Fuzapladib sodium

Cat. No.: HY-19151A CAS No.: 141284-73-3

Molecular Formula: $C_{15}H_{20}F_3N_3NaO_3S$ Molecular Weight: 402.39

Target: Phospholipase; Integrin

Pathway: Metabolic Enzyme/Protease; Cytoskeleton

-20°C Storage: Powder 3 years

2 years

-80°C In solvent 6 months

> -20°C 1 month

Product Data Sheet

SOLVENT & SOLUBILITY

In Vitro

DMSO: 100 mg/mL (248.52 mM; ultrasonic and warming and heat to 60°C)

Preparing Stock Solutions	Solvent Mass Concentration	1 mg	5 mg	10 mg
	1 mM	2.4852 mL	12.4258 mL	24.8515 mL
	5 mM	0.4970 mL	2.4852 mL	4.9703 mL
	10 mM	0.2485 mL	1.2426 mL	2.4852 mL

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

In Vivo

- 1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution
- 2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline) Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution
- 3. Add each solvent one by one: 10% DMSO >> 90% corn oil Solubility: ≥ 2.5 mg/mL (6.21 mM); Clear solution

BIOLOGICAL ACTIVITY

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

In Vitro Fuzapladib sodium (IS-741 sodium) (1 μ M, 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 sodium (IS-741 sodium) (p.o., 50 mg/kg, 7 days) inhibits neutrophil infiltration into inflamed lesions, and is effective for attenuating rat trinitrobenzene sulfonic acid (TNBS) ileitis $^{[4]}$.

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Animal Model:	Rats ^[2]		
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.

Caution: Product has not been fully validated for medical applications. For research use only.

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