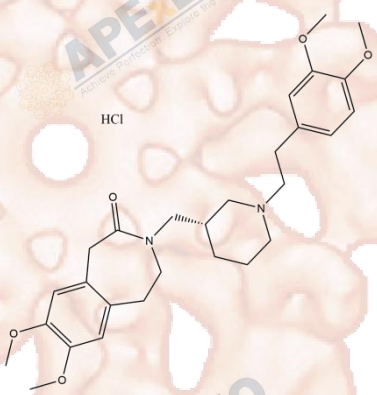
Product Data Sheet

Cilobradine hydrochloride

Cat. No.: C8656
CAS No.: 186097-54-1
Formula: C28H38N2O5 • HCl
M.Wt: 519.08
Synonyms: DK-AH 269
Target: HCN channel
Pathway: HCN channel
Storage: Store at -20° C



Solvent & Solubility

In Vitro

Soluble in DMSO

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.9265 mL	9.6324 mL	19.2649 mL
5 mM	0.3853 mL	1.9265 mL	3.8530 mL
10 mM	0.1926 mL	0.9632 mL	1.9265 mL

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

Biological Activity

Shortsummary

Zilobradine hydrochloride (CAS No.: 186097-54-1) is a hyperpolarization-activated cyclic nucleotide-gated (HCN) channel blocker, with a half-maximal inhibitory concentration (IC_{50}) of 0.62 micromolar (μM) in mouse sinoatrial node cells [1].

Zilobradine hydrochloride can slow the heart rate by reducing the spontaneous firing frequency of the cardiac sinoatrial node [2]. In mouse experiments, telemetry electrocardiogram (ECG) recordings showed that this drug reduces heart rate in a dose-dependent manner, with a half-maximal effective dose (ED_{50}) of 1.2 milligrams per kilogram (mg/kg). In addition, at concentrations above 5 mg/kg, zilobradine hydrochloride also exhibits proarrhythmic effects [1].

IC_{50} & Target

In Vitro	**Cell Viability Assay**	
	Cell Line:	HEK293 cells
	Preparation method:	Application of the drug to the cells was performed by complete exchange of the bath solution with bath solution containing the indicated drug concentration and continuous superfusing.
	Reacting conditions:	0.01-100 μM
	Applications:	Cilobradine blocks HCN channel currents in HEK293 cells expressing human HCN1, HCN2, HCN3, and HCN4 channels in a dose- and treatment-dependent manner, and its steady-state inhibition of different HCN isoforms is not isoform-specific
In Vivo	**Animal experiment**	
	Animal models:	Male C57BL/6J mice (8-15 weeks old), chronic social defeat stress (CSDS) model
	Dosage form:	Intra-VTA injection 0.6 μg, intraperitoneal injection 20 mg/kg
	Applications:	Reversed depressive-like behaviors within 1 h and the effect lasted for about two weeks. Increased time in social interaction and reduced time in the corner zone. Increased sucrose preference and reduced immobility time in the forced swim test.
	Preparation method:	Intra-VTA micro- infusion or intraperitoneal injection, single
	Other notes:	The technical data provided above is for reference only.

Product Citations

See more customer validations on www.apexbt.com.

References

1. Stieber J, et al. Bradycardic and proarrhythmic properties of sinus node inhibitors. Mol Pharmacol. 2006 Apr;69(4):1328-37.
2. Cai M, et al. HCN channel inhibitor induces ketamine-like rapid and sustained antidepressant effects in chronic social defeat stress model. Neurobiol Stress. 2023 Aug 19;26:100565.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage

temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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