

**Product Name:** Galunisertib

**Catalog No.:** 6956

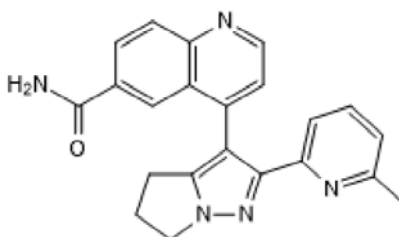
**Batch No.:** 1

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:** C<sub>22</sub>H<sub>19</sub>N<sub>5</sub>O.H<sub>2</sub>O  
**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  
**<sup>1</sup>H 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:**

ALK4 and ALK5 (TGFβRI) inhibitor (IC<sub>50</sub> values are 77.7 and 172 nM, respectively). Also inhibits a range of other kinases with submicromolar IC<sub>50</sub>s 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:**

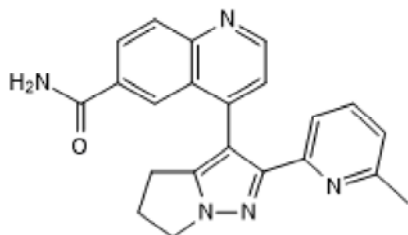
Batch Molecular Formula: C<sub>22</sub>H<sub>19</sub>N<sub>5</sub>O.H<sub>2</sub>O

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 Cd49f<sup>high</sup> 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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