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Glutaminase Inhibitor CB-839 Hydrochloride

National Cancer Institute

Source

National Cancer Institute. *Glutaminase Inhibitor CB-839 Hydrochloride*. NCI Thesaurus. Code C150413.

The hydrochloride salt form of CB-839, an orally bioavailable inhibitor of glutaminase, with potential antineoplastic and immunostimulating activities. Upon oral administration, CB-839 selectively and reversibly binds to and inhibits human glutaminase, an enzyme that is essential for the conversion of the amino acid glutamine into glutamate. Blocking glutamine metabolism inhibits proliferation in rapidly growing tumor cells and leads to an induction of cell death. Unlike normal healthy cells, glutamine-dependent tumors heavily rely on the intracellular conversion of exogenous glutamine into glutamate and glutamate metabolites to both provide energy and generate building blocks for the production of macromolecules, which are needed for cellular growth and survival. In addition, CB-839 causes accumulation of glutamine in tumor cells and increases glutamine concentration in the tumor microenvironment (TME) upon cell death. As glutamine is essential for T-cell generation, CB-839 may also enhance T-cell proliferation and activation in the TME, which may lead to further killing of tumor cells.