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产品名称：MF63
产品别名：MF63

生物活性：

Description

MF63 is a selective mPGES-1 inhibitor with an IC50 of 0.9 nM and 1.3 nM for pig mPGES-1 and human mPGES-1 enzyme, respectively. IC50 value: 0.9 nM (pig mPGES-1); 1.3 nM (human mPGES-1) Target: mPGES-1 MF63 potently inhibited the human mPGES-1 enzyme with a high degree (>1000-fold) of selectivity over other prostanoid synthases. In rodent species, MF63 strongly inhibited guinea pig mPGES-1 but not the mouse or rat enzyme. When tested in the guinea pig and a knock-in (KI) mouse expressing human mPGES-1, the compound selectively suppressed the synthesis of PGE(2), but not other prostaglandins inhibitable by nonsteroidal anti-inflammatory drugs (NSAIDs), yet retained NSAID-like efficacy at inhibiting lipopolysaccharide-induced pyresis, hyperalgesia, and iodoacetate-induced osteoarthritic pain.

Solvent&Solubility

In Vitro:

DMSO : ≥ 43 mg/mL (113.51 mM)

* "≥" means soluble, but saturation unknown.

Preparing Stock Solutions

Solvent / Mass / Concentration	1 mg	5 mg	10 mg
1 mM	2.6398 mL	13.1992 mL	26.3985 mL
5 mM	0.5280 mL	2.6398 mL	5.2797 mL
10 mM	0.2640 mL	1.3199 mL	2.6398 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 (6.60 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.60 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 (6.60 mM); Clear solution

此方案可获得 ≥ 2.5 mg/mL (6.60 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]. Xu D et al. MF63 [2-(6-chloro-1H-phenanthro[9,10-d]imidazol-2-yl)-isophthalonitrile], a selective microsomal prostaglandin E synthase-1 inhibitor, relieves pyresis and pain in preclinical models of inflammation. J Pharmacol Exp Ther. 2008 Sep;326(3):754-6 [2]. Coté B et al. Substituted phenanthrene imidazoles as potent, selective, and orally active mPGES-1 inhibitors. Bioorg Med Chem Lett. 2007 Dec 15;17(24):6816-20. [3]. Baragatti B, Coceani F.,Dual, constrictor-to-dilator, response of the mouse ductus arteriosus to the microsomal prostaglandin E synthase-1 inhibitor, 2-(6-chloro-1H-phenanthro[9,10d]imidazole-2-yl)isophthalonitrile.,Neonatology. 2011;100(2):139-46. Epub [4]. Giroux A, et al. Discovery of disubstituted phenanthrene imidazoles as potent, selective and orally active mPGES-1 inhibitors.,Bioorg Med Chem Lett. 2009 Oct 15;19(20):5837-41. Epub 2009 Aug 28. [5]. Xu D, L,et al. MF63 [2-(6-chloro-1H-phenanthro[9,10-d]imidazol-2-yl)-isophthalonitrile], a selective microsomal prostaglandin E synthase-1 inhibitor, relieves pyresis and pain in preclinical models of inflammation.,J Pharmacol Exp Ther. 2008 Sep;326(3):75