ANTHRAQUINONE ANALOGS AND METHODS OF MAKING AND USING

Inventor: Binghe Wang
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Introduction: A common adverse effect of chemotherapeutic drugs is their severe toxicity. Compounds having similar/improved potency to marketed drugs and having fewer side effects are highly desirable for cancer treatment. Doxorubicin is a marketed anticancer drug showing acute cardiotoxicity. Researchers at GSU have developed compounds similar in structure to Doxorubicin showing substantially reduced toxicity and strong anticancer properties.

Technology: These novel compounds are analogs of Rhein, a natural product with anthraquinone scaffold isolated from Rhubarb plant (the Rheum family). Rhein is widely used as a laxative and is known to be well tolerated by the human body, but the anticancer activity of Rhein is weak. Georgia State University inventors have synthesized Rhein analogs having polycyclic aromatic ring system and alkylating functional groups, which can both act as DNA intercalators and alkylating agents. These compounds have been demonstrated to possess strong anticancer properties in various cell lines with IC\textsubscript{50} in low micromolar (some < 1 µM) and toxicity nearly equivalent to the negative control (no drug). Toxicity studies carried out in mice did not kill the mice at dosages as high as 400 mg/kg.

Applications:
- Prophylactic and/or therapeutic use for reducing tumor growth, tumor invasiveness, metastasis and increasing survival
- Inhibit initiation and promotion of cancer and induce apoptosis
- Type of cancers include, but not limited to: skin, colon, uterine, ovarian, pancreatic, lung, bladder, breast, renal, prostate, brain, liver and stomach
- Use for adjuvant therapy to prevent recurrence

Advantages:
- Substantially reduced toxicity compared to Doxorubicin

Contact: Chester A. Bisbee, 404-413-3507, cbisbee@gsu.edu