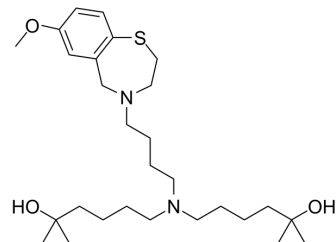


## RyR2 stabilizer-1

Cat. No.:	HY-149779
Molecular Formula:	C <sub>28</sub> H <sub>46</sub> N <sub>2</sub> O <sub>3</sub> 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

<b>Description</b>	RyR2 stabilizer-1 (compound 12a) is a potent RyR2 stabilizer and SERCA2a activator with EC <sub>50</sub> s of 2.7 μM for RyR2 and 383 nM for SERCA2. RyR2 stabilizer-1 inhibits Ca <sup>2+</sup> leakage from the SR RyR2 while promoting SERCA2 to pump Ca <sup>2+</sup> back to SR, which make RyR2 stabilizer-1 possible to prevent cardiac arrhythmias <sup>[1]</sup> .
<b>IC<sub>50</sub> &amp; Target</b>	Ryanodine receptor type 2 (RyR2) EC <sub>50</sub> : 2.7 μM (HEK-293) <sup>[1]</sup> ;sarco/endoplasmic reticulum Ca <sup>2+</sup> -ATPase 2a (SERCA2a) EC <sub>50</sub> : 383 nM(mouse SR) <sup>[1]</sup>
<b>In Vitro</b>	<p>RyR2 stabilizer-1 (0-100 μM) significantly increases [Ca<sup>2+</sup>]<sub>ER</sub> dose-dependently, at concentrations above 25 μM RyR2 stabilizer-1 demonstrates the most pronounced effect<sup>[1]</sup>.</p> <p>RyR2 stabilizer-1 has EC<sub>50</sub>s of 383 nM, 9.2 μM and 16 nM for SERCA2a in mouse SR, HL-1 and HEK ER, respectively<sup>[1]</sup>.</p> <p>RyR2 stabilizer-1 (10 μM, incubated for 2 h) improves HL-1 SERCA2a activity in the caffeine-induced Ca<sup>2+</sup> release assay<sup>[1]</sup>.</p> <p>RyR2 stabilizer-1 (50 μM, HL-1, 24h) shows no significant cell death in CytoTox-Glo Cytotoxicity Assay<sup>[1]</sup>.</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<sup>[1]</sup>.</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 SERCA2aStimulating Activities. Journal of Medicinal Chemistry. 2023 Article ASAP.

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

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