Tenalisib

National Cancer Institute

Source

National Cancer Institute. Tenalisib. NCI Thesaurus. Code C113433.

An orally active, highly selective, small molecule inhibitor of the delta and gamma isoforms of phosphoinositide-3 kinase (PI3K) with potential immunomodulating and antineoplastic activities. Upon administration, tenalisib inhibits the PI3K delta and gamma isoforms and prevents the activation of the PI3K/AKT-mediated signaling pathway. This may lead to a reduction in cellular proliferation in PI3K delta/gamma-expressing tumor cells. In addition, this agent modulates inflammatory responses through various mechanisms, including the inhibition of both the release of reactive oxygen species (ROS) from neutrophils and tumor necrosis factor (TNF)-alpha activity. Unlike other isoforms of PI3K, the delta and gamma isoforms are overexpressed primarily in hematologic malignancies and in inflammatory and autoimmune diseases. By selectively targeting these isoforms, PI3K signaling in normal, non-neoplastic cells is minimally impacted or not affected at all, which minimizes the side effect profile for this agent.