

PRODUCT INFORMATION



ARD-2128

Item No. 40964

CAS Registry No.: 2222111-87-5

Formal Name: N-[*trans*-3-(3-chloro-4-cyanophenoxy)-2,2,4,4-tetramethylcyclobutyl]-4-[4-[[1-[2-(2,6-dioxo-3-piperidinyl)-2,3-dihydro-1,3-dioxo-1H-isoindol-5-yl]-4-piperidinyl]methyl]-1-piperazinyl]-benzamide

MF: C₄₅H₅₀ClN₇O₆

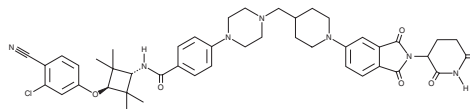
FW: 820.4

Purity: ≥98%

Supplied as: A 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

ARD-2128 is supplied as a solid. A stock solution may be made by dissolving the ARD-2128 in the solvent of choice, which should be purged with an inert gas. ARD-2128 is slightly soluble (1-10 mg/ml) in acetonitrile.

Description

ARD-2128 is a proteolysis targeting chimera (PROTAC) that is composed of an androgen receptor (AR) antagonist and thalidomide, a ligand for the E3 ubiquitin ligase cereblon.¹ It induces degradation of ARs in VCaP and LNCaP prostate cancer cells with half-maximal degradation (DC₅₀) concentrations of 0.3 and 8.3 nM, respectively, and inhibits the proliferation of VCaP and LNCaP cells (IC₅₀s = 4 and 5 nM, respectively). ARD-2128 (10 mg/kg) decreases intratumoral protein levels of AR and the mRNA encoding puromycin-sensitive aminopeptidase (PSA), transmembrane serine protease 2 (TMPRSS2), and FK-506 binding protein 5 (FKBP5), but not mRNA encoding AR, in a VCaP mouse xenograft model. It reduces tumor volume in the same mice when administered at doses of 20 or 40 mg/kg per day.

Reference

1. Han, X., Zhao, L., Xiang, W., *et al.* Strategies toward discovery of potent and orally bioavailable proteolysis targeting chimera degraders of androgen receptor for the treatment of prostate cancer. *J. Med. Chem.* **64**(17), 12831-12854 (2021).

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.

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