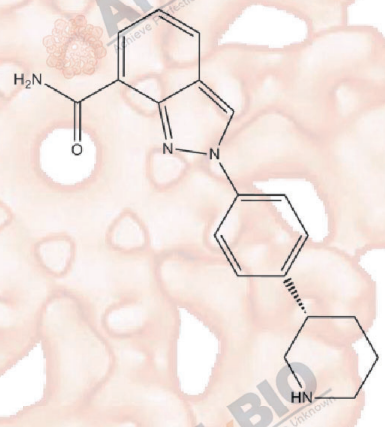
Product Name: MK-4827
Revision Date: 12/11/2023

Product Data Sheet

MK-4827



Cat. No.:	A3617
CAS No.:	1038915-60-4
Formula:	C19H20N4O
M.Wt:	320.39
Synonyms:	Niraparib; MK 4827; MK4827
Target:	Chromatin/Epigenetics
Pathway:	PARP
Storage:	Store at -20°C

Solvent & Solubility

In Vitro

⩾32 mg/mL in DMSO; insoluble in H2O; ⩾50.9 mg/mL in EtOH with gentle warming

Preparing Stock Solutions

Mass / Solvent / Concentration	1mg	5mg	10mg
1 mM	3.1212 mL	15.6060 mL	31.2120 mL
5 mM	0.6242 mL	3.1212 mL	6.2424 mL
10 mM	0.3121 mL	1.5606 mL	3.1212 mL

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

Biological Activity

Shortsummary	PARP-1/-2 inhibitor,potent and selective
IC_{50} & Target	3.8 nM (PARP1), 2.1 nM (PARP2)

In Vitro

Cell Viability Assay

Cell Line:	MDA-MB-436 and CAPAN-1 cell lines, human prostate epithelial (PREC) cells, Human mammary epithelial (HMEC) cells
Preparation method:	Soluble in DMSO. 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:	0-20000 nM

	Applications:	In MDA-MB-436 cells carrying BRCA-1 mutations, MK-4827 displayed CC50 = 18 nM, while in CAPAN-1 cells with BRCA-2 mutant, MK-4827 displayed CC50 = 90 nM. In contrast, normal human prostate and mammary epithelial cells were resistant to MK-4827, displaying antiproliferative effects in the micromolar range, thereby demonstrating the very high selective cytotoxicity from these PARP inhibitors in BRCA-1 and -2 mutant cancer cells compared to surrounding tissue.
In Vivo	**Animal experiment**	
	Animal models:	Female nude mice (Ncr Nu/Nu) xenograft model
	Dosage form:	25 mg/kg given twice daily or 50 mg/kg MK-4827 given once daily, oral
	Applications:	The in vivo efficacy of MK-4827 was demonstrated in a BRCA-1 mutant MDA-MB-436 xenograft mode. When tumors reached an average volume of 150 mm3, mice were treated with MK-4827, dosing orally at either 100 mg/kg q.d. or 50 mg/kg b.i.d. Tumor regression was observed with both dosing regimes, and both were well tolerated, with no mortality. Less than 10% body weight loss was seen during the experiment.
	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] Jones P, Altamura S, Boueres J, Ferrigno F, et al. Discovery of 2-{4-[(3S)-piperidin-3-yl]phenyl}-2H-indazole-7-carboxamide (MK-4827): a novel oral poly(ADP-ribose)polymerase (PARP) inhibitor efficacious in BRCA-1 and -2 mutant tumors. J Med Chem. 2009 Nov 26;52(22):7170-85.

[2] Wang L, Mason KA, Ang KK,Buchholz T,Valdecanas D, Mathur A, Buser-Doepner C, Toniatti C, Milas L. MK-4827, a PARP-1/-2 inhibitor, strongly enhances response of human lung and breast cancer xenografts to radiation. Invest New Drugs. 2012 Dec;30(6):2113-20.

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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