Diquafosol tetrasodium

Cat. No.: HY-B0606
CAS No.: 211427-08-6
Molecular Formula: C₁₈H₂₂N₄Na₄O₂₃P₄
Molecular Weight: 878.23
Target: P2Y Receptor
Pathway: GPCR/G Protein
Storage:
- Powder: -20°C, 3 years
- Powder: 4°C, 2 years
- In solvent: -80°C, 6 months
- In solvent: -20°C, 1 month

SOLVENT & SOLUBILITY

In Vitro

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>H₂O</td>
<td>100 mg/mL (113.87 mM; Need ultrasonic)</td>
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<tr>
<td>DMSO</td>
<td>1 mg/mL (1.14 mM; Need ultrasonic)</td>
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</tbody>
</table>

Preparing Stock Solutions

- 1 mM: 1.1387 mL
- 5 mM: 0.2277 mL
- 10 mM: 0.1139 mL

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

BIOLOGICAL ACTIVITY

Description

Diquafosol tetrasodium is a P2Y2 receptor agonist that stimulates fluid and mucin secretion on the ocular surface, as a topical treatment of dry eye disease.

In Vitro

Cell viability significantly decreased after treatment with 30% diluted diquafosol for 1 hour and 6 hours after treatment with 10% and 20% diluted diquafosol. Twenty-four hours after wounding monolayers, 3% diquafosol, and 0.3% HCECs exhibits significantly more wound healing than the control[^1].

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

In Vivo

In a rat dry eye model, the P2Y2 agonist diquafosol tetrasodium is found to improve surface health, based on increases in tear fluid secretion, corneal epithelial resistance, and release of glycoprotein-containing moieties from goblet cells. Beginning at 2 weeks and continuing for an additional 2 weeks, maximal declines in dye penetrance of approximately 50% occurred with doses of diquafosol tetrasodium as low as 1%[^2]. INS365 significantly suppresses corneal damage at concentrations of more than 0.1% w/v[^3].

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PROTOCOL

Cell Assay [1]
The viabilities of human corneal epithelial cells (HCECs) are determined using a MTT assay. Cells are subconfluent Diquafosol (100 mL diluted 10%, 20%, or 30%) or DMEM (100 mL) is added to controls. After 1, 6, and 24 h, plates are washed three times with PBS to remove the drugs. Cell viabilities are evaluated after incubating for 24 h. MTT is then added to each well. Samples are incubated in the dark for 4 h at 37°C, and media are then removed. Precipitates are resuspended in DMSO. Absorbances are measured on a plate reader at 570 nm [1].

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Animal Administration [2]
Rats: An SD rat dry eye model is used in which exorbital lacrimal gland extirpation decreased the Schirmer test score by at least 50%. After 8 weeks, when significant increases occurred in corneal epithelial permeability, INS365-containing eye drops are applied six times daily for the next 4 weeks at concentrations from 0.03% to 3.0%. Corneal barrier function is evaluated based on measurements with a modified anterior fluorometer of fluorescein penetrance at 1, 2, and 4 weeks after initial application. After INS365 application, the periodic acid–Schiff reagent (PAS)–stained area is evaluated in histologic sections of the tarsal and bulbar conjunctiva [2].

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REFERENCES

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