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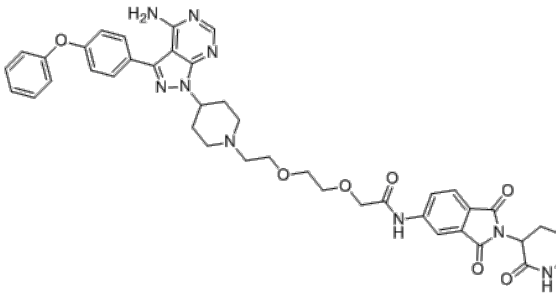
Data Sheet

Global Supplier of Chemical Probes, Inhibitors & Agonists.

Product Name	:	MT-802
Cat. No.	:	PC-35213
CAS No.	:	2231744-29-7
Molecular Formula	:	$C_{41}H_{41}N_9O_8$
Molecular Weight	:	787.834
Target	:	PROTAC
Solubility	:	10 mM in DMSO



Biological Activity

MT-802 (MT802) is a potent **BTK PROTAC degrader** that induces degradation of both wild-type and C481S mutant BTK (DC50=9.1 nM).
MT-802 recruits BTK to the cereblon E3 ubiquitin ligase complex to trigger BTK ubiquitination and degradation via the proteasome.
MT-802 binds fewer off-target kinases than ibrutinib does and retains an equivalent potency (>99% degradation at nanomolar concentrations) against wild-type and C481S BTK, elicits complete BTK knockdown at 250 nM。
MT-802 reduces the pool of active, phosphorylated BTK in cells isolated from CLL patients with the C481S mutation, whereas ibrutinib cannot.

References

Buhimschi AD, et al. ***Biochemistry.*** 2018 Jun 14. doi: 10.1021/acs.biochem.8b00391.

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