Abstract

Ellipticine (5.11-dimethyl-6H-pyridate [4,3-b] carbazole) is a powerful anti-cancer agent, exhibiting multiple mechanisms of action. This work describes the causes of cancer processes and summarizes the main pharmacological mechanisms and cytotoxic effects of ellipticine together with the results found in our laboratory indicating, a new mechanism of ellipticine action. Cytotoxic and mutagenic activity of ellipticine is attributed to its two mechanisms of activity ellipticine intercalation into DNA and its effectivity to inhibit topoisomerase II. Ellipticine also forms covalent DNA adducts after its oxidation with cytochromes P450 and peroxidases. Cytochromes P450 oxidize ellipticine up to five metabolites, of which 13-hydroxyellipticin, 12-hydroxyellipticin and N(2)-oxide of ellipticine are responsible for formation of two major DNA adducts. In the case of peroxidases, ellipticine is oxidized to a radical producing the ellipticine dimer and a minor ellipticine metabolite, the N(2)-oxide of ellipticine. Because of the high efficiency of ellipticine and its derivatives against various types of cancer, this compound is studied in detail. Its utilization for drug targeting is a challenge for further study.