biotechne[®] TOCRIS

Batch No.: 1

Certificate of Analysis

www.tocris.com

Catalog No.: 7254

Product Name: ARCC 4

CAS Number: 1973403-00-7

IUPAC Name: (2*S*,4*R*)-1-((*S*)-2-(2-(4-((4'-(3-(4-Cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidin-1-yl)-[1,1'-biphenyl]-4-yl)oxy)butoxy)acetamido)-3,3-dimethylbutanoyl)-4-hydroxy-*N*-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

1. PHYSICAL AND CHEMICAL PROPERTIES

| Batch Molecular Formula: | $C_{53}H_{56}F_3N_7O_7S_2.H_2O$ |
|--------------------------|---------------------------------|
| Batch Molecular Weight: | 1042.2 |
| Physical Appearance: | White solid |
| Solubility: | DMSO to 100 mM |
| Storage: | Store at -20°C |
| | |

Batch Molecular Structure:

2. ANALYTICAL DATA

HPLC: ¹H NMR: Mass Spectrum: Microanalysis: Shows 99.6% purity Consistent with structure Consistent with structure Carbon Hydrogen Nitrogen

| Theoretical | 61.08 | 5.61 | 9.41 |
|-------------|-------|------|------|
| Found | 60.83 | 5.55 | 9.55 |

Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

| bio-techne.com | North America | China | Europe Middle East Africa | Rest of World |
|---|---------------------|--|---------------------------|--|
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CAS Number: 1973403-00-7 IUPAC Name: (2S.4*R*)-1-((S)

(2*S*,4*R*)-1-((*S*)-2-(2-(4-((4'-(3-(4-Cyano-3-(trifluoromethyl)phenyl)-5,5-dimethyl-4-oxo-2-thioxoimidazolidin-1-yl)-[1,1'biphenyl]-4-yl)oxy)butoxy)acetamido)-3,3-dimethylbutanoyl)-4-hydroxy-*N*-(4-(4-methylthiazol-5-yl)benzyl)pyrrolidine-2-carboxamide

Description:

ARCC 4 is a potent and selective androgen receptor (AR) PROTAC[®] Degrader (DC₅₀ = 5 nM). Comprises an androgen receptor antagonist, enzalutamide, joined by a linker to a VHL E3 ligase ligand. Brings about degradation of ARs in VCaP and LNCaP prostate cancer cell lines (D_{max} = 98% at 12h) in a proteasome-dependent manner, and inhibits cell proliferation. Also degrades clinically relevant AR mutants expressed in HEK293T cells. Exhibits no significant effect on glucocorticoid, estrogen or progesterone receptors at concentrations inducing AR degradation. ARCC 4 negative control (Cat. No. 7255) and R/NR3C4 antibody validated for Simple Weste... Please see product specific page on www.tocris.com for full description.

Physical and Chemical Properties:

Batch Molecular Formula: $C_{53}H_{56}F_3N_7O_7S_2H_2O$ Batch Molecular Weight: 1042.2 Physical Appearance: White solid

Minimum Purity: ≥98%

Batch Molecular Structure:



Solubility & Usage Info: DMSO 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. *Unless contradicted by product-specific protocols or instructions, our standard recommendations apply:

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.



References:

Salami *et al* (2018) Androgen receptor degradation by the proteolysis-targeting chimera ARCC-4 outperforms enzalutamide in cellular models of prostate cancer drug resistance. Commun Biol. **1** 100, PMID: 30271980. Caution - Not Fully Tested • Research Use Only • Not For Human or Veterinary Use

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