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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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Esonarimod

Cat. No.: HY-19440

CAS No.: 101973-77-7

Molecular Formula: $C_{14}H_{16}O_4S$

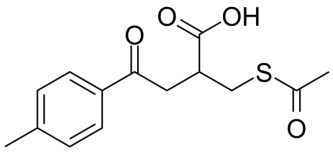
Molecular Weight: 280.34

Target: Others

Pathway: Others

Storage:

Powder	-20°C	3 years
	4°C	2 years
In solvent	-80°C	2 years
	-20°C	1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (356.71 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	3.5671 mL	17.8355 mL	35.6710 mL
	5 mM	0.7134 mL	3.5671 mL	7.1342 mL
	10 mM	0.3567 mL	1.7835 mL	3.5671 mL

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

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (8.92 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (8.92 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (8.92 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Esonarimod (KE-298) is an antirheumatic agent.

In Vitro

Esonarimod (KE-298) (10 to 300 μg/mL) suppresses the production of NO by RAW264.7 cells in a dose dependent manner. The IC_{50} of Esonarimod is 117.5 μg/mL. Esonarimod does not affect cellular viability at these tested doses. Esonarimod has no direct effect on NOS activity in cell-free extracts of RAW264.7 cells[1].

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

In Vivo	After repeated oral administration of Esonarimod (^{14}C-KE-298), the radioactivity decreases rapidly and no tendency towards accumulation is found[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Kinase Assay [1]	Enzyme activity of NOS is determined using an assay kit for NOS activity. Briefly, the lysate from RAW264.7 cells (a protein concentration of 37.5 μg/200 μL) is incubated for 3 h at 37°C with 100 mM of L-arginine in the presence of Esonarimod (KE-298) and the conversion of L-arginine to nitrite is monitored. The nitrite generated in the reaction mixture is assayed using Griess reagent[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Cell Assay [1]	RAW264.7 cells are used in this study. For NO production, RAW264.7 cells [2×10^5/0.2 mL of RPMI-1640 supplemented by 10% heat inactivated fetal bovine serum (FBS), penicillin G (100 U/mL), and streptomycin (100 μg/mL)] are stimulated with 100 ng/mL of Escherichia coli 026:B6 lipopolysaccharide in the presence of Esonarimod (KE-298) (0, 10, 30, 100, 200, 300 μg/mL) in 96 well plates and incubated 24 h at 37°C in an atmosphere of 5% CO_2 in air. After incubation, the supernatants are collected and assayed for nitrite (NO_2-) instead of NO[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [2]	Seven-week-old male Wistar rats are used in this study. The animals are fasted overnight before dosing and for up to 4 h after dosing, except for the study on tissue distribution after repeated oral administration. The rats are grouped, three or four rats per group. Esonarimod (^{14}C-KE-298) is administered orally by gastric intubation in a dose of 5 mg/kg once daily for 21 days. At 20 min, 24 h or 21 days after dosing with Esonarimod, the rats are anaesthetized with ether and blood samples are collected from the femoral aorta into heparinized containers. The liver, kidney, lung, aorta and skin are excised and weighed. Tissues (except aorta) are homogenized with ice-cold physiological saline to yield a 20% homogenate[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Inoue T, et al. KE-298 and its active metabolite KE-758 suppress nitric oxide production by murine macrophage cells and peritoneal cells from rats with adjuvant induced arthritis. J Rheumatol. 2001 Jun;28(6):1229-37.

[2]. Hasegawa M, et al. Formation of a disulfide protein conjugate of the SH-group-containing metabolite (M-I) ofesonarimod (KE-298) and its elimination in rats. J Pharm Pharmacol. 2002 Apr;54(4):493-8.

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