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A-485

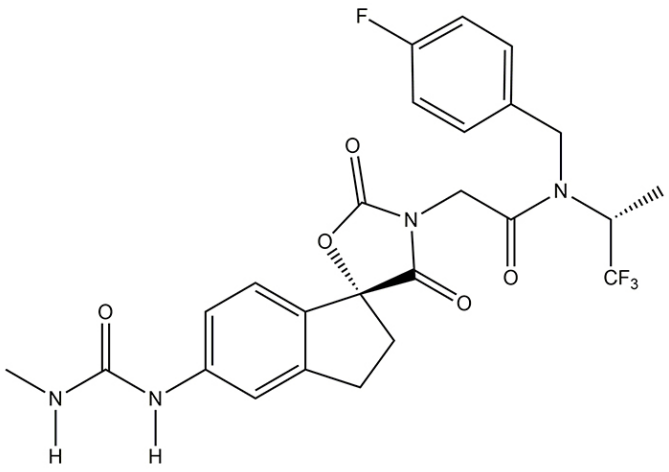
p300/CREB-binding protein (CBP) inhibitor

Catalog #100-1645 5 mg

Product Description

A-485 is an inhibitor of p300 and CREB-binding protein (CBP; IC_{50} = 60 nM). p300 and CBP are histone acetyltransferases (HATs) that regulate transcription through acetylating lysine on the histone tail or by acting as a transcriptional co-activator. A-485 selectively binds to the catalytic active site of p300 and competes with acetyl-coenzyme A (Acetyl-CoA) to inhibit p300 activity (Lasko et al.). The inhibitory effect of A-485 on histone acetylation is most pronounced on histone 3 lysine 18 (H3K18) and lysine 27 (H3K27) (Weinert et al.).

Alternative Names:	Not applicable
CAS Number:	1889279-16-6
Chemical Formula:	$C_{25}H_{24}F_4N_4O_5$
Molecular Weight:	536.5 g/mol
Purity:	≥ 98%
Chemical Name:	(1R)-N-[(4-fluorophenyl)methyl]-2,3-dihydro-5-[[(methylamino)carbonyl]amino]-2',4'-dioxo-N-[(1S)-2,2,2-trifluoro-1-methylethyl]-spiro[1H-indene-1,5'-oxazolidine]-3'-acetamide
Structure:	



Properties

Product Format: A crystalline solid

Stability and Storage: Product stable at -20°C as supplied. As a precaution, STEMCELL recommends storing all small molecules away from direct light. For long-term storage, store with a desiccant. Stable as supplied for 12 months from date of receipt.

Preparation:

- DMSO ≤ 25 mM
- Absolute ethanol ≤ 35 mM

For example, to prepare a 10 mM stock solution in DMSO, resuspend 1 mg in 186 µL of 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 or absolute ethanol concentration above 0.1% due to potential cell toxicity.

Published Applications

CANCER RESEARCH

- Reduces cell growth, growth hormone secretion and expression of key oncogenes in mouse pituitary adenoma cells in vitro and in a mouse xenograft model (Ji et al.).
- Inhibits human breast cancer cell growth by disrupting estrogen receptor function (Waddell et al.).
- Induces senescence through reactive oxygen species production to activate autophagy pathway, resulting in cell growth arrest of human lung cancer cells (Ansari et al.).
- Induces apoptosis and differentiation of mouse leukemia stem cells and delays leukemia progression in a mouse acute myeloid leukemia model (Pan et al.).

References

Ansari MSZ et al. (2023) Pharmacological targeting of CBP/p300 drives a redox/autophagy axis leading to senescence-induced growth arrest in non-small cell lung cancer cells. Cancer Gene Ther 30(1): 124–36.
Ji C et al. (2022) The p300 inhibitor A-485 exerts antitumor activity in growth hormone pituitary adenoma. J Clin Endocrinol Metab 107(6): e2291–300.
Lasko LM et al. (2017) Discovery of a selective catalytic p300/CBP inhibitor that targets lineage-specific tumours. Nature 550(7674): 128–32.
Pan F et al. (2023) Enhancer remodeling drives MLL oncogene-dependent transcriptional dysregulation in leukemia stem cells. Blood Adv 7(11): 2504–19.
Waddell A et al. (2021) Pharmacological inhibition of cbp/p300 blocks estrogen receptor alpha (Erα) function through suppressing enhancer h3k27 acetylation in luminal breast cancer. Cancers (Basel) 13(11): 2799.
Weinert BT et al. (2018) Time-resolved analysis reveals rapid dynamics and broad scope of the CBP/p300 acetylome. Cell 174(1): 231–44.

Related Products

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