

Analytical Chemistry 2018: Biologically Active Alkaloids From The Roots Of *Taxus Baccata* L. Growing In Georgia-Kintsurashvili L- TSMUI Kutateladze Institute Of Pharmacochimistry

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Gen. *Taxus* L. (Fam. Taxaceae) is famous as the sources of the natural cytostatic medical preparation for Paclitaxel (Taxol), which has a high anticancer activity. *Taxusbaccata* L. is the only one species of *Taxus*, which is widespread in Georgia. Cytotoxic activity of the alkaloids from the bark and the leaves of *T. baccata* was studied “in vitro” tests, using the cells: A-549 (lung carcinoma), DLD-1 (intestinal adenocarcinoma), WS-1 (human fibroblasts). The aim of the research was to study content of Taxol and other alkaloids in roots of *Taxusbaccata*. For deriving of the alkaloids from the raw material was used the method of liquid-liquid extraction. Based on experimental researches, the main alkaloids are taxol and karakoline in the sum of alkaloids from the roots of *Taxusbaccata* grown in Georgia. The cytotoxic activity of the taxol containing alkaloids, obtained from the roots of *Taxusbaccata*, was studied at the department of fundamental sciences of the University of Quebec at Chicoutimi (Canada). Cytotoxic activity of the alkaloids was studied “in vitro” tests, using the cells: A-549 (lung carcinoma), DLD-1 (intestinal adenocarcinoma), WS-1 (human fibroblasts). On the base of the researches is shown that the substance reveals 50% inhibition of cancer cell cultures: A-549 (lung carcinoma), DLD-1 (intestinal adenocarcinoma), WS-1 (human fibroblasts). Standard was etoposide. Cytotoxic activity of alkaloids from the roots of *Taxusbaccata* L. when NCI was able to confirm antitumor activity in the mouse melanoma B16 model, that paclitaxel, also known by its trade name, Taxol, was selected as a candidate for clinical development. Activity was also observed in animal models against MX-1 mammary, LX-1 lung, and CX-1 colon tumors.

Also during that year, Dr. Susan Horwitz, Albert Einstein College of Medicine of Yeshiva University, was given a grant by NCI to study Taxol's mechanism of action. Dr. Horwitz proved to be a good candidate to study Taxol, in part because of her interest in naturally occurring small molecules and their use in treating cancer. Taxol posed other challenges, too. It was difficult to formulate into a delivery system acceptable for human use. Initial activity was observed with bulk drug suspended in solution. Although Taxol was soluble in 75% polyethylene glycol,

repeated testing using this formula against both B16 and P388 tumors produced inferior results. When the drug was formulated in an ethanol, cremophor, and saline solution (ratio 5:5:90) to a concentration of 0.3 to 0.6 mg/mL, the intraperitoneal activity was preserved at the initial levels. Preclinical toxic effects were most evident in tissues with a high cell turnover, such as hematopoietic, lymphatic, gastrointestinal, and reproductive tissues.

Biography

Kintsurashvili L has completed her PhD at the age of 39 years from I. Kutateladze Institute of Pharmacochimistry (Georgia). She is a senior scientist of TSMU IovelKutateladze Institute of Pharmacochimistry. She has published more than 80 publications in reputed journals, the author of 2 patents. She is a member of organizing committee of several international conferences and meetings.

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