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Galunisertib

National Cancer Institute

Source

National Cancer Institute. *Galunisertib*. NCI Thesaurus. Code C116891.

An orally available, small molecule antagonist of the tyrosine kinase transforming growth factor-beta (TGF- β) receptor type 1 (TGFBR1), with potential antineoplastic activity. Upon administration, galunisertib specifically targets and binds to the kinase domain of TGFBR1, thereby preventing the activation of TGF- β -mediated signaling pathways. This may inhibit the proliferation of TGF- β -overexpressing tumor cells. Dysregulation of the TGF- β signaling pathway is seen in a number of cancers and is associated with increased cancer cell proliferation, migration, invasion and tumor progression.