

**Additional file 3. Saxagliptin and 5-hydroxy saxagliptin pharmacokinetic parameters for multiple ascending dose study in patients with T2DM**

Pharmacokinetic parameter	Saxagliptin dose, mg	Saxagliptin		5-Hydroxy saxagliptin	
		Day 1*	Day 14 <sup>†</sup>	Day 1*	Day 14 <sup>†</sup>
C <sub>max</sub> , ng/mL, geometric mean (CV%)	2.5	11 (34)	12 (23)	35 (28)	43 (39)
	5	21 (18)	23 (22)	77 (33)	78 (31)
	15	94 (26)	89 (20)	249 (39)	243 (17)
	30	122 (33)	141 (25)	382 (32)	418 (29)
	50	206 (11)	218 (13)	1013 (36)	846 (29)
AUC <sub>T</sub> , ng•h/mL, geometric mean (CV%)	2.5	33 (28)	34 (20)	203 (41)	251 (48)
	5	77 (25)	81 (20)	393 (44)	438 (36)
	15	371 (19)	365 (25)	1421 (43)	1395 (25)
	30	618 (40)	676 (38)	2499 (48)	2761 (51)
	50	949 (17)	915 (19)	6507 (43)	5632 (15)
T <sub>max</sub> , h, median (minimum, maximum)	2.5	1.50 (0.75, 2.00)	1.50 (0.75, 2.00)	3.00 (2.00, 4.00)	3.00 (2.00, 4.00)
	5	2.00 (1.00, 3.00)	2.00 (1.50, 4.00)	3.00 (3.00, 3.00)	3.00 (2.00, 4.00)
	15	2.00 (0.75, 3.00)	1.75 (1.00, 2.00)	3.00 (2.00, 4.00)	2.50 (2.00, 3.00)
	30	3.00 (2.00, 4.00)	2.00 (1.00, 3.00)	3.50 (3.00, 4.00)	3.00 (2.00, 4.00)
	50	2.50 (1.00, 3.00)	1.50 (1.50, 3.00)	3.00 (2.00, 4.00)	3.50 (2.00, 4.00)
T <sub>½</sub> , h, mean, (SD)	2.5	3.84 (1.72)	3.32 (1.11)	4.36 (1.17)	4.58 (1.07)
	5	2.21 (0.15)	2.33 (0.24)	3.60 (0.48)	3.67 (0.65)
	15	2.46 (0.50)	2.55 (0.35)	3.41 (0.77)	3.91 (1.90)
	30	2.35 (0.40)	2.36 (0.35)	3.53 (1.03)	3.81 (1.21)

	50	2.17 (0.27)	2.39 (0.34)	4.15 (0.97)	4.81 (0.40)
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*AUC*<sub>τ</sub> area under the concentration–time curve in one dosing interval, *C*<sub>max</sub> maximum observed plasma concentration, *CV*% coefficient of variation, *SD* standard deviation, *t*<sub>½</sub> half-life, *T*<sub>max</sub> time to maximum plasma concentration. \*n = 5 for saxagliptin 5 mg, n = 6 for all other doses; †n = 4 for saxagliptin 50 mg, n = 6 for all other doses.