Vernakalant Hydrochloride

Cat. No.: HY-14183

CAS No.: 748810-28-8

Molecular Formula: $C_{20}H_{32}ClNO_4$

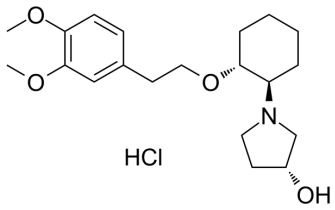
Molecular Weight: 385.93

Target: Potassium Channel

Pathway: Membrane Transporter/Ion Channel

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 50 mg/mL (129.56 mM; Need ultrasonic)

H_2O : 50 mg/mL (129.56 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.5911 mL	12.9557 mL	25.9114 mL
	5 mM	0.5182 mL	2.5911 mL	5.1823 mL
	10 mM	0.2591 mL	1.2956 mL	2.5911 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 (6.48 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (6.48 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.48 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Vernakalant hydrochloride is a mixed voltage- and frequency-dependent Na^+ and atria-preferred K^+ channel blocker. IC_{50} for block by Vernakalant of wild-type and mutant Kv1.5 channels Fractional block is 13.35±0.93 μM, 0.61±0.03 μM, and 1.63±0.09 μM for Kv1.5 channel^{wt}, Kv1.5 channel^{I508F}, Kv1.5 channel^{T479A}, respectively.

IC_{50} & Target

IC50: 13.35±0.93 μM (Kv1.5 channel^{wt}), 0.61±0.03 μM (^{I508F}), 1.63±0.09 μM (Kv1.5 channel ^{T479A})[1]

In Vitro	Block of Kv1.5 by Vernakalant Hydrochloride is mediated after channel activation, because Vernakalant causes a relatively rapid onset of block of channel current upon depolarization but little evidence of resting or “tonic” block of the channel. In the presence of 10 μM Vernakalant, rapid block is apparent after channel opening, and a steady-state current level is rapidly reached. The most important effect is the reduction in potency for Vernakalant centered at I502A, which had an IC_{50} of 329±19 μM (n=4-10), compared with a control IC_{50} of 13.4±0.9 μM (n=5-23), which is a 25-fold decrease in potency. V505A, I508A, T480A, and C500A showed lesser reductions in potency on Kv1.5, of between 3- and 4-fold. I508Y in our experiments increased the IC_{50} for Vernakalant on Kv1.5 to 24.7 μM, again similar to the reported value for hERG[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Clin Pharmacol Ther. 2019 May;105(5):1175-1186.
- J Pharmacol Sci. 2016 Mar;130(3):170-6.

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REFERENCES

[1]. Eldstrom J, et al. The molecular basis of high-affinity binding of the antiarrhythmic compound Vernakalant (RSD1235) to Kv1.5 channels. Mol Pharmacol. 2007 Dec;72(6):1522-34.

[2]. Chiba T, et al. Influences of rapid pacing-induced electrical remodeling on pharmacological manipulation of the atrial refractoriness in rabbits. J Pharmacol Sci. 2016 Mar;130(3):170-6.

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