Valrubicin

National Cancer Institute

Source

National Cancer Institute. Valrubicin. NCI Thesaurus. Code C1340.

A semisynthetic derivative of the antineoplastic anthracycline antibiotic doxorubicin. With a mechanism of action that appears to differ from doxorubicin, valrubicin is converted intracytoplasmically into N-trifluoroacetyladriamycin, which interacts with topoisomerase II, stabilizing the complex between the enzyme and DNA; consequently, DNA replication and repair and RNA and protein synthesis are inhibited and the cell cycle is arrested in the G2 phase. In addition, this agent accumulates in the cell cytoplasm where it inhibits protein kinase C (PKC). Valrubicin is less cardiotoxic than doxorubicin when administered systemically; applied topically, this agent shows excellent tissue penetration. Structurally, the trifluoro-acetyl moiety on the amino group of the glycoside and the valerate moiety appear to result in a lipophilicity that is greater than of doxorubicin, resulting in increased intracytoplasmic concentrations.