**Glafenine hydrochloride**

**Cat. No.**: HY-B1153A

**CAS No.**: 65513-72-6

**Molecular Formula**: C₁₉H₁₈Cl₂N₂O₄

**Molecular Weight**: 409.26

**Target**: Others

**Pathway**: Others

**Storage**
- Powder: -20°C, 3 years; 4°C, 2 years; In solvent: -80°C, 6 months; -20°C, 1 month

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### SOLVENT & SOLUBILITY

**In Vitro**

DMSO : ≥ 60 mg/mL (146.61 mM)

*“>” means soluble, but saturation unknown.*

#### Preparing Stock Solutions

<table>
<thead>
<tr>
<th>Solvent Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.4434 mL</td>
<td>12.2172 mL</td>
<td>24.4343 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.4887 mL</td>
<td>2.4434 mL</td>
<td>4.8869 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.2443 mL</td>
<td>1.2217 mL</td>
<td>2.4434 mL</td>
</tr>
</tbody>
</table>

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

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### BIOLOGICAL ACTIVITY

**Description**

Glafenine hydrochloride is a non-narcotic analgesic and non-steroidal anti-inflammatory drug. It is an ABCG2 inhibitor with an IC₅₀ of 3.2 μM.

**IC₅₀ & Target**

IC₅₀: 3.2 μM (ABCG2)[¹]

**In Vitro**

Glafenine increases the surface expression of 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.

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[¹] Source: MedChemExpress.com
[²] Source: MedChemExpress.com
[³] Source: MedChemExpress.com
immediately before injection. 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. 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.

**PROTOCOL**

**Cell Assay**

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.

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

**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.

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

**REFERENCES**


Caution: Product has not been fully validated for medical applications. For research use only.

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