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Calcium Release-activated Channels Inhibitor RP4010

National Cancer Institute

Source

National Cancer Institute. *Calcium Release-activated Channels Inhibitor RP4010*. NCI Thesaurus. Code C136828.

A calcium (Ca^{2+}) release-activated channel (CRAC) inhibitor, with potential antineoplastic activity. Upon administration, RP4010 binds to and inhibits CRACs, thereby preventing the transport of extracellular Ca^{2+} into the cell and inhibiting the subsequent activation of Ca^{2+} -mediated signaling and transcription of target genes. CRACs, specialized plasma membrane Ca^{2+} ion channels composed of the plasma membrane based Orai channels and the endoplasmic reticulum (ER) stromal interaction molecules (STIMs), play key roles in calcium homeostasis and are over-activated in a number of cancer cell types. Aberrant activation of CRACs leads to increased cancer cell proliferation.