

PRODUCT INFORMATION



ARV-771

Item No. 21299

CAS Registry No.: 1949837-12-0
Formal Name: (2S,4R)-1-((S)-2-(*tert*-butyl)-15-((S)-4-(4-chlorophenyl)-2,3,9-trimethyl-6H-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

MF: C₄₉H₆₀ClN₉O₇S₂

FW: 986.6

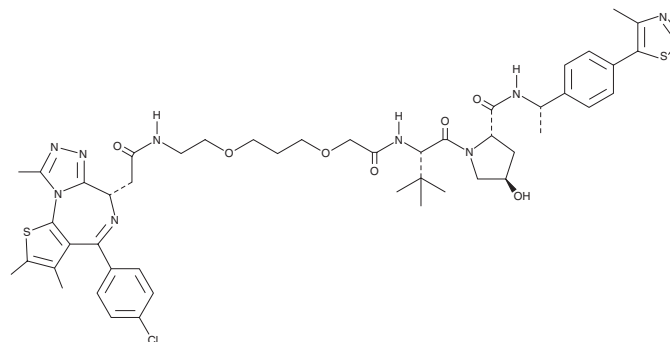
Purity: ≥95%

UV/Vis.: λ_{max}: 257 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

ARV-771 is supplied as a crystalline solid. A stock solution may be made by dissolving the ARV-771 in the solvent of choice, which should be purged with an inert gas. ARV-771 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide (DMF). The solubility of ARV-771 in these solvents is approximately 10, 15, and 20 mg/ml, respectively.

ARV-771 is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, ARV-771 should first be dissolved in DMF and then diluted with the aqueous buffer of choice. ARV-771 has a solubility of approximately 0.14 mg/ml in a 1:6 solution of DMF:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

ARV-771 is a proteolysis-targeting chimera (PROTAC) that drives the degradation of bromodomain and extra terminal domain (BET) family proteins.¹ It is comprised of a BET-binding moiety conjugated via a linker to a von Hippel-Lindau (VHL) E3 ligase-binding moiety. ARV-771 induces degradation of bromodomain-containing protein 2 (BRD2), BRD3, and BRD4 in 22Rv1 castration-resistant prostate cancer (CRPC) cells with half-maximal degradation (DC₅₀) values of less than 5 nM for all. It inhibits proliferation of and increases poly(ADP-ribose) polymerase (PARP) cleavage in 22Rv1 cells in a concentration-dependent manner. ARV-771 reduces full-length androgen receptor protein levels and prevents increases in ERG induced by the synthetic androgen R1881 in VCaP cells in a concentration-dependent manner. ARV-771 (30 mg/kg per day, s.c.) induces tumor regression in a 22Rv1 mouse xenograft model.

Reference

1. Raina, K., Lu, J., Qian, Y., *et al.* PROTAC-induced BET protein degradation as a therapy for castration-resistant prostate cancer. *Proc. Natl. Acad. Sci. USA* **113**(26), 7124-7129 (2016).

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.

WARRANTY AND LIMITATION OF REMEDY

Buyer agrees to purchase the material subject to Cayman's Terms and Conditions. Complete Terms and Conditions including Warranty and Limitation of Liability information can be found on our website.

Copyright Cayman Chemical Company, 07/27/2023

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