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Onvansertib

National Cancer Institute

Source

National Cancer Institute. *Onvansertib*. NCI Thesaurus. Code C143162.

An orally bioavailable, adenosine triphosphate (ATP) competitive inhibitor of polo-like kinase 1 (PLK1; PLK-1; STPK13), with potential antineoplastic activity. Upon administration, onvansertib selectively binds to and inhibits PLK1, which disrupts mitosis and induces selective G2/M cell-cycle arrest followed by apoptosis in PLK1-overexpressing tumor cells. PLK1, named after the polo gene of *Drosophila melanogaster*, is a serine/threonine kinase that is crucial for the regulation of mitosis, and plays a key role in tumor cell proliferation. PLK1 expression is upregulated in a variety of tumor cell types and high expression is associated with increased aggressiveness and poor prognosis.