BTK Inhibitor LOXO-305

National Cancer Institute

Source

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An orally available, selective, non-covalent Bruton's tyrosine kinase (BTK) inhibitor with potential antineoplastic activity. Upon oral administration, BTK inhibitor LOXO-305 selectively and reversibly binds to BTK. This prevents both the activation of the B-cell antigen receptor (BCR) signaling pathway and BTK-mediated activation of downstream survival pathways, thereby inhibiting the growth of malignant B-cells that overexpress BTK. Reversible binding of LOXO-305 may preserve antitumor activity in the presence of certain acquired resistance mutations, including C481 mutated BTK, and limit toxicity associated with inhibition of other non-BTK kinases. BTK, a member of the Src-related BTK/Tec family of cytoplasmic tyrosine kinases, is overexpressed or mutated in B-cell malignancies; it plays an important role in the development, activation, signaling, proliferation and survival of B-lymphocytes.