

Data Sheet

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 Product Name
 :
 NX-2127

 Cat. No.
 :
 PC-20560

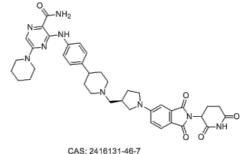
 CAS No.
 :
 2416131-46-7

 Molecular Formula
 :
 C₃₉H₄₅N₉O₅

 Molecular Weight
 :
 719.85

 Target
 :
 PROTAC

Solubility : 10 mM in DMSO



Biological Activity

NX-2127 (Zelebrudomide) 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.

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

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