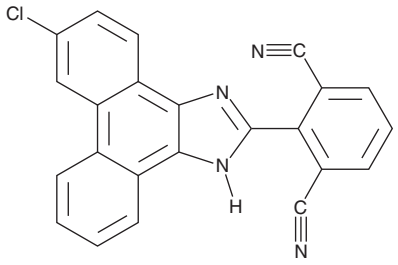
PRODUCT INFORMATION

MF63

Item No. 13217

CAS Registry No.:	892549-43-8
Formal Name:	2-(9-chloro-1H-phenanthro[9,10-d]imidazol-2-yl)-1,3-benzenedicarbonitrile
MF:	$C_{23}H_{11}ClN_4$
FW:	378.8
Purity:	≥98%
UV/Vis.:	λ_{max}: 215, 255, 365 nm
Supplied as:	A crystalline solid
Storage:	Room temperature
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

MF63 is supplied as a crystalline solid. A stock solution may be made by dissolving the MF63 in the solvent of choice, which should be purged with an inert gas. MF63 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of MF63 in these solvents is approximately 30 mg/ml.

MF63 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, MF63 should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. MF63 has a solubility of approximately 0.3 mg/ml in a 1:2 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

Microsomal prostaglandin E_2 synthase-1 (mPGES-1) is the terminal enzyme in the biosynthesis of PGE_2.[1-3] MF63 is a potent, selective, and orally active inhibitor of human mPGES-1 (IC_{50} = 1.3 nM).[4,5] It displays greater than 1,000-fold selectivity over other prostanoid synthases.[5] MF63 also potently inhibits guinea pig mPGES-1 (IC_{50} = 0.9 nM) but not mouse or rat mPGES-1.[5] In guinea pigs or in mice expressing human mPGES-1, MF63 prevents LPS-induced pyresis, hyperalgesia, and iodoacetate-induced osteoarthritic pain.[5] It does not produce the gastrointestinal toxicity that is caused by non-selective COX inhibitors, although it markedly suppresses PGE_2 synthesis in the stomach.[5]

References

1. Samey, A.V., Monrad, S., and Crofford, L.J. Microsomal prostaglandin E synthase-1: The inducible synthase for prostaglandin E_2. *Arthritis Res. Ther.* **7(3)**, 114-117 (2005).
2. Friesen, R.W. and Mancini, J.A. Microsomal prostaglandin E_2 synthase-1 (mPGES-1): A novel anti-inflammatory therapeutic target. *J. Med. Chem.* **51(14)**, 4059-4067 (2008).
3. Hara, S., Kamei, D., Sasaki, Y., *et al.* Prostaglandin E synthases: Understanding their pathophysiological roles through mouse genetic models. *Biochimie* **92(6)**, 651-659 (2010).
4. Côté, B., Boulet, L., Brideau, C., *et al.* Substituted phenanthrene imidazoles as potent, selective, and orally active mPGES-1 inhibitors. *Bioorg. Med. Chem. Lett.* **17(24)**, 6816-6820 (2007).
5. Xu, D., Rowland, S.E., Clark, P., *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.* **326(3)**, 754-763 (2008).

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