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# Ralimetinib Mesylate

National Cancer Institute

## Source

National Cancer Institute. *Ralimetinib Mesylate*. NCI Thesaurus. Code C105853.

The dimesylate salt form of LY2228820, a tri-substituted imidazole derivative and orally available, p38 mitogen-activated protein kinase (MAPK) inhibitor with potential anti-inflammatory and antineoplastic activities. Upon administration, ralimetinib inhibits the activity of p38, particularly the alpha and beta isoforms, thereby inhibiting MAPKAPK2 phosphorylation and preventing p38 MAPK-mediated signaling. This may inhibit the production of a variety of cytokines involved in inflammation, cellular proliferation and angiogenesis such as tumor necrosis factor alpha (TNF $\alpha$ ), interleukin (IL)-1, -6 and -8, vascular endothelial growth factor, and macrophage inflammatory protein-1 alpha. Ultimately this induces apoptosis and reduces tumor cell proliferation. In addition, inhibition of the p38 MAPK pathway by LY2228820 increases the antineoplastic activity of certain chemotherapeutic agents. p38 MAPK, a serine/threonine protein kinase that is often upregulated in cancer cells, plays a crucial role in tumor cell proliferation, angiogenesis and metastasis.