

Produktinformation



Forschungsprodukte & Biochemikalien



Zellkultur & Verbrauchsmaterial



Diagnostik & molekulare Diagnostik



Laborgeräte & Service

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PRODUCT INFORMATION



GLPG0187

Item No. 21792

CAS Registry No.: 1320346-97-1

3-[[2,5-dimethyl-6-[4-(5,6,7,8-tetrahydro-1,8-Formal Name:

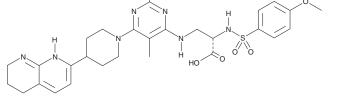
naphthyridin-2-yl)-1-piperidinyl]-4-pyrimidinyl] amino]-N-[(4-methoxyphenyl)sulfonyl]-L-alanine

MF: $C_{29}H_{37}N_7O_5S$

595.7 FW: ≥98% **Purity:** UV/Vis.: λ_{max} : 240 nm Supplied as: A crystalline solid

Storage: -20°C Stability: ≥2 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. GLPG0187 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. 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 (IC₅₀s = 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 Formulations containing GLPG0187 are being tested in Phase I clinical trials for the treatment of high-grade gliomas and other advanced solid malignancies.³

References

- 1. van der Horst, G., van den Hoogen, C., Buijis, J.T., et al. Targeting of α, 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).
- 3. Cirkel, G.A., Kerklaan, B.M., Vanhoutte, F., et al. A dose escalating phase I study of GLPG0187, a broad spectrum integrin receptor antagonist, in adult patients with progressive high-grade glioma and other advanced solid malignancies. Invest New Drugs 34(2), 184-192 (2016).

WARNING
THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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