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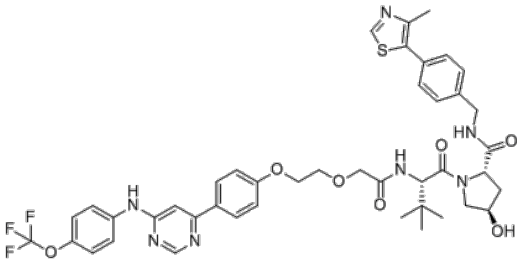
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	GMB-475
Cat. No.	:	PC-20007
CAS No.	:	2490599-18-1
Molecular Formula	:	$C_{43}H_{46}F_3N_7O_7S$
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.

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