

Supplementary Table S4. Descriptive statistics of ASTX660 pharmacokinetic parameters per dose level across cycles and days: pharmacokinetic population

Study Day	Cohort # (n)	Dose, mg/d	Formulation	Mean AUC ₀₋₂₄ , h*ng/mL (SD)	Mean C _{max} , ng/mL (SD)	Mean C _{min} , ng/mL (SD)	Median T _{max} , h (range)	Mean t _{1/2} , h (SD)	Mean RAUC (SD)	Mean RCMAX (SD)
C2D1	1 (3)	15	PiB	54.8 (23.3)	9.22 (3.20)	—	0.52 (0.48–4.00)	9.83 (6.81)	—	—
	2 (3)	30	PiB	71.6 (33.2)	15.1 (8.86)	—	0.50 (0.48–3.03)	18.80 (13.50)	—	—
	3 (3)	60	PiB	242 (86)	70.3 (17.5)	—	0.50 (0.50–0.57)	11.90 (1.83)	—	—
	4 (3)	120	PiB	1180 (691)	268 (129)	—	2.92 (0.45–3.02)	13.00 (2.67)	—	—
	5 (3)	180	PiB	1300 (1430)	496 (584)	—	0.50 (0.40–0.52)	12.20 (1.20)	—	—
	6 (4)	180	Cap	1270 (530)	373 (289)	—	0.75 (0.50–2.00)	9.75 (1.59)	—	—
	6-EXP (5)	180	Cap	1670 (1050)	419 (308)	—	2.97 (0.50–5.02)	9.97 (2.27)	—	—
	7 (2)	270	Cap	4240 (141)	1020 (367)	—	1.28 (0.55–2.00)	9.41 (9.20)	—	—
	7 (2)	180 ^a	Cap	1860 (361)	604 (337)	—	0.77 (0.53–1.00)	9.60 (2.37)	—	—
	8 (5)	210	Cap	3100 (1490)	626 (388)	—	2.92 (0.50–2.95)	10.30 (1.44)	—	—
	8 (2)	180 ^a	Cap	1650 (64)	271 (22)	—	2.50 (2.00–3.00)	8.64 (1.53)	—	—
C2D7	1 (3)	15	PiB	130 (99)	14.1 (11.9)	2.65 (1.49)	0.53 (0.42–4.03)	31.80 (7.01)	2.39 (1.20)	1.44 (0.80)
	2 (3)	30	PiB	151 (70)	16.2 (5.23)	4.88 (2.89)	0.50 (0.50–2.00)	75.60 (67.80)	2.32 (1.19)	1.59 (1.48)

3 (2)	60	PiB	254 (217)	37.5 (16.5)	3.45 (2.86)	2.52 (1.03–4.00)	21.10 (7.03)	0.10 (0.44)	0.46 (0.14)
4 (3)	120	PiB	1700 (958)	234 (174)	33.3 (23.4)	0.50 (0.50–4.08)	29.40 (6.30)	1.48 (0.12)	0.81 (0.21)
5 (1)	180	PiB	962 (—)	93.2 (—)	15.1 (—)	4.00 (4.00–4.00)	17.20 (—)	3.10 (—)	1.02 (—)
6 (4)	180	Cap	1960 (694)	440 (429)	32.2 (16.7)	2.46 (0.52–6.17)	19.50 (2.38)	1.60 (0.23)	1.17 (0.59)
6-EXP (5)	180	Cap	2910 (1920)	468 (325)	49.5 (41.8)	3.00 (0.92–4.70)	19.30 (5.54)	1.82 (0.40)	1.42 (0.66)
7 (1)	270	Cap	16,900 (—)	2240 (—)	385 (—)	2.08 (2.08–2.08)	13.70 (—)	4.09 (—)	2.92 (—)
7 (2)	180 ^a	Cap	3380 (1540)	529 (2.93)	54.1 (28.1)	2.47 (1.92–3.02)	17.50 (8.34)	1.77 (0.49)	1.04 (0.57)
8 (4)	210	Cap	4540 (3760)	852 (835)	92.0 (69.6)	0.74 (0.50–2.00)	23.50 (5.28)	1.62 (0.87)	1.37 (0.78)
8 (2)	180 ^a	Cap	2820 (457)	455 (320)	49.9 (13.7)	1.71 (0.42–3.00)	16.40 (8.56)	1.70 (0.21)	1.64 (1.05)

^aDose reduced.

—, not calculated; 6-EXP, phase 1 part 2 dose-expansion with 180-mg capsules (Cap); C2D1, cycle 2, day 1; C2D7, cycle 2, day 7; C_{min}, minimal concentration; D, day; R_{AUC}, accumulation ratio for AUC at steady state vs 1st dose interval; R_{C_{max}}, accumulation ratio for C_{max} at steady state vs 1st dose interval; t_{1/2}, elimination half-life; T_{max}, time to C_{max}.