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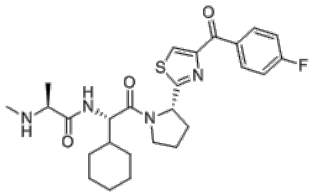
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	LCL161
Cat. No.	:	PC-20998
CAS No.	:	1005342-46-0
Molecular Formula	:	$C_{26}H_{33}FN_4O_3S$
Molecular Weight	:	500.63
Target	:	IAP
Solubility	:	10 mM in DMSO



CAS: 1005342-46-0

Biological Activity

LCL161 is a monovalent Smac-mimetic, binds **IAPs** with high affinity and initiates the destruction of cIAP1 and cIAP2 (encoded by BIRC2 and BIRC3, respectively) and prevention of caspase inhibition by XIAP.
LCL161 modestly inhibits the growth of FLT3-ITD-expressing cells when administered alone, with an IC50 of 0.5 uM, show higher potency against D835Y mutant with IC50 of 50 nM.
LCL161 significantly enhanced the ability of PKC412 to inhibit the growth of Ba/F3-FLT3-ITD-luc+ cells in vivo.
LCL161 in combination with radiotherapy led to dramatic tumor regression of HPV- HNSCC tumor xenografts, accompanied by cIAP1 degradation and apoptosis activation.

References

Weisberg E, et al. ***Leukemia.*** 2010 Dec;24(12):2100-9.

Linlin Yang, et al. ***Mol Cancer Ther***. 2019 Jun;18(6):1025-1035.

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