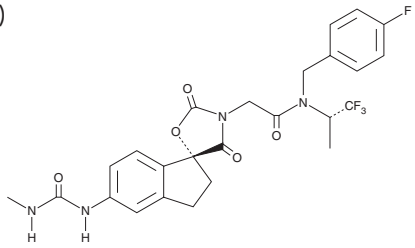
PRODUCT INFORMATION

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:	$C_{25}H_{24}F_4N_4O_5$
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 (IC_{50} = 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, mantel 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. See the Structural Genomics Consortium (SGC) website for more information.

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).

CAYMAN CHEMICAL
1180 EAST ELLSWORTH RD
ANN ARBOR, MI 48108 · USA
PHONE: [800] 364-9897
[734] 971-3335
FAX: [734] 971-3640
CUSTSERV@CAYMANCHEM.COM
WWW.**CAYMANCHEM**.COM