

Product Datasheet

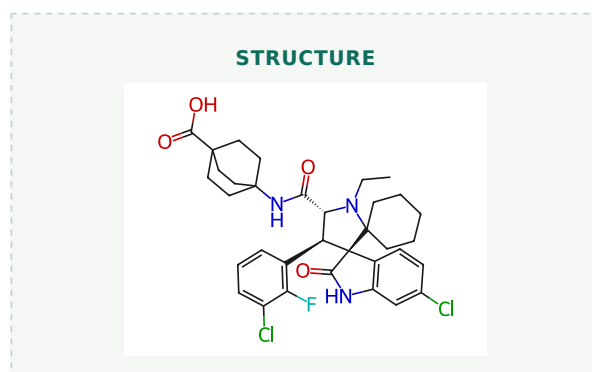
APG-115

Catalog No. **BP-01934** · CAS **1818393-16-6** · Form **free base** · Physical state **solid** · Color **White to off-white** · Version **1.0** · Revision **2026-09-03**

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Target MDM-2/p53; E1/E2/E3 Enzyme; Apoptosis	Research area Cancer
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Molecular formula	C₃₄H₃₈Cl₂FN₃O₄
Molecular weight	642.5880



DESCRIPTION

Alrizomadlin (APG-115) is an orally active MDM2 protein inhibitor binding to MDM2 protein with IC₅₀ and K_i values of 3.8 nM and 1 nM, respectively. Alrizomadlin blocks the interaction of MDM2 and p53 and induces cell-cycle arrest and apoptosis in a p53-dependent manner.

BIOLOGICAL ACTIVITY

In Vitro

Alrizomadlin (0.001-100 μM; 72 hours) inhibits cell proliferation in concentration-dependent manner, with IC₅₀s of 18.9 ± 15.6 nM and 103.5 ± 18.3 nM respectively in AGS and MKN45 cells.

Alrizomadlin (0.02 μM, 0.2 μM; 48 hours) enhances the anti-proliferative effect of radiotherapy at different radiation dose.

Alrizomadlin (0.02 μM, 0.2 μM; 48 hours) affects progression by inducing cells arrested at G0/G1 phase in AGS and MKN45 cell with wild p53.

Alrizomadlin (0.02 μM, 0.2 μM; 24 hours) activates p53 to enhance radiosensitivity in AGS and MKN45 cells; stable knockout of p53 abrogates expression of MDM2, p53, p21, PUMA, BAX, Cleaved-caspase3, γH2AX.

Alrizomadlin (0.3 μM, 1 μM, 3 μM, 10 μM; 24 hours) leads to a concentration-dependent cell cycle arrest in G2/M phases and a decreasing in S-phase in p53 wide-type cell lines (TPC-1, KTC-1).

In Vivo

Alrizomadlin (Delivered orally; 100 mg/kg; once daily; 10 days) enhances radiation antitumor effect in gastric adenocarcinoma in vivo.

SOLUBILITY

Soluble in DMSO 100 mg/mL

REFERENCES

- [1]. Angelo Aguilar, et al. 4-((3'R,4'S,5'R)-6"-Chloro-4'-(3-chloro-2-fluorophenyl)-1'-ethyl-2"-oxodispiro[cyclohexane-1,2'-pyrrolidine-3',3"-indoline]-5'-carboxamido)bicyclo[2.2.2]octane-1-carboxylic Acid (AA-115/APG-115): A Potent and Orally Active Murine Do
- [2]. A W Tolcher et al, A phase Ib/II study of APG-115 in combination with MK-3475 in patients with unresectable or metastatic melanomas or advanced solid tumors, *Ann Oncol.* 2019 Feb 1; 30(Supplement_1). pii: mdz027.
- [3]. Hanjie Yi et al, A novel small molecule inhibitor of MDM2-p53 (APG-115) enhances radiosensitivity of gastric adenocarcinoma, *J Exp Clin Cancer Res.* 2018 May 2;37(1):97.
- [4]. Chen H, et al. Restoration of p53 using the novel MDM2-p53 antagonist APG115 suppresses dedifferentiated papillary thyroid cancer cells. *Oncotarget.* 2017 Jun 27;8(26):43008-43022.
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Lot CoA and SDS are separate documents.