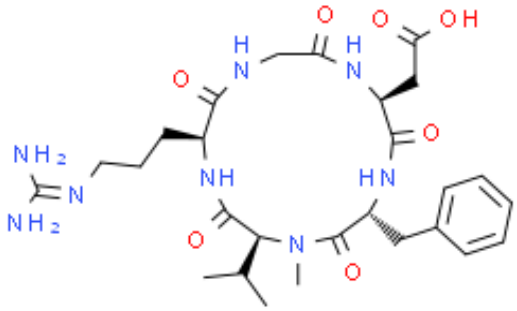
Product Data Sheet

Cas No.:	188968-51-6	Cat. No:	PL08972
Product Name:	Cilengitide		
Product synonym:	西仑吉肽;环(L-精氨酰甘氨酰-L-天冬氨酰-D-苯丙氨酰-N-甲基-L-缬氨酰);倍他司汀二盐酸盐		
Chemical name:	Cilengitide		
MF:	C27H40N8O7	FW:	588.6559
Purity:	≥99%	Batch No.:	-
Storage:			
Structural formula:			
λmax：	-	Formulation：	-
Solubility :			
SMILES :	O=C1[C@]([H])(C([H])(C([H])([H])[H])C([H])([H])[H])N(C([H])([H])[H])C([C@@]([H])(C([H])([H])C2C([H])=C([H])C([H])=C([H])C=2[H])N([H])C([C@]([H])(C([H])([H])C(=O)O[H])N([H])C(C([H])([H])N([H])C([C@]([H])(C([H])([H])C([H])([H])C([H])([H])[H])/N=C(\N([H])[H])/N([H])[H])N1[H])=O)=O)=O)=O		
InChI Code:	-		
InChI Key:			
WARNING This product is not for human or veterinary use.			

Product Description

Cilengitide (EMD 121974) 是一种强效的整合素拮抗剂，IC_{50} 分别为 0.61 nM ($\alpha_v\beta_3$)，8.4 nM ($\alpha_v\beta_5$) 和 14.9 nM ($\alpha_5\beta_1$)。Cilengitide 抑制 $\alpha_v\beta_3$ 和 $\alpha_v\beta_5$ 与玻连蛋白结合，IC_{50} 值分别为 4 和 79 nM。Cilengitide 能够抑制 TGF-β/Smad 信号通路，调节 PD-L1 表达。Cilengitide 诱导凋亡 (apoptosis)，在对胶质母细胞瘤和其他癌症的研究中也显示出抗血管生成的作用。

生物活性	Cilengitide (EMD 121974) is a potent integrins antagonist with IC 50 s of 0.61 nM (α v β 3), 8.4 nM (α v β 5) and 14.9 nM (α 5 β 1), respectively. Cilengitide inhibits the binding of α v β 3 and α v β 5 to Vitronectin with IC 50 s of 4 nM and 79 nM, respectively. Cilengitide inhibits TGF-β/Smad signaling, mediates PD-L1 expression. Cilengitide also induces apoptosis, shows antiangiogenic effect in the research against glioblastoma and other cancers.
IC50 & Target[1][2]	αvβ3 4 nM (IC50, αvβ3-Vitronectin interaction) αvβ5

体外研究(In Vitro)	Cilengitide is a cyclized RGD (Arg-Gly-Asp motif)-containing pentapeptide. Cilengitide blocks integrin αvβ3- and αvβ5-mediated endothelial cell attachment and migration. Cilengitide inhibits integrin-mediated binding to Vitronectin with IC50s of 0.4 and 0.4 μM in cell adhesion studies assessing the human melanoma M21 or UCLA-P3 human lung carcinoma cell lines. Cilengitide inhibits the attachment of human umbilical vein endothelial cells to Vitronectin with an IC50 of 2 μM. Cilengitide (0-1 mg/mL; 24-72 h) inhibits cell viability of melanoma cells in vitro and (5 μg/mL; 12 h) induces B16 and A375 cells apoptosis. Cilengitide (5 μg/mL, 10 μg/mL; 2 weeks) inhibits colony formation of B16 and A375 cells. Cilengitide (0-20 μg/mL; 12 h) inhibits STAT3 phosphorylation to decrease the expression of PD-L1.
体内研究(In Vivo)	Cilengitide (i.p. at 10, 50, and 250 μg three times per week) inhibits M21-L melanoma tumors growth in nude mice. Cilengitide (50 mg/kg; i.p.; daily) enhances the function of CD8+ T cells and promotes anti-PD1 efficacy with Anti-PD1 monoclonal antibody in B16 murine melanoma model. has not independently confirmed the accuracy of these methods. They are for reference only.
包装储存	Powder -20°C 3 years； In solvent -80°C 6 months
溶解度数据	In Vitro: H_2O : 100 mg/mL (169.88 mM; Need ultrasonic)DMSO : ≥ 44 mg/mL (74.75 mM)