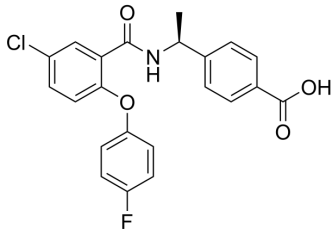
CJ-42794

Cat. No.:	HY-10797
CAS No.:	847728-01-2
Molecular Formula:	$C_{22}H_{17}ClFNO_4$
Molecular Weight:	413.83
Target:	Prostaglandin Receptor
Pathway:	GPCR/G Protein
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : ≥ 28 mg/mL (67.66 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.4165 mL	12.0823 mL	24.1645 mL
5 mM	0.4833 mL	2.4165 mL	4.8329 mL
10 mM	0.2416 mL	1.2082 mL	2.4165 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 (6.04 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% (20% SBE-β-CD in saline)
   Solubility: 2.5 mg/mL (6.04 mM); Suspended solution; Need ultrasonic
3. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.04 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

CJ-42794 (CJ-042794) is a potent, orally active, selective prostaglandin E receptor 4 (EP4) antagonist with an IC_{50} value of 10 nM, which is 200-fold more selective than EP1, EP2 and EP3. CJ-42794 can be used in research of gastric ulcers[1][2].

IC_{50} & Target

EP
10 nM (EC50)

In Vitro

CJ-042794 (CJ-042794, 0.3-5000 nM; 10 min; hEP4/HEK293 cells) inhibits the PGE_2-induced elevation of cAMP in a concentration-dependent manner with a pIC_{50} value of 7.5[1].
CJ-042794 (3-3000 nM; 24 h) reverses the inhibitory effects of PGE_2 (10 nM) on the LPS-induced TNFα production in human whole blood (HWB) in a concentration-dependent manner with a pIC50 value of 6.4[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

CJ-042794 (CJ-042794; 0.3-3 mg/kg; i.d.; once) antagonizes the HCO3 stimulatory action of AE1-329 in the duodenum[1].
CJ-042794 (30 and 50 mg/kg; p.o.; once) does not cause any damage to the gastric mucosa of normal rats and has no gastric ulcerogenic response to cold-restraint stress[1].
CJ-042794 (30 and 50 mg/kg; p.o.; once) does not damage the stomach and small intestine of helper arthritis rats[1].
CJ-042794 (3-45 mg/kg; p.o.; twice daily for 14 d; Sprague-Dawley rats) promotes spontaneous healing of gastric ulcers[1].
CJ-042794 (10 mg/kg; p.o.; daily, for 7 d) repeats administration impairs the healing of chronic gastric ulcers with a down-regulation of vascular endothelial growth factor expression in the ulcerated mucosa[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	Male Sprague-Dawley rats (200-230 g)[1]
Dosage:	0.3, 1, and 3 mg/kg
Administration:	intradermal injection; once
Result:	Attenuated the PGE_2-stimulated HCO_3 secretion in a dose-dependent manner and had the inhibition being 68.9% at 1 mg/kg.

Animal Model:	Male Sprague-Dawley rats (200-230 g)[1]
Dosage:	30 and 50 mg/kg
Administration:	Oral administration; once
Result:	Did not produce any damage in the gastrointestinal mucosa. Did not produce gastric ulcerogenic response induced by cold-restraint stress.

Animal Model:	Dark Agouti (DA) rats (140-160 g)[1]
Dosage:	30 and 50 mg/kg
Administration:	Oral administration; once
Result:	Caused any visible damage in the gastric mucosa of normal rats. Had little injurious effect on the small intestine of arthritic rats.

Animal Model:	Male Sprague-Dawley rats (200-230 g)[1]
Dosage:	3, 10, and 45 mg/kg
Administration:	Oral administration; twice daily for 14 days
Result:	Healed ulcers gradually within 14 days, and the ulcer score on day 17 was 1.6 mm^2.

Animal Model:	Male Sprague-Dawley rats (200-230 g)[1]
Dosage:	10 mg/kg

Administration:	Oral administration; daily for 7 days
Result:	Down-regulates the expression of VEGF and decreased the angiogenic response.

REFERENCES

[1]. Murase A, et, al. In vitro pharmacological characterization of CJ-042794, a novel, potent, and selective prostaglandin EP(4) receptor antagonist. Life Sci. 2008 Jan 16;82(3-4):226-32.

[2]. Takeuchi K, et, al. Effect of (S)-4-(1-(5-chloro-2-(4-fluorophenyoxy)benzamido)ethyl) benzoic acid (CJ-42794), a selective antagonist of prostaglandin E receptor subtype 4, on ulcerogenic and healing responses in rat gastrointestinal mucosa. J Pharmacol Exp Ther. 2007 Sep;322(3):903-12.

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