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Chk1 Inhibitor CCT245737

National Cancer Institute

Source

National Cancer Institute. *Chk1 Inhibitor CCT245737*. NCI Thesaurus. Code C129580.

An orally bioavailable inhibitor of checkpoint kinase 1 (chk1), with potential antineoplastic and chemosensitization activities. Upon oral administration, chk1 inhibitor CCT245737 selectively binds to chk1, thereby preventing chk1 activity and abrogating the repair of damaged DNA. This may lead to an accumulation of damaged DNA, inhibition of cell cycle arrest, and induction of apoptosis. CCT245737 may potentiate the cytotoxicity of DNA-damaging agents and reverse tumor cell resistance to chemotherapeutic agents. Chk1, an adenosine triphosphate (ATP)-dependent serine/threonine kinase overexpressed in a variety of cancer cell types, mediates cell cycle checkpoint control and is essential for DNA repair; it plays a key role in resistance to chemotherapeutic agents by repairing DNA damage.