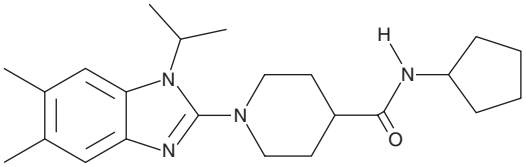
PRODUCT INFORMATION

CAY10678

Item No. 15129

CAS Registry No.: 1268709-57-4
Formal Name: N-cyclopentyl-1-[5,6-dimethyl-1-(1-methylethyl)-1H-benzimidazol-2-yl]-4-piperidinecarboxamide
Synonym: mPGES-1 Inhibitor III
MF: $C_{23}H_{34}N_4O$
FW: 382.5
Purity: ≥98%
UV/Vis.: λ_{max}: 215, 250, 292 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥4 years



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

Laboratory Procedures

CAY10678 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10678 in the solvent of choice. CAY10678 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of CAY10678 in these solvents is approximately 25, 5, and 15 mg/ml, respectively.

CAY10678 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, CAY10678 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. CAY10678 has a solubility of approximately 0.1 mg/ml in a 1:8 solution of ethanol:PBS (pH 7.2) using this method. 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 PGH_2 into the biologically active PGE_2.[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] CAY10678 is a benzoimidazole that potently inhibits human and rat recombinant mPGES-1 (IC_{50} = 0.09 and 0.9 µM, respectively).[3] It has minimal effects on COX-1, COX-2, PGIS, and hematopoietic PGDS at 50 µM but reduces lipocalin-type PGDS activity by 60% at this concentration.[3] CAY10678 dose-dependently blocks PGE_2 synthesis in isolated cells and whole blood treated with LPS or IL-1β.[3] It also dose-dependently reduces PGE_2 synthesis and cell recruitment during inflammation in mice.[3]

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. Leclerc, P., Idborg, H., Spahiu, L., *et al.* Characterization of a human and murine mPGES-1 inhibitor and comparison to mPGES-1 genetic deletion in mouse models of inflammation. *Prostaglandins Other Lipid Mediat.* (2013).

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