Supplementary Table S1

Effect of sorafenib on ABCG2 ATPase activity.

Compound	Conc. (μM)	Luminescence (relative light units) [a]	P value (*vs.* DMSO)	P value (*vs.* Na_3VO_4)	P value (*vs.* TOPT)
DMSO	0	380,246 ± 19,267			
Na_3VO_4	40	416,464 ± 22,444	0.013*		
TOPT	40	349,490 ± 24,702	0.037*	0.001**	
Sorafenib	40	343,430 ± 22,653	0.013*	0.001**	0.667

[a] Relative light units represent the level of ATP in the sample, exhibiting a negative relationship with activity of ABCG2 ATPase. Data are expressed as means ± SDs of six separate experiments (n=6). *$P < 0.05$; **$P < 0.01$ *vs.* different group, determined by Student's *t* test.

The ATPase activity of ABCG2 was determined using crude membranes prepared from HEK293/ABCG2 cells and the luminescent ATP detection kit (Pgp-Glo™ Assay System without P-glycoprotein, Promega, USA) with some modification. Crude cell membranes were prepared in exactly the same way as previously described [ref.18 in the article] and final membranes were resuspended in 10mM Tris-HCl (pH 7.5). The effect of sorafenib on ABCG2 ATPase activity was then determined by the the luminescent ATP detection kit according to the manufacturer's instruction. Briefly, Sorafenib at 40 μM in buffer solution were first incubated with 0.6 mg/ml crude membranes and 5 mM MgATP at 37□ for 40 min. Luminescent was initiated by ATP detection buffer, and then the remaining ATP was detected after incubated at room temperature for 40 min to allow luminescent signal to develop. Sodium vanadate (Na_3VO_4) and topotecan (TOPT) at 40 μM were used as inhibitor and substrate control, respectively. Changes of RLU (relative light units) reflect the ATP level in the sample, which is negatively correlated with the activity of ABCG2 ATPase and was detected in a luminometer (Perkin Elmer TD-20, USA).