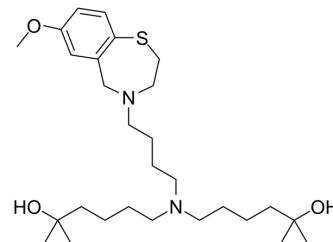


RyR2 stabilizer-1

Cat. No.:	HY-149779
CAS No.:	3012675-16-7
Molecular Formula:	C ₂₈ H ₄₆ N ₂ O ₃ S
Molecular Weight:	490.74
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	RyR2 stabilizer-1 (compound 12a) is a potent RyR2 stabilizer and SERCA2a activator with EC ₅₀ s of 2.7 μM for RyR2 and 383 nM for SERCA2. RyR2 stabilizer-1 inhibits Ca ²⁺ leakage from the SR RyR2 while promoting SERCA2 to pump Ca ²⁺ back to SR, which make RyR2 stabilizer-1 possible to prevent cardiac arrhythmias ^[1] .
IC ₅₀ & Target	Ryanodine receptor type 2 (RyR2) EC ₅₀ : 2.7 μM (HEK-293) ^[1] ; sarco/endoplasmic reticulum Ca ²⁺ -ATPase 2a (SERCA2a) EC ₅₀ : 383 nM(mouse SR) ^[1]
In Vitro	<p>RyR2 stabilizer-1 (0-100 μM) significantly increases [Ca²⁺]_{ER} dose-dependently, at concentrations above 25 μM RyR2 stabilizer-1 demonstrates the most pronounced effect^[1].</p> <p>RyR2 stabilizer-1 has EC₅₀s of 383 nM, 9.2 μM and 16 nM for SERCA2a in mouse SR, HL-1 and HEK ER, respectively^[1].</p> <p>RyR2 stabilizer-1 (10 μM, incubated for 2 h) improves HL-1 SERCA2a activity in the caffeine-induced Ca²⁺ release assay^[1].</p> <p>RyR2 stabilizer-1 (50 μM, HL-1, 24h) shows no significant cell death in CytoTox-Glo Cytotoxicity Assay^[1].</p> <p>RyR2 stabilizer-1 (100 μM, 5min) causes a strong decrease in NADH fluorescence which reflecting an increase in SERCA2a activity in SR microsomes derived from mouse heart ventricles, it also causes the improvement of ATPase activity^[1].</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>

REFERENCES

[1]. Gyuzel Y. Mitronova, et al. 1,4-Benzothiazepines with Cyclopropanol Groups and Their Structural Analogues Exhibit Both RyR2-Stabilizing and SERCA2a Stimulating Activities. Journal of Medicinal Chemistry. 2023 Article ASAP.

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

Tel: 609-228-6898

Fax: 609-228-5909

E-mail: tech@MedChemExpress.com

Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA