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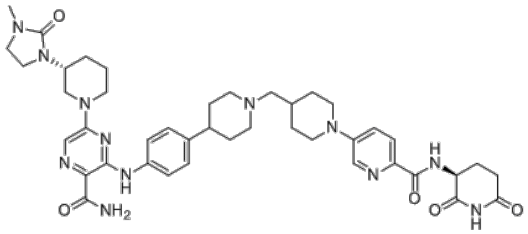
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	NX-5948
Cat. No.	:	PC-21323
CAS No.	:	2649400-34-8
Molecular Formula	:	$C_{42}H_{54}N_{12}O_5$
Molecular Weight	:	806.97
Target	:	PROTAC
Solubility	:	10 mM in DMSO



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Biological Activity

NXI5948 (NX5948) is a potent, selective and brain-penetrant degrader of **BTK** with DC50 of <1 nM in lymphoma cell lines and peripheral blood mononuclear cells (PBMCs).
NX-5948 impairs viability in the BTK-dependent ABC-DLBCL cell line, TMD8 (EC 50: < 10 nM after 72 hours).
NX-5948 induces degradation of the mutated BTK-C481S in cells and inhibits proliferation of BTK-C481S mutant TMD8 cells more effectively than ibrutinib (NX-5948 EC 50 values of < 10 nM versus > 1 μM for ibrutinib).
NX-5948 demonstrates robust BTK degradation without significant downregulation of other off-target proteins.
NX-5948 demonstrates superior tumor growth inhibition (TGI) as compared to ibrutinib in TMD8 xenograft model in mice containing the BTK-C481S mutation.
NX-5948 penetrates the central nervous system (CNS) and demonstrates activity in a model of brain malignancies.

References

Daniel W Robbins, et al. ***Blood*** (2021) 138 (Supplement 1): 2251.

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