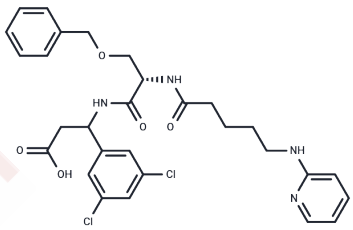
Data Sheet (Cat.No.T40943)

TargetMol

EMD527040

Chemical Properties



CAS No. : 851333-14-7

Formula: C29H32Cl2N4O5

Molecular Weight: 587.5

Storage: 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	EMD527040 is a powerful and highly specific αvβ6 antagonist, exhibiting notable antifibrotic properties. It is suitable for conducting research on carcinoma and liver fibrosis.
Targets(IC50)	Others,Integrin
In vitro	EMD527040 demonstrates selective inhibition, impeding the binding of recombinant αvβ6 to fibronectin with an IC50 of 6 nM, significantly more effective than its action on αvβ3 and αvβ5 integrins, which exhibit IC50 values of >9.5 μM. Furthermore, it inhibits the attachment of αvβ6-expressing cells (UCLAP3 cells) to fibronectin with an IC50 of 1.6 μM, a potency markedly higher than that observed for αvβ3 and αvβ5 integrins, where IC50 values exceed 50 μM[1].
In vivo	EMD527040, administered through intraperitoneal injection at dosages ranging from 20-60 mg/kg from the second to the sixth week post-bile duct ligation (BDL), significantly mitigates bile ductular proliferation and peri-biliary collagen accumulation by 40-50%. It concurrently downregulates fibrogenic genes while upregulating fibrolytic genes, leading to improved liver structure and functionality. In addition, EMD527040 markedly decreased liver and spleen weights by 22% and 50%, respectively, in Mdr2(Abcb4) -/- mice. This was observed in a study employing adult male Wistar rats, showcasing amelioration of fibrosis progression in rodents afflicted with biliary fibrosis[Wistar rats, 20-60 mg/kg, intraperitoneal injection, weeks 2-6 post-BDL].

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.7021 mL	8.5106 mL	17.0213 mL
5 mM	0.3404 mL	1.7021 mL	3.4043 mL
10 mM	0.1702 mL	0.8511 mL	1.7021 mL
50 mM	0.034 mL	0.1702 mL	0.3404 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

Eleonora Patsenker, et al. Inhibition of integrin alphavbeta6 on cholangiocytes blocks transforming growth factor-beta activation and retards biliary fibrosis progression. Gastroenterology. 2008 Aug;135(2):660-70.
Yury Popov, et al. Integrin alphavbeta6 is a marker of the progression of biliary and portal liver fibrosis and a novel target for antifibrotic therapies. J Hepatol