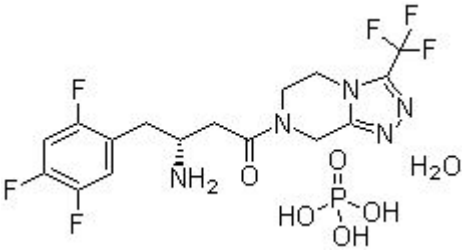
Biochempartner
瀚香生物科技

Product Introduction

Sitagliptin phosphate monohydrate

Sitagliptin phosphate is a potent inhibitor of **DPP-IV** with **IC50** of 19 nM in Caco-2 cell extracts.

Technical Data:

Molecular Weight (MW):	523.32	
Formula:	$C_{16}H_{15}F_6N_5O.H_3PO_4.H_2O$	
Solubility (25°C) * <1 mg/ml means slightly soluble or insoluble:	DMSO 100 mg/mL	
	Water 41 mg/mL	
	Ethanol <1 mg/mL	
Purity:	>98%	
Storage:	3 years -20℃Powder	
	6 months-80℃in DMSO	
CAS No.:	654671-77-9	

Biological Activity

As an orally active agent, Sitagliptin phosphate exhibits a potent inhibitory effect on DPP-4 with IC50 of 19 nM from Caco-2 cell extracts. [1] MK0431 reduces in vitro migration of isolated splenic CD4 T-cells through a pathway involving cAMP/PKA/Rac1 activation. [2] A recent study demonstrates that sitagliptin exerts a novel, direct action in order to stimulate GLP-1 secretion by the intestinal L cell through a DPP-4-independent, protein kinase A- and MEK-ERK1/2-dependent pathway. It therefore reduces the effect of autoimmunity on graft survival. [3]

In vivo, the ED50 value of Sitagliptin phosphate for inhibition of plasma DPP-4 activity is calculated to be

2.3 mg/kg 7 hour postdose and 30 mg/kg 24 hour postdose in freely fed Han-Wistar rats. [1] The streptozotocin-induced type 1 diabetes mouse model exhibits elevated DPP-4 levels in the plasma that can be substantially inhibited in mice on an Sitagliptin phosphate diet. This is achieved by a positive effect on the regulation of hyperglycemia, potentially through prolongation of islet graft survival. [4] The plasma clearance and volume of distribution of Sitagliptin phosphate are higher in rats (40-48 mL/min/kg, 7-9 L/kg) than in dogs (9 mL/min/kg, 3 L/kg); and its half-life is shorter in rats,2 hours compared with 4 hours in dogs. [5]

A potent, orally active inhibitor of DPP-4.

References

[1] Thomas L et al. J Pharmacol Exp Ther. 2008; 325(1): 175-182.

[2] Kim SJ et al. Diabetes. 2009; 58(3): 641-651.

[3] Sangle GV et al. Endocrinology. 2012; 153(2): 564-573.

[4] Kim SJ et al. Diabetes. 2008; 57(5); 1331-1339.

[5] Beconi MG et al. Drug Metab Dispos.2007; 35(4): 525-532.

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