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Product name	Dipeptidyl peptidase IV (DPP4) Inhibitor Screening Assay Kit		
Detection method	Fluorescent		
Precision	Intra-assay		

				Inter-assay
Sample	n	Mean	SD	CV%
Overall	16			4.1%

Assay type	Enzyme activity
Product overview	Dipeptidyl peptidase IV (DPP4) Inhibitor Screening Assay Kit (ab133081) provides a convenient fluorescence-based method for screening DPP4 inhibitors. The assay uses the fluorogenic substrate, Gly-Pro-Aminomethylcoumarin (AMC), to measure DPP4 activity. Cleavage of the peptide bond by DPP releases the free AMC group, resulting in fluorescence that can be analyzed using an excitation wavelength of 350-360 nm and an emission wavelength of 450-465 nm.

Platform	Microplate reader
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Storage instructions Please refer to protocols.

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Components	96 tests
DPP Assay Buffer (10X)	1 vial
DPP IV (human recombinant)	2 vials
DPP Substrate	1 vial
Half Volume 96-Well Solid Plate (white)	1 unit
Sitagliptin Positive Control Inhibitor	1 vial

Function

Cell surface glycoprotein receptor involved in the costimulatory signal essential for T-cell receptor (TCR)-mediated T-cell activation. Acts as a positive regulator of T-cell coactivation, by binding at least ADA, CAV1, IGF2R, and PTPRC. Its binding to CAV1 and CARD11 induces T-cell proliferation and NF-kappa-B activation in a T-cell receptor/CD3-dependent manner. Its interaction with ADA also regulates lymphocyte-epithelial cell adhesion. In association with FAP is involved in the pericellular proteolysis of the extracellular matrix (ECM), the migration and invasion of endothelial cells into the ECM. May be involved in the promotion of lymphatic endothelial cells adhesion, migration and tube formation. When overexpressed, enhanced cell proliferation, a process inhibited by GPC3. Acts also as a serine exopeptidase with a dipeptidyl peptidase activity that regulates various physiological processes by cleaving peptides in the circulation, including many chemokines, mitogenic growth factors, neuropeptides and peptide hormones. Removes N-terminal dipeptides sequentially from polypeptides having unsubstituted N-termini provided that the penultimate residue is proline.

Tissue specificity

Expressed specifically in lymphatic vessels but not in blood vessels in the skin, small intestine, esophagus, ovary, breast and prostate glands. Not detected in lymphatic vessels in the lung, kidney, uterus, liver and stomach (at protein level). Expressed in the poorly differentiated crypt cells of the small intestine as well as in the mature villous cells. Expressed at very low levels in the colon.

Sequence similarities

Belongs to the peptidase S9B family. DPPIV subfamily.

Domain

The extracellular cysteine-rich region is necessary for association with collagen, dimer formation and optimal dipeptidyl peptidase activity.

Post-translational modifications

The soluble form (Dipeptidyl peptidase 4 soluble form also named SDPP) derives from the membrane form (Dipeptidyl peptidase 4 membrane form also named MDPP) by proteolytic processing.

N- and O-Glycosylated.

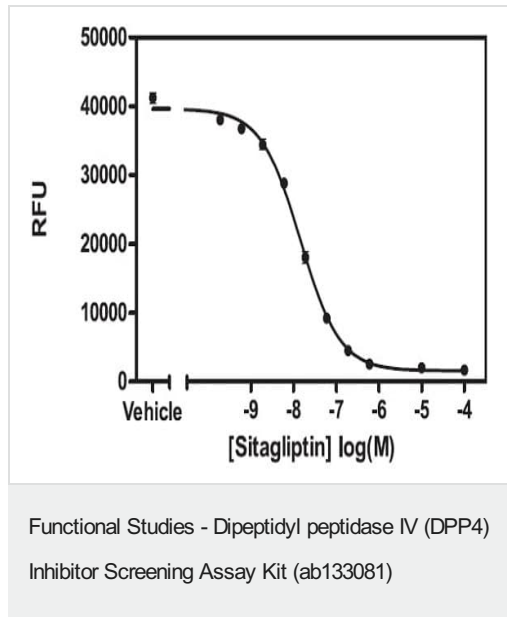
Phosphorylated. Mannose 6-phosphate residues in the carbohydrate moiety are necessary for interaction with IGF2R in activated T-cells. Mannose 6-phosphorylation is induced during T-cell activation.

Cellular localization

Cell membrane. Apical cell membrane. Cell projection > invadopodium membrane. Cell projection > lamellipodium membrane. Cell junction. Membrane raft. Translocated to the apical membrane through the concerted action of N- and O-Glycans and its association with lipid microdomains containing cholesterol and sphingolipids. Redistributed to membrane rafts in T-cell in an interleukin-12-dependent activation. Its interaction with CAV1 is necessary for its translocation to membrane rafts. Colocalized with PTPRC in membrane rafts. Colocalized with FAP in invadopodia and lamellipodia of migratory activated endothelial cells in collagenous matrix. Colocalized with FAP on endothelial cells of capillary-like microvessels but not large vessels within invasive breast ductal carcinoma. Colocalized with ADA at the cell junction in lymphocyte-epithelial cell adhesion. Colocalized with IGF2R in internalized cytoplasmic vesicles

adjacent to the cell surface and Secreted. Detected in the serum and the seminal fluid.

Images



Inhibition of DPP (IV) by Sitagliptin. Vehicle represents 100% initial activity.

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