上海源叶生物科技有限公司
Shanghai yuanye Bio-Technology Co., Ltd
电话：021-61312973　　传真：021-55068248
网址：www.shyuanye.com
邮箱：shyysw@sina.com

产品名称：GLPG0187
产品别名：GLPG0187

生物活性：

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].
Solvent&Solubility	***In Vitro:*** **DMSO : 15 mg/mL (25.18 mM;** Need ultrasonic and warming)

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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

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.

实验参考：

Cell Assay	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].
Animal Administration	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].
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.