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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Targefrin

Cat. No.: HY-P3717

CAS No.: 3031514-44-7

Molecular Formula: $C_{85}H_{116}F_3N_{19}O_{15}$

Molecular Weight: 1700.94

Target: Ephrin Receptor

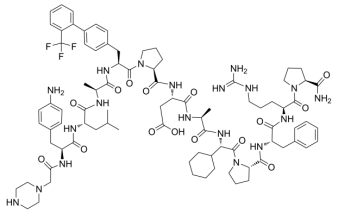
Pathway: Protein Tyrosine Kinase/RTK

Storage: Sealed storage, away from moisture

Powder -80°C 2 years

-20°C 1 year

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (58.79 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.5879 mL	2.9396 mL	5.8791 mL
	5 mM	0.1176 mL	0.5879 mL	1.1758 mL
	10 mM	0.0588 mL	0.2940 mL	0.5879 mL

Please refer to the solubility information to select the appropriate solvent.

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.

Caution: Product has not been fully validated for medical applications. For research use only.

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