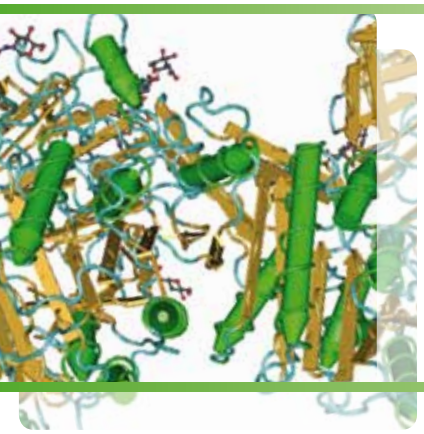


DPPIV/CD26

Activity Assays for Biological Samples and Inhibitor Screening

DPPIV (DPP4, CD26) is a member of the class of proteases known as prolyl peptidases, which cleave proteins after proline residues. DPPIV, a serine dipeptidyl peptidase, cleaves the N-terminal X-Ala or X-Pro from target polypeptides, such as chemokines (e.g. CXCL11) and peptide hormones (e.g., GLP-1, PACAP, VIP, BNP). DPPIV possesses a transmembrane region and a very short cytoplasmic domain, but is often cleaved and released as a soluble, circulating form. It also has non-peptidase functions: through its interaction with adenosine deaminase (ADA) and extracellular matrix components, it influences T-cell activation and proliferation. It is thought to play roles in diabetes, cancer, and autoimmune diseases, making it a target for drug discovery. In particular, cleavage of GLP-1 (7-36) amide, an incretin hormone that stimulates insulin biosythesis and secretion, into GLP-1 (9-36) amide by DPPIV reverses the glucoregulatory actions of GLP-1. Therefore, DPPIV inhibitors are attractive targets for stimulating insulin production in type II diabetes. Several specific DPPIV inhibitors have been approved by the FDA for type II diabetes.

DPPIV/CD26 Assay Kit for Biological Samples

BML-AK498-0001 1 Kit

- **Measures DPPIV activity in plasma, serum, urine, and saliva**
- **Allows correlation of DPPIV activity levels with disease states or drug treatments**
- **Allows determination of efficacy of DPPIV inhibitors**
- **HTS-compatible**

Because DPPIV protein levels may not accurately reflect the levels of active DPPIV enzyme, it is useful to measure DPPIV activity in biological samples rather than performing DPPIV immunoassay. The kit is validated for DPPIV assay in plasma, serum, urine, and saliva. The kit can easily be used for other biological fluids such as tissue, live cells and cell extracts, and exudates. Enough reagents are provided to perform at least 96 assays. The kit contains both a chromogenic substrate (H-Gly-Pro-pNA) and a fluorogenic substrate (H-Gly-Pro-AMC). A specific DPPIV inhibitor, P32/98, is included to uncover any activity not contributed by DPPIV. Also included is DPPIV enzyme to use as a positive control.

DPPIV Activity in Biological Fluids Using GP-AMC Substrate

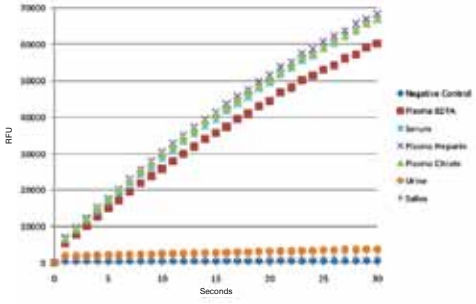


FIGURE 1: Twenty microliters of biological sample were assayed at 25°C (30 minute read at 380/460nm) with GP-AMC (200 µM final). Negative control contained only substrate in assay buffer. Possible GP-AMC substrate depletion by undiluted plasma and serum became apparent after approximately 13 minutes. Unconcentrated urine and saliva activity levels were 40 and 80 times lower than plasma or serum, but readily detectable (inquire or see figure 2).

DPPIV Activity in Unconcentrated Urine and Saliva Using GP-AMC

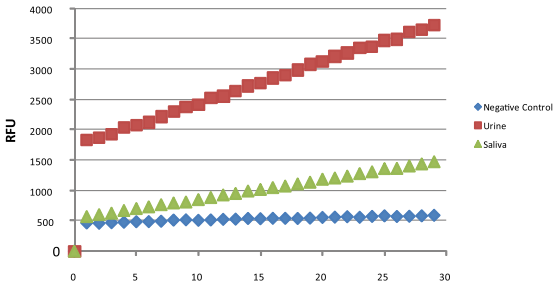


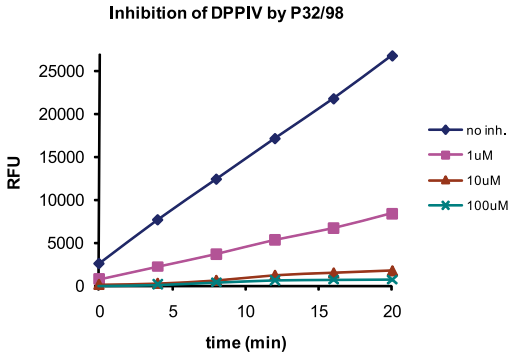
FIGURE 2: Twenty microliters of unconcentrated urine or saliva were assayed at 25°C (30 minute read) with GP-AMC (200µM).

DPPIV Drug Discovery Kit

BML-AK499-0001 1 Kit

- **Designed for HTS-friendly DPPIV screening**
- **Includes active DPPIV sufficient for 96 assays**
- **Chromogenic and fluorogenic substrates are included**

The DPPIV Drug Discovery Kit is a complete assay system designed to screen DPPIV inhibitors, providing enough material to perform at least 96 assays. The kit contains both a chromogenic substrate (H-Gly-Pro-pNA; K_m=114 µM) and a fluorogenic substrate (H-Gly-Pro-AMC; K_m=50 µM). Cleavage of the p-nitroaniline (pNA) from the chromogenic substrate increases absorbance at 405 nm. The fluorimetric assay is based on the cleavage of 7-amino-4-methylcoumarin (AMC) moiety from the C-terminus of the peptide substrate, which increases its fluorescence intensity at 460 nm. The kit is useful to screen inhibitors of DPPIV, a potential therapeutic target. A DPPIV inhibitor, P32/98 (K_i=130 nM), is included for use as a control.



Dipeptidyl Peptidases and Related Proteases

Product	Prod. No.	Size
DPPIV (human), (rec.)	ALX-201-128-1	1 Vial
DPPIV (human), (rec.)	BML-SE434-0025	25 mU
DPPII (human), (rec.)	BML-SE564-0010	10 µg
DPPIII (human), (rec.)	BML-SE529-0010	10 µg
DPP8 (human), (rec.)	BML-SE527-0010	10 µg
DPP9 (human), (rec.)	BML-SE528-0010	10 µg
DPPIV (human), pAb	BML-SA451-0100	100 µg
FAP (human), (rec.)	BML-SE409-0010	10 µg
GP-AMC	BML-P189-0005	5 mg
GP-pNA	BML-P188-0025	25 mg
P32/98	BML-PI142-0010 BML-PI142-0050	10 mg 50 mg
POP/PREP (human), (rec.)	BML-SE545-0010	10 µg

Glucagon & Glucagon-like Peptide Antibodies

Product	Prod. No.	Size
Glucagon, pAb	BML-GA1181-0025 BML-GA1181-0100	25 µl 100 µl
Glucagon like peptide 1, pAb	BML-GA1176-0025 BML-GA1176-0100	25 µl 100 µl
Glucagon like peptide 1 (7-36) amide, pAb	BML-GA1178-0250	250 tubes
Glucagon like peptide 2, pAb	BML-GA1179-0025 BML-GA1179-0100	25 µl 100 µl

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