Pemirolast

Cat. No.: HY-137863

CAS No.: 69372-19-6

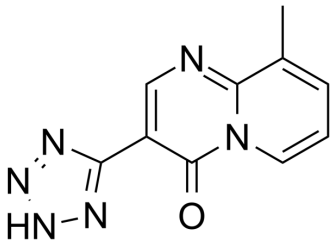
Molecular Formula: $C_{10}H_8N_6O$

Molecular Weight: 228.21

Target: Others

Pathway: Others

Storage: Please store the product under the recommended conditions in the Certificate of Analysis.



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (438.19 mM; Need ultrasonic)

DMF : < 1 mg/mL (ultrasonic) (insoluble)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	1 mg	5 mg	10 mg
1 mM	4.3819 mL	21.9096 mL	43.8193 mL
5 mM	0.8764 mL	4.3819 mL	8.7639 mL
10 mM	0.4382 mL	2.1910 mL	4.3819 mL

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

BIOLOGICAL ACTIVITY

Description

Pemirolast is an orally active antiallergic agent. Pemirolast attenuates paclitaxel hypersensitivity reactions, can be used for bronchial asthma and conjunctivitis research[1]-[5].

In Vitro

Pemirolast (1 μM-1 mM) inhibits A23187-induced LTC4 and ECP release from the eosinophils in a dose-dependent manner[1].

Pemirolast (0.1 mM and 1 mM) also inhibits PAF-induced and FMLP-induced ECP release from the eosinophils[1].

Pemirolast prevents the activation of human eosinophils to inhibit granule protein LTQ and ECP release, so that alleviates controlling allergic diseases[1].

Pemirolast (100 nM-1 mM; 1-15 min) fails to significantly inhibit histamine release from human conjunctival mast cells[2].

Pemirolast (0.1 μg/mL-0.01 mg/mL) inhibits the activation of signal transduction phospholipases C and AZ in rat peritoneal mast cells, by inhibiting the degranulation reaction of antigen and compound 48/80, suppressing the formation of 1,2-diacylglycerol and phosphatidylic acid[3].

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

In Vivo

Pemirolast potently attenuates paclitaxel hypersensitivity reactions through inhibition of the release of sensory neuropeptides in rats[4].

Pemirolast (0.1-1 mg/kg; i.v.) inhibits taxel-induced pulmonary vascular hyperpermeability, and reverses paclitaxel-induced

arterial PaO2 decreasing at a dosage of 1 mg/kg, 30 minutes after paclitaxel injection (15 mg/kg; i.v.)[4].
Pemirolast (1 mg/kg; i.v.) reverses taxel-induced elevation of the concentrations of sensory neuropeptides (CGRP, substance P and neurokinin A), 30 minutes after paclitaxel injection (15 mg/kg; i.v.)[4].
Pemirolast (10 mg/kg/d; p.o.; 4-5 d) significantly reduces cisplatin-induced kaolin intake on days 3 and 4 and inhibits cisplatin-induced substance P release in the cerebrospinal fluid (CSF) in rats[5].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Wistar rats (6-week-old, 160-250 g)[5]
Dosage:	10 mg/kg
Administration:	Oral gavage; 5 days: 1 h or 30 min before and 24, 48, 72 and 96 h (five times in total) after administration of cisplatin (2-10 mg/kg; i.v.)
Result:	Inhibited the cisplatin-induced increase in kaolin intake on days 3 and 4, without decreasing in normal feed intake.

Animal Model:	Male Wistar rats (6-week-old, 160-250 g)[5]
Dosage:	10 mg/kg
Administration:	Oral gavage; 4 days: 30 min before and 24, 48, 72 and 96 h (four times in total) after administration of cisplatin (5 mg/kg; i.v.).
Result:	Significantly reversed the cisplatin-induced increase of substance P levels to vehicle levels in the CSF.

REFERENCES

[1]. Kawashima T, et al. Inhibitory effect of pemirolast, a novel antiallergic drug, on leukotriene C4 and granule protein release from human eosinophils. Int Arch Allergy Immunol. 1994;103(4):405-9.

[2]. Yanni JM, et al. Comparative effects of topical ocular anti-allergy drugs on human conjunctival mast cells. Ann Allergy Asthma Immunol. 1997 Dec;79(6):541-5.

[3]. Fujimiya H, et al. Effect of a novel antiallergic drug, pemirolast, on activation of rat peritoneal mast cells: inhibition of exocytotic response and membrane phospholipid turnover. Int Arch Allergy Appl Immunol. 1991;96(1):62-7.

[4]. Itoh Y, et al. Pemirolast potently attenuates paclitaxel hypersensitivity reactions through inhibition of the release of sensory neuropeptides in rats. Neuropharmacology. 2004 May;46(6):888-94.

[5]. Tatsushima Y, et al. Pemirolast reduces cisplatin-induced kaolin intake in rats. Eur J Pharmacol. 2011 Jul 1;661(1-3):57-62.

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