Table S1. Pharmacokinetic (PK) parameters of tirabrutinib

A. PK parameters of tirabrutinib on Cycle 1 Day 1 in the fasting state

	Plasma tirabrutinib			
Mean ± SD	**160 mg QD Cycle 1 Day 1**	**320 mg QD Cycle 1 Day 1**	**480 mg QD Cycle 1 Day 1**	**300 mg BID Cycle 1 Day 1**
N	3	3	4	7
C_{max} (ng/mL)	611 ± 62.7	1220 ± 266	1280 ± 440	886 ± 311
T_{max}[†] (h)	2.85 (1.92–3.03)	2.00 (1.83–4.08)	2.93 (2.70–3.08)	2.85 (1.83–11.7)
AUC_{12h} (ng·h/mL)	2860 ± 480	4960 ± 527	6790 ± 1930	4750 ± 1580
AUC_{24h} (ng·h/mL)	3290 ± 555	5700 ± 578	8500 ± 1700	–
AUC_{inf} (ng·h/mL)	3410 ± 545	5890 ± 570	9130 ± 1460	5480 ± 2260[‡]
$T_{1/2}$ (h)	4.96 ± 1.13	4.92 ± 0.745	6.38 ± 2.80	4.37 ± 1.08[§]

[†]Median (Min–Max), [‡]*n*=4, [§]*n*=5

SD, standard deviation; C_{max}, maximum serum concentration; T_{max}, time to maximum serum concentration; AUC_{12h}, area under the concentration–time curve for 12 h; AUC_{24h}, area under the concentration–time curve for 24 h; AUC_{inf}, area under the concentration–time curve to infinity; $T_{1/2}$, elimination half-life.

B. PK parameters of tirabrutinib on Cycle 1 Day 28 in the fasting state

	Plasma tirabrutinib			
Mean ± SD	**160 mg QD Cycle 1 Day 28**	**320 mg QD Cycle 1 Day 28**	**480 mg QD Cycle 1 Day 28**	**300 mg BID Cycle 1 Day 28**
N	3	3	4	4
C_{max} (ng/mL)	484 ± 64.1	971 ± 394	1940 ± 780	961 ± 462
T_{max}[†] (h)	3.00 (2.95–3.92)	3.00 (1.92–4.05)	3.61 (2.20–4.07)	2.06 (0.900–4.00)
AUC_{12h} (ng·h/mL)	2590 ± 179	5370 ± 1140	12100 ± 4400	5510 ± 2790
$T_{1/2}$ (h)	4.52 ± 1.38	4.47 ± 3.02	3.07 ± 0.486	5.79 ± 2.64

[†]Median (Min–Max)

SD, standard deviation; C_{max}, maximum serum concentration; T_{max}, time to maximum serum concentration; AUC_{12h}, area under the concentration–time curve for 12 h; $T_{1/2}$, elimination half-life.