

Signal transducers and activators of transcription (**STATs**) are a family of latent cytoplasmic transcription factors that transmit signals from the cell membrane to the nucleus. One family member, **STAT3**, is constitutively activated by aberrant upstream **tyrosine kinase** activities in a broad spectrum of cancer cell lines and human tumors. Screening of chemical libraries led to the identification of Stattic, a nonpeptidic small molecule shown to selectively inhibit the function of the STAT3 SH2 domain regardless of the STAT3 activation state in vitro. Stattic selectively inhibits activation, dimerization, and nuclear translocation of STAT3 and increases the apoptotic rate of STAT3-dependent breast cancer cell lines. We propose Stattic as a tool for the inhibition of STAT3 in cell lines or animal tumor models displaying constitutive STAT3 activation ¹⁾.

¹⁾

Schust J, Sperl B, Hollis A, Mayer TU, Berg T. Stattic: a small-molecule inhibitor of STAT3 activation and dimerization. Chem Biol. 2006 Nov;13(11):1235-42. PubMed PMID: 17114005.

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