

**Title: Synthetic Rigidin Analogues as Anticancer Agents, Salts, Solvates and Prodrugs Thereof, and Method of Producing Same**

**Background:** One strategy for defeating cancer is to target microtubules, which are cytoskeleton-forming proteins involved in mitosis. A large number of compounds of varying structural foundations bind tubulin and alter the dynamics of microtubule polymerization, resulting in failed mitosis and ending cellular propagation. Most tubulin destabilizers bind to either the vinca domain or colchicine domain, so named based on the class of molecule they bind (vinca alkaloids or colchicine and its analogues). Tubulin stabilizers (taxanes and epothilones) bind another site (the taxol site). The utility of vinca and taxane drugs is limited by the fact that many cancer cells overexpress the P-glycoprotein (Pgp) drug efflux pump, and expel the chemotherapeutic. However, drugs that bind to the colchicine site tend to not be expunged by the Pgp drug efflux pump. Significant research efforts have focused on finding colchicine homologues that balance inhibition of mitosis in cancer cells while sparing other fast growing cells.

**Benefits:** Rigidins are a class of compounds isolated from marine organisms that had previously been shown to kill a leukemia cell line. The inventors have discovered that synthetic derivatives of these compounds have anti-proliferative properties against a number of cancer cell lines with IC50s in the low nanomolar range. These compounds appear to exert their mechanism by binding to the colchicine site in tubulin. Since these compounds are novel, can be synthesized, are less likely to be expunged by the Pgp drug efflux pump, and have low nanomolar activity against a number of cell lines that are particularly resistant to treatment, these compounds are particularly interesting candidates for cancer therapy.

**Key Features**

- Novel structures.
- Binds colchicine site – expected to be protected from Pgp drug efflux.
- Active against highly resistant cancer cell lines.

**IP Status:** US Patent Issued 8,946,246B2.

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