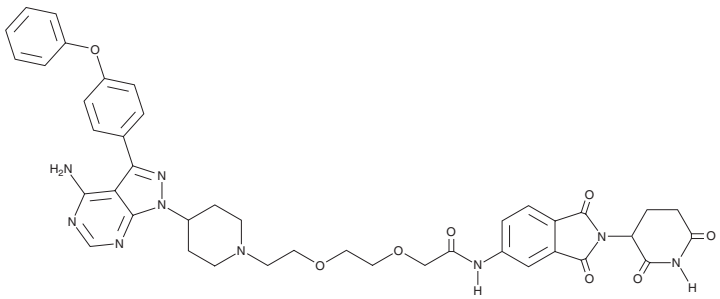
PRODUCT INFORMATION

MT-802

Item No. 36581

CAS Registry No.:	2231744-29-7
Formal Name:	2-[2-[2-[4-[4-amino-3-(4-phenoxyphenyl)-1H-pyrazolo[3,4-d]pyrimidin-1-yl]-1-piperidinyl]ethoxy]ethoxy]-N-[2-(2,6-dioxo-3-piperidinyl)-2,3-dihydro-1, 3-dioxo-1H-isoindol-5-yl]-acetamide
MF:	$C_{41}H_{41}N_9O_8$
FW:	787.8
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥4 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

MT-802 is supplied as a solid. A stock solution may be made by dissolving the MT-802 in the solvent of choice, which should be purged with an inert gas. MT-802 is soluble in DMSO and slightly soluble in chloroform and methanol.

Description

MT-802 is a proteolysis-targeting chimera (PROTAC) composed of the Bruton's tyrosine kinase (BTK) inhibitor ibrutinib (Item No. 16274) linked to the cereblon inhibitor pomalidomide (Item No. 19877).[1] It induces degradation of BTK in NAMALWA cells when used at concentrations ranging from 10 to 250 nM. MT-802 (1 µM) induces BTK degradation in primary X-linked agammaglobulinemia (XLA) cells expressing wild-type BTK or the ibrutinib-resistant mutant BTK^{C481S}. It also induces BTK degradation in patient-derived chronic lymphocytic leukemia (CLL) cells.

Reference

1. Buhimschi, A.D., Armstrong, H.A., Toure, M., *et al.* Targeting the C481S ibrutinib-resistance mutation in Bruton's tyrosine kinase using PROTAC-mediated degradation. *Biochemistry* **57(26)**, 3564-3575 (2018).

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