SZABO SCANDIC

Part of Europa Biosite

Produktinformation

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

Weitere Information auf den folgenden Seiten!
See the following pages for more information!

Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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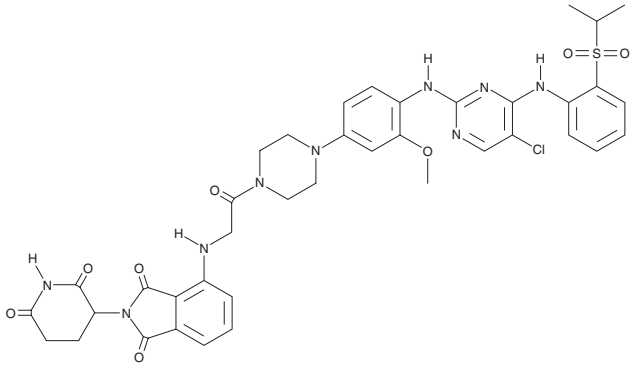
PRODUCT INFORMATION

AP-1

Item No. 38875



Formal Name:	4-((2-(4-(4-((5-chloro-4-((2-(isopropylsulfonyl)phenyl)amino) pyrimidin-2-yl)amino)-3-methoxyphenyl) piperazin-1-yl)-2-oxoethyl)amino)-2-(2,6-dioxopiperidin-3-yl)isoindoline-1,3-dione
MF:	$C_{39}H_{40}ClN_9O_8S$
FW:	830.3
Purity:	≥95%
Supplied as:	A solid
Storage:	-20°C
Stability:	≥3 years

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

Laboratory Procedures

AP-1 is supplied as a solid. A stock solution may be made by dissolving the AP-1 in the solvent of choice, which should be purged with an inert gas. AP-1 is soluble in organic solvents such as DMSO and dimethyl formamide. The solubility of AP-1 in these solvents is approximately 30 mg/ml.

Description

AP-1 is a miniaturized proteolysis-targeting chimera (PROTAC) that contains an anaplastic lymphoma kinase (ALK) ligand connected to the E3 ubiquitin ligase ligand (±)-thalidomide (Item No. 14610) by an ultrashort linker.[1] It degrades the ALK fusion protein NPM-ALK in Karpas-299 cells, where it is highly expressed, when used at concentrations ranging from 10 to 300 nM, an effect that can be blocked by the proteasome inhibitor MG-132. It also degrades the ALK fusion protein EML4-ALK and ALK containing the phenylalanine-to-leucine substitution mutation at position 1174 (ALK^{F1174L}) expressed in SN-N-SH and NCI H3122 cells, respectively. AP-1 is cytotoxic to ALK-dependent Karpas-299 cells (IC_{50} = 0.1265 nM) but not non-ALK-dependent THP-1 cells (IC_{50} = 2,704 nM). It reduces tumor volume in an NCI H3122 mouse xenograft model when administered at doses of 25, 50, and 100 mg/kg.

Reference

1. Gong, L., Li, R., Gong, J., *et al.* Discovery of a miniaturized PROTAC with potent activity and high selectivity. *Bioorg. Chem.* **136**, 106556 (2023).

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