# Zatebradine hydrochloride

**Cat. No.:** HY-13422

**CAS No.:** 91940-87-3

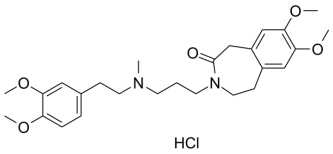
**Molecular Formula:** $C_{26}H_{37}ClN_2O_5$

**Molecular Weight:** 493.04

**Target:** HCN Channel

**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)




## SOLVENT & SOLUBILITY

**In Vitro**

$H_2O$ : 100 mg/mL (202.82 mM; Need ultrasonic)
DMSO : 100 mg/mL (202.82 mM; Need ultrasonic)

**Preparing Stock Solutions**

| Solvent / Mass / Concentration | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 2.0282 mL | 10.1412 mL | 20.2823 mL |
| 5 mM | 0.4056 mL | 2.0282 mL | 4.0565 mL |
| 10 mM | 0.2028 mL | 1.0141 mL | 2.0282 mL |

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

**In Vivo**

1. Add each solvent one by one: PBS
   Solubility: 110 mg/mL (223.11 mM); Clear solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (5.07 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (5.07 mM); Clear solution
4. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (5.07 mM); Clear solution

## BIOLOGICAL ACTIVITY

**Description**

Zatebradine (UL-FS-49 (free base)) is a potent inhibitor of hyperpolarization-activated cyclic nucleotide-gated (HCN) channels with an $IC_{50}$ values 1.96 μM. Zatebradine blocks the slow inward current through human HCN1, HCN2, HCN3 and HCN4 channels, with $IC_{50}$ values of 1.83 μM, 2.21 μM, 1.90 μM and 1.88 μM, respectively[1].

**$IC_{50}$ & Target**

IC50: 1.96 μM (HCN channels)[1]

| | |
|---|---|
| **In Vitro** | The use-dependent blockade by Zatebradine of the cardiac pacemaker current from rabbit sino-atrial node cells has an apparent $K_d$ of 480 nM[2].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |
| **In Vivo** | Zatebradine (0-20 mg/kg; intraperitoneal injection; for 30 minutes; male C57/Bl6-mice) reduces the heart rate dose-dependently from 600 to 200 bpm with $ED_{50}$ value of 1.8 mg/kg and induces increasing arrhythmia[1].<br>MCE has not independently confirmed the accuracy of these methods. They are for reference only. |

| | |
|---|---|
| Animal Model: | Male C57/Bl6-mice [1] |
| Dosage: | 0 mg/kg, 0.1 mg/kg, 1 mg/kg, 10 mg/kg, 20 mg/kg |
| Administration: | Intraperitoneal injection; for 30 minutes |
| Result: | Observed acute blood glucose reduction, dose-dependently reduced glycated hemoglobin, significantly prevented the decrease of IRI levels at doses of 3 and 10 mg/kg, and no difference in food intake or body weight. |

## CUSTOMER VALIDATION

- Front Pharmacol. 2021 Jun 22;12:696635.

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## REFERENCES

[1]. Stieber J, et al. Bradycardic and proarrhythmic properties of sinus node inhibitors. Mol Pharmacol. 2006 Apr;69(4):1328-37. Epub 2005 Dec 30.

[2]. Van Bogaert PP, et al. Use-dependent blockade of cardiac pacemaker current (If) by cilobradine and zatebradine. Eur J Pharmacol. 2003 Oct 8;478(2-3):161-71.



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