# MF63

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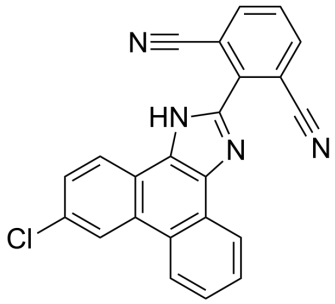
| **Cat. No.:** | HY-13283 |
| **CAS No.:** | 892549-43-8 |
| **Molecular Formula:** | $C_{23}H_{11}ClN_4$ |
| **Molecular Weight:** | 378.81 |
| **Target:** | PGE synthase |
| **Pathway:** | Immunology/Inflammation |
| **Storage:** | Powder -20°C 3 years<br>4°C 2 years<br>In solvent -80°C 2 years<br>-20°C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

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| 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.<br>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. |

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| 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. |

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|---|---|
| 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.**

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