

Product Data Sheet

Product Name: Calciseptine TFA

Cat. No.: GC79498

Chemical Properties

Cas. No.

Formula $C_{299}H_{468}N_{90}O_{87}S_{10} \cdot xC_2HF_3O_2$ M.Wt 7036.12

Solubility H_2O : ≥ 100 mg/mL Storage Sealed storage, away from moisture

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C 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

Arg-Ile-Cys-Tyr-Ile-His-Lys-Ala-Ser-Leu-
Pro-Arg-Ala-Thr-Lys-Thr-Cys-Val-Glu-
Asn-Thr-Cys-Tyr-Lys-Met-Phe-Ile-Arg-
Thr-Gln-Arg-Glu-Tyr-Ile-Ser-Glu-Arg-Gly-
Cys-Gly-Cys-Pro-Thr-Ala-Met-Trp-Pro-
Tyr-Gln-Thr-Glu-Cys-Cys-Lys-Gly-Asp-
Arg-Cys-Asn-Lys (Disulfide bridge: Cys3-
Cys22; Cys17-Cys39; Cys41-Cys52;
Cys53-Cys58) (TFA salt)

Background

Calciseptine TFA, a polypeptide found in black mamba venom, is a selective Cav1.2 L-type calcium channel inhibitor with an IC_{50} of 92 nM. Calciseptine TFA binds to the pore domain shoulder at repeats III and IV of Cav1.2, stabilizing an inactivated conformation. Calciseptine TFA exhibits negative inotropic and negative relaxant effects on mice, and does not affect heart rate or the action potential of sinoatrial node pacemaker cells. Calciseptine TFA can be used for the research of cardiovascular diseases [1] [2].

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

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In Vivo, Calciseptine (100 nM; perfusion; continuous; 7 minutes) TFA inhibits contraction and spares automaticity of Langendorff perfused hearts^[1].

In Vitro, Calciseptine (100 nM-1 μ M) TFA potently inhibits recombinant Cav1.2-mediated L-type Ca^{2+} currents in HEK-293T cells with an IC_{50} of 92 ± 18 nM, while having no significant effect on recombinant Cav1.342 α - or Cav1.342-mediated currents at concentrations up to 1 μ M^[1]. Calciseptine (40-1000 nM) TFA inhibits Cav1.2 channel activity in HEK293T cells and 0.2 μ M reducing peak Cav1.2 current by more than half^[2]. Calciseptine TFA requires Cav1.2 residues Asp1117, Val1501, Asn1113, and Ala1123 for specific blockage of Cav1.2, as mutation of these residues reduces sensitivity Calciseptine^[2].

References:

- [1]. Mesirca P, et al. Selective blockade of Cav1.2 ($\alpha 1\text{C}$) versus Cav1.3 ($\alpha 1\text{D}$) L-type calcium channels by the black mamba toxin calciseptine. Nat Commun. 2024 Jan 2;15(1):54.
- [2]. Gao S, et al. Structural basis for human Ca v 1.2 inhibition by multiple drugs and the neurotoxin calciseptine. Cell. 2023;186(24):5363-5374.e16.

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