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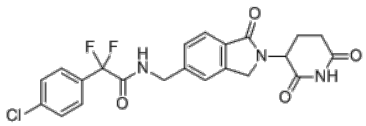
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	Eragidomide
Cat. No.	:	PC-38389
CAS No.	:	1860875-51-9
Molecular Formula	:	$C_{22}H_{18}ClF_2N_3O_4$
Molecular Weight	:	461.850
Target	:	E3 Ligase Ligand
Solubility	:	10 mM in DMSO



Biological Activity

Eragidomide (CC-90009) is a first-in-class, **GSPT1**-selective cereblon E3 ligase modulator, selectively degrades GSPT1 (EC50=9 nM) resulting in acute AML apoptosis and elimination of disease-driving leukemia stem cells (LSCs).
CC-90009 coopts the CRL4CRBN to selectively target GSPT1 for ubiquitination and proteasomal degradation.
The anti-AML activity of CC-90009 is regulated by the ILF2/ILF3 complex, the mTOR pathway, and the integrated stress response pathway.
CC-90009 induces binding of GSPT1 to cereblon and subsequent GSPT1 degradation. GSPT1 degradation promoted the activation of the GCN1/GCN2/ATF4 pathway and subsequent apoptosis in AML cells.
CC-90009 demonstrated antiproliferative activity in over 80% of the human AML cancer cell lines tested, in primary patient AML blasts (EC50=6 nM).
CC-90009 (5 mg/kg BID, 5 days) effectively reduced tumor cell content in femur bone marrow in an HL-60 xenograft model of AML.
CC-90009 is a novel molecular glue directs an alternative degradation profile in which IKZF1/3 are spared and, instead, GSPT1/eRF3a (G1 to S phase transition 1/eukaryotic Release Factor 3a) is degraded.

References

Hansen JD, et al. ***J Med Chem.*** 2021 Feb 25;64(4):1835-1843.

Surka C, et al. ***Blood***. 2021 Feb 4;137(5):661-677.

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