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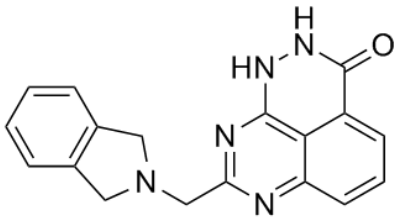
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	E7449
Cat. No.	:	PC-43025
CAS No.	:	1140964-99-3
Molecular Formula	:	$C_{18}H_{15}N_5O$
Molecular Weight	:	317.3446
Target	:	PARP
Solubility	:	DMSO: < 6.96 mg/mL



Biological Activity

E7449 (Stenoparib, 2X-121) is a potent, orally bioavailable, brain penetrable dual inhibitor of **PARP1/2** and **TNKS1/2** with IC50 of 2.0/1.0 nM (PARP1/2), 50-100 nM (TNKS1/2).
E7449 (Stenoparib, 2X-121) displays no significant inhibitory activity for PARP3 or PARPs6-16.
E7449 (Stenoparib, 2X-121) shows sensitivity to cells deficient in DNA repair pathways beyond homologous recombination, inhibits Wnt/β-catenin signaling in colon cancer cell lines, stabilizes axin and TNKS proteins resulting in β-catenin de-stabilization and significantly alters expression of Wnt target genes.
E7449 (Stenoparib, 2X-121) potentiates antitumor activity of chemotherapies temozolomide and carboplatin both in vitro and in vivo.

References

McGonigle S, et al. ***Oncotarget*.** 2015 Dec 1;6(38):41307-23.
2. Sharon McGonigle, et al. Abstract 4688: E7449: A novel PARP inhibitor enhances the efficacy of radiotherapy and chemotherapy and has potent single agent anticancer activity in BRCA-deficient tumors . Cancer Research: April 15, 2012; Volume 72, Issue 8, Su

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