Galunisertib is a TGF-β kinase (ALK5) antagonist. It stimulated hematopoiesis from primary myelodysplastic syndrome bone marrow specimens via downregulation of SMAD2 phosphorylation. It has been in clinical trials for treatment of various cancers. Galunisertib has more recently been used to enhance the anti-neuroblastoma activity of anti-GD2 antibody Dinutuximab with natural killer cells and preserved the function of in vitro expanded natural killer cells in AML and colon cancer models. Galunisertib reversed TGFβ and regulatory T cell mediated suppression of human T cell proliferation. In combination with PD-L1 blockade, it resulted in improved tumor growth inhibition and complete regressions in colon carcinoma models.

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7) Otegbeye et al. (2018), Inhibiting TGF-beta signaling preserves the function of highly activated, in vitro expanded natural killer cells in AML and colon cancer models; PLoS One 13 e0197008
8) Holmgaard et al. (2018), Targeting the TGF/β pathway with galunisertib, a TGF/RII small molecule inhibitor, promotes anti-tumor immunity leading to durable, complete response, as monotherapy and in combination with checkpoint blockade; J.Immunother.Cancer 6 47

**PHYSICAL DATA**

Molecular Weight: 369.43
Molecular Formula: C22H19N5O
Purity: >98%
NMR: (Conforms)
Solubility: Soluble in DMSO (25 mg/ml)
Physical Description: White solid
Storage and Stability: Store as supplied at -20° for up to 1 year from the date of purchase. Store solutions at -20°C for up to 1 month.

Materials provided by Focus Biomolecules are for laboratory research use only and are not intended for human or veterinary applications.

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