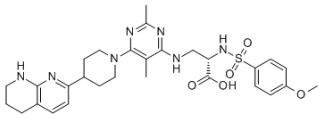
GLPG0187

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 6 months -20°C 1 month



Solvent & Solubility

In Vitro

DMSO : 15 mg/mL (25.18 mM; Need ultrasonic and warming)

Preparing Stock Solutions

Concentration \ Solvent \ Mass	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.

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.
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].
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].

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.

REFERENCES

[1]. 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.

[2]. 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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