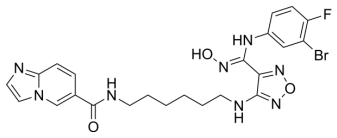
NAMPT/IDO1-IN-1

Cat. No.:	HY-148572
CAS No.:	2247884-06-4
Molecular Formula:	$C_{23}H_{24}BrFN_8O_3$
Molecular Weight:	559.39
Target:	Indoleamine 2,3-Dioxygenase (IDO); NAMPT
Pathway:	Metabolic Enzyme/Protease
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	NAMPT/IDO1-IN-1 is an orally active dual inhibitor of NAMPT and IDO1 with IC_{50}s of 57.7 nM and 233 nM, respectively. NAMPT/IDO1-IN-1 blocks NAD+ biosynthesis, inhibits proliferation and migration of Paclitaxel (HY-B0015)- and FK866 (HY-50876)-resistant NSCLC cell lines (A549/R cells). NAMPT/IDO1-IN-1 has shown antitumor effects in mice and enhanced A549/R cell sensitivity to paclitaxel[1].

IC_{50} & Target	IDO1	NAMPT
	233 nM (IC_{50})	57.7 nM (IC_{50})

In Vitro

NAMPT/IDO1-IN-1 (compound 10e) (10 μM; 48 h) shows antiproliferative activity against A549/R cells in an NAMPT- and IDO1-dependent manner[1].

NAMPT/IDO1-IN-1 (5 μM, 10 μM, 15 μM; 12 d) inhibits colony formation of A549/R cells and promotes the accumulation of intracellular ROS in a dose-dependent manner[1].

NAMPT/IDO1-IN-1 (10 μM; 24 h) reduces NAD+ in A549/R cells in an NAMPT- and IDO1-dependent manner[1].

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

In Vivo

NAMPT/IDO1-IN-1 (compound 10e) (5 μM; 24 h) shows ROS-boosting effect in Zebrafish embryos, led to a level of ROS much higher than that of LPS (50 μg/mL)[1].

NAMPT/IDO1-IN-1 (25 mg/kg; p.o.; single dose) has good p.o. bioavailability and (5 mg/kg; i.v.; single dose) displays moderate overall exposure[1].

NAMPT/IDO1-IN-1 (50, 100, and 200 mg/kg; p.o.; twice daily for 3 weeks) also shows in vivo anti-tumor effect in an A549/R tumor xenograft model[1].

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

Animal Model:	Female nude 6-8 week old mice with A549/R cells[1]
Dosage:	50, 100, and 200 mg/kg
Administration:	Oral gavage; twice daily for 3 weeks
Result:	Showed much better inhibitory activity against A549/R xenografts compared with the single agent. Showed comparable anti-tumor efficacy with the combination of FK866 and epacadostat at dose of 100 mg/kg, while at 200 mg/kg showed better efficacy than the combination

	group.

REFERENCES

[1]. Wang K, et al. Dual Nicotinamide Phosphoribosyltransferase (NAMPT) and Indoleamine 2,3-Dioxygenase 1 (IDO1) Inhibitors for the Treatment of Drug-Resistant Nonsmall-Cell Lung Cancer. J Med Chem. 2023 Jan 12;66(1):1027-1047.

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