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Threonine Tyrosine Kinase Inhibitor CFI-402257

National Cancer Institute

Source

National Cancer Institute. *Threonine Tyrosine Kinase Inhibitor CFI-402257*. NCI Thesaurus. Code C128288.

An orally bioavailable, selective inhibitor of the dual specificity protein kinase TTK (monopolar spindle 1 kinase, Mps1), with potential antineoplastic activity. Upon administration, the Mps1 inhibitor CFI-402257 selectively binds to and inhibits the activity of Mps1. This inactivates the spindle assembly checkpoint (SAC) and accelerates mitosis, which results in chromosomal misalignment and missegregation, and mitotic checkpoint complex destabilization. This induces cell death in Mps1-overexpressing cancer cells. Mps1, a tyrosine and serine/threonine kinase expressed in proliferating normal tissues, is essential for proper SAC functioning and chromosome alignment. Overexpressed in various human tumors, Mps1 plays a key role in uncontrolled tumor cell growth.