

**S3 Table.** Summary of the pharmacokinetic parameters of OPB-111077 following multiple dose administration for the once daily dosing regimen

Parameter	Dose of OPB-111077				
	50 mg (n=2) <sup>a)</sup>	100 mg (n=3)	150 mg (n=2) <sup>a)</sup>	200 mg (n=2) <sup>a)</sup>	250 mg (n=5)
AUC <sub>(0-tau)</sub> (ng·hr/mL)	18,600.0±24,500.0	57,700.0±15,300.0	63,100.0±68,100.0	84,600.0±90,500.0	110,000.0±30,400.0
C <sub>max</sub> (ng/mL)	1,990.0±2,260.0	4,340.0±873.0	4,410.0±4,860.0	6,290.0±7,630.0	9,130.0±2,450.0
t <sub>max</sub> (hr)	1.0±4.0	4.0 (4.0-4.0)	2.0±4.0	4.0±5.9	2.0 (2.0-4.0)
C <sub>min</sub> (ng/mL)	385.0±498.0	1,370.0±560.0	1,530.0±1850.0	1,830.0±1900.0	2,230.0±753.0
AUC <sub>(0-tau)</sub> /D (ng·hr/mL/mg)	406.0±534.0	629.0±167.0	459.0±495.0	461.0±493.0	478.0±133.0
C <sub>max</sub> /D (ng/mL/mg)	43.4±49.3	47.4±9.5	32.1±35.3	34.3±41.6	39.8±10.7
CL/F (L/hr)	1.9±2.5	1.7±0.4	2.0±2.2	2.0±2.2	2.3±0.7
R <sub>AUC(0-tau)</sub>	1.5±1.8	1.9±0.4	1.5±1.8	1.4±1.9	1.5±0.3
LI	0.9±1.2	1.1±0.1	1.0±1.1	1.0±1.1	1.1±0.2

Values are presented as mean±standard deviation or median (min-max). AUC<sub>(0-tau)</sub>, area under the concentration-time curve within a dosing interval; C<sub>max</sub>, maximum observed plasma concentration; t<sub>max</sub>, time to maximum observed plasma concentration; C<sub>min</sub>, minimum observed plasma concentration; AUC<sub>(0-tau)</sub>/D, dose normalized AUC<sub>(0-tau)</sub>; C<sub>max</sub>/D, dose normalized C<sub>max</sub>; CL/F, apparent total clearance; R<sub>AUC(0-tau)</sub>, accumulation ratio for AUC<sub>(0-tau)</sub>; LI, linearity index. <sup>a)</sup>Arithmetic mean or median not calculated, individual values presented.