

Chemical Properties

Cat. No.: GC17987

Chemical Name	3,3'-((2Z,5Z,8Z,11E,14Z,17Z,20Z,23Z,26Z,29Z,37Z,40Z,43Z,46Z)-36-((1Z,4Z,7Z,10Z,13Z,16Z)-3-((1H-imidazol-5-yl)methyl)-15-(sec-butyl)-18-carboxy-9-(carboxymethyl)-1,4,7,10,13,16-hexahydroxy-6-(3-hydroxy-3-iminopropyl)-19-(1H-indol-3-yl)-12-isopropyl-2,5,8,1
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Formula	C ₁₀₃ H ₁₄₇ N ₂₇ O ₃₇ S ₅	M.Wt	2515.75
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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.
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Shipping Condition	Evaluation sample solution : ship with blue ice All other available size: ship with RT , or blue ice upon request.
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The chemical structure is a complex polyketide molecule. It features a long, branched carbon chain. Key functional groups include multiple hydroxyl (-OH) groups, several carboxylic acid (-COOH) groups, and amide (-CONH-) linkages. A central sulfur atom (S) is part of a cyclic structure, possibly a thioether or a sulfide. The chain terminates in a phenyl ring (C6H5) and a carboxylic acid group. The overall structure is highly branched and contains numerous stereocenters, indicated by wedge and dash bonds.

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Cell experiment [1]:

Cell lines Human hepatic myofibroblastic Ito cells

Preparation Method Human myofibroblastic Ito cells were obtained from explants of normal liver and cultured in Dulbecco's modified Eagle's medium (DME) containing a mixture of 5% fetal calf serum and 5% pooled human serum. Quiescent cells were achieved by incubation 100µM Sarafotoxin S6c in serum-free Waymouth medium for 3 days.

Reaction
Conditions 100µM; 30min

Applications Sarafotoxin S6c selectively reduced serum-stimulated mRNA expression of the immediate-early gene c-jun.

Animal experiment [2]:

Animal models Swiss mice

Preparation Method Mice received an intraplantar (i.pl.) injection of Sarafotoxin S6c (3 to 30pmol/paw) into the right hindpaw. Capsaicin (0.1µg/paw) was injected into the same paw 30 minutes later to induce a nociceptive response. The time spent licking the injected paw was recorded over 5 minutes.

Dosage form 3 to 30pmol/paw; i.pl.

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Applications Sarafotoxin S6c, a selective endothelin ETB receptor agonist, failed to significantly modify capsaicin-induced nociception at all tested doses, in contrast to the hyperalgesic effect observed with endothelin-1.

References:

- [1] Mallat A, Fouassier L, Préaux AM, et al. Growth inhibitory properties of endothelin-1 in human hepatic myofibroblastic Ito cells. An endothelin B receptor-mediated pathway. J Clin Invest. 1995 Jul;96(1):42-9.
- [2] Piovezan AP, D'Orléans-Juste P, Tonussi CR, Rae GA. Effects of endothelin-1 on capsaicin-induced nociception in mice. Eur J Pharmacol. 1998 Jun 12;351(1):15-22.

Background

Sarafotoxin S6c is a peptide toxin that specifically activates the endothelin B receptor^[1]. Sarafotoxin S6c binds with high affinity to the endothelin B receptor and triggers its downstream signaling pathway, leading to the contraction of vascular smooth muscle; this effect is independent of the integrity of the vascular endothelium^[2]. Sarafotoxin S6c is also used to study the role of the endothelin system in cardiovascular physiology and pathological processes, including investigations into disease mechanisms such as pulmonary arterial hypertension^[3-4].

In vitro, pretreatment of human hepatic myofibroblastic Ito cells with Sarafotoxin S6c (100nM), followed by stimulation with human serum (2–5%) or platelet-derived growth factor-BB (PDGF-BB; 20ng/ml) for 30 hours, resulted in significant inhibition of DNA synthesis and cell proliferation. This was accompanied by reduced mitogen-activated protein kinase (MAP kinase) activity and decreased c-jun mRNA expression^[5].

In vivo, a single intraplantar injection of Sarafotoxin S6c (3–30pmol/paw) in mice 30 minutes prior to administration did not significantly affect capsaicin (0.1µg/paw)-induced nociceptive responses and did not produce a hyperalgesic effect^[6].

References:

- [1] Bdolah A, Wollberg Z, Fleminger G, et al. SRTX-d, a new native peptide of the

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endothelin/sarafotoxin family. FEBS Lett. 1989 Oct 9;256(1-2):1-3.

[2] Mills RG, Ralston GB, King GF. The solution structure of sarafotoxin-c. Implications for ligand recognition by endothelin receptors. J Biol Chem. 1994 Sep 23;269(38):23413-9.

[3] Wollberg Z, Bdolah A, Kochva E. Vasoconstrictor effects of sarafotoxins in rabbit aorta: structure-function relationships. Biochem Biophys Res Commun. 1989 Jul 14;162(1):371-6.

[4] Wollberg Z, Bdolah A, Galron R, et al. Contractile effects and binding properties of endothelins/sarafotoxins in the guinea pig ileum. Eur J Pharmacol. 1991 May 30;198(1):31-6.

[5] Mallat A, Fouassier L, Préaux AM, et al. Growth inhibitory properties of endothelin-1 in human hepatic myofibroblastic Ito cells. An endothelin B receptor-mediated pathway. J Clin Invest. 1995 Jul;96(1):42-9.

[6] Piovezan AP, D'Orléans-Juste P, Tonussi CR, Rae GA. Effects of endothelin-1 on capsaicin-induced nociception in mice. Eur J Pharmacol. 1998 Jun 12;351(1):15-22.

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