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

**SOLVENT & SOLUBILITY**

In Vitro

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Mass Concentration (M)</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>H₂O</td>
<td>(113.87 mM; Need ultrasonic)</td>
<td>1.1387 mL</td>
<td>5.6933 mL</td>
<td>11.3865 mL</td>
</tr>
<tr>
<td>DMSO</td>
<td>(1.14 mM; Need ultrasonic)</td>
<td>0.2277 mL</td>
<td>1.1387 mL</td>
<td>2.2773 mL</td>
</tr>
</tbody>
</table>

Preparing Stock Solutions

- 1 mM
- 5 mM
- 10 mM

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].

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].
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].

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

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

