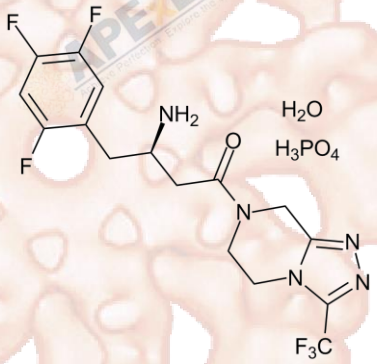
Product Data Sheet

Sitagliptin phosphate monohydrate

Cat. No.:	A4036
CAS No.:	654671-77-9
Formula:	C16H15F6N5O·H3PO4·H2O
M.Wt:	523.3
Synonyms:	Tesavel, MK-0431,MK0431
Target:	Proteases
Pathway:	DPP-4
Storage:	Store at -20°C



Solvent & Solubility

In Vitro

⩾23.8 mg/mL in DMSO; insoluble in EtOH; ⩾30.6 mg/mL in H2O with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	1.9109 mL	9.5547 mL	19.1095 mL
5 mM	0.3822 mL	1.9109 mL	3.8219 mL
10 mM	0.1911 mL	0.9555 mL	1.9109 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary	Potent DPP-4 inhibitor
IC_{50} & Target	19 nM (DPP-4)

In Vitro

Cell Viability Assay

Cell Line:	Endothelial progenitor cells (EPCs) and bone marrow mesenchymalstem cells(MSC)
Preparation method：	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while.Stock solution can be stored below -20°C for several months.

	Reacting conditions:	14 d; 25 μmol/L
	Applications:	To determine whether sitagliptin treatment participated in enhancing the differentiation of EPCs and MSCs and cells expressing its ligand, SDF-1α, adipose tissues were co-cultured with sitagliptin (25 μmol/L) in M199 culture medium for 14 d and examined by flow cytometric analysis. The results show that compared with the 7 d cell culture, the numbers of EPCs [CD31/Sca-1+(double-stained) and CXCR4+ (single-stained)] were remarkably higher at day 14 in both the non-sitagliptin-treated (Si-T) group and the Si-T group
In Vivo	**Animal experiment**	
	Animal models:	ApoE−/−mice with the C57BL/6 genetic background
	Dosage form:	200 mg/kg/day; oral taken
	Applications:	In ApoE−/−mice, the sitagliptin group showed fewer atherosclerotic plaques than in controls (7.64±1.98% [range 4.62–10.13%] vs 12.91±1.15% [range 11.55–14.37%], p<0.001). Compared with control mice, atherosclerotic plaque areas decreased respectively 1.92- and 2.74-fold in the aortic root and abdominal aorta of mice fed sitagliptin (p=0.011 and p=0.006). Our data show that sitagliptin can inhibit the formation of atherosclerotic areas in entire aorta, aortic root and abdominal aorta of ApoE−/− mice.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Mansur SA, Mieczkowska A, et al."Sitagliptin Alters Bone Composition in High-Fat-Fed Mice." Calcif Tissue Int. 2018 Dec 18.PMID:30564859

2. Ghorpade DS, Ozcan L, et al. "Hepatocyte-secreted DPP4 in obesity promotes adipose inflammationand insulin resistance." Nature. 2018 Mar 29;555(7698):673-677.PMID:29562231

3.Mroz PA, Perez-Tilve D, et al. "Native Design of Soluble, Aggregation-Resistant Bioactive Peptides: Chemical Evolution of Human Glucagon." ACS Chem Biol. 2016 Dec 16;11(12):3412-3420.PMID:27797473

4.Khan D, Vasu S, et al. "Islet distribution of Peptide YY and its regulatory role in primary mouse islets and immortalised rodent and human beta-cell function and survival." Mol Cell Endocrinol. 2016 Jul 25;436:102-113.PMID:27465830

5.Gault, V. A., R. Lennox, and P. R. Flatt. "Sitagliptin, a DPP‐4 inhibitor, improves recognition memory, oxidative stress, hippocampal neurogenesis and up‐regulates key genes involved in cognitive decline." Diabetes, Obesity and Metabolism (2015).PMID:25580570

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References

[1] Chua S, Sheu J J, Chen Y L, et al. Sitagliptin therapy enhances the number of circulating angiogenic cells and

angiogenesis—evaluations< i> in vitro and in the rat critical limb ischemia model[J]. Cytotherapy, 2013, 15(9): 1148-1163.
[2] Zeng Y, Li C, Guan M, et al. The DPP-4 inhibitor sitagliptin attenuates the progress of atherosclerosis in apolipoprotein-E-knockout mice via AMPK-and MAPK-dependent mechanisms[J]. Cardiovascular diabetology, 2014, 13(1): 32.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APExBIO products are stable under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.

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