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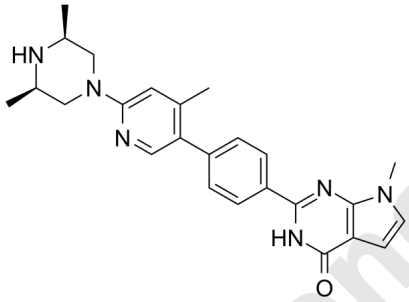
Data Sheet

Product Information

Catalog Number	BP13693
Product Name	AZ6102
Description	AZ6102 is a potent TNKS1/2 inhibitor that has 100-fold selectivity against other PARP family enzymes and shows IC50 of 5 nM for Wnt pathway inhibition in DLD-1 cells.
Targets&IC50	TNKS1:5 nM, TNKS2:5 nM
In vitro	AZ6102 inhibits TNKS1 and TNKS2 in enzymatic assays and TCF4 reporter assays (<5 nM). AZ6102 inhibits proliferation of Colo320DM (GI50 ~40 nM), but has no anti-proliferative activity in the β-catenin mutant cell line HCT-116, or the BRCA mutant cell line MDA-MB-436. In Colo320DM, AZ6102 stabilizes axin2 protein and modulates Wnt target genes in a dose and time dependent manner both in vitro and in vivo.
In vivo	Nude mice are administered 25 mg/kg of AZ-6102. The compound has a half-life of 4 hours and a CL of 24 mL/min.kg. Further analysis in mouse and rats shows that AZ-6102 has a moderate bioavailability at 12% and 18%, respectively. Western blot analysis for TNKS1, TNSK2 and Axin2 of treated DLD-1 cells shows that AZ-6102 had qualitatively stronger and longer lasting stabilization of TNSK1, TNSK2 and Axin2 than XAV-939 at lower concentrations (at 24, 48 and 72h). AZ-6102 has good pharmacokinetics in preclinical species with low Caco2 efflux (to avoid possible tumor resistance mechanisms). In addition, the compound can be formulated in a clinically relevant intravenous solution at 20 mg/mL using SBECD as an excipient at pH4. The results of AZ-6102 used as an i.v. probe compound to explore the in vivo effects of the inhibition of TNKS1 and TNSK2 on tumor xenografts and normal tissue are forthcoming.
CAS No.	1645286-75-4

Chemical Formula	C25H28N6O
Molecular Weight	428.54
Solubility	DMSO: 21.4 mg/mL (50 mM)
Storage	Powder: -20°C for 2 years In solvent: -80°C for 1 year
Chemical Structure OR Tested Image	

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