Eleclazine hydrochloride

Cat. No.: HY-16738A CAS No.: 1448754-43-5 Molecular Formula: $C_{21}H_{17}ClF_{3}N_{3}O_{3}$

Molecular Weight: 451.83

Sodium Channel; Potassium Channel Target: Pathway: Membrane Transporter/Ion Channel Storage: 4°C, sealed storage, away from moisture

* In solvent: -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 50 mg/mL (110.66 mM; Need ultrasonic)

H₂O: < 0.1 mg/mL (ultrasonic; warming; heat to 60°C) (insoluble)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.2132 mL	11.0661 mL	22.1322 mL
	5 mM	0.4426 mL	2.2132 mL	4.4264 mL
	10 mM	0.2213 mL	1.1066 mL	2.2132 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (5.53 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE- β -CD in saline) Solubility: ≥ 2.5 mg/mL (5.53 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (5.53 mM); Clear solution

BIOLOGICAL ACTIVITY

Description	Eleclazine (GS 6615) hydrochloride is a selective cardiac late sodium current inhibitor and a weak inhibitor of potassium current with IC $_{50}$ value of <1 μ M and approximately 14.2 μ M, respectively. Eleclazine hydrochloride shows concurrent protection against autonomically induced atrial premature beats, repolarization alternans and heterogeneity, and atrial fibrillation in porcine model. Eleclazine hydrochloride can be used to research cardiac arrhythmias [1][2][3].	
IC ₅₀ & Target	Sodium current, Potassium current $^{[1][2]}$	
In Vitro	Eleclazine inhibits sodium current in hiPSC-derived cardiomyocytes with an IC $_{50}$ of 2.5 $\mu M^{[3]}$.	

MCE has not independently confirmed the accuracy of these methods. They are for reference only. In Vivo Eleclazine (0.3 and 0.9 mg/kg; IV; infused over 15 minutes) reduces the incidence of epinephrine-induced ventricular premature beats and couplets, and shortens ventricular QT and atrial PTa intervals^[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only. Male Yorkshire pigs (35.20 ± 0.46 kg; injected with epinephrine via a jugular vein)^[1] Animal Model: Dosage: 0.3 and 0.9 mg/kg Administration: IV; infused over 15 minutes Result: Reduced the incidence of epinephrine-induced ventricular premature beats and couplets by 51% (from 31.3 \pm 1.91 to 15.2 \pm 5.08 episodes; P = 0.038) and the incidence of 3- to 7beat ventricular tachycardia (VT) by 56% (from 10.8 ± 3.45 to 4.7 ± 3.12 episodes; P = 0.004). Shortened ventricular QT and atrial PTa intervals by 7%, and reduced atrial repolarization alternans and heterogeneity without attenuation of the inotropic response to catecholamine.

CUSTOMER VALIDATION

• Am J Transl Res. 2020 Jul 15;12(7):3822-3841.

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REFERENCES

- [1]. Bacic D, et al. Eleclazine, an inhibitor of the cardiac late sodium current, is superior to flecainide in suppressing catecholamine-induced ventricular tachycardia and T-wave alternans in an intact porcine model. Heart Rhythm. 2017 Mar;14(3):448-454.
- [2]. Potet F, Egecioglu DE, Burridge PW, George AL Jr. GS-967 and Eleclazine Block Sodium Channels in Human Induced Pluripotent Stem Cell-Derived Cardiomyocytes. Mol Pharmacol. 2020 Nov;98(5):540-547.
- [3]. Rajamani S et al. The novel late Na+ current inhibitor, GS-6615 (eleclazine) and its anti-arrhythmic effects in rabbit isolated heart preparations. Br J Pharmacol. 2016 Jul 23.

Page 2 of 3 www.MedChemExpress.com

 $\label{lem:caution:Product} \textbf{Caution: Product has not been fully validated for medical applications. For research use only.}$

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Page 3 of 3 www.MedChemExpress.com