	HY-146261
CAS No.:	2411548-90-6
Molecular Formula:	$C_{42}H_{43}N_5O_8$
Molecular Weight:	745.82
Target:	Microtubule/Tubulin; Indoleamine 2,3-Dioxygenase (IDO); Apoptosis
Pathway:	Cell Cycle/DNA Damage; Cytoskeleton; Metabolic Enzyme/Protease; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

HI5 is a potent tublin and IDO inhibitor, with an IC_{50} value of 70 nM in HeLa cells. HI5 inhibit IDO expression and decrease kynurenine production, leading to stimulating T cells activation and proliferation. HI5 can inhibit tubulin polymerization and cell migration, cause G2/M phase arrest, and induce apoptosis via the mitochondrial dependent apoptosis pathway and cause reactive oxidative stress generation in HeLa cells. HI5 can be used for researching anticancer[1].

IC_{50} & Target

IC_{50}: 70 nM in HeLa[1]

In Vitro

HI5 (0-10 μM; 72 hours) exhibits potent antiproliferative activity in HeLa, PC-3, A549 and HUVEC[1].
HI5 (0.1 and 0.5 μM; 24 hours) exhibits markedly disruption of microtubule organization in a concentration-dependent manner[1].
HI5 (0.5 μM; 24 hours) significantly up-regulates the expression level of Bax protein, while down-regulates the protein of Bcl-2, furthermore, markedly up-regulates the protein expressions of caspase-3 and PARP[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay

Cell Line:	HeLa, PC-3, A549 and HUVEC[1]
Concentration:	0-10 μM
Incubation Time:	72 hours
Result:	Exhibited potent antiproliferative activity in HeLa, PC-3, A549 and HUVEC with IC_{50}s of 0.07 ± 0.005 μM, 0.46 ± 0.06 μM, 0.22 ± 0.10 μM, 1.52 ± 0.09 μM, respectively.

Immunofluorescence

Cell Line:	HeLa[1]
Concentration:	0.1 and 0.5 μM
Incubation Time:	24 hours
Result:	Exhibited markedly disruption of microtubule organization in a concentration-dependent manner.

Western Blot Analysis

Cell Line:	HeLa[1]
Concentration:	0.5 μM
Incubation Time:	24 hours
Result:	Significantly up-regulated the expression level of Bax protein, while down-regulated the protein of Bcl-2, furthermore, markedly up-regulated the protein expressions of caspase-3 and PARP.

In Vivo

HI5 (15 and 30 mg/kg; IV; daily, for 21 days) significantly inhibits tumor growth at a dose-dependent manner[1].

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

Animal Model:	BALB/c nude mice (18-22 g, 5 week-old, injected with HeLa cells)[1]
Dosage:	15 and 30 mg/kg
Administration:	IV; daily, for 21 days
Result:	Significantly inhibited tumor growth at a dose-dependent manner with inhibitory rates of 50.73% and 65.76% at doses of 15 and 30 mg/kg, respectively.

REFERENCES

[1]. Hua S, et al. Dual-functional conjugates improving cancer immunochemotherapy by inhibiting tubulin polymerization and indoleamine-2,3-dioxygenase. Eur J Med Chem. 2020;189:112041.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA