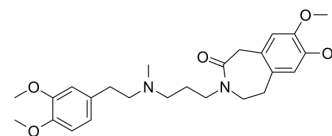


## Zatebradine

<b>Cat. No.:</b>	HY-13422A		
<b>CAS No.:</b>	85175-67-3		
<b>Molecular Formula:</b>	C <sub>26</sub> H <sub>36</sub> N <sub>2</sub> O <sub>5</sub>		
<b>Molecular Weight:</b>	456.57		
<b>Target:</b>	HCN Channel		
<b>Pathway:</b>	Membrane Transporter/Ion Channel		
<b>Storage:</b>	Pure form	-20°C	3 years
		4°C	2 years
	In solvent	-80°C	6 months
		-20°C	1 month



### SOLVENT & SOLUBILITY

#### In Vitro

Ethanol : 100 mg/mL (219.02 mM; Need ultrasonic)  
 DMSO : ≥ 50 mg/mL (109.51 mM)  
 \* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions	Solvent Concentration	Mass		
		1 mg	5 mg	10 mg
	1 mM	2.1902 mL	10.9512 mL	21.9024 mL
	5 mM	0.4380 mL	2.1902 mL	4.3805 mL
	10 mM	0.2190 mL	1.0951 mL	2.1902 mL

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

#### In Vivo

- Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline  
Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)  
Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution
- Add each solvent one by one: 10% DMSO >> 90% corn oil  
Solubility: ≥ 2.5 mg/mL (5.48 mM); Clear solution

### BIOLOGICAL ACTIVITY

#### Description

Zatebradine (UL-FS-49 (free base); UL-FS-49CL (free base)) is a potent inhibitor of hyperpolarization-activated cyclic nucleotide-gated (HCN) channels with an IC<sub>50</sub> value of 1.96 μM. Zatebradine blocks the slow inward current through human HCN1, HCN2, HCN3 and HCN4 channels, with IC<sub>50</sub> values of 1.83 μM, 2.21 μM, 1.90 μM and 1.88 μM, respectively<sup>[1]</sup>.

#### IC<sub>50</sub> & Target

IC<sub>50</sub>: 1.96 μM (HCN channels)<sup>[1]</sup>

<b>In Vitro</b>	<p>The use-dependent blockade by Zatebradine of the cardiac pacemaker current from rabbit sino-atrial node cells has an apparent <math>K_d</math> of 480 nM<sup>[2]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p>								
<b>In Vivo</b>	<p>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<sub>50</sub> value of 1.8 mg/kg and induces increasing arrhythmia<sup>[1]</sup>.</p> <p>MCE has not independently confirmed the accuracy of these methods. They are for reference only.</p> <table border="1"> <tr> <td>Animal Model:</td> <td>Male C57/Bl6-mice <sup>[1]</sup></td> </tr> <tr> <td>Dosage:</td> <td>0 mg/kg, 0.1 mg/kg, 1 mg/kg, 10 mg/kg, 20 mg/kg</td> </tr> <tr> <td>Administration:</td> <td>Intraperitoneal injection; for 30 minutes</td> </tr> <tr> <td>Result:</td> <td>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.</td> </tr> </table>	Animal Model:	Male C57/Bl6-mice <sup>[1]</sup>	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.
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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 (I<sub>f</sub>) by cilobradine and zatebradine. Eur J Pharmacol. 2003 Oct 8;478(2-3):161-71.

**Caution: Product has not been fully validated for medical applications. For research use only.**

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