

**Product Name:** ARV 771

**Catalog No.:** 7256

**Batch No.:** 1

CAS Number: 1949837-12-0

IUPAC Name: (2*S*,4*R*)-1-((*S*)-2-(*tert*-Butyl)-15-((*S*)-4-(4-chlorophenyl)-2,3,9-trimethyl-6*H*-thieno[3,2-*f*][1,2,4]triazolo[4,3-*a*][1,4]diazepin-6-yl)-4,14-dioxo-6,10-dioxo-3,13-diazapentadecanoyl)-4-hydroxy-*N*-((*S*)-1-(4-(4-methylthiazol-5-yl)phenyl)ethyl)pyrrolidine-2-carboxamide

## 1. PHYSICAL AND CHEMICAL PROPERTIES

**Batch Molecular Formula:** C<sub>49</sub>H<sub>60</sub>ClN<sub>9</sub>O<sub>7</sub>S<sub>2</sub>.H<sub>2</sub>O

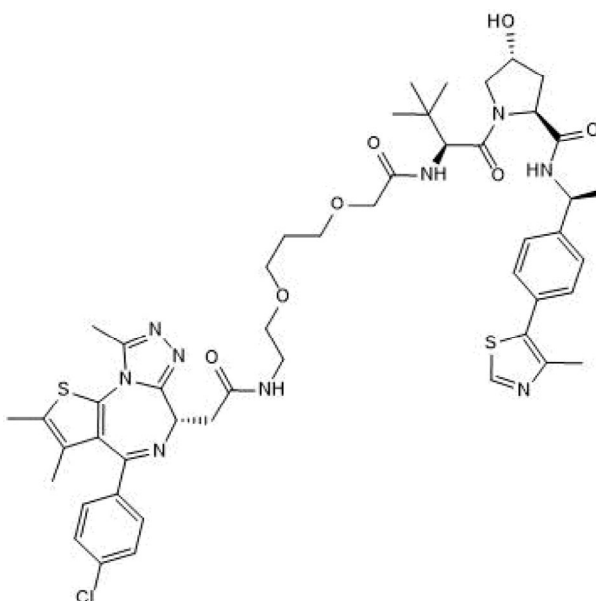
**Batch Molecular Weight:** 1004.67

**Physical Appearance:** Off White solid

**Solubility:** DMSO to 100 mM

**Storage:** Store at -20°C

**Batch Molecular Structure:**



## 2. ANALYTICAL DATA

**HPLC:** Shows 97.5% purity

**<sup>1</sup>H NMR:** Consistent with structure

**Mass Spectrum:** Consistent with structure

**Microanalysis:**

	Carbon	Hydrogen	Nitrogen
Theoretical	58.58	6.22	12.55
Found	58.24	6.21	12.38

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

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**Catalog No.:** 7256

1

CAS Number: 1949837-12-0

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**Description:**

ARV 771 is a potent BET bromodomain PROTAC® degrader (DC<sub>50</sub> = < 1nM). Comprises a BRD4-binding moiety joined by a linker to a ligand for Von Hippel-Lindau (VHL) protein. Degrades BRD2/3/4 in castration-resistant prostate cancer (CRPC) cell lines. Reduces androgen receptor levels and induces apoptosis in CRPC cells in vitro. Down-regulates BRD4 and induces tumor regression in CRPC xenografts in mice. Also reduces leukemia burden in a mouse model. Induces degradation of BRD-tagged CAR (chimeric antigen receptor) in T cells. BRD4 antibody validated for Simple Western™ (automated Western) instruments and Western Blot also available... Please see product specific page on www.tocris.com for full description.

**Physical and Chemical Properties:**

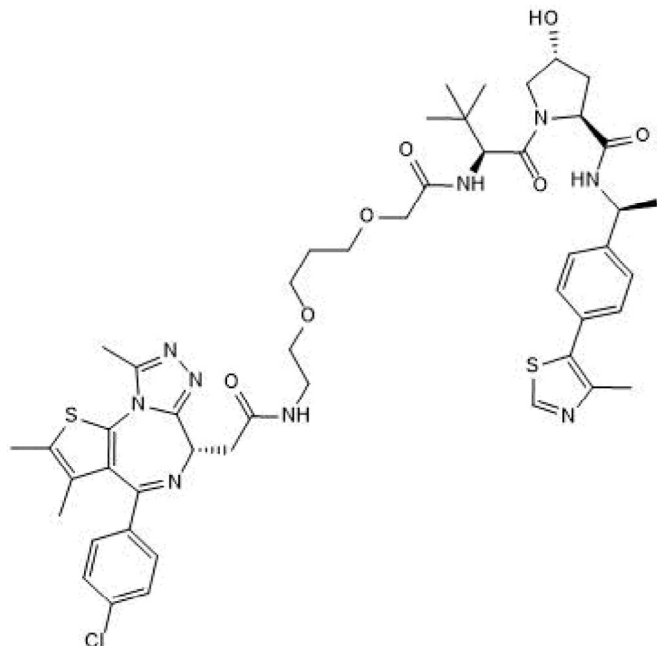
Batch Molecular Formula: C<sub>49</sub>H<sub>60</sub>ClN<sub>9</sub>O<sub>7</sub>S<sub>2</sub>.H<sub>2</sub>O

Batch Molecular Weight: 1004.67

Physical Appearance: Off White solid

**Minimum Purity:** ≥98%

**Batch Molecular Structure:**



**Storage:** Store at -20°C

**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:**

Lee *et al* (2020) A chemical switch system to modulate chimeric antigen receptor T cell activity through proteolysis-targeting chimera technology. *ACS Synth. Biol.* **9** 987. PMID: 32352759.

bio-techne.com (2017) Novel BET protein proteolysis-targeting chimera exerts superior lethality than bromodomain inhibitor (BETi) in castration-resistant prostate cancer cell lines. *ACS Synth. Biol.* **6** 1951. PMID: 29442144. www.tocris.com/distributors

Raina *et al* (2016) PROTAC-induced BET protein degradation as a therapy for castration-resistant prostate cancer. *Proc.Natl.Acad.Sci.U.S.A.* **113** 7124. PMID: 27274052.

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