Glafenine hydrochloride

Cat. No.: HY-B1153A

CAS No.: 65513-72-6

Molecular Formula: $C_{19}H_{18}Cl_2N_2O_4$

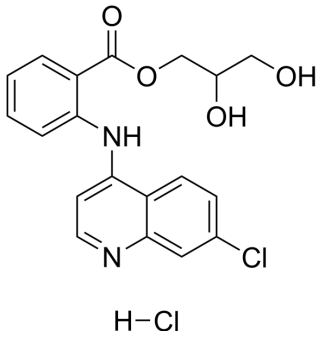
Molecular Weight: 409.26

Target: Others

Pathway: Others

Storage: 4°C, sealed storage, away from moisture

* In solvent : -80°C, 6 months; -20°C, 1 month (sealed storage, away from moisture)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 41.67 mg/mL (101.82 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4434 mL	12.2172 mL	24.4343 mL
5 mM	0.4887 mL	2.4434 mL	4.8869 mL
10 mM	0.2443 mL	1.2217 mL	2.4434 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.08 mg/mL (5.08 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.08 mg/mL (5.08 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.08 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Glafenine hydrochloride is a non-narcotic analgesic and non-steroidal anti-inflammatory drug. It is an ABCG2 inhibitor 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 occurr. The migratory ability of haSMCs is impaired in a dose-dependent manner and the extracellular matrix protein tenascin is reduced[3].

	MCE has not independently confirmed the accuracy of these methods. They are for reference only.
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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [3]	Glafenine hydrochloride is added to the culture medium of the smooth muscle cells at three concentrations (10 μM, 50 μM, 100 μM). After 4 days of treatment, cells are harvested and the absolute cell number is counted[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

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]. Schöber 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.

[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.

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