Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

PF-543 (Citrate)

Catalog No: tcsc1072

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Specifications

CAS No:
1415562-83-2

Formula:
$C_{33}H_{39}NO_{11}S$

Pathway:
Immunology/Inflammation

Target:
SPHK

Purity / Grade:
>98%

Solubility:
10 mM in DMSO

Alternative Names:
Sphingosine Kinase 1 Inhibitor II (Citrate)

Observed Molecular Weight:
657.73

Product Description

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PF-543 Citrate is a novel cell-permeant inhibitor of **SPHK1** with a **K_i** of 4.3 nM and more than 100-fold selectivity for SPHK1 over SPHK2.

IC50 & Target: Ki: 3.6 nM (SPHK1)[1]

In Vitro: PF-543 is a novel selective sphingosine-competitive inhibitor of SphK1 that is over 1000-fold more potent in suppressing cellular S1P formation than DMS and SKI-2, commonly used pharmacological tools for SphK. PF-543 inhibits SphK1 with a K_i of 3.6 nM, is sphingosine-competitive and is more than 100-fold selective for SphK1 over the SphK2 isoform. In 1483 head and neck carcinoma cells, which are characterized by high levels of SphK1 expression and an unusually high rate of S1P production, PF-543 decreases the level of endogenous S1P 10-fold with a proportional increase in the level of sphingosine[1]. PF-543 inhibits SphK1 in the *in vitro* enzyme assay with an IC_{50} value of 2.0±0.6 nM and is able to inhibit the enzyme >95% at a concentration of 20 nM[1]. PF-543 bounds in a bent conformation analogous to that expected of a bound sphingosine substrate but with a rotated head group[2].

In Vivo: Administration of the potent sphingosine kinase 1 inhibitor, PF-543 in a mouse hypoxic model of pulmonary hypertension has no effect on vascular remodelling but reduces right ventricular hypertrophy. Administration of 10 mg/kg PF-543 for 24 h to mice induces a decrease in SK1 expression in pulmonary vessels[3].