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MDM2 Antagonist ASTX295

National Cancer Institute

Source

National Cancer Institute. *MDM2 Antagonist ASTX295*. NCI Thesaurus. Code C162749.

An orally available, small molecule inhibitor of the human homolog of murine double minute 2 (MDM2; HDM2), with potential antineoplastic activity. Upon oral administration, MDM2 antagonist ASTX295 targets and binds to MDM2 protein and prevents its binding to the transcriptional activation domain of the tumor suppressor protein p53. This prevents p53 proteasomal degradation and restores the transcriptional activity of p53. This leads to p53-mediated induction of apoptosis in cancers with a wild-type p53 gene. MDM2, an E3 ubiquitin ligase, regulates the level and activity of p53.