

S2 Table. Summary of the pharmacokinetic parameters of OPB-111077 following single dose administration for the once daily dosing regimen

Parameter	Dose of OPB-111077				
	50 mg (n=3)	100 mg (n=4)	150 mg (n=3)	200 mg (n=3)	250 mg (n=6)
AUC _t (ng·hr/mL)	18,600.0±1,190.0	50,000.0±8,900.0	60,300.0±2,400.0	80,300.0±8,600.0	109,000.0±27,200.0
AUC ₍₀₋₂₄₎ (ng·hr/mL)	12,800.0±750.0	32,300.0±7,040.0	40,800.0±3,840.0	53,800.0±9,450.0	81,000.0±20,600.0
AUC _∞ (ng·hr/mL)	19,500.0±1,150.0	54,400.0±9,340.0	63,000.0±2,340.0	85,400.0±6,570.0	112,000.0±28,300.0
C _{max} (ng/mL)	1,140.0±172.0	3,220.0±741.0	3,510.0±177.0	5,270.0±2,100.0	7,190.0±1,380.0
t _{max} (hr)	1.0 (1.0-8.0)	2.0 (2.0-4.0)	4.0 (2.0-4.0)	2.0 (0.5-4.0)	4.0 (2.0-5.0)
AUC _t /D (ng·hr/mL/mg)	406.0±26.0	546.0±97.1	439.0±17.5	438.0±46.9	477.0±119.0
AUC _∞ /D (ng·hr/mL/mg)	425.0±25.2	593.0±102.0	458.0±17.0	466.0±35.8	489.0±124.0
C _{max} /D (ng/mL/mg)	24.9±3.8	35.1±8.1	25.5±1.3	28.7±11.5	31.4±6.0
t _{1/2,z} (hr)	15.9±1.9	19.5±4.2	15.4±2.0	17.4±3.5	13.0±2.1
CL/F (L/hr)	2.4±0.1	1.7±0.3	2.2±0.1	2.2±0.2	2.2±0.5
V _z /F (L)	54.3±7.9	48.9±15.8	48.7±6.5	54.7±14.6	39.8±8.8

Values are presented as mean±standard deviation or median (min-max). AUC_t, area under the concentration-time curve from hour 0 to the last measurable concentration; AUC₍₀₋₂₄₎, area under the concentration-time from hour 0 to hour 24; AUC_∞, area under the concentration-time extrapolated to infinity; C_{max}, maximum observed plasma concentration; t_{max}, time to maximum observed plasma concentration; AUC_t/D, dose normalized AUC_t; AUC_∞/D, dose normalized AUC_∞; C_{max}/D, dose normalized C_{max}; t_{1/2,z}, apparent terminal elimination half-life; CL/F, apparent total clearance; V_z/F, apparent volume of distribution.