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Biologically Active Alkaloids from the Bark of Taxus baccata L. **Growing in Georgia**



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ABSTRACT

Alkaloids from the bark of Taxus baccata growing in Georgia contains high amount of taxol and karakoline. Cytotoxic studies of the substance show, that the sum of alkaloids has specific cytotoxic activity against of tumor cells: A-549 (lung carcinoma), DLD-1 (intestinal adenocarcinoma), WS-1 (human fibroblasts). Finally, Taxus baccata grown in Georgia can be used as a raw material for deriving of taxol.





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INTRODUCTION

Among the alkaloids with antimitotic activity, there are compounds, which are used in

chemotherapy for the treatment of malignant tumors. In this regard, very important role has

alkaloid Taxol. Taxol is the base of the natural cytostatic medical preparation for Paclitaxel

(Taxol), which has a high anticancer activity.

The sources of the alkaloid Taxol are the species from the Gen. Taxus (Fam. Taxaceae) -

Taxus baccata, T. brevifolia, T. chinensis, T. cuspidata, T. Weleichiana. Among them, Taxus

baccata is widespread in Georgian flora [2]. It was interesting to determine T. baccata as a

source of the alkaloid Taxol.

Based on the experimental researchers, is shown, that leaves of T. baccata grown in several

regions of Georgia contain from 0.0097 to 0.0135% alkaloid Taxol.

The aim of the research was to study the content of Taxol and other alkaloids in the bark of

Taxus baccata.

MATERIALS AND METHODS

The object of the work was the bark of Taxus baccata, collected in Nichbisi (Georgia) in the

phase of fertility.

For deriving of the alkaloids from the raw material was used the method of liquid-liquid

extraction.

Extraction of air-dry raw material was performed using 96% Ethyl alcohol. The crude extract

was evaporated under the vacuum. Dry extract was suspended in the water and purified with

the Petroleum ether and Hexane.

Chloroform was used for the separation of the alkaloid taxol and its accompanying alkaloids.

Alkaloids were distributed between water and chloroform fractions on the base of their

alkalinity.

The fractions containing the Taxol were purified by chromatographic separation, under

atmospheric pressure. The column was filled with silica gel LS 100/400. Mobile phases were

chloroform-ethanol mixtures of various types. Finally, were isolated taxol and karakoline.

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For identification of alkaloids, was used the method of thin-layer chromatography [4]. Stationary phase: silica gel ₂₅₄ Merck, aluminum plates; Mobile phases: chloroform-methanol (9:1) and chloroform-ethanol (6:1) [5]. The experimental researches were conducted in parallel mode.

The cytotoxic activity of the taxol containing alkaloids, obtained from the bark of *Taxus baccata*, 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).

RESULTS AND DISCUSSION

On the base of the researchers is shown that the substance, which contains taxol and karakoline, reveals 50% inhibition of cancer cell cultures: A-549 (lung carcinoma), DLD-1 (intestinal adenocarcinoma), WS-1 (human fibroblasts). Standard was etoposide. The results are presented in Table 1.

Table 1. Cytotoxic activity of alkaloids from the bark of Taxus baccata L.

HUMAN								
Plant	Vegetative organ	Alkaloids	Tumor cells cultures and methods					
			Resazurin			Hoechst		
			A-549 μg/ml	DLD-1 μg/ml	WS-1 μg/ml	A-549 μg/ml	DLD-1 μg/ml	WS-1 μg/ml
Taxus baccata	Bark	Taxol, Karakoline	4±1	7±3	78±9, 0	<1,563	<1,563	>200
Etoposide						1,18±0,07 μM	1,0±0,1 μM	>50 μM

CONCLUSION

- Based on experimental researchers, the main alkaloids are Taxol and Karakoline in the sum of alkaloids from the bark of *Taxus baccata* grown in Georgia.
- Cytotoxic studies show, that the sum of alkaloids from the bark of *Taxus baccata* shows specific cytotoxic activity in tumor cells: A-549 (lung carcinoma), DLD-1 (intestinal adenocarcinoma), WS-1 (human fibroblasts).

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• Finally, *Taxus baccata* grown in Georgia can be used as a raw material for deriving of Taxol.

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