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Enasidenib Mesylate

National Cancer Institute

Source

National Cancer Institute. *Enasidenib Mesylate*. NCI Thesaurus. Code C137825.

The mesylate salt form of enasidenib, an orally available inhibitor of specific mutant forms of the mitochondrial enzyme isocitrate dehydrogenase type 2 (IDH2), with potential antineoplastic activity. Upon administration, enasidenib specifically inhibits various mutant forms of IDH2, including the IDH2 variants R140Q, R172S, and R172K, which inhibits the formation of 2-hydroxyglutarate (2HG). This may lead to both an induction of cellular differentiation and an inhibition of cellular proliferation in IDH2-expressing tumor cells. IDH2, an enzyme in the citric acid cycle, is mutated in a variety of cancers; it initiates and drives cancer growth by blocking differentiation and the production of the oncometabolite 2HG.