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HDM2 Inhibitor MK-8242

National Cancer Institute

Source

National Cancer Institute. *HDM2 Inhibitor MK-8242*. NCI Thesaurus. Code C116867.

An orally bioavailable inhibitor of human homolog of double minute 2 (HDM2), with potential antineoplastic activity. Upon oral administration, HDM2 inhibitor MK-8242 inhibits the binding of the HDM2 protein to the transcriptional activation domain of the tumor suppressor protein p53. By preventing this HDM2-p53 interaction, the degradation of p53 is inhibited, which may result in the restoration of p53 signaling. This induces p53-mediated tumor cell apoptosis. HDM2 is a member of the RING finger-type family of E3 ubiquitin protein ligases and targets p53 for degradation; it is often overexpressed in cancer cells and has been implicated in cancer cell proliferation and survival.