

# PRODUCT INFORMATION



## AP-1

Item No. 38875

CAS Registry No.: 3027918-96-0

Formal Name: 4-[[2-[4-[4-[[5-chloro-4-[[2-[(1-methylethyl)sulfonyl]phenyl]amino]-2-pyrimidinyl]amino]-3-methoxyphenyl]-1-piperazinyl]-2-oxoethyl]amino]-2-(2,6-dioxo-3-piperidiny)-1H-isoindole-1,3(2H)-dione

MF: C<sub>39</sub>H<sub>40</sub>ClN<sub>9</sub>O<sub>8</sub>S

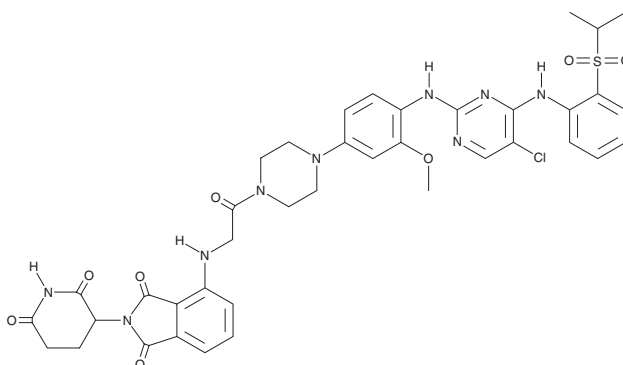
FW: 830.3

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.<sup>1</sup> 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<sup>F1174L</sup>) expressed in SN-N-SH and NCI H3122 cells, respectively. AP-1 is cytotoxic to ALK-dependent Karpas-299 cells (IC<sub>50</sub> = 0.1265 nM) but not non-ALK-dependent THP-1 cells (IC<sub>50</sub> = 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).

#### WARNING

THIS PRODUCT IS FOR RESEARCH ONLY - NOT FOR HUMAN OR VETERINARY DIAGNOSTIC OR THERAPEUTIC USE.

#### SAFETY DATA

This material should be considered hazardous until further information becomes available. Do not ingest, inhale, get in eyes, on skin, or on clothing. Wash thoroughly after handling. Before use, the user must review the [complete](#) Safety Data Sheet, which has been sent via email to your institution.

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#### CAYMAN CHEMICAL

1180 EAST ELLSWORTH RD  
ANN ARBOR, MI 48108 · USA

PHONE: [800] 364-9897  
[734] 971-3335

FAX: [734] 971-3640

CUSTSERV@CAYMANCHEM.COM  
WWW.CAYMANCHEM.COM