

Product Name: Galunisertib

Catalog No.: 6956

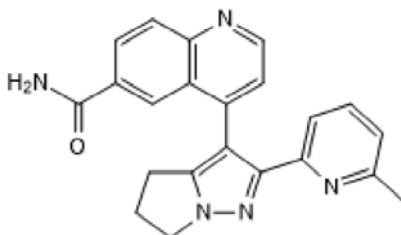
Batch No.: 1

CAS Number: 700874-72-2

IUPAC Name: 4-[5,6-Dihydro-2-(6-methyl-2-pyridinyl)-4H-pyrrolo[1,2-b]pyrazol-3-yl]-6-quinolinecarboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: C₂₂H₁₉N₅O.H₂O
Batch Molecular Weight: 387.45
Physical Appearance: White solid
Solubility: DMSO to 100 mM
ethanol to 20 mM
Storage: Store at -20°C
Batch Molecular Structure:



2. ANALYTICAL DATA

HPLC: Shows 99.8% purity
¹H NMR: Consistent with structure
Mass Spectrum: Consistent with structure

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	68.2	5.46	18.08
Found	68.3	5.44	17.99

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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Description:

Galunisertib is an ALK4 and ALK5 (TGFβRI) inhibitor (IC₅₀ values are 77.7 and 172 nM, respectively). Also inhibits a range of other kinases with submicromolar IC₅₀s including MINK, TGFβRII, ALK6 and ACVR2B. Inhibits TGFβ-induced SMAD phosphorylation in cancer cell lines in vitro and in vivo. Also reverses TGFβ-mediated suppression of T cell proliferation. Prevents TGFβ-mediated EMT and cell migration in vitro and exhibits antitumor efficacy in vivo. Used as component of growth media for urothelial organoids. Orally bioavailable.

Physical and Chemical Properties:

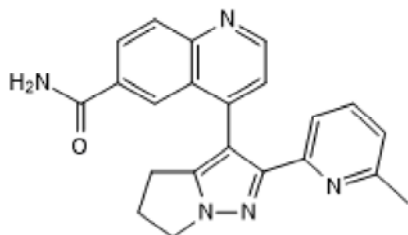
Batch Molecular Formula: C₂₂H₁₉N₅O.H₂O

Batch Molecular Weight: 387.45

Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM

ethanol to 20 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

References:

Santos et al (2019) Urothelial organoids originating from Cd49^{high} mouse stem cells display Notch-dependent differentiation capacity. *Nat. Commun.* **10** 4407. PMID: 31562298.

Holmgaard et al (2018) Targeting the TGFβ pathway with galunisertib, a TGFβRI small molecule inhibitor, promotes anti-tumor immunity leading to durable, complete responses, as monotherapy and in combination with checkpoint blockade. *J.Immunother.Cancer.* **6** 47. PMID: 29866156.

Yingling et al (2017) Preclinical assessment of galunisertib (LY2157299 monohydrate), a first-in-class transforming growth factor-β receptor type I inhibitor. *Oncotarget* **9** 6659. PMID: 29467918.

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