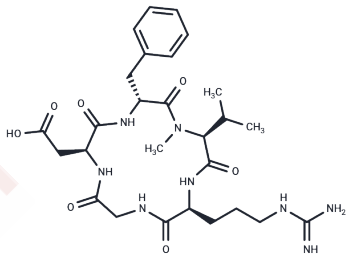
Data Sheet (Cat.No.T2494)

TargetMol

Cilengitide

Chemical Properties



CAS No. : 188968-51-6

Formula: C27H40N8O7

Molecular Weight: 588.66

Storage: Keep away from moisture
Powder: -20°C for 3 years | In solvent: -80°C for 1 year
Actual storage temperature shall be subject to the COA.

Biological Description

Description	Cilengitide (EMD 121974) is a potent integrin inhibitor for the αvβ3/5 receptor (IC50: 4.1 /79 nM, in cell-free assays) with approximately 10-fold selectivity against gpIIbIIIa.
Targets(IC50)	Apoptosis,STAT,PD-1/PD-L1,Autophagy,Integrin
Cell Research	Cilengitide is supplied as an apyrogenic sterile infusion solution in physiological saline. Cilengitide is diluted in saline to a concentration of 1 mM[2]. The cytotoxicity of the two drugs, Belotecan and Cilengitide, is measured by the Cell Counting Kit-8 (CCK-8). U87 mg and U251 mg cells are seeded in 96 well plates at a density of 4×103 cells per well to allow for adhesion overnight. After this, the cells are treated with Cilengitide at a concentration of 0, 0.1, 0.5, 1, 5 and 25 μM and Belotecan at a concentration of 0, 6.25, 12.5, 25, 50 and 100 nM. All possible combinations of concentrations are used to assess the combined therapeutic effect of Cilengitide and Belotecan. After 3 days, 10 μL of the CCK-8 solution is added to each well of the plate, and the plate is incubated for 3 hr in the incubator (37°C; 5% CO2). The optical density (OD) of the sample plate is measured at 450 nm in a microplate reader. The viability of tumor cells is assessed by calculating the OD ratio of the specific OD in each sample to that of the control. Each experiment is conducted in quadruplicate. The values are averaged and normalized against the controls to generate dose-response curves[2].

Solubility Information

Solubility	H2O: 7.69 mg/mL (13.06 mM),Sonication is recommended. DMSO: 45.45 mg/mL (77.21 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6988 mL	8.4939 mL	16.9877 mL
5 mM	0.3398 mL	1.6988 mL	3.3975 mL
10 mM	0.1699 mL	0.8494 mL	1.6988 mL
50 mM	0.034 mL	0.1699 mL	0.3398 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Hariharan S, et al. Assessment of the biological and pharmacological effects of the alpha nu beta3 and alpha nu beta5 integrinreceptor antagonist, Cilengitide (EMD 121974), in patients with advanced solid tumors. Ann Oncol. 2007 Aug;18(8):1400-7.

Xing Q, Feng Y, Sun H, et al. Scavenger receptor MARCO contributes to macrophage phagocytosis and clearance of tumor cells. Experimental Cell Research. 2021: 112862

Kim YH, et al. Combination therapy of cilengitide with belotecan against experimental glioblastoma. Int J Cancer. 2013 Aug 1;133(3):749-56.

ten Hagen, T., Seynhaeve, A., de Wiel-Ambagtsheer, G., de Bruijn, E., van Tiel, S., & Ruegg, C. et al. (2012). The αVβ3/αVβ5 integrin inhibitor cilengitide augments tumor response to melphalan isolated limb perfusion in a sarcoma model. International Journal Of Cancer, 132(11), 2694-2704. doi: 10.1002/ijc.27940