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Structure activity relationships, multidrug resistance reversal and selectivity of heteroarylphenyl ABCG2 inhibitors

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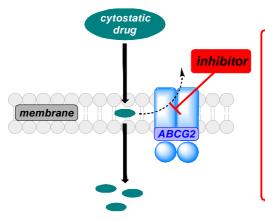
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ACCEPTED MANUSCRIPT

Graphical Abstract



compound 9

Good ABCG2 inhibition (0.11 µM) High selectivity (ABCB1: 14% cf. ref.) High therapeutic ratio (658) SN-38 resistance reversal: ~0.32 µM

High ABCG2 inhibition (0.062 μM) Good selectivity (ABCB1: 8.55 μM) High therapeutic ratio (1270) SN-38 resistance reversal: ~0.10 μM

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