

WWW.PROBECHEM.COM

Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	: GMB-475	N
Cat. No.	: PC-20007	8-
CAS No.	: 2490599-18-1	
Molecular Formula	: C ₄₃ H ₄₆ F ₃ N ₇ O ₇ S	
Molecular Weight	: 861.94	
Target	: PROTAC	
Solubility	: 10 mM in DMSO	

Biological Activity

GMB-475 (GMB475) is an allosteric **BCR-ABL1 PROTAC** with DC50 of 340 nM, degrades the BCR-ABL1 through the ubiquitin-proteasome pathway.

GMB-475 induced the degradation of BCR-ABL1 and c-ABL1 in the context of both K562 and Ba/F3 cells with concomitant inhibition of downstream signaling via the STAT5 pathway, in a dose- and time-dependent fashion.

GMB-475 was capable of inhibiting cell proliferation with IC50 of 1 uM.

GMB-475-mediated degradation enhances efficacy of ATP-competitive TKIs and retains potency against imatinib resistant point mutations (T351I, IC50=1.98 uM; G250E, IC50=0.37 uM).

GMB-475 reduced viability and increased apoptosis in primary CML CD34+ cells, with no effect on healthy CD34+ cells at identical concentrations.

GMB-475 degraded BCR-ABL1 and reduced cell viability in primary CML stem cells.

References

Burslem GM, et al. *Cancer Res.* 2019 Sep 15;79(18):4744-4753.

Caution: Product has not been fully validated for medical applications. Lab Use Only! E-mail: tech@probechem.com