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Factor VIIa Inhibitor PCI-27483

National Cancer Institute

Source

National Cancer Institute. *Factor VIIa Inhibitor PCI-27483*. NCI Thesaurus. Code C88324.

A reversible small-molecule inhibitor of activated factor VII (factor VIIa) with potential antineoplastic and antithrombotic activities. FVII, a serine protease, becomes activated (FVIIa) upon binding with TF forming the FVIIa/TF complex, which induces intracellular signaling pathways by activating protease activated receptor 2 (PAR-2). Upon subcutaneous administration, factor VIIa inhibitor PCI-27483 selectively inhibits factor FVIIa in the VIIa/TF complex, which may prevent PAR-2 activation and PAR2-mediated signal transduction pathways, thereby inhibiting tumor cell proliferation, angiogenesis, and metastasis of TF-overexpressing tumor cells. In addition, this agent inhibits both the extrinsic and intrinsic coagulation cascades, preventing blood clot formation. TF, a blood protein overexpressed on the cell surface of a variety of tumor cell types, may correlate with poor prognosis; PAR-2 (also known as thrombin receptor-like 1) is a G protein-coupled receptor (GPCR) and a protease-activated receptor.