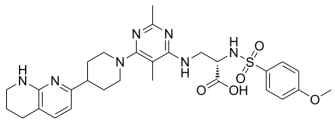
GLPG0187

Cat. No.:	HY-100506
CAS No.:	1320346-97-1
Molecular Formula:	$C_{29}H_{37}N_7O_5S$
Molecular Weight:	595.71
Target:	Integrin
Pathway:	Cytoskeleton
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 12.5 mg/mL (20.98 mM; ultrasonic and warming and heat to 60°C)

H_2O : < 0.1 mg/mL (ultrasonic;warming;heat to 60°C) (insoluble)

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	1.6787 mL	8.3933 mL	16.7867 mL
5 mM	0.3357 mL	1.6787 mL	3.3573 mL
10 mM	0.1679 mL	0.8393 mL	1.6787 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 20% HP-β-CD in saline
   Solubility: 10 mg/mL (16.79 mM); Suspension solution; Need ultrasonic
2. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 1.25 mg/mL (2.10 mM); Clear solution
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 1.25 mg/mL (2.10 mM); Clear solution
4. Add each solvent one by one: 5% DMSO >> 40% PEG300 >> 5% Tween-80 >> 50% saline
   Solubility: ≥ 0.89 mg/mL (1.49 mM); Clear solution
5. Add each solvent one by one: 5% DMSO >> 95% (20% SBE-β-CD in saline)
   Solubility: ≥ 0.89 mg/mL (1.49 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

GLPG0187 is a broad spectrum integrin receptor antagonist with antitumor activity; inhibits $\alpha_v\beta_1$-integrin with an IC_{50} of 1.3 nM[1]. GLPG0187 inhibits migrasome biogenesis without cytotoxicity[3].

IC_{50} & Target	IC50: 1.3 nM ($\alpha_v\beta_1$)[1]
In Vitro	In a solid-phase assay, GLPG0187 shows selectivity for several RGD integrin receptors with IC_{50}s of 1.3, 3.7, 2.0, 1.4, 1.2, 7.7 nM for $\alpha_v\beta_1$, $\alpha_v\beta_3$, $\alpha_v\beta_5$, $\alpha_v\beta_6$,$\alpha_v\beta_8$, and $\alpha_5\beta_1$. GLPG0187 is a potent inhibitor of osteoclastic bone resorption and angiogenesis. Treatment with GLPG0187 dose-dependently increases the E-cadherin/vimentin ratio, rendering the cells a more epithelial, sessile phenotype. GLPG0187 dose-dependently diminishes the size of the aldehyde dehydrogenase high subpopulation of prostate cancer cells[1]. GLPG0187 treatment results in cell rounding and clumping. GLPG0187 demonstrates a dose-dependent significant reduction in tumour cell migration. GLPG0187 at all concentrations significantly reduces cell proliferation[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Blocking α_v-integrins by GLPG0187 markedly reduces their metastatic tumor growth. Bone tumor burden is significantly lower and the number of bone metastases/mouse is significantly inhibited. The progression of bone metastases and the formation of new bone metastases during the treatment period is significantly inhibited[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

PROTOCOL

Cell Assay [2]	Tumour cell proliferation is determined using the MTS assay. PC3 cells are seeded at 10,000 cells/well in 96 well plates containing either GLPG0187 (0.5, 5, or 50 ng/mL), vehicle or media control, then cultured in 100 µL medium for 24 hr. Cell proliferation is analysed using 20 µL MTS dye incubated for 3 hr at 37°C in the dark. Absorbance from each well (6/treatment) is quantified at 490 nm and the mean fluorescence calculated. The assay is repeated at 48, 72 and 96 hr, on three independent occasions[2]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
Animal Administration [1]	Mice: The effect of GLPG0187 on bone loss is evaluated in 3-month-old castrated male mice after 4 weeks of treatment with dosing starting immediately after castration (preventive protocol). Two different modes of administration are used: either subcutaneous twice daily with 10, 30, or 100 mg/kg of GLPG0187, either oral, twice daily with 30, 100, or 300 mg/kg of GLPG0187[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

CUSTOMER VALIDATION

- Cell. 2021 Sep 16;184(19):4919-4938.e22.
- Gut. 2021 Jan 19;gutjnl-2020-323719.
- Arterioscler Thromb Vasc Biol. 2023 Apr 20.
- J Pharmaceut Biomed. 2021 Feb 20;195:113825.
- Oxid Med Cell Longev. 2020 May 16;2020:6384803.

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REFERENCES

[1]. Puzhong Lu, et al. Chemical screening identifies ROCK1 as a regulator of migrasome formation. Cell Discov. 2020 Aug 4;6(1):51.

[2]. van der Horst G, et al. 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.

[3]. Reeves KJ, et al. 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.

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