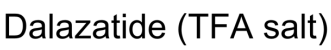
Dalazatide TFA



Cat. No.: HY-P3507A

Molecular Formula: $C_{186}H_{297}F_3N_{57}PS_7$

Molecular Weight: 4556.1

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

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

H_2O : 100 mg/mL (21.95 mM; Need ultrasonic)

Preparing Stock Solutions	Concentration / Solvent / Mass	1 mg	5 mg	10 mg
	1 mM	0.2195 mL	1.0974 mL	2.1949 mL
	5 mM	0.0439 mL	0.2195 mL	0.4390 mL
	10 mM	0.0219 mL	0.1097 mL	0.2195 mL

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

BIOLOGICAL ACTIVITY

Description

Dalazatide (ShK-186) TFA is a specific Kv1.3 potassium channel peptide inhibitor. Dalazatide TFA can be used in the study of autoimmune diseases such as multiple sclerosis (MS), lupus erythematosus, psoriasis, rheumatoid arthritis, type 1 diabetes and inflammatory bowel disease[1][2][3].

IC_{50} & Target

Kv1.3[1]

In Vitro

Dalazatide (ShK-186) (0-1000 pM) TFA blocks the Kv1.3 current in the Ova-specific GFP+ effector memory T (Tem) cells in a dose-dependent manner with a K_d of 65 ± 5 pM[3].

Dalazatide (0-100 nM; 3 days) TFA inhibits $CCR7^-$ T cell proliferation in a dose-dependent manner[3].

Dalazatide (100 nM; 30 min) TFA immobilizes effector memory T (Tem) cells at inflammatory sites by suppressing calcium signaling and thereby preventing β1 integrin activation[3].

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

Cell Proliferation Assay[3]

Cell Line:	$CCR7^-$ T cell[3]

Concentration:	0-100 nM
Incubation Time:	3 days
Result:	Inhibited cell proliferation with an IC_{50} of 180 ± 37 pM.

In Vivo

Dalazatide (ShK-186) (100 μg/kg; s.c.; once) TFA inhibits delayed-type hypersensitivity and suppresses the in vivo motility and activation of Tem cells in rats[3].

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

Animal Model:	Lewis rats rats, delayed-type hypersensitivity (DTH) model[3]
Dosage:	100 μg/kg
Administration:	Subcutaneous injection, once
Result:	Reduced DTH at all time points compared to rats given saline injections. Suppressed the proliferation of the Tem cells.

REFERENCES

[1]. Olsen C, et al. Dalazatide (ShK-186), a first-in-class peptide inhibitor of Kv1. 3 potassium channels, demonstrates safety, tolerability and proof of concept of efficacy in patients with active plaque psoriasis. J. Invest. Dermatol., 2016, 136(8).

[2]. Stevens A M, et al. Thu0285 Dalazatide, an Inhibitor of the KV1. 3 Channel on Activated Effector Memory T Cells, Has Immunotherapy Potential in Systemic Lupus Erythematosus. 2016.

[3]. Matheu MP, et al. Imaging of effector memory T cells during a delayed-type hypersensitivity reaction and suppression by Kv1.3 channel block. Immunity. 2008 Oct 17;29(4):602-14.

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