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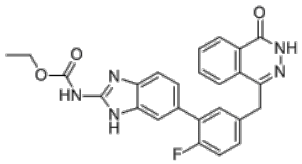
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	AMXI-5001
Cat. No.	:	PC-72149
CAS No.	:	2170491-77-5
Molecular Formula	:	$C_{25}H_{20}FN_5O_3$
Molecular Weight	:	457.465
Target	:	PARP
Solubility	:	10 mM in DMSO



Biological Activity

AMXI-5001 (AMXI 5001) is a novel, highly potent, orally active dual **PARP1/2** (IC50 5/0.05 nM) and microtubule polymerization inhibitor, inhibits intracellular PAR formation with IC50 of 7 nM.
AMXI-5001 binds to the catalytic domain of human PARP1 and is a weak tankyrase inhibitor (800-fold lower than IC50 towards either PARP1 or PARP2 enzymes).
AMXI-5001 inhibited tubulin polymerization in a dose-dependent manner.
AMXI-5001 exhibited selective antitumor cytotoxicity across a wide variety of human cancer cells with much lower IC50s than existing clinical PARP1/2 inhibitors.
AMXI-5001 is highly active in both BRCA mutated and wild type cancers.
AMXI-5001 elicited a remarkable In vivo preclinical anti-tumor activity in a BRCA mutated TNBC model induced complete regression of established tumors, including exceedingly large tumors, demonstrated superior anti-tumor effects compared to either single agent (PARP or microtubule) inhibitor or combination with both agents.

References

Hassan Lemjabbar-Alaoui, et al. ***Am J Cancer Res.*** 2020 Aug 1;10(8):2649-2676.

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

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