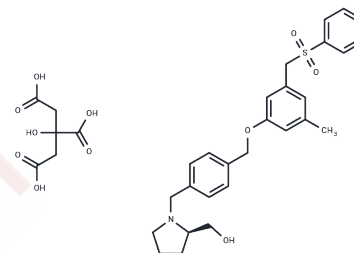


PF-543 Citrate

Chemical Properties

CAS No. :	1415562-83-2
Formula:	C33H39NO11S
Molecular Weight:	657.73
Storage:	Powder: -20°C for 3 years In solvent: -80°C for 1 year Actual storage temperature shall be subject to the COA.



Biological Description

Description	PF-543 Citrate (Sphingosine Kinase 1 Inhibitor II Citrate) is a selective and potent inhibitor of sphingosine kinase 1 (SPHK1) and is an efficient inhibitor of 1-phosphate sphingosine (S1P) formation. It possesses anticancer, antifibrotic, and anti-inflammatory activities and can be used in research on colorectal cancer.
Targets(IC50)	Apoptosis, Autophagy, LPL Receptor, S1P Receptor
In vitro	PF-543 Citrate is a selective sphingosine competitive SPHK1 inhibitor with an IC50 of 2 nM and a Ki of 3.6 nM. PF-543 Citrate is also a potent inhibitor of sphingosine 1-phosphate (S1P) formation in whole blood with an IC50 of 26.7 nM. PF-543 Citrate inhibits the formation of C17-S1P in 1483 cells with IC50 of 1.0 nM. [1]
In vivo	Administration of PF-543 Citrate (1 mg/kg intraperitoneal injection every other day for 21 days) in a mouse hypoxic model of pulmonary hypertension had no effect on vascular remodeling but reduced right ventricular hypertrophy. PF-543 Citrate (10 mg/kg or 30 mg/kg intraperitoneally, 24h), T1/2 in mouse blood samples was 1.2 h. Administration of 10 mg/kg PF-543 Citrate to mice for 24 hours induced a decrease in SK1 expression in pulmonary vessels. [2] The inhibition of SPHK1 and S1PR2 activity by PF-543 Citrate significantly hindered pancreatic fibrosis in CP mice. [4]

Solubility Information

Solubility	H2O: 40 mg/mL (60.82 mM), Sonication is recommended. DMSO: 80 mg/mL (121.63 mM), Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 3.3 mg/mL (5.02 mM), Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5204 mL	7.6019 mL	15.2038 mL
5 mM	0.3041 mL	1.5204 mL	3.0408 mL
10 mM	0.152 mL	0.7602 mL	1.5204 mL
50 mM	0.0304 mL	0.152 mL	0.3041 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.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Schnute ME, et al. Modulation of cellular S1P levels with a novel, potent and specific inhibitor of sphingosine kinase-Biochem J. 2012 May 15;444(1):79-88.

MacRitchie N, et al. Effect of the sphingosine kinase 1 selective inhibitor, PF-543 on arterial and cardiac remodelling in a hypoxic model of pulmonary arterial hypertension. Cell Signal. 2016 Aug;28(8):946-55.

Hamada M, et al. Induction of autophagy by sphingosine kinase 1 inhibitor PF-543 in head and neck squamous cell carcinoma cells. Cell Death Discov. 2017 Aug 14;3:17047.

Wang D, et al. Pancreatic Acinar Cells-Derived Sphingosine-1-Phosphate Contributes to Fibrosis of Chronic Pancreatitis via Inducing Autophagy and Activation of Pancreatic Stellate Cells. Gastroenterology. 2023 Dec;165(6):1488-1504.e20.

Inhibitor · Natural Compounds · Compound Libraries · Recombinant Proteins

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