TECHNICAL DATA SHEET

(R)-3-Amino-(3-hexylphenylamino)-4-oxobutylphosphonic acid (TFA salt) (W146)

Catalog Number	857390	Physical state	Powder
Purity	> 99%	Transition temp.	No data
CAS		CMC	No data
Synonyms	W146; S1P ₁ Antagonist	pK _a	No data
Molec. Formula	$C_{18}H_{28}F_3N_2O_6P$	TLC mobile phase	C:M:W*, 65:35:8, v/v
MW	456.394	Exact Mass	456.164
Percent composition	C 47.37% H 6.18% F 12,49% N 6.14% O 21,03% P 6.79%		
Stability	Store in <-20°C freezer for one year		
Solubility	See note below in Product Use section		
Web link	857390		

^{*} chloroform;methanol;water

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

Description:

W146 is a S1P₁ selective antagonist. Sphingosine-1-phosphate (S1P) is a signaling lysophospholipid which regulates heart rate, vascular and stromal barrier integrity and lymphocyte egress (Sanna *et al*, 2006). S1P receptors have been implicated in such various functions as prevention of transplant rejection, treatment of multiple sclerosis and adult respiratory distress syndrome. The S1P₁ receptor is found in endothelial, cardiac and blood cells. Eliminating S1P₁ receptors result in embryonic lethal animals, underscoring their importance. S1P₁ receptors are essential for lymphocyte trafficking and phenotype (Sanna *et al*, 2006). The importance of S1P₁ receptors in lymphocyte egress was demonstrated by Sanna and colleagues by blocking the receptors with W146 in vivo (2006).

Product use:

To the lipid powder, add 0.1 x final volume of Na₂CO₃ and 0.1 x final volume of 20% (2-hydroxypropyl)-beta-cyclodextrin using deionized water to the final volume for concentrations up to 2.5 mg/mL (H. Rosen, personal communication). For capillary leakage and plasma measurements, 10 mg/kg W146 was injected intraperitoneally in mice. For pharmokinetic studies, 1 mg/kg W146 was intravenously injected in cannulated rats (Sanna *et al*, 2006).

References:

- Sanna MG et al. (2006). Enhancement of capillary leakage and restoration of lymphocyte egress by a chiral S1P₁ antagonist in vivo. Nat Chem Biol. Aug 2(8): 434-41.
- Gonzalez-Cabrera PJ et al. (2008) Full pharmacological efficacy of a novel S1P₁ agonist that does not require S1P-like headgroup interactions. Mol Pharmacol. 2008 Nov;74(5):1308-18.

Related products: Receptor Agonist/Antagonist
Sphingolipids

MSDS: Available on Avanti's website for product number 857390

