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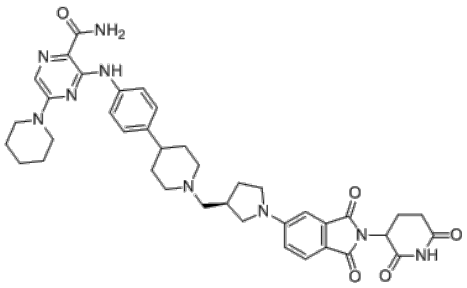
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	NX-2127
Cat. No.	:	PC-20560
CAS No.	:	2416131-46-7
Molecular Formula	:	$C_{39}H_{45}N_9O_5$
Molecular Weight	:	719.85
Target	:	PROTAC
Solubility	:	10 mM in DMSO



CAS: 2416131-46-7

Biological Activity

NX-2127 (NX2127) is a hetero-bifunctional, orally active **PROTAC** that induces the degradation of **BTK** and IKZF3 ubiquitination and proteasomal degradation in cells through recruitment of cereblon (CRBN).
NX-2127 degrades BTK in multiple B cell lymphoma lines with DC50 values in the range of 1-13 nM.
NX-2127 displays efficient cellular degradation yet binds to WT and mutant BTK with affinities that render covalent and noncovalent BTK inhibitors ineffective.
NX-2127 drives cellular ternary complex formation between BTK and CRBN by inducing positive cooperativity in both WT and acquired resistance mutant settings.
NX-2127 induces potent degradation of C481S, T474I, V416L, and L528W-mutant BTK and suppresses activation marker expression on cells harboring these mutations.
NX-2127 demonstrates oral bioavailability across pre-clinical species and shows robust tumor growth inhibition in WT and mutant mouse models of lymphoma upon once daily PO dosing.

References

Jeffrey T. Mihalic, et al. ***Cancer Res*** (2023) 83 (7_Supplement): 3423.

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