

APG-2449

Chemical Properties

CAS No. : 2196186-84-0

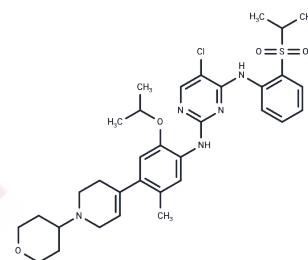
Formula: C₃₃H₄₂ClN₅O₄S

Molecular Weight: 640.24

Store at low temperature

Storage: Powder: -20°C for 3 years | In solvent: -80°C for 1 year

Actual storage temperature shall be subject to the COA.



Biological Description

Description	APG-2449 is an orally active BCL-2 and ALK/FAK/ROS1 kinase inhibitor that induces apoptosis in acute myeloid leukemia cells, reduces FAK and downstream molecule activity, and exhibits potent antitumor activity. It can be used to study mesothelioma, non-small cell lung cancer, ovarian cancer, hematologic malignancies, and solid tumors.
Targets(IC50)	Apoptosis,FAK,Bcl-2 Family,ALK,ROS Kinase
In vitro	<p>APG-2449 inhibits ALK, ROS1, and FAK with Kd values of 1.6 nM, 0.81 nM, and 5.4 nM, respectively [1].</p> <p>APG-2449 exhibits moderate antiproliferative activity in cells without ALK or ROS1 rearrangements but with detectable FAK protein expression. IC₅₀ = 3.55 μM in NCI-H1975 and 2.71 μM in PA-1 [1].</p> <p>APG-2449 (0-10 μM, 6-24 h) exerts anticancer effects by inhibiting ALK- or ROS1-driven signaling pathways in HCC78 cells harboring SLC34A2-ROS1 [1].</p> <p>APG-2449 (0-10 μM, 4 h) downregulates p-FAK and its downstream signaling factors in PA-1 ovarian cancer cells [1].</p> <p>APG-2449 (1-5 μM, 72 h) reduces the number of CD44+ and ALDH1+ SKOV-3 ovarian cancer stem cells in a dose-dependent manner [1].</p> <p>APG-2449 (0.5-1 μM, 14 days) significantly reduced colony formation in KYSE-150 and KYSE-520 cells [4].</p> <p>APG-2449 (1-2 μM, 24 h) inhibited migration capacity in esophageal squamous cell carcinoma (ESCC) cells [4].</p> <p>When combined with ibrutinib at 0-2 μM for 24-72 hours, APG-2449 significantly suppressed cell viability in KYSE-150 and KYSE-520 cells compared to monotherapy [4].</p>
In vivo	<p>APG-2449 (25-100 mg/kg; oral; once daily) exhibited dose-dependent antitumor activity in nude mice bearing EML4-ALK fusion gene-positive NSCLC H3122 CDX tumors [1].</p> <p>APG-2449 (25-100 mg/kg; oral; once daily) demonstrated potent antitumor activity in severe combined immunodeficiency (SCID) mice bearing NPM-ALK fusion gene-positive KARPAS-299 CDX tumors [1].</p> <p>APG-2449 (50-150 mg/kg; oral; 15-22 days) demonstrated potent and durable antitumor activity against secondary ALK and ROS1 resistance mutations in tumor-bearing mouse models [1].</p> <p>APG-2449 (50-150 mg/kg; oral; 22 days) exhibited dose-dependent antitumor activity in</p>

A DRUG SCREENING EXPERT

In vivo	severe combined immunodeficiency (SCID) mice bearing PA-1 CDX tumors[1]. APG-2449 (20-60 mg/kg; oral; 22 days) demonstrated potent antitumor activity when combined with Osimertinib (HY-15772) and Trametinib in the PC-9/OR xenograft model [1]. APG-2449 (100 mg/kg; oral; once daily for 2 weeks) significantly inhibited tumor growth in KYSE-150 and KYSE-520 tumor cell xenograft models[4].
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Solubility Information

Solubility	DMSO: 20 mg/mL (31.24 mM),Sonication is recommended. (< 1 mg/ml refers to the product slightly soluble or insoluble)
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.5619 mL	7.8096 mL	15.6191 mL
5 mM	0.3124 mL	1.5619 mL	3.1238 mL
10 mM	0.1562 mL	0.781 mL	1.5619 mL
50 mM	0.0312 mL	0.1562 mL	0.3124 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. Please use it as soon as possible.

Note: The dilution table applies only to solid products. For liquid products, please calculate the stock solution based on the stated concentration and/or density.

Reference

Fang DD, et al. Discovery of a novel ALK/ROS1/FAK inhibitor, APG-2449, in preclinical non-small cell lung cancer and ovarian cancer models. *BMC Cancer*. 2022 Jul 11;22(1):752.

Ran Tao, et al., Abstract 2563: FAK inhibitor APG-2449 and CDK4/6 inhibitor palbociclib synergistically suppress mesothelioma tumor growth via autophagy induction. *Cancer Res* 15 June 2022; 82 (12_Supplement): 2563.

Zhou Yu, et al., APG-2449, a Novel Focal Adhesion Kinase (FAK) Inhibitor, Exhibits Antileukemic Activity and Enhances Lisoftoclax (APG-2575)-Induced Apoptosis in Acute Myeloid Leukemia (AML). *Blood* 2024; 144 (Supplement 1): 4150.

Luo, Q. Y., et al., (2021). A multi-kinase inhibitor APG-2449 enhances the antitumor effect of ibrutinib in esophageal squamous cell carcinoma via EGFR/FAK pathway inhibition. *Biochemical pharmacology*, 183, 114318.

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