

Data Sheet

WWW.PROBECHEM.COM

Global Supplier of Chemical Probes, Inhibitors & Agonists.

 Product Name
 :
 MS177

 Cat. No.
 :
 PC-72286

 CAS No.
 :
 2225938-86-1

 Molecular Formula
 :
 C₄₈H₅₅N₁₁O₈

 Molecular Weight
 :
 914.037

 Target
 :
 PROTAC

Solubility : 10 mM in DMSO

Biological Activity

MS177 (MS-177) is a potent and selective **EZH2** degarder (**PROTAC**) based on EZH2 inhibitor C24 with CRBN ligand pomalidomide with DC50 of 0.2 uM in EOL-1 cells.

MS177 effectively degraded cellular EZH2-PRC2, suppressed global H3K27me3 in leukaemia cells.

MS177 exhibited half-maximal degradation concentration (DC50) values of 0.2 \pm 0.1 μ M and 1.5 \pm 0.2 μ M, and maximum degradation (Dmax) values of 82% and 68%, respectively, in EOL-1 and MV4;11 cells.

MS177 efficiently suppresses EZH2-PRC2 functions, also efficiently induces Myc degradation in cancer cells, suppresses EZH2-PRC2 functions.

MS177 efficiently induces leukaemia cell growth inhibition, apoptosis and cell cycle progression arrest, which is more effective than EZH2 inhibitors. MS177 (i.p. injection, 50-100 mg/kg) represses AML growth without apparent toxicity in PDX models.

References

Jun Wang, et al. *Nat Cell Biol.* 2022 Feb 24. doi: 10.1038/s41556-022-00850-x.

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

E-mail: tech@probechem.com