DITERPENE ALKALOID KARACOLINE FROM *Taxus baccata* GROWING IN GEORGIA

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The plant *Taxus baccata* L. (Taxaceae) is known as a source of the alkaloid taxol, which exhibits antitumor properties [1]. It is broadly distributed in the western regions of Georgia.

The *in vitro* pharmacological activity of the alkaloid fraction enriched in taxol that was obtained by us from the aerial parts of *T. baccata* was studied in various dilutions for cultured tumor cells A-549 (lung carcinoma), DLD-1 (rectal adenocarcinoma), and WS-1 (fibroblastoma) in the Basic Sciences Department, University of Quebec in Chicoutimi, Canada. Inhibition by 50% was demonstrated for cultured tumor cells A-549, DLD-1, and WS-1 at dilutions 18.5 ± 0.8 $\mu\text{g/mL}$ and 8 ± 1 $\mu\text{g/mL}$ (A-549), 58 ± 10 $\mu\text{g/mL}$ and 15 ± 2 $\mu\text{g/mL}$ (DLD-1), and 36 ± 7 $\mu\text{g/mL}$ (WS-1) [2].

The goal of our investigation was to study further the chemistry of the alkaloid-containing fraction isolated by us.

Analysis of this fraction showed that taxol was accompanied by an alkaloid that had the same mobility as an analogous component in the commercial preparation. Separation over a column of silica gel with elution by CHCl_3 and $\text{CHCl}_3\text{:MeOH}$ (1–20%) isolated crystalline base A_3 , mp 185–187°C ($\text{CHCl}_3\text{:MeOH}$).

The base isolated by us was identified based on spectral data (PMR and ^{13}C NMR) and comparison with the literature as the known alkaloid karacoline, which was obtained previously from *Aconitum karacolicum* [3, 4].

PMR spectrum (CDCl_3 , δ , ppm): 0.85 (3H, s, $\text{N-CH}_2\text{-CH}_3$), 1.055 (3H, m, $\text{N-CH}_2\text{-CH}_3$), 3.5 (3H, s, 16-OCH_3), 4.0 (1H, m, H-14). ^{13}C NMR spectrum (CDCl_3 , δ , ppm): 71.480 (C-1), 29.373 (C-2), 31.298 (C-3), 32.317 (C-4), 46.072 (C-5), 24.950 (C-6), 44.539 (C-7), 73.385 (C-8), 46.109 (C-9), 39.993 (C-10), 48.475 (C-11), 29.445 (C-12), 43.828 (C-13), 74.485 (C-14), 41.789 (C-15), 82.388 (C-16), 61.955 (C-17), 27.099 (C-18), 59.650 (C-19), 12.595 ($\text{N-CH}_2\text{-CH}_3$).

The diterpene alkaloid karacoline was isolated for the first time from *T. baccata*.

We also studied the accumulation dynamics of the taxol fraction according to vegetation phases of *T. baccata* collected in various habitats:

Collection site	Content of pharmacologically active taxol fraction, %	
	Flowering	Fruiting
Tskhaltubo	0.036	0.031
Terjola	0.042	0.033
Tbilisi (botanical garden)	0.010	0.009

It can be seen from the results that the maximum accumulation of the pharmacologically active taxol fraction occurred during flowering in the plant growing in the vicinity of Terjola.

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