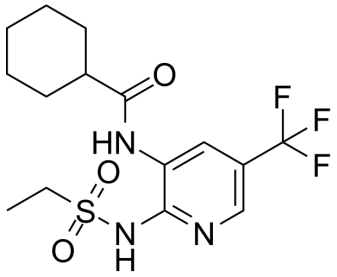
Fuzapladib

Cat. No.:	HY-19151
CAS No.:	141283-87-6
Molecular Formula:	$C_{15}H_{20}F_3N_3O_3S$
Molecular Weight:	379.4
Target:	Phospholipase; Integrin
Pathway:	Metabolic Enzyme/Protease; Cytoskeleton
Storage:	4°C, protect from light * In solvent : -80°C, 6 months; -20°C, 1 month (protect from light)



SOLVENT & SOLUBILITY

In Vitro

DMSO : 100 mg/mL (263.57 mM; Need ultrasonic)

Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg	10 mg
	1 mM	2.6357 mL	13.1787 mL	26.3574 mL
	5 mM	0.5271 mL	2.6357 mL	5.2715 mL
	10 mM	0.2636 mL	1.3179 mL	2.6357 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 (6.59 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.59 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

Fuzapladib (IS-741), an orally active leukocyte-function-associated antigen type 1 (LFA-1) activation inhibitor, is a leukocyte adhesion molecule. Fuzapladib is also a phospholipase A2 (PLA2) inhibitor. Fuzapladib exerts anti-inflammatory effects by inhibiting leukocyte migration into the inflammatory site[1][2].

In Vitro

Fuzapladib (IS-741) (1 μM, 3 h) can significantly inhibit the adhesion of HL-60 cells to HUVEC under the stimulation of lipopolysaccharide[3].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

Fuzapladib (IS-741) (p.o., 50 mg/kg, 7 days) inhibits neutrophil infiltration into inflamed lesions, and is effective for attenuating rat trinitrobenzene sulfonic acid (TNBS) ileitis[4].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Rats[4]
Dosage:	50 mg/kg
Administration:	P.o.; for 7 days
Result:	Significantly reduced myeloperoxidase (MPO) activity and mucosal IL-8 levels in rat ileum, reduced polymorphonuclear cells and Mac-1 positivity Infiltration of cells into inflammatory lesions, effectively alleviated trinitrobenzenesulfonic acid (TNBS)-induced ileitis.

REFERENCES

[1]. Shikama H, et al. Effect of IS-741 on cell adhesion between human umbilical vein endothelial cells and HL-60 cells. Biol Pharm Bull. 1999 Feb;22(2):127-31.

[2]. Tetsuya Fukunaga, et al. A novel diamino-pyridine derivative (IS-741) attenuates rat ileitis induced by trinitrobenzene sulfonic acid. J Gastroenterol. 2003;38(5):451-9.

[3]. Noriyuki Kaji, et al. Fuzapladib reduces postsurgical inflammation in the intestinal muscularis externa. J Vet Med Sci. 2023 Sep 21.

[4]. C Bassi. IS-741 (Ishihara Sangyo). Curr Opin Investig Drugs. 2001 Apr;2(4):510-2.

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