Product Data Sheet

Product Name: Disitertide TFA
Cat. No.: GC60782

Chemical Properties

Cas. No.

Formula	$C_{70}H_{110}N_{17}O_{24}S_2F_3$	M.Wt	1694.84
Solubility		Storage	-20°C, protect from light

General tips: For obtaining a higher solubility , please warm the tube at 37 °C and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

Shipping Condition: Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.

Structure

TSLDASIIWAMMQN (TFA salt)

Protocol

Cell experiment [1]:

Cell lines: LINC00941-overexpressing HCT-116 cells

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Preparation Method	Before TGF-β1 or Disitertide treatment, the cells were incubated with DMEM medium containing 10% FBS and 1% penicillin/streptomycin to achieve 80% cell fusion. Next, the cells were treated with TGF-β1 (0.2ng/mL) or Disitertide (10μM) for 12h.
Reaction Conditions	10μM; 12h
Applications	Disitertide-treated LINC00941-overexpressing HCT-116 cells showed increased mRNA and protein levels of ZO-1 and E-cadherin.

Animal experiment [2]:

Animal models	Fibrosis rabbit model
Preparation Method	Disitertide was stored at –80°C before the manipulation peptide vial was tempered to room temperature and then weighed, resuspended in buffer diazonium salt of carbonic acid 0.1M pH 9.5, and sonicated until a homogeneous solution was obtained. Disitertide was IV in the marginal ear veins of the rabbits at doses 10mg per administration diluted in 10mL of buffer (approximately 3.5mg/kg).
Dosage form	3.5mg/kg; iv; 72h
Applications	The macroscopic and microscopic morphology of muscles in the Disitertide treated group was preserved, and extracellular matrix fibrosis was reduced.

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References:
[1]. Wu N, Jiang M, Liu H, et al. LINC00941 promotes CRC metastasis through preventing SMAD4 protein degradation and activating the TGF-β/SMAD2/3 signaling pathway[J]. Cell Death & Differentiation, 2021, 28(1): 219-232.
[2]. Cruz-Morande S, Dotor J, San-Julian M. P144 a transforming growth factor beta inhibitor peptide, generates antifibrogenic effects in a radiotherapy induced fibrosis model[J]. Current Oncology, 2022, 29(4): 2650-2661.

Background

Disitertide TFA is a specific inhibitor of transforming growth factor β1 (TGF-β1) receptor type I [1]. Disitertide binds to the TGF-β1 receptor, blocking the TGF-β1 signaling pathway and inhibiting extracellular matrix deposition and fibrotic responses, thereby slowing or reversing the progression of fibrosis [2-3]. Disitertide is primarily used to treat fibrotic diseases of various organs [4].

In LINC00941-overexpressing HCT-116 cells, Disitertide (10μM; 12h) treated cell showed increased mRNA and protein levels of ZO-1 and E-cadherin [5]. In LN229 cells, after Disitertide (100μg/mL; 10d) stimulation, SKI gene expression was significantly inhibited [6]. In MGC803 cells, Disitertide (20μM; 48h) blocks TGFβ1 signaling and effectively rescues the inhibitory effect of MFSD2A deficiency on $CD8^+$ T cells [7].

In fibrosis rabbit mode, the macroscopic and microscopic morphology of muscles in the Disitertide (3.5mg/kg; iv; 72h) treated group was preserved, and extracellular matrix fibrosis was reduced [8].

References:
[1]. Neuzillet C, Tijeras-Raballand A, Cohen R, et al. Targeting the TGFβ pathway for cancer therapy[J]. Pharmacology & therapeutics, 2015, 147: 22-31.
[2]. Yang J, Zhuang Y, Liu J. Upregulation of microRNA-590 in rheumatoid arthritis promotes apoptosis of bone cells through transforming growth factor-β1/phosphoinositide 3-kinase/Akt signaling[J]. International Journal of Molecular Medicine, 2019, 43(5): 2212-2220.
[3]. He W, Liang B, Wang C, et al. MSC-regulated lncRNA MACC1-AS1 promotes stemness and chemoresistance through fatty acid oxidation in gastric cancer[J]. Oncogene, 2019, 38(23): 4637-4654.

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[4]. Miwa S, Yokota M, Ueyama Y, et al. Discovery of selective transforming growth factor β type II receptor inhibitors as antifibrosis agents[J]. ACS Medicinal Chemistry Letters, 2021, 12(5): 745-751.
[5]. Wu N, Jiang M, Liu H, et al. LINC00941 promotes CRC metastasis through preventing SMAD4 protein degradation and activating the TGF-β/SMAD2/3 signaling pathway[J]. Cell Death & Differentiation, 2021, 28(1): 219-232.
[6]. Kubelt C, Hellmold D, Esser D, et al. Insights into gene regulation under temozolomide-promoted cellular dormancy and its connection to stemness in human glioblastoma[J]. Cells, 2023, 12(11): 1491.
[7]. Zhang B, Wang C M, Wu H X, et al. MFSD2A potentiates gastric cancer response to anti-PD-1 immunotherapy by reprogramming the tumor microenvironment to activate T cell response[J]. Cancer Communications, 2023, 43(10): 1097-1116.
[8]. Cruz-Morande S, Dotor J, San-Julian M. P144 a transforming growth factor beta inhibitor peptide, generates antifibrogenic effects in a radiotherapy induced fibrosis model[J]. Current Oncology, 2022, 29(4): 2650-2661.

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