

## Product Data Sheet

Product Name: CJ-42794

Cat. No.: GC13284

### Chemical Properties

Cas. No. 847728-01-2

Chemical Name 4-[(1S)-1-[[5-chloro-2-(4-fluorophenoxy)benzoyl]amino]ethyl]-benzoic acid

SMILES FC1=CC=C(OC2=C(C(N[C@@H](C)C3=CC=C(C(O)=O)C=C3)=O)C=C(Cl)C=C2)C=C1

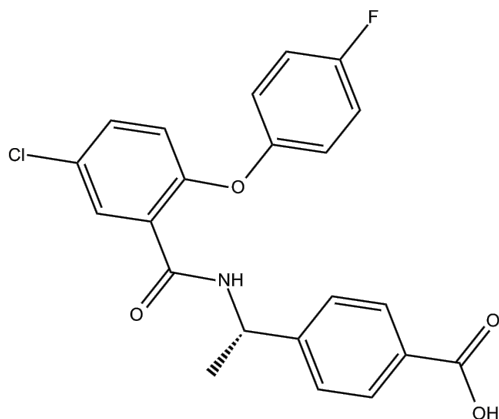
Formula  $C_{22}H_{17}ClFNO_4$  M.Wt 413.8

Solubility  $\geq 11.7\text{mg/mL}$  in DMSO Storage Store at  $-20^\circ\text{C}$

General tips For obtaining a higher solubility, please warm the tube at  $37^\circ\text{C}$  and shake it in the ultrasonic bath for a while. Stock solution can be stored below  $-20^\circ\text{C}$  for several months.

Shipping Condition Evaluation sample solution: ship with blue ice All other available size: ship with RT, or blue ice upon request.

Structure



### Background

Ki: 3.16 nM: antagonizes E prostanooid receptor 4 (EP4).

Ki: 631 nM: antagonizes EP2.

CJ-42794, as a selective antagonist of EP4, less binds to EP2 and does not have binding affinity for

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

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EP1 or EP3. It displays minimal effect on numerous other receptors, channels, or enzymes. CJ-42794 delays the healing of gastric ulcers, inhibiting the upregulation of VEGF expression and angiogenesis. EP4, activated by prostaglandin E2 (PGE2), is a G-protein-coupled receptor, which plays vital roles in bone formation and resorption, cancer, and atherosclerosis via elevating the second messenger cyclic AMP (cAMP).

In vitro: CJ-42794 exhibited remarkable binding activity to EP4 and suppressed PGE2-triggered elevations of intracellular cAMP levels in a concentration-dependent fashion in HEK293 cells overexpressing human EP4. Moreover, CJ-42794, concentration-dependently, reversed the inhibitory effects of PGE2 on lipopolysaccharide-evoked tumor necrosis factor  $\alpha$  production, which suggested that CJ-42794 had excellent pharmacological properties used for exploring the physiological role of EP4 [1].

In vivo: Male Sprague-Dawley rats and C57BL/6 mice were given subcutaneously 3 and 10 mg/kg for rats, 10 mg/kg for mice once daily for 7 or 14 days. Compared to the controls, CJ-42794, in a dose-dependent manner, impaired and delayed the gastric ulcer healing in rats and mice. CJ-42794 markedly dampened the increase of VEGF expression in ulcerated mucosa of the mouse stomach and the primary gastric fibroblasts of rat [2].

### References:

- [1]. Murase, A., Taniguchi, Y., Tonai-Kachi, H., Nakao, K., & Takada, J. In vitro pharmacological characterization of CJ-042794, a novel, potent, and selective prostaglandin EP4 receptor antagonist. *Life Sciences*. 2008; 82(3-4): 226-232.
- [2]. Hatazawa, R., Tanaka, A., Tanigami, M., Amagase, K., Kato, S., Ashida, Y., & Takeuchi, K. Cyclooxygenase-2/prostaglandin E2 accelerates the healing of gastric ulcers via EP4 receptors. *AJP: Gastrointestinal and Liver Physiology*. 2007; 293(4): G788-G797.

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