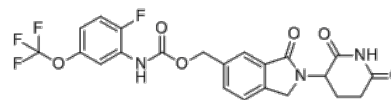


Product Name : MRT-2359
Cat. No. : PC-20680
CAS No. : 2803881-11-8
Molecular Formula : C₂₂H₁₇F₄N₃O₆
Molecular Weight : 495.39
Target : PROTAC
Solubility : 10 mM in DMSO



CAS: 2803881-11-8

Biological Activity

MRT-2359 (MRT2359) is a potent, selective and orally bioavailable GSPT1-directed molecular glue degrader with DC50 of 5 nM in CAL51 cell line (D_{max}=100%).

MRT-2359 potently inhibits cell viability in CAL51 cancer cell line with EC50 of 150 nM.

MRT-2359 induces the degradation of GSPT1, the associated downregulation of N-MYC and the modulation of its transcriptional output leading to preferential anti-proliferative activity in L-MYC and N-MYC driven cancer cells.

MRT-2359 administered orally demonstrates preferential anti-tumor activity in xenograft and PDX NSCLC models with high L-MYC and/or N-MYC mRNA expression levels.

MRT-2359 promotes complex formation between CRBN and GSPT1 and potently induces GSPT1 degradation in a CRBN- and degron-dependent manner.

MRT-2359 degrades GSPT1 in a large panel of cancer lines revealed profound and preferential antiproliferative activity in Myc-driven cell lines, such as high N-Myc expressing non-small cell lung cancer (NSCLC) lines and high L-Myc expressing small cell lung cancer (SCLC) lines.

References

Gerald Gavory, et al. Cancer Res (2022) 82 (12_Supplement): 3929.

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

E-mail: tech@probechem.com