MedKoo Cat#: 205520  
Name: Galunisertib  
CAS#: 700874-72-2  
Chemical Formula: C$_{22}$H$_{19}$N$_{5}$O  
Exact Mass: 369.15896  
Molecular Weight: 369.42  

<table>
<thead>
<tr>
<th>Product supplied as:</th>
<th>Powder</th>
</tr>
</thead>
<tbody>
<tr>
<td>Purity (by HPLC):</td>
<td>≥ 98%</td>
</tr>
<tr>
<td>Shipping conditions</td>
<td>Ambient temperature</td>
</tr>
</tbody>
</table>
| Storage conditions:  | Powder: -20°C 3 years; 4°C 2 years.  
In solvent: -80°C 3 months; -20°C 2 weeks. |

1. **Product description:**
Galunisertib, also known as LY2157299, is a novel, selective small molecule transforming growth factor beta receptor (TGF-βR) kinase inhibitor. LY2157299 inhibited HCC cell migration on Laminin-5, Fibronectin, Vitronectin, Fibrinogen and Collagen-I and de novo phosphorylation of pSMAD2. LY2157299 inhibited HCC migration and cell growth independently of the expression levels of TGF-βRII.

2. **CoA, QC data, SDS, and handling instruction**
SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under “QC And Documents” section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. **Solubility data**

<table>
<thead>
<tr>
<th>Solvent</th>
<th>Max Conc. mg/mL</th>
<th>Max Conc. mM</th>
</tr>
</thead>
<tbody>
<tr>
<td>DMSO</td>
<td>24.0</td>
<td>65.0</td>
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</tbody>
</table>

4. **Stock solution preparation table:**

<table>
<thead>
<tr>
<th>Concentration / Solvent Volume / Mass</th>
<th>1 mg</th>
<th>5 mg</th>
<th>10 mg</th>
</tr>
</thead>
<tbody>
<tr>
<td>1 mM</td>
<td>2.71 mL</td>
<td>13.53 mL</td>
<td>27.07 mL</td>
</tr>
<tr>
<td>5 mM</td>
<td>0.54 mL</td>
<td>2.71 mL</td>
<td>5.41 mL</td>
</tr>
<tr>
<td>10 mM</td>
<td>0.27 mL</td>
<td>1.35 mL</td>
<td>2.71 mL</td>
</tr>
<tr>
<td>50 mM</td>
<td>0.05 mL</td>
<td>0.27 mL</td>
<td>0.54 mL</td>
</tr>
</tbody>
</table>

5. **Molarity Calculator, Reconstitution Calculator, Dilution Calculator**

Please refer the product web page under section of “Calculator”

6. **Recommended literature which reported protocols for in vitro and in vivo study**

**In vitro study**

**In vivo study**

7. **Bioactivity**

Biological target: TGF-β receptor type I (TGF-βRI) kinase inhibitor with an IC50 of 56 nM.
Product data sheet

In vitro activity

The combination of a small molecule inhibitor of TGF-β receptor I, Galunisertib, and CAR T cells was used to explore whether Galunisertib could enhance CAR T cell function against solid tumor cells. In vitro experiments showed Galunisertib could significantly enhance the specific cytotoxicity of both CD133- and HER2-specific CAR T cells. However, Galunisertib had no direct killing effect on target cells. Galunisertib significantly increased the cytokine secretion of CAR T cells and T cells that do not express CAR (Nontransfected T cells). Galunisertib did not affect the proliferation of T cells, the antigen expression on target cells and CD69 on CAR T cells. It was found that TGF-β was secreted by T cells themselves upon activation, and Galunisertib could reduce TGF-β signaling in CAR T cells.


In vivo activity

The in vivo antitumor efficacy of galunisertib was evaluated using a dose of 75 mg/kg administered twice daily by oral gavage, the dosing schedule defined by the PK/PD profile described in the pSMAD inhibition assays (Figure (Figure4).4). Monotherapy antitumor activity of galunisertib was evaluated in three independent models; the immune competent 4T1 syngenic murine breast cancer model, the MX1 human xenograft breast cancer model, and the Calu6 human xenograft lung cancer model. In each of these established tumor models, galunisertib monotherapy resulted in significant tumor growth delay (Figure 7A, 7B, 7C, and 7D). For MX1, galunisertib monotherapy resulted in tumor growth delay of 10.3±4.3 days (1500 mm3 crossing time, p = 0.014) (Figure 7A) and for Calu6 galunisertib monotherapy resulted in tumor growth delay of 8.3 ±2.6 days (500 mm3 crossing time, p = 0.034) (Figure 7B); for 4T1, galunisertib monotherapy resulted in a tumor growth delay of 13±2.4 days (500 mm3 crossing time, p < 0.01 by repeated measures analysis) and a survival advantage of 4.5 days (p = 0.01) (Figure 7C, 7D), demonstrating the antitumor activity of the compound in traditional preclinical tumor models.


Note: The information listed here was extracted from literature. MedKoo has not independently retested and confirmed the accuracy of these methods. Customer should use it just for a reference only.