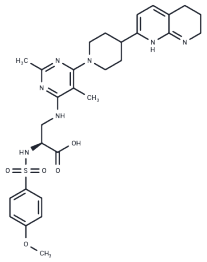
Data Sheet (Cat.No.T4259)

TargetMol

GLPG0187

Chemical Properties



CAS No. : 1320346-97-1

Formula: C29H37N7O5S

Molecular Weight: 595.71

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	GLPG0187, a broad spectrum integrin receptor antagonist, inhibits αvβ1-integrin (IC50: 1.3 nM).
Targets(IC50)	Integrin
In vitro	GLPG0187 is an effective inhibitor of osteoclastic bone resorption and angiogenesis. In a solid-phase assay, GLPG0187 shows selectivity for several RGD integrin receptors (IC50s: 1.3/3.7/2.0/1.4/1.2/7.7 nM, for αvβ1/3/5/6/8 and α5β1). GLPG0187 dose-dependently increases the E-cadherin/vimentin ratio. GLPG0187 dose-dependently reduces the size of the aldehyde dehydrogenase high subpopulation of prostate cancer cells. GLPG0187 causes cell rounding and clumping. GLPG0187 dose-dependently and markedly reduces in tumor cell migration. At all concentrations, GLPG0187 markedly reduces cell proliferation.
In vivo	GLPG0187 obviously reduces their metastatic tumor growth by blocking αv-integrins. GLPG0187 markedly lows Bone tumor burden and markedly inhibits the number of bone metastases/mouse. The progression of bone metastases and the formation of new bone metastases during the treatment period is significantly inhibited by GLPG0187.
Kinase Assay	HSP90 competition isothermal calorimetry: Kd values for AT13387 binding to HSP90 are determined with a competition Isothermal Calorimetry (ITC) format. ITC experiments are performed on a Micro Cal VP-ITC at 25 °C in a buffer comprising 25 mM Tris, 100 mM NaCl, 1 mM MgCl2 and 1 mM Tris(2-carboxy- ethyl)phosphine at pH 7.4 in order to maintain the higher affinit
Cell Research	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 analyzed 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.
Animal Research	GLPG0187 is prepared in 1:1 dimethyl sulfoxide in PBS.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.

Solubility Information

Solubility	DMSO: 13.38 mg/mL (22.46 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 1 mg/mL (1.68 mM),Sonication is recommended. *Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.*

Preparing Stock Solutions

	1mg	5mg	10mg
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
50 mM	0.0336 mL	0.1679 mL	0.3357 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

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.
Reeves KJ, et al. Prostate cancer cells home to bone using a novel in vivo model: modulation by the integrin antagonist GLPG20187. Int J Cancer. 2015 Apr 1;136(7):1731-40.