# Product data sheet

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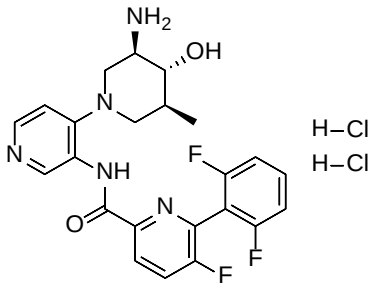
|---|---|
| MedKoo Cat#: 406588<br>Name: LGB-321 HCl<br>CAS: 1210416-93-5 (2HCl)<br>Chemical Formula: $C_{23}H_{24}Cl_2F_3N_5O_2$<br>Exact Mass: 457.1726<br>Molecular Weight: 530.3732 |  |
| Product supplied as: | Powder |
| Purity (by HPLC): | ≥ 98% |
| Shipping conditions | Ambient temperature |
| Storage conditions: | Powder: -20°C 3 years; 4°C 2 years. |
| | In solvent: -80°C 3 months; -20°C 2 weeks. |

**1. Product description:**
LGB-321 is a potent and selective ATP-competitive small molecule inhibitor of PIM kinases (Pan-PIM kinase inhibitor). LGB321 is unique relative to previously described PIM inhibitors, in that it is active in PIM2 dependent cell lines, a kinase that has proven difficult to inhibit in the cellular context. Consistent with its activity on all three PIM kinases, LGB321 inhibits proliferation of a number of cell lines derived from diverse hematological malignancies, including MM, AML, CML and B-Cell NHL. In vivo, LGB-321 is orally available, demonstrates efficacy in tumor xenografts and is well-tolerated within the therapeutic exposure range in mice. (source: Clin Cancer Res. 2014 Apr 1;20(7):1834-45 )

**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**

| Solvent | Max Conc. mg/mL | Max Conc. mM |
|---|---|---|
| TBD | TBD | TBD |

**4. Stock solution preparation table:**

| Concentration / Solvent Volume / Mass | 1 mg | 5 mg | 10 mg |
|---|---|---|---|
| 1 mM | 1.89 mL | 9.43 mL | 18.85 mL |
| 5 mM | 0.38 mL | 1.89 mL | 3.77 mL |
| 10 mM | 0.19 mL | 0.94 mL | 1.89 mL |
| 50 mM | 0.04 mL | 0.19 mL | 0.38 mL |

**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

1. Garcia PD, Langowski JL, Wang Y, Chen M, Castillo J, Fanton C, Ison M, Zavorotinskaya T, Dai Y, Lu J, Niu XH, Basham S, Chan J, Yu J, Doyle M, Feucht P, Warne R, Narberes J, Tsang T, Fritsch C, Kauffmann A, Pfister E, Drueckes P, Trappe J, Wilson C, Han W, Lan J, Nishiguchi G, Lindvall M, Bellamacina C, Aycinena JA, Zang R, Holash J, Burger MT. Pan-PIM kinase inhibition provides a novel therapy for treating hematologic cancers. Clin Cancer Res. 2014 Apr 1;20(7):1834-45. doi: 10.1158/1078-0432.CCR-13-2062. Epub 2014 Jan 28. PMID: 24474669.

In vivo study

1. Garcia PD, Langowski JL, Wang Y, Chen M, Castillo J, Fanton C, Ison M, Zavorotinskaya T, Dai Y, Lu J, Niu XH, Basham S, Chan J, Yu J, Doyle M, Feucht P, Warne R, Narberes J, Tsang T, Fritsch C, Kauffmann A, Pfister E, Drueckes P, Trappe J, Wilson C, Han W, Lan J, Nishiguchi G, Lindvall M, Bellamacina C, Aycinena JA, Zang R, Holash J, Burger MT. Pan-PIM kinase inhibition provides a novel therapy for treating hematologic cancers. Clin Cancer Res. 2014 Apr 1;20(7):1834-45. doi: 10.1158/1078-0432.CCR-13-2062. Epub 2014 Jan 28. PMID: 24474669.

# Product data sheet

**7. Bioactivity**

Biological target:

LGB-321 is a potent and selective ATP-competitive small molecule inhibitor of PIM kinases (Pan-PIM kinase inhibitor).

In vitro activity

GB321 is active on PIM2-dependent multiple myeloma cell lines, where it inhibits proliferation, mTOR-C1 signaling and phosphorylation of BAD. Broad cancer cell line profiling of LGB321 demonstrates limited activity in cell lines derived from solid tumors.

Reference: Clin Cancer Res. 2014 Apr 1;20(7):1834-45. https://pubmed.ncbi.nlm.nih.gov/24474669/

In vivo activity

Furthermore, this study demonstrates LGB321 activity in the KG-1 AML xenograft model, in which modulation of pharmacodynamics markers is predictive of efficacy. Finally, this study demonstrates that LGB321 synergizes with cytarabine in this model.

Reference: Clin Cancer Res. 2014 Apr 1;20(7):1834-45. https://pubmed.ncbi.nlm.nih.gov/24474669/

*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.*