

**Supplementary materials**

Journal: Drug Metabolism and Disposition

Title of Article:

Hepatic dipeptidyl peptidase-4 controls pharmacokinetics of vildagliptin *in vivo*

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**Supplemental Table 1. Characteristics of 23 donors used in this study.**

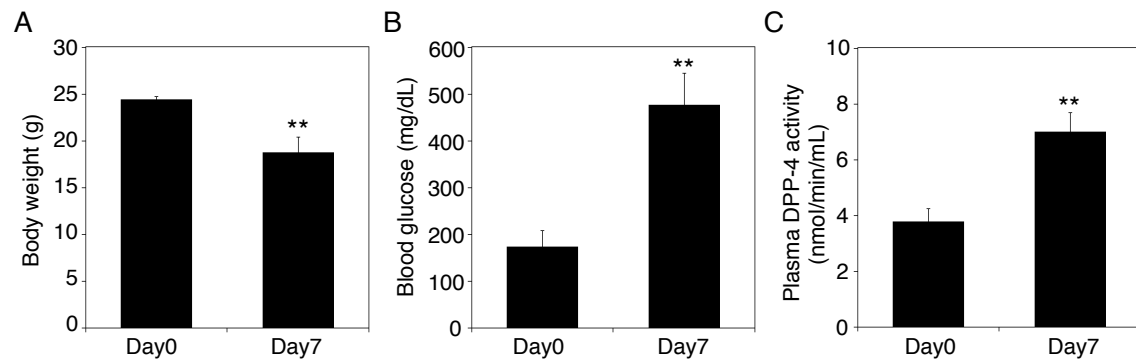
Sample No.	Sex	Age (years)	Ethnic
1	M	46	Caucasian
2	F	32	Hispanic
3	F	47	Caucasian
4	M	36	Caucasian
5	M	38	Caucasian
6	F	35	Hispanic
7	M	52	Caucasian
8	M	56	Caucasian
9	M	33	Caucasian
10	M	49	Hispanic
11	M	68	Caucasian
12	M	60	Hispanic
13	F	52	Black
14	M	62	Black
15	M	16	Caucasian
16	F	41	Caucasian
17	M	47	Caucasian
18	F	47	Caucasian
19	M	51	Caucasian
20	F	33	Hispanic
21	M	53	Caucasian
22	M	63	Caucasian
23	F	33	Caucasian

M, Male; F, Female

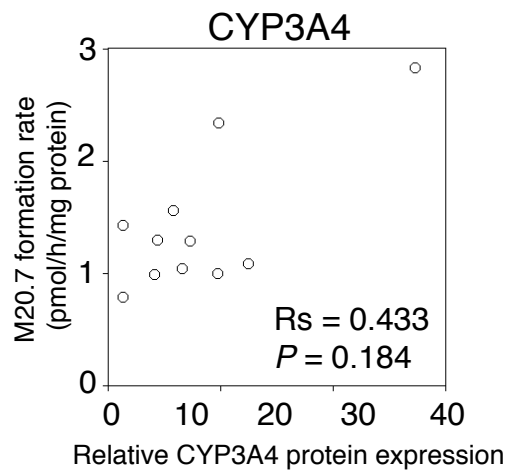
**Supplemental Table 2. Primer sequences used for real-time RT-PCR analyses.**

Target	Forward primers (5' to 3')	Reverse primers (5' to 3')
Dpp-4	CAGCTCATCCTCTAGTGCGG	AGGTGAAGTGAGGTTCTGCG
Foxa2	ATGCACTCGGCTTCCAGTAT	TCATTCCAGCGCCCACATAG
Col1a1	GAGAGGTGAACAAGGTCCCG	AAACCTCTCTCGCCTCTTGC
Fabp4	TTCCTTCAAACCTGGGCGTGG	TTCCATCCCACCTTCTGCACC
Adipoq	AGCCGCTTATGTGTATCGCT	GAGTCCCGGAATGTTGCAGT
Hnf-1 $\alpha$	CCACCCTGGTTATCGGTCTG	TGTGGCTGTATAAGGCGTGG
Fabp1	GAAGGACATCAAGGGGGTGT	ACTGCCTTGACTTTTTCCCA
Cyclophilin*	CAGACGCCACTGTCGCTTT	TGTCTTTGGAACCTTGTCTGCAA

\* Fujiwara R, Chen S, Karin M, and Tukey RH (2012) Reduced expression of UGT1A1 in intestines of humanized UGT1 mice via inactivation of NF- $\kappa$ B leads to hyperbilirubinemia. *Gastroenterology* **142**:109–118.



**Supplemental Figure 1. Body weight (A), blood glucose (B), and plasma DPP-4 activity (C) in STZ-induced diabetic mice.** These data were measured at 0 and 7 days after the STZ injection. Plasma glucose concentrations were measured using the glucose CII-test Wako kit (Wako). Plasma DPP-4 activity was measured using Gly-Pro-AMC as a substrate. Data represent the means  $\pm$  S.D. (n = 3). \*\*P < 0.01.



**Supplemental Figure 2. Correlation analysis between hepatic vildagliptin-hydrolyzing activities and protein expression levels of CYP3A4 in individual HLMs.** The relationships between hepatic vildagliptin-hydrolyzing activities and protein expression levels of CYP3A4 of individual HLMs are shown. The correlation analysis was performed using the Spearman rank method. Data represent the means of triplicate determinations.