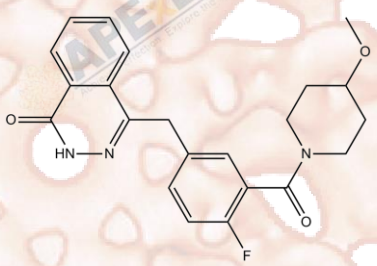
Product Data Sheet

AZD2461



Cat. No.:	A4164
CAS No.:	1174043-16-3
Formula:	C22H22FN3O3
M.Wt:	395.43
Synonyms:	
Target:	Chromatin/Epigenetics
Pathway:	PARP
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

insoluble in H2O; ⩾16.35 mg/mL in DMSO; ⩾45.2 mg/mL in EtOH with ultrasonic

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	2.5289 mL	12.6445 mL	25.2889 mL
5 mM	0.5058 mL	2.5289 mL	5.0578 mL
10 mM	0.2529 mL	1.2644 mL	2.5289 mL

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

Biological Activity

Shortsummary	Novel PARP inhibitor
IC_{50} & Target	

In Vitro

Cell Viability Assay

Cell Line:	human MCF-7 and SKBr-3 breast cancer cells
Preparation method:	The solubility of this compound in DMSO is >16.35mg/mL. 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:	5-50 μM, 48 and 72h

	Applications:	In human MCF-7 and SKBr-3 breast cancer cells, AZD2461 was cytotoxic and reduced numbers of viable cells in a concentration- and time-dependent manner. PARP-1 inhibition by AZD2461 (10 μM for 48h) increased proportions of MCF-7 cells in the G2 phase and reduced cells in S-phase.
In Vivo	**Animal experiment**	
	Animal models:	mice with KB1P tumors
	Dosage form:	100 mg/kg p.o., 28 consecutive days or 100 consecutive days
	Applications:	In mice with KB1P tumors, AZD2461 completely inhibited the PARP activity for several hours and the amount of PARP returned to baseline levels 24 hours after treatment. AZD2461 had lower affinity for Pgp than did olaparib. Mice treated with AZD2461 for 28 consecutive days showed increased survival. When treatment with AZD2461 for 100 consecutive days, 8 of 9 mice engrafted with fragments from 3 individual KB1P tumors did not develop refractory tumors within 300 days after treatment start. Long-term AZD2461 treatment was well tolerated and doubled the median relapse-free survival from 64 to 132 days.
	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

See more customer validations on www.apexbt.com.

References

[1]. Wsierska GJ, Heinzl S. Interactions Between Ataxia Telangiectasia Mutated Kinase Inhibition, Poly(ADP-ribose) Polymerase-1 Inhibition and BRCA1 Status in Breast Cancer Cells. J Cancer Prev. 2014, 19(2): 125–136.

[2]. Jaspers JE, Kersbergen A, Boon U, et al. Loss of 53BP1 Causes PARP Inhibitor Resistance in Brca1-Mutated Mouse Mammary Tumors. Cancer Discov, 2013, 3(1): 68-81.

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 APExBIO 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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