

Table S1 Protocol amendments

Versions	Approval date	Reason for amendment
V1.0	April 22, 2013	NA
V1.1	January 7, 2014	Revised inclusion criterion 2 to BMI between 18–28 kg/m ²
V1.2	June 23, 2014	1) Revised urine collection to until 48 h post-dose and stool collection to until 120 h post-dose 2) Modified the definition of “maintenance phase” to two continuous cycles until 1 year after initiation 3) Added post-trial long-term observation, which covers the period from after continuous treatment for 1 year until disease progression or unacceptable toxicity 4) Modified inclusion criterion 1 to include patients with advanced solid tumor malignancy who refuse other therapies 5) Revised expansion doses to 100 mg and 200 mg and modified the definition of dose-expansion cohorts to include 15 patients with advanced renal cancer in each cohort to assess the initial efficacy 6) Increased sample sizes for pharmacokinetics to 10 patients in each dose group
V1.3	August 21, 2014	1) Modified eligible age in dose-expansion cohorts to 18 years old or older 2) Added exclusion criterion for a history of disease progression after the first course of VEGFR tyrosine kinase inhibitor(s) for dose-expansion cohorts 3) Added serial sample collection 1 d prior to drug administration and at 0.5, 1, 2, 3, 4, 6, 8, 12, 24, 30, 36, and 48 h post-dose for single-dose pharmacokinetics in patients of dose-expansion cohorts

BMI, body mass index; VEGFR, vascular endothelial growth factor receptor.

Table S2 Best tumor response

Response	n (%)						
	Escalation cohort (N=15)					Expansion cohort (N=20)	
	50 mg/d (N=3) [*]	100 mg/d (N=2)	150 mg/d (N=2)	200 mg/d (N=3)	250 mg/d (N=5)	100 mg/d (N=11)	200 mg/d (N=9)
CR	0 (0)	0 (0)	0 (0)	0 (0)	0 (0)	0 (0)	0 (0)
PR	0 (0)	0 (0)	0 (0)	1 (33.3)	0 (0)	1 (9.1)	2 (22.2)
SD	3 (100)	0 (0)	1 (50.0)	1 (33.3)	3 (60.0)	6 (54.5)	6 (66.7)
PD	0 (0)	2 (100)	1 (50.0)	1 (33.3)	2 (40.0)	4 (36.4)	1 (11.1)
ORR	0 (0)	0 (0)	0 (0)	1 (33.3)	0 (0)	1 (9.1)	2 (22.2)
DCR	3 (100)	0 (0)	1 (50.0)	2 (66.7)	3 (60.0)	7 (63.6)	8 (88.9)

^{*}, Tumor response analysis was based on the per-protocol set (PPS). CR, complete response; PR, partial response; SD, stable disease; PD, progressive disease; ORR, objective response rate; DCR, disease control rate.

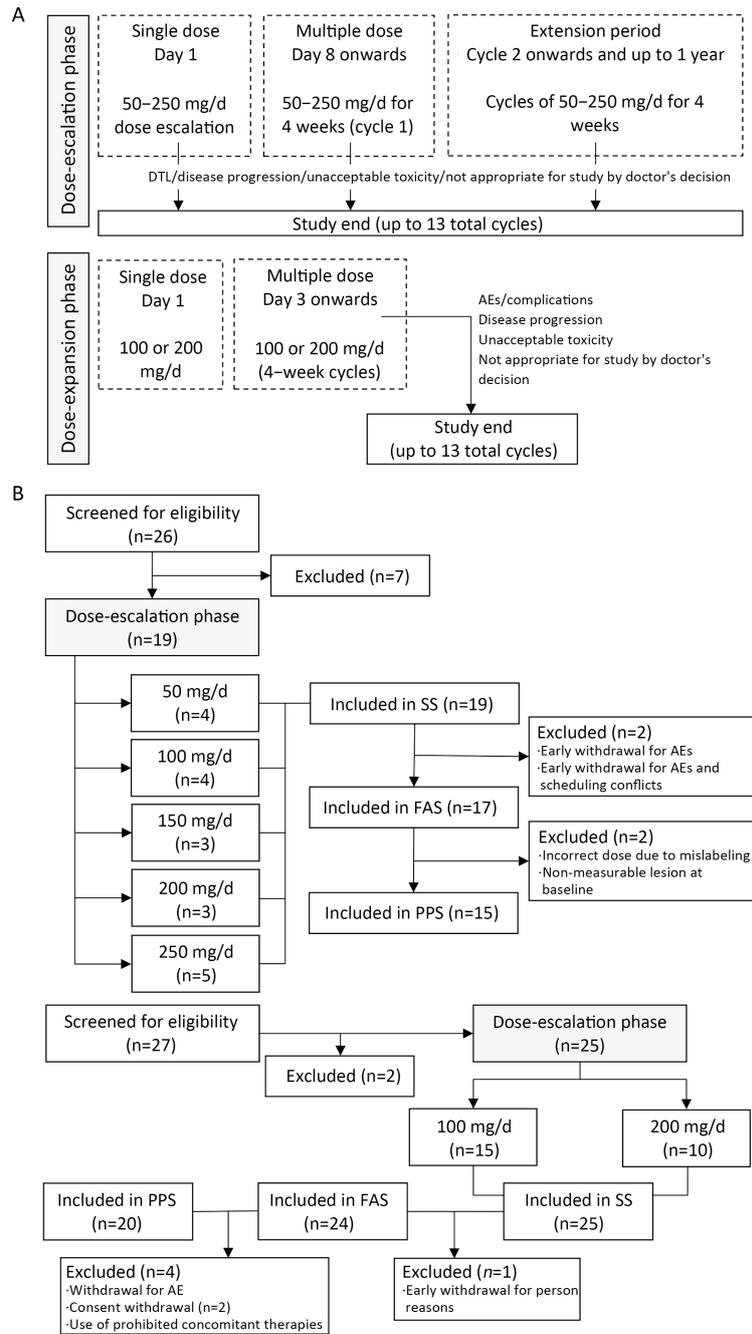


Figure S1 Trial profile. (A) Treatment regimens; (B) Diagram depicting patient allocation. AE, adverse effect; SS, safety set; FAS, full analysis set; PPS, per-protocol set.