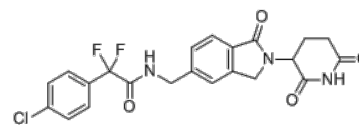


Product Name : Eragidomide
Cat. No. : PC-38389
CAS No. : 1860875-51-9
Molecular Formula : C₂₂H₁₈ClF₂N₃O₄
Molecular Weight : 461.850
Target : E3 Ligase Ligand
Solubility : 10 mM in DMSO



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.

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

E-mail: tech@probechem.com