Paquinimod

Cat. No.: HY-100442

CAS No.: 248282-01-1

Molecular Formula: $C_{21}H_{22}N_2O_3$

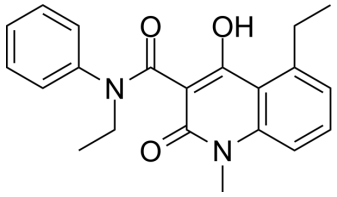
Molecular Weight: 350.41

Target: SARS-CoV

Pathway: Anti-infection

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	6 months
	-20°C	1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 62.5 mg/mL (178.36 mM; Need ultrasonic)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.8538 mL	14.2690 mL	28.5380 mL
5 mM	0.5708 mL	2.8538 mL	5.7076 mL
10 mM	0.2854 mL	1.4269 mL	2.8538 mL

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

In Vivo

1. Add each solvent one by one: 50% PEG300 >> 50% saline
   Solubility: 5 mg/mL (14.27 mM); Suspended solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (7.13 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.08 mg/mL (5.94 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Paquinimod (ABR 215757) is a specific and orally active inhibitor of S100A8/S100A9. Paquinimod rescues the pneumonia with substantial reduction of viral loads in SARS-CoV-2-infected mice[1][2][3].

IC_{50} & Target

S100A9[1]

In Vivo

S100A9 is a calcium-binding protein of the S100 family. Paquinimod is an immunomodulatory compound preventing S100A9

binding to TLR-4. Prophylactic treatment with S100A9 inhibitor Paquinimod reduces pathology in experimental collagenase-induced osteoarthritis[1]. Paquinimod is a potent inhibitor of insulitis and diabetes development in the NOD mouse. To assess the preventive efficacy of Paquinimod on diabetes development in female NOD mice, groups of mice are treated with daily doses of 0.04, 0.2, 1, and 5 mg/kg/day of Paquinimod from week 10 of age until week 20 of age. Glycosuria is analyzed on a weekly basis from 10 weeks of age until the endpoint of the experiment at 40 weeks of age. There is a clear dose-dependent reduction in diabetes development in the Paquinimod-treated mice[2].

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

PROTOCOL

Animal Administration [2]

Mice[2]

Female NOD/MrkTac mice are exposed to increasing concentration of CO_2 and upon loss of consciousness euthanized by cervical dislocation. To investigate the effect of the Q-compound Paquinimod on development of glycosuria and insulitis, mice are treated with Paquinimod dissolved in drinking water at different concentrations corresponding to daily doses of about 0.04, 0.2, 1, and 5 mg/kg body weight/day). The mice are treated with Paquinimod starting from either 10 or 15 weeks of age. The duration of treatment varies from 5 to 23 weeks in the different experiments performed[2].

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

CUSTOMER VALIDATION

- Cell Host Microbe. 2023 Jun 14;31(6):1054-1070.e9.
- Nat Commun. 2023 Jun 2;14(1):3208.
- Adv Sci (Weinh). 2022 Feb 3;e2103675.
- Theranostics. 2022 Feb 14;12(5):2248-2265.
- Int Immunopharmacol. 2023 Feb.

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REFERENCES

[1]. Schelbergen RF, et al. Prophylactic treatment with S100A9 inhibitor paquinimod reduces pathology in experimental collagenase-induced osteoarthritis. Ann Rheum Dis. 2015 Dec;74(12):2254-8.

[2]. Tahvili S, et al. Paquinimod prevents development of diabetes in the non-obese diabetic (NOD) mouse. PLoS One. 2018 May 9;13(5):e0196598.

[3]. Qirui Guo, et al. Induction of alarmin S100A8/A9 mediates activation of aberrant neutrophils in the pathogenesis of COVID-19. Cell Host Microbe. 2021 Feb 10;29(2):222-235.e4.

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