Supplementary Information

Characterization of a Potent, Selective, and Safe Inhibitor, Ac15(Az8)$_2$, in Reversing Multidrug Resistance Mediated by Breast Cancer Resistance Protein (BCRP/ABCG2)

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Table S1 Effect of **Ac15(Az8)$_2$** on body weight change of S1M180 bearing mice.

Groups	Treatments	Deaths	Weight change (%) on Day 55	Weight change (%) on Day 71
1	**Ac15(Az8)$_2$** solvent + Topotecan solvent	0/7[a]	37.4 ± 7.6	Not applicable
2	**Ac15(Az8)$_2$** sovlent + Topotecan (2mg/kg)	0/7[b]	17.2 ± 8.6	16.8 ± 9.1
3	**Ac15(Az8)$_2$** (45mg/kg) + Topotecan (2mg/kg)	0/7[b]	14.7 ± 5.8	7.9 ± 8.2

In each group, 7 mice were included and the weight change (%) was recorded on day 55 and 71. Weight change (%) value was presented as mean ± standard error of mean. On day 55, all mice in solvent treatment group (group 1) were sacrificed because of over-sized tumor. The weight change (%) on day 71 of group 1 was therefore labeled as not applicable. [a]Animal death was counted on day 55 for group 1. [b]Animal death was counted on day 71 for groups 2 and 3.

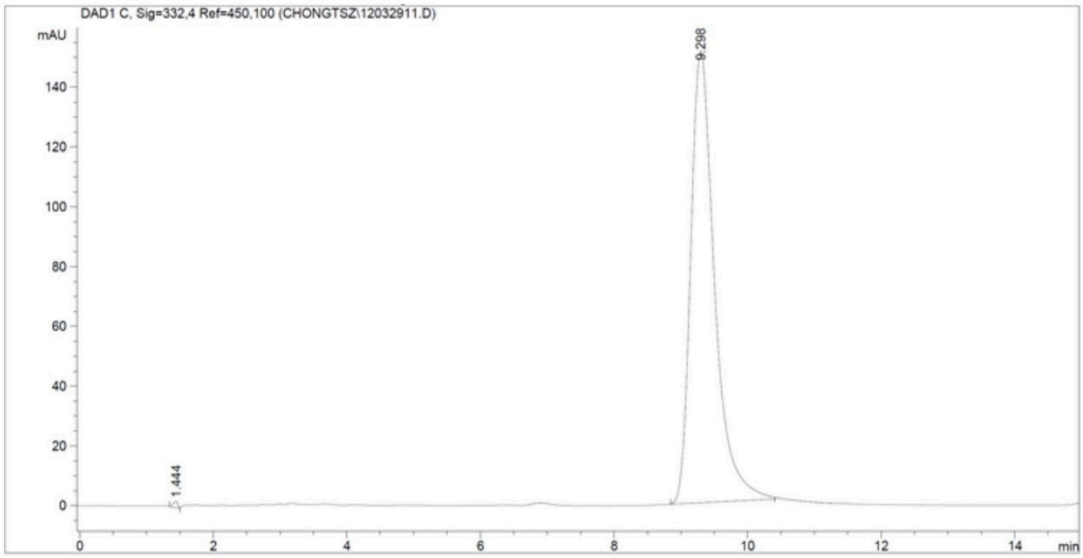
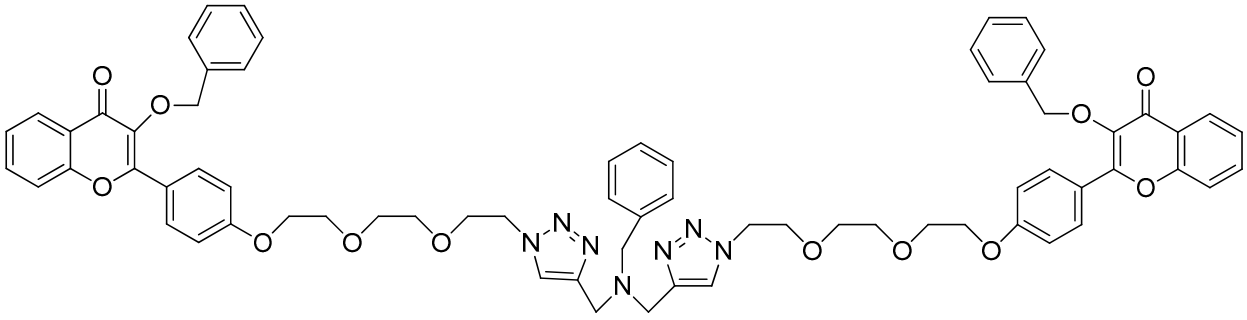


Figure S1 HPLC chromatogram of **Ac15(Az8)$_2$**. HPLC equipped with an Agilent Prep-Sil Scalar column (4.6 × 250 mm, 5-micron); flow rate: 1 mL/min; UV detection: 332 nm with reference at 450 nm; mobile phase: gradient elution of mixed solvents of dichloromethane and methanol from 10%/90% to 1%/99% in 20 minutes; retention time: 9.3 minutes; purity: 99%.



Ac15(Az5)$_2$

Figure S2 Chemical structure of **Ac15(Az5)$_2$**. It is used as an internal standard in UPLC-MS/MS.