

Product Data Sheet

Product Name: AP-1
Cat. No.: GC91040

Chemical Properties

Cas. No.

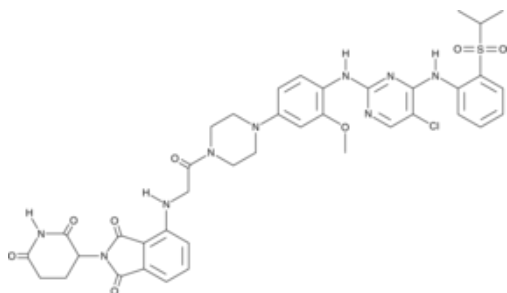
Formula $C_{39}H_{40}ClN_9O_8S$ M.Wt 830.3

Solubility DMF: 30 mg/ml, DMSO: 30 mg/ml Storage Store at -20°C

General tips For obtaining a higher solubility, please warm the tube at 37 °C and shake it in the ultrasonic bath for a while. Stock solution can be stored below -20°C for several months.

Shipping Condition Evaluation sample solution: ship with blue ice. All other available size: ship with RT, or blue ice upon request.

Structure



Background

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 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 (IC₅₀ = 0.1265 nM) but not non-ALK-dependent THP-1 cells (IC₅₀ = 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.

Caution: Product has not been fully validated for medical applications. For research use only.

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