

Vernakalant (Hydrochloride)

Catalog No: tcsc0799



Available Sizes

Size: 2mg

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg



Specifications

CAS No:

748810-28-8

Formula:

$C_{20}H_{32}ClNO_4$

Pathway:

Membrane Transporter/Ion Channel

Target:

Potassium Channel

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

RSD1235 hydrochloride

Observed Molecular Weight:

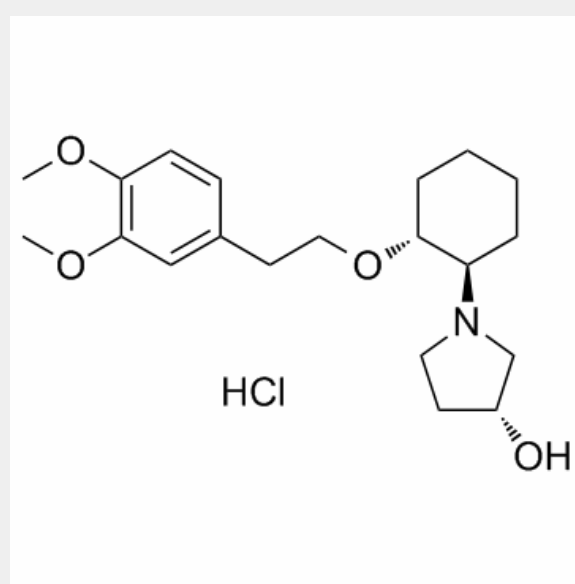
385.93

Product Description

Vernakalant hydrochloride is a mixed voltage- and frequency-dependent **Na⁺** and atria-preferred **K⁺ channel** blocker. **IC₅₀** for block by Vernakalant of wild-type and mutant Kv1.5 channels Fractional block is $13.35 \pm 0.93 \mu\text{M}$, $0.61 \pm 0.03 \mu\text{M}$, and $1.63 \pm 0.09 \mu\text{M}$ for **Kv1.5 channel^{wt}**, **Kv1.5 channel^{I508F}**, **Kv1.5 channel^{T479A}**, respectively.

IC50 & Target: IC50: $13.35 \pm 0.93 \mu\text{M}$ (Kv1.5 channel^{wt}), $0.61 \pm 0.03 \mu\text{M}$ (I^{508F}), $1.63 \pm 0.09 \mu\text{M}$ (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 $10 \mu\text{M}$ 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 **IC₅₀** of $329 \pm 19 \mu\text{M}$ (n=4-10), compared with a control **IC₅₀** of $13.4 \pm 0.9 \mu\text{M}$ (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 **IC₅₀** for Vernakalant on Kv1.5 to $24.7 \mu\text{M}$, again similar to the reported value for hERG^[1].



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