

**S3 Table.** Parts 1 and 2: most common adverse events ( $\geq 10\%$  in all patients)

Adverse event	Part 1				Part 2		Total (n=55)
	81 mg (n=5)	201 mg (n=9)	403 mg (n=4)	604 mg (n=4)	685 mg (n=3)	685 mg (n=30)	
Hypertension	2 (40.0)	3 (33.3)	3 (75.0)	3 (75.0)	2 (66.7)	19 (63.3)	32 (58.2)
Diarrhea	1 (20.0)	3 (33.3)	3 (75.0)	1 (25.0)	2 (66.7)	15 (50.0)	25 (45.5)
Nausea	2 (40.0)	5 (55.6)	2 (50.0)	2 (50.0)	1 (33.3)	6 (20.0)	18 (32.7)
Decreased appetite	1 (20.0)	3 (33.3)	1 (25.0)	0	2 (66.7)	10 (33.3)	17 (30.9)
Fatigue	2 (40.0)	3 (33.3)	1 (25.0)	2 (50.0)	0	7 (23.3)	15 (27.3)
Vomiting	2 (40.0)	2 (22.2)	1 (25.0)	2 (50.0)	1 (33.3)	6 (20.0)	14 (25.5)
Palmar-plantar erythrodysesthes ia syndrome	0	0	0	0	1 (33.3)	13 (43.3)	14 (25.5)
Abdominal pain	0	1 (11.1)	3 (75.0)	0	1 (33.3)	8 (26.7)	13 (23.6)
Constipation	0	0	0	2 (50.0)	1 (33.3)	10 (33.3)	13 (23.6)
Decreased weight	1 (20.0)	1 (11.1)	1 (25.0)	0	2 (66.7)	7 (23.3)	12 (21.8)
Hypothyroidism	0	1 (11.1)	0	2 (50.0)	1 (33.3)	7 (23.3)	11 (20.0)
Cough	1 (20.0)	2 (22.2)	1 (25.0)	0	0	7 (23.3)	11 (20.0)
Back pain	0	1 (11.1)	1 (25.0)	2 (50.0)	1 (33.3)	6 (20.0)	11 (20.0)
Peripheral edema	1 (20.0)	2 (22.2)	1 (25.0)	2 (50.0)	0	4 (13.3)	10 (18.2)
Dyspnea	2 (40.0)	2 (22.2)	0	1 (25.0)	1 (33.3)	4 (13.3)	10 (18.2)
Headache	0	3 (33.3)	2 (50.0)	1 (25.0)	1 (33.3)	2 (6.7)	9 (16.4)
Stomatitis	0	0	0	0	1 (33.3)	7 (23.3)	8 (14.5)
Pyrexia	2 (40.0)	1 (11.1)	1 (25.0)	0	1 (33.3)	3 (10.0)	8 (14.5)
Hypophosphatemia	0	1 (11.1)	0	1 (25.0)	1 (33.3)	5 (16.7)	8 (14.5)
Urinary tract infection	2 (40.0)	1 (11.1)	1 (25.0)	0	1 (33.3)	2 (6.7)	7 (12.7)
Hyponatremia	0	1 (11.1)	0	1 (25.0)	1 (33.3)	4 (13.3)	7 (12.7)
Pneumonia	0	1 (11.0)	1 (25.0)	0	0	4 (13.3)	6 (10.9)
Hypokalemia	1 (20.0)	1 (11.1)	0	1 (25.0)	0	3 (10.0)	6 (10.9)

Values are presented as number (%).