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产品名称：4-[(1S)-1-[[5-氯-2-(4-氟苯氧基)苄基]氨基]乙基]苯甲酸
产品别名：CJ-42794

生物活性：

Description

CJ-42794 is a selective prostaglandin E receptor subtype 4 (EP4) antagonist, inhibits [3H]-PGE2 binding to the human EP4 receptor with a mean pKi of 8.5, a binding affinity that was at least 200-fold more selective for the human EP4 receptor than other human EP receptor subtypes (EP1, EP2, and EP3). IC50 value: 8.5 (pKi) [1] Target: EP4 in vitro: CJ-042794 competitively inhibits PGE2-evoked elevations of intracellular cAMP levels in HEK293 cells overexpressing human EP4receptor with a mean pA2 value of 8.6. PGE2 inhibits the lipopolysaccharide (LPS)-induced production of tumor necrosis factor α (TNFα) in human whole blood (HWB); CJ-042794 reverses the inhibitory effects of PGE2 on LPS-induced TNFα production in a concentration-dependent manner. [1] in vivo: CJ-42794 significantly delays the ulcer healing in rats and mice. The expression of VEGF in primary rat gastric fibroblasts was increased by PGE2 or AE1-329 (EP4 agonist), and these responses were both attenuated by coadministration of CJ-42794.[2]

Solvent&Solubility

In Vitro:

DMSO : ≥ 28 mg/mL (67.66 mM)

H_2O : < 0.1 mg/mL (insoluble)

* "≥" 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

*请根据产品在不同溶剂中的溶解度选择合适的溶剂配制储备液；一旦配成溶液，请分装保存，避免反复冻融造成的产品失效。

储备液的保存方式和期限：-80°C, 6 months; -20°C, 1 month。-80°C 储存时，请在 6 个月内使用，-20°C 储存时，请在 1 个月内使用。

In Vivo:

请根据您的实验动物和给药方式选择适当的溶解方案。以下溶解方案都请先按照 In Vitro 方式配制澄清的储备液，再依次添加助溶剂：

——为保证实验结果的可靠性，澄清的储备液可以根据储存条件，适当保存；体内实验的工作液，建议您现用现配，当天使用； 以下溶剂前显示的百分比是指该溶剂在您配制终溶液中的体积占比；如在配制过程中出现沉淀、析出现象，可以通过加热和/或超声的方式助溶

1.请依序添加每种溶剂： 10% DMSO→40% PEG300 →5% Tween-80 → 45% saline

Solubility: ≥ 2.5 mg/mL (6.04 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.04 mM，饱和度未知) 的澄清溶液。

以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μL PEG300 中，混合均匀；向上述体系中加入 50 μL Tween-80，混合均匀；然后继续加入 450 μL 生理盐水定容至 1 mL。

2.请依序添加每种溶剂： 10% DMSO→ 90% (20% SBE-β-CD in saline)

Solubility: 2.5 mg/mL (6.04 mM); Suspended solution; Need ultrasonic

	此方案可获得 2.5 mg/mL (6.04 mM)的均匀悬浊液，悬浊液可用于口服和腹腔注射。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 20% 的 SBE-β-CD 生理盐水水溶液中，混合均匀。 3.请依序添加每种溶剂： 10% DMSO →90% corn oil Solubility: ≥ 2.5 mg/mL (6.04 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (6.04 mM，饱和度未知) 的澄清溶液，此方案不适用于实验周期在半个月以上的实验。 以 1 mL 工作液为例，取 100 μL 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μL 玉米油中，混合均匀。
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):226-232. [2]. Hatazawa R, et al. Cyclooxygenase-2/prostaglandin E2 accelerates the healing of gastric ulcers via EP4 receptors. Am J Physiol Gastrointest Liver Physiol. 2007 Oct;293(4):G788-97.