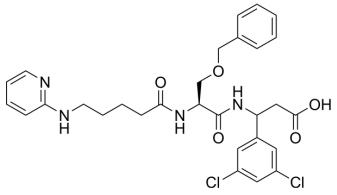
EMD527040

Cat. No.:	HY-101473
CAS No.:	851333-14-7
Molecular Formula:	$C_{29}H_{32}Cl_2N_4O_5$
Molecular Weight:	587.49
Target:	Integrin
Pathway:	Cytoskeleton
Storage:	Powder -20°C 3 years In solvent -80°C 6 months -20°C 1 month



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (170.22 mM; Need ultrasonic)

Preparing Stock Solutions	Mass / Solvent / Concentration	1 mg	5 mg	10 mg
	1 mM	1.7022 mL	8.5108 mL	17.0216 mL
	5 mM	0.3404 mL	1.7022 mL	3.4043 mL
	10 mM	0.1702 mL	0.8511 mL	1.7022 mL

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: ≥ 2.5 mg/mL (4.26 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (4.26 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

EMD527040 is a potent and highly selective αvβ6 antagonist with antifibrotic activities. EMD527040 can be used for carcinoma and liver fibrosis research[1].

IC_{50} & Target

αvβ6
6 nM (IC_{50})

In Vitro

EMD527040 inhibits binding of recombinant αvβ6 to fibronectin at 6 nM as compared to >9.5 μM for αvβ3 and αvβ5 integrins ($IC50_{50}$)[1].
EMD527040 inhibits the attachment of αvβ6 expressing cells (UCLAP3 cells) to fibronectin at IC_{50} of 1.6 μM, as compared to >50 μM for αvβ3 and αvβ5 integrins[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo	EMD527040 (intraperitoneal injection; 20-60 mg/kg; week 2 to 6 after BDL) attenuates bile ductular proliferation and peribiliary collagen deposition by 40-50%, induces downregulation of fibrogenic and upregulation of fibrolytic genes, and improves liver architecture and function. EMD527040 significantly reduced liver and spleen weights by 22% and 50%, respectively in Mdr2(Abcb4)$^{-/-}$ mice[1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male adult Wistar rats
Dosage:	20-60 mg/kg
Administration:	Intraperitoneal injection; 20-60 mg/kg; week 2 to 6 after BDL (bile duct ligation)
Result:	Ameliorated fibrosis progression in rodents with biliary fibrosis.

REFERENCES

[1]. 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.

[2]. 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

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