Supporting Information

Sapitinib reverses anticancer drug resistance in colon cancer cells overexpressing the ABCB1 transporter

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Legends

Figure S1. Cell viability for SW620, SW620/Ad300, HEK293 and HEK293/ABCB1 cells after incubation with sapitinib. The data represent the mean ±SD.

Table S1. The reversal efficacy of Sapitinib in SW620 and SW620/Ad300 cells.

Table S2. The reversal efficacy of Sapitinib in HCT-15 cells.
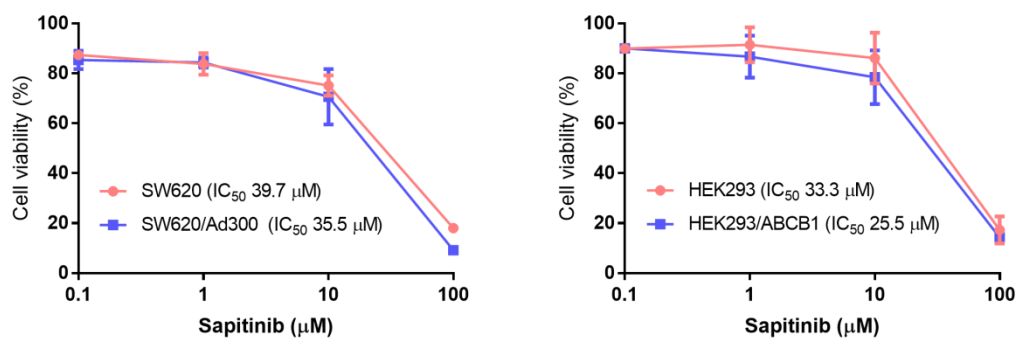
Figure S1: Cell viability for SW620, SW620/Ad300, HEK293, and HEK293/ABCB1

cells after incubation with sapitinib

Table S1

Treatment	IC$_{50}$ value ± SD[a] (µM, Resistance fold[b])	
	SW620	SW620/Ad300
Irinotecan	1.05 ± 0.10 (1.00)	82.54 ± 13.76 (78.71)
+ Sapitinib 1 µM	1.20 ± 0.16 (1.14)	4.39 ± 0.73 (4.18)[*]
+ Sapitinib 5 µM	1.18 ± 0.37 (1.12)	1.49 ± 0.25 (1.42)[*]
+ Verapamil 5 µM	1.19 ± 0.11 (1.13)	1.99 ± 0.33 (1.90)[*]
Oxaliplatin	13.50 ± 2.25 (1.00)	15.60 ± 1.11 (1.16)
+ Sapitinib 1 µM	16.52 ± 2.75 (1.22)	17.09 ± 0.81 (1.27)
+ Sapitinib 5 µM	12.53 ± 2.09 (0.93)	13.87 ± 0.66 (1.03)
+ Verapamil 5 µM	15.48 ± 2.58 (1.15)	17.92 ± 0.85 (1.33)

[a] IC$_{50}$ values are represented as the means ± SD of at least three independent

experiments performed in triplicate.

[b] Rf: Resistance - fold was calculated by dividing the IC$_{50}$ values of the substrates in

the presence or absence of inhibitor by the IC$_{50}$ of the parental cells in the absence of

the inhibitor.

* P < 0.05 versus the control group in the absence of the reversal compound

Table S2

Treatment	IC$_{50}$ value $\pm$ SD[a] (μM, Resistance fold[b])
	HCT-15
Paclitaxel	0.92 $\pm$0.08 (1.00)
+ Sapitinib 1 μM	0.60 $\pm$0.14 (0.65)
+ Sapitinib 5 μM	0.27 $\pm$0.04 (0.29) [*]
+ Verapamil 5 μM	0.35 $\pm$0.06 (0.39) [*]
Doxorubicin	2.49 $\pm$0.23 (1.00)
+ Sapitinib 1 μM	2.15 $\pm$0.20 (0.86)
+ Sapitinib 5 μM	0.96 $\pm$0.13 (0.39) [*]
+ Verapamil 5 μM	0.71 $\pm$0.12 (0.28) [*]
Irinotecan	2.34 $\pm$0.21 (1.00)
+ Sapitinib 1 μM	0.48 $\pm$0.11 (0.20) [*]
+ Sapitinib 5 μM	0.28 $\pm$0.04 (0.18) [*]
+ Verapamil 5 μM	0.37 $\pm$0.06 (0.16) [*]
Oxaliplatin	0.88 $\pm$0.08 (1.00)
+ Sapitinib 1 μM	0.95 $\pm$0.22 (1.08)
+ Sapitinib 5 μM	0.94 $\pm$0.12 (1.07)
+ Verapamil 5 μM	0.83 $\pm$0.14 (0.95)

[a] IC$_{50}$ values are represented as the means $\pm$ SD of at least three independent experiments performed in triplicate.

[b] Rf: Resistance - fold was calculated by dividing the IC$_{50}$ values of the substrates in

the presence or absence of the inhibitor by the IC_{50} of the parental cells in the absence

of the inhibitor.

* $P < 0.05$ versus the control group in the absence of the reversal compound.