Product Data Sheet

Product Name: PAR-4 Agonist Peptide, amide (AY-NH2)
Cat. No.: GC15817

Chemical Properties

Cas. No.	352017-71-1
Chemical Name	(S,Z)-N-((Z)-2-(((S,Z)-6-amino-1-hydroxy-1-(((S)-1-hydroxy-1-imino-3-phenylpropan-2-yl)imino)hexan-2-yl)imino)-2-hydroxyethyl)-1-((S)-2-((Z)-((S)-2-amino-1-hydroxypropylidene)amino)-3-(4-hydroxyphenyl)propanoyl)pyrrolidine-2-carbimidic acid
SMILES	C[C@@](N)([H])/C(O)=N/[C@@](C(N1CCC[C@@]1([H])/C(O)=N/C/C(O)=N/[C@@](/C(O)=N/[C@@](C(O)=N)([H])CC2=CC=CC=C2)([H])CCCCN)=O)([H])CC3=CC=C(O)C=C3
Formula	$C_{34}H_{48}N_8O_7$
M.Wt	680.8
Solubility	DMSO : 100 mg/mL (146.89 mM; Need ultrasonic)
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	

Protocol

Animal experiment:

Mice[1]SCID mice Male SCID mice and their BALB/cBy controls are operated as C57BL/6J mice, and on the 4th postoperative day mice receive intracolonically (IC) infusion of 100 µg PAR-4-AP or vehicle. Visceral pain measurements started 1 h following the end of infusion[1].

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References:

[1]. Annaházi A, et al. Proteinase-activated receptor-4 evoked colorectal analgesia in mice: an endogenously activatedfeed-back loop in visceral inflammatory pain. Neurogastroenterol Motil. 2012 Jan;24(1):76-85, e13.

Background

AY-NH2 is a selective agonist of PAR4 with EC50 value of 11 μM [1].

Protease-activated receptor-4 (PAR4) is a member of PARs and plays an important role in mediating cellular effects of thrombin through acting G-proteins i, 12/13 (Rho and Ras activation) and q (calcium signaling) [2].

AY-NH2 is a potent PAR4 agonist and has a higher (~10 fold) activity than GYPGKF-NH2. Using rat platelet aggregation assay, it was shown that AY-NH2 had highly platelet aggregation ability than GY-NH2 and GF-NH2 [1]. When tested with platelet-rich plasma harvested from wild-type C57BL6 mice, AY-NH2 treatment exhibited highly agonist activity on PAR4 while had no effect on other PARs [3].

In male Wistar rats model of paw oedema, i.pl. injection of AY-NH2 markedly reduced the nociceptive score in response to both noxious and non-noxious mechanical stimuli, thus inhibiting carrageenan-induced mechanical hyperalgesia and allodynia [4].

References:
[1]. Hollenberg, M.D., et al., Proteinase-activated receptor-4: evaluation of tethered ligand-derived peptides as probes for receptor function and as inflammatory agonists in vivo. Br J Pharmacol, 2004. 143(4): p. 443-54.
[2]. Yu, G., et al., Increased expression of protease-activated receptor 4 and Trefoil factor 2 in human colorectal cancer. PLoS One, 2015. 10(4): p. e0122678.
[3]. Faruqi, T.R., et al., Structure-function analysis of protease-activated receptor 4 tethered ligand peptides. Determinants of specificity and utility in assays of receptor function. J Biol Chem, 2000. 275(26): p. 19728-34.

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[4]. Asfaha, S., et al., Protease-activated receptor-4: a novel mechanism of inflammatory pain modulation. Br J Pharmacol, 2007. 150(2): p. 176-85.