Product Datasheet

GLPG0187

Catalog No. **BP-01867** · CAS **1320346-97-1** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-07**

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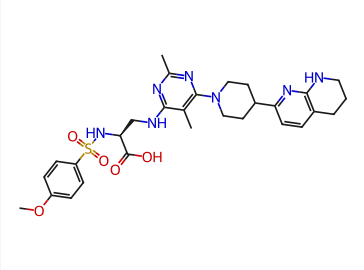
Target	Research area
Integrin	**Cancer**

Molecular formula	$C_{29}H_{37}N_7O_5S$
Molecular weight	**595.7130**

STRUCTURE



DESCRIPTION

GLPG0187 is a broad spectrum integrin receptor antagonist with antitumor activity; inhibits αvβ1-integrin with an IC50 of 1.3 nM. GLPG0187 inhibits migrasome biogenesis without cytotoxicity.

BIOLOGICAL ACTIVITY

In Vitro

In a solid-phase assay, GLPG0187 shows selectivity for several RGD integrin receptors with IC50s of 1.3, 3.7, 2.0, 1.4, 1.2, 7.7 nM for αvβ1, αvβ3, αvβ5, αvβ6,αvβ8, and α5β1. 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. 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.

In Vivo

Blocking αv-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.

SOLUBILITY

Soluble in DMSO

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

[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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