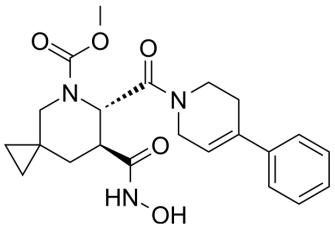
INCB3619

Cat. No.:	HY-12636
CAS No.:	791826-72-7
Molecular Formula:	$C_{22}H_{27}N_3O_5$
Molecular Weight:	413.47
Target:	MMP; Apoptosis
Pathway:	Metabolic Enzyme/Protease; Apoptosis
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

INCB3619 is a selective and orally active ADAM inhibitor with IC_{50} of 22 nM and 14 nM for ADAM10 and ADAM17, respectively. INCB3619 has anti-tumor activity[1].

In Vitro

INCB3619 (0-10 μM, 96 h) can inhibit heregulin-dependent HER3-Akt pathway, but not ERK activity in A549 cells, and induce apoptosis in A549 cells[1].

INCB3619 (0-10 μM, 72 h) can inhibit EGFR ligand signaling in the EGFR autocrine cell line NCI-H1666 and can be used in combination with other anti-EGFR drugs such as gefitinib[1].

INCB3619 (2 μM) inhibits ERK1/2 expression in NCI-H1666 and make it sensitive to Gefitinib (HY-50895)[1].

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

Apoptosis Analysis[1].

Cell Line:	A549 cell
Concentration:	1, 10 μM
Incubation Time:	
Result:	Induced about 3% apoptosis at a concentration of 1 μM and about 5% at a concentration of 10 μM.

Cell Viability Assay[1].

Cell Line:	NCI-H1666 cell
Concentration:	0-10 μM
Incubation Time:	72 h
Result:	Inhibited proliferation of NCI-H1666 cells.

In Vivo

INCB3619 (subcutaneous injection, 60 mg/kg/d, 14 d) exhibits antitumor activity and sensitizes tumors to Gefitinib (HY-50895) in A549 xenografted BALB/c nu/nu mouse model[1].

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Animal Model:	BALB/c nu/nu mouse model of A549 xenograft
Dosage:	50, 60 mg/kg
Administration:	subcutaneous injection, daily, 14 d
Result:	Significant tumor growth inhibition and delay at 60 mg/kg dose, less active effect at 50 mg/kg.

REFERENCES

[1]. Bin-Bing S Zhou, et al. Targeting ADAM-mediated ligand cleavage to inhibit HER3 and EGFR pathways in non-small cell lung cancer. Cancer Cell. 2006 Jul;10(1):39-50.

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