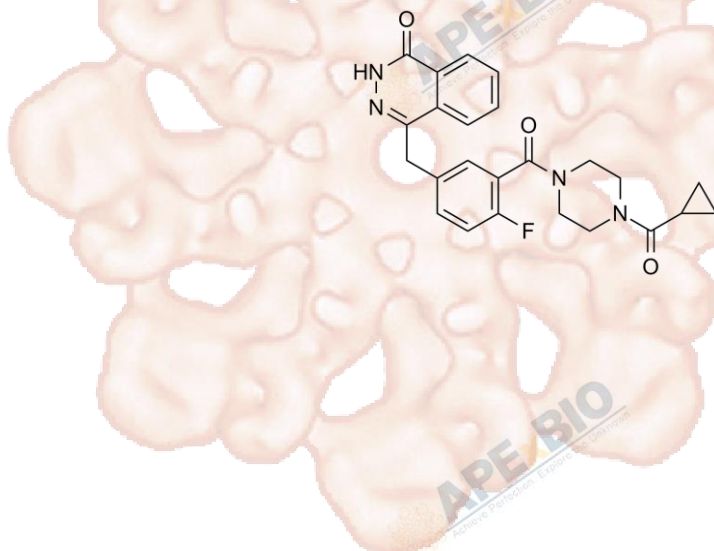


Product Data Sheet

Olaparib (AZD2281, Ku-0059436)

Cat. No.:	A4154
CAS No.:	763113-22-0
Formula:	C ₂₄ H ₂₃ FN ₄ O ₃
M.Wt:	434.46
Synonyms:	AZD 2281, AZD-2281
Target:	Chromatin/Epigenetics
Pathway:	PARP
Storage:	Store at -20°C



Solvent & Solubility

≥21.72 mg/mL in DMSO; insoluble in EtOH; insoluble in H₂O

In Vitro

Preparing Stock Solutions	Solvent		Mass		
	Concentration		1mg	5mg	10mg
	1 mM		2.3017 mL	11.5085 mL	23.0171 mL
	5 mM		0.4603 mL	2.3017 mL	4.6034 mL
	10 mM		0.2302 mL	1.1509 mL	2.3017 mL

Please refer to the solubility information to select the appropriate solvent.

Biological Activity

Shortsummary

Potent PARP1/PARP2 inhibitor

IC₅₀ & Target

5 nM (PARP1), 1 nM (PARP2)

In Vitro

Cell Viability Assay

Cell Line:	Normal LCL cells, ATM-null LCL cells
Preparation method:	The solubility of this compound in DMSO is >10 mM. General tips for obtaining a higher concentration: Please warm the tube at 37 °C for 10 minutes and/or shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.
Reacting conditions:	10 μM, 1 hour

	Applications:	The sensitivity of cells to olaparib is mediated by absence of Ataxia Telangiectasia Mutated (ATM) activity. Immunoblot analysis revealed that in ATM wild-type LCLs, but not ATM null LCLs, phosphorylation of the ATM-dependent targets ATM S1981 and SMC1 S966 was induced in a dose-dependent manner by olaparib.
In Vivo	Animal experiment	
	Animal models:	Granta-519–engrafted NOD/SCID mice
	Dosage form:	Intraperitoneal injection, 50 mg/kg/d, for 14 days
	Applications:	Analysis of the percentage of human CD45 staining by FACS analysis revealed a significant reduction in the percentage of Granta-519 cells in the bone marrow and a trend toward reduced tumor cell load in the spleen of mice treated with olaparib compared with those receiving vehicle alone.
	Other notes:	Please test the solubility of all compounds indoor, and the actual solubility may slightly differ with the theoretical value. This is caused by an experimental system error and it is normal.

Product Citations

1. Singatulina AS, Hamon L, et al. "PARP-1 Activation Directs FUS to DNA Damage Sites to Form PARG-Reversible Compartments Enriched in Damaged DNA." Cell Rep. 2019 May 7;27(6):1809-1821.e5.PMID:31067465
2. Cheriyan VT, Alsaab H, et al. "A CARP-1 functional mimetic compound is synergistic with BRAF-targeting in non-small cell lung cancers." Oncotarget. 2018 Jul 3;9(51):29680-29697.PMID:30038713
3. Li N, Yang L, et al. "BET bromodomain inhibitor JQ1 preferentially suppresses EBV-positive nasopharyngeal carcinoma cells partially through repressing c-Myc." Cell Death Dis. 2018 Jul 9;9(7):761.PMID:29988031
4. Coyle JP, Rinaldi RJ, et al. "Reduced oxygen tension culturing conditionally alters toxicogenic response of differentiated H9c2 cardiomyoblasts to acrolein." Toxicol Mech Methods. 2018 Mar 22:1-39.PMID:29564938
5. Kong X, Cruz GMS, et al. "Laser Microirradiation to Study In Vivo Cellular Responses to Simple and Complex DNA Damage." J Vis Exp. 2018 Jan 1;(131).PMID:29443023

See more customer validations on www.apexbt.com.

References

- [1] Weston V J, Oldreive C E, Skowronska A, et al. The PARP inhibitor olaparib induces significant killing of ATM-deficient lymphoid tumor cells in vitro and in vivo. Blood, 2010, 116(22): 4578-4587.

Caution

FOR RESEARCH PURPOSES ONLY.

NOT FOR HUMAN, VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

Specific storage and handling information for each product is indicated on the product datasheet. Most APEX BIO products are stable

under the recommended conditions. Products are sometimes shipped at a temperature that differs from the recommended storage temperature. Shortterm storage of many products are stable in the short-term at temperatures that differ from that required for long-term storage. We ensure that the product is shipped under conditions that will maintain the quality of the reagents. Upon receipt of the product, follow the storage recommendations on the product data sheet.



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