Web: www.taiclone.com
Tel: +886-2-2735-9682
Email: order@taiclone.com

Cilengitide

Catalog No: tcsc1211

Available Sizes

Size: 5mg

Size: 10mg

Size: 50mg

Size: 100mg

Specifications

CAS No:
188968-51-6

Formula:
$C_{27}H_{40}N_8O_7$

Pathway:
Cytoskeleton;Autophagy

Target:
Integrin;Autophagy

Purity / Grade:
>98%

Solubility:
DMSO : ≥ 44 mg/mL (74.75 mM); H2O : ≥ 32 mg/mL (54.36 mM)

Alternative Names:
EMD 121974

Observed Molecular Weight:
588.66

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Product Description

Cilengitide is a potent and selective **integrin** inhibitor for $\alpha_v\beta_3$ and $\alpha_v\beta_5$ receptor, inhibits binding of isolated $\alpha_v\beta_3$ and $\alpha_v\beta_5$ to vitronectin with an **IC_{50}** value of 4 and 79 nM, respectively.

IC50 & Target: IC50: 4 and 79 nM ($\alpha_v\beta_3$ and $\alpha_v\beta_5$)[1]

In Vitro: Cilengitide (EMD 121974) is the $\alpha_v\beta_3$ and $\alpha_v\beta_5$ integrin receptor antagonist. In cell adhesion studies assessing the human melanoma M21 or UCLA-P3 human lung carcinoma cell lines, Cilengitide inhibits integrin-mediated binding to vitronectin with IC_{50}s of 0.4 and 0.4 μM[1]. In vitro treatment of Cilengitide, at a concentration greater than 1 μM, shows concentration- and time-dependent cytotoxic effects. However, lower doses of Cilengitide monotherapy (0.1 and 0.5 μM) does not elicit the effective death of the both U87MG and U251MG cells. Significant cytotoxic effects are observed in the U87MG cells with the addition of 1 μM Cilengitide in combination with Belotecan monotherapy at concentration of 6.25 nM. Higher concentrations of Cilengitide (5 and 25 μM) does not significantly increase cell death in the U87MG and U251MG compare to a lower concentration of Cilengitide (1 μM)[2].

In Vivo: In nude mice bearing M21-L melanoma tumors, Cilengitide dose i.p. at 10, 50, and 250 μg three times per week demonstrated inhibition of tumor growth with a reduction in both tumor volume (55%, 75%, and 89%, respectively) and tumor weight (23%, 38%, and 61%, respectively), when compared to controls[2]. In the rat model studied, the systemic pharmacokinetics of i.p. Cilengitide are not affected by ILP with Cilengitide alone or ILP with Cilengitide plus Melphalan, TNF or both. Systemic Cilengitide levels reach around 20 μg/mL (approximately 35 μM) within 10 min of i.p. administration and continued to rise to approximately 40 μg/mL (approximately 70 μM) in the first hour. Thereafter Cilengitide levels in serum dropped with an elimination half-life of 2.1 hr[3].