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Datasheet (Version 1.0)

Product Name	:	TFLLR-NH2(TFA)
Synonyms	:	
Cat No.	:	M30033
CAS Number	:	1313730-19-6
Molecular Formula	:	C33H54F3N9O8
Formula Weight	:	761.8
Chemical Name	:	
Description	:	TFLLR-NH2 (TFA) is a selective PAR1 agonist with an EC50 of 1.9 μM. EC50: 1.9 μM (PAR1)(In Vitro):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. 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.(In Vivo):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. 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.
Pathway	:	GPCR/G Protein
Target	:	PAR
Receptor	:	EC50: 1.9 μM (PAR1)
Solubility	:	H2O : 100 mg/mL (131.26 mM; Need ultrasonic);DMSO : 100 mg/mL (131.26 mM; Need ultrasonic)
SMILES	:	——
Storage	:	(-20℃)
Stability	:	≥ 2 years
Reference	:	

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.