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产品名称：FK 3311
产品别名：COX-2 Inhibitor V

生物活性：

Description	FK 3311 (COX-2 Inhibitor V) is a selective inhibitor of COX-2 with antiinflammatory agent.
IC_{50} & Target	COX-2
In Vitro	Cyclooxygenase (COX) is an intracellular enzyme that converts arachidonic acid into prostaglandin (PG)G2 and PGH2[1]. The racemic mixtures and the (R)- and (S)-isomers of the 2 metabolites were inactive in the PGE2 test. IC50 values were more than 100 uM for (2 and 5), compared to 1.6 uM for FK 3311 (COX-2 Inhibitor V). Antiinflammatory activity was assessed by inhibition of adjuvant-induced arthritis, and analgesic activity was determined in the acetic acid-induced writhing assay. Following p.o. administration of 10 mg/kg, racemic (2) and its optical isomers showed activity comparable to FK-3311 (76% inhibition) in the adjuvant arthritis test, whereas racemic (5) showed very weak activity, and (R)- and (S)-(5) were not tested. With regard to analgesic effects, FK-3311 and racemic (2) showed 81 and 62% inhibitions, respectively, at a dose of 100 mg/kg p.o. The (R)- and (S)-isomers of (2) and racemic (5) all showed 46% inhibition of writhing syndrome. (R)- and (S)-(5) were less active showing 16 and 20% inhibitions, respectively[1].
In Vivo	L-PVR, CO, PaO(2), and WDR were significantly better in the FK group than in the control group. Histological tissue edema was mild, and PMN infiltration was significantly reduced in the FK group compared to the control group. The serum TxB(2) levels were significantly lower in the FK group than in the control group, while 6-keto-PGF(1alpha) levels were not significantly reduced. Two-day survival rate was significantly better in the FK group than in the control group[2]. Survival rate was significantly better and serum GOT levels 30 min after reperfusion were significantly lower in the FK high-dose group compared to the other two groups. Four hours after reperfusion, GPT levels and liver tissue flow were significantly better in the FK high-dose group compared to the control. Both 30 min and 4 hr after reperfusion, serum TxB(2) levels were significantly lower in the FK high-dose group compared to the control[3].

In Vitro:

DMSO : ≥ 100 mg/mL (292.97 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	2.9297 mL	14.6486 mL	29.2972 mL
	5 mM	0.5859 mL	2.9297 mL	5.8594 mL
	10 mM	0.2930 mL	1.4649 mL	2.9297 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:

Solvent&Solubility	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 (7.32 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.32 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% corn oil Solubility: ≥ 2.5 mg/mL (7.32 mM); Clear solution 此方案可获得 ≥ 2.5 mg/mL (7.32 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]. Nakamura K, Ochi T, Matsuo M. [Stereoselective synthesis and pharmacological properties of metabolites of new antiinflammatory agent. 4'-Acetyl-2'-(2,4-difluorophenoxy)methanesulfonanilide (FK3311)]. Yakugaku Zasshi. 1995 Nov;115(11):928-36. [2]. Sunose Y, Takeyoshi I, Tsutsumi H, Effects of FK3311 on pulmonary ischemia-reperfusion injury in a canine model. J Surg Res. 2001 Feb;95(2):167-73. [3]. Oshima K, Yabata Y, Yoshinari D, The effects of cyclooxygenase (COX)-2 inhibition on ischemia-reperfusion injury in liver transplantation. J Invest Surg. 2009 Jul-Aug;22(4):239-45.