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Lead optimization of tubulin inhibitor for cancer treatment

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Abstract

Tubulin-containing structures are important for many important cellular functions, including chromosome segregation during cell division, development and maintenance of cell shape, cell motility, and distribution of molecules on cell membranes. The rapid growth of cancer cells makes them very sensitive to the disruption of tubulin polymerization/depolymerization. Taxol (paclitaxel), a tubulin inhibitor approved by the FDA in 1992 for cancer treatment, is one of the most powerful chemotherapeutic agents. However, the low water solubility and drug resistance limits its clinical application. Various effort in drug discovery field focuses on more water soluble smaller molecular tubulin inhibitors. Our previous study led to the discovery of tubulin inhibitors with IC₅₀s below 1nM to inhibit cancer cell proliferation, and these compounds have much small molecular weight than Taxol. In addition, our compounds showed promising in vivo anti-cancer activity as well. To further improve the druggable characteristics of our drug candidates, we focus on the ligand efficiency of these compounds in the current proposed study. A series of new analogs were designed and synthesized, and their structures were elucidated with NMR spectrum. Their anti-cancer activity was determined with breast cancer cell lines. Several new compounds exhibited promising anti-cancer activity.