For Research Use Only

Opaganib

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Catalog Number: CM06510

产品信息

Catalog Number:
CM06510

CAS号:
915385-81-8

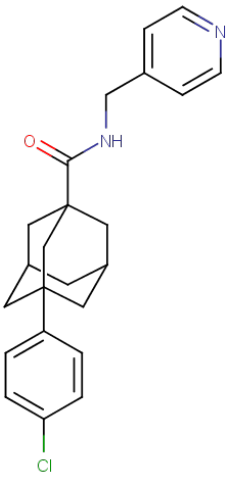
分子式:
$C_{23}H_{25}ClN_2O$

主要靶点:
S1P Receptor

主要通路:
G蛋白偶联受体

分子量:
380.91

溶解度:
Ethanol:27 mg/mL (70.9 mM),H2O:<1 mg/mL,DMSO:71 mg/mL (186.4 mM)



靶点活性

SphK2:60 μM

体外活性

ABC294640 markedly alters the ratio of ceramide/S1P consistent with inhibition of SK activity in MDA-MB-231 cells. ABC294640 inhibits tumor cell proliferation with IC50 values ranging from approximately 6 to 48 μM, and impairs tumor cell migration concomitant with loss of microfilaments. [1] ABC294640 induces nonapoptotic cell death, morphological changes in lysosomes, formation of autophagosomes, and increases in acidic vesicles in A-498, PC-3, and MDA-MB-231 cells. [2] In both MCF-7 and ER-transfected HEK293 cells, ABC294640 decreases E2-stimulated ERE-luciferase activity. [3]

体内活性

In mice bearing mammary adenocarcinoma xenografts, ABC294640 (100 mg/kg, p.o.) significantly reduce tumor growth, associated with depletion of S1P levels. [1] In severe combined immunodeficient mice bearing A-498 xenografts, ABC294640 delays tumor growth and elevates autophagy markers. [2] ABC294640 protects against liver transplantation-induced inflammation and cross-talk between innate and adaptive immunities, major events precipitating and exacerbating graft injury, and improves liver function and survival. [4]

细胞实验

To determine the effects of the test compounds on proliferation, cells are plated into 96-well microtiter plates and allowed to attach for 24 h. Varying concentrations of ABC294640 are added to individual wells and the cells are incubated for an additional 72 h. At the end of this period, the number of viable cells is determined by use of the sulforhodamine-binding assay. The percentage of cells killed is calculated as the percentage decrease in sulforhodamine-binding compared with control cultures. Regression analyses of inhibition curves are performed by use of GraphPad Prism.(Only for Reference)

描述

Opaganib (ABC294640) is an orally active and specific sphingosine kinase-2 (SphK2) inhibitor (IC50: 60 μM).

储存

Powder: -20°C for 3 years | In solvent: -80°C for 2 years