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Discovery of 18β-glycyrrhetinic acid conjugated aminobenzothiazole derivatives as Hsp90–Cdc37 interaction disruptors that inhibit cell migration and reverse drug resistance

Le Jin
School of Biological Science and Medical Engineering- SEU, China

A series of 18β-glycyrrhetinic acid (GA) conjugated aminobenzothiazole derivatives were designed, synthesized and evaluated for disruption activity of Hsp90-Cdc37 as well as the effects of *in vitro* cell migration. These compounds exhibited relatively good disruption activity against Hsp90-Cdc37 with IC50 values in low micromolar range. A docking study of the most active compound 11g revealed key interactions between 11g and Hsp90-Cdc37 complex in which the benzothiazole moiety and the amine chain group were important for improving activity. It is noteworthy that further antitumor activity screening revealed that some compounds exhibited better inhibitory activity than the commercial anticancer drug 5-FU and showed potent suppression activity against drug-resistant cancer cells. In particular, compound 11g appeared to be the most potent compound against the A549 cell line, at least partly, by inhibition of the activity of Hsp90 and apoptosis induction. The treatment of A549 cells with compound 11g resulted in inhibition of in vitro cell migration through wound healing assay and S phase of cell cycle arrested. In addition, 11g-induced apoptosis was significantly facilitated in A549 cells. Thus, we conclude that GA aminobenzothiazole derivatives may be the potential Hsp90-Cdc37 disruptors with the ability to suppress cells migration and reversed drug-resistant.

745262415@qq.com

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