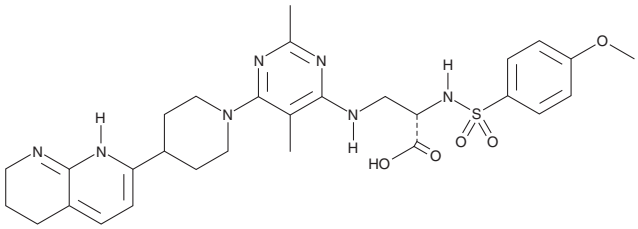
PRODUCT INFORMATION

GLPG0187

Item No. 21792

CAS Registry No.:	1320346-97-1
Formal Name:	3-[[2,5-dimethyl-6-[4-(5,6,7,8-tetrahydro-1,8-naphthyridin-2-yl)-1-piperidinyl]-4-pyrimidinyl]amino]-N-[(4-methoxyphenyl)sulfonyl]-L-alanine
MF:	$C_{29}H_{37}N_7O_5S$
FW:	595.7
Purity:	≥98%
UV/Vis.:	λ_{max}: 240 nm
Supplied as:	A crystalline solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

GLPG0187 is supplied as a crystalline solid. A stock solution may be made by dissolving the GLPG0187 in the solvent of choice, which should be purged with an inert gas. GLPG0187 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of GLPG0187 in these solvents is approximately 3 and 1 mg/ml, respectively.

GLPG0187 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, GLPG0187 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. GLPG0187 has a solubility of approximately 0.5 mg/ml in a 1:1 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

GLPG0187 is a nonpeptide antagonist of α_v integrin receptors (IC_{50}s = 1.2-3.7 nM).[1] It inhibits osteoclastogenesis, bone resorption, and angiogenesis *in vitro* and *in vivo* in mice, which are all hallmarks of bone marrow invasion in metastatic prostate cancer. GLPG0187 reduces epithelial-mesenchymal transition (EMT) and migration of PC-3M-Pro4/luc cells in a dose-dependent manner without inhibiting cell growth. GLPG0187 also induces detachment and necrosis of GL-261 and SMA-560 murine glioma cells.[2]

References

1. van der Horst, G., van den Hoogen, C., Buijis, J.T., *et al.* Targeting of α_v-integrins in stem/progenitor cells and supportive microenvironment impairs bone metastasis in human prostate cancer. *Neoplasia* **13(6)**, 516-525 (2011).
2. Silginer, M., Weller, M., Ziegler, U., *et al.* Integrin inhibition promotes atypical anoikis in glioma cells. *Cell Death Dis.* **5**, e1012 (2014).

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