

**Supplementary Figure S2. PK and PK/PD of FGF401 in mice.** A. PK parameters of FGF401 in mice upon i.v. and p.o. administration. B. PK and PD after one single p.o. treatment of RH30 tumor-bearing nude mice. Nude mice bearing subcutaneous RH30 xenografts were treated once p.o. with FGF401 at the indicated doses. Terminal bleeding and dissection of tumors was conducted at 2, 4, 8, 12 and 24 h post dose. Drug concentrations were determined from plasma. Tumor samples were processed to determine PD response (ELISA for p/tFGFR4). Data show mean values  $\pm$  SD (n=2) for total drug concentration in plasma (blue) and tumor p/tFGFR4 (green). C. PK parameters of FGF401 upon oral administration to RH30 tumor-bearing nude mice.

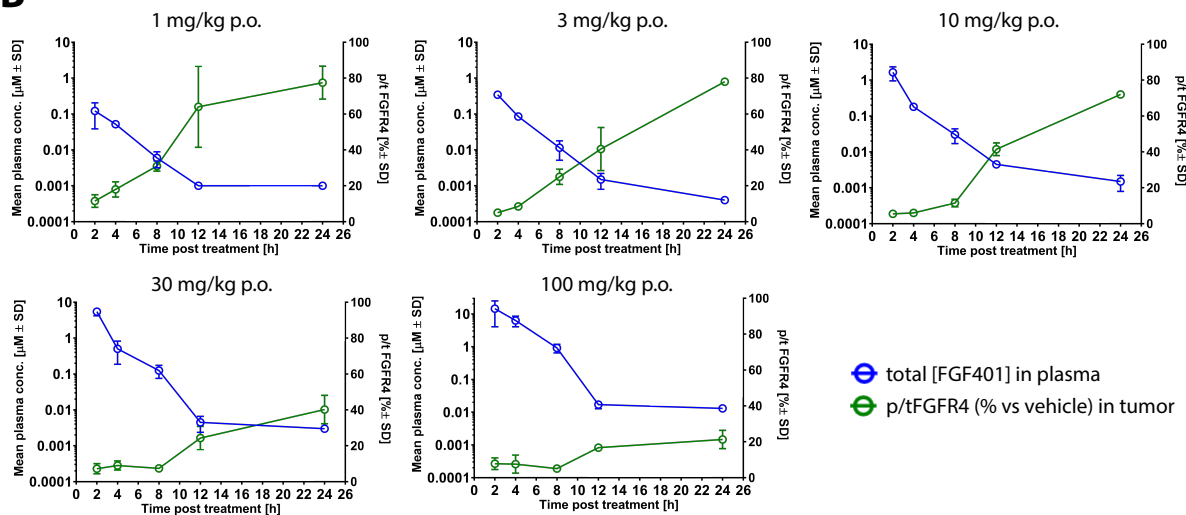
**A**

Dose in mouse i.v./p.o. (mg/kg)	1 / 3
CL (mL.min <sup>-1</sup> .kg <sup>-1</sup> )	28
V <sub>ss</sub> (L.kg <sup>-1</sup> )	2.3
t <sub>1/2term</sub> (h)	1.4
AUC <sub>0-24h</sub> i.v. dn (μM.h)	1.16
AUC <sub>0-24h</sub> p.o. dn (μM.h)	0.25
BAV (%)	21

CL=clearance; V<sub>ss</sub>=volume of distribution;

AUC= area under the curve; dn= dose normalized;

BAV=bioavailability

**B****C**

p.o. treatment in mouse			
Dose (mg/kg)	C <sub>max</sub> (μM)	AUC <sub>0-24h</sub> (μM.h)	AUC <sub>0-24h</sub> dn (μM.h)
1	0.12	0.44	0.44
3	0.35	0.99	0.33
10	1.65	4.01	0.40
30	5.47	13.02	0.43
100	14.42	51.56	0.52

C<sub>max</sub>= maximal concentration; AUC= area under the curve;

dn= dose normalized; earliest time point sampled after 2h