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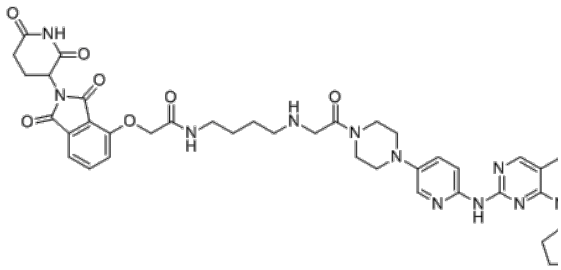
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	YX-2-107
Cat. No.	:	PC-73342
CAS No.	:	2417408-46-7
Molecular Formula	:	$C_{45}H_{51}N_{11}O_9$
Molecular Weight	:	889.971
Target	:	PROTAC
Solubility	:	10 mM in DMSO



Biological Activity

YX-2-107 is a CRBN-recruiting and specific **CDK6**-degrading PROTAC with IC50 of 0.69 and 4.4 nM for CDK4 and CDK6 in vitro, selectively degardes CDK6 in Ph+ BV173 ALL cells with a degradation constant of 4 nM.
YX-2-107 does not affect expression of IKZF1 and IKZF3, and does not degarde CDK4 protein.
YX-2-107 inhibits S-phase entry, cell proliferation, RB phosphorylation, and FOXM1 expression and induces the selective degradation of CDK6 in Ph+ BV173 and SUP-B15 cells.|
PROTAC YX-2-107 is bioavailable in mice and pharmacologically active in suppressing Ph+ ALL proliferation in a mouse xenograft of Ph+ ALL, comparable or superior to that of the CDK4/6 enzymatic inhibitor palbociclib.

References

Marco De Dominici, et al. ***Blood***. 2020 Apr 30;135(18):1560-1573.

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