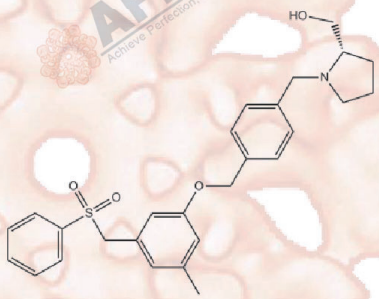
Product Data Sheet

PF-543

Cat. No.:	A3717
CAS No.:	1415562-82-1
Formula:	C27H31NO4S
M.Wt:	465.6
Synonyms:	PF543; PF 543
Target:	GPCR/G protein
Pathway:	S1P receptor
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾23.3 mg/mL in DMSO; insoluble in H2O; ⩾51 mg/mL in EtOH with gentle warming and ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.1478 mL	10.7388 mL	21.4777 mL
5 mM	0.4296 mL	2.1478 mL	4.2955 mL
10 mM	0.2148 mL	1.0739 mL	2.1478 mL

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

Biological Activity

Shortsummary	SphK1 inhibitor,cell-permeate,potent and selective
IC_{50} & Target	3.6 nM (Ki) (SphK1)

In Vitro

Cell Viability Assay

Cell Line:	1483 head and neck carcinoma cells
Preparation method:	The solubility of this compound in DMSO is >23.3mg/mL. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	1 μM, 1 h

	Applications:	In 1483 cells pretreated for 1 h with a range of concentrations of PF-543, PF-543 dose-dependently depleted the intracellular level of S1P with EC50 concentration of 8.4 nM and elevated the intracellular level of sphingosine. PF-543 showed no effect on the growth of several other cancer cell lines. PF-543 inhibited S1P formation in human whole blood (IC50=26.7 nM).
In Vivo	**Animal experiment**	
	Animal models:	Mouse hypoxic model of pulmonary hypertension
	Dosage form:	Intraperitoneal injection, 10 mg/kg, 24 h
	Applications:	In a mouse hypoxic model of pulmonary hypertension, PF-543 showed no effect on vascular remodelling but reduced right ventricular hypertrophy. Administration of 10 mg/kg PF-543 for 24 h to mice decreased SK1 expression in pulmonary vessels.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Wang S, Liang Y, et al. "Triple Negative Breast Cancer Dependson Sphingosine Kinase 1 (SphK1)/Sphingosine-1-Phosphate (S1P)/Sphingosine1-Phosphate Receptor 3 (S1PR3)/Notch Signaling for Metastasis." Med Sci Monit.2018 Apr 1;24:1912-1923.PMID:29605826

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References

[1]. Schnute M E, McReynolds M D, Kasten T, et al. Modulation of cellular S1P levels with a novel, potent and specific inhibitor of sphingosine kinase-1[J]. Biochemical Journal, 2012, 444(1): 79-88.

[2]. MacRitchie N, Volpert G, Al Washih M, 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[J]. Cellular signalling, 2016, 28(8): 946-955.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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