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Produktinformation

- Forschungsprodukte & Biochemikalien
- Zellkultur & Verbrauchsmaterial
- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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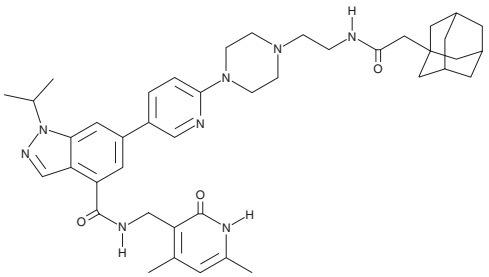
PRODUCT INFORMATION

MS1943

Item No. 35466

CAS Registry No.:	2225938-17-8
Formal Name:	N-[(1,2-dihydro-4,6-dimethyl-2-oxo-3-pyridinyl)methyl]-1-(1-methylethyl)-6-[6-[4-[2-[(2-tricyclo[3.3.1.1^{3,7}]dec-1-ylacetyl)amino]ethyl]-1-piperazinyl]-3-pyridinyl]-1H-indazole-4-carboxamide
MF:	$C_{42}H_{54}N_8O_3$
FW:	718.9
Purity:	≥98%
UV/Vis.:	λ_{max}: 228, 284, 317 nm
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

MS1943 is supplied as a solid. A stock solution may be made by dissolving the MS1943 in the solvent of choice, which should be purged with an inert gas. MS1943 is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide. The solubility of MS1943 in these solvents is approximately 2 mg/ml.

Description

MS1943 is a proteolysis-targeting chimera (PROTAC) that contains the selective enhancer of zeste homolog 2 (EZH2) inhibitor C24 linked to an adamantyl group.[1] It inhibits EZH2 (IC_{50} = 120 nM) and is selective for EZH2 over EZH1, as well as a panel of 45 kinases at 10 µM. MS1943 (4 µM) induces EZH2 degradation and reduces histone H3 lysine 27 trimethylation (H3K27me3), as well as induces activation of the unfolded protein response pathway in MDA-MB-468 triple-negative breast cancer (TNBC) cells. It inhibits the growth of MDA-MB-468 cells *in vitro* (GI_{50} = 2.2 µM) and reduces tumor volume in an MDA-MB-468 mouse xenograft model when administered at a dose of 50 mg/kg.

Reference

1. Ma, A., Stratikopoulos, E., Park, K.-S., *et al.* Discovery of a first-in-class EZH2 selective degrader. *Nat. Chem. Biol.* **16(2)**, 214-222 (2020).

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