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PTEF-b/CDK9 Inhibitor BAY1251152

National Cancer Institute

Source

National Cancer Institute. <u>PTEF-b/CDK9 Inhibitor BAY1251152</u>. NCI Thesaurus. Code C128266.

An inhibitor of cyclin-dependent kinase 9 (CDK9), the catalytic subunit of the RNA polymerase II (RNA Pol II) elongation factor positive transcription elongation factor b (PTEF- b; PTEFb), with potential antineoplastic activity. Upon administration, BAY1251152 binds to and blocks the phosphorylation and kinase activity of CDK9, thereby preventing PTEFb-mediated activation of RNA Pol II and leading to the inhibition of gene transcription of various anti-apoptotic proteins. This may cause cell cycle arrest and induce apoptosis, which may lead to a reduction in tumor cell proliferation. The protein complex PTEF-b, a heterodimer consisting of CDK9 and a regulatory cyclin subunit of the T family, is over-activated in various tumor cell types; it plays a key role in the regulation of Pol II-mediated transcription of anti-apoptotic proteins. Tumor cells are dependent on anti-apoptotic proteins for their survival.