Targefrin

Cat. No.:	HY-P3717
Molecular Formula:	$C_{85}H_{116}F_3N_{19}O_{15}$
Molecular Weight:	1700.94
Target:	Ephrin Receptor
Pathway:	Protein Tyrosine Kinase/RTK
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Targefrin is a potent EphA2-targeting agent, acts as an antagonist. Targefrin binds EphA2-LBD with 21 nM dissociation constant and an IC_{50} value of 10.8 nM. Targefrin induces cellular receptor internalization and degradation in several pancreatic cancer cell lines[1].

In Vitro

Targefrin (0.025-10 μM; 20 min) effectively antagonizes EphA2 degradation in BxPC3 pancreatic cancer cells[1].
Targefrin (2-10 μM; 24 h) significantly inhibits pancreatic cancer cell BxPC3 migration[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Western Blot Analysis[1]

Cell Line:	BxPC3 cells (starved for 1 h and pre-treated with Targefrin for 20 min, followed by a combination treatment with 2 μg/mL ephrinA1-Fc for 3 h)
Concentration:	0.025, 0.25, 0.5, 1, 2.5, 5, 7.5 and 10 μM
Incubation Time:	20 min
Result:	Effectively antagonized EphA2 degradation induced by the potent ephrinA1-Fc ligand, with an approximate EC_{50} of ~1.6 μM.

Cell Migration Assay [1]

Cell Line:	BxPC3 cells
Concentration:	2, 4, 5 and 10 μM
Incubation Time:	24 h
Result:	Significantly inhibited pancreatic cancer cell migration.

In Vivo

Targefrin (50 mg/kg; i.v.; for 5 days) suppresses tumor growth when conjugated with Paclitaxel[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male nu/nu mice (injected with MIA PaCa-2 cells)[1]

Dosage:	50 mg/kg
Administration:	i.v.; at day 1, 4, 8, 11 and 15
Result:	Both Targefrin-Paclitaxel and Targefrin-dimer-Paclitaxel displayed a significant antitumor effect compared to both the untreated group and the Paclitaxel-treated group.

Animal Model:	Balb/C mice[1]
Dosage:	50 mg/kg
Administration:	IV via tail vein; single dosage
Result:	C_{max} ~650 ng/mL after 2 hours from the injection; estimated $t_{1/2}$ ~15 hr.

REFERENCES

[1]. Baggio C, Udompholkul P, Gambini L, Pellecchia M. Targefrin: A Potent Agent Targeting the Ligand Binding Domain of EphA2. J Med Chem. 2022 Nov 4.

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