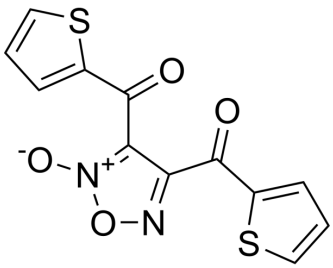
HC-056456

Cat. No.:	HY-112729
CAS No.:	7733-96-2
Molecular Formula:	$C_{12}H_6N_2O_4S_2$
Molecular Weight:	306.32
Target:	Calcium Channel
Pathway:	Membrane Transporter/Ion Channel; Neuronal Signaling
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 125 mg/mL (408.07 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	3.2646 mL	16.3228 mL	32.6456 mL
	5 mM	0.6529 mL	3.2646 mL	6.5291 mL
	10 mM	0.3265 mL	1.6323 mL	3.2646 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (6.79 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (6.79 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

HC-056456 is an effective but not perfectly-selective blocker of CatSper channels. The $[Na^+]_i$ rise is slowed by HC-056456 (IC_{50}~3 μM).

IC_{50} & Target

CatSper[1]

In Vitro

HC-056456 similarly slows the rise of $[Ca^{2+}]_i$ that is evoked by alkaline depolarization and reported by fura-2. HC-056456 also selectively and reversibly decreased CatSper currents recorded from patch-clamped sperm. HC-056456 produces a pharmacological phenocopy of the CatSper-null sperm. Acute application of HC-056456 causes rapid loss of flagellar waveform asymmetry from hyperactivated sperm, indicating that continued entry of Ca^{2+} through CatSper channels is required to maintain hyperactivation. HC-056456 selectively and reversibly blocks CatSper currents. The specificity and

reversibility of the blockade of CatSper-dependent currents by HC-056456 is examined by using patch clamp recordings. The observed current is blocked slightly more than 50% by 20 μM HC-056456 (estimated IC_{50} near 15 μM). In concept, it remains possible that CatSper channel heterogeneity explains residual HC-056456-resistant current. The action of HC-056456 on KSper channels, the other major cation channel observed in patch-clamped sperm, is also examined. Subsequent application of 50 μM HC-056456 results in partial blockade of this current. For HC-056456 action on KSper an IC_{50} near 40 μM is estimated[1].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Comp Biochem Physiol A Mol Integr Physiol. 2020 Mar;241:110634.
- Comp Biochem Physiol A Mol Integr Physiol. 2020 Mar;241:110634.

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REFERENCES

[1]. Carlson AE, et al. Pharmacological targeting of native CatSper channels reveals a required role in maintenance of sperm hyperactivation. PLoS One. 2009 Aug 31;4(8):e6844.

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