

# PRODUCT INFORMATION



**CAY10585**

Item No. 10012682

**CAS Registry No.:** 934593-90-5  
**Formal Name:** 4-hydroxy-3-[[2-(4-tricyclo[3.3.1.1<sup>3,7</sup>]dec-1-ylphenoxy)acetyl]amino]-benzoic acid, methyl ester

**Synonym:** Hypoxia-Inducible Factor-1 $\alpha$  Inhibitor

**MF:** C<sub>26</sub>H<sub>29</sub>NO<sub>5</sub>

**FW:** 435.5

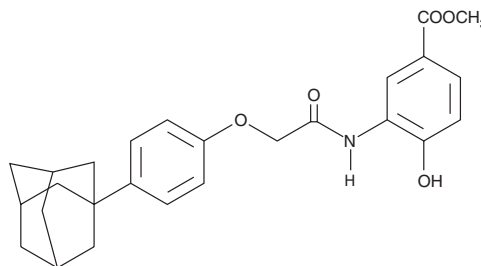
**Purity:**  $\geq 97\%$

**UV/Vis.:**  $\lambda_{\text{max}}$ : 234, 260 nm

**Supplied as:** A crystalline solid

**Storage:** -20°C

**Stability:**  $\geq 4$  years



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

## Laboratory Procedures

CAY10585 is supplied as a crystalline solid. A stock solution may be made by dissolving the CAY10585 in an organic solvent purged with an inert gas. CAY10585 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of CAY10585 in these solvents is approximately 10 mg/ml.

If aqueous stock solutions are required for biological experiments, they can best be prepared by diluting the organic solvent into aqueous buffers or isotonic saline. Ensure that 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

Hypoxia-inducible factor 1 (HIF-1) is a heterodimeric transcription factor composed of a HIF-1 $\alpha$  subunit and HIF-1 $\beta$  subunit. Whereas the HIF-1 $\beta$  subunit is constitutively expressed, the HIF-1 $\alpha$  subunit is regulated by cellular oxygen levels and therefore plays an important role in maintaining cellular oxygen homeostasis.<sup>1,2</sup> Under normoxic conditions, HIF-1 $\alpha$  is selectively hydroxylated on proline residues 402 and 577 and targeted for destruction by the ubiquitin-proteasome system. Under hypoxic conditions, HIF-1 $\alpha$  accumulates and dimerizes with HIF-1 $\beta$  to promote the transcription of a number of genes involved in angiogenesis, glycolysis, growth factor signaling, tumor invasion, and metastasis. CAY10585 is a novel small molecule inhibitor of HIF-1 $\alpha$  accumulation and gene transcriptional activity.<sup>3</sup> In a gene reporter assay using human Hep3B and AGS cell lines, CAY10585 prevented HIF-1 transcriptional activity with IC<sub>50</sub> values of 2.6 and 0.7  $\mu\text{M}$ , respectively. It blocks HIF-1 $\alpha$  accumulation in Hep3B cells in a concentration and time-dependent manner, with complete inhibition at a concentration of 30  $\mu\text{M}$  within 12 hours. CAY10585 also significantly suppresses transcription of the HIF-1 target genes VEGF and erythropoietin at 10  $\mu\text{M}$ .<sup>3</sup>

## References

1. Wang, G.L., Jiang, B.-H., Rue, E.A., *et al.* Hypoxia-inducible factor-1 is a basic-helix-loop-helix-PAS heterodimer regulated by cellular O<sub>2</sub> tension. *Proc. Natl. Acad. Sci. USA* **92**, 5510-5514 (1995).
2. Safran, M. and Kaelin, W.G., Jr. HIF hydroxylation and the mammalian oxygen-sensing pathway. *J. Clin. Invest.* **111**, 779-783 (2003).
3. Lee, K., Lee, J.H., Boovanahalli, S.K., *et al.* (Aryloxyacetyl)amino)benzoic acid analogues: A new class of hypoxia inducible factor-1 inhibitors. *J. Med. Chem.* **50**, 1675-1684 (2007).

### 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.

### WARRANTY AND LIMITATION OF REMEDY

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