Print Date: Mar 23rd 2021

Certificate of Analysis

www.tocris.com

Product Name: **A 485** **Catalog No.: 6387** **Batch No.: 1**

CAS Number: 1889279-16-6

IUPAC Name: (1*R*)-*N*-[(4-Fluorophenyl)methyl]-2,3-dihydro-5-[[(methylamino)carbonyl]amino]-2',4'-dioxo-*N*-[(1*S*)-2,2,2-trifluoro-1-methylethyl]spiro[1*H*-indene-1,5'-oxazolidine]-3'-acetamide

1. PHYSICAL AND CHEMICAL PROPERTIES

Batch Molecular Formula: $C_{25}H_{24}F_4N_4O_5.½H_2O$

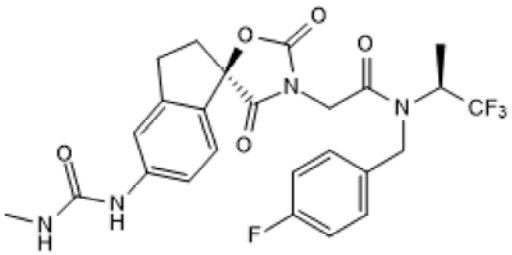
Batch Molecular Weight: 545.49

Physical Appearance: White solid

Solubility: DMSO to 100 mM
ethanol to 100 mM

Storage: Store at -20°C

Batch Molecular Structure:



2. ANALYTICAL DATA

TLC: R_f = 0.57 (Dichloromethane:Methanol [9:1])

HPLC: Shows 99.9% purity

^{1}H NMR: Consistent with structure

Mass Spectrum: Consistent with structure

Optical Rotation: $[\alpha]_D$ = +43.6 (Concentration = 0.74, Solvent = Methanol)

Microanalysis:

	Carbon	Hydrogen	Nitrogen
Theoretical	55.05	4.62	10.27
Found	55	4.66	10.22

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Product Information

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Description:

A 485 is a potent and selective p300/CREB-binding protein (CBP) HAT domain inhibitor (IC_{50} values are 2.6 and 9.8 nM for the CBP-bromodomain HAT-C/H3 (BHC) and p300-BHC domains, respectively), which displays > 1000-fold selectivity over closely related HATs. A 485 suppresses proliferation in several hematological malignancies and AR^+ prostate cancer cell lines in vitro, and also inhibits tumor growth in a castration-resistant prostate cancer xenograft model. A 485 is orally bioavailable. To request the negative control for A 485, please fill out the A 486 request form on the SGC website. Please see product datasheet on www.tocris.com for full description.

Physical and Chemical Properties:

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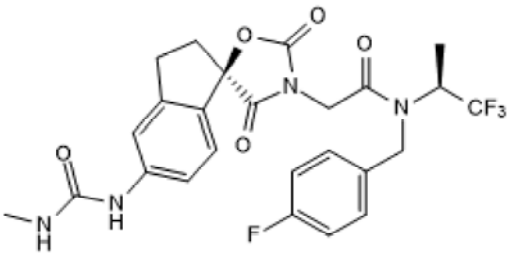
Minimum Purity: ≥98%

Batch Molecular Structure:



Storage: Store at -20°C

Solubility & Usage Info:

DMSO to 100 mM
ethanol to 100 mM

Stability and Solubility Advice:

Some solutions can be difficult to obtain and can be encouraged by rapid stirring, sonication or gentle warming (in a 45-60°C water bath).

Information concerning product stability, particularly in solution, has rarely been reported and in most cases we can only offer a general guide. Our standard recommendations are:

SOLIDS: Provided storage is as stated on the product label and the vial is kept tightly sealed, the product can be stored for up to 6 months from date of receipt.

SOLUTIONS: We recommend that stock solutions, once prepared, are stored aliquoted in tightly sealed vials at -20°C or below and used within 1 month. Wherever possible solutions should be made up and used on the same day.

Licensing Information:

This probe is supplied in conjunction with the Structural Genomics Consortium. For further characterization details, please visit the A-485 probe summary on the SGC website.

References:

Kodadek *et al* (2018) Another one (of the "undruggable" targets) bites the dust: discovery of a potent and selective inhibitor of the histone acetyl transferase p300/CBP. Biochemistry ***57*** 899. PMID: 29244478.

Weinert *et al* (2018) Time-Resolved Analysis Reveals Rapid Dynamics and Broad Scope of the CBP/p300 Acetylome. Cell.. PMID: 29804834 .

Lasko *et al* (2017) Discovery of a selective catalytic p300/CBP inhibitor that targets lineage-specific tumours. Nature ***550*** 128. PMID: 28953875.