# TECHNICAL DATA SHEET

## (R)-Phosphoric acid mono-[2-amino-2-(3-octyl-phenylcarbamoyl)-ethyl] ester (VPC 23019)

Catalog Number	857360	Physical state	Powder
Purity	> 99%	Transition temp.	No data
CAS	449173-19-7	CMC	No data
Synonyms	S1P <sub>1</sub> /S1P <sub>3</sub> receptor antagonist; S1P <sub>4</sub> /S1P <sub>5</sub> agonist; VPC 23019	pK <sub>a</sub>	No data
Molec. Formula	$C_{17}H_{32}N_3O_5P$	TLC mobile phase	C:M:W*, 65:35:8, v/v
MW	389.396	Exact Mass	389.181
Percent composition	C 54.83% H 7.85% N 7.52% O 21.48% P 8.32%		
Stability	Store in <-20°C freezer for up to 6 months.		
Solubility	Dissolve to 20mM in DMSO/1N HCl (95:5 v/v). Dilute (1:20) immediately into 3% aqueous fatty acid free BSA. Final stock is 1mM lipid, 95 parts BSA, 5 parts acidified DMSO. Aliquot and store at <-20°C; avoid freeze/thaw		
Web link	857360		

<sup>\*</sup>chloroform:methanol:water

#### **Description:**

Sphingosine-1-phosphate (S1P) is a lysophospholipid mediator that evokes a variety of cellular responses by stimulation of five members of the endothelial cell differentiation gene receptor family. The endothelial cell differentiation gene receptors are G-protein coupled receptors that, upon stimulation, propagate second messenger signals via activation of heterotrimeric G-protein subunits and dimers. Ultimately, this S1P-driven signaling results in cell survival, increased cell migration, and, often, mitogenesis. (Davis *et al*, 2005)

VPC23019 is an analog of S1P. It is a competitive antagonist at mouse and human (and presumably other mammalian) S1P<sub>1</sub> and S1P<sub>3</sub> receptors (or, more precisely, an inverse agonist at these receptor types), inactive at S1P<sub>2</sub>, and an agonist at S1P<sub>4</sub> and S1P<sub>5</sub>. At S1P<sub>1</sub>, VPC23019 competes <sup>32</sup>P-S1P binding and shifts concentration-effect curves in a parallel, rightward fashion. Analyses of these data predict a Ki value of 30 nM for VPC23019 at S1P<sub>1</sub>, and suggest that VPC23019 is a competitive antagonist at S1P<sub>1</sub>. VPC23019 is clearly less potent (about 10-fold less) at S1P<sub>3</sub>. (Davis *et al*, 2005)

### How to use:

Antagonism at the S1P<sub>1</sub> and S1P<sub>3</sub> receptors was shown in the range of 10-10,000 nM (Davis *et al*, 2005). Please use the following web links for TLC or liposome preparation

#### **References:**

- Skoura A, Hla T (2009) Lysophospholipid receptors in vertebrate development, physiology, and pathology. J Lipid Res. 2009 Apr;50 Suppl:S293-8
- Gardell SE, Dubin AE, Chun J (2006) Emerging medicinal roles for lysophospholipid signaling. Trends Molec Med 12(2): 65-75
- Davis MD et al (2005) Spingosine-1-phosphate analogs as receptor antagonists. J Biol Chem 280(11): 9833-9841
- Santos WL et al (2004) Synthesis and biological evaluation of phosphonic and thiophosphoric acid derivatives of lysophosphatidic acid. Bioorg Med Chem Lett 14:3473-3476.

Related products: Receptor Agonist/Antagonist

**MSDS:** Available at www.avantilipids.com for Product Number 857360

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