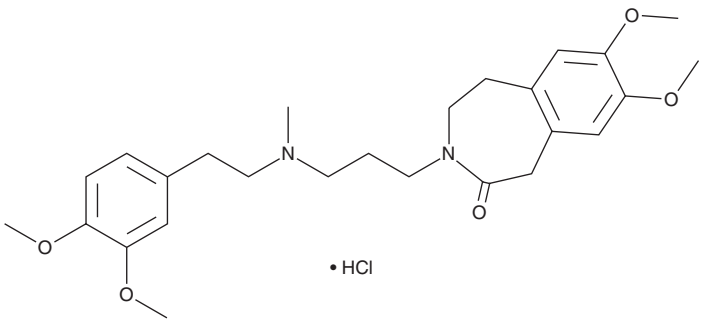
PRODUCT INFORMATION

Zatebradine (hydrochloride)

Item No. 18608

CAS Registry No.:	91940-87-3
Formal Name:	3-[3-[[2-(3,4-dimethoxyphenyl)ethyl] methylamino]propyl]-1,3,4,5-tetrahydro-7,8-dimethoxy-2H-3-benzazepin-2-one, monohydrochloride
Synonym:	UL-FS 49
MF:	$C_{26}H_{36}N_2O_5 \bullet HCl$
FW:	493.0
Purity:	≥95%
UV/Vis.:	λ_{max}: 280 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

Zatebradine (hydrochloride) is supplied as a crystalline solid. A stock solution may be made by dissolving the zatebradine (hydrochloride) in the solvent of choice, which should be purged with an inert gas. Zatebradine (hydrochloride) is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of zatebradine (hydrochloride) in these solvents is approximately 1, 20, and 25 mg/ml, respectively.

Further dilutions of the stock solution into aqueous buffers or isotonic saline should be made prior to performing biological experiments. Ensure that the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. Organic solvent-free aqueous solutions of zatebradine (hydrochloride) can be prepared by directly dissolving the crystalline solid in aqueous buffers. The solubility of zatebradine (hydrochloride) in PBS (pH 7.2) is approximately 10 mg/ml. We do not recommend storing the aqueous solution for more than one day.

Description

Zatebradine is a bradycardic compound that blocks hyperpolarization-activated inward current (I_f) through cyclic nucleotide-gated cation (HCN) channels in sinoatrial node cells (IC_{50}s = 1.83, 2.21, 1.9, and 1.88 µM, respectively for HCN1-4 *in vitro*).[1,2] Additionally, it can block voltage-gated outward K^+ (I_K) currents and related neuronal hyperpolarization-activated inward current (I_h) channels, but exhibits little or no activity for L-type Ca^{2+} (I_{Ca}) currents.[3,4] When assessed through telemetric ECG recording in mice, zatebradine reduced heart rate from 600 to 200 beats per minute with an ED_{50} value of 1.8 mg/kg and induced increasing arrhythmia at concentrations >10 mg/kg.[1]

References

1. Stieber, J., Wieland, K., Stöckl, G., *et al. Mol. Pharmacol.* **69(4)**, 1328-1337 (2006).
2. Melchiorre, M., Del Lungo, M., Guandalini, L., *et al. J. Med. Chem.* **53(18)**, 6773-6777 (2010).
3. Satoh, T.-O. and Yamada, M. *Pflugers. Arch.* **443(4)**, 532-540 (2002).
4. BoSmith, R.E., Briggs, I., and Sturgess, N.C. *Br. J. Pharmacol.* **110(1)**, 343-349 (1993).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM