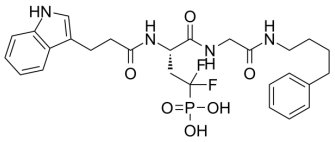
BRCA1-IN-1

Cat. No.:	HY-100863
CAS No.:	1622262-74-1
Molecular Formula:	$C_{27}H_{33}F_2N_4O_6P$
Molecular Weight:	578.54
Target:	PARP
Pathway:	Cell Cycle/DNA Damage; Epigenetics
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	BRCA1-IN-1 is a novel small-molecule-like BRCA1 inhibitor with IC_{50} and K_i of 0.53 μM and 0.71 μM, respecrively.
IC_{50} & Target	IC50: 0.53 μM (BRCA1)[1] Ki: 0.71 μM (BRCA1)[1]
In Vitro	BRCTs are phosphoserine-binding domains found in proteins involved in DNA repair, DNA damage response and cell cycle regulation. BRCA1 is a BRCT domain-containing, tumor-suppressing protein expressed in the cells of breast and other tissues. By targeting the $(BRCT)_2$ domain, BRCA1-IN-1 (Compound 15a) inhibits BRCA1 activities in tumor cells, sensitizes these cells to ionizing radiation-induced apoptosis, and shows synergistic inhibitory effect when used in combination with Olaparib (a small-molecule inhibitor of poly-ADP-ribose polymerase) and Etoposide (a small-molecule inhibitor of topoisomerase II). BRCA1-IN-1 can effectively inhibit HR activity by binding to $BRCA1(BRCT)_2$, and functionally mimic genetic knockdown of BRCA1. BRCA1-IN-1 is useful in targeting BRCA1/PARP-related pathways involved in DNA damage and repair response, for cancer therapy. The synergistic inhibition of PARP/BRCA1 (a process referred to as synthetic lethality), is highly effective in cancer therapy. BRCA1-IN-1 is small-molecule-like and can be directly administered to tumor cells, thus making them useful for future studies of BRCA1/PARP-related pathways in DNA damage and repair response, and in cancer therapy [1]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Na Z, et al. Discovery of cell-permeable inhibitors that target the BRCT domain of BRCA1 protein by using a small-molecule microarray. Angew Chem Int Ed Engl. 2014 Aug 4;53(32):8421-6.

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