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Momelotinib

National Cancer Institute

Source

National Cancer Institute. *Momelotinib*. NCI Thesaurus. Code C88311.

An orally bioavailable small-molecule inhibitor of Janus kinases 1 and 2 (JAK1/2) with potential antineoplastic activity. JAK1/2 inhibitor CYT 387 competes with JAK1/2 for ATP binding, which may result in inhibition of JAK1/2 activation, inhibition of the JAK-STAT signaling pathway, and so the induction of apoptosis and a reduction of tumor cell proliferation in JAK1/2-expressing tumor cells. JAK2 is the most common mutated gene in bcr-abl-negative myeloproliferative disorders; the JAK2V617F gain-of-function mutation involves a valine-to-phenylalanine modification at position 617. The JAK-STAT signaling pathway is a major mediator of cytokine activity and is often dysregulated in a variety of tumor cell types.