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c-Myc Inhibitor IV, KJ-Pyr-9 - Calbiochem KJ-Pyr-9, C-Myci

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Unit	EA

Description

A cell-permeable, blood-brain barrier permeant, bioavailable trisubstituted pyridine compound that inhibits c-Myc transcriptional activity. Shown to directly bind to both the monomeric c-Myc (Kd = 6.5 nM) and to c-Myc-Max heterodimer (Kd = 13.4 nM) with high affinity and disrupt c-Myc-Max interaction. Displays a very weak affinity towards Max-Max homodimer (Kd >1 &#181,M). Also interferes with Max homodimerization, albeit to a lesser extent than c-Myc-Max heterodimerization. Shown to inhibit the c-Myc-driven proliferation of NCI-H460, MDA-MB-231, and SUM-159PT and several other cancer cell lines (IC50 = 5-10 &#181,M). Also diminishes the proliferation of Burkitt lymphoma cell lines expressing high levels of c-Myc (IC50 = 2.5 &#181,M). Suppresses the growth of MDA-MB-231 cells in a xenografted nude mice model (10 mg/kg, i.p., q.d.).Please note that the molecular weight for this compound is batch-specific due to variable water content., A cell-permeable, trisubstituted pyridine compound that displays Myc-selective affinity (Kd = 6.5 nM/Myc homodimer, 13.4 nM/Myc-Max dimer, &amp,gt,1.0 &amp,micro,M/Max homodimer) and is 4-times more potent against Myc-Max than Max-Max in DNA-binding assays. Effectively prevents focal microtumors formation following N-Myc, c-Myc, as well as ATG- or CAG-c-Myc transformation of cultured chick embryo fibroblasts/CEF (&amp,gt,99.9% inhibition at 10 &amp,micro,M in ATG-c-Myc-transformed cultures), while exhibiting much reduced or little potency against cultures transformed by v-Src (no inhibition up to 20 &amp,micro,M), v-Jun or PI 3-K H1047R (45.5% inhibition at 10 &amp,micro,M). Selectively inhibits Myc-dependent proliferation of human &amp, avian cultures (Effective conc. 25 to 50 &amp,micro,M, IC50 1 to 10 &amp,micro,M), while exhibiting little potency against Myc-independent growths of human skin fibroblasts, non-transformed, v-jun-transformed, or methylcholanthrene-transformed quail embryo fibroblasts even at a high concentration of 50 &amp,micro,M. Reported to suppress MDA-MB-231-derived tumor expansion in mice (10 mg/kg/d i.p.) in vivo with a concomitant upregulation of N-Myc downregulated gene 1/NDRG1 protein level in tumor tissue. Pharmacokinetics studies reveal good blood-brain barrier permeability in mice ([Drug] = 3.5 &amp,micro,M/plasma &amp, 12.4 &amp,micro,M/brain 4 h post single 10 mg/kg i.p. dosage) and a plasma half-life of 1.84 h in rats following a single i.v. dose of 1 mg/kg.