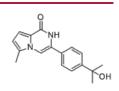


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Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MSC2504877
Cat. No.	:	PC-36007
CAS No.	:	1460286-21-8
Molecular Formula	:	$C_{17}H_{18}N_2O_2$
Molecular Weight	:	282.343
Target	:	PARP
Solubility	:	10 mM in DMSO



Biological Activity

MSC2504877 (M2912) is a novel small molecule selective **tankyrase** inhibitor with IC50 of 0.7/0.8 nM against **TNKS1/2**, shows 771-fold selectivity for TNKS1 over PARP1 (IC50=0.54 uM).

MSC2504877 increased AXIN2 protein levels and decreased β -catenin levels in APC mutant COLO320DM colorectal tumour cells, suppressed canonical Wnt signalling in SW480 cell line, inhibits the growth of APC mutant colorectal tumour cells. MSC2504877 enhances G1 cell cycle arrest and cellular senescence in tumour cells when combined with CDK4/6 inhibitor Palbociclib, suppresses the upregulation of Cyclin D2 and Cyclin E2 caused by palbociclib and enhances the suppression of pRb.

Palbociclib plus MSC2504877 combination suppresses hyperproliferation in Apc defective cells in vivo.

References

Menon M, et al. Sci Rep. 2019 Jan 17;9(1):201.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com