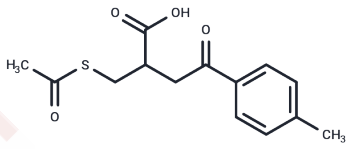
Data Sheet (Cat.No.T4279)

TargetMol

Esonarimod

Chemical Properties



CAS No. : 101973-77-7

Formula: C14H16O4S

Molecular Weight: 280.34

Appearance: no data available

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Biological Description

Description	Esonarimod (KE-298) is an antirheumatic drug designed to alleviate symptoms associated with rheumatoid arthritis.
Targets(IC50)	IL Receptor
In vitro	The IC50 of Esonarimod is 117.5 μg/mL. In RAW264.7 cells, Esonarimod (KE-298) (10 to 300 μg/mL) suppresses the production of NO in a dose-dependent manner.
In vivo	After repeated oral administration of Esonarimod (14C-KE-298), the radioactivity rapidly decreases with no accumulation observed.
Kinase Assay	To test enzyme activity of NOS, 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.
Cell Research	For in vitro experiment, Esonarimod (KE-298) is dissolved in ethanol and diluted with culture medium or distilled water.RAW264.7 cells are used in this study. For NO production, RAW264.7 cells [2×105/0.2 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% CO2 in air. After incubation, the supernatants are collected and assayed for nitrite (NO2-) instead of NO[1].
Animal Research	Seven-week-old male Wistar rats is administered Esonarimod (5 mg/kg once daily) orally by gastric intubation.

Solubility Information

Solubility	DMSO: 60 mg/mL (214.03 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	3.5671 mL	17.8355 mL	35.671 mL
5 mM	0.7134 mL	3.5671 mL	7.1342 mL
10 mM	0.3567 mL	1.7835 mL	3.5671 mL
50 mM	0.0713 mL	0.3567 mL	0.7134 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Reference

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.
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.