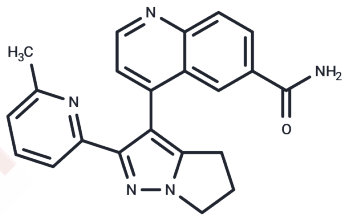
# Data Sheet (Cat.No.T2510)

TargetMol

## Galunisertib

### Chemical Properties



CAS No. : 700874-72-2

Formula: C22H19N5O

Molecular Weight: 369.42

Storage: Store at low temperature
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

### Biological Description

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| Description | Galunisertib (LY2157299) is a TGF-β receptor type I (TGF-βRI) inhibitor (IC50=56 nM) that is selective. Galunisertib has antitumor activity and can be used in combination with PD-1 inhibitors. |
| Targets(IC50) | TGF-beta/Smad |
| In vitro | **METHODS**: Mouse embryonic fibroblasts NIH3T3 were treated with Berzosertib (0.0001-10 μM) for 2 h, followed by incubation with TGFβ1 overnight, and cell proliferation was detected by 3H-thymidine proliferation assay.<br>**RESULTS**: Berzosertib inhibited TGFβ1-induced proliferation with an IC50 of 0.396 μM.[1]<br>**METHODS**: Seven HCC cell lines, JHH6, SK-HEP1, SK-Suni, SK-Sora, HepG2, Hep3B, and HuH7, were treated with Galunisertib (1-10 μM) and TGF-β (5 ng/mL) for 5-24 h, and the expression levels of target proteins were detected by Western Blot.<br>**RESULTS**: Addition of Galunisertib decreased p-Smad2 expression levels in all cell lines in a dose- and time-dependent manner, independent of TGF-β induction. [2] |
| In vivo | **METHODS**: To assay antitumor activity in vivo, athymic nu/nu mice bearing MX1, Calu6, or 4T1 tumors were administered Galunisertib (75 mg/kg, 10% beta-cyclodextrin-HCl) by gavage twice daily for 20-40 days.<br>**RESULTS**: Galunisterib monotherapy all resulted in a significant delay in tumor growth. For MX1, Galunisertib treatment resulted in a tumor growth delay of 10.3 ± 4.3 days. For Calu6, Galunisertib treatment resulted in a tumor growth delay of 8.3 ± 2.6 days. For 4T1, Galunisertib treatment resulted in a delay in tumor growth of 13±2.4 days. [1] |
| Kinase Assay | Briefly, the assay was first done at 30°C for 4 h in a 96-well plate containing 2 ng/mL TGF-bR KD, 100 mM Hepes pH 7.5, 4 mM MgCl2, 0.2 mM MnCl2, 0.4 mM sodium orthovanadate, 2 mM DL-dithiothreitol, and 10mM ATP. After incubation, 50mL of Kinase-Glo plus reagent was added and further incubated at 25°C for 30 min. Subsequently, a 100mL aliquot of each reaction mixture was transferred to a black mictotiter plate and the luminescence was measured by a vector counter. The inhibitory activity IC50 was tested in duplicate for each sample [1]. |
| Cell Research | Cell survival was determined using the MTT assay. The conversion of yellow water-soluble tetrazolium MTT into purple insoluble formazan is catalyzed by mitochondrial dehydrogenases and used to estimate the number of viable cells. In brief, cells were seeded in 96-well tissue culture plates at a density of 2 × 103 cells/well. After drug exposure, cells were incubated with 0.4 mg/mL MTT for 4 hours at 37°C. After |

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| Cell Research | incubation, the supernatant was discarded, insoluble formazan precipitates were dissolved in 0.1 mL of DMSO, and the absorbance was measured at 560 nm by use of a microplate reader. Wells with untreated cells or with drug-containing medium without cells were used as positive and negative controls respectively. For proliferation assay, MTT assay was done daily to determine the number of viable cells in untreated control and galunisertib-treated group [2]. |
| Animal Research | Transgenic mice expressing a fusion gene (Alb/TGF) consisting of modified porcine TGF-β1 cDNA under the control of the regulatory elements of the mouse albumin gene (26) were used under animal institute approved protocol. Mice were given LY-2157299 at a dose of 100mg/kg/day in NaCMC/SLS/PVP/antifoam solution by gastric lavage using a curved 14 G needle. Blood counts were analyzed by the Advia machine. Mice femurs were flushed and bone marrows cells were used for clonogenic assays [3]. |

## Solubility Information

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| Solubility | DMSO: 250 mg/mL (676.74 mM),Sonication is recommended.<br>Ethanol: Insoluble,<br>(< 1 mg/ml refers to the product slightly soluble or insoluble) |
| In vivo Formulation | 10% DMSO+40% PEG300+5% Tween 80+45% Saline: 6.9 mg/mL (18.68 mM),Solution.<br>*Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.* |

Preparing Stock Solutions

| | 1mg | 5mg | 10mg |
|---|---|---|---|
| 1 mM | 2.7069 mL | 13.5347 mL | 27.0695 mL |
| 5 mM | 0.5414 mL | 2.7069 mL | 5.4139 mL |
| 10 mM | 0.2707 mL | 1.3535 mL | 2.7069 mL |
| 50 mM | 0.0541 mL | 0.2707 mL | 0.5414 mL |

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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