Disitertide TFA

Cat. No.: HY-P0118A

Molecular Formula: $C_{70}H_{110}N_{17}O_{24}S_2F_3$

Molecular Weight: 1694.84

Sequence Shortening: TSLDASIIWAMMQN

Target: TGF-beta/Smad; PI3K; Apoptosis

Pathway: Stem Cell/Wnt; TGF-beta/Smad; PI3K/Akt/mTOR; Apoptosis

Storage: Protect from light
Powder -80°C 2 years
-20°C 1 year
* In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)

TSLDASIIWAMMQN (TFA salt)

SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (29.50 mM; Need ultrasonic)
H_2O : < 0.1 mg/mL (insoluble)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	0.5900 mL	2.9501 mL	5.9003 mL
	5 mM	0.1180 mL	0.5900 mL	1.1801 mL
	10 mM	0.0590 mL	0.2950 mL	0.5900 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (1.48 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (1.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Disitertide (P144) TFA is a peptidic transforming growth factor-beta 1 (TGF-β1) inhibitor specifically designed to block the interaction with its receptor. Disitertide (P144) TFA is also a PI3K inhibitor and an apoptosis inducer[1][2][3][4][5].

IC_{50} & Target

TGF-β1, P13K[1][2].

In Vitro

Disitertide (100 μg/mL) suppresses the protein expression levels of PI3K and p-Akt, and induce the protein expression of Bax in MC3T3-E1 cells[2].
Disitertide (TGF-β1 inhibitor) abrogates the MACC1- AS1 expression in GC cells, suggesting that targeting TGFβ signaling pathway may be a potential strategy to inhibit MSC-induced stemness and chemoresistance[3].

Disitertide (P144, 10 μg/mL to 200 μg/mL) affects proliferation, induces apoptosis as well as anoikis in A172 and U-87 MG GBM cell lines[5].

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

Western Blot Analysis[2]

Cell Line:	Mouse embryo osteoblast precursor MC3T3-E1 cells.
Concentration:	100 μg/mL.
Incubation Time:	4 h.
Result:	Significantly suppressed the protein expression levels of PI3K and p-Akt, and induce the protein expression of Bax in MC3T3-E1 cells compared with the miR-590 group.

In Vivo

Disitertide (Topical application, 300 μg/mL) may promote scar maturation and clinical improvement of hypertrophic scar morphology features in an “in vivo” model in nude mice after two weeks of treatment[4].

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

Animal Model:	Human hypertrophic scars were implanted in 60 nude mice[4].
Dosage:	300 μg/mL was added the Lipogel.
Administration:	Topical application daily administered.
Result:	Successful shedding was achieved in 83,3% of the xenografts.

CUSTOMER VALIDATION

- Cell Death Differ. 2021 Jan;28(1):219-232.
- Oncogene. 2019 Jun;38(23):4637-4654.
- J Exp Clin Cancer Res. 2021 Feb 9;40(1):62.
- Front Immunol. 2017 Feb 3;8:91.
- Int J Mol Med. 2019 May;43(5):2212-2220.

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REFERENCES

[1]. Cindy Neuzillet, et al. Targeting the TGFβ pathway for cancer therapy. Pharmacol Ther. 2015 Mar;147:22-31.

[2]. Jun Yang, et al. Upregulation of microRNA□590 in rheumatoid arthritis promotes apoptosis of bone cells through transforming growth factor□β1/phosphoinositide 3□ kinase/Akt signaling. Int J Mol Med. 2019 May;43(5):2212-2220.

[3]. Wanming He, et al. MSC-regulated lncRNA MACC1-AS1 promotes stemness and chemoresistance through fatty acid oxidation in gastric cancer. Oncogene. 2019 Jun;38(23):4637-4654.

[4]. Shan Shan Qiu, et al. Effect of P144® (Anti-TGF-β) in an "In Vivo" Human Hypertrophic Scar Model in Nude Mice. PLoS One. 2015 Dec 31;10(12):e0144489.

[5]. Gabriel Gallo-Oller, et al. P144, a Transforming Growth Factor beta inhibitor peptide, generates antitumoral effects and modifies SMAD7 and SKI levels in human glioblastoma cell lines. Cancer Lett. 2016 Oct 10;381(1):67-75.

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

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