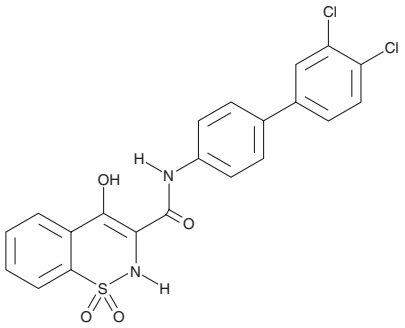
PRODUCT INFORMATION

PF-9184

Item No. 18904

CAS Registry No.:	1221971-47-6
Formal Name:	N-(3',4'-dichloro[1,1'-biphenyl]-4-yl)-4-hydroxy-2H-1,2-benzothiazine-3-carboxamide 1,1-dioxide
MF:	$C_{21}H_{14}Cl_2N_2O_4S$
FW:	461.3
Purity:	≥98%
Supplied as:	A crystalline solid
UV/Vis.:	λ_{max}: 269, 335 nm
Storage:	-20°C
Stability:	≥4 years



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

Laboratory Procedures

PF-9184 is supplied as a crystalline solid. A stock solution may be made by dissolving the PF-9184 in the solvent of choice. PF-9184 is soluble in organic solvents such as DMSO and dimethyl formamide, which should be purged with an inert gas. The solubility of PF-9184 in these solvents is approximately 15 and 20 mg/ml, respectively.

PF-9184 is sparingly soluble in aqueous solutions. To enhance aqueous solubility, dilute the organic solvent solution into aqueous buffers or isotonic saline. If performing biological experiments, ensure the residual amount of organic solvent is insignificant, since organic solvents may have physiological effects at low concentrations. We do not recommend storing the aqueous solution for more than one day.

Description

Microsomal prostaglandin E synthase-1 (mPGES-1) converts the COX product prostaglandin H_2 (PGH_2; Item No. 17020) into the biologically active PGE_2 (Item No. 14010).[1] Like COX-2, the expression of mPGES-1 is induced in response to pro-inflammatory mediators, including LPS, IL-1β, and TNF-α.[2] PF-9184 is a potent inhibitor of mPGES-1 (IC_{50} = 16.5 nM for recombinant human enzyme).[3] It displays at least 6,500-fold selectivity for mPGES-1 over COX-1 and COX-2. PF-9184 blocks the synthesis of PGE_2 in LPS-treated human whole blood and in IL-1β-stimulated fibroblasts (IC_{50}s = 0.4-5 µM).[4,5]

References

1. Jakobsson, P.-J., Thorén, S., Morgenstern, R., *et al.* Identification of human prostaglandin E synthase: A microsomal, glutathione-dependent, inducible enzyme, constituting a potential novel drug target. *Proc. Natl. Acad. Sci. USA* **96**, 7220-7225 (1999).
2. Stichtenoth, D.O., Thorén, S., Bian, H., *et al.* Microsomal prostaglandin E synthase is regulated by proinflammatory cytokines and glucocorticoids in primary rheumatoid synovial cells. *J. Immunol.* **167**, 469-474 (2001).
3. Mbalaviele, G., Pauley, A.M., Shaffer, A.F., *et al.* Distinction of microsomal prostaglandin E synthase-1 (mPGES-1) inhibition from cyclooxygenase-2 inhibition in cells using a novel, selective mPGES-1 inhibitor. *Biochem. Pharmacol.* **79(10)**, 1445-1454 (2010).
4. Chang, H.H. and Meuillet, E.J. Identification and development of mPGES-1 inhibitors: Where we are at? *Future Med. Chem.* **3(15)**, 1909-1934 (2011).
5. Korotkova, M. and Jakobsson, P.-J. Microsomal prostaglandin E synthase-1 in rheumatic diseases. *Frontiers in Pharmacology* **1(146)**, 1-8 (2011).

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