Apaziquone

National Cancer Institute

Source


An indolequinone bioreductive prodrug and analog of mitomycin C with potential antineoplastic and radiosensitization activities. Apaziquone is converted to active metabolites in hypoxic cells by intracellular reductases, which are present in greater amounts in hypoxic tumor cells. The active metabolites alkylate DNA, resulting in apoptotic cell death. This agent displays selectivity activity towards both hypoxic solid tumors, which exhibits higher expression of cytochrome P450 reductase, and well-oxygenated malignant cells that overexpress the bioreductive enzyme NQO1 (NAD(P)H: quinone oxidoreductase). Apaziquone may selectively sensitize hypoxic tumor cells to radiocytotoxicity.