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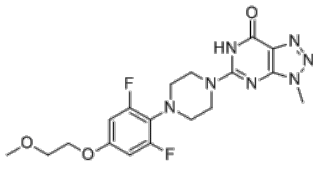
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	STP1002
Cat. No.	:	PC-49120
CAS No.	:	1858179-75-5
Molecular Formula	:	$C_{18}H_{21}F_2N_7O_3$
Molecular Weight	:	421.409
Target	:	PARP
Solubility	:	10 mM in DMSO



Biological Activity

STP1002 (Basroparib) is a potent, selective and orally active inhibitor of **tankyrase 1/2 (TNKS1/2)** with IC50 of 5.8/3.2 nM, respectively, shows excellent selectivity against PARP1/2 compared to XAV939.
STP1002 does not inhibit members of the poly (ADP-ribose) polymerase 1/2 (PARP1/2), does not substantially modulates receptor binding for 68 receptors, inhibits enzyme activity or have cellular agonist or antagonist function for 468 panels in a battery of radioligand binding assays at 2 uM and 468 human kinases at 1 uM.
STP1002 significantly inhibits Wnt/b-catenin signalling (IC50=8.3 nM) compared to XAV939 and IWR-1.
STP1002 exerts antitumour activity by stabilising AXINs and antagonising the Wnt/β-catenin pathway in a subset of APC-mutated CRC cell lines, but not in inhibitor-resistant cells and APC-wild-type CRC cell lines.
STP1002 stabilises AXINs in adenomatous polyposis coli-mutated colorectal cancer cell lines and in vivo.
STP1002 (10-30 mg/kg/QD, p.o.) inhibits tumour growth of APC-mutated CRC xenograft animal models but not of APC-wild type models in a dose-dependent manner, also inhibits tumour growth in APC-mutated CRC patient-derived tumour xenograft models.
STP1002 shows no significant on-target toxicity in the GI tract compared to G007-LK.

References

Dong Young Kim, et al. ***Eur J Cancer.*** 2022 Jul 15;173:41-51.

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