



上海源叶生物科技有限公司
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产品名称: **FR 180204**
产品别名: **FR 180204**

生物活性:				
Description	FR 180204 is an ATP-competitive and selective ERK inhibitor. FR 180204 inhibits ERK1 and ERK2 with IC50s of 0.51 μ M (Ki=0.31 μ M) and 0.33 μ M (Ki=0.14 μ M), respectively[1].			
IC ₅₀ & Target	ERK1	ERK2	ERK2	ERK1
	0.31 μ M (Ki)	0.14 μ M (Ki)	0.33 μ M (IC ₅₀)	0.51 μ M (IC ₅₀)
In Vitro	In AP-1-transfected cells, FR180204 dose-dependently inhibits AP-1 transactivation with IC50 of 3.1 μ M[1]. FR 180204 inhibits spontaneous mesothelioma cell growth[3].			
In Vivo	FR180204 (100 mg/kg, i.p., b.i.d.) significantly decreases the severity of symptoms and body weight loss in collagen-induced arthritis mice[2]. In a mouse model of dengue virus (DENV) infection, FR180204 limits hepatocyte apoptosis, reduces DENV-induced liver injury, and improves clinical parameters[4].			
Solvent&Solubility	In Vitro: DMSO : $\geq$ 50 mg/mL (152.75 mM) * "$\geq$" means soluble, but saturation unknown.			
	Preparing Stock Solutions	Solvent / Mass / Concentration	1 mg	5 mg
		1 mM	3.0549 mL	15.2746 mL
		5 mM	0.6110 mL	3.0549 mL
		10 mM	0.3055 mL	1.5275 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: $\geq$ 2.5 mg/mL (7.64 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (7.64 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 400 μ L PEG300 中, 混合均匀; 向上述体系中加入 50 μ L Tween-80, 混合均匀; 然后继续加入 450 μ L 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: $\geq$ 2.5 mg/mL (7.64 mM); Clear solution 此方案可获得 $\geq$ 2.5 mg/mL (7.64 mM, 饱和度未知) 的澄清溶液。 以 1 mL 工作液为例, 取 100 μ L 25.0 mg/mL 的澄清 DMSO 储备液加到 900 μ L 20% 的 SBE- β -CD 生理			



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References	[1]. Ohori M, et al. Identification of a selective ERK inhibitor and structural determination of the inhibitor-ERK2 complex. Biochem Biophys Res Commun. 2005 Oct 14;336(1):357-63. [2]. Ohori M, et al. FR180204, a novel and selective inhibitor of extracellular signal-regulated kinase, ameliorates collagen-induced arthritis in mice. Naunyn Schmiedebergs Arch Pharmacol. 2007 Jan;374(4):311-6. [3]. Honda M, et al. Mesothelioma cell proliferation through autocrine activation of PDGF-β receptor. Cell Physiol Biochem. 2012;29(5-6):667-74 [4]. Sreekanth GP, et al. Role of ERK1/2 signaling in dengue virus-induced liver injury. Virus Res. 2014 Aug 8;188:15-26
实验参考:	
Animal Administration	In brief, mice are randomized and grouped by body weight immediately before treatment. Bovine CII is dissolved in 0.1mol/Lacetic acid at the concentration of 10 mg/mL and then emulsified in an equal volume of Freund's complete adjuvant H37Rv. Apart from a naive group, each mouse is immunized with 25 μL of the CII emulsion into the tail base, followed by a boost injection 3 weeks after primary injection (day 0). FR180204 and methylprednisolone are ground and suspended in 0.1% methylcellulose solution to a volume of 5 mL/kg. Drugs are given by twice daily intraperitoneal injection from days 0 to 12 in accordance with pharmacokinetic studies with superior area under the curve and Cmax values of i.p. versus s.c. or p.o. administration. The initial administration is 30 min before the boost CII injection. The clinical score of arthritis is obtained by summing the visual severity grade of each limb, scored as follows: 0, no arthritis; 1, one swollen digit; 2, two or more swollen digits or swelling of the entire paw without ankylosis; 3, gross swelling with ankylosis of the paw. Body weight is measured on day 12. [2]
Kinase Assay	Nunc-Immuno MaxiSorp plates are coated with 20 μg/mL MBP solution in phosphate-buffered saline (PBS). After washing with PBS containing 0.05% Tween 20 (T-PBS), blocking buffer (T-PBS containing 3% BSA) is added to each well and the plates are incubated for 10 min at room temperature. After washing with T-PBS, chemical compounds, ATP and recombinant ERK2 diluted in assay dilution buffer (20 mM Mops, pH 7.2, 25 mM β-glycerol phosphate, 5 mM EGTA, 1 mM sodium orthovanadate, 1 mM dithiothreitol, and 50 μg/mL BSA) and are added to each well. Vehicle groups (containing 0.1% DMSO) and kinase-withdrawal groups are used for the control and basal determinations. After incubation for 1 h at room temperature, plates are washed twice with T-PBS. Anti-phospho MBP antibody (0.2 μg/mL) is added to each well, and the plates are incubated for 1 h at room temperature. After washing, anti-mouse HRP-conjugated polyclonal antibodies are added and the plates are incubated for 30 min. [1]
References	[1]. Ohori M, et al. Identification of a selective ERK inhibitor and structural determination of the inhibitor-ERK2 complex. Biochem Biophys Res Commun. 2005 Oct 14;336(1):357-63. [2]. Ohori M, et al. FR180204, a novel and selective inhibitor of extracellular signal-regulated kinase, ameliorates collagen-induced arthritis in mice. Naunyn Schmiedebergs Arch Pharmacol. 2007 Jan;374(4):311-6.



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