

## A DERIVATIVE OF 5-H-INDOLO[2,3-b]QUINOLINE – A NOVEL LIPOSOMALLY-FORMULATED ANTICANCER AGENT

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New members of the cytotoxic indolo[2,3]quinoline family, with methyl groups at N-5 and N-6, were prepared using a modified Graebe-Ullmann reaction. The derivatives displayed strong antimicrobial activity against Gram-positive and pathogenic fungi (the MIC values fall between 0.0025 and 0.12 mM), and highly selective cytotoxicity *in vitro* against different human cancer cell lines: colon adenocarcinoma SW 707, lung carcinoma A 549, transitional cell carcinoma Hu 1703, and oral epidermoid carcinoma KB, in the range of 0.001 to 3.0  $\mu$ M [1]. Development and research on liposomal formulations of anticancer drugs have been intensified in the last years. Liposomes present a promising and versatile delivery system for drugs. Among them, derivatives of 5-H-indolo[2,3-b]quinoline are very interesting. We focused on 5,11-Dimethyl-5H-indolo[2,3-b]quinoline. Vesicles were prepared by different methods, at different pH and compositions of phospholipids. Experiments on liposomes (DMPC:DMPG 7:3) prepared by the lipid/drug liophilization method showed the highest encapsulation (about 80%) of the tested drug with a drug/lipid ratio of 1:15. Liposomes with the drug showed high cytotoxicity *in vitro* against human melanoma and leukemia cell lines.

### REFERENCE

1. Kaczmarek, Ł., Peczyńska-Czuch, W., Opolski, A., Wietrzyk, J., Marcinkowska, E., Boratyński, J. and Osiadacz, J. Methoxy- and methyl-, methoxy-5,6,11-trimethyl-6H-indolo[2,3-b]quinolinium derivatives as novel cytotoxic agents and DNA topoisomerase II inhibitors. **Anticancer Res.** 18 (1998) 3133-3138.