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产品名称: 格拉非宁 盐酸盐
产品别名: **Glafenine hydrochloride**

生物活性:				
Description	Glafenine hydrochloride is a non-narcotic analgesic and non-steroidal anti-inflammatory drug. It is an ABCG2inhibitor with an IC ₅₀ of 3.2 μM.			
IC ₅₀ & Target	IC50: 3.2 μM (ABCG2)[1]			
In Vitro	Glafenine increases the surface expressionof mutant CFTR in baby hamster kidney (BHK) cells to 40% of that observed for wild-type CFTR[2]. Glafenine hydrochloride inhibits the proliferation and clonogenic activity of haSMCs and ECs in a dose-dependent manner. A block in the G2/M phase and a reduction in the G1 phase occur. The migratory ability of haSMCs is impaired in a dose-dependent manner and the extracellular matrix protein tenascin is reduced[3].			
In Vivo	Glafenine injection (25 mg/kg i.v.) shows enhanced BLI signal in mice with an average of 2.9-fold signal enhancement over the control. Glafenine causes increases in BLI signal of up to 11.6- and 17.4-fold in two separate HEK293/ABCG2/fLuc xenografts in the same mouse compared to the signals generated by those xenografts immediately before injection[1]. Incubating polarized CFBE41o ⁻ monolayers and intestines isolated from mutant CFTR mice with glafenine increases the short-circuit current response to forskolin and genistein. Treatment with glafenine also partially restores total salivary secretion[2]. Glafenine-treated zebrafish shows evidence of endoplasmic reticulum and mitochondrial stress, with disrupted intestinal architecture and halted cell stress responses, alongside accumulation of apoptotic intestinal epithelial cells in the lumen[4].			
Solvent&Solubility	In Vitro: DMSO : ≥ 60 mg/mL (146.61 mM) * "≥" means soluble, but saturation unknown.			
		Solvent Mass Concentration	1 mg	5 mg
	Preparing	1 mM	2.4434 mL	12.2172 mL
	Stock Solutions	5 mM	0.4887 mL	2.4434 mL
		10 mM	0.2443 mL	1.2217 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°C, 6 months; -20°C, 1 month。 -80°C 储存时, 请在 6 个月内使用, -20°C 储存时, 请在 1 个月内使用。				
References	[1]. Zhang Y, et al. Identification of inhibitors of ABCG2 by a bioluminescence imaging-based high-throughput assay. Cancer Res. 2009 Jul 15;69(14):5867-75. [2]. Robert R, et al. Correction of the Delta phe508 cystic fibrosis transmembrane conductance regulator trafficking defect by the bioavailable compound glafenine. Mol Pharmacol. 2010 Jun;77(6):922-30. [3]. Sch?ber W, et al. Impact of glafenine hydrochloride on human endothelial cells and human vascular smooth muscle cells: a substance reducing proliferation, migration and extracellular matrix synthesis. Cell Biol Int. 2003;27(12):987-96.			



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	[4]. Goldsmith JR, et al. Glafenine-induced intestinal injury in zebrafish is ameliorated by μ -opioid signaling via enhancement of Atf6-dependent cellular stress responses. Dis Model Mech. 2013 Jan;6(1):146-59.
实验参考:	
Cell Assay	Glafenine hydrochloride is added to the culture medium of the smooth muscle cells at three concentrations (10 μ M, 50 μ M, 100 μ M). After 4 days of treatment, cells are harvested and the absolute cell number is counted[3].
Animal Administration	Mice: HEK293/empty/fLuc and HEK293/ABCG2/fLuc cells are implanted subcutaneously into opposite flanks of female nude mice. Five mice are implanted to generate 10 ABCG2-overexpressing xenografts and five controls. Animals are imaged after D-luciferin administration, which is followed by a bolus injection of a single dose of glafenine (25 mg/kg) and continued imaging[1].
References	<p>[1]. Zhang Y, et al. Identification of inhibitors of ABCG2 by a bioluminescence imaging-based high-throughput assay. Cancer Res. 2009 Jul 15;69(14):5867-75.</p> <p>[2]. Robert R, et al. Correction of the Delta phe508 cystic fibrosis transmembrane conductance regulator trafficking defect by the bioavailable compound glafenine. Mol Pharmacol. 2010 Jun;77(6):922-30.</p> <p>[3]. Sch?ber W, et al. Impact of glafenine hydrochloride on human endothelial cells and human vascular smooth muscle cells: a substance reducing proliferation, migration and extracellular matrix synthesis. Cell Biol Int. 2003;27(12):987-96.</p> <p>[4]. Goldsmith JR, et al. Glafenine-induced intestinal injury in zebrafish is ameliorated by μ-opioid signaling via enhancement of Atf6-dependent cellular stress responses. Dis Model Mech. 2013 Jan;6(1):146-59.</p>

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