Dalazatide

Cat. No.:	HY-P3507
CAS No.:	1081110-69-1
Molecular Formula:	$C_{184}H_{296}N_{57}O_{55}PS_7$
Molecular Weight:	4442.08
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description

Dalazatide (ShK-186) is a specific Kv1.3 potassium channel peptide inhibitor. Dalazatide 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].

In Vitro

Dalazatide (ShK-186) (0-1000 pM) 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) inhibits $CCR7^-$ T cell proliferation in a dose-dependent manner[3].

Dalazatide (100 nM; 30 min) 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) inhibits delayed-type hypersensitivity and suppresses the in vivo motility and activation of Tem cells in rats[3].

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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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