070152

Poster 9

NMR studies on novel Anti-Tumor Drug Candidates, Deoxoartemisinin and Carboxypropyl deoxoartemisinin

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Artemisinin and its derivatives, which have been known as anti-malarial drugs, have also demonstrated their cytotoxicity against tumor cells. It has been proposed that anti-tumor activity depends on the lipophilicity of functional group on artemisinin derivatives. Solution structures of two artemisinin derivatives as anti-tumor drug candidates, deoxoartemisinin and carboxypropyl deoxoartemisinin, were determined by NMR spectroscopy to elucidate structure-activity relationship. According to biological assay, anti-tumor efficiencies are not dependent upon lipophilicity. Instead, these compounds demonstrated their distinctive structural features of boat/chair conformation and capability to interact with receptors, as they have different efficiencies on anti-tumor activity. Especially, carboxypropyl moiety or carbonyl moiety in artemisinin derivatives influences the conformation and stability of ring structure. Although the detailed mechanism of anti-tumor activity by artemisinin derivatives has not been addressed, we suggest that anti-tumor activity is not determined only with lipophilicity and that artemisinin derivatives have specific target proteins in each type of cancer.