Web: www.taiclone.com
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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 **IC_{50}** of 19 nM in Caco-2 cell extracts

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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].