

Supplementary Table S3. Grade ≥ 3 adverse events (regardless of relationship to study drug) in ≥ 2 patients in total phase 1 population

AE	15 mg: PiB (n=3)	30 mg: PiB (n=3)	60 mg: PiB (n=4)	120 mg: PiB (n=3)	180 mg: PiB (n=3)	180 mg: Cap (n=14)	180 mg: PiB + Cap (total: n=17)	270 mg: Cap (n=6)	210 mg: Cap (n=9)	Phase 1 total (N=45)
Total treatment-emergent grade ≥ 3 AEs, n	1	5	3	5	0	20	20	11	25	70
Patients with ≥ 1 treatment-emergent grade ≥ 3 AE, n (%)	1 (33)	3 (100)	2 (50)	1 (33)	0	7 (50)	7 (41)	6 (100)	7 (78)	27 (60)
Anemia	0	0	0	0	0	3 (21)	3 (18)	1 (17)	2 (22)	6 (13)
Increased lipase	0	0	1 (25)	0	0	0	0	2 (33)	2 (22)	5 (11)
Lymphopenia	1 (33)	1 (33)	0	1 (33)	0	0	0	1 (17)	0	4 (9)
Hypophosphatemia	0	2 (67)	0	0	0	0	0	0	1 (11)	3 (7)
Abdominal pain	0	0	0	0	0	0	0	0	2 (22)	2 (4)
Acute respiratory failure	0	0	0	0	0	1 (7)	1 (6)	0	1 (11)	2 (4)
Dyspnea	0	0	0	0	0	1 (7)	1 (6)	0	1 (11)	2 (4)
Hyponatremia	0	1 (33)	0	0	0	0	0	0	1 (11)	2 (4)
Large intestinal obstruction	0	0	0	0	0	1 (7)	1 (6)	0	1 (11)	2 (4)
Pneumonia	0	0	0	0	0	1 (7)	1 (6)	0	1 (11)	2 (4)
Sepsis	0	0	0	0	0	2 (14)	2 (12)	0	0	2 (4)

Cap, capsule.