

Table S4. The inhibitive activities profiles of nine approved drugs for dipeptidyl peptidase-IV (DPP-IV) enzyme inhibition assay. The inhibitory effects of compounds on human recombinant DPP4 was determined using a DPP-IV Drug Discovery Kit (Biomol, USA) according to the manufacturer's instructions. The DPP-IV Drug Discovery Kit is a complete assay system designed to screen DPP4 small molecule inhibitors. The fluorimetric assay is based on the cleavage of 7-amino-4-methylcoumarin (AMC) moiety from peptide substrate (H-Gly-Pro-AMC), which increases its fluorescence intensity at 460 nm. Compounds dilutions were prepared from stock in DMSO and diluted with assay buffer for inhibition assay. A 40 μ L solution of DPP-IV enzyme in assay buffer was incubated with 10 μ L of various concentrations of test compound solutions for 10 min at room temperature. The reaction was initiated by the addition of 50 μ L of H-Gly-Pro-AMC with a final concentration of 5 μ M. The activity of DPP4 was detected in a Synergy™ 2 Multi-Mode Microplate Reader (BioTek) at an excitation wavelength of 380 nm and an emission wavelength of 460 nm. P32/98 (10 μ M) was used as a positive compound. IC₅₀ values were determined by using the GraphPad Prism 4 software with three independent determinations.

DrugBank ID & Drug Name	Inhibition Ratio (%) to DPP-IV	IC ₅₀ (μ M)
DB00622 (Nicardipine)	21.24	---
DB00227 (Lovastatin)	9.49	---
DB00471 (Montelukast)	49.7	9.79
DB01026 (Ketoconazole)	14.95	---
DB00641 (Simvastatin)	16.27	---
DB01259 (Lapatinib)	39.64	---
DB01132 (Pioglitazone)	18.63	---
DB00564 (Carbamazepine)	19.67	---
DB01241 (Gemfibrozil)	17.35	---
Positive Compounds (P32/98)	98.38 (2 μ M)	98.38 (2 μ M)