Product Datasheet

AZD2281

Catalog No. **BP-02084** · CAS **763113-22-0** · Form **free base** · Physical state **other** · Version **1.0** · Revision **2026-09-14**

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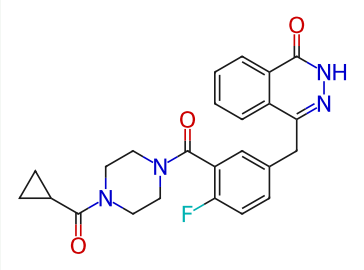
Target	Research area
PARP; Autophagy; Mitophagy	**Cancer**

Molecular formula	$C_{24}H_{23}FN_4O_3$
Molecular weight	**434.4628**

STRUCTURE



DESCRIPTION

Olaparib (AZD2281; KU0059436) is a potent and orally active PARP inhibitor with IC50s of 5 and 1 nM for PARP1 and PARP2, respectively.

BIOLOGICAL ACTIVITY

In Vitro

Olaparib (AZD2281) is a single digit nanomolar inhibitor of both PARP-1 and PARP-2 that shows standalone activity against BRCA1-deficient breast cancer cell lines. Olaparib is applied to SW620 cell lysates, and identified the IC50 for PARP-1 inhibition to be around 6 nM and the total ablation of PARP-1 activity to be at concentrations of 30 100 nM.

In Vivo

Animals bearing SW620 xenografted tumors are treated with Olaparib (10 mg/kg, p.o.) in combination with NSC 362856 (TMZ) (50 mg/kg, p.o.) once daily for 5 consecutive days, after which the tumors are left to grow out[1]. Olaparib increases vascular perfusion in Calu-6 tumors established in a DWC model. Administration of olaparib(50 mg/kg, p.o.) as a single agent (top panel) or in combination with radiation (bottom panel) results in an increase in fluorescence intensity in the Calu-6 tumors.

SOLUBILITY

Soluble in DMSO

REFERENCES

[1]. Menear KA, et al. 4-[3-(4-cyclopropanecarbonylpiperazine-1-carbonyl)-4-fluorobenzyl]-2H-phthalazin-1-one: a novel bioavailable inhibitor of poly(ADP-ribose) polymerase-1. J Med Chem. 2008 Oct 23;51(20):6581-91

[2]. Senra JM, et al. Inhibition of PARP-1 by olaparib (AZD2281) increases the radiosensitivity of a lung tumor xenograft.Mol Cancer Ther. 2011 Oct;10(10):1949-58.

[3]. Yasukawa M, et al. Synergetic Effects of PARP Inhibitor AZD2281 in Oral Squamous Cell Carcinoma in Vitro and in Vivo. Int J Mol Sci. 2016 Feb 24;17(3):272.

[4]. Bian X, et al. PTEN deficiency sensitizes endometrioid endometrial cancer to compound PARP-PI3K inhibition but not PARP inhibition as monotherapy. Oncogene. 2018 Jan 18;37(3):341-351.

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