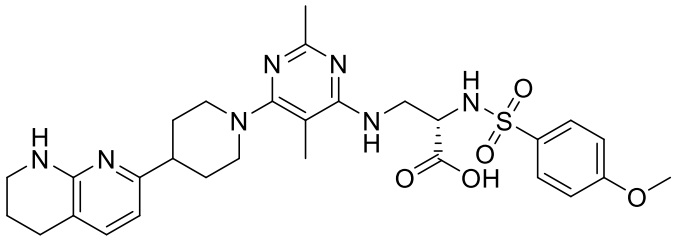
Product data sheet

MedKoo Cat#: 205842 Name: GLPG0187 CAS#: 1320346-97-1 Chemical Formula: $C_{29}H_{37}N_7O_5S$ Exact Mass: 595.2577 Molecular Weight: 595.719	
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:
GLPG0187 is a small molecule integrin receptor antagonist (IRA) with potential antineoplastic activity. Upon administration, GLPG0187 binds to and blocks the activity of 5 RGD-integrin receptor subtypes, including alphavbeta1, alphavbeta3, alphavbeta5, alphavbeta6 and alpha5beta1. This may result in the inhibition of endothelial cell-cell interactions and endothelial cell-matrix interactions, and the prevention of angiogenesis and metastasis in tumor cells expressing these integrin receptors. Integrin receptors are transmembrane glycoproteins expressed on the surface of tumor vessel endothelial cells and some types of cancer cells, and play a crucial role in endothelial cell adhesion and migration.

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
DMSO	8.0	13.43

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	1.68 mL	8.39 mL	16.79 mL
5 mM	0.34 mL	1.68 mL	3.36 mL
10 mM	0.17 mL	0.84 mL	1.68 mL
50 mM	0.03 mL	0.17 mL	0.34 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. Reeves KJ, Hurrell JE, Cecchini M, van der Pluijm G, Down JM, Eaton CL, Hamdy F, Clement-Lacroix P, Brown NJ. Prostate cancer cells home to bone using a novel in vivo model: modulation by the integrin antagonist GLPG0187. Int J Cancer. 2015 Apr 1;136(7):1731-40. doi: 10.1002/ijc.29165. Epub 2014 Sep 4. PMID: 25156971.
2. van der Horst G, van den Hoogen C, Buijs JT, Cheung H, Bloys H, Pelger RC, Lorenzon G, Heckmann B, Feyen J, Pujuguet P, Blanque R, Clément-Lacroix P, van der Pluijm G. Targeting of α(v)-integrins in stem/progenitor cells and supportive microenvironment impairs bone metastasis in human prostate cancer. Neoplasia. 2011 Jun;13(6):516-25. doi: 10.1593/neo.11122. PMID: 21677875; PMCID: PMC3114245.

In vivo study

1. Reeves KJ, Hurrell JE, Cecchini M, van der Pluijm G, Down JM, Eaton CL, Hamdy F, Clement-Lacroix P, Brown NJ. Prostate cancer cells home to bone using a novel in vivo model: modulation by the integrin antagonist GLPG0187. Int J Cancer. 2015 Apr 1;136(7):1731-40. doi: 10.1002/ijc.29165. Epub 2014 Sep 4. PMID: 25156971.

2. Li Y, Drabsch Y, Pujuguet P, Ren J, van Laar T, Zhang L, van Dam H, Clément-Lacroix P, Ten Dijke P. Genetic depletion and pharmacological targeting of αv integrin in breast cancer cells impairs metastasis in zebrafish and mouse xenograft models. Breast Cancer Res. 2015 Feb 25;17(1):28. doi: 10.1186/s13058-015-0537-8. PMID: 25849225; PMCID: PMC4381510.

7. Bioactivity

Biological target:

GLPG0187 is a broad spectrum integrin receptor antagonist with antitumor activity; inhibits αvβ1-integrin with an IC50 of 1.3 nM.

In vitro activity

In this study, Cell viability, proliferation and migration in vitro were also quantified following treatment with GLPG0187. Cell viability was not affected by GLPG0187 at varying concentrations over 72 hr (Fig. 3a, data shown for 50 ng/ml only). However, GLPG0187 treatment resulted in cell rounding and clumping, in contrast vehicle-control treated cells were adherent and elongated in shape. GLPG0187 demonstrated a dose-dependent significant reduction ($p < 0.05$) in tumour cell migration compared to control after 16 hr (Fig. 3b), with 50 ng/ml stimulating the maximal response ($p < 0.005$). GLPG0187 at all concentrations significantly reduced cell proliferation compared to vehicle control at 24 ($p < 0.05$), 48, 72 and 96 hr ($p < 0.005$; Fig. 3c).

Reference: Int J Cancer. 2015 Apr 1;136(7):1731-40. https://pubmed.ncbi.nlm.nih.gov/25156971/

In vivo activity

A single murine metatarsal was engrafted into a dorsal skinfold chamber implanted on a SCID mouse. Fluorescently-labeled human prostate (PC3-GFP) or oral (SCC4-GFP) cancer cells were administered via intracardiac (i.c) injection, with simultaneous daily GLPG0187 or vehicle-control treatment (i.p. 100 mg/kg/day) for the experimental duration. The metatarsal-DSC model was then used to investigate any modulation of PC3-GFP cells homing to bone, in the presence of the αv integrin antagonist. GLPG0187 significantly ($p < 0.05$) reduced the number of tumour cells present in the implanted metatarsal from day 17 onward (Fig. 3d). Tumour cell numbers remained significantly lower ($p < 0.05$) in treatment groups compared to controls throughout the duration of the experiment. Whole body imaging of the mouse during the experimental period did not detect tumour cells in either treated or control groups, in the skeleton or organs. However, at post mortem following removal of the muscle from the bone, small tumours were visible in the tibia of control animals but this did not occur in GLPG0187-treated mice.

Reference: Int J Cancer. 2015 Apr 1;136(7):1731-40. https://pubmed.ncbi.nlm.nih.gov/25156971/