

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)(C6=CC=CC=C6)O[C@@H]5[C@@H]3C=C(COC(CC(C=C7OC)=CC=C7O)=O)C1

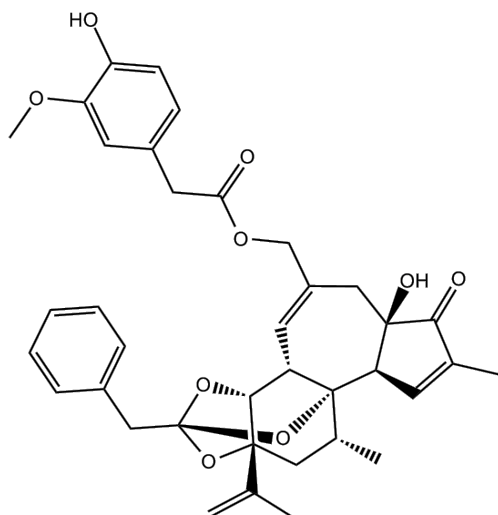
Formula $C_{37}H_{40}O_9$ M.Wt 628.72

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

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

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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 0.1-10 μ M Resiniferatoxin; 24 hours

Applications Resiniferatoxin at 5-10 μ M 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 μ L 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 μ L 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.

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

Resiniferatoxin (250ng, 50 μ L; 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 μ L 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

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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.

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