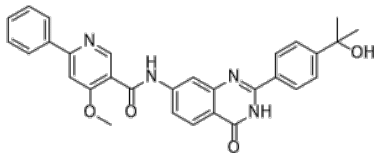
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Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	TDI-012804
Cat. No.	:	PC-24241
CAS No.	:	3120102-92-0
Molecular Formula	:	$C_{30}H_{26}N_4O_4$
Molecular Weight	:	506.56
Target	:	PARP
Solubility	:	10 mM in DMSO



Biological Activity

TDI-012804 is a potent and selective Tankyrase 2 (**TNKS2**) inhibitor with IC50 of 3.46 nM in in vitro PARylation assays, has 25-fold selectivity over TNKS1, suppresses WNT signaling in TNKS depleted cells.
TDI-012804 is potent (EC50 <100nM) and shows TNKS2-selectivity against in TNKS1 isogenic DLD1 cells using an integrated TOP-Flash reporter.
TDI-012804 shows no inhibition of related PARP family enzymes (PARP1, PARP2, and PARP3).
Mutation of L1136 to tyrosine (L1136Y) significantly reduces the potency of TDI-012804 against TNKS2 but has no effect on the activity of XAV939.
TDI-012804 increases AXIN1 protein in TNKS1KO and TNKS1KO/TNKS2WT cells, but not in TNKS1WT or TNKS1KO/TNKS2L1136Y cells.
TDI-012804 is selectively toxic to Tnks1KO AKP-G12D and AKP-G13D organoids, compared to Tnks1WT lines.

References

Zimmerman J, et al. ***bioRxiv*** [Preprint]. 2025 Mar 7:2025.03.04.641305.

Caution: Product has not been fully validated for medical applications. Lab Use Only!

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