

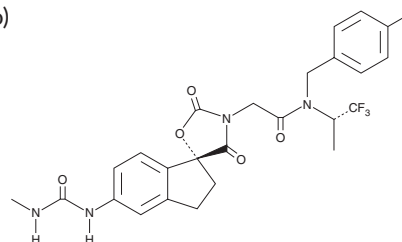
PRODUCT INFORMATION



A-485

Item No. 24119

CAS Registry No.: 1889279-16-6
Formal 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
MF: C₂₅H₂₄F₄N₄O₅
FW: 536.5
Purity: ≥98% (mixture of isomers)
UV/Vis.: λ_{max}: 253 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

A-485 is supplied as a crystalline solid. A stock solution may be made by dissolving the A-485 in the solvent of choice. A-485 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of A-485 in these solvents is approximately 20, 16, and 10 mg/ml, respectively.

A-485 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, A-485 should first be dissolved in ethanol and then diluted with the aqueous buffer of choice. A-485 has a solubility of approximately 0.25 mg/ml in a 1:3 solution of ethanol:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

A-485 is an inhibitor of the histone acetyltransferase p300/CBP (IC₅₀ = 60 nM).¹ It decreases acetylated histone H3 lysine 27 (H3K27Ac), but not H3K9Ac, levels in PC3 cells in a concentration-dependent manner. A-485 reduces proliferation of non-small cell lung cancer (NSCLC), small cell lung cancer, triple-negative breast cancer, mantle cell lymphoma, multiple myeloma, non-Hodgkin's, and acute myeloid leukemia cell lines. It inhibits expression of prostate specific antigen (PSA) and H3K27Ac occupancy at the PSA enhancer without inhibiting androgen receptor occupancy in LNCaP-FGC cells. A-485 reduces tumor volume in a LuCaP-77 mouse xenograft model of castration-resistant prostate cancer when administered at a dose of 100 mg twice per day for 21 days.

Reference

1. Lasko, L.M., Jakob, C.G., Edalgi, R.P., *et al.* Discovery of a selective catalytic p300/CBP inhibitor that targets lineage-specific tumours. *Nature* **550**(7674), 128-132 (2017).

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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