

Sitagliptin (phosphate)

Catalog No: tcsc2915



Available Sizes

Size: 100mg

Size: 200mg



Specifications

CAS No:

654671-78-0

Formula:

$C_{16}H_{18}F_6N_5O_5P$

Pathway:

Metabolic Enzyme/Protease;Autophagy

Target:

Dipeptidyl Peptidase;Autophagy

Purity / Grade:

>98%

Solubility:

10 mM in DMSO

Alternative Names:

MK0431 phosphate

Observed Molecular Weight:

505.31

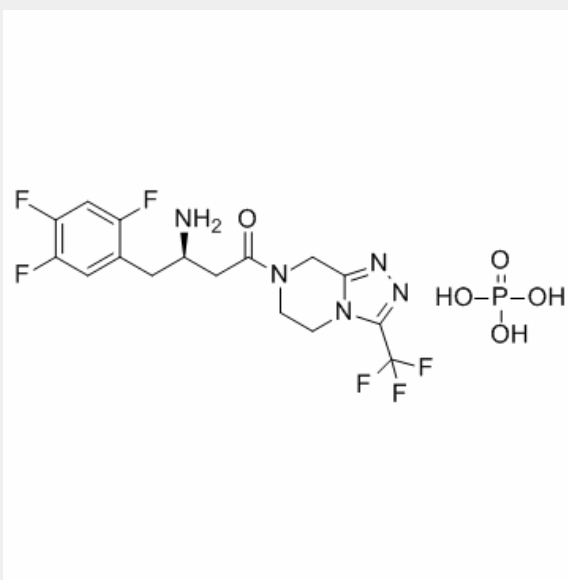
Product Description

Sitagliptin phosphate is a potent inhibitor of **DPP4** with **IC₅₀** of 19 nM in Caco-2 cell extracts

IC50 & Target: IC50: 19 nM (DPP4, in Caco-2 cell extracts)

In Vitro: Sitagliptin phosphate exhibits a potent inhibitory effect on DPP-4 with IC_{50} of 19 nM from Caco-2 cell extracts^[1]. Sitagliptin 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: In vivo, the ED_{50} 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].



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