上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：Diquafosol (tetrasodium)
产品别名：地夸磷索四钠；INS365

生物活性：

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].
Solvent&Solubility	***In Vitro:*** **H_2O : ≥ 32 mg/mL (36.44 mM)** * "≥" means soluble, but saturation unknown.

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Cell Assay	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].

Animal Administration	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].
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.

源叶生物