

[Open Peer Review on Qeios](#)

Pimecrolimus

National Cancer Institute

Source

National Cancer Institute. *Pimecrolimus*. NCI Thesaurus. Code C47671.

A 33-epi-chloro-derivative of the ascomycin macrolactam with immunosuppressant property. Pimecrolimus binds to the receptor macrophilin-12 (FKBP-12) forming a complex that blocks the calcium-dependent signal transduction cascade mediated by calcineurin. Via dephosphorylation, calcineurin is the enzyme responsible for activating nuclear factor of activated T-cells (NF-AT), a T cell transcriptional regulatory factor. As a consequence, the synthesis and release of Th1- (T helper 1) and Th2- (T helper 2) type cytokines, and other inflammatory mediators from T-cells and mast cells are blocked and the expression of signals essential for the activation of inflammatory T-lymphocytes is inhibited. However, pimecrolimus mode of action is cell-selective and does not affect Langerhans' cells/dendritic cells and primary fibroblasts.