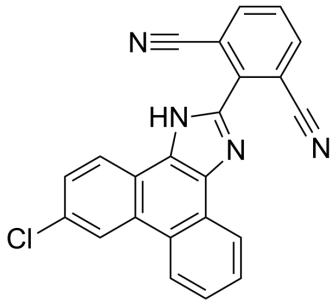
MF63

Cat. No.:	HY-13283
CAS No.:	892549-43-8
Molecular Formula:	$C_{23}H_{11}ClN_4$
Molecular Weight:	378.81
Target:	PGE synthase
Pathway:	Immunology/Inflammation
Storage:	Powder -20°C 3 years 4°C 2 years In solvent -80°C 2 years -20°C 1 year



SOLVENT & SOLUBILITY

In Vitro

DMSO : 75 mg/mL (197.99 mM; ultrasonic and warming and heat to 60°C)

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

Please refer to the solubility information to select the appropriate solvent.

In Vivo

1. Add each solvent one by one: 10% DMSO >> 40% PEG300 >> 5% Tween-80 >> 45% saline
   Solubility: ≥ 2.5 mg/mL (6.60 mM); Clear solution
2. Add each solvent one by one: 10% DMSO >> 90% corn oil
   Solubility: ≥ 2.5 mg/mL (6.60 mM); Clear solution

BIOLOGICAL ACTIVITY

Description

MF63 is a selective and orally active inhibitor of mPGES-1. MF63 reduces the accumulation of PGE_2, relieves pyresis, hyperalgesia, and inflammatory pain by inhibiting mPGES-1[1].

IC_{50} & Target

mPGES-1[1]

In Vitro

MF63 (0.01-100 μM; 24 h) selectively inhibits PGE_2 induced by 10 ng/mL IL-1β in A549 cells, and increases the formation of $PGF_{2\alpha}$ in a dose-dependent manner[1].

MF63 (10 μM; 24 h) enhances the expression of various metallothionein 1 (MT1) subtypes and endogenous antagonists of IL-1 and IL-36 with the anti-inflammatory effects[2].

MCE has not independently confirmed the accuracy of these methods. They are for reference only.

In Vivo

MF63 (100 mg/kg; p.o.; single dose) attenuates PEG_2 accumulation in air pouches and brains of the KI (knock-in mPGES-1 gene) mice and inhibits PEG_2 formation in a dose-dependent manner[1].
MF63 (10 mg/kg and 100 mg/kg; p.o.; single dose) inhibits the hyperalgesic response induced by LPS in the KI mice, with dose-dependently manner[1].
MF63 (0-150 mg/kg; p.o.; single dose) inhibits PEG_2 synthesis, hyperalgesia, pyresis and relieves Chronic Osteoarthritic-Like Pain in the guinea pig[1].
MF63 (0-100 mg/kg; p.o.; twice daily for 4 days) has gastrointestinal tolerability in KI mice and nonhuman primates[1].
MCE has not independently confirmed the accuracy of these methods. They are for reference only.

Animal Model:	10 to 12 weeks of KI and wild-type mice which injected LPS[1].
Dosage:	10 mg/kg and 100 mg/kg.
Administration:	Oral gavage; single dose.
Result:	Inhibited the PGE_2 accumulation in air pouch and brain of KI mice in a dose-dependent manner, and has selectively in the brain. Reduced the response of hyperalgesia by 50% at 10 mg/kg and 80% at 100 mg/kg in KI mice but without effecting wild-type mice.

Animal Model:	Young male Hartley guinea pigs (~250 g) with osteoarthritic pain[1].
Dosage:	0, 3, 10, 15, 30, 50, 100 or 150 mg/kg.
Administration:	Oral gavage; single dose.
Result:	Inhibited the formation of PGE_2 in a dose-dependent manner, relieved Chronic Osteoarthritic-Like Pain and also inhibited pyresis.

Animal Model:	10 to 12 weeks of KI mice and nonhuman primates[1].
Dosage:	0, 3, 10, 30 or 100 mg/kg.
Administration:	Oral gavage; twice daily for 4 days.
Result:	Had no gastrointestinal toxicity in KI mice and non-human primates.

CUSTOMER VALIDATION

- Research Square Preprint. 2023 May 19.

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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):754-63.

[2]. Tuure L, et al. Regulation of gene expression by MF63, a selective inhibitor of microsomal PGE synthase 1 (mPGES1) in human osteoarthritic chondrocytes. Br J Pharmacol. 2020 Sep;177(18):4134-4146.

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

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA