

AP-III-a4

Chemical Properties

CAS No. : 1177827-73-4

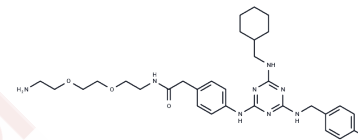
Formula: C₃₁H₄₃N₈O₃

Molecular Weight: 594.72

Store at low temperature

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

Actual storage temperature shall be subject to the COA.



Biological Description

Description	AP-III-a4 (ENOblock) is the first non-substrate analogue that directly binds to enolase to inhibit its catalytic activity with an IC ₅₀ of 0.576 μM, thereby blocking cancer cell metastasis in vivo. AP-III-a4 can be used in research on tumor metabolism, metastasis, and diseases related to carbohydrate metabolism.
Targets(IC ₅₀)	Apoptosis, Glucokinase
In vitro	Methods: H446 cells were treated with AP-III-a4 (1 μM) for 24 hours, followed by detection of ENO1 enzyme activity using the ENO1 Human Activity Assay Kit. Results: AP-III-a4 treatment inhibited ENO1 activity. [1]
In vivo	Methods: UM-1 cells (1×10 ⁶) were subcutaneously implanted into nude mice. Once tumor volume reached 100 mm ³ , AP-III-a4 was administered via intraperitoneal injection at a dose of 20 mg/kg body weight, every other day for 28 days. Results: Tumor volume and weight in AP-III-a4-treated mice were significantly smaller than in the control group. [2]
Kinase Assay	Enolase activity assay is in the 2.0 mM MgSO ₄ and 400 mM KCl in the absence or presence of ENOblock or NaF, at 37°C by incubating pure enolase (3-9 U) in a buffer containing 50 mM imidazole-HCl (pH 6.8). The reaction is initiated by adding 1 μmol of 2-phospho-D-glycerate, and the OD is measured after 10 min of reaction time with a spectrophotometer at 240 nm.
Cell Research	HCT116 cells (3 × 10 ⁵) are seeded in a 6 well plate. 24 h later, cells are treated with AP-III-a4 (5 μM) for 24 hours.
Animal Research	In HCT116-xenotransplanted zebrafish tumor xenograft model, were treated with AP-III-a4 of 10 μM.

Solubility Information

Solubility	DMSO: 257.5 mg/mL (432.98 mM), Sonication is recommended. H ₂ O: < 1 mg/mL (insoluble or slightly soluble) (< 1 mg/ml refers to the product slightly soluble or insoluble)
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A DRUG SCREENING EXPERT

In vivo Formulation	10% DMSO+40% PEG300+5% Tween 80+45% Saline: 5 mg/mL (8.41 mM),Sonication is recommended. <i>Please add the solvents sequentially, clarifying the solution as much as possible before adding the next one. Dissolve by heating and/or sonication if necessary. Working solution is recommended to be prepared and used immediately. The formulation provided above is for reference purposes only. In vivo formulations may vary and should be modified based on specific experimental conditions.</i>
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Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	1.6815 mL	8.4073 mL	16.8146 mL
5 mM	0.3363 mL	1.6815 mL	3.3629 mL
10 mM	0.1681 mL	0.8407 mL	1.6815 mL
50 mM	0.0336 mL	0.1681 mL	0.3363 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

Wu X, et al. B7-H3 promotes proliferation and migration of lung cancer cells by modulating PI3K/AKT pathway via ENO1 activity. *Transl Cancer Res.* 2024 Feb 29;13(2):833-846.

Gao L, et al. Mediation of PKM2-dependent glycolytic and non-glycolytic pathways by ENO2 in head and neck cancer development. *J Exp Clin Cancer Res.* 2023 Jan 2;42(1):1.

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