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Nedisertib

National Cancer Institute

Source

National Cancer Institute. *Nedisertib*. NCI Thesaurus. Code C120036.

An orally bioavailable inhibitor of DNA-dependent protein kinase (DNA-PK) with potential antineoplastic activity, and potential sensitizing and enhancing activities for both chemo- and radiotherapies. Upon administration, nedisertib binds to and inhibits the activity of DNA-PK, thereby interfering with the non-homologous end joining (NHEJ) process and preventing repair of DNA double strand breaks (DSBs) caused by ionizing radiation or chemotherapeutic treatment. This increases chemo- and radiotherapy cytotoxicity and leads to enhanced tumor cell death. The enhanced ability of tumor cells to repair DSBs plays a major role in the resistance of tumor cells to chemo- and radiotherapy; DNA-PK plays a key role in the NHEJ pathway and DSB repair.