Galunisertib

Selective TGF-β receptor I kinase inhibitor

Galunisertib is a potent, selective, and orally bioavailable inhibitor of transforming growth factor-beta receptor type I (TGF-βRI) kinase. It blocks TGF-β-induced signaling by inhibiting Smad2 phosphorylation, a key step in the TGF-β/Smad pathway. Galunisertib exhibits an IC_{50} of 56 nM in cell-free assays.

Key features and applications include:

- **Selective TGF-βRI Inhibition:** Specifically targets ALK5 (TGF-βRI), minimizing off-target effects on other kinases.
- **Smad2 Pathway Blockade: Inhibits TGF-β-induced Smad2 phosphorylation, reducing transcription of pro-fibrotic and pro-tumorigenic genes.**
- **Cancer Stem Cell Modulation:** Shown to inhibit self-renewal and survival of cancer stem-like cells.
- **Orally Active:** Suitable for *in vivo* studies and clinical development.

Research Applications:

- Tumor microenvironment and immune evasion studies
- Fibrosis and epithelial-to-mesenchymal transition (EMT) models
- Cancer stem cell biology
- Combination therapy with immune checkpoint inhibitors or chemotherapy

Relevant disease states include:

- **Cancer:** Investigated in clinical trials for hepatocellular carcinoma, glioblastoma, pancreatic, and colorectal cancers due to its ability to suppress tumor growth and metastasis.
- **Fibrotic Diseases:** Explored in models of liver, lung, and kidney fibrosis where TGF-β signaling drives pathological tissue remodeling.

- **Immuno-Oncology:** Enhances anti-tumor immunity by reducing TGF-β-mediated immunosuppression in the tumor microenvironment.

Ordering Information

Order Online »

ENZ-CHM376-0025	25mg

Manuals, SDS & CofA

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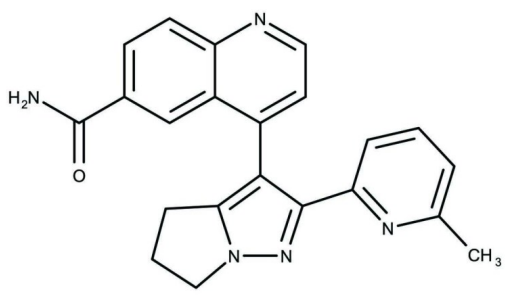
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H2N
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Handling & Storage

Use/Stability	As indicated on product label or CoA when stored as recommended.
Short Term Storage	-20°C
Long Term Storage	-20°C
Shipping	Ambient Temperature

Regulatory Status

RUO - Research Use Only

Product Details

Alternative Name	LY2157299, 4-[2-(6-methylpyridin-2-yl)-5,6-dihydro-4H-pyrrolo[1,2-b]pyrazol-3-yl]quinoline-6-carboxamide
Appearance	White solid.
CAS	700874-72-2
Couple Target	TGF-beta receptor
Couple Type	Inhibitor
Formula	$C_{22}H_{19}N_5O$
Identity	Determined by NMR.
MW	369.43
Purity	≥98%
Solubility	Soluble in DMSO (up to 25 mg/mL)

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