

# Sitagliptin

Catalog No: tcsc2914

Available Sizes

**Size:** 100mg

Size: 200mg

**Specifications** 

**CAS No:** 486460-32-6

Formula:

 $C_{16}H_{15}F_6N_5O$ 

**Pathway:** Metabolic Enzyme/Protease;Autophagy

## **Target:**

Dipeptidyl Peptidase;Autophagy

### Purity / Grade:

>98%

## Alternative Names:

MK0431

**Observed Molecular Weight:** 

407.31

## **Product Description**

Sitagliptin is a potent inhibitor of **DPP4** with **IC**<sub>50</sub> of 19 nM in Caco-2 cell extracts.

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#### IC50 & Target: IC50: 19 nM (DPP4)<sup>[1]</sup>

*In Vitro:* Sitagliptin phosphate exhibits a potent inhibitory effect on DPP-4 with IC<sub>50</sub> of 19 nM from Caco-2 cell extracts<sup>[1]</sup>. Sitagliptin reduces in vitro migration of isolated splenic CD4 T-cells through a pathway involving cAMP/PKA/Rac1 activation<sup>[2]</sup>. Stagliptin 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 reduces the effect of autoimmunity on graft survival<sup>[3]</sup>.

*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<sup>[1]</sup>. 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<sup>[4]</sup>. 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<sup>[5]</sup>.



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