

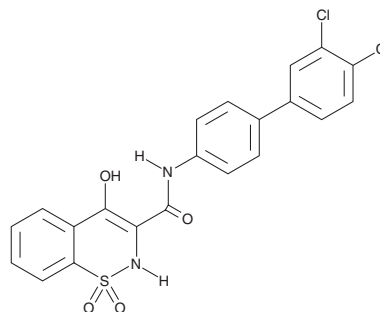
PRODUCT INFORMATION



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: C₂₁H₁₄Cl₂N₂O₄S
FW: 461.3
Purity: ≥95%
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, which should be purged with an inert gas. PF-9184 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of PF-9184 in these solvents is approximately 15 and 20 mg/ml, respectively.

Description

Microsomal prostaglandin E synthase-1 (mPGES-1) converts the COX product prostaglandin H₂ (PGH₂; Item No. 17020) into the biologically active PGE₂ (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 (IC₅₀ = 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 PGE₂ in LPS-treated human whole blood and in IL-1β-stimulated fibroblasts (IC₅₀s = 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**(13), 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**(1), 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. *Front. Pharmacol.* **1**, 146 (2011).

WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the complete Safety Data Sheet, which has been sent via email to your institution.

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