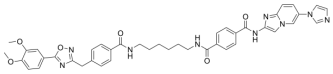
DDO3711

Cat. No.:	HY-152247
CAS No.:	2673364-10-6
Molecular Formula:	$C_{42}H_{41}N_9O_6$
Molecular Weight:	767.83
Target:	MAP3K
Pathway:	MAPK/ERK Pathway
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description

DDO3711, a PP5-recruiting phosphatase recruitment chimeras (PHORCs), is formed by connecting a small molecular apoptosis signal-regulated kinase 1 (ASK1) inhibitor to a PP5 activator through a chemical linker. DDO3711 specifically inhibits ASK1 (IC_{50} =164.1 nM) not ASK2 (IC_{50}>20 μM). DDO3711 significantly dephosphorylates p-ASK1^{T838} by recruiting PP5 and shows the ASK1-dependent antiproliferative activity. DDO3711 has anti-cancer activity and has the potential for abnormally phosphorylated oncoproteins research[1].

IC_{50} & Target

ASK1	ASK2
164.1 nM (IC_{50})	>20 μM (IC_{50})

In Vitro

DDO3711 (15 μM; 24 h) shows antiproliferation effects on gastric cancer cells by reducing p-ASK1^{T838} in a PP5-dependent manner. DDO3711 does not inhibit the proliferation of GES-1 cells and HGC-27 cells[1].
DDO3711 (5 μM; 1-24 h) inhibited the expression of CDK4/6 and cyclin D1 in a concentration-dependent manner[1].
DDO3711 (5-50 μM; 0.5-2 h) potently dephosphorylates p-ASK1^{T838} both in vitro and in cells. DDO3711 concentration-dependently reduced the level of p-JNK and p-p38[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Cell Proliferation Assay[1]

Cell Line:	MKN45 cells
Concentration:	15 μM
Incubation Time:	24 h
Result:	Showed strong antiproliferative activity (IC_{50}=0.5 μM).

Cell Cycle Analysis[1]

Cell Line:	MKN45 cells
Concentration:	5 μM
Incubation Time:	1-24 h
Result:	Inhibited the expression of CDK4/6 and cyclin D1 in a concentration-dependent manner.

Western Blot Analysis[1]

Cell Line:	MKN45 cells
Concentration:	5, 25, 50 μM
Incubation Time:	0.5-2 h
Result:	Could dephosphorylate p-ASK1^{T838} in a time- and concentration-dependent manner in vitro.

In Vivo

DDO3711 (20, 40 mg/kg; IP; daily; for 21 days) causes significant inhibition of tumor growth in a dose-dependent manner[1].

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

Animal Model:	Four-week-old BALB/c nude mice with MKN45 cells[1]
Dosage:	20, 40 mg/kg
Administration:	IP; daily; for 21 days
Result:	Caused significant inhibition of tumor growth in a dose-dependent manner. Significantly decreased the level of p-ASK1^{T838}.

REFERENCES

[1]. Qiuyue Zhang, et al. Protein Phosphatase 5-Recruiting Chimeras for Accelerating Apoptosis-Signal-Regulated Kinase 1 Dephosphorylation with Antiproliferative Activity. J Am Chem Soc. 2022 Dec 22.

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