

**Table S4. Selected *in vitro* ADME/T values**

### **Cytotoxicity**

BTZ043 and PBTZ169 were tested for cytotoxicity against HepG2 by REMA in triplicate. Toxic doses inhibiting 99% and 50% of the population are expressed as TD<sub>99</sub> and TD<sub>50</sub>. BTZ043 is around 10 fold more cytotoxic than PBTZ169 for HepG2 cells

	TD <sub>99</sub> (µg/ml)	TD <sub>50</sub> (µg/ml)
<b>BTZ043</b>	25	5
<b>PBTZ169</b>	>200	58

### **Microsomal stability**

Intrinsic clearance (Cl<sub>int</sub>: measured in µl/min/mg protein) was measured using both mouse and human liver microsomes. Compound concentrations were measured by HPLC-UV following 0, 5, 10, 15 and 30 min incubation of the compound with microsomes. Carbamazepine acts as a control for low intrinsic clearance, while nifedipine is a control for high intrinsic clearance. BTZ043 and PBTZ169 both show intermediate intrinsic clearance.

<b>Microsomes</b>	<b>1 µg/mL Carbamazepine</b>	<b>1 µg/mL Nifedipine</b>	<b>1 µM BTZ043</b>	<b>1 µM PBTZ169</b>
<b>Mouse</b>	1.1	64.8	12.2	24.3
<b>Human</b>	0.5	72.3	16.0	24.8