CAY10585

Small Molecules

Hypoxia inducible factor-1α (HIF1α)

inhibitor

Catalog # 72432 10 mg



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Product Description

CAY10585 is a novel small molecule inhibitor of hypoxia inducible factor 1 (HIF-1), a heterodimeric transcription factor composed of HIF-1 α and HIF-1 β subunits. Whereas the HIF-1 β subunit is constitutively expressed, the HIF-1 α subunit is regulated by cellular oxygen levels: under normoxic conditions HIF-1 α is targeted for destruction by the ubiquitin-proteasome system, whereas under hypoxic conditions HIF-1 α accumulates and dimerizes with HIF-1 β to promote the transcription of a number of genes involved in angiogenesis, glycolysis, growth factor signaling, tumor invasion, and metastasis. CAY10585 blocks HIF-1 accumulation and prevents HIF-1 transcriptional activity (IC₅₀ values of 2.6 and 0.7 μ M in Hep3B and AGS cell reporter assays, respectively; Lee et al.).

Molecular Name: CAY10585

Alternative Names: HIF-1α inhibitor; Hypoxia Inducible Factor-1α Inhibitor

CAS Number: 934593-90-5 Chemical Formula: $C_{26}H_{29}NO_5$ Molecular Weight: 435.5 g/mol Purity: \geq 97%

Chemical Name: 4-hydroxy-3-[[2-(4-tricyclo[3.3.1.13,7]dec-1-ylphenoxy)acetyl]amino]-benzoic acid, methyl ester

Structure:

Properties

Physical Appearance: A crystalline solid

Storage: Product stable at -20°C as supplied. Protect from prolonged exposure to light.

Stable as supplied for 12 months from date of receipt.

Solubility: \cdot DMSO \leq 20 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 230 µL of fresh DMSO.

Prepare stock solution fresh before use. Information regarding stability of small molecules in solution has rarely been reported, however, as a general guide we recommend storage in DMSO at -20°C. Aliquot into working volumes to avoid repeated freeze-thaw cycles. The effect of storage of stock solution on compound performance should be tested for each application.

Compound has low solubility in aqueous media. For use as a cell culture supplement, stock solution should be diluted into culture medium immediately before use. Avoid final DMSO concentration above 0.1% due to potential cell toxicity.

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Published Applications

DIFFERENTIATION

- · Inhibits BMP9- and HIF-1-induced osteogenic differentiation in mesenchymal stem cells (Hu et al.).
- · Rescues cardiomyocyte differentiation in Fgfr1(-/-) mouse embryonic stem cells (Crescini et al.).

References

Crescini E et al. (2013) Ascorbic acid rescues cardiomyocyte development in Fgfr1(-/-) murine embryonic stem cells. Biochim Biophys Acta 1833(1): 140–7.

Hu N et al. (2013) BMP9-regulated angiogenic signaling plays an important role in the osteogenic differentiation of mesenchymal progenitor cells. J Cell Sci 126(2): 532–41.

Lee K et al. (2007) (Aryloxyacetylamino)benzoic acid analogues: A new class of hypoxia-inducible factor-1 inhibitors. J Med Chem 50(7): 1675–84.

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