TGF-beta Receptor 1 Inhibitor PF-06952229

National Cancer Institute

Source

An orally bioavailable inhibitor of transforming growth factor-beta receptor 1 (TGFbR1), with potential antineoplastic activity. Upon administration, TGF-betaR1 inhibitor PF-06952229 specifically targets and binds to TGFbR1, which prevents TGFbR1-mediated signal transduction. This abrogates TGFbR1-mediated immunosuppression, enhances anti-tumor immunity in the tumor microenvironment (TME) and promotes a cytotoxic T-lymphocyte (CTL)-mediated immune response against tumor cells leading to tumor cell death. This may lead to a reduction in TGFbR1-dependent proliferation of cancer cells. The TGFb signaling pathway is often deregulated in tumors and plays a key role in the regulation of cell growth, differentiation, apoptosis, motility, invasion, and angiogenesis. It plays a key role in immunosuppression in the TME.