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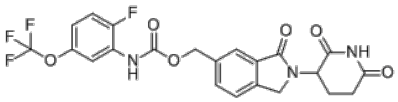
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MRT-2359
Cat. No.	:	PC-20680
CAS No.	:	2803881-11-8
Molecular Formula	:	$C_{22}H_{17}F_4N_3O_6$
Molecular Weight	:	495.39
Target	:	PROTAC
Solubility	:	10 mM in DMSO



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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 (Dmax=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.

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