Open Peer Review on Qeios

Lorlatinib

National Cancer Institute

Source

National Cancer Institute. Lorlatinib. NCI Thesaurus. Code C113655.

An orally available, ATP-competitive inhibitor of the receptor tyrosine kinases, anaplastic lymphoma kinase (ALK) and C-ros oncogene 1 (Ros1), with potential antineoplastic activity. Upon administration, lorlatinib binds to and inhibits both ALK and ROS1 kinases. The kinase inhibition leads to disruption of ALK- and ROS1-mediated signaling and eventually inhibits tumor cell growth in ALK- and ROS1-overexpressing tumor cells. In addition, PF-06463922 is able to cross the blood brain barrier. ALK belongs to the insulin receptor superfamily and plays an important role in nervous system development; ALK dysregulation and gene rearrangements are associated with a series of tumors. ROS1, overexpressed in certain cancer cells, plays a key role in cell growth and survival of cancer cells.