

Conopeptide rho-TIA

Cat. No.:	HY-P5158
CAS No.:	381725-58-2
Molecular Formula:	C ₁₀₅ H ₁₆₀ N ₃₆ O ₂₁ S ₄
Molecular Weight:	2390.88
Sequence:	Phe-Asn-Trp-Arg-Cys-Cys-Leu-Ile-Pro-Ala-Cys-Arg-Arg-Asn-His-Lys-Lys-Phe-Cys-NH ₂ (Disulfide bridge: Cys5-Cys11, Cys6-Cys19)
Sequence Shortening:	FNWRCCLIPACRRNHKKFC-NH ₂ (Disulfide bridge: Cys5-Cys11, Cys6-Cys19)
Target:	Adrenergic Receptor
Pathway:	GPCR/G Protein; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.

BIOLOGICAL ACTIVITY

Description	Conopeptide rho-TIA is a peptide derived from the venom contained in the predatory sea snail <i>Conus tulipa</i> , has highly selective and noncompetitive inhibitor at human α_{1B} -Adrenergic Receptor. Conopeptide rho-TIA acts a competitive inhibitor at human α_{1A} -Adrenergic Receptor and α_{1D} -Adrenergic Receptor. Conopeptide rho-TIA binds to each subtype and may provide useful information for the development of novel α_1 -Adrenergic Receptor subtype-selective drugs ^[1] .		
IC₅₀ & Target	α_{1B} Adrenergic Receptor	α_{1A} Adrenergic Receptor	α_{1D} Adrenergic Receptor
In Vitro	<p>Conopeptide rho-TIA (100 nM, 24-48 h) binds in a noncompetitive, reversible manner to the human α_{1B}-Adrenergic Receptor, which suggests that conopeptide rho-TIA is likely to be an allosteric inhibitor^[1].</p> <p>Conopeptide rho-TIA (30 nM, 2 h) is a noncompetitive inhibitor at human α_{1B}-Adrenergic Receptor, whereas at α_{1A}-Adrenergic Receptor and α_{1D}-Adrenergic Receptor subtypes it acts as a competitive inhibitor^[1].</p> <p>Conopeptide rho-TIA (10 μM, one time) inhibits α_1-adrenorecepto-mediated increases in cytosolic Ca²⁺ concentration that were triggered by norepinephrine, but did not affect presynaptic α_2-adrenoreceptor-mediated responses^[2].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>		

REFERENCES

- [1]. Chen Z, et al. Subtype-selective noncompetitive or competitive inhibition of human alpha1-adrenergic receptors by rho-TIA. *J Biol Chem.* 2004 Aug 20;279(34):35326-33.
- [2]. Sharpe IA, et al. Allosteric alpha 1-adrenoreceptor antagonism by the conopeptide rho-TIA. *J Biol Chem.* 2003 Sep 5;278(36):34451-7.

Caution: Product has not been fully validated for medical applications. For research use only.

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