Data Sheet

Product Name:	Tertiapin-Q
Cat. No.:	CS-0029213
CAS No.:	910044-56-3
Molecular Formula:	C106H175N35O24S4
Molecular Weight:	2452.00
Target:	Potassium Channel
Pathway:	Membrane Transporter/Ion Channel
Solubility:	10 mM in DMSO

Ala-Leu-Cys-Asn-Cys-Asn-Arg-Ile-Ile-Ile-Pro-His-Gln-Cys-Trp-Lys-Lys-Cys-Gly-Lys-Lys-NH_2(Disulfide bridge: Cys_3-Cys_{14}, Cys_5-Cys_{18})

BIOLOGICAL ACTIVITY:

Tertiapin-Q is a highly selective blocker of **GIRK1/4** heterodimer and **ROMK1** (**$Kir_{1.1}$**). IC50 & Target: Potassium channel[1] **In Vitro:** Tertiapin-Q is a highly selective blocker of G protein-coupled inwardly rectifying potassium (GIRK1/4) heterodimer and renal outer medullary potassium channel (ROMK1, $Kir_{1.1}$)[1]. Tertiapin-Q is a potent and selective blocker for $Kir_{1.1}$ renal outer medullary potassium, $Kir_{3.1}$-$Kir_{3.4}$ channels and calcium activated large conductance potassium channels (big potassium channels). The somatostatin (SS-14)-activated current is almost completely blocked (93.2±2.9%, n=5; P<0.01) by preincubation with the G protein-coupled inwardly rectifying potassium (GIRK) channel blocker Tertiapin-Q (TPN-Q)[2]. **In Vivo:** Tertiapin-Q is a muscarinic acetylcholine receptor-operated K^+ current ($I_{K,Ach}$) blocker. After the cessation of rapid atrial pacing, the atrial effective refractory period (AERP) is unchanged during the experimental period in the rapid atrial pacing (RAP) rabbits (n=6). Bepridil (1 mg/kg, n=5 for each group), Amiodarone (10 mg/kg, n=5 for each group), Vernakalant (3 mg/kg, n=5 for each group), Ranolazine (10 mg/kg, n=6 for each group) or Tertiapin-Q (0.03 mg/kg, n=5 for each group) on the AERP in the control and RAP rabbits. Tertiapin-Q significantly prolongs the AERP at each pacing cycle length both in the control and RAP rabbits. The extents of prolonging effect of Tertiapin-Q on the AERP in the RAP rabbits are greater than those in the control animals[3].

PROTOCOL (Extracted from published papers and Only for reference)

Animal Administration: Tertiapin-Q is dissolved in saline[3].[3]Rabbits[3]

Male New Zealand White rabbits weighing 3.0-3.5 kg are used for this study. Effects of Vernakalant, Ranolazine and Tertiapin-Q on the atrial effective refractory period (AERP) in the control and rapid atrial pacing (RAP) rabbits. Vernakalant (left panels, 3 mg/kg, n=5 for each group), Ranolazine (middle panels; 10 mg/kg, n=6 for each group) or **Tertiapin-Q (right panels; 0.03 mg/kg, n=5 for each group) is intravenously administered** to the control or RAP rabbits. AERP is measured before and 10 min after the administration of each drug, which are shown in the lower panels.

References:

[1]. Picton LD, et al. Mechanisms underlying the endogenous dopaminergic inhibition of spinal locomotor circuit function in Xenopus tadpoles. Sci Rep. 2016 Oct 20;6:35749.

[2]. Günther T, et al. Research Resource: Real-Time Analysis of Somatostatin and Dopamine Receptor Signaling in Pituitary Cells Using a Fluorescence-Based Membrane Potential Assay. Mol Endocrinol. 2016 Apr;30(4):479-90.

[3]. Chiba T, et al. Influences of rapid pacing-induced electrical remodeling on pharmacological manipulation of the atrial refractoriness in rabbits. J Pharmacol Sci. 2016 Mar;130(3):170-6.

CAIndexNames:

L-Lysinamide, L-alanyl-L-leucyl-L-cysteinyl-L-asparaginyl-L-cysteinyl-L-asparaginyl-L-arginyl-L-isoleucyl-L-isoleucyl-L-isoleucyl-L-prolyl-L-histidyl-L-glutaminyl-L-cysteinyl-L-tryptophyl-L-lysyl-L-lysyl-L-cysteinylglycyl-L-lysyl-, cyclic (3→14),(5→18)-bis(disulfide)

SMILES:

[Ala-Leu-Cys-Asn-Cys-Asn-Arg-Ile-Ile-Ile- Pro-His-Gln-Cys-Trp-Lys-Lys-Cys-Gly-Lys- Lys-NH2(Disulfide bridge: Cys3-Cys14, Cys5-Cys18)]

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