Product Data Sheet

Product Name: TFLLR-NH2
Cat. No.: GC17444

Chemical Properties

Cas. No.	197794-83-5		
Chemical Name	(S,Z)-2-((Z)-((S)-2-((Z)-((2S,3R)-2-amino-1,3-dihydroxybutylidene)amino)-1-hydroxy-3-phenylpropylidene)amino)-N-((S,Z)-1-(((S)-5-guanidino-1-hydroxy-1-iminopentan-2-yl)imino)-1-hydroxy-4-methylpentan-2-yl)-4-methylpentanimidic acid		
SMILES	CC(C[C@@](/N=C(O)/[C@](/N=C(O)/[C@](/N=C(O)/[C@](N)([H])[C@@](O)([H])C)([H])CC1=CC=CC=C1)([H])CC(C)C)([H])/C(O)=N/[C@@](C(O)=N)([H])CCCNC(N)=N)C		
Formula	$C_{31}H_{53}N_9O_6$	M.Wt	647.82
Solubility	Soluble to 1 mg/ml in 20% acetonitrile / sterile water	Storage	Desiccate at -20°C
General tips	For obtaining a higher solubility , please warm the tube at 37 ℃ and shake it in the ultrasonic bath for a while.Stock solution can be stored below -20℃ 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	TFLLR-NH2		

Protocol

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

Product Data Sheet

Animal experiment:

Mice: Mice are anaesthetized with isofluorane, and saline or TF-NH2 (3?μmol/kg in 25?μL physiological saline) is injected into the lateral tail vein. Evans blue (33.3mg/kg in 50?μL saline) is co-injected with the peptide. Mice are perfused transcardially at 10?min after administration of TF-NH2 with physiological saline containing 20?u/mL heparin at a pressure of 80-100?mmHg for 2-3?min. Excised tissues are incubated in 1?mL of formamide for 48?h, and Evans blue content is measured spectrophotometrically at 650?nm[1].

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA

References:

[1]. de Garavilla L, et al. Agonists of proteinase-activated receptor 1 induce plasma extravasation by a neurogenic mechanism. Br J Pharmacol. 2001 Aug;133(7):975-87.
[2]. Kawabata A, et al. Characterization of the protease-activated receptor-1-mediated contraction and relaxation in the rat duodenal smooth muscle.
[3]. Jia Y, et al. Activation of platelet protease-activated receptor-1 induces epithelial-mesenchymal transition and chemotaxis of colon cancer cell line SW620. Oncol Rep. 2015 Jun;33(6):2681-8.

Background

TFLLR-NH2 is a selective PAR1 agonist with an EC50 of 1.9 μM.

Product Data Sheet

PAR1 agonists stimulate concentration-dependent increases in [Ca2+]i and in the proportions of neurones. The maximal increase in [Ca2+]i above basal is detected in response to 10 μm TF-NH2(peak 196.5±20.4 nM, n=25) when 50–80% of identified neurones responded[1]. SW620 cells cultured in the supernatant of TFLLR-NH2-activated platelets upregulate E-cadherin expression and downregulate the vimentin expression. In the in vitro platelet culture system, a TFLLR-NH2 dose-dependent increase of secreted TGF-β1 is detected in the supernatant[2].

Injection of TF-NH2 into the rat paw stimulates a marked and sustained oedema. An NK1R antagonist and ablation of sensory nerves with capsaicin inhibit oedema by 44% at 1 h and completely by 5 h. In wild-type but not PAR1−/− mice, TF-NH2 stimulates Evans blue extravasation in the bladder, oesophagus, stomach, intestine and pancreas by 2–8 fold. Extravasation in the bladder, oesophagus and stomach is abolished by an NK1R antagonist[1]. TFp-NH2 produces notable contraction at 3-50 μM and relaxation at 0.3-50 μM, in the absence of apamin. The concentration-response curve for TFp-NH2-induced contraction is remarkably shifted left, when the TFp-NH2-induced relaxation is blocked by apamin at 0.1 μM[3].

References:
[1]. de Garavilla L, et al. Agonists of proteinase-activated receptor 1 induce plasma extravasation by a neurogenic mechanism. Br J Pharmacol. 2001 Aug;133(7):975-87.
[2]. Kawabata A, et al. Characterization of the protease-activated receptor-1-mediated contraction and relaxation in the rat duodenal smooth muscle.
[3]. Jia Y, et al. Activation of platelet protease-activated receptor-1 induces epithelial-mesenchymal transition and chemotaxis of colon cancer cell line SW620. Oncol Rep. 2015 Jun;33(6):2681-8.

Tel: (909) 407-4943 Fax: (626) 353-8530 E-mail: tech@glpbio.com
Address: 10292 Central Ave. #205, Montclair, CA, USA