Diquafosol tetrasodium

Cat. No.: HY-B0606

CAS No.: 211427-08-6

Molecular Formula: $C_{18}H_{22}N_4Na_4O_{23}P_4$

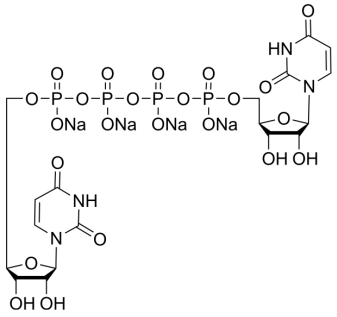
Molecular Weight: 878.23

Target: P2Y Receptor

Pathway: GPCR/G Protein

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

H_2O : 100 mg/mL (113.87 mM; Need ultrasonic)

DMSO : 1 mg/mL (1.14 mM; Need ultrasonic)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.1387 mL	5.6933 mL	11.3865 mL
5 mM	0.2277 mL	1.1387 mL	2.2773 mL
10 mM	0.1139 mL	0.5693 mL	1.1387 mL

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

In Vivo

1. Add each solvent one by one: PBS
   Solubility: 100 mg/mL (113.87 mM); Clear solution; Need ultrasonic

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.

IC_{50} & Target

P2Y2 Receptor

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

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 37oC, 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]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Commun Biol. 2023 Aug 29;6(1):889.
- Int J Mol Sci. 2022, 23(14), 7870.
- Patent. US20220387470A1.
- Patent. US20210299155A1.

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REFERENCES

[1]. Lee JH, et al. Comparison of cytotoxicities and wound healing effects of diquafosol tetrasodium and hyaluronic acid on human corneal epithelial cells. Korean J Physiol Pharmacol. 2017 Mar;21(2):189-195.

[2]. Fujihara T, et al. Improvement of corneal barrier function by the P2Y(2) agonist INS365 in a rat dry eye model. Invest Ophthalmol Vis Sci. 2001 Jan;42(1):96-100.

[3]. Fujihara T, et al. INS365 suppresses loss of corneal epithelial integrity by secretion of mucin-like glycoprotein in a rabbit short-term dry eye model. J Ocul Pharmacol Ther. 2002 Aug;18(4):363-70.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA