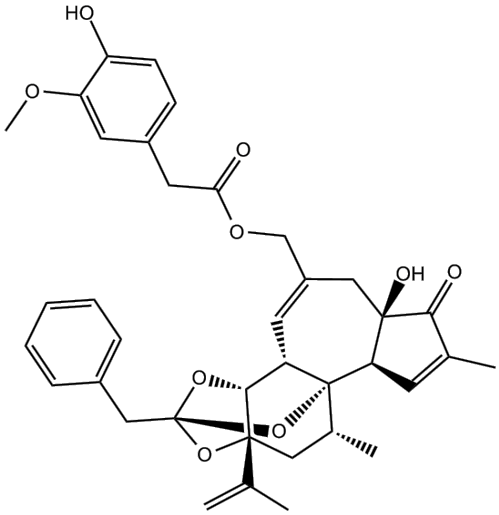
Product Data Sheet

Product Name: Resiniferatoxin
Cat. No.: GC12783

Chemical Properties

Cas. No.	57444-62-9		
Chemical Name	((2R,3aR,3bS,6aR,9aR,9bR,10R,11aR)-2-benzyl-6a-hydroxy-8,10-dimethyl-7-oxo-11a-(prop-1-en-2-yl)-3b,6,6a,7,9a,10,11,11a-octahydro-3aH-2,9b-epoxyazuleno[5',4':3,4]benzo[1,2-d][1,3]dioxol-5-yl)methyl 2-(4-hydroxy-3-methoxyphenyl)acetate		
SMILES	O[C@@]12[C@@H](C=C(C)C2=O)[C@]34O[C@@](O[C@@]5(C(C)=C)C[C@H]4C)(CC6=CC=CC=C6)O[C@@H]5[C@@H]3C=C(COC(CC(C=C7OC)=CC=C7O)=O)C1		
Formula	$C_{37}H_{40}O_9$	M.Wt	628.72
Solubility	DMSO : 50 mg/mL (79.53 mM; Need ultrasonic)	Storage	Store at -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



Protocol

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Cell experiment [1]:

Cell lines	IEC-18 cell line
Preparation Method	Cells were treated with different concentrations of RTX for 24 hours as instructed.
Reaction Conditions	0.1-10μM Resiniferatoxin; 24 hours
Applications	Resiniferatoxin at 5-10 μM produced a prolonged cell cycle arrest in G0/G1 phase that was first evident by 6 h and sustained for at least 24 h.

Animal experiment [2]:

Animal models	Male Wistar rats
Preparation Method	Rats (weighing 240-255 g) underwent L5 spinal nerve ligation, On day 7, rats were injected with 100 μL of Resiniferatoxin 0.002% (2 g) or vehicle (10% Tween 80, 10% EtOH, 80% normal saline) in the plantar skin of the left hind paw.
Dosage form	100 μL of Resiniferatoxin 0.002% (2 g); s.c in the plantar skin
Applications	Intraplantar injection of RTX completely alleviates thermal and mechanical hypersensitivity caused by L5 nerve injury.

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

[1].Frey MR, Clark JA, et,al.Cell cycle- and protein kinase C-specific effects of resiniferatoxin and resiniferonol 9,13,14-ortho-phenylacetate in intestinal epithelial cells. Biochem Pharmacol. 2004 May 15;67(10):1873-86. doi: 10.1016/j.bcp.2004.02.006. PMID: 15130764.
[2]. Javed H, Johnson AM,et,al. Cutaneous Injection of Resiniferatoxin Completely Alleviates and Prevents Nerve-Injury-Induced Neuropathic Pain. Cells. 2022 Dec 14;11(24):4049. doi: 10.3390/cells11244049. PMID: 36552812; PMCID: PMC9776507.

Background

Resiniferatoxin (RTX), an ultrapotent capsaicin analog, is a vanilloid agonist that binds to the transient receptor potential channel, vanilloid subfamily member 1 (TRPV1).Resiniferatoxin activates TRPV1 in an irreversible manner. It also can bind and activate members of the PKC family[1-4].

Resiniferatoxin(0.1-10μM; 24 hours) can induce G0/G1 arrest in non-transformed intestinal epithelial cells[4].

Resiniferatoxin (250ng,50μL;s.c) blocks inflammatory heat hyperalgesia when applied directly to the sciatic nerve in a time and dose dependent fashion[5]. Resiniferatoxin treatment increased serum levels of IL-4, IL-10 and IL-13, and it decreases intestinal eosinophilia, however, favours the mastocytosis, promoting T spiralis intestinal expulsion[6]. Cutaneous injection of Resiniferatoxin(100 μL of RTX 0.002% (2 g); s.c in the plantar skin) completely alleviates and prevents nerve-injury-induced neuropathic pain in rat[7].

References:
[1]. Brown DC. Resiniferatoxin: The Evolution of the "Molecular Scalpel" for Chronic Pain Relief. Pharmaceuticals (Basel). 2016 Aug 11;9(3):47. doi: 10.3390/ph9030047. PMID: 27529257; PMCID: PMC5039500.
[2]. Montell C, Birnbaumer L, et,al. A unified nomenclature for the superfamily of TRP cation channels. Mol Cell. 2002 Feb;9(2):229-31. doi: 10.1016/s1097-2765(02)00448-3. PMID: 11864597.
[3]. Gunthorpe MJ, Benham CD, et,al. The diversity in the vanilloid (TRPV) receptor family of ion channels. Trends Pharmacol Sci 2002, 23:183-191.
[4]. Frey MR, Clark JA, et,al. Cell cycle- and protein kinase C-specific effects of resiniferatoxin and resiniferonol 9,13,14-ortho-phenylacetate in intestinal epithelial cells. Biochem Pharmacol. 2004 May

15;67(10):1873-86. doi: 10.1016/j.bcp.2004.02.006. PMID: 15130764.
[5]. Neubert JK, Mannes AJ, et,al. Perineural resiniferatoxin selectively inhibits inflammatory hyperalgesia. Mol Pain. 2008 Jan 16;4:3. doi: 10.1186/1744-8069-4-3. PMID: 18199335; PMCID: PMC2242785.
[6]. MuÑoz-Carrillo JL, GutiÉrrez-Coronado O, et,al. Resiniferatoxin promotes adult worm expulsion in Trichinella spiralis-infected rats by Th2 immune response modulation. Parasite Immunol. 2021 Aug;43(8):e12840. doi: 10.1111/pim.12840. Epub 2021 May 10. PMID: 33914935.
[7]. Javed H, Johnson AM, et,al. Cutaneous Injection of Resiniferatoxin Completely Alleviates and Prevents Nerve-Injury-Induced Neuropathic Pain. Cells. 2022 Dec 14;11(24):4049. doi: 10.3390/cells11244049. PMID: 36552812; PMCID: PMC9776507.