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产品名称:

3-[3-[[2-(3,4-DIMETHOXYPHENYL)ETHYL]METHYLAMINO]PROPYL]-1,3,4,5-TETRAHYDRO-7,8-DIMETHOXY-2H-3-BENZAZEPIN-2-ONE HYDROCHLORIDE

产品别名: **Zatebradine hydrochloride; 盐酸扎替雷定; UL-FS-49; UL-FS-49CL**

生物活性:

Description	Zatebradine (UL-FS-49 (free base)) is a potent inhibitor of hyperpolarization-activated cyclic nucleotide-gated (HCN) channels with an IC50 values 1.96 μM. Zatebradine blocks the slow inward current through human HCN1, HCN2, HCN3 and HCN4 channels, with IC50 values of 1.83 μM, 2.21 μM, 1.90 μM and 1.88 μM, respectively[1].				
IC50 & 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 Kd of 480 nM[2].				
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 ED50 value of 1.8 mg/kg and induces increasing arrhythmia[1].				
	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.			
Solvent&Solubility	In Vitro: H2O : 11.11 mg/mL (22.53 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
	*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液, 一旦配成溶液, 请分装保存, 避免反复冻融造成的产品失效。 储备液的保存方式和期限: -80℃, 6 months; -20℃, 1 month。 -80℃ 储存时, 请在 6 个月内使用, -20℃ 储存时, 请在 1 个月内使用。				
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.				